Supplementary information for

Diacetyl as a "Traceless" Visible Light Photosensitizer in Metal-Free Cross-Dehydrogenative-Coupling Reaction

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1. General information

Solvents and reagents were purchased from Sigma-Aldrich and Fisher scientific chemical companies and were used without further purification unless otherwise specified. ^{1}H and ^{13}C NMR were recorded on Bruker 500 MHz spectrometers, which uses the deuterium lock signal to reference the spectra. The solvent residual peaks, e.g., of chloroform ($CDCl_3$: δ 7.26 ppm and δ 77.23 ppm), were used as references. Data are reported as follows: multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, quint = quintet, m = multiplet, dd = doublet of doublet, etc), coupling constant (J/Hz) and integration. All NMR spectra were recorded at room temperature. High-resolution mass spectrometry was conducted by using atmospheric pressure chemical ionization (APCI) or electro-spraying ionization (ESI) performed by McGill University on a Thermo-Scientific Exactive Orbitrap. Protonated/deprotonated molecular ions $(M\pm H)^+$ or sodium adducts $(M+Na)^+$ were used for empirical formula confirmation. All reactions are stirred magnetically unless otherwise specified. Short packed column chromatography was performed with E. Merck silica gel 60 (230–400 mesh) or SORBENT silica gel 30-60 µm. Flash column chromatography was performed with Isolera™ Prime advanced automatic flash purification system. Analytical thin layer chromatography (TLC) was performed using Merck silica gel 60 F254 pre-coated plates (0.25 mm). The reactions were conducted in sealed 5.0 mL quartz tubes. The experiments under visible light were performed using 40 W compact fluorescent lamp (CFL) equipped with a cooling fan for efficient temperature maintenance, and the reactions were conducted in sealed tubes. All the reactions were conducted under inert atmosphere unless otherwise noted.

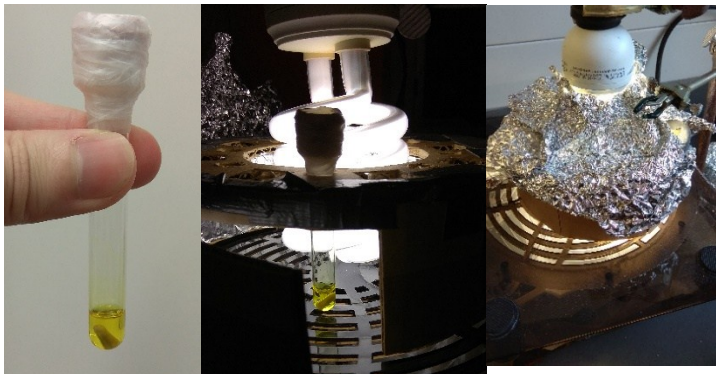
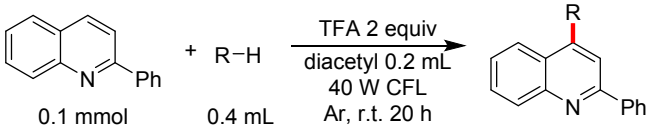
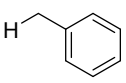


Figure S1. The 40 W CFL reaction setup.

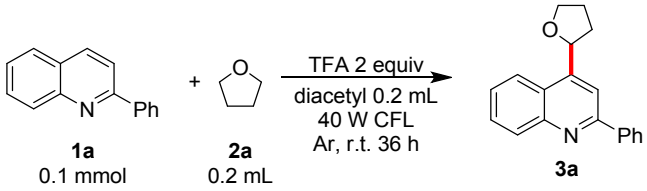
Table S1. Preliminary studies of diacetyl-enabled CDC reaction



R−H	yield (%)
	26
	<5
	<5

2. General procedures

2-1. CDC of heteroarenes and ethers



Method A: The preparation of **3a** is representative and applicable to all the coupling of heteroarenes and ethers unless otherwise noted. To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1a** (0.1 mmol), ether **2a** (0.2 mL), TFA (0.2 mmol, 15.3 μL) and diacetyl (~2.3 mmol, 0.2 mL). The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 36-48 h, as the time indicated. After the reaction was completed or after 48 h, the reaction was basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product was obtained by preparative thin layer chromatography.

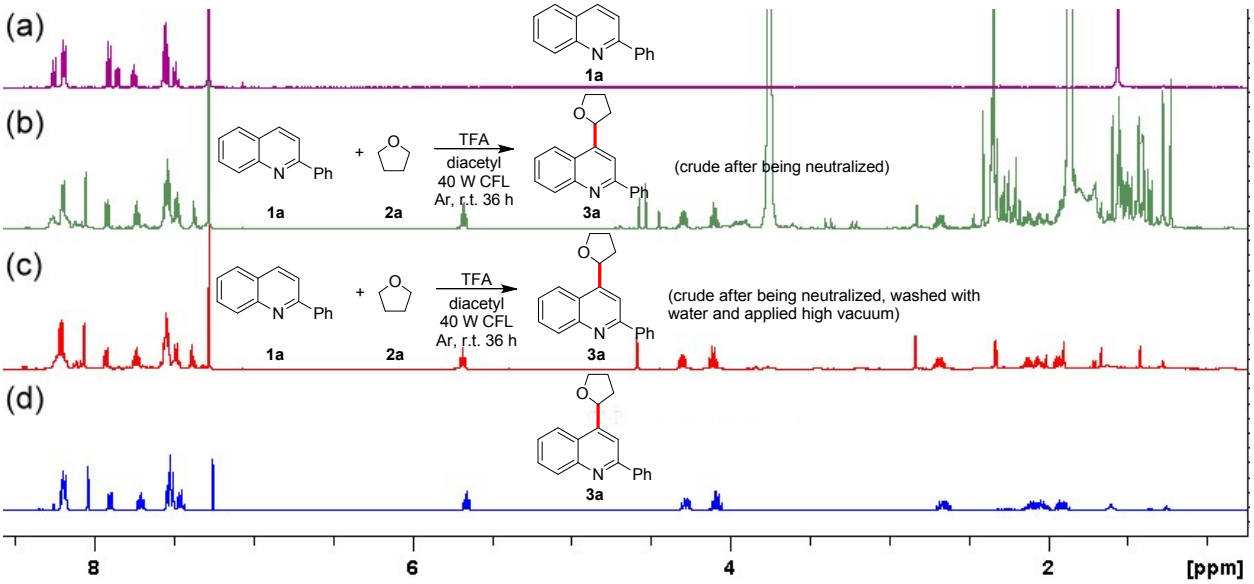


Figure S2. NMR spectra of (a) starting material **2a**, (b) crude **3a** after being neutralized, (c) crude **3a** after being neutralized, washed with water and applied high vacuum, and (d) pure **3a**.

For the gram-scale reaction, a 50 mL round bottom flask was equipped with Teflon-coated magnetic stirring bar, heteroarene **1a** (1 g, 47.8 mmol), ether **2a** (9.6 mL) and diacetyl (9.6 mL). The reaction mixture was sealed with a rubber septum, purged with argon for 30 min, then TFA (0.2 mmol, 0.73 mL) was added via syringe. The reaction mixture was then stirred at room temperature under irradiation of two 40 W compact fluorescent lamps (CFL) for 120 h. After the reaction was completed, the reaction was basified

with sat $NaHCO_3$, extracted with EtOAc, wash with water, dried with $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product was obtained by column chromatography.

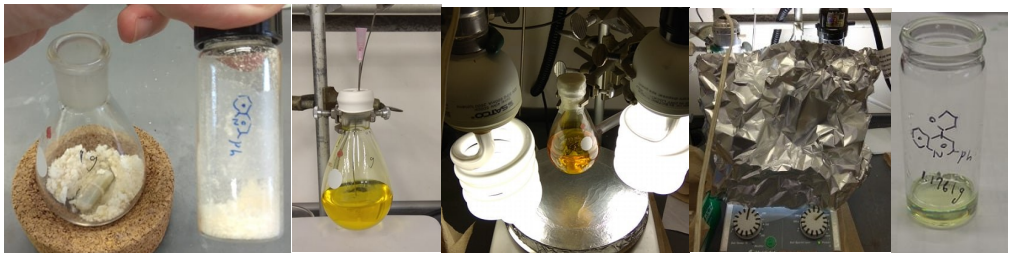
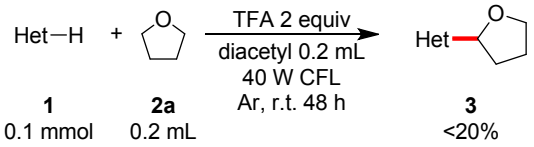
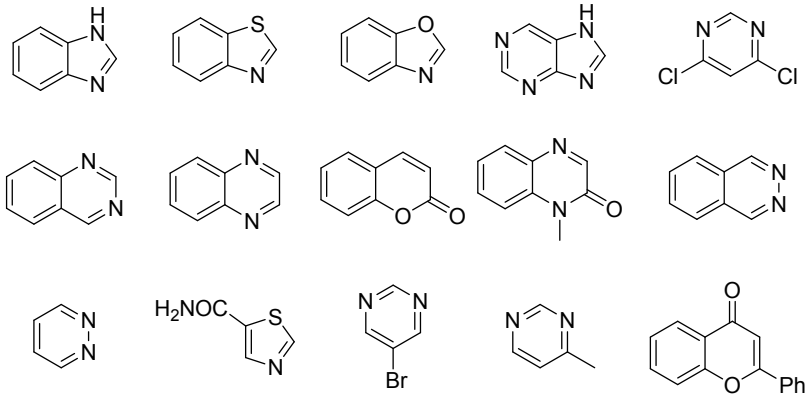
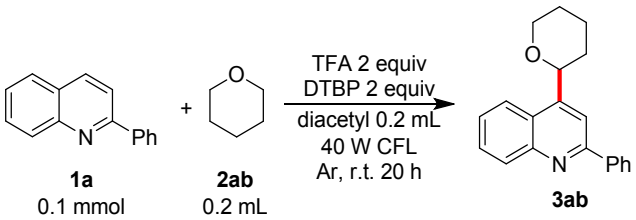


Figure S3. Gram-scale synthesis of quinoline **3a**.





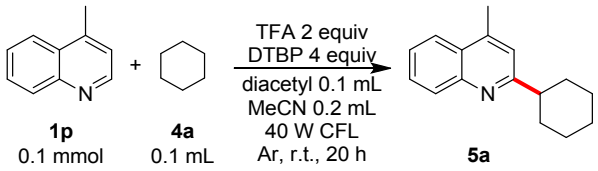
Scheme S1. Unsuccessful substrates with Method A.



Method B: The preparation of **3z** is representative and applicable to the coupling of heteroarenes and ethers that Method A was not suitable. To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1a** (0.1 mmol), ether **2ab** (0.2 mL), TFA (0.2 mmol, 15.3 μL), DTBP (0.2 mmol, 36.6 μL) and diacetyl (~2.3 mmol, 0.2 mL). The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for

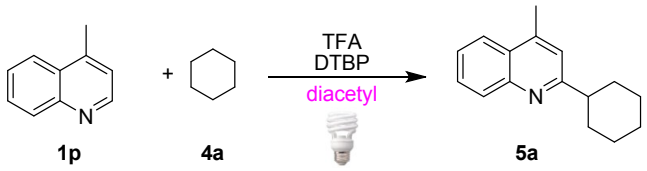
three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 20 h. The reaction mixture was then basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product was obtained by preparative thin layer chromatography.

2-2. CDC of heteroarenes and alkanes



Method C: The preparation of **5a** is representative and applicable to all the coupling of heteroarenes and α-C-unactivated alkanes unless otherwise noted. To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1p** (0.1 mmol), MeCN (0.2 mL), TFA (0.2 mmol, 15.3 μL), alkane **4a** (0.1 mL), diacetyl (~ 11.5 mmol, 0.1 mL) and DTBP (0.4 mmol, 73 μL) in sequence. The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times, and then then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 20 h. The reaction mixture was then basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product was obtained by preparative thin layer chromatography or flash column chromatography.

Table S2. Optimization for the coupling of 4-methylquinoline and cyclohexane.

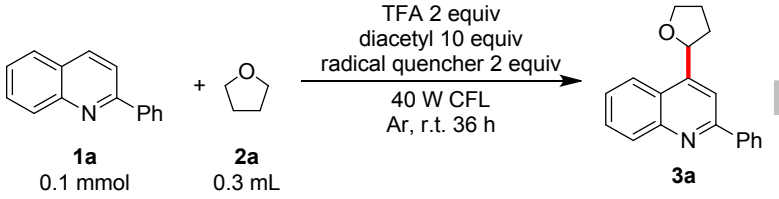


Entry[a]	**4a** (mL)	diacetyl (mL)	cosolvent	**1p** (%)[b]	**5a** (%)[b]
1[c]	0.2	0.2	-	83	6
2	0.2	0.2	-	20	54
3	0.1	0.2	-	16	56
4	0.2	0.1	-	14	48
5	0.1	0.1	-	9	57
6	0.1	0.1	MeOH	35	35
7	0.1	0.1	DCM	23	56
8	0.1	0.1	AcOH	-	82
9	0.1	0.1	acetone	-	80
10	0.1	0.1	EtOAc	-	83
11	0.1	0.1	MeCN	-	87 (84)
12[d]	0.1	0.1	MeCN	10	78

13[e]	0.1	0.1	MeCN	-	86
14[f]	0.4	0.1	-	>99	-

[a] All reactions were conducted with 0.1 mmol of **1p**, **4a**, 2 equiv of acid, 4 equiv of DTBP, diacetyl, 0.2 mL of cosolvent, and 40 W CFL at room temperature under argon for 20 h unless otherwise noted. [b] The yield was determined by ^{1}H NMR using mesitylene as the internal standard. Isolated yield in parenthesis. [c] No DTBP. [d] 2 equiv of DTBP was used. [e] The reaction was conducted at 4 °C for 24 h. [f] The reaction was heated to 70 °C in the dark.

2-3. Radical quenching experiments

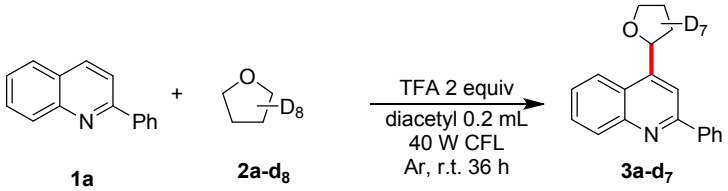


1a 0.1 mmol + **2a** 0.3 mL → **3a**

entry	additive (equiv)	**1a** (%)	**3a** (%)
1	no	18	81
2	TEMPO (2)	87	5
3	BHT (2)	92	trace

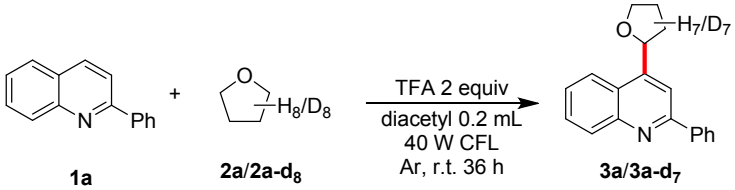
To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1a** (0.1 mmol), ether **2a** (0.3 mL), TFA (0.2 mmol, 15.3 μL), diacetyl (1 mmol, 87 μL), and radical quencher (TEMPO or BHT, 0.2 mmol). The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 36 h, then basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The yields were determined by NMR spectroscopy. The suppress of the product formation implying radical formation is involved.

2-4. KIE experiments



1a 0.1 mmol + **2a-d$_8$** 0.2 mL → **3a-d$_7$** 28%

The preparation of **3a-d$_7$** followed the general procedure Method A using heteroarene **1a** and deuterated ether **2a-d$_8$** as the starting materials. The isolated product was obtained by preparative thin layer chromatography.



1a 0.1 mmol + **2a/2a-d$_8$** 0.1 mL / 0.1 mL → **3a/3a-d$_7$** 0.8:0.2

To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1a** (0.1 mmol), ether **2a** (0.1 mL), deuterated ether **2a-d$_8$** (0.1 mL), TFA (0.2 mmol, 15.3 μL) and diacetyl (~2.3 mmol, 0.2 mL). The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 36 h, then basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product mixture was obtained by preparative thin layer chromatography. Prominent isotope effect (k_H/k_D = 4) indicates that αC–H homocleavage of THF is the rate-determine-step.

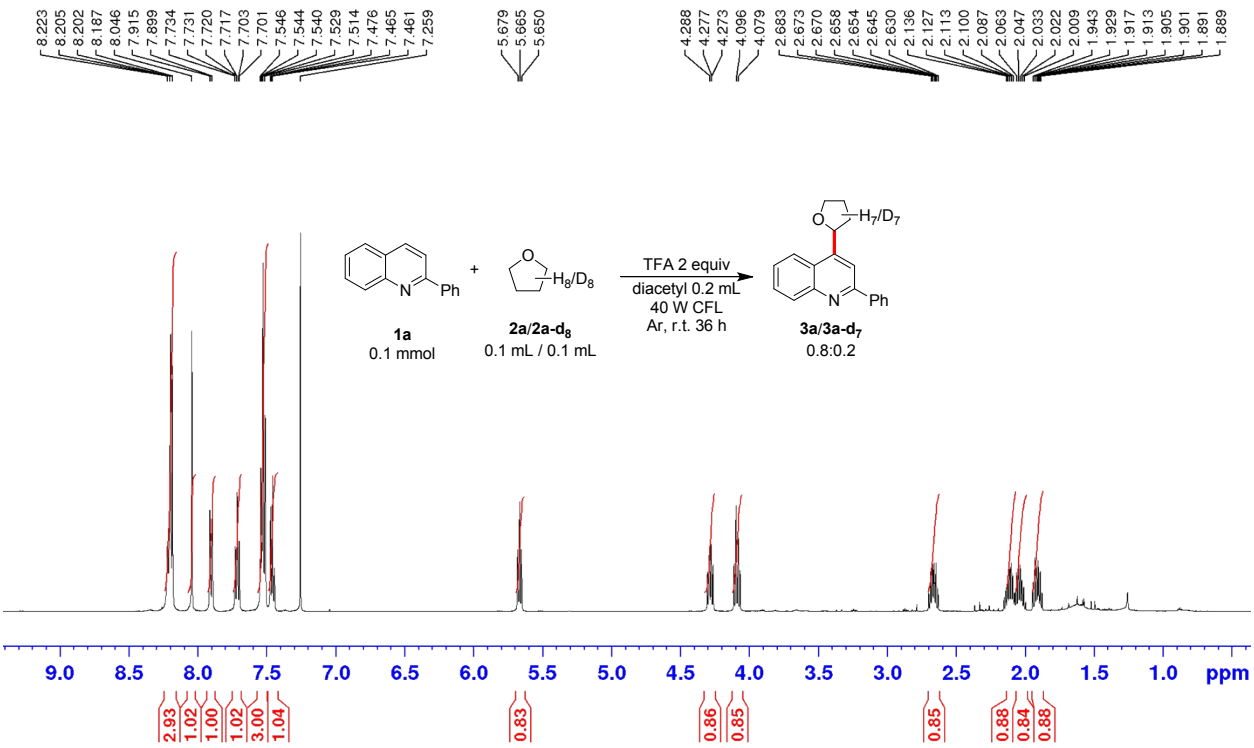
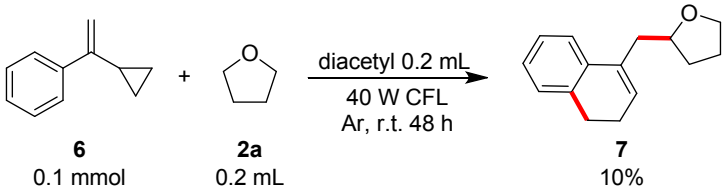


Figure S4. Purified isotope mixtures of 3a and 3a-d$_7$.

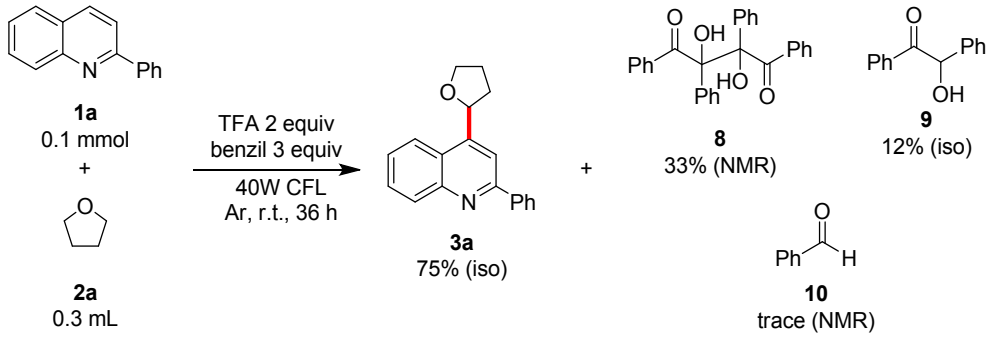
2-5. Radical clock experiments



To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added ethylene **6** (0.1 mmol), ether **2a** (0.2 mL), and diacetyl (~2.3 mmol, 0.2 mL). The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 48 h. The solvent was then evaporated to obtain the crude

product. The isolated product **7** was obtained by preparative thin layer chromatography. This result indicates that the alkyl radical is generated in the reaction.

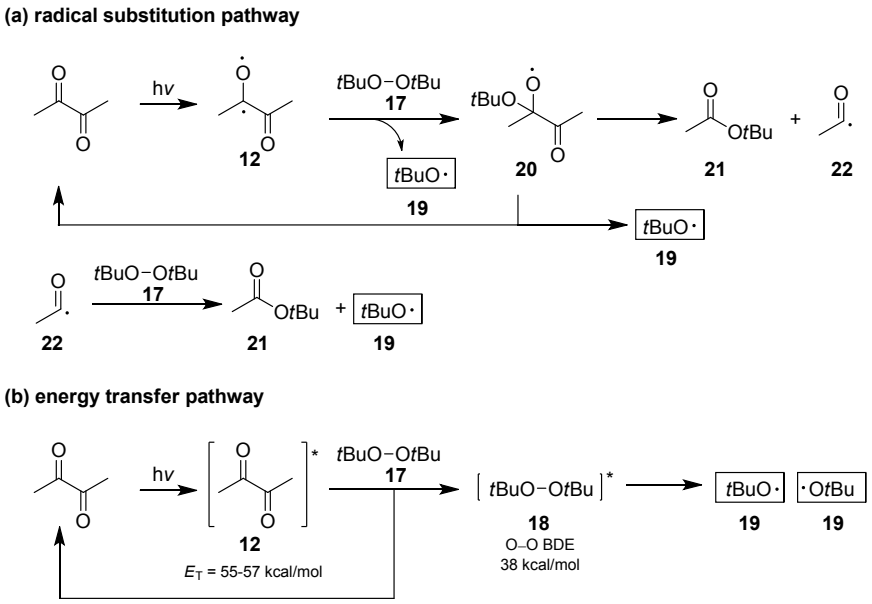
2-6. Identifying the role of the acyl radical



To a glass tube (Fisherbrand Disposable Culture Tubes 10 mm X 75 mm) equipped with Teflon-coated magnetic stirring bar were added heteroarene **1a** (0.1 mmol, 20.5 mg), benzil (0.3 mmol, 63.1 mg), TFA (0.2 mmol, 15.3 μL), and ether **2a** (0.3 mL) in sequence. The reaction mixture was sealed with a rubber septum, evacuated by freeze-pump-thaw and back-filled with argon for three times. The reaction mixture was then stirred at room temperature under irradiation of 40 W compact fluorescent lamp (CFL) for 36 h. The crude solution was directly analyzed by GC-MS and NMR using mesitylene as the internal standard. Only trace amount of benzaldehyde (**10**) could be observed from the spectra. For the isolation of each compound, the reaction was basified with sat $NaHCO_3$, extracted with EtOAc, filtered through a short pad of $MgSO_4$ and evaporated the organic solvent to obtain the crude product. The isolated product **3a** and by-product **9** were obtained by preparative thin layer chromatography. The by-product **8** was isolated as a mixture with benzil for its lability and separation difficulty, and then identified by NMR spectra and HRMS. This result suggests that the acyl radical derived from diketone might not be the major hydrogen atom abstractor to generate the alkyl radical, while diketone diradical is the main hydrogen atom abstractor.

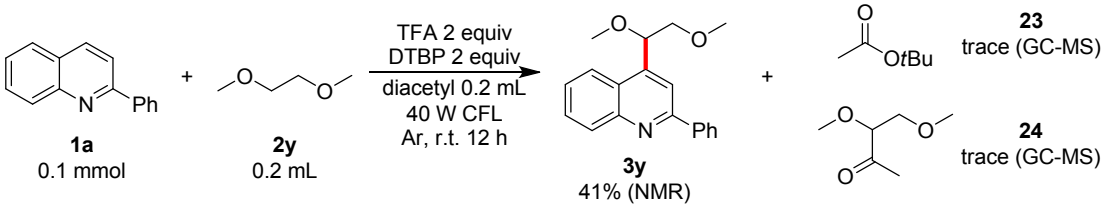
2-7. Plausible diacetyl-enabled DTBP cleavage mechanisms

To elucidate the mechanism of DTBP-assisted alkylation, herein we proposed two plausible interactions between diacetyl and DTBP which might generate the active *tert*-butyl oxy radical for hydrogen abstractions. In Scheme S5a, triplet state diacetyl (**12**) might undergo hemolytic substitution on DTBP (**17**) to release an equivalent of *tert*-butyl oxy radical (**19**) and an oxy intermediate **20**, which could cleave into *tert*-butyl acetate (**21**) and acetyl radical (**22**), or *tert*-butyl oxy radical (**19**) and diacetyl. The acetyl radical is not a good hydrogen atom abstractor in the reactions (see Scheme 2d), yet it could further react with another equivalent of DTBP (**17**) to generate *tert*-butyl oxy radical (**19**). On the other hand, triplet state diacetyl (**12**) could simply be an energy transferrer, which transferred its energy to DTBP and accelerated the homocleavage process (Scheme S5b).



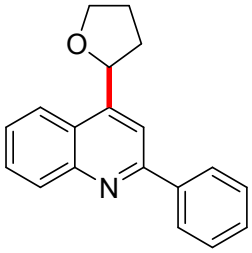
Scheme S5. Plausible pathways to generate the *tert*-butyl oxy radical.

There was no simple experimental method to eliminate the energy transfer pathway, however, we could test the route of radical substitution by analyzing the amount of *tert*-butyl acetate (**23**) generated from the reaction. As a result, a general procedure to synthesize substrate **3y** was conducted (Scheme S6). The reaction was run for 12 h, which was then quenched with 3 equiv of sat $NaHCO_3$. The resulting organic layer was filtered through a short pad of $MgSO_4$ and analyzed by GC-MS. Only trace amount of *tert*-butyl acetate (**23**) was observed from the spectrum, so was the ether derivative **24**. The crude solutions were then extracted with EtOAc and combined, concentrated under reduced pressure, and 41% of the alkylated quinoline **3y** was determined by ^{1}H-NMR using mesitylene as the internal standard. Although we could not totally exclude the radical substitution pathway, the experimental results suggested that the cleavage of DTBP might be more favorable through diacetyl-enabled energy transfer.

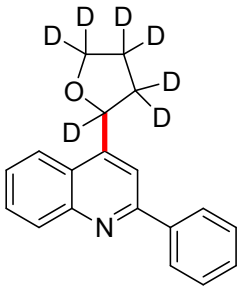


Scheme S6. Side-product analysis of DTBP-assisted reaction.

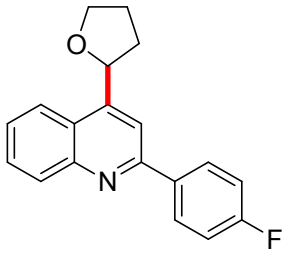
3. Characterization data for compounds



2-phenyl-4-(tetrahydrofuran-2-yl)quinoline (3a). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (23.7 mg, 86%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23-8.16 (m, 3H), 8.05 (s, 1H), 7.91 (d, J = 8.4 Hz, 1H), 7.74-7.68 (m, 1H), 7.56-7.49 (m, 3H), 7.49-7.42 (m, 1H), 5.56 (J = 7.1 Hz, 1H), 4.31-4.25 (m, 1H), 4.14-4.05 (m, 1H), 2.72-2.61 (m, 1H), 2.18-1.98 (m, 2H), 1.96-1.85 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 150.1, 148.6, 140.2, 130.8, 129.4, 129.3, 129.0, 127.9, 126.2, 124.8, 123.2, 114.5, 69.3, 34.2, 26.1. Spectra data are consistent with the reported literatures.[6,8]

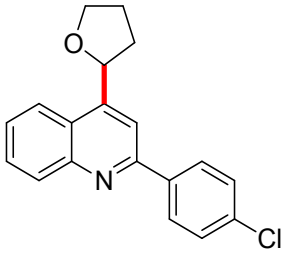


2-phenyl-4-(heptadeuterotetrafuran-2-yl)quinoline (3a-d$_7$). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (7.9 mg, 28%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24-8.16 (m, 3H), 8.05 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.74-7.69 (m, 1H), 7.56-7.50 (m, 3H), 7.49-7.44 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 130.8, 129.5, 129.3, 129.0, 127.9, 126.3, 124.8, 123.2, 114.6. HRMS Calcd for $C_{19}H_{11}D_7NO$ [M+H$^+$]: 283.1822, found: 283.1831.

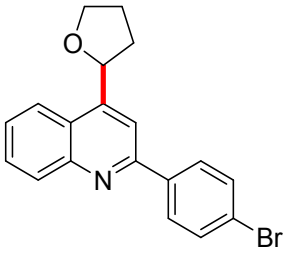


2-(4-fluorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3b). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (15.9 mg, 54%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23-8.15 (m, 3H), 8.00 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.75-7.69 (m, 1H), 7.56-7.51 (m, 1H), 7.23-7.17 (m, 2H), 5.65 (t, J = 7.1 Hz, 1H), 4.31-4.25

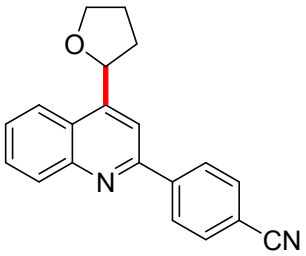
(m, 1H), 4.09 (q, J = 7.5 Hz, 1H), 2.71-2.63 (m, 1H), 2.17-1.98 (m, 2H), 1.94-1.85 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 164.0 (d, J = 249 Hz), 156.5, 150.4, 148.5, 136.2, 130.7, 129.8, 129.7, 129.5, 126.3, 124.6, 123.2, 115.9 (d, J = 22 Hz), 114.1, 69.3, 34.2, 26.2. HRMS Calcd for $C_{19}H_{27}FNO$ [M+H$^+$]: 294.1289, found: 294.1283.



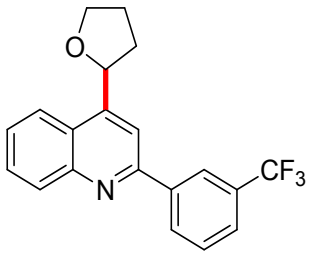
2-(4-chlorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3c). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (20.7 mg, 67%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21-8.13 (m, 3H), 8.01 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.74-7.69 (m, 1H), 7.56-7.51 (m, 1H), 7.49 (d, J = 8.7 Hz, 2H), 5.65 (t, J = 7.1 Hz, 1H), 4.32-4.23 (m, 1H), 4.08 (q, J = 7.5 Hz, 1H), 2.771-2.63 (m, 1H), 2.17-1.99 (m, 2H), 1.94-1.84 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 156.2, 150.4, 148.5, 138.5, 135.7, 130.7, 129.5, 129.1, 126.5, 124.8, 123.2, 114.0, 77.2, 69.3, 34.2, 26.2. HRMS Calcd for $C_{19}H_{17}ClNO$ [M+H$^+$]: 310.0993, found: 310.0990.



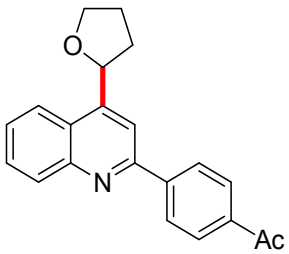
2-(4-bromophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3d). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (26.1 mg, 74%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (d, J = 8.4 Hz, 1H), 8.09 (d, J = 8.6 Hz, 2H), 8.01 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.75-7.70 (m, 1H), 7.65 (d, J = 8.6 Hz, 2H), 7.57-7.51 (m, 1H), 5.65 (t, J = 7.2 Hz, 1H), 4.31-4.42 (m, 1H), 4.09 (q, J = 7.5 Hz, 1H), 2.71-2.63 (m, 1H), 2.17-1.98 (m, 2H), 1.93-1.85 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 156.3, 150.5, 148.5, 138.9, 132.1, 130.7, 129.5, 129.4, 126.5, 124.8, 124.1, 123.3, 114.0, 69.2, 34.3, 26.3. HRMS Calcd for $C_{19}H_{17}BrNO$ [M+H$^+$]: 354.0488, found: 354.0486.



4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)benzonitrile (3e). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless solid (11.4 mg, 38%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.5 Hz, 2H), 8.20 (d, *J* = 8.2 Hz, 1H), 8.06 (s, 1H), 7.92 (d, *J* = 7.8 Hz, 1H), 7.81 (d, *J* = 8.5 Hz, 2H), 7.78-7.73 (m, 1H), 7.61-7.56 (m, 1H), 5.65 (t, *J* = 7.3 Hz, 1H), 4.32-4.28 (m, 1H), 4.09 (q, *J* = 7.5 Hz, 1H), 2.74-2.64 (m, 1H), 2.18-2.00 (m, 2H), 1.92-1.84 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 155.0, 150.8, 148.3, 144.0, 132.5, 130.8, 129.6, 128.2, 126.9, 124.9, 123.1, 118.9, 113.9, 112.7, 76.9, 69.0, 34.1, 26.1. HRMS Calcd for $C_{20}H_{17}N_2O$ [M+H$^+$]: 301.1335, found: 301.1330.

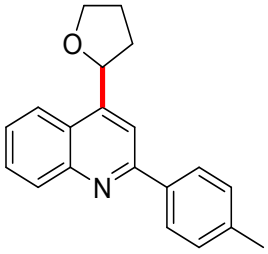


4-(tetrahydrofuran-2-yl)-2-(3-(trifluoromethyl)phenyl)quinoline (3f). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (15.1 mg, 44%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.49 (s, 1H), 8.39 (d, *J* = 7.8 Hz, 1H), 8.22 (d, *J* = 8.4 Hz, 1H), 8.06 (s, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.77-7.70 (m, 2H), 7.67-7.62 (m, 1H), 7.59-7.55 (m, 1H), 5.67 (t, *J* = 7.2 Hz, 1H), 4.34-4.28 (m, 1H), 4.10 (q, *J* = 7.5 Hz, 1H), 2.73-2.64 (m, 1H), 2.18-2.01 (m, 2H), 1.95-1.86 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 150.8, 149.0, 140.8, 131.4 (q, *J* = 32 Hz), 131.0, 130.6, 129.6, 129.4, 126.7, 126.0 (q, *J* = 4 Hz), 124.9, 124.7 (q, *J* = 4 Hz), 124.4 (q, *J* = 272 Hz), 123.3, 114.1, 69.3, 34.3, 26.3. HRMS Calcd for$C_{20}H_{17}F_3NO$ [M+H$^+$]: 344.1257, found: 344.1256.

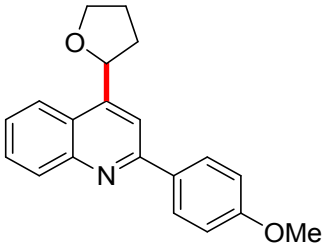


1-(4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)phenyl)ethanone (3g). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless gum (12.1 mg, 38%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.31 (d, *J* = 8.5 Hz, 2H), 8.22 (d, *J* = 8.4 Hz, 1H), 8.11 (d, *J* = 8.5 Hz, 2H), 8.09 (s, 1H), 7.92 (d, *J* = 7.7 Hz, 1H), 7.77-7.72 (m, 1H), 7.59-7.54

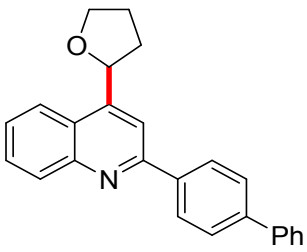
(m, 1H), 5.67 (t, J = 7.2 Hz, 1H), 4.32-4.67 (m, 1H), 4.10 (q, J = 7.5 Hz, 1H), 2.73-2.64 (m, 4H), 2.18-2.00 (m, 2H), 1.95-1.86 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 198.2, 156.2, 150.6, 148.6, 144.3, 137.6, 130.9, 129.6, 129.0, 128.0, 126.8, 125.0, 123.3, 114.5, 69.3, 34.3, 27.0, 26.3. HRMS Calcd for $C_{21}H_{20}NO_2$ [M+H$^+$]: 318.1489, found: 318.1484.



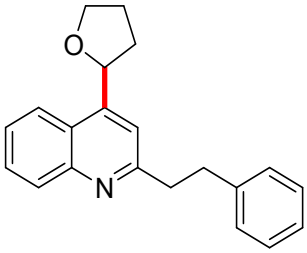
4-(tetrahydrofuran-2-yl)-2-(p-tolyl)quinoline (3h). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (20.6 mg, 71%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (d, J = 8.4 Hz, 1H), 8.10 (d, J = 8.2 Hz, 2H), 8.02 (s, 1H), 7.89 (d, J = 8.3 Hz, 1H), 7.73-7.68 (m, 1H), 7.54-7.49 (m, 1H), 7.33 (d, J = 8.2 Hz, 2H), 5.65 (t, J = 7.1 Hz, 1H), 4.31-4.25 (m, 1H), 4.08 (q, J = 7.5 Hz, 1H), 2.70-2.60 (m, 1H), 2.44 (s, 3H), 2.16-1.98 (m, 2H), 1.96-1.86 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.5, 150.0, 148.6, 139.5, 137.3, 130.7, 129.7, 129.3, 127.7, 126.1, 124.7, 123.2, 114.4, 69.3, 34.2, 26.2, 21.6. HRMS Calcd for $C_{20}H_{20}NO$ [M+H$^+$]: 290.1539, found: 290.1541.



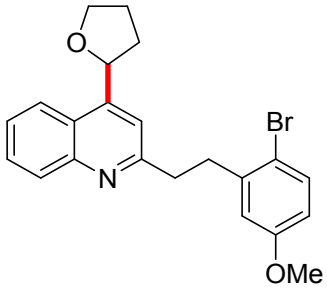
2-(4-methoxyphenyl)-4-(tetrahydrofuran-2-yl)quinoline (3i). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a yellow oil (10.4 mg, 34%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24-8.17 (m, 3H), 8.03 (s, 1H), 7.90 (d, J = 8.4 Hz, 1H), 7.74-7.69 (m, 1H), 7.56-7.50 (m, 1H), 7.07 (d, J = 8.9 Hz, 2H), 5.67 (t, J = 7.1 Hz, 1H), 4.33-4.27 (m, 1H), 4.11 (q, J = 7.5 Hz, 1H), 3.9 (s, 3H), 2.73-2.63 (m, 1H), 2.18-2.01 (m, 2H), 1.96-1.88 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 161.1, 157.1, 130.4, 129.4, 129.3, 126.0, 124.5, 123.2, 114.4, 114.1, 69.3, 55.6, 34.2, 26.2. HRMS Calcd for $C_{20}H_{20}NO_2$ [M+H$^+$]: 306.1489, found: 306.1481.



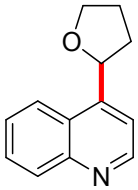
2-([1,1'-biphenyl]-4-yl)-4-(tetrahydrofuran-2-yl)quinoline (3j). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless gum (17.6 mg, 50%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.29 (d, *J* = 8.5 Hz, 2H), 8.23 (d, *J* = 8.4 Hz, 1H), 8.10 (s, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.5 Hz, 2H), 7.75-7.71 (m, 1H), 7.71-7.67 (m, 2H), 7.57-7.52 (m, 1H), 7.50-7.46 (m, 2H), 7.41-7.36 (m, 1H), 5.68 (t, *J* = 7.1 Hz, 1H), 4.33-4.27 (m, 1H), 4.10 (q, J = 7.5 Hz, 1H), 2.72-2.63 (m, 1H), 2.17-2.00 (m, 2H), 1.97-1.88 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.1, 150.2, 148.6, 142.2, 140.9, 139.0, 130.8, 129.4, 129.0, 128.3, 127.8, 127.7, 127.4, 126.3, 124.8, 123.2, 114.4, 69.3, 34.2, 26.2. HRMS Calcd for $C_{25}H_{22}NO$ [M+H$^+$]: 352.1696, found: 352.1690.



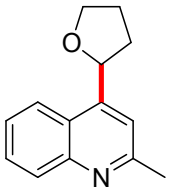
2-phenethyl-4-(tetrahydrofuran-2-yl)quinoline (3k). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (20.1 mg, 66%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 1H), 7.72-7.65 (m, 1H), 7.53-7.47 (m, 1H), 7.37 (s, 1H), 7.31-7.24 (m, 4H), 7.21-7.16 (m, 1H), 5.58 (t, *J* = 7.1 Hz, 1H), 4.19-4.11 (m, 1H), 4.02 (q, *J* = 7.5 Hz, 1H), 3.32-3.26 (m, 2H), 3.22-3.09 (m, 2H), 2.64-2.55 (m, 1H), 2.11-2.00 (m, 1H), 2.00-1.90 (m, 1H), 1.86-1.76 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 162.1, 149.5, 148.3, 141.8, 129.9, 129.1, 128.8, 128.6, 126.1, 125.8, 124.4, 123.2, 117.0, 77.0, 69.2, 41.4, 36.2, 34.1, 26.1. HRMS Calcd for $C_{21}H_{22}NO$ [M+H$^+$]: 304.1696, found: 304.1683.



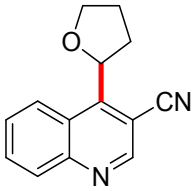
2-(2-bromo-5-methoxyphenethyl)-4-(tetrahydrofuran-2-yl)quinoline (3l). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (21.4 mg, 52%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 1H), 7.70-7.66 (m, 1H), 7.53-7.47 (m, 1H), 7.42 (d, *J* = 8.7 Hz, 1H), 7.39 (s, 1H), 6.79 (d, *J* = 3.0 Hz, 1H), 6.63 (dd, *J* = 8.7, 3.0 Hz, 1H), 5.58 (t, *J* = 7.1 Hz, 1H), 4.19-4.13 (m, 1H), 4.03 (q, *J* = 7.5 Hz, 1H), 3.69 (s, 3H), 3.31-3.17 (m, 4H), 2.63-2.55 (m, 1H), 2.11-1.91 (m, 2H), 1.86-1.78 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 161.7, 159.1, 149.6, 148.2, 142.0, 133.4, 129.9, 129.1, 125.8, 124.4, 123.3, 117.0, 116.1, 115.1, 114.0, 77.0, 69.2, 55.5, 39.4, 36.6, 34.1, 26.1. HRMS Calcd for $C_{22}H_{23}BrNO_2$ [M+H$^+$]: 412.0907, found: 412.0924.



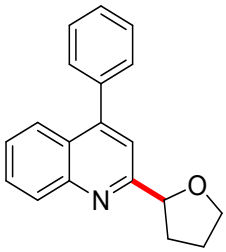
4-(tetrahydrofuran-2-yl)quinoline (3m). Following the general procedure Method A using 0.1 mL of diacetyl and 0.2 mL of MeCN, the product was isolated by preparative TLC with Hex/EtOAc (5:2) as the eluent to give a colorless oil (8.0 mg, 40%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.92 (d, J = 4.5 Hz, 1H), 8.17 (d, J = 8.1 Hz, 1H), 7.95 (d, J = 8.5 Hz, 1H), 7.77-7.71 (m, 1H), 7.61-7.56 (m, 2H), 5.65 (t, J = 7.1 Hz, 1H), 4.29-4.22 (m, 1H), 4.12-4.04 (m, 1H), 2.70-2.60 (m, 1H), 2.17-1.98 (m, 2H), 1.94-1.85 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.7, 149.7, 148.3, 130.5, 129.2, 126.6, 125.8, 123.4, 116.7, 69.2, 34.2, 26.2. Spectra data are consistent with the reported literatures.[10]



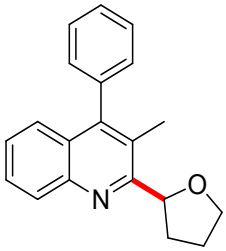
2-methyl-4-(tetrahydrofuran-2-yl)quinoline (3n). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (11.1 mg, 52%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04 (d, J = 8.4 Hz, 1H), 7.84 (d, J = 8.4 Hz, 1H), 7.70-7.63 (m, 1H), 7.52-7.45 (m, 1H), 7.44 (s, 1H), 5.58 (t, J = 7.1 Hz, 1H), 4.27-4.20 (m, 1H), 4.04 (q, J = 7.5 Hz, 1H), 2.74 (s, 3H), 2.67-2.55 (m, 1H), 2.15-1.95 (m, 2H), 1.90-1.80 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 149.2, 148.0, 129.5, 128.9, 125.5, 123.9, 123.0, 117.2, 69.0, 33.9, 26.0, 25.6. Spectra data are consistent with the reported literatures.[2,3]



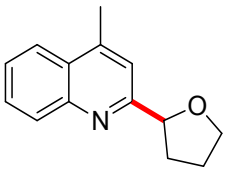
4-(tetrahydrofuran-2-yl)quinoline-3-carbonitrile **(3o)**. Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.25) as the eluent to give a colorless solid (8.1 mg, 36%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.18 (d, J = 8.5 Hz, 1H), 8.12 (d, J = 8.3 Hz, 1H), 7.88-7.83 (m, 1H), 7.69-7.64 (m, 1H), 5.81 (t, J = 7.6 Hz, 1H), 4.51-4.45 (m, 1H), 4.15-4.07 (m, 1H), 2.68-2.60 (m, 1H), 2.25-2.15 (m, 2H), 2.03-1.56 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 152.0, 148.8, 132.2, 130.9, 128.3, 124.5, 124.0, 117.4, 104.0, 69.8, 35.3, 26.9. HRMS Calcd for $C_{14}H_{12}N_2NaO$ [M+Na$^+$]: 247.0842, found: 247.0840.



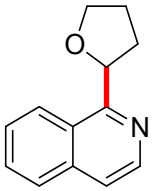
4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3p). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.1) as the eluent to give a colorless oil (22.9 mg, 83%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.13 (d, J = 8.4 Hz, 1H), 7.89 (d, J = 8.4 Hz, 1H), 7.73-7.67 (m, 1H), 7.57-7.44 (m, 7H), 5.22 (t, J = 7.1 Hz, 1H), 4.19-4.13 (m, 1H), 4.07-4.00 (m, 1H), 2.60-2.51 (m, 1H), 2.18-2.00 (m, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 163.3, 149.4, 148.2, 138.6, 129.8, 129.5, 128.7, 128.5, 126.3, 126.2, 126.0, 118.4, 82.3, 69.5, 33.7, 26.2. HRMS Calcd for $C_{19}H_{18}NO$ [M+H$^+$]: 276.1383, found: 276.1384.



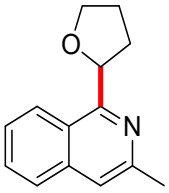
3-methyl-4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3q). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.1) as the eluent to give a colorless oil (12.2 mg, 42%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (d, J = 8.4 Hz, 1H), 7.63-7.57 (m, 1H), 7.55-7.49 (m, 2H), 7.49-7.44 (m, 1H), 7.37-7.28 (m, 2H), 7.26-7.21 (m, 2H), 5.39 (t, J = 7.0 Hz, 1H), 4.22 (q, J = 7.3 Hz, 1H), 4.05-3.97 (m, 1H), 2.60-2.51 (m, 1H), 2.38-2.30 (m, 1H), 2.28 (s, 3H), 2.27-2.18 (m, 1H), 2.14-2.03 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.8, 147.5, 145.9, 137.9, 129.8, 129.6, 129.6, 128.8, 128.7, 128.2, 127.9, 127.5, 127.0, 126.2, 126.1, 79.9, 69.1, 30.3, 26.3, 16.3. HRMS Calcd for $C_{20}H_{20}NO$ [M+H$^+$]: 290.1539, found: 290.1542.



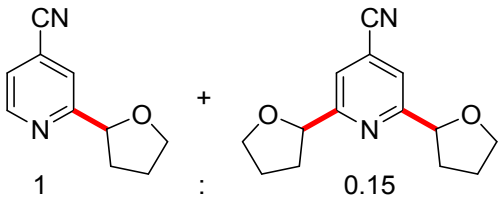
4-methyl-2-(tetrahydrofuran-2-yl)quinoline (3r). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (13.6 mg, 64%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (d, J = 8.4 Hz, 1H), 7.97 (d, J = 8.4 Hz, 1H), 7.71-7.65 (m, 1H), 7.55-7.49 (m, 1H), 7.44 (s, 1H), 5.13 (t, J = 7.0 Hz, 1H), 4.21-4.14 (m, 1H), 4.07-4.00 (m, 1H), 2.71 (s, 3H), 2.55-2.47 (m, 1H), 2.11-1.99 (m, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 163.3, 147.6, 145.1, 129.8, 129.3, 127.7, 126.0, 123.9, 118.8, 82.3, 69.5, 33.5, 26.2, 19.1. Spectra data are consistent with the reported literatures.[1,2,3,7]



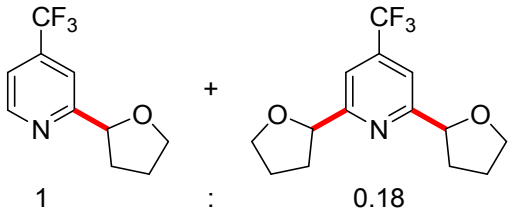
1-(tetrahydrofuran-2-yl)isoquinoline (3s). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (14.5 mg, 73%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.50 (d, J = 5.7 Hz, 1H), 8.34 (d, J = 8.5 Hz, 1H), 7.83 (d, J = 8.1 Hz, 1H), 7.71-7.65 (m, 1H), 7.64-7.56 (m, 2H), 5.72 (t, J = 7.1 Hz, 1H), 4.19 (q, J = 7.3 Hz, 1H), 4.08-4.00 (m, 1H), 2.58-2.47 (m, 1H), 2.46-2.36 (m, 1H), 2.23-2.06 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.8, 141.8, 136.7, 130.0, 127.5, 127.3, 126.8, 125.5, 120.7, 79.3, 69.2, 31.0, 26.4. Spectra data are consistent with the reported literatures.[1,2]



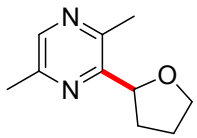
3-methyl-1-(tetrahydrofuran-2-yl)isoquinoline (3t). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (7.5 mg, 35%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.31 (d, J = 8.5 Hz, 1H), 7.72 (d, J = 8.3 Hz, 1H), 7.63-7.58 (m, 1H), 7.53-7.48 (m, 1H), 7.40 (s, 1H), 5.65 (t, J = 7.2 Hz, 1H), 4.23-4.17 (m, 1H), 4.05-3.99 (m, 1H), 2.68 (s, 3H), 2.59-2.51 (m, 1H), 2.41-2.32 (m, 1H), 2.23-2.05 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 150.4, 137.6, 129.8, 126.9, 126.2, 125.5, 124.9, 118.6, 80.0, 69.1, 30.9, 26.3, 24.6. Spectra data are consistent with the reported literature.[3]



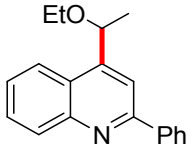
2-(tetrahydrofuran-2-yl)isonicotinonitrile (3u) and 2,6-bis(tetrahydrofuran-2-ylisonicotinonitrile (3u'). Following the general procedure Method A using 20 equiv of TFA, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (8.3 mg, 44%, mono:di = 1:0.15). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.71 (d, J = 4.9 Hz, 1H), 7.72 (s, 1H), 7.56 (s, 0.3 H), 7.39 (dd, J = 4.9, 1.3 Hz, 1H), 5.08-4.99 (m, 1H+0.3 H), 4.15-4.06 (m, 1H+0.3H), 4.03-3.95 (m, 1H+0.3H), 2.51-2.41 (m, 1H+0.3H), 2.05-1.89 (m, 3H+0.9H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.5, 164.7, 150.1, 123.6, 121.8, 121.4, 121.1, 119.9, 117.4, 117.0, 80.9, 80.9, 69.5, 69.5, 33.3, 33.2, 25.9, 25.8. Spectra data are consistent with the reported literature.[3]



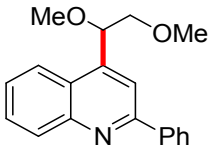
2-(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v) and 2,6-bis(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v'). Following the general procedure Method A using 20 equiv of TFA, the product was isolated by preparative TLC with Hex/EtOAc (5:1) as the eluent to give a colorless oil (9.1 mg, 40%, mono:di = 1:0.18). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.72 (s, 1H), 7.71 (s, 1H), 7.54 (s, 0.36H), 7.39 (d, *J* = 4.6 Hz, 1H), 5.12-5.03 (m, 1H+0.36H), 4.17-4.09 (m, 1H+0.36H), 4.04-3.96 (m, 1H+0.36H), 2.53-2.41 (m, 1H+0.36H), 2.06-1.90 (m, 3H+1.08H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.2, 164.6, 150.1, 138.9 (q, *J* = 34 Hz), 123.0 (q, *J* = 273 Hz), 117.9, 115.8, 114.0, 114.0, 81.3, 81.1, 69.5, 33.5, 33.3, 26.0, 25.9. HRMS Calcd for $C_{10}H_{10}F_3NONa$ [M+Na$^+$]: 240.0607, found: 240.0597. HRMS Calcd for $C_{14}H_{16}F_3NONa$ [M+Na$^+$]: 310.1025, found: 310.1015.



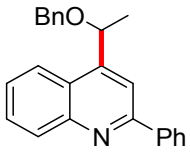
2,5-dimethyl-3-(tetrahydrofuran-2-yl)pyrazine **(3w)**. Following the general procedure Method A, the product was isolated by preparative TLC with Hex/EtOAc (5:2) as the eluent to give a colorless oil (5.7 mg, 32%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22 (s, 1H), 5.11 (t, *J* = 7.1 Hz, 1H), 4.15-4.08 (m, 1H), 3.97-3.91 (m, 1H), 2.59 (s, 3H), 2.51 (s, 3H), 2.27-2.21 (m, 2H), 2.19-2.10 (m, 1H), 2.10-1.98 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 153.1, 150.4, 148.9, 142.2, 78.6, 69.2, 30.5, 26.4, 21.4, 21.2. HRMS Calcd for $C_{10}H_{14}N_2NaO$ [M+Na$^+$]: 201.0998, found: 201.0990.



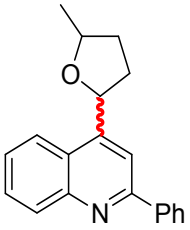
4-(1-ethoxyethyl)-2-phenylquinoline (3x). Following the general procedure Method A using 0.3 mL of ether, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (25.8 mg, 93%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.25-8.17 (m, 3H), 8.11 (d, *J* = 9.1 Hz, 1H), 8.00 (s, 1H), 7.74-7.69 (m, 1H), 7.57-7.51 (m, 3H), 7.50-7.44 (m, 1H), 5.20 (q, *J* = 6.5 Hz, 1H), 3.55-3.48 (m, 2H), 1.65 (d, *J* = 6.6 Hz, 3H), 1.28 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 150.6, 148.9, 140.0, 130.9, 129.5, 129.4, 129.0, 127.8, 126.3, 125.3, 123.1, 115.6, 74.8, 65.0, 23.7, 15.7. Spectra data are consistent with the reported literature.[1]



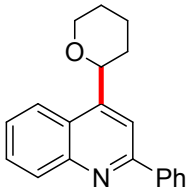
4-(1,2-dimethoxyethyl)-2-phenylquinoline (3y). Following the general procedure Method B, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (17.6 mg, 60%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.28-8.20 (m, 3H), 8.13 (d, J = 7.8 Hz, 1H), 8.04 (s, 1H), 7.79-7.74 (m, 1H), 7.62-7.54 (m, 3H), 7.53-7.48 (m, 1H), 5.25 (dd, J = 7.8, 3.0 Hz, 1H), 3.79-3.74 (m, 1H), 3.72-3.67 (m, 1H), 3.47 (s, 3H), 3.47 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.4, 148.8, 145.0, 139.7, 131.0, 129.7, 129.6, 129.1, 127.8, 126.7, 125.6, 122.8, 116.9, 80.3, 76.7, 59.6, 58.0. HRMS Calcd for $C_{19}H_{20}NO_2$ [M+H$^+$]: 294.1489, found: 294.1483.



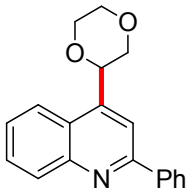
4-(1-(benzyloxy)ethyl)-2-phenylquinoline (3z). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless solid (10.9 mg, 32%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.26 (d, J = 8.4 Hz, 1H), 8.21 (d, J = 7.2 Hz, 2H), 8.11 (d, J = 8.4 Hz, 1H), 8.09 (s, 1H), 7.79-7.73 (m, 1H), 7.59-7.53 (m, 3H), 7.52-7.47 (m, 1H), 7.42-7.31 (m, 5H), 5.32 (q, J = 6.6 Hz, 1H), 4.64 (d, J = 11.9 Hz, 1H), 4.47 (d, J = 11.9 Hz, 1H), 1.71 (d, J = 6.6 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 150.1, 148.9, 138.9, 138.3, 130.9, 129.6, 129.5, 129.0, 128.7, 128.0, 127.8, 126.4, 125.2, 123.1, 115.9, 74.4, 71.3, 23.7. HRMS Calcd for $C_{24}H_{22}NO$ [M+H$^+$]: 340.1696, found: 340.1683.



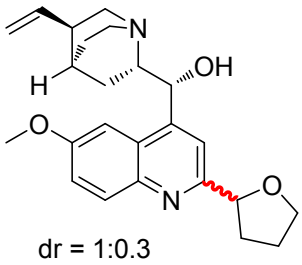
4-(5-methyltetrahydrofuran-2-yl)-2-phenylquinoline (3aa). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (17.1 mg, 59%, dr = 1:0.9). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23-8.16 (m, 3H+2.7H), 8.14 (s, 0.9H), 8.05 (s, 1H), 7.92-7.87 (m, 1H+0.9H), 7.74-7.68 (m, 1H+0.9H), 7.56-7.50 (m, 3H+2.7H), 7.49-7.43 (m, 1+0.9H), 5.81 (t, J = 7.3 Hz, 1H), 5.66 (t, J = 7.1 Hz, 0.9H), 4.57-4.49 (m, 1H), 4.36-4.29 (m, 0.9H), 2.80-2.72 (m, 1H), 2.70-2.62 (m, 0.9H), 2.22-2.12 (m, 1H+0.9H), 1.99-1.88 (m, 1H+0.9H), 1.81-1.71 (m, 1H), 1.66-1.57 (m, 0.9H), 1.52 (d, J = 6.1 Hz, 2.7H), 1.44 (d, J = 6.1 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.7, 157.6, 150.6, 150.3, 148.7, 148.6, 140.3, 130.8, 130.8, 129.4, 129.3, 129.3, 129.2, 129.0, 129.0, 127.9, 127.8, 127.7, 126.2, 126.2, 124.7, 123.3, 123.2, 114.9, 114.3, 76.7, 76.5, 34.9, 34.4, 34.1, 33.2, 21.6, 21.2. HRMS Calcd for $C_{20}H_{20}NO$ [M+H$^+$]: 290.1539, found: 290.1536.



2-phenyl-4-(tetrahydro-2*H*-pyran-2-yl)quinoline (3ab). Following the general procedure Method B, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (23.1 mg, 80%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23-8.18 (m, 3H), 8.06 (s, 1H), 7.98 (d, *J* = 7.9 Hz, 1H), 7.73-7.67 (m, 1H), 7.55-7.49 (m, 3H), 7.48-7.43 (m, 1H), 5.09 (dd, *J* = 11.2, 1.8 Hz, 1H), 4.33-4.27 (m, 1H), 3.83-3.75 (m, 1H), 2.15-2.07 (m, 1H), 2.06-1.97 (m, 1H), 1.89-1.77 (m, 2H), 1.73-1.61 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 149.4, 148.6, 140.1, 130.8, 129.4, 129.3, 128.9, 127.9, 126.2, 124.5, 123.0, 115.6, 76.6, 69.5, 34.0, 26.2, 24.3. Spectra data are consistent with the reported literature.[4]

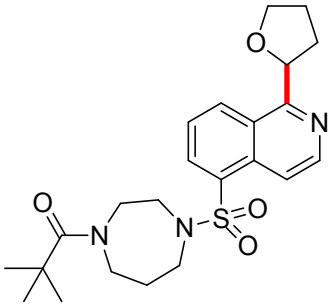


4-(1,4-dioxan-2-yl)-2-phenylquinoline (3ac). Following the general procedure Method B, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless solid (21.5 mg, 74%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24-8.17 (m, 3H), 8.10 (s, 1H), 8.01 (d, *J* = 8.3 Hz, 1H), 7.74-7.70 (m, 1H), 7.58-7.50 (m, 3H), 7.49-7.44 (m, 1H), 5.43 (dd, *J* = 9.9, 2.4 Hz, 1H), 4.18 (dd, *J* = 11.9, 2.6 Hz, 1H), 4.14-4.05 (m, 2H), 3.95-3.88 (m, 1H), 3.88-3.80 (m, 1H), 3.55-3.47 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.6, 148.5, 144.3, 139.8, 131.0, 129.6, 129.6, 129.0, 127.8, 126.7, 124.4, 122.5, 116.4, 74.6, 72.3, 67.6, 66.9. Spectra data are consistent with the reported literature.[1]

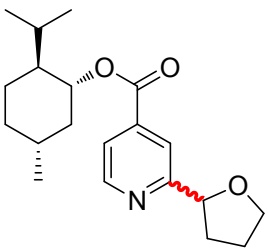


(1*R*)-(6-methoxy-2-(tetrahydrofuran-2-yl)quinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (3ad). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/DCM/EtOAc/MeOH (1:1:0.25:0.25) as the eluent to give a colorless solid (13.4 mg, 34%, dr = 1:0.3). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, *J* = 9.2 Hz, 1H+0.3H), 7.76 (s, 0.3H), 7.74 (s, 1H), 7.25-7.20 (m, 1H+0.3H), 7.13 (d, *J* = 2.5 Hz, 0.3H), 7.05 (d, *J* = 2.6 Hz, 1H), 6.02 (s, 1H), 5.99 (s, 0.3H), 5.66-5.53 (m, 1H+0.3H), 5.10-5.04 (m, 1H+0.3H), 5.02-4.94 (m, 2H+0.6H), 4.20-4.13 (m, 1H+0.3H), 4.04-3.94 (m, 2H+0.6H), 3.87 (s, 0.9H), 3.82 (s, 3H), 3.39-3.30 (m, 1H+0.3H), 3.29-3.20 (m, 1H+0.3H), 2.97-2.87

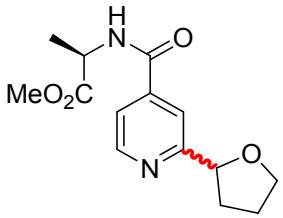
(m, 2H+0.6H), 2.55-2.40 (m, 2H+0.6H), 2.07-1.93 (m, 6H+1.8H), 1.72-1.63 (m, 1H+0.3H), 1.40-1.32 (m, 1H+0.3H), 1.29-1.20 (m, 1H+0.3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 163.4, 163.1, 160.3, 160.1, 158.3, 158.1, 146.0, 143.7, 139.0, 131.5, 131.5, 125.1, 122.2, 122.1, 116.5, 115.8, 115.6, 100.3, 82.5, 82.3, 69.4, 69.3, 60.2, 60.1, 56.1, 56.0, 55.6, 43.8, 43.7, 38.3, 33.6, 33.3, 29.9, 27.6, 27.4, 26.2, 26.2, 25.6, 19.4. HRMS Calcd for $C_{24}H_{31}N_2O_3$ [M+H$^+$]: 395.2329, found: 395.2324.



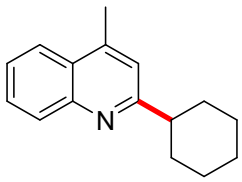
2,2-dimethyl-1-(4-((1-(tetrahydrofuran-2-yl)isoquinolin-5-yl)sulfonyl)azepan-1-yl)propan-1-one (3ae). Following the general procedure Method A, the product was isolated by preparative TLC with Hex/ EtOAc (1:2) as the eluent to give a pale yellow oil (31.1 mg, 70%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.66 (d, *J* = 8.5 Hz, 1H), 8.62 (d, *J* = 6.1 Hz, 1H), 8.34 (d, *J* = 6.1 Hz, 1H), 8.32 (d, *J* = 7.2 Hz, 1H), 7.69-7.63 (m, 1H), 5.69 (t, *J* = 7.0 Hz, 1H), 4.15-4.07 (m, 1H), 4.05-3.99 (m, 1H), 3.74-3.68 (m, 2H), 3.66 (t, *J* = 6.3 Hz, 2H), 3.45 (t, *J* = 5.2 Hz, 2H), 3.43-3.36 (m, 2H), 2.67-2.58 (m, 1H), 2.42-2.32 (m, 1H), 2.22-2.07 (m, 2H), 2.00-1.93 (m, 2H), 1.22 (s, 9H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 177.5, 160.6, 143.6, 134.9, 133.0, 132.6, 131.6, 127.7, 125.7, 117.3, 79.5, 69.3, 50.4, 47.6, 39.2, 30.4, 28.7, 26.3. HRMS Calcd for $C_{23}H_{32}N_3O_4S$ [M+H$^+$]: 446.2108, found: 446.2094.



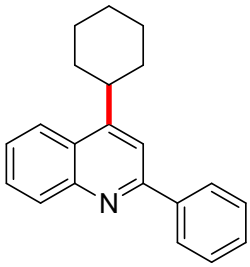
(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2-(tetrahydrofuran-2-yl)isonicotinate (3af). Following the general procedure Method A using 20 equiv of TFA, the product was isolated by preparative TLC with Hex/ EtOAc (5:1) as the eluent to give a colorless oil (18.9 mg, 57%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13 (s, 1H), 8.28-8.24 (m, 1H), 7.53 (dd, *J* = 8.2, 0.6 Hz, 1H), 5.07 (t, *J* = 6.4 Hz, 1H), 4.94 (td, *J* = 10.8, 4.4 Hz, 1H), 4.14-4.07 (m, 1H), 4.02-3.97 (m, 1H), 2.50-2.41 (m, 1H), 2.16-2.08 (m, 1H), 2.04-1.88 (m, 4H), 1.78-1.50 (m, 5H), 1.17-1.06 (m, 2H), 0.95-0.89 (m, 6H), 0.79 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.7, 167.7, 165.1, 150.6, 150.5, 137.9, 137.9, 125.2, 119.4, 119.4, 81.4, 75.5, 69.4, 47.4, 41.1, 34.4, 33.3, 31.7, 26.8, 26.8, 25.9, 25.9, 23.9, 23.8, 22.2, 20.9, 16.8, 16.7. HRMS Calcd for $C_{20}H_{29}NNaO_3$ [M+Na$^+$]: 354.2040, found: 354.2044.



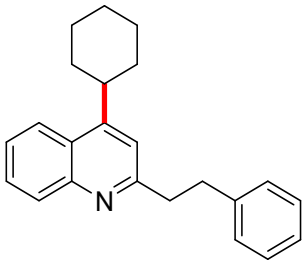
(*R*)-methyl-2-(2-(tetrahydrofuran-2-yl)isonicotinamido)propanoate (3ag). Following the general procedure Method A using 20 equiv of TFA, the product was isolated by preparative TLC with Hex/EtOAc (1:2) as the eluent to give a colorless oil (7.5 mg, 27%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.67 (d, *J* = 5.0 Hz, 1H), 7.75 (s, 1H), 7.57-7.52 (m, 1H), 6.82 (s, 1H), 5.09-5.04 (m, 1H), 4.84-4.75 (m, 1H), 4.18-4.10 (m, 1H), 4.03-3.97 (m, 1H), 3.81 (d, *J* = 1.7 Hz, 3H), 2.51-2.42 (m, 1H), 2.07-1.92 (m, 3H), 1.54 (dd, *J* = 7.2, 1.5 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.5, 173.5, 165.4, 165.3, 164.6, 150.2, 150.2, 142.0, 141.9, 119.9, 119.8, 116.9, 116.8, 81.3, 81.3, 69.4, 69.4, 52.9, 48.9, 48.8, 33.4, 33.3, 26.0, 18.7, 18.7. HRMS Calcd for $C_{14}H_{18}N_2NaO_4$ [M+Na$^+$]: 301.1159, found: 301.1159.



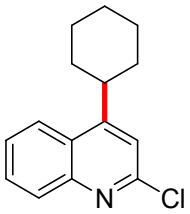
2-cyclohexyl-4-methylquinoline (5a). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (18.9 mg, 84%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (d, *J* = 8.4 Hz, 1H), 7.94 (d, *J* = 8.3 Hz, 1H), 7.69-7.63 (m, 1H), 7.52-7.46 (m, 1H), 7.17 (s, 1H), 2.92-2.83 (m, 1H), 2.68 (s, 3H), 2.05-1.98 (m, 2H), 1.93-1.85 (m, 2H), 1.83-1.75 (m, 1H), 1.68-1.56 (m, 2H), 1.53-1.41 (m, 2H), 1.39-1.27 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.7, 147.8, 144.4, 129.7, 129.1, 127.2, 125.6, 123.8, 120.4, 47.8, 33.0, 26.8, 26.3, 19.0. Spectra data are consistent with the reported literatures.[5,6,7]



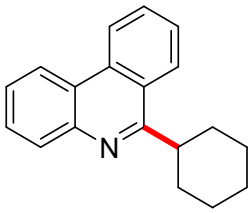
4-cyclohexyl-2-phenylquinoline (5b). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless solid (24.7 mg, 86%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.4 Hz, 1H), 8.18-8.13 (m, 2H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.76 (m, 1H), 7.73-7.68 (m, 1H), 7.56-7.51 (m, 3H), 7.49-7.44 (m, 1H), 3.43-3.33 (m, 1H), 2.12-2.06 (m, 2H), 2.01-1.93 (m, 2H), 1.92-1.85 (m, 1H), 1.69-1.53 (m, 4H), 1.44-1.33 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.5, 154.2, 148.8, 140.5, 130.9, 129.3, 129.2, 129.0, 127.8, 126.1, 123.0, 115.7, 39.3, 33.9, 27.2, 26.5. Spectra data are consistent with the reported literature.[6]



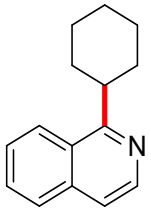
4-cyclohexyl-2-phenethylquinoline (5c). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (5:1) as the eluent to give a colorless oil (19.0 mg, 60%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.4 Hz, 1H), 8.05 (d, *J* = 8.4 Hz, 1H), 7.70-7.65 (m, 1H), 7.53-7.48 (m, 1H), 7.32-7.23 (m, 4H), 7.23-7.18 (m, 1H), 7.05 (s, 1H), 3.32-3.23 (m, 3H), 3.19-3.13 (m, 2H), 2.00-1.89 (m, 4H), 1.89-1.82 (m, 1H), 1.60-1.41 (4H), 1.38-1.28 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 161.8, 153.5, 148.5, 141.9, 130.0, 128.9, 128.8, 128.6, 126.1, 125.7, 125.6, 123.1, 118.2, 41.4, 39.0, 36.3, 33.7, 27.1, 26.5. HRMS Calcd for $C_{23}H_{26}N$ [M+H$^+$]: 316.2060, found: 316.2069.



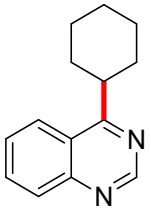
2-chloro-4-cyclohexylquinoline (5d). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (12.0 mg, 49%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.06-8.00 (m, 1H), 7.72-7.66 (m, 1H), 7.58-7.53 (m, 1H), 7.26 (s, 1H), 3.33-3.25 (m, 1H), 2.04-1.90 (m, 4H), 1.89-1.82 (m, 1H), 1.59-1.46 (m, 4H), 1.39-1.28 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.1, 151.3, 148.4, 130.1, 129.7, 126.7, 125.8, 123.3, 118.9, 39.3, 33.6, 27.0, 26.3. Spectra data are consistent with the reported literature.[6]



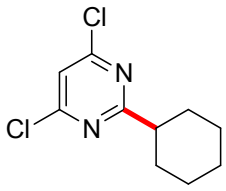
6-cyclohexylphenanthridine (5e). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (10:1) as the eluent to give a colorless oil (13.3 mg, 51%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.68 (d, *J* = 8.2 Hz, 1H), 8.56 (d, *J* = 7.5 Hz, 1H), 8.34 (d, *J* = 8.3 Hz, 1H), 8.16 (d, *J* = 8.1 Hz, 1H), 7.87-7.81 (m, 1H), 7.76-7.68 (m, 2H), 7.66-7.60 (m, 1H), 3.69-3.59 (m, 1H), 2.15-2.07 (m, 2H), 2.04-1.91 (m, 4H), 1.92-1.83 (m, 1H), 1.67-1.54 (m, 2H), 1.52-1.41 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.5, 144.1, 133.2, 130.1, 130.1, 128.6, 127.3, 126.3, 125.8, 124.9, 123.5, 122.8, 122.0, 42.2, 32.5, 27.1, 26.5. Spectra data are consistent with the reported literature.[7]



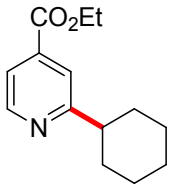
1-cyclohexylisoquinoline (5f). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.05) as the eluent to give a colorless oil (10.6 mg, 50%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.48 (d, *J* = 5.7 Hz, 1H), 8.23 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.68-7.63 (m, 1H), 7.61-7.55 (m, 1H), 7.48 (d, *J* = 5.7 Hz, 1H), 3.60-3.52 (m, 1H), 2.02-1.90 (m, 4H), 1.89-1.77 (m, 3H), 1.59-1.48 (m, 2H), 1.45-1.34 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.9, 142.1, 136.6, 129.8, 127.8, 127.0, 126.5, 125.0, 119.1, 41.7, 32.8, 27.1, 26.5. Spectra data are consistent with the reported literature.[6]



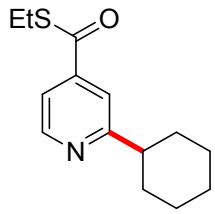
4-cyclohexylquinazoline (5g). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless oil (9.1 mg, 43%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.25 (s, 1H), 8.19 (d, *J* = 8.2 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.90-7.81 (m, 1H), 7.67-7.60 (m, 1H), 3.61-3.52 (m, 1H), 2.01-1.90 (m, 4H), 1.88-1.76 (m, 3H), 1.60-1.46 (m, 2H), 1.44-1.33 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 175.3, 155.0, 150.3, 133.5, 129.6, 127.5, 124.4, 123.5, 41.5, 32.2, 26.7, 26.2. Spectra data are consistent with the reported literature.[7]



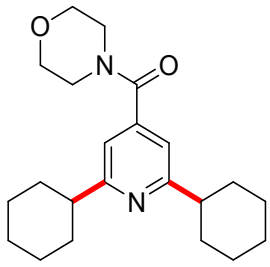
4,6-dichloro-2-cyclohexylpyrimidine (5h). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (10:1) as the eluent to give a colorless oil (9.2 mg, 40%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.22 (s, 1H), 2.89-2.79 (m, 1H), 2.20-1.94 (m, 2H), 1.89-1.81 (m, 2H), 1.77-1.69 (m, 1H), 1.66-1.56 (m, 2H), 1.45-1.22 (m, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 176.7, 161.9, 118.6, 47.4, 31.7, 26.1, 25.9. HRMS Calcd for $C_{10}H_{13}N_2Cl_2$ [M+H$^+$]: 231.0450, found: 231.0456.



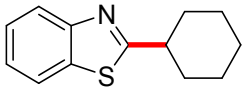
ethyl 2-cyclohexylisonicotinate (5i). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (5:1) as the eluent to give a colorless oil (11.7 mg, 50%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.69 (d, J = 5.0 Hz, 1H), 7.74 (s, 1H), 7.67 (d, J = 5.0 Hz, 1H), 4.43 (q, J = 7.1 Hz, 2H), 2.85-2.77 (m, 1H), 2.02-1.95 (m, 2H), 1.94-1.86 (m, 2H), 1.83-1.75 (m, 1H), 1.64-1.53 (m, 2H), 1.51-1.39 (m, 5H), 1.37-1.26 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.9, 165.8, 150.0, 138.3, 120.6, 120.4, 61.9, 46.8, 33.0, 26.7, 26.2, 14.4. HRMS Calcd for $C_{14}H_{20}O_2N$ [M+H$^+$]: 234.1489, found: 234.1496.



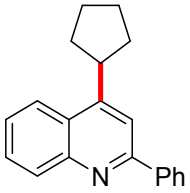
ethyl 2-cyclohexylpyridine-4-carbothioate (5j). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (10:1) as the eluent to give a colorless oil (10.0 mg, 40%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.67 (d, J = 5.1 Hz, 1H), 7.59 (s, 1H), 7.54 (d, J = 5.1 Hz, 1H), 3.10 (q, J = 7.4 Hz, 2H), 2.82-2.74 (m, 1H), 2.00-1.93 (m, 2H), 1.91-1.83 (m, 2H), 179-1.72 (m, 1H), 1.60-1.49 (m, 2H), 1.48-1.23 (m, 6H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.1, 168.2, 150.2, 144.1, 118.0, 117.9, 46.8, 33.0, 26.7, 26.2, 23.9, 14.8. HRMS Calcd for $C_{14}H_{20}ONS$ [M+H$^+$]: 250.1260, found: 250.1267.



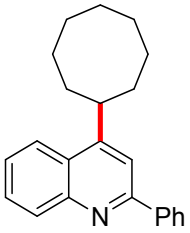
(2,6-dicyclohexylpyridin-4-yl)(morpholino)methanone (5k). Following the general procedure Method C using 8 equiv of DTBP, 0.2 mL of diacetyl and 0.4 mL of MeCN, the product was isolated by preparative TLC with Hex/DCM/EtOAc (1:1:0.2) as the eluent to give a colorless solid (18.6 mg, 52%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.92 (s, 2H), 3.78 (s, 4H), 3.62 (s, 2H), 3.37 (s, 2H), 2.74-2.65 (m, 2H), 1.99-1.91 (m, 4H), 1.88-1.80 (m, 4H), 1.78-1.69 (m, 2H), 1.53-1.33 (m, 8H), 1.32-1.20 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 169.3, 166.7, 143.2, 115.4, 67.0, 48.1, 46.8, 42.5, 33.1, 26.7, 26.2. HRMS Calcd for $C_{22}H_{33}O_2N_2$ [M+H$^+$]: 357.2537, found: 357.2542.



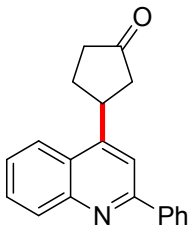
2-cyclohexylbenzo[*d*]thiazole (5l). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (9.5 mg, 44%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97 (d, *J* = 8.1 Hz, 1H), 7.84 (d, *J* = 8.1 Hz, 1H), 7.46-7.42 (m, 1H), 7.35-7.31 (m, 1H), 3.15-3.07 (m, 1H), 2.25-2.16 (m, 2H), 1.92-1.85 (m, 2H), 1.81-1.74 (m, 1H), 1.70-1.59 (m, 2H), 1.51-1.39 (m, 2H), 1.38-1.27 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 177.8, 153.3, 134.8, 126.0, 124.7, 122.8, 121.8, 43.7, 33.6, 26.3, 26.0. Spectra data are consistent with the reported literatures.[6,8]



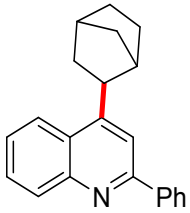
4-cyclopentyl-2-phenylquinoline (5m). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (24.4 mg, 89%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.2 Hz, 1H), 8.16-8.10 (m, 3H), 7.78 (s, 1H), 7.74-7.68 (m, 1H), 7.56-7.51 (m, 3H), 7.49-7.44 (m, 1H), 3.88-3.79 (m, 1H), 2.32-2.21 (m, 2H), 1.98-1.79 (m, 6H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.5, 153.0, 148.7, 140.5, 130.7, 129.3, 129.2, 129.0, 127.8, 127.0, 126.0, 123.8, 115.4, 41.0, 33.6, 25.7. HRMS Calcd for $C_{20}H_{20}N$ [M+H$^+$]: 274.1590, found: 274.1596.



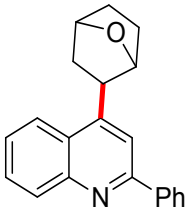
4-cyclooctyl-2-phenylquinoline (5n). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (30.7 mg, 97%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.4 Hz, 1H), 8.17-8.13 (m, 2H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.75 (s, 1H), 7.73-7.68 (m, 1H), 7.57-7.51 (m, 3H), 7.49-7.44 (m, 1H), 3.69-3.61 (m, 1H), 2.06-1.66 (m, 14H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.4, 156.6, 148.9, 140.4, 130.9, 129.3, 129.2, 129.0, 127.8, 126.1, 125.8, 123.2, 116.4, 33.9, 27.0, 26.8, 26.4. HRMS Calcd for $C_{23}H_{26}N$ [M+H$^+$]: 316.2060, found: 316.2070.



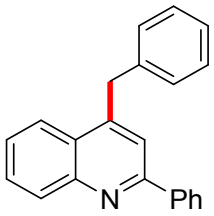
3-(2-phenylquinolin-4-yl)cyclopentanone (5o). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (5:2) as the eluent to give a colorless oil (10.1 mg, 35%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.30-8.02 (s, 1H), 8.13 (d, J = 7.3 Hz, 2H), 8.08 (d, J = 8.4 Hz, 1H), 7.79-7.72 (m, 2H), 7.62-7.57 (m, 1H), 7.57-7.51 (m, 2H), 7.50-7.45 (m, 1H), 4.30-4.21 (m, 1H), 2.87 (dd, J = 18.4, 7.4 Hz, 1H), 2.67-2.41 (m, 4H), 2.32-2.21 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 217.2, 157.5, 149.2, 148.8, 139.7, 131.0, 129.7, 129.7, 129.1, 127.8, 126.7, 126.2, 122.9, 115.1, 45.1, 38.3, 37.6, 29.9. HRMS Calcd for $C_{20}H_{18}NO$ [M+H$^+$]: 288.1383, found: 288.1380.



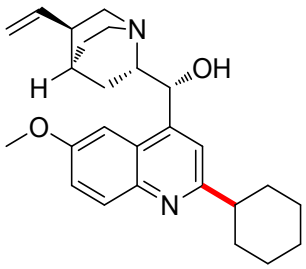
4-((2S)-bicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5p). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (30:1) as the eluent to give a colorless oil (25.2 mg, 84%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (d, J = 8.4 Hz, 1H), 8.16-8.13 (m, 2H), 8.06 (d, J = 7.8 Hz, 1H), 7.75 (s, 1H), 7.73-7.68 (m, 1H), 7.57-7.51 (m, 3H), 7.49-7.45 (m, 1H), 3.42 (dd, J = 9.0, 5.5 Hz, 1H), 2.68 (d, J = 3.8 Hz, 1H), 2.47-2.43 (m, 1H), 2.08-2.01 (m, 1H), 1.82-1.65 (m, 4H), 1.60-1.53 (m, 1H), 1.49-1.41 (m, 1H), 1.40-1.36 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.4, 153.5, 148.8, 140.6, 130.7, 129.3, 129.2, 129.0, 127.8, 126.7, 126.0, 124.1, 115.1, 43.2, 41.5, 39.4, 37.2, 36.9, 30.5, 29.3. HRMS Calcd for $C_{22}H_{22}N$ [M+H$^+$]: 300.1747, found: 300.1756.



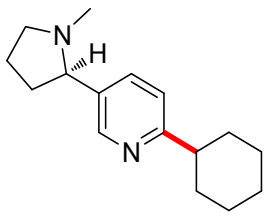
4-(7-oxabicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5q). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (8.5 mg, 28%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21-8.14 (m, 3H), 8.04 (d, J = 8.3 Hz, 1H), 8.00 (s, 1H), 7.74-7.68 (m, 1H), 7.57-7.49 (m, 3H), 7.48-7.42 (m, 1H), 4.85-4.80 (m, 2H), 3.72 (dd, J = 9.1, 4.8 Hz, 1H), 2.32-2.24 (m, 1H), 1.98-1.85 (m, 3H), 1.82-1.76 (m, 1H), 1.74-1.67 (m, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.7, 152.1, 148.7, 140.2, 130.9, 129.4, 129.3, 128.9, 127.9, 126.2, 126.1, 123.0, 116.1, 81.1, 76.8, 43.5, 41.1, 30.6, 30.0. HRMS Calcd for $C_{21}H_{20}ON$ [M+H$^+$]: 302.1539, found: 302.1548.



4-benzyl-2-phenylquinoline (5r). Following the general procedure Method C, the product was isolated by preparative TLC with Hex/EtOAc (20:1) as the eluent to give a colorless oil (7.4 mg, 25%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, J = 8.4 Hz, 1H), 8.11 (d, J = 7.1 Hz, 2H), 8.03 (d, J = 8.4 Hz, 1H), 7.74-7.68 (m, 1H), 7.65 (s, 1H), 7.53-7.48 (m, 3H), 7.47-7.42 (m, 1H), 7.34-7.28 (m, 2H), 7.27-7.22 (m, 3H), 4.51 (s, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 157.4, 148.7, 147.3, 139.9, 139.0, 130.6, 129.6, 129.5, 129.1, 129.0, 129.0, 127.8, 126.8, 126.8, 126.5, 124.0, 120.1, 38.8. HRMS Calcd for $C_{22}H_{18}N$ [M+H$^+$]: 296.1434, found: 296.1440.

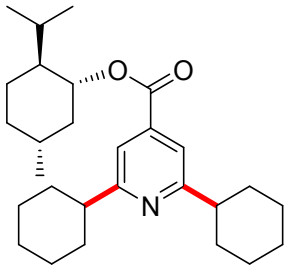


(1*R*)-(2-cyclohexyl-6-methoxyquinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (5s). Following the general procedure Method C using 3 equiv of TFA, the product was isolated by preparative TLC with Hex/EtOAc/MeOH (3:2:1) as the eluent to give a colorless solid (15.1 mg, 37%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, J = 9.2 Hz, 1H), 7.54 (s, 1H), 7.11 (dd, J = 9.2, 2.6 Hz, 1H), 6.91 (d, J = 2.6 Hz, 1H), 6.24 (s, 1H), 5.61-5.49 (m, 1H), 5.02 (dd, J = 14.0, 3.8 Hz, 2H), 4.40-4.28 (m, 1H), 3.72 (s 3H), 3.53-3.43 (m, 1H), 3.31 (t, J = 9.0 Hz, 1H), 3.16-2.98 (m, 2H), 2.89-2.79 (m, 1H), 2.69-2.60 (m, 1H), 2.27-1.22 (m, 16H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 163.8, 157.9, 144.2, 143.7, 137.6, 131.3, 124.0, 122.0, 117.5, 117.1, 99.5, 66.7, 60.4, 55.9, 54.9, 47.5, 44.0, 37.6, 33.1, 33.0, 27.2, 26.8, 26.8, 26.3, 24.6, 18.3. HRMS Calcd for $C_{26}H_{35}O_2N_2$ [M+H$^+$]: 407.2693, found: 407.2700.

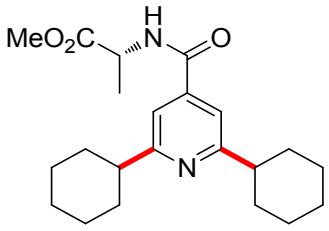


(*R*)-2-cyclohexyl-5-(1-methylpyrrolidin-2-yl)pyridine (5t). Following the general procedure Method C using 3 equiv of TFA, the product was isolated by preparative TLC with Hex/DCM/EtOAc/MeOH (1:1:2.5:0.5) as the eluent to give a yellow oil (8.8 mg, 36%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.41 (d, J = 2.1 Hz, 1H), 7.63 (dd, J = 8.0, 2.1 Hz, 1H), 7.13 (d, J = 8.0 Hz, 1H), 3.25 (t, J = 8.2 Hz, 1H), 3.07 (t, J = 8.2 Hz, 1H), 2.73-2.64 (m, 1H), 2.36-2.25 (m, 1H), 2.22-2.12 (m, 4H), 2.00-1.90 (m, 2H), 1.89-1.80 (m, 2H), 1.80-1.69 (2H), 1.56-1.35 (m, 5H), 1.34-1.24 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.8, 149.0,

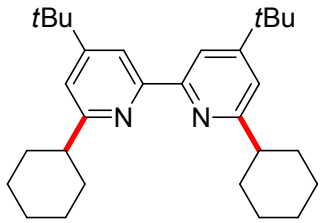
135.5, 121.2, 69.0, 57.2, 46.5, 40.6, 35.1, 33.2, 26.8, 26.3, 22.7. Spectra data are consistent with the reported literature.[8]



(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2,6-dicyclohexylisonicotinate (5u). Following the general procedure Method C using 8 equiv of DTBP, 0.2 mL of diacetyl and 0.4 mL of MECN, the product was isolated by preparative TLC with Hex/EtOAc (30:1) as the eluent to give a colorless solid (12.8 mg, 30%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (s, 2H), 5.00-4.91 (m, 1H), 2.79-2.70 (m, 2H), 2.12-2.05 (m, 1H), 2.00-1.81 (m, 9H), 1.79-1.70 (m, 4H), 1.62-1.37 (m, 10H), 1.35-1.24 (m, 2H), 1.18-1.08 (m, 2H), 0.96-0.89 (m, 7H), 0.80 (d, J = 7.0 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.9, 165.8, 138.8, 117.4, 75.6, 47.3, 46.8, 41.1, 34.5, 33.1, 31.7, 26.8, 26.7, 26.3, 23.9, 22.2, 20.9, 16.8. HRMS Calcd for $C_{28}H_{44}O_2N$ [M+H$^+$]: 426.3367, found: 426.3372.

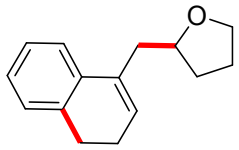


(*R*)-methyl-2-(2,6-dicyclohexylisonicotinamido)propanoate (5v). Following the general procedure Method C using 8 equiv of DTBP, 0.2 mL of diacetyl and 0.4 mL of MeCN, the product was isolated by preparative TLC with Hex/EtOAc (5:2) as the eluent to give a colorless solid (10.1 mg, 27%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.26 (s, 2H), 6.76 (d, J = 7.1 Hz, 1H), 4.79 (quint, 1H), 3.80 (s, 3H), 2.77-2.68 (m, 2H), 1.98-1.91 (m, 4H), 1.88-1.80 (m, 4H), 1.78-1.71 (m, 2H), 1.57-1.36 (m, 11H), 1.34-1.22 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.7, 167.1, 166.3, 142.0, 115.3, 52.9, 48.7, 46.9, 33.1, 26.7, 26.3, 18.8. HRMS Calcd for $C_{22}H_{33}O_3N_2$ [M+H$^+$]: 373.2486, found: 373.2490.



4,4'-di-tert-butyl-6,6'-dicyclohexyl-2,2'-bipyridine (5w). Following the general procedure Method C using 8 equiv of DTBP, 0.2 mL of diacetyl and 0.4 mL of MeCN, the product was isolated by preparative

TLC with Hex/EtOAc (30:1) as the eluent to give a colorless solid (14.7 mg, 34%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20 (d, J = 1.5 Hz, 2H), 7.11 (d, J = 1.5 Hz, 2H), 2.81-2.70 (m, 2H), 2.07-1.96 (m, 4H), 1.93-1.83 (m, 4H), 1.81-1.73 (m, 2H), 1.68-1.55 (m, 4H), 1.53-1.20 (m, 24H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 165.8, 160.8, 156.5, 117.7, 116.1, 46.9, 35.1, 33.3, 31.0, 26.9, 26.5. HRMS Calcd for $C_{30}H_{45}N_2$ [M+H$^+$]: 433.3577, found: 433.3584.



2-((3,4-dihydronaphthalen-1-yl)methyl)tetrahydrofuran (7). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.32-7.27 (m, 1H), 7.22-7.16 (m, 2H), 5.95 (t, J = 4.5 Hz, 1H), 4.13-4.05 (m, 1H), 3.95-3.88 (m, 1H), 3.77-3.69 (m, 1H), 2.89-2.81 (m, 1H), 2.79-2.69 (m, 2H), 2.53-2.46 (m, 1H), 2.29-2.21 (m, 2H), 1.99-1.80 (m, 4H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 136.9, 135.0, 133.9, 127.8, 126.9, 126.9, 126.5, 122.9, 77.9, 68.1, 39.2, 31.6, 28.6, 25.8, 23.4. HRMS Calcd for $C_{15}H_{19}O$ [M+H$^+$]: 215.1430, found: 215.1436.

4. References

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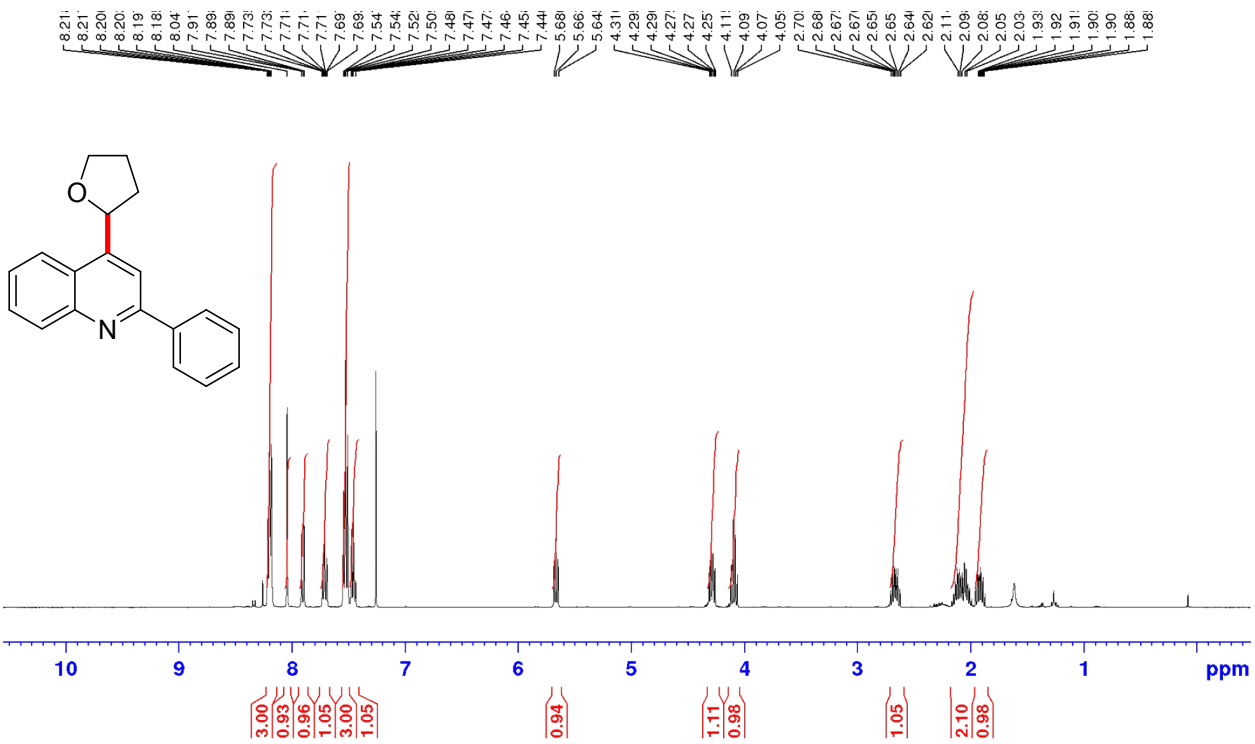
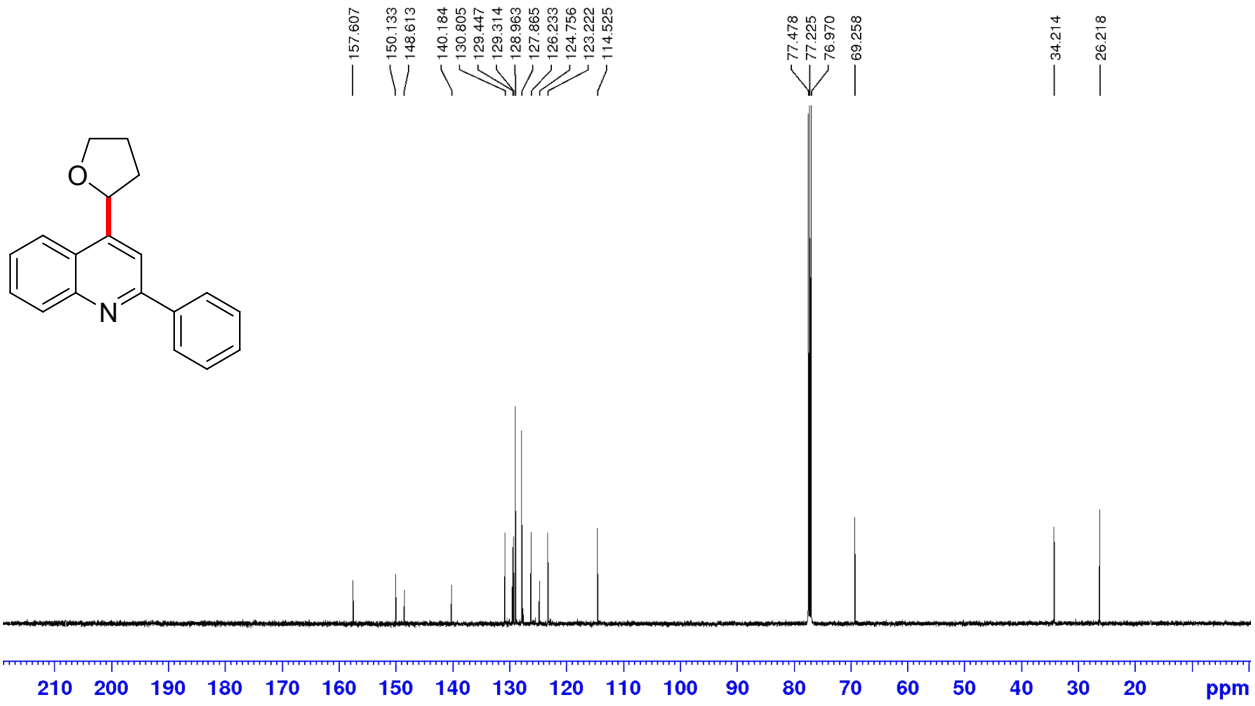
5. 1H-NMR and 13C-NMR spectra

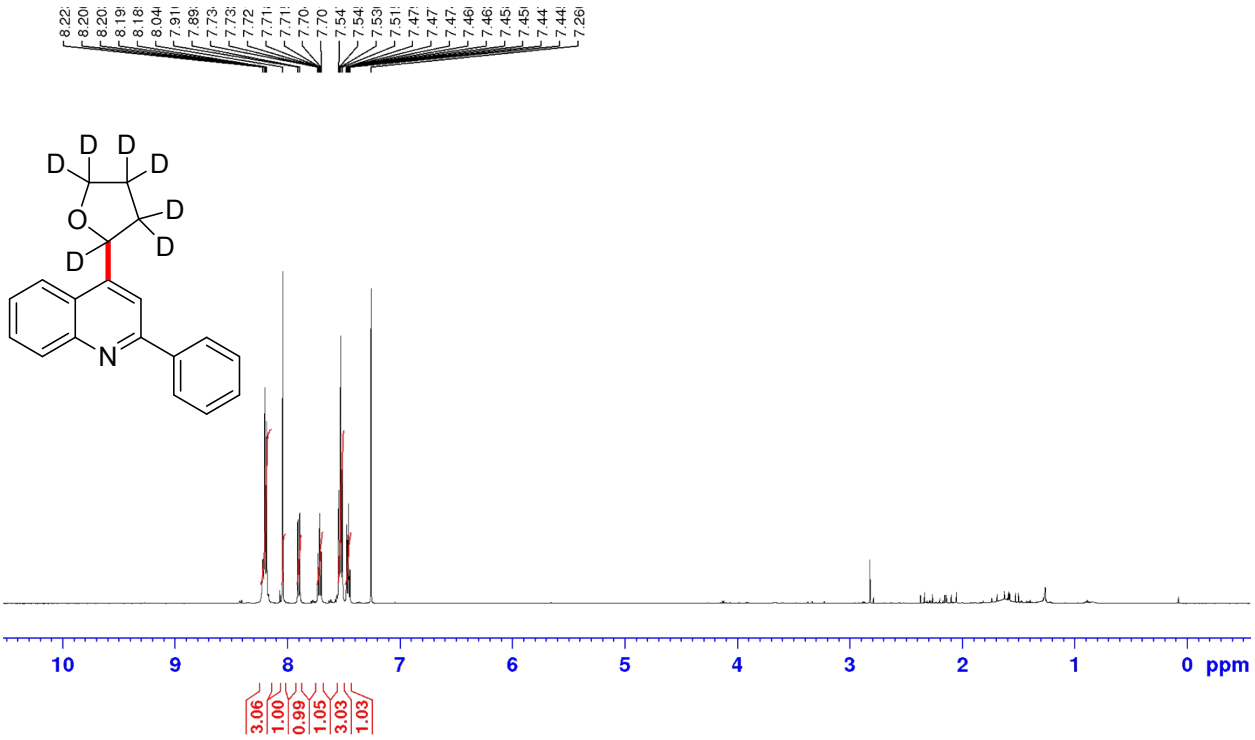
^{1}H NMR (500 MHz, $CDCl_3$) of 2-phenyl-4-(tetrahydrofuran-2-yl)quinoline (3a)



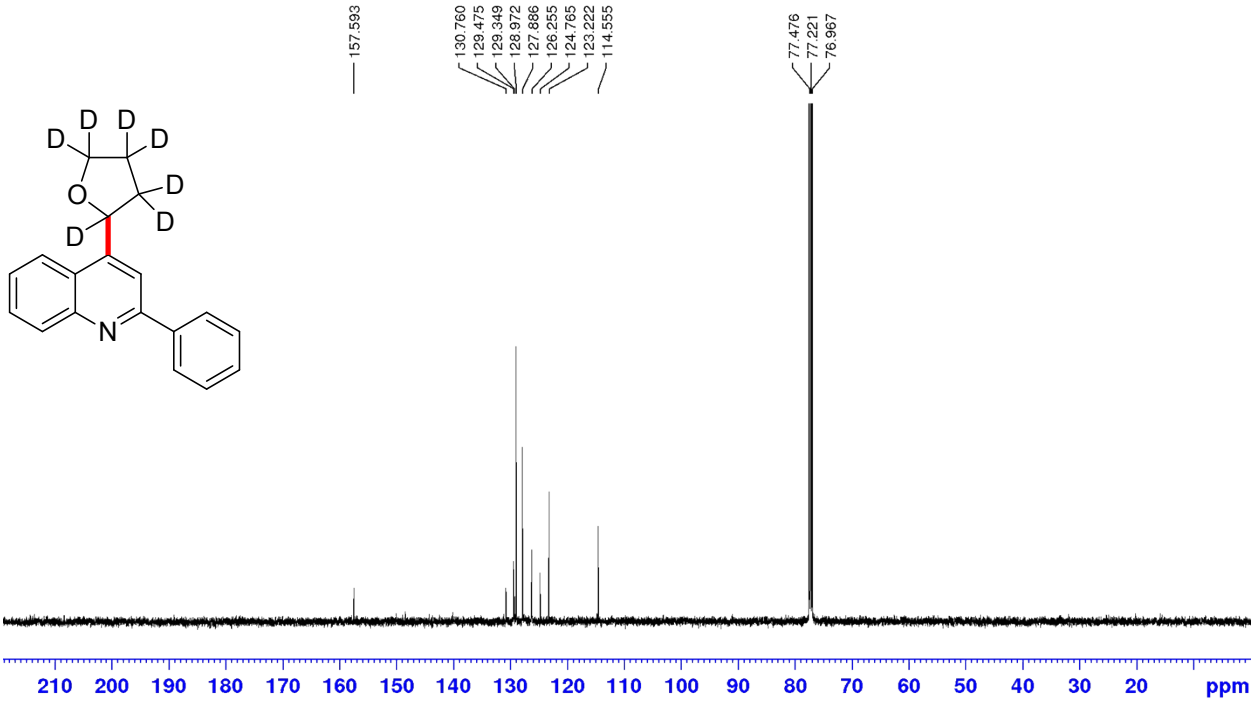
^{13}C NMR (125 MHz, $CDCl_3$) of 2-phenyl-4-(tetrahydrofuran-2-yl)quinoline (3a)



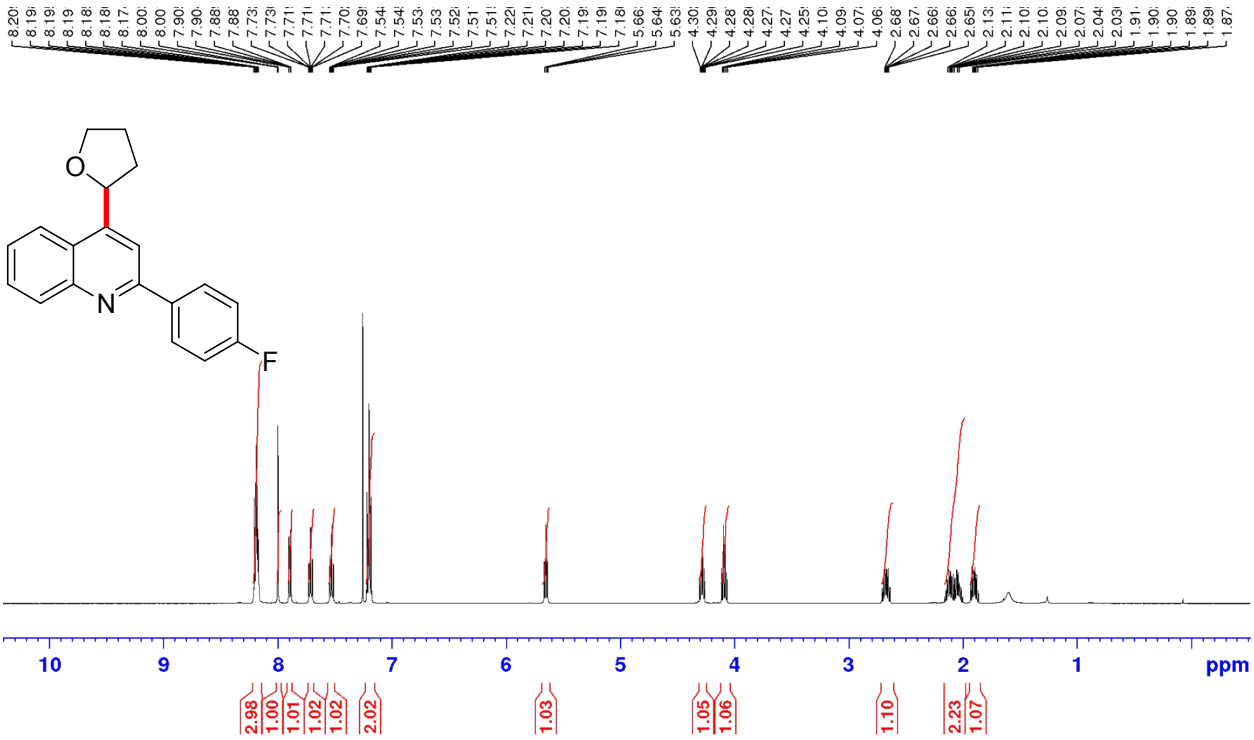
^{1}H NMR (500 MHz, $CDCl_3$) of 2-phenyl-4-(heptadeuterotetrafuran-2-yl)quinoline (3a-d_7)



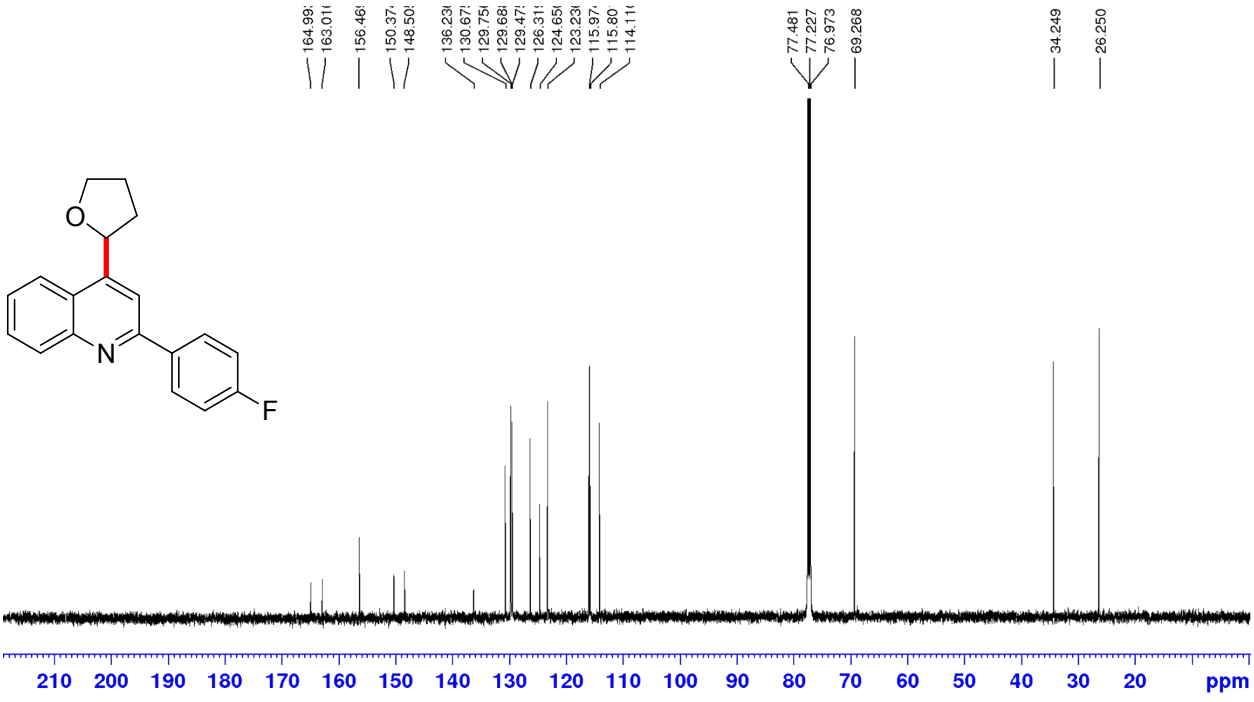
^{13}C NMR (125 MHz, $CDCl_3$) of 2-phenyl-4-(heptadeuterotetrafuran-2-yl)quinoline (3a-d_7)



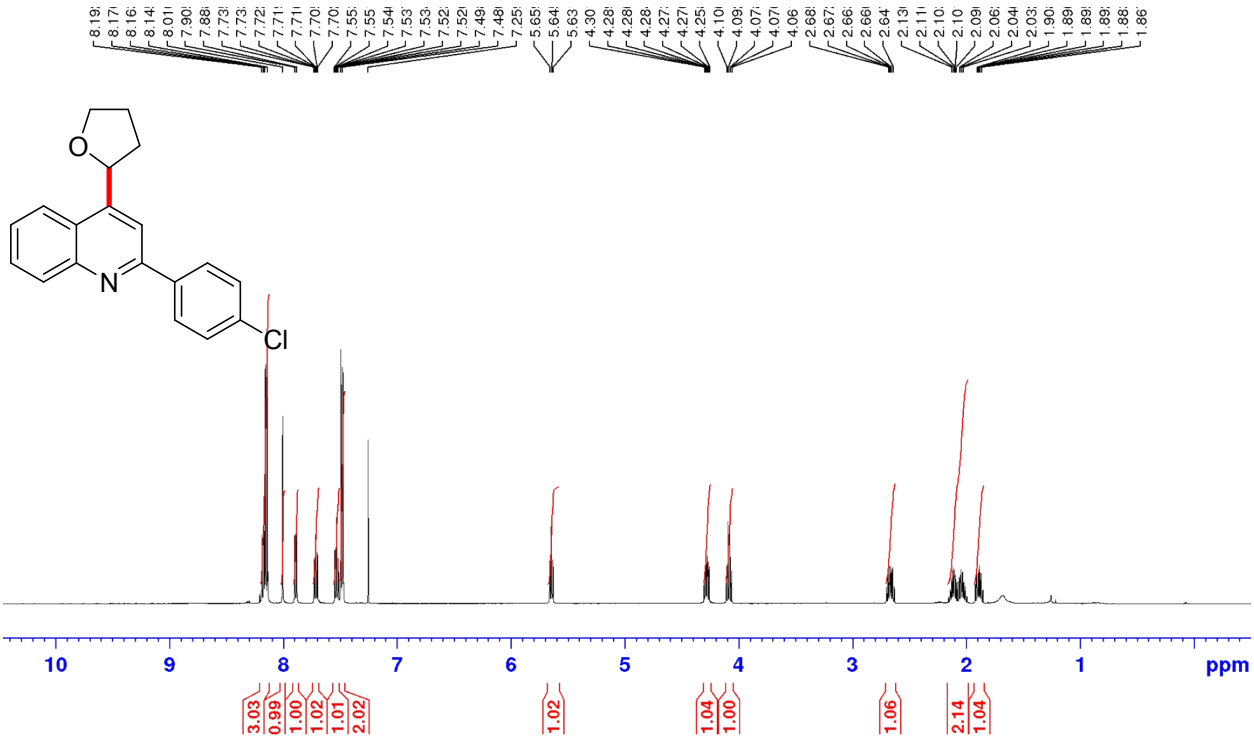
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(4-fluorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3b)



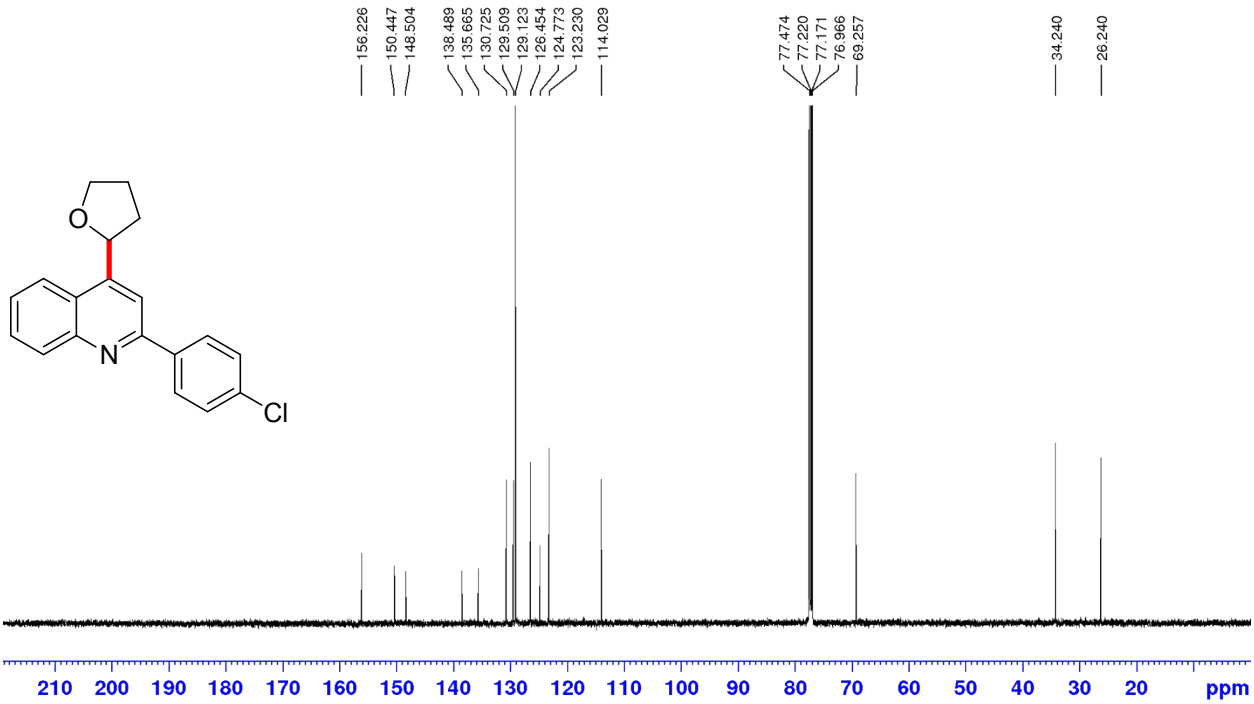
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(4-fluorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3b)



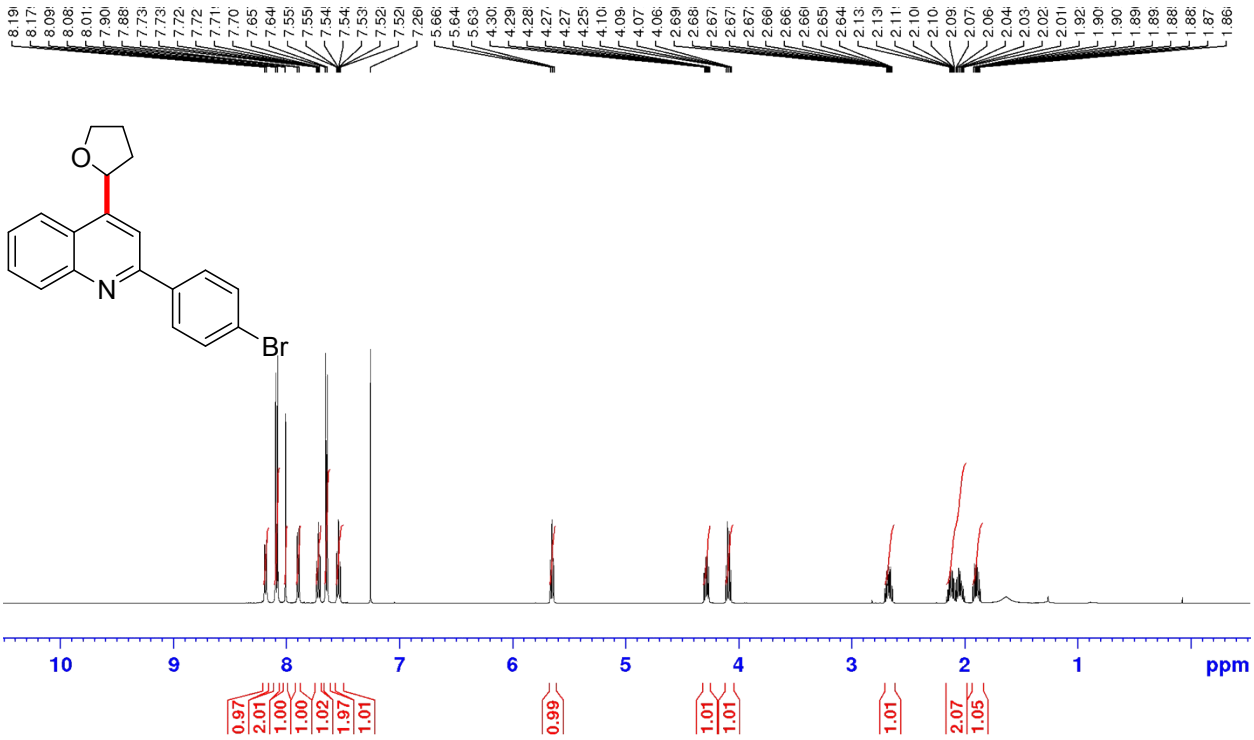
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(4-chlorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3c)



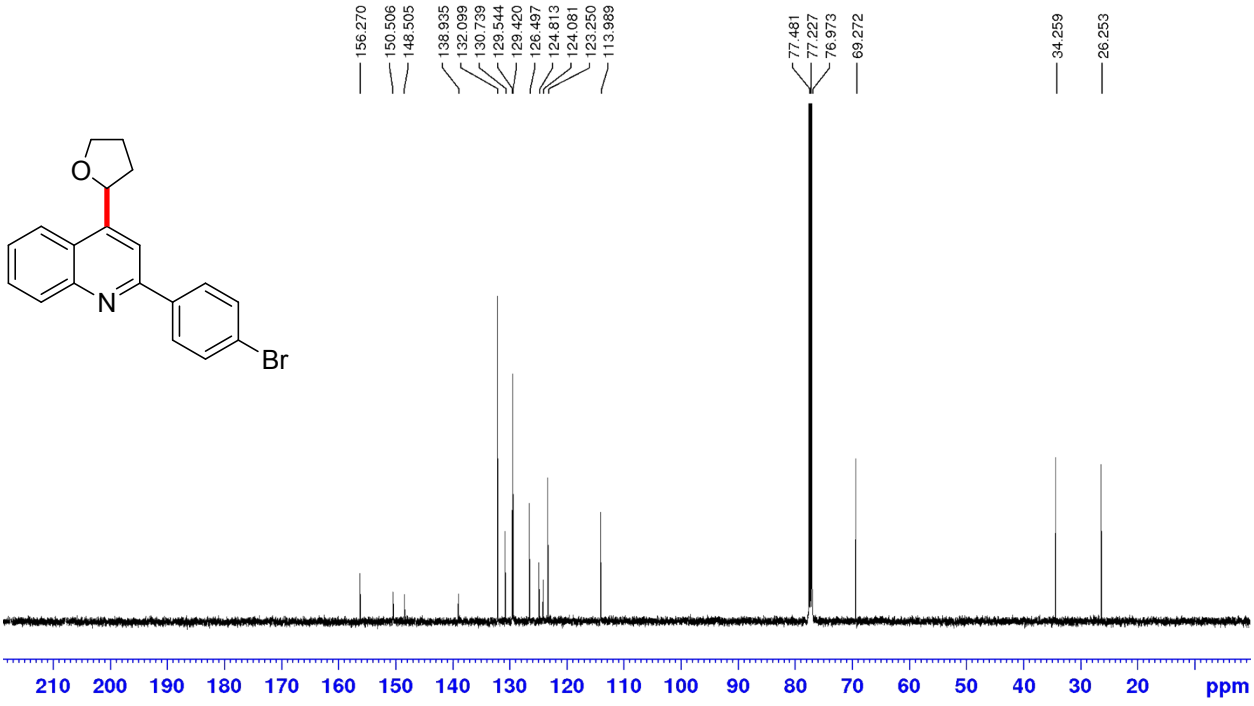
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(4-chlorophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3c)



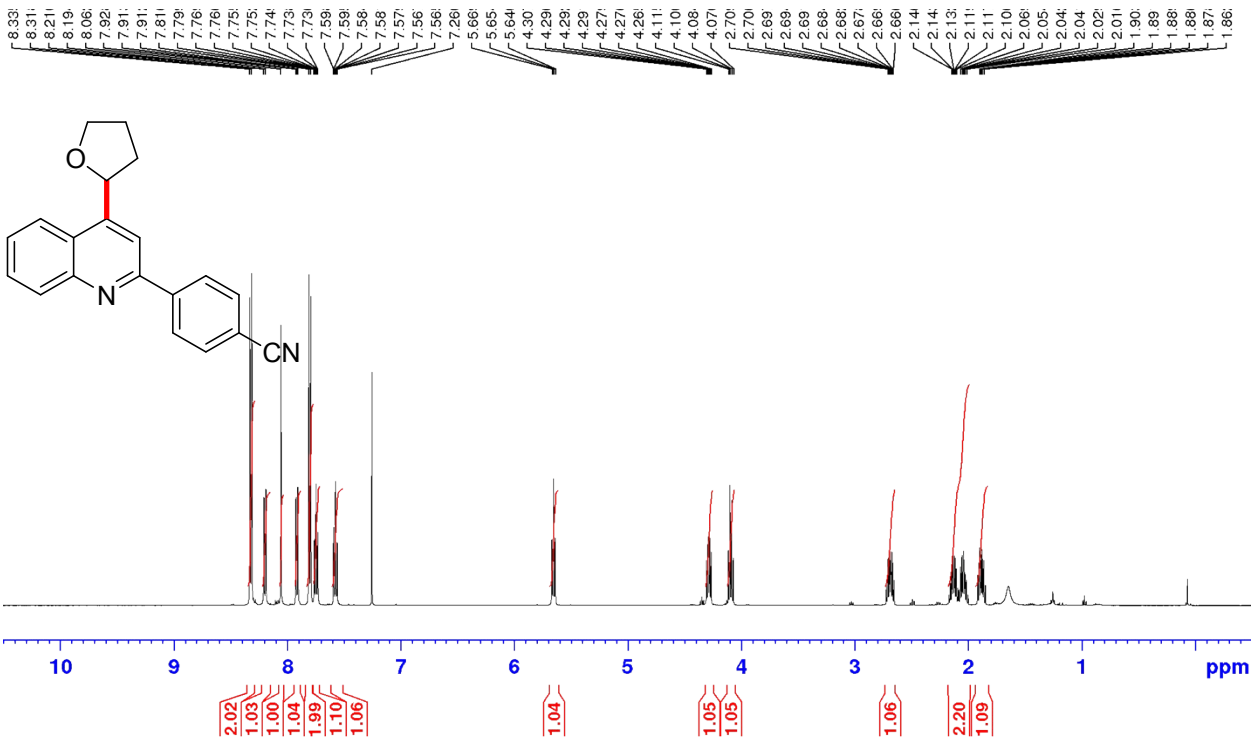
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(4-bromophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3d)



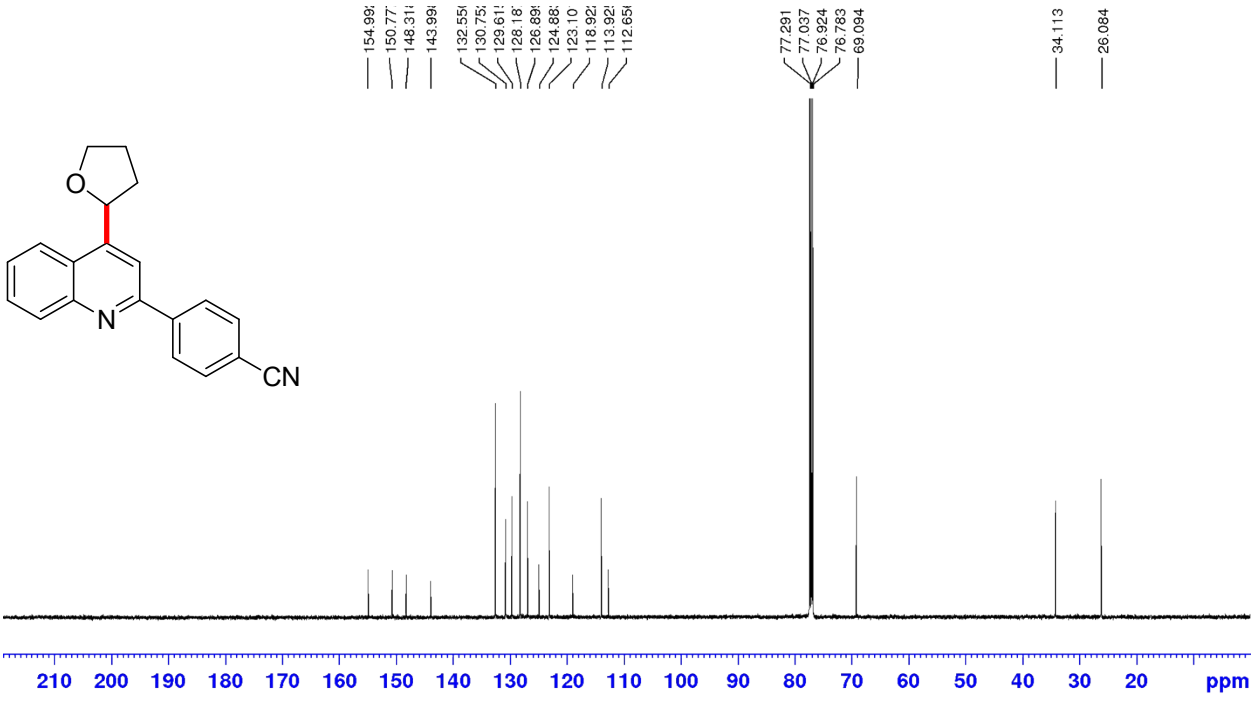
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(4-bromophenyl)-4-(tetrahydrofuran-2-yl)quinoline (3d)



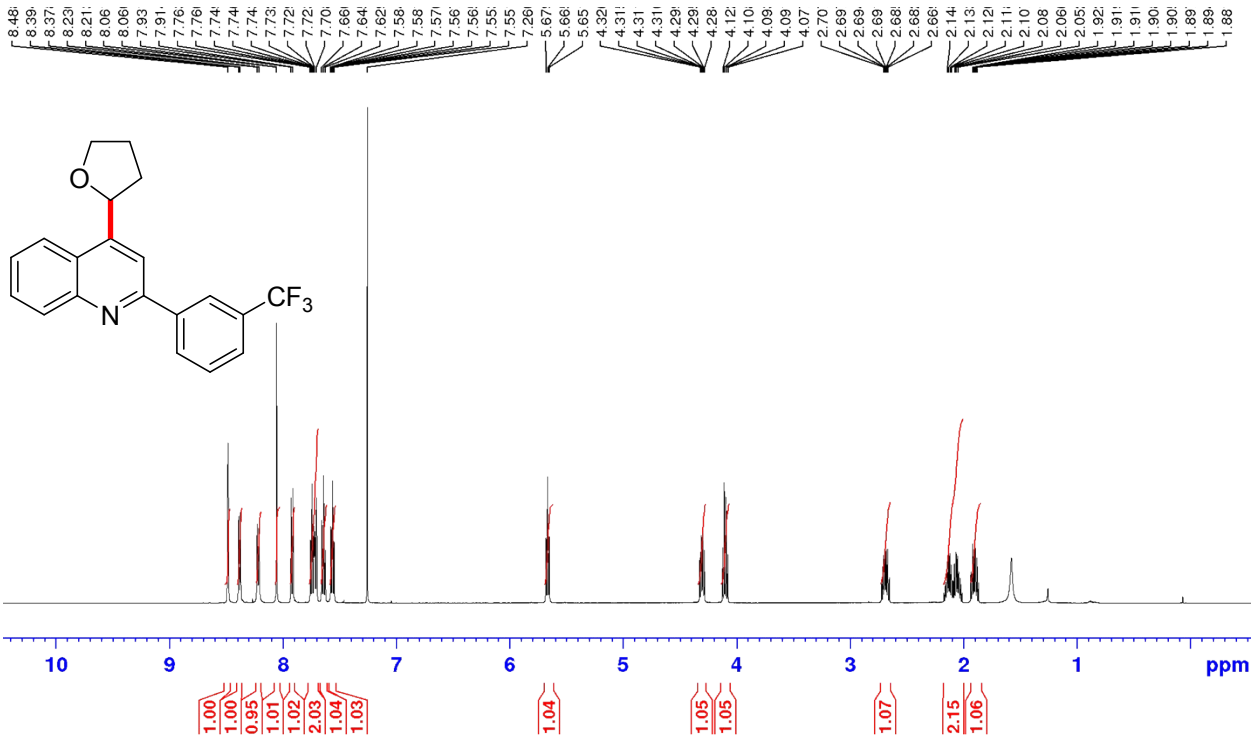
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)benzonitrile (3e)



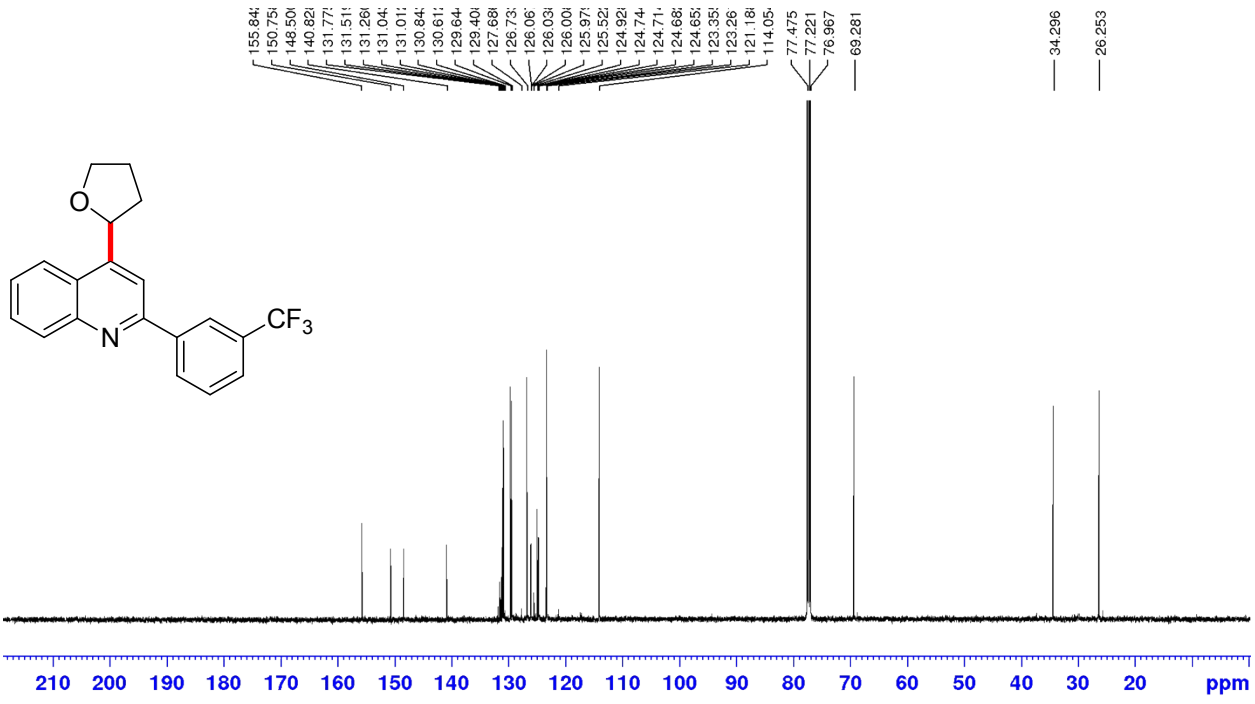
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)benzonitrile (3e)



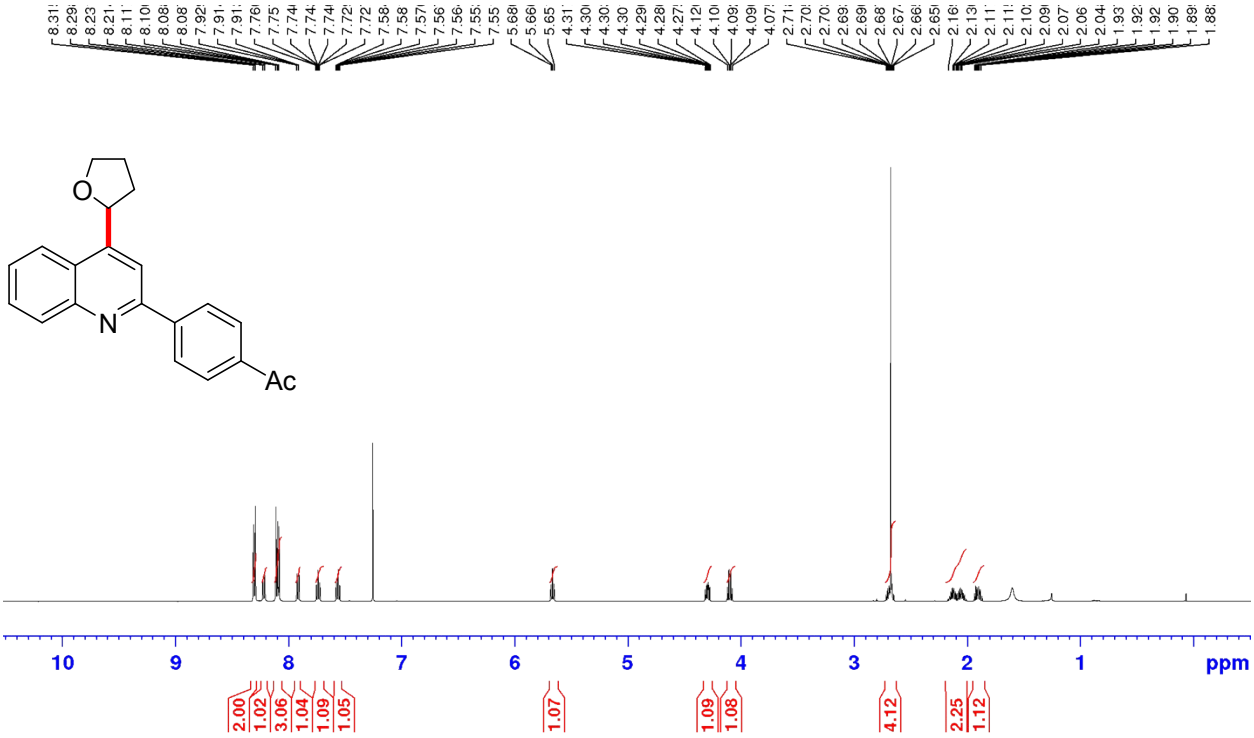
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)-2-(3-(trifluoromethyl)phenyl)quinoline (3f)



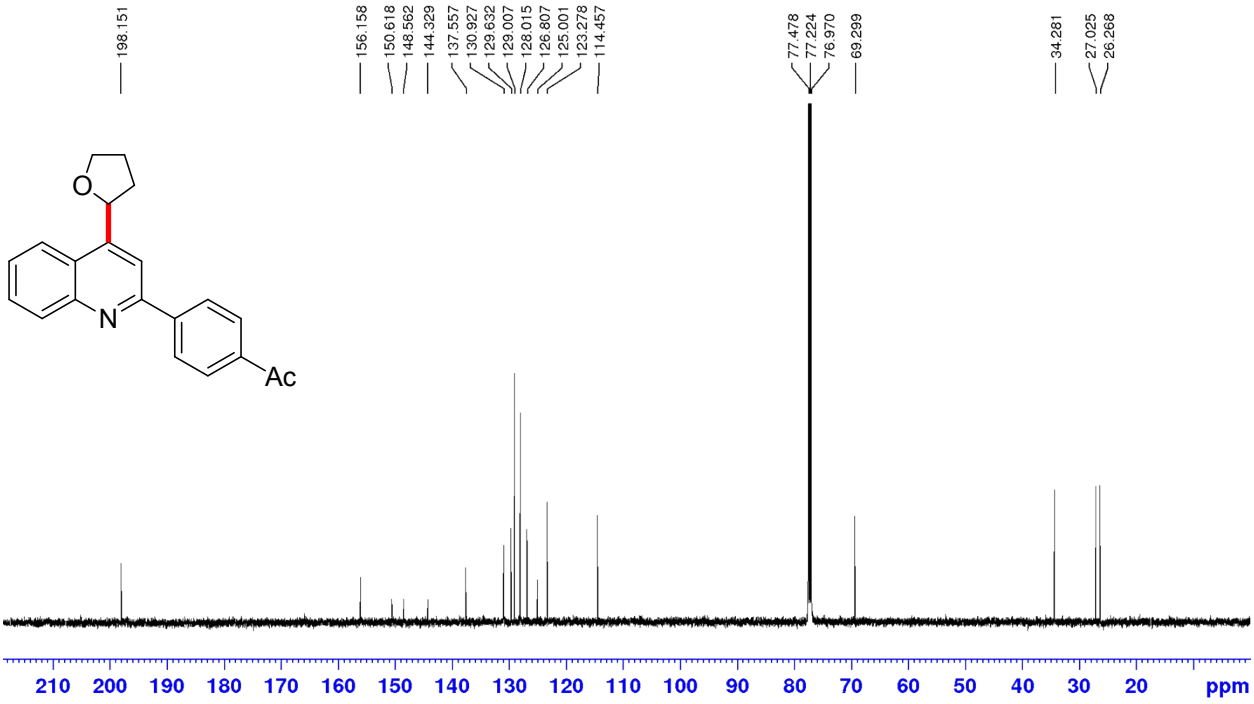
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)-2-(3-(trifluoromethyl)phenyl)quinoline (3f)



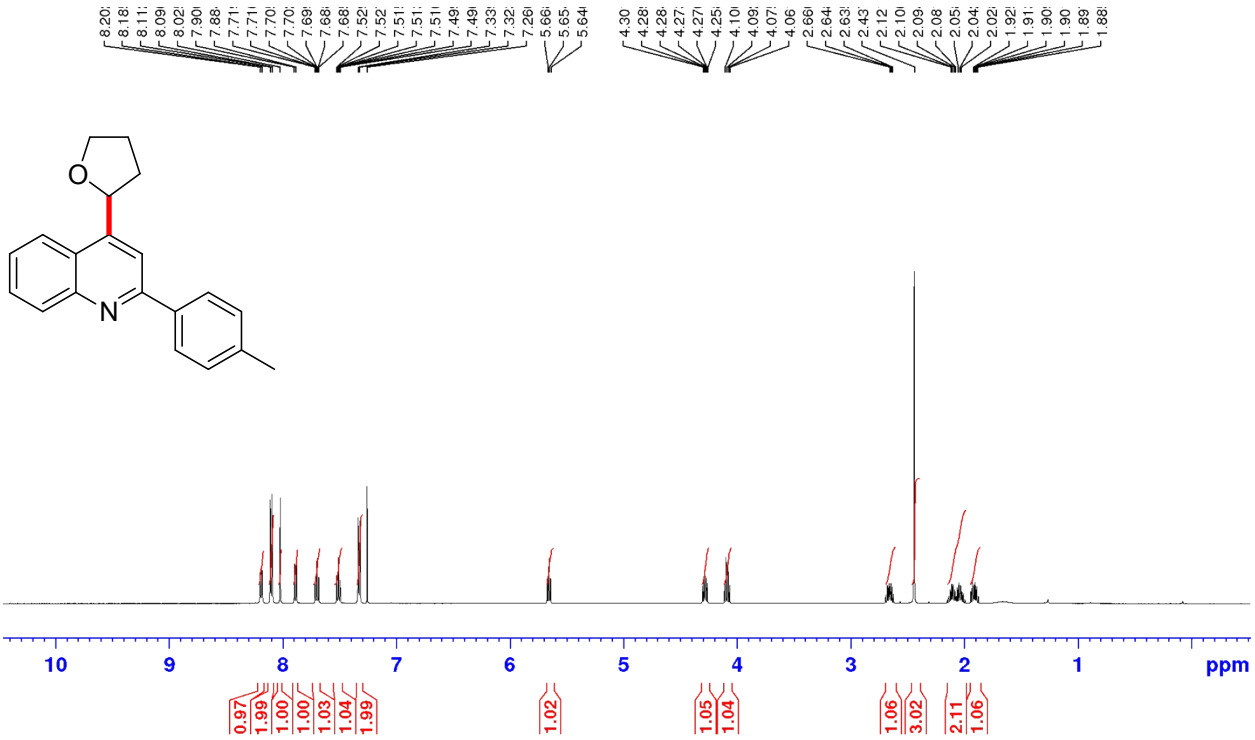
^{1}H NMR (500 MHz, $CDCl_3$) of 1-(4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)phenyl)ethanone (3g)



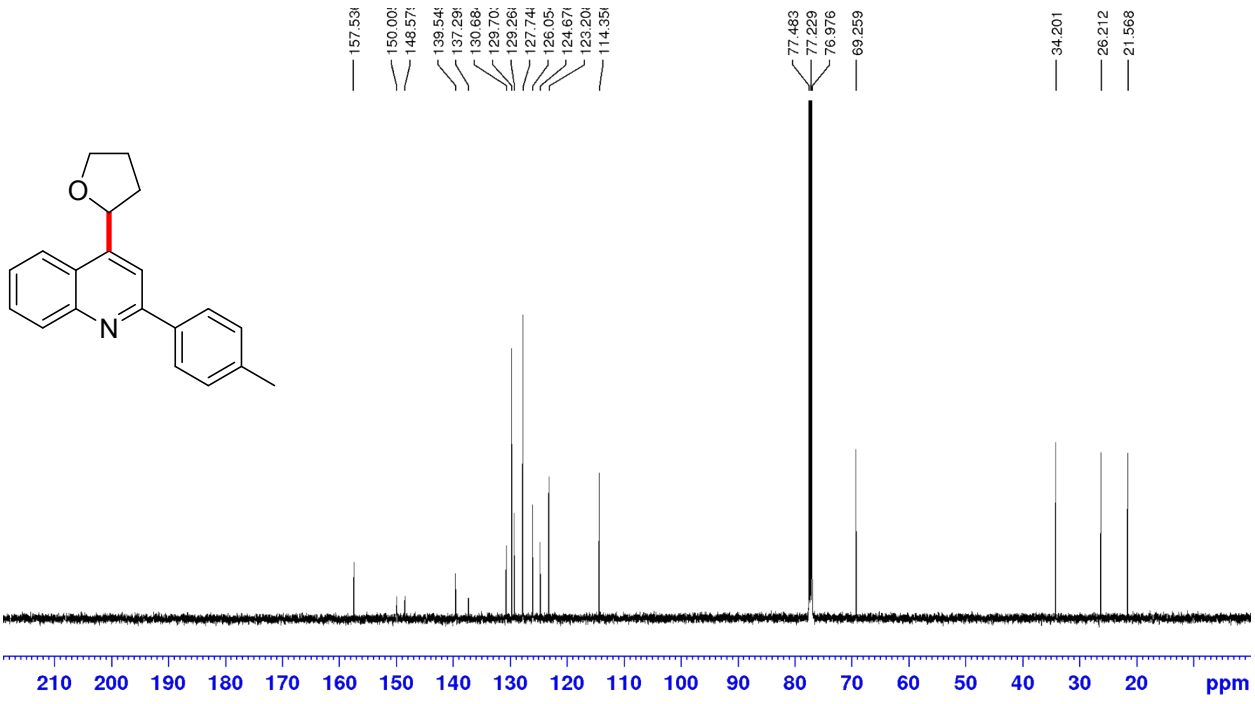
^{13}C NMR (125 MHz, $CDCl_3$) of 1-(4-(4-(tetrahydrofuran-2-yl)quinolin-2-yl)phenyl)ethanone (3g)



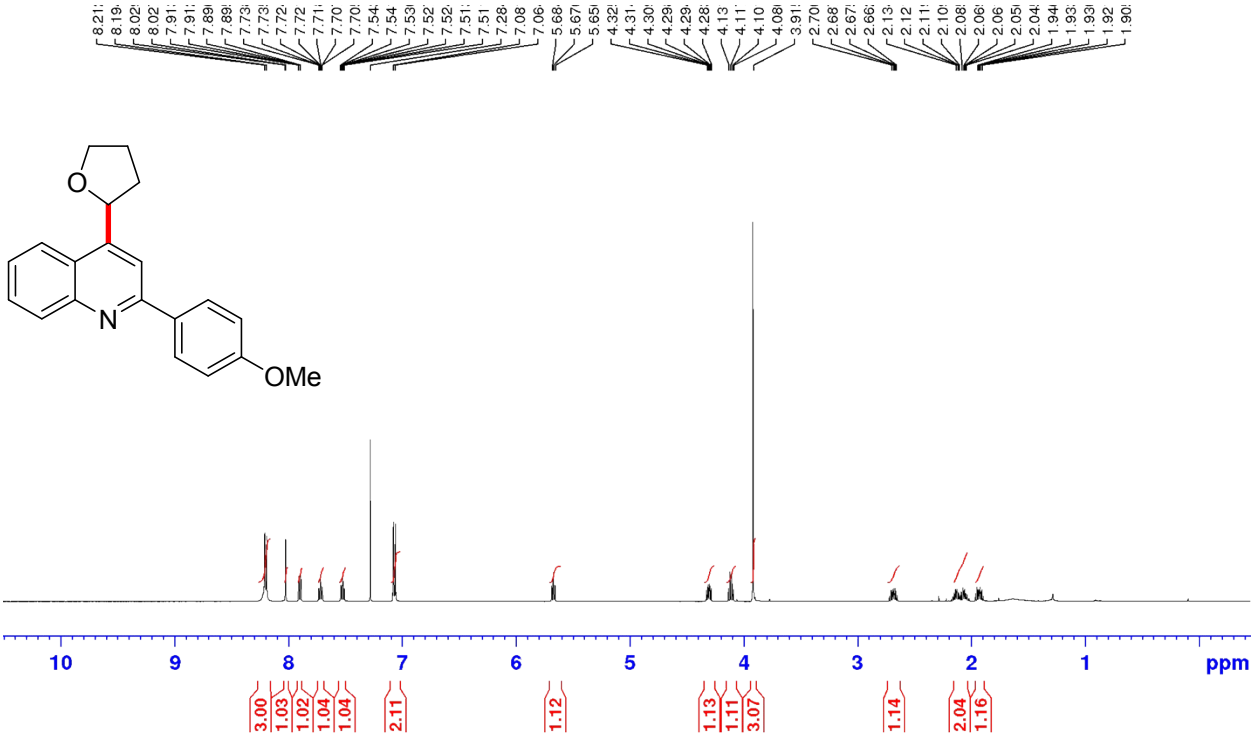
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)-2-(*p*-tolyl)quinoline (3h)



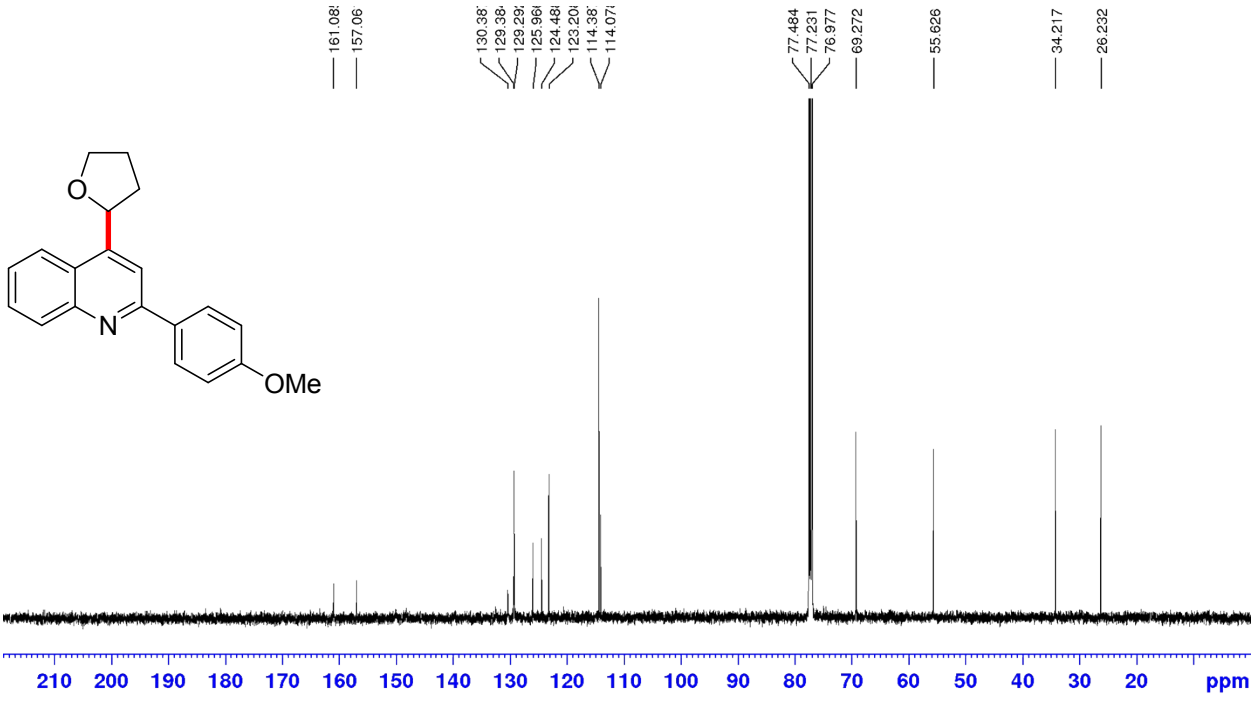
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)-2-(*p*-tolyl)quinoline (3h)



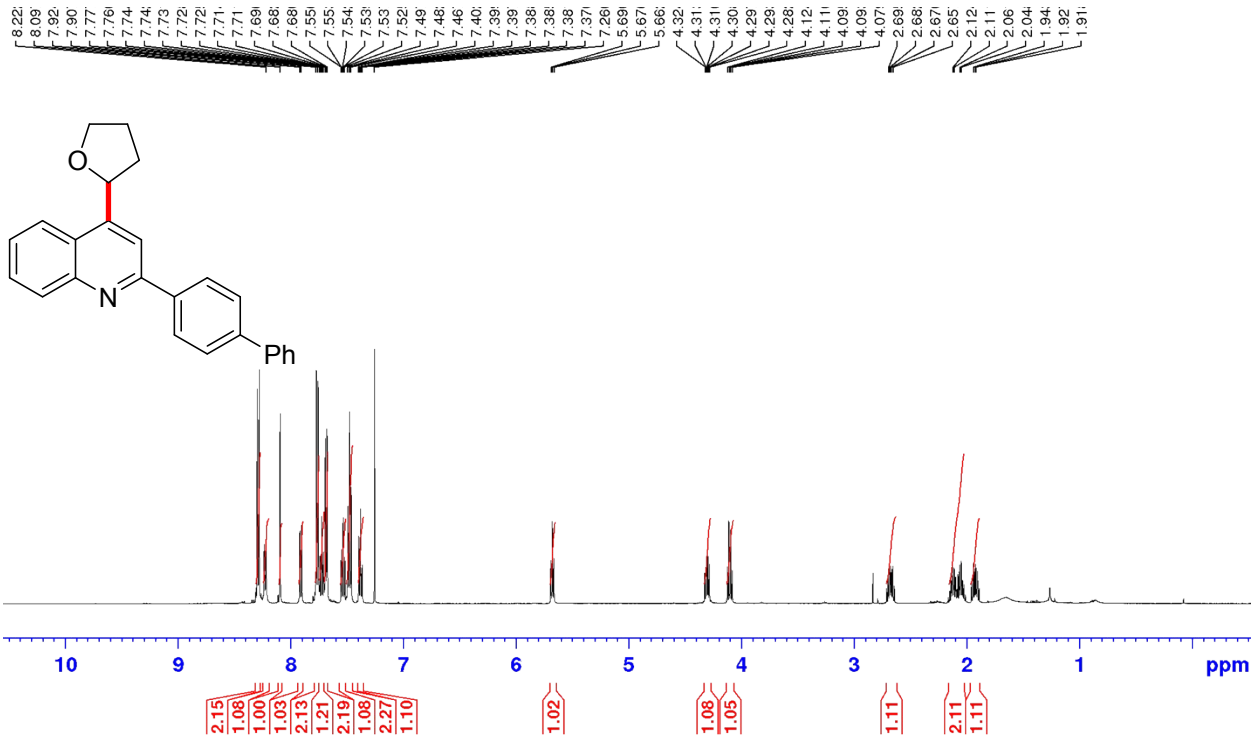
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(4-methoxyphenyl)-4-(tetrahydrofuran-2-yl)quinoline (3i)



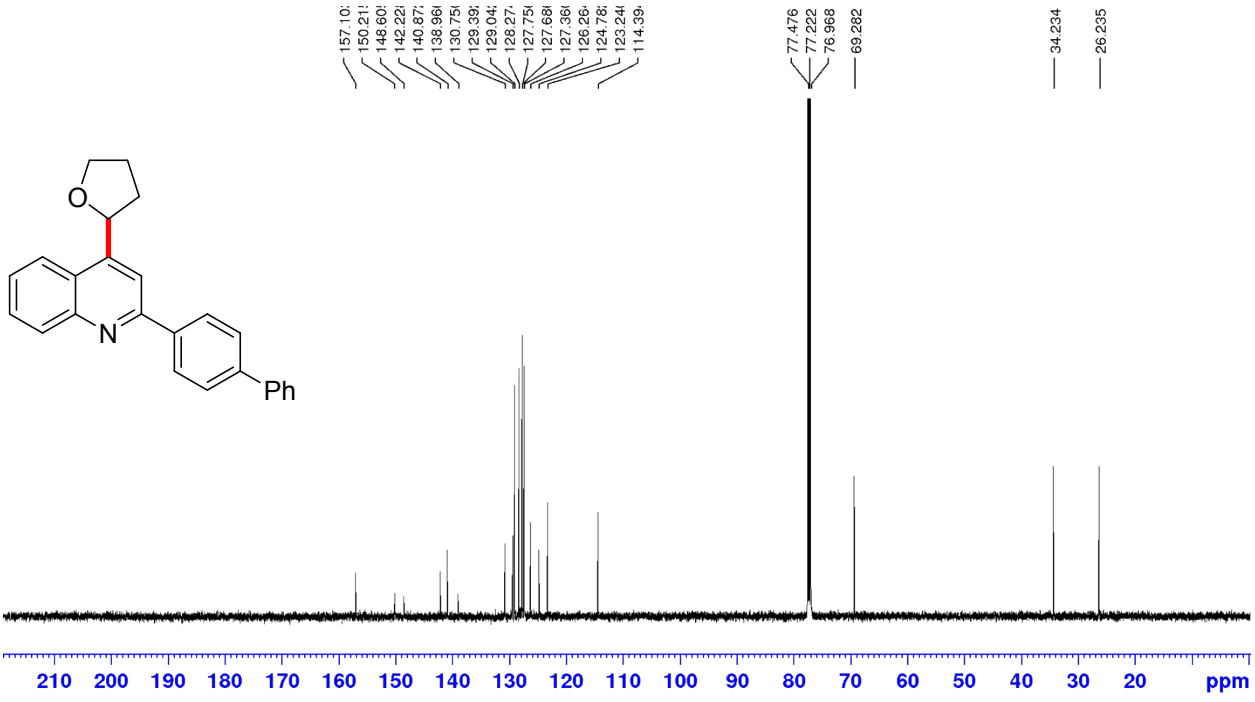
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(4-methoxyphenyl)-4-(tetrahydrofuran-2-yl)quinoline (3i)



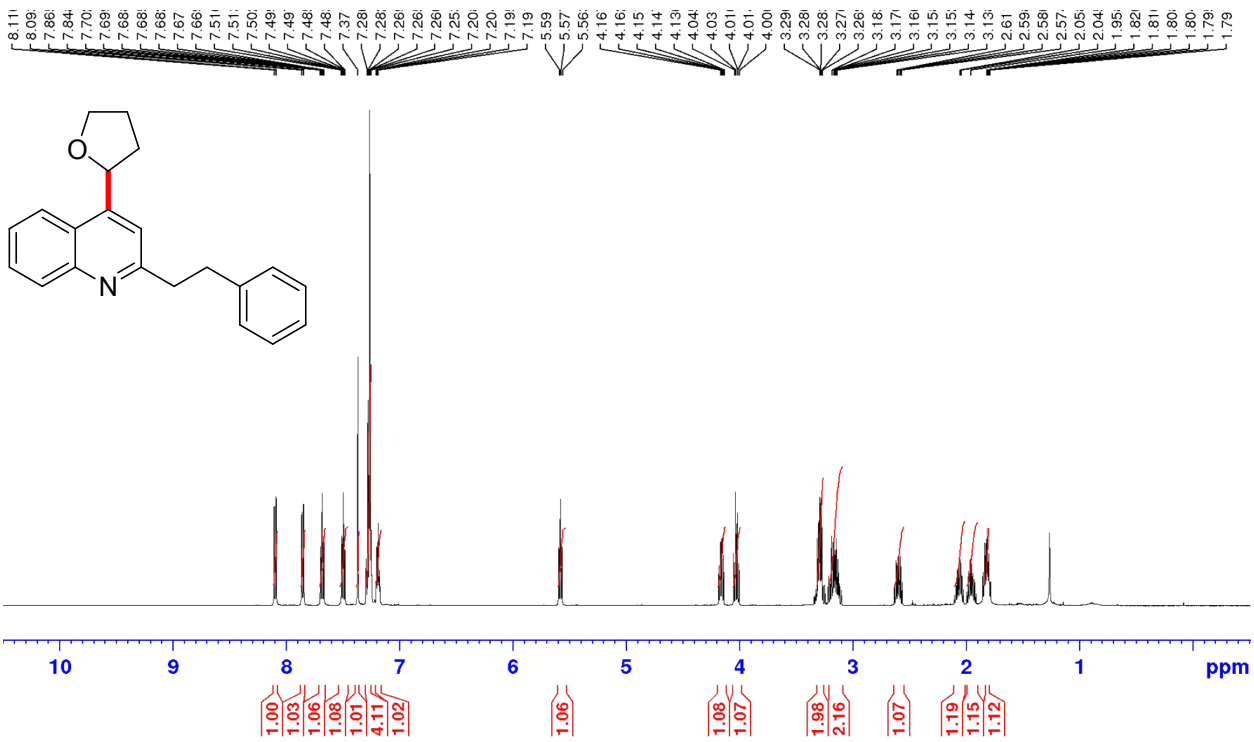
^{1}H NMR (500 MHz, $CDCl_3$) of 2-([1,1'-biphenyl]-4-yl)-4-(tetrahydrofuran-2-yl)quinoline (3j)



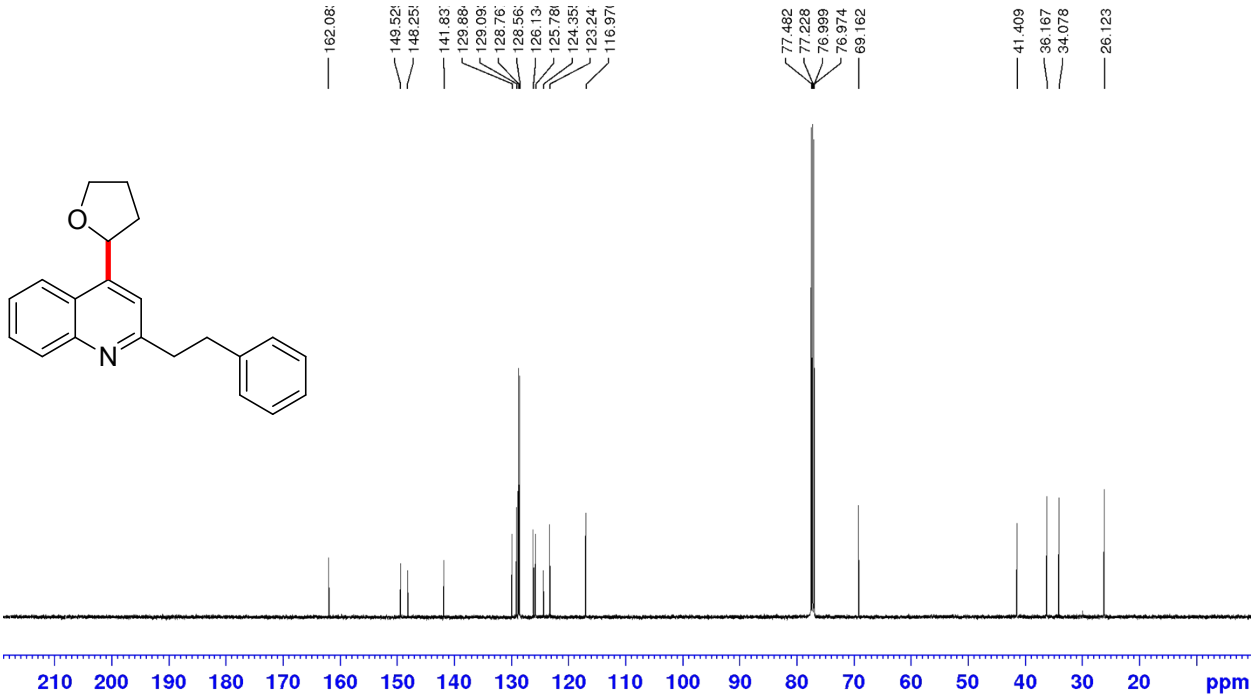
^{13}C NMR (125 MHz, $CDCl_3$) of 2-([1,1'-biphenyl]-4-yl)-4-(tetrahydrofuran-2-yl)quinoline (3j)



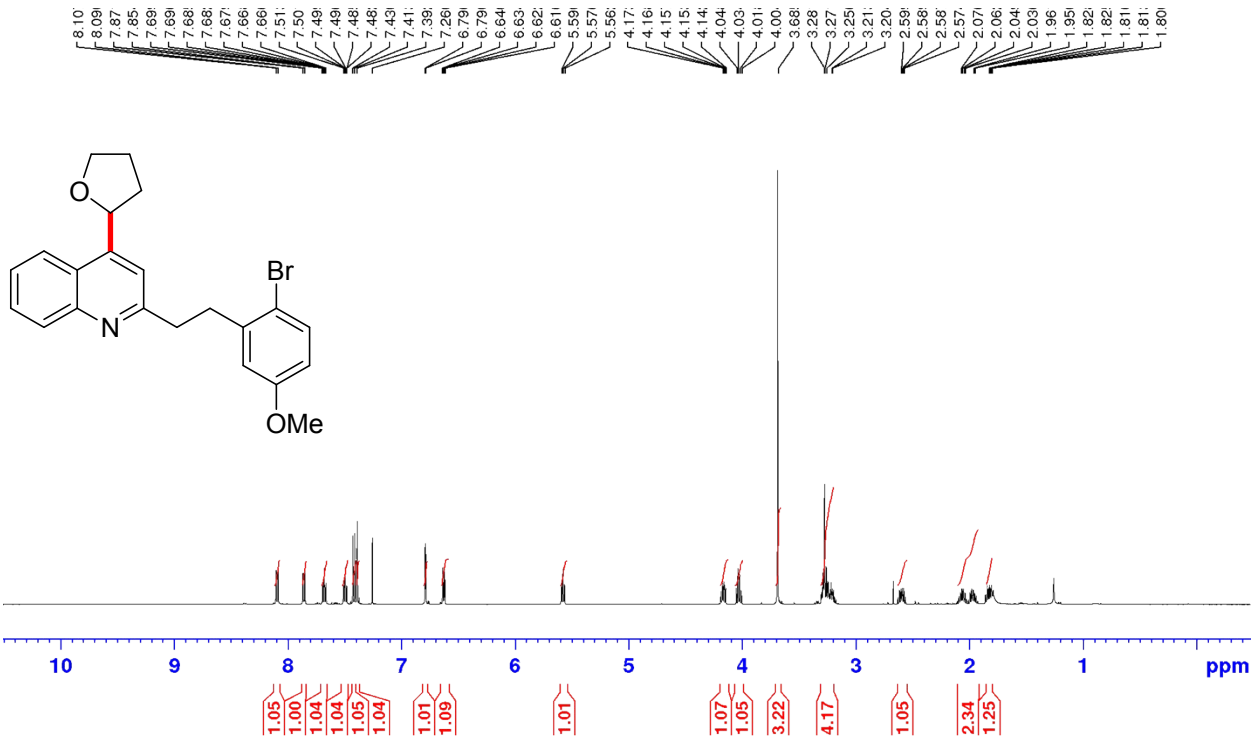
^{1}H NMR (500 MHz, $CDCl_3$) of 2-phenethyl-4-(tetrahydrofuran-2-yl)quinoline (3k)



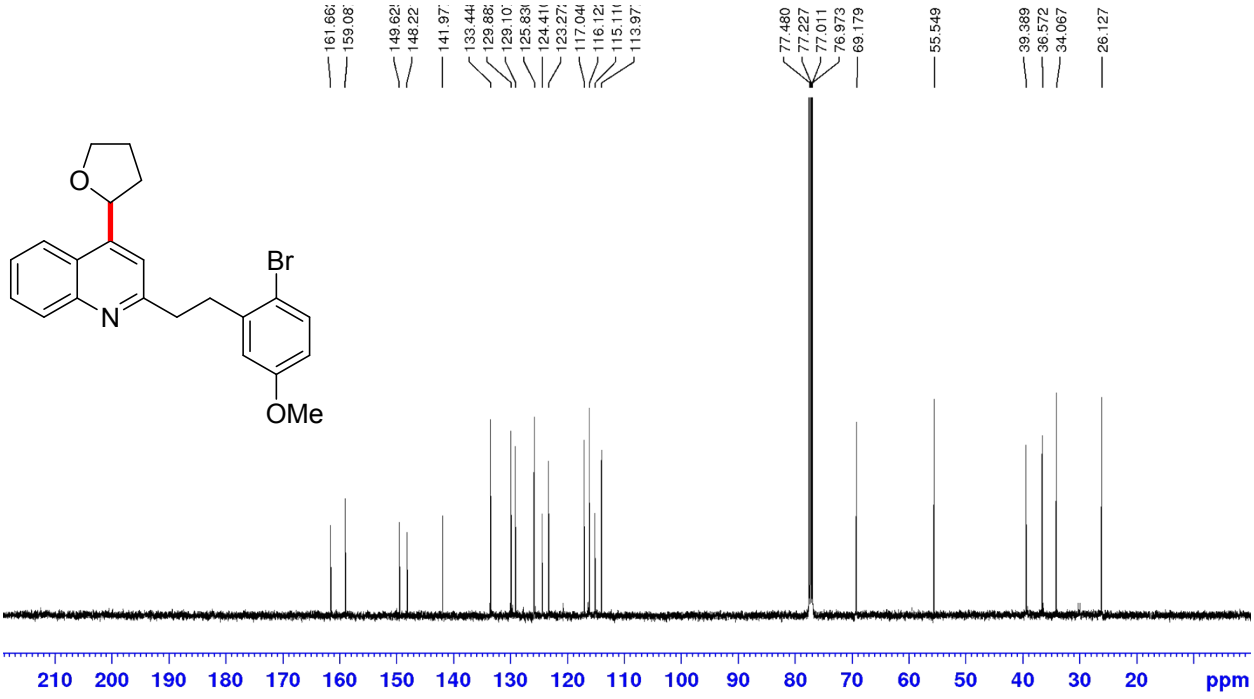
^{13}C NMR (125 MHz, $CDCl_3$) of 2-phenethyl-4-(tetrahydrofuran-2-yl)quinoline (3k)



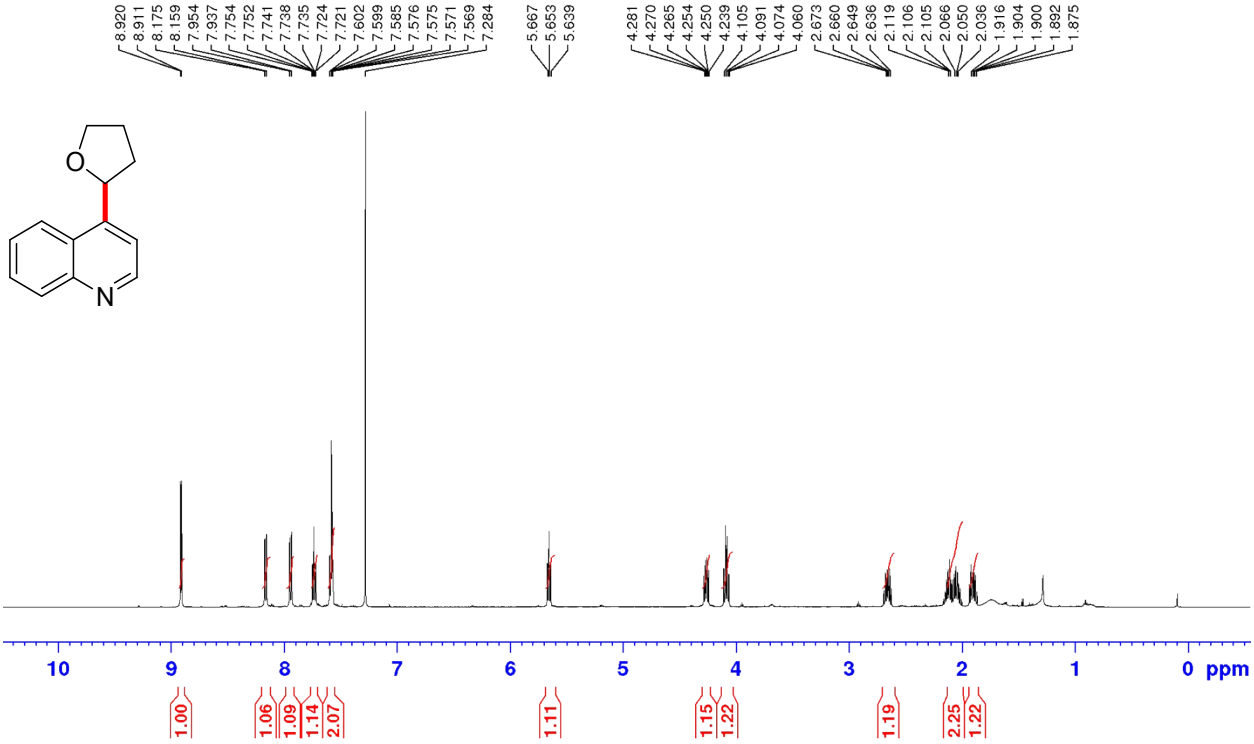
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(2-bromo-5-methoxyphenethyl)-4-(tetrahydrofuran-2-yl)quinoline (3l)



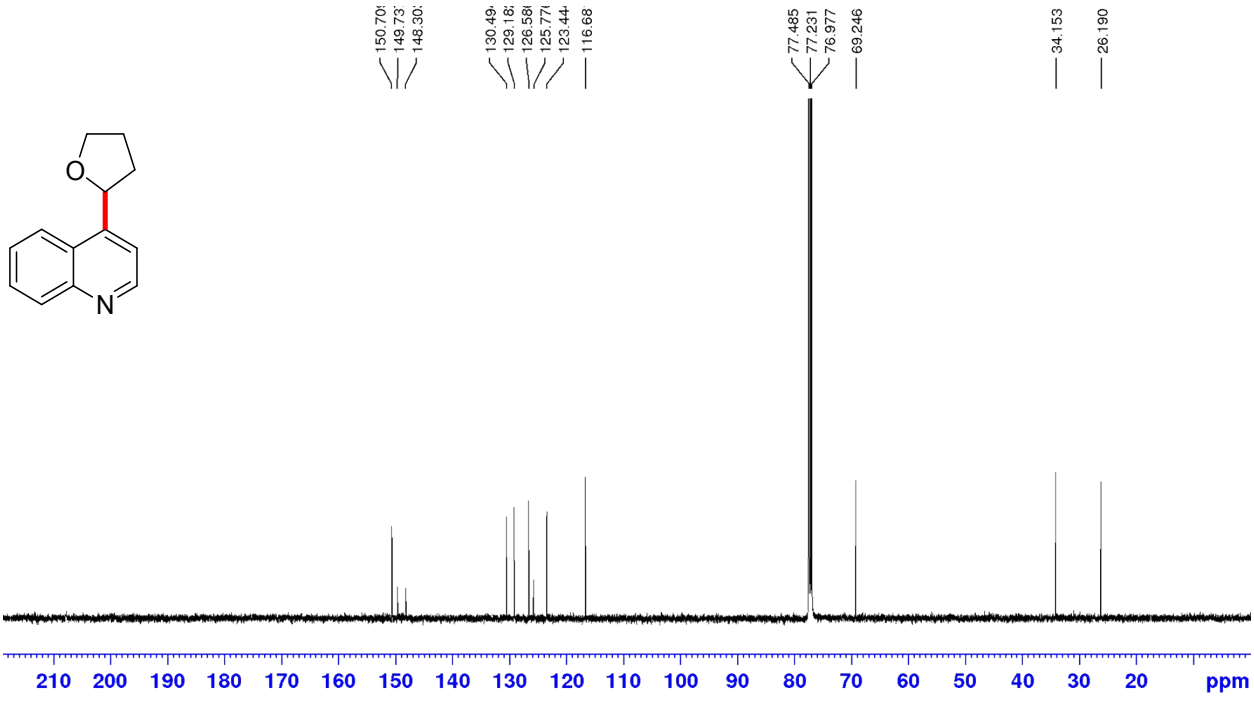
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(2-bromo-5-methoxyphenethyl)-4-(tetrahydrofuran-2-yl)quinoline (3l)



^{1}H NMR (500 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)quinoline (3m)



^{13}C NMR (125 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)quinoline (3m)



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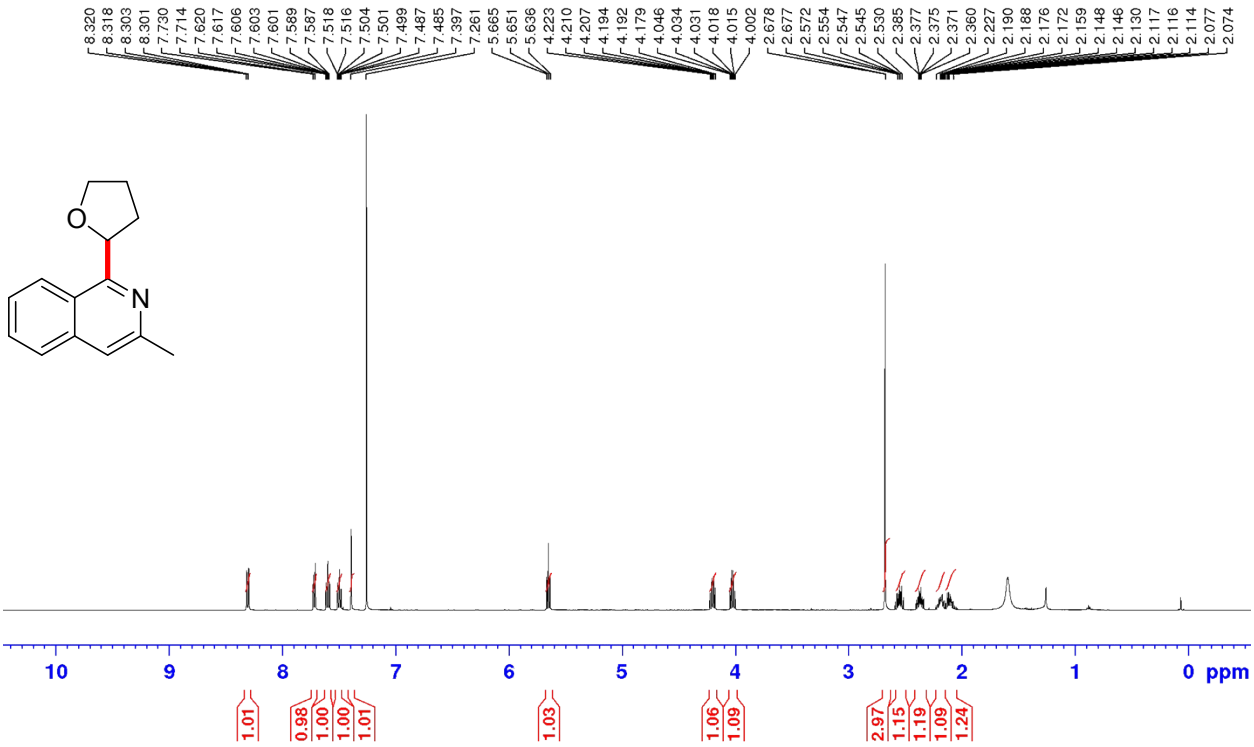
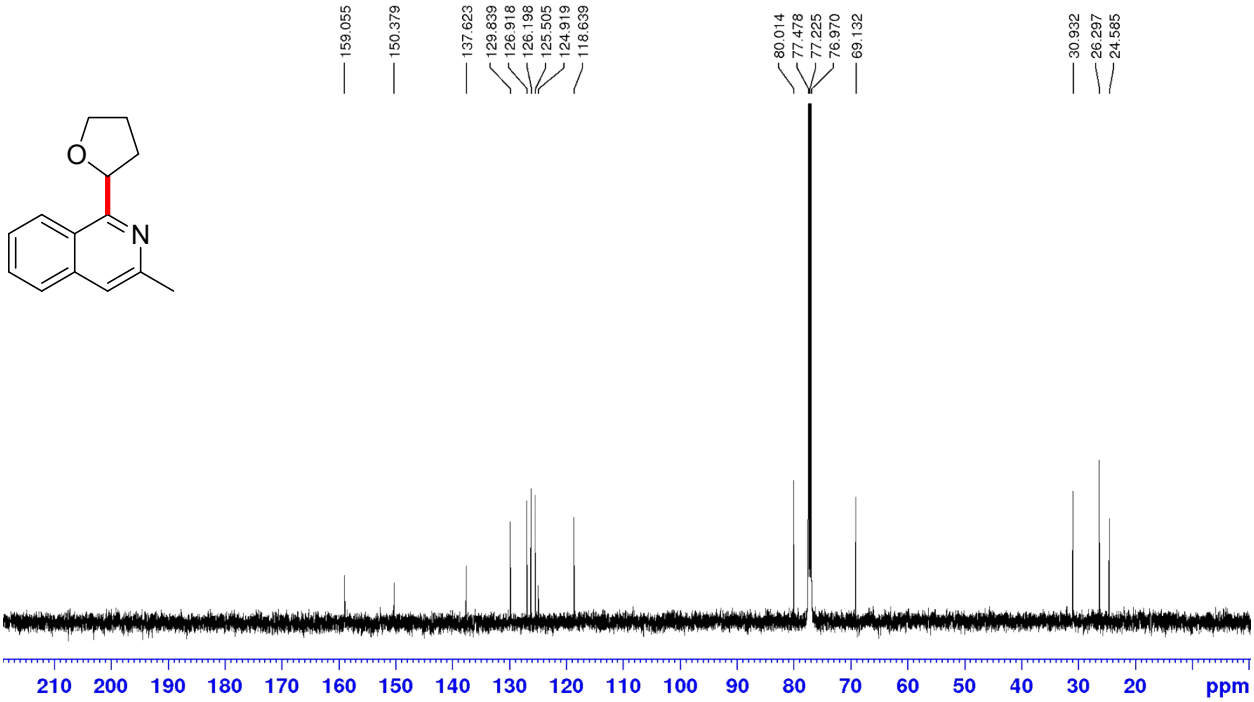
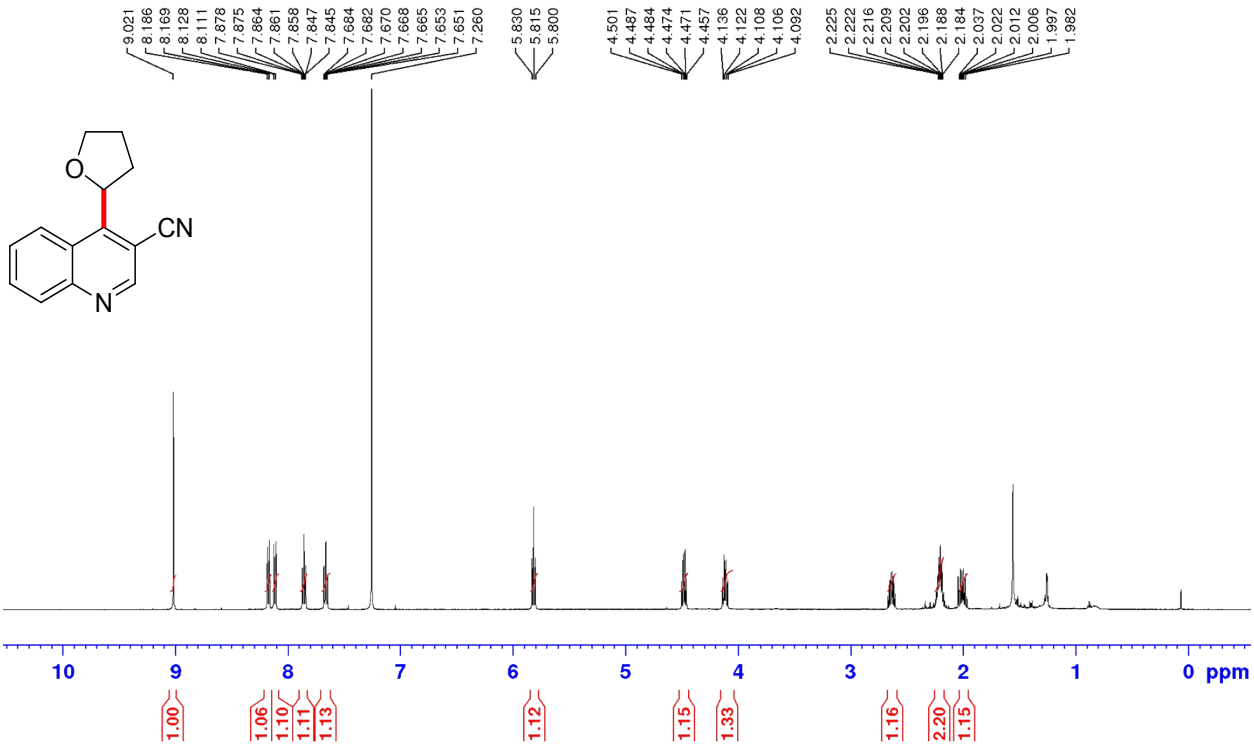
^{1}H NMR (500 MHz, $CDCl_3$) of 3-methyl-1-(tetrahydrofuran-2-yl) (3n)



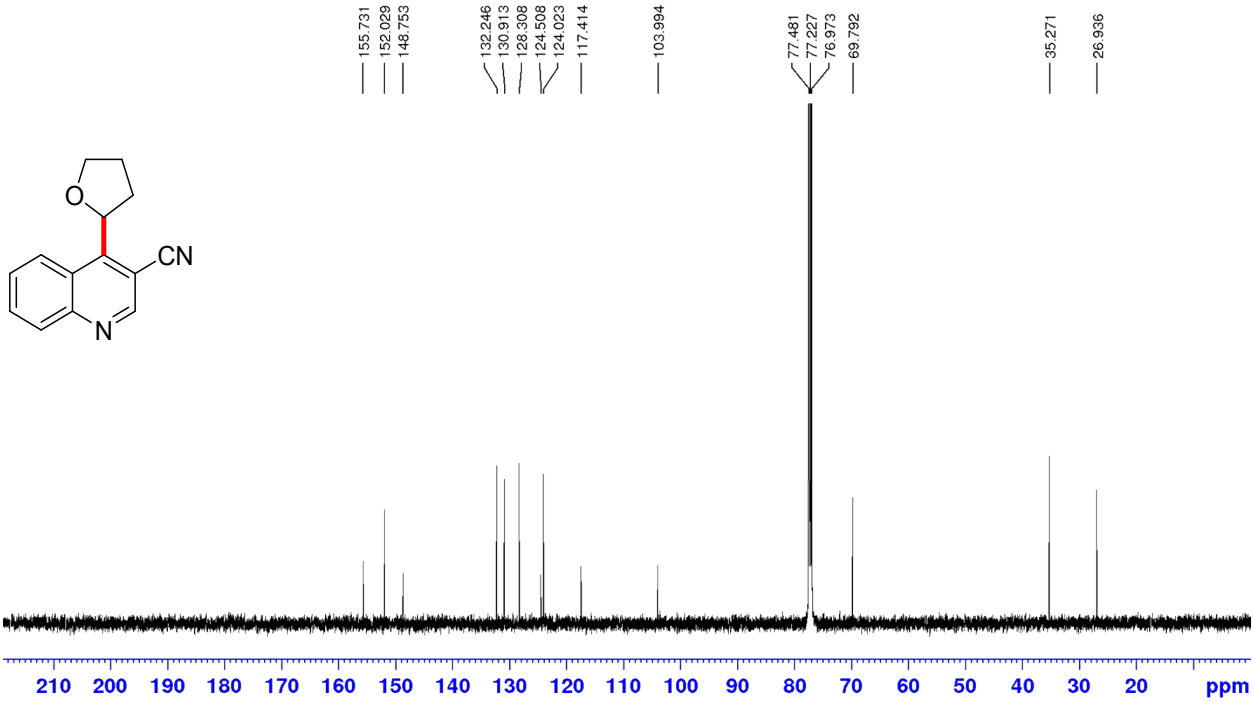
^{13}C NMR (125 MHz, $CDCl_3$) of 3-methyl-1-(tetrahydrofuran-2-yl) (3n)



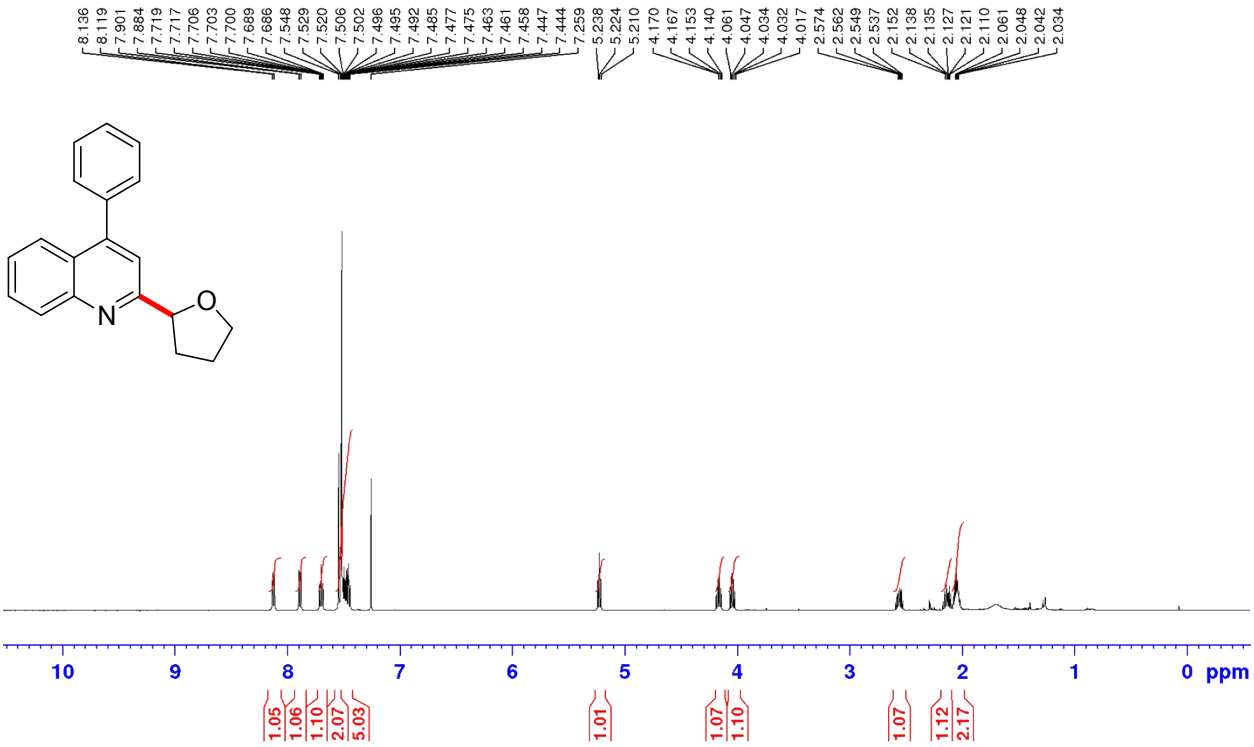
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)quinoline-3-carbonitrile (3o)



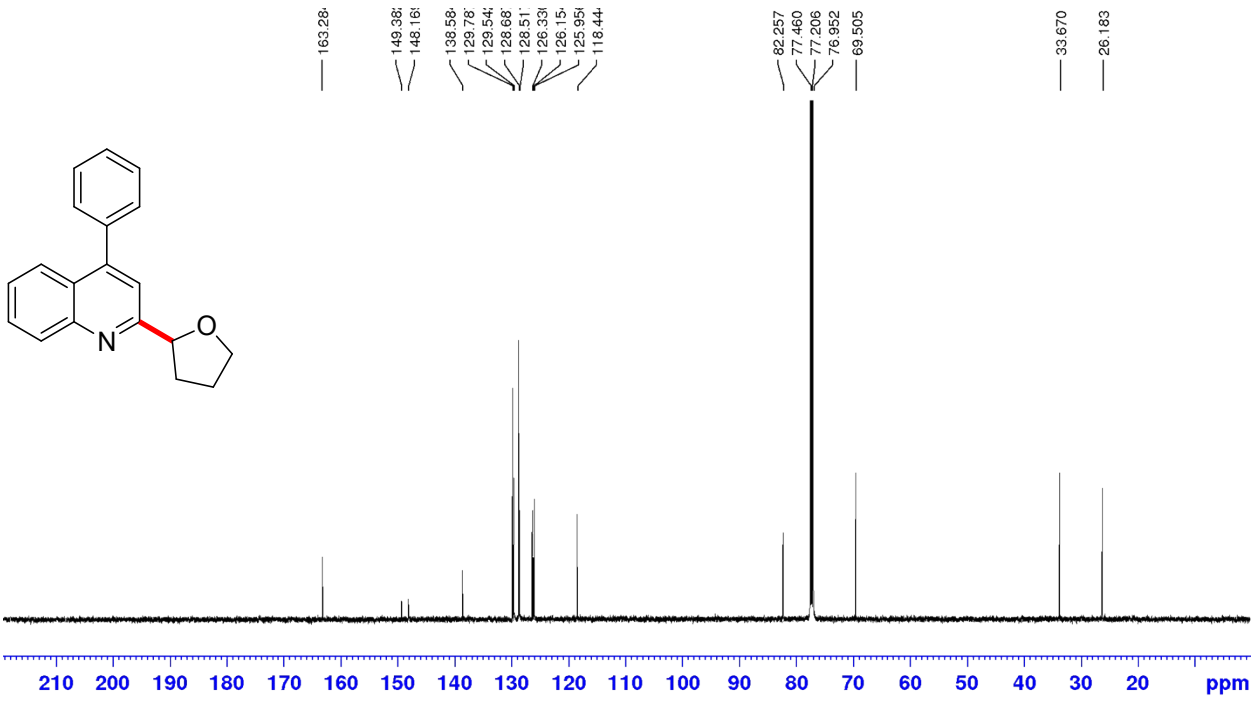
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(tetrahydrofuran-2-yl)quinoline-3-carbonitrile (3o)



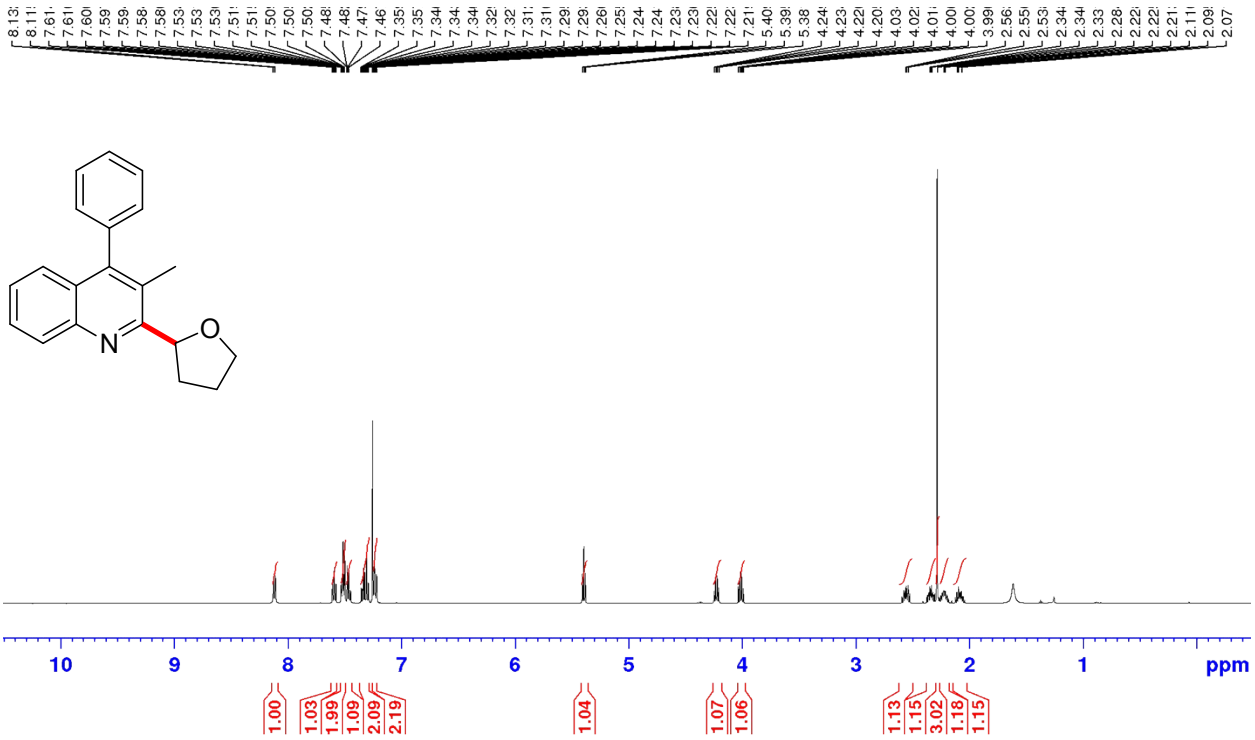
^{1}H NMR (500 MHz, $CDCl_3$) of 4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3p)



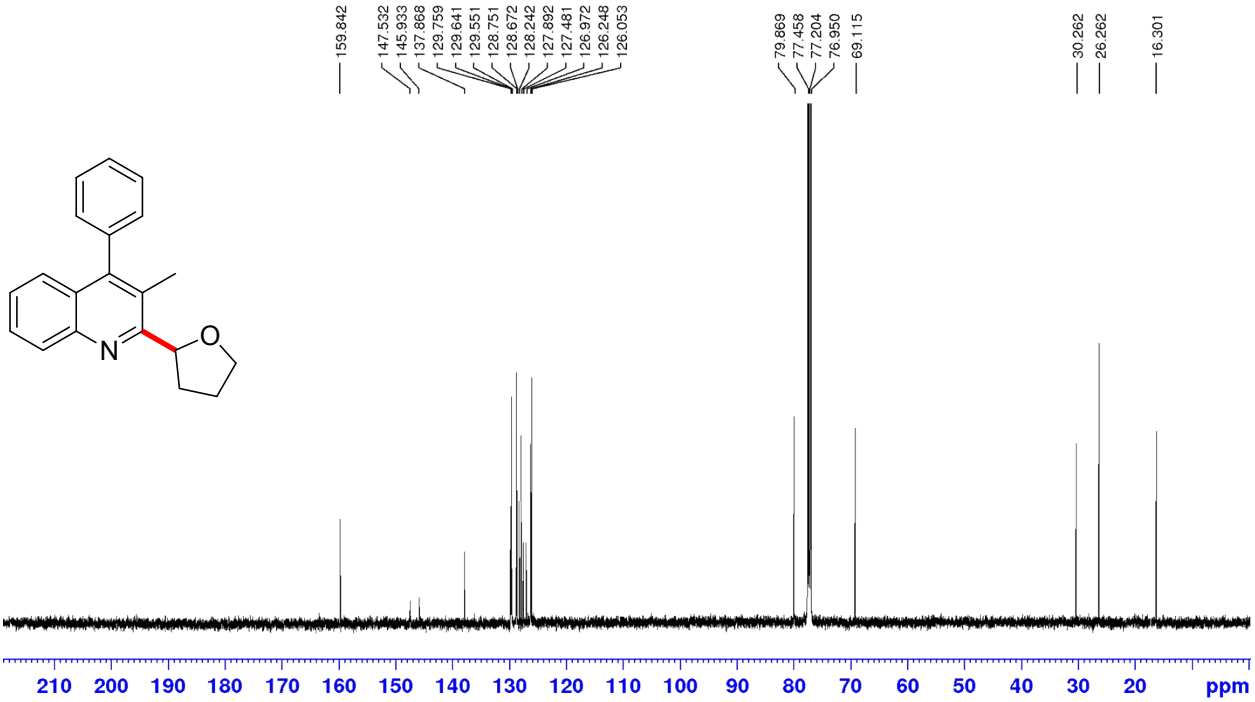
^{13}C NMR (125 MHz, $CDCl_3$) of 4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3p)



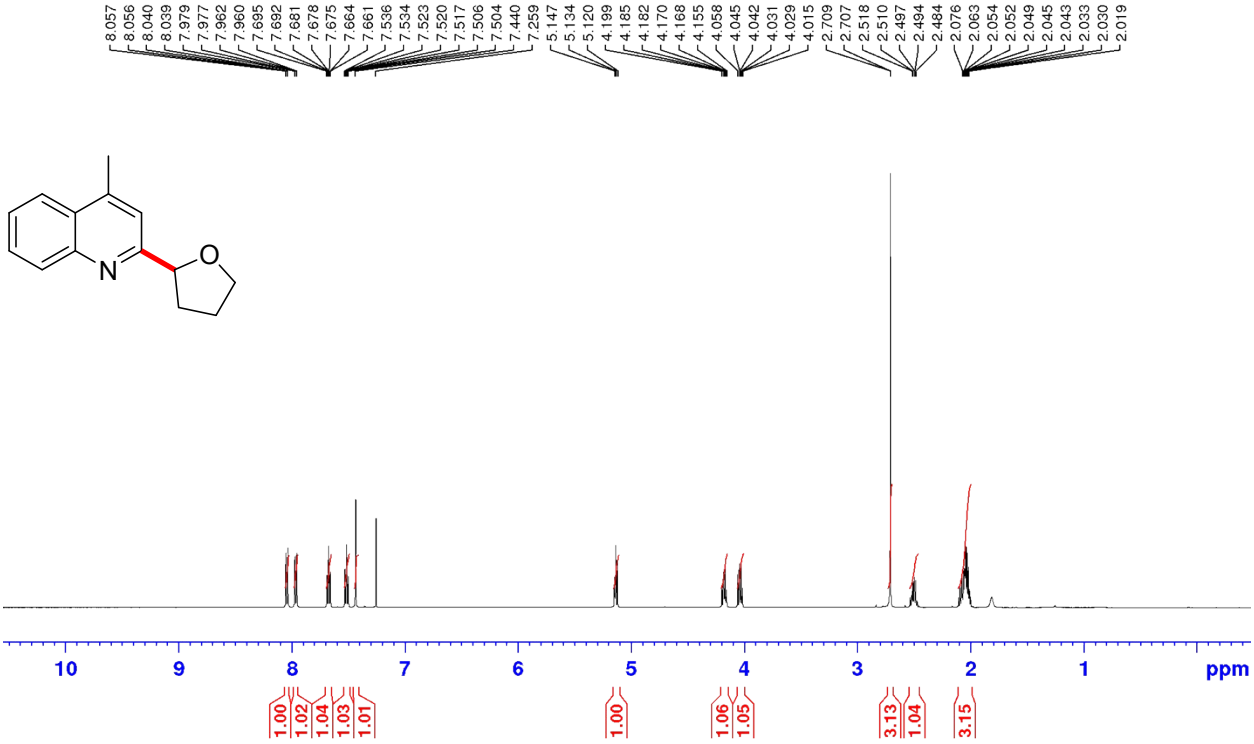
^{1}H NMR (500 MHz, $CDCl_3$) of 3-methyl-4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3q)



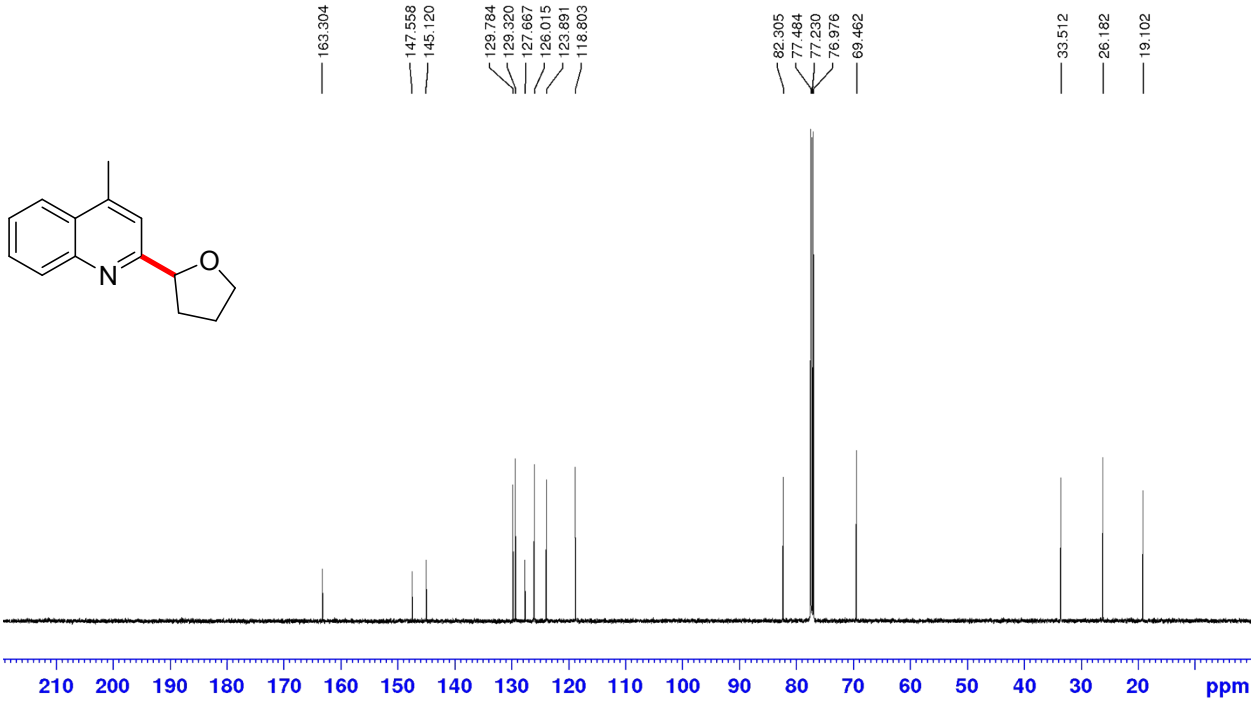
^{13}C NMR (125 MHz, $CDCl_3$) of 3-methyl-4-phenyl-2-(tetrahydrofuran-2-yl)quinoline (3q)



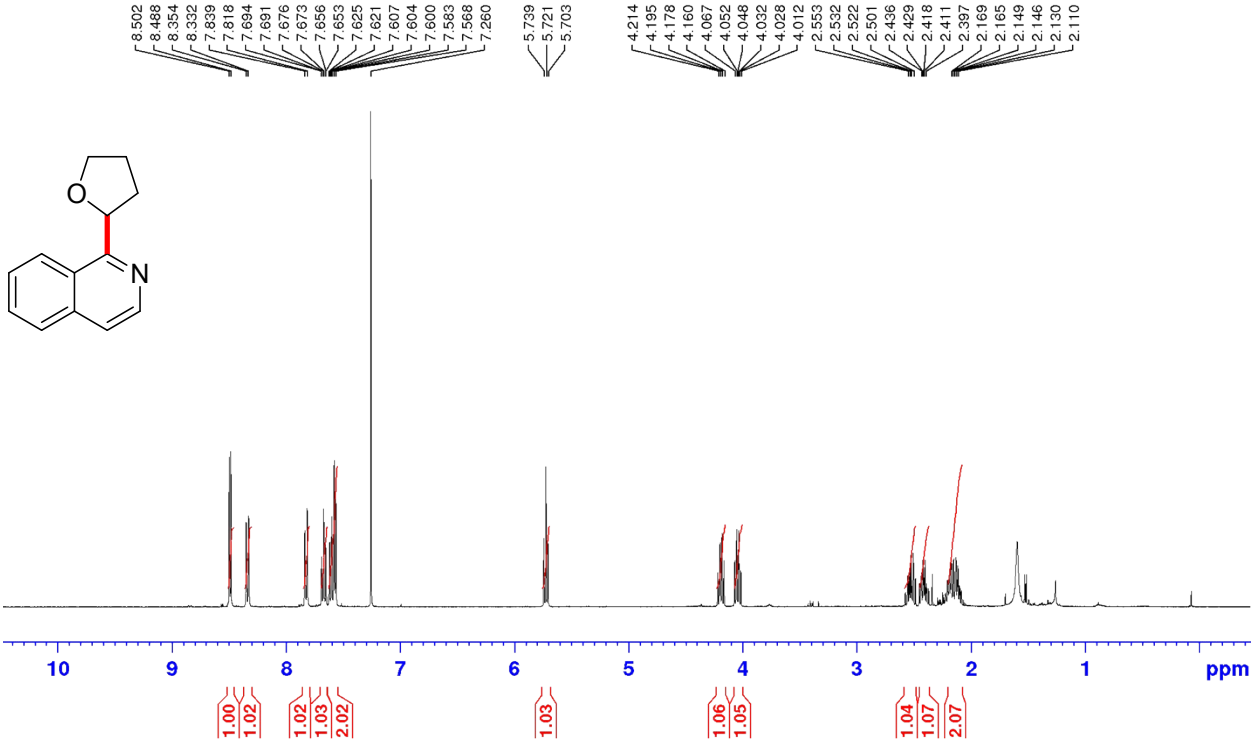
^{1}H NMR (500 MHz, $CDCl_3$) of 4-methyl-2-(tetrahydrofuran-2-yl)quinoline (3r)



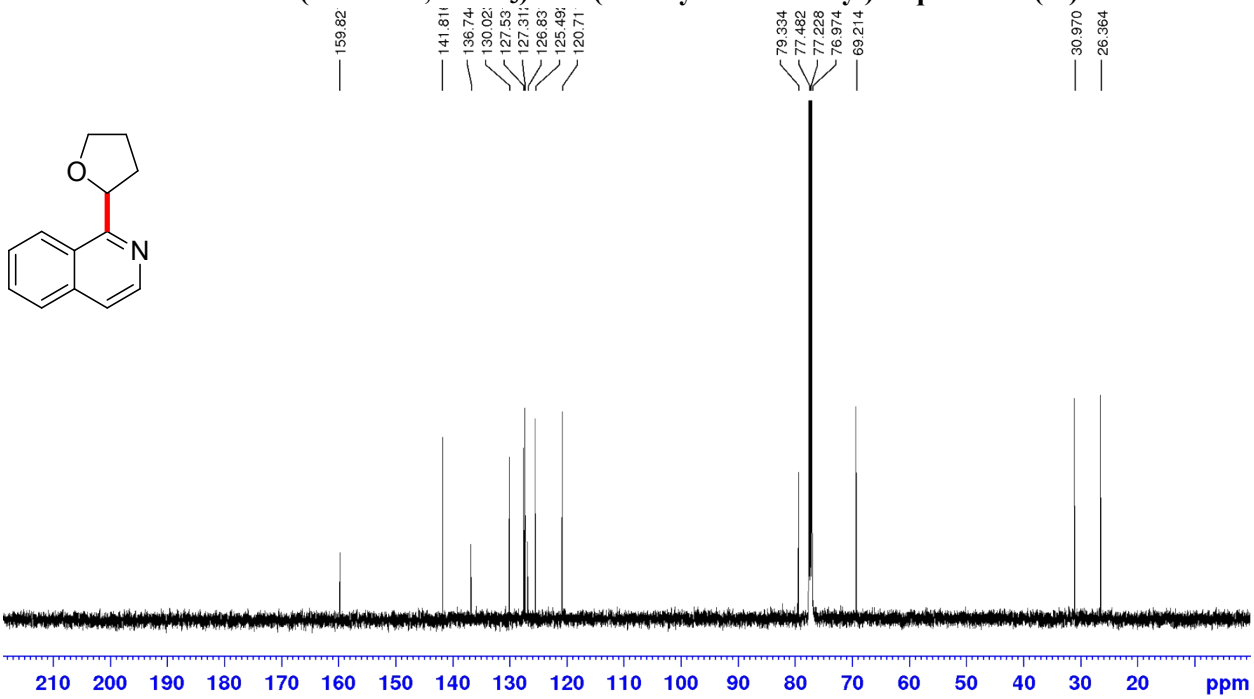
^{13}C NMR (125 MHz, $CDCl_3$) of 4-methyl-2-(tetrahydrofuran-2-yl)quinoline (3r)



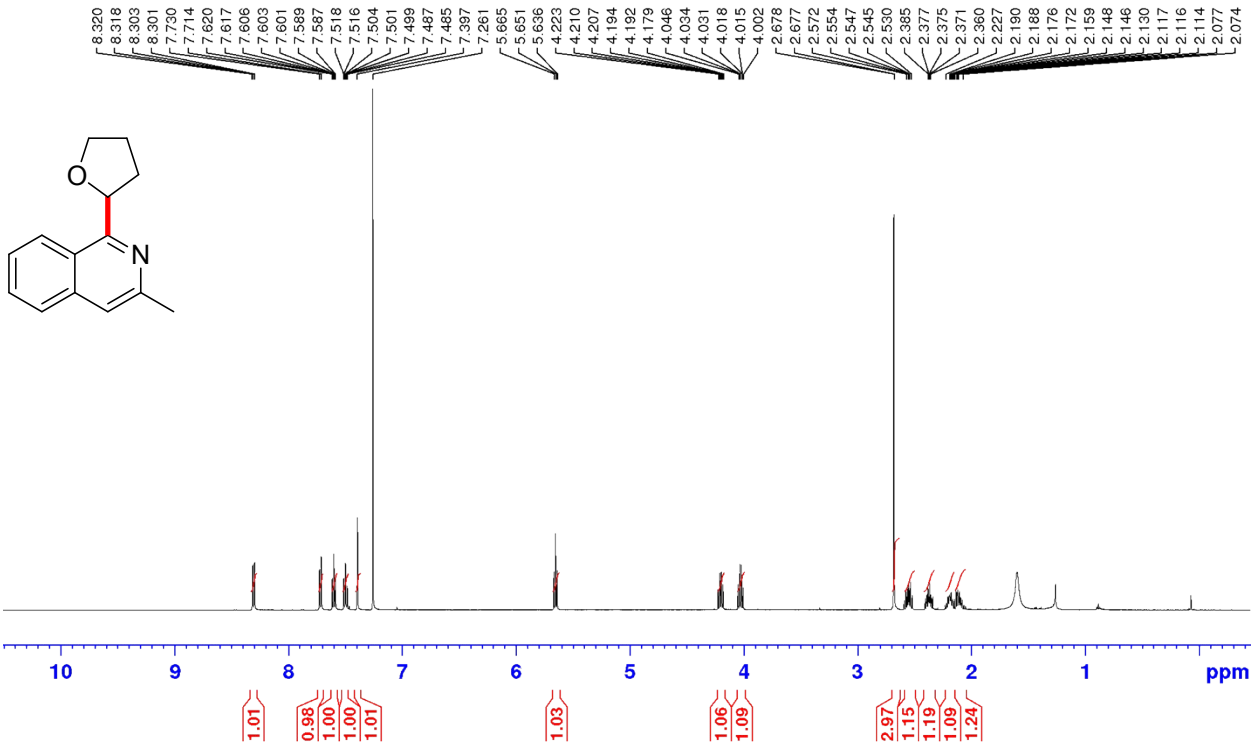
^{1}H NMR (500 MHz, $CDCl_3$) of 1-(tetrahydrofuran-2-yl)isoquinoline (3s)



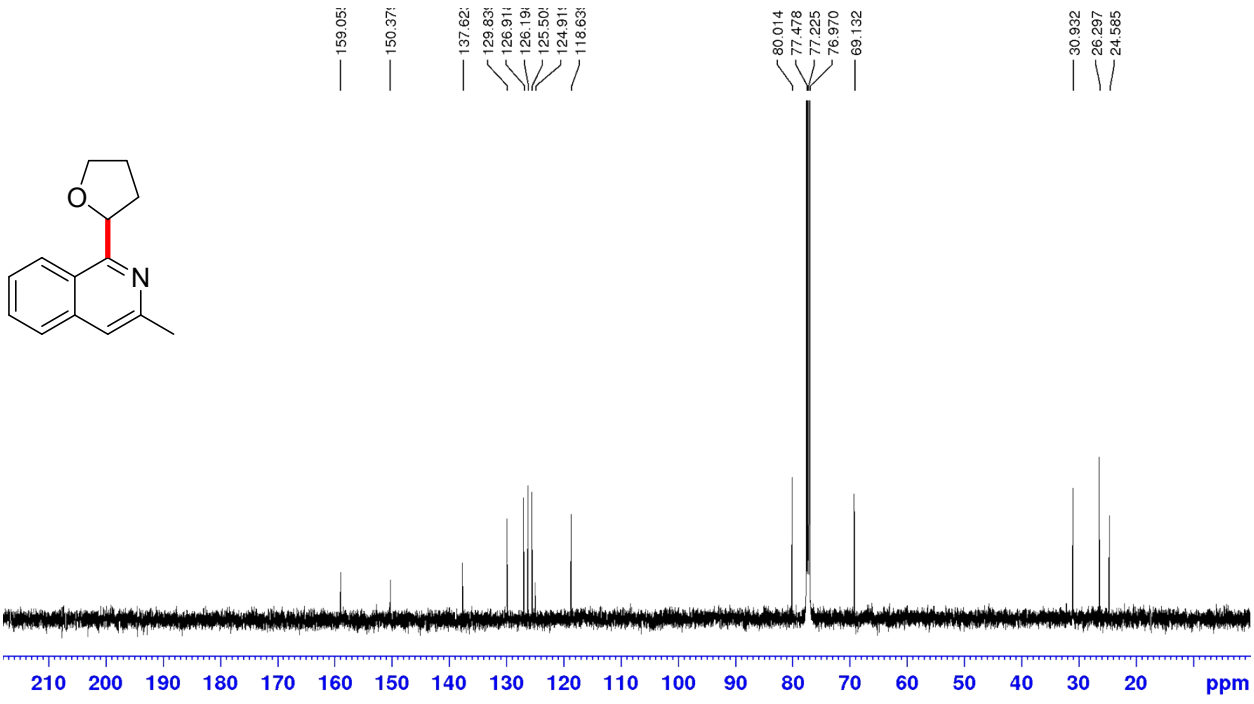
^{13}C NMR (125 MHz, $CDCl_3$) of 1-(tetrahydrofuran-2-yl)isoquinoline (3s)



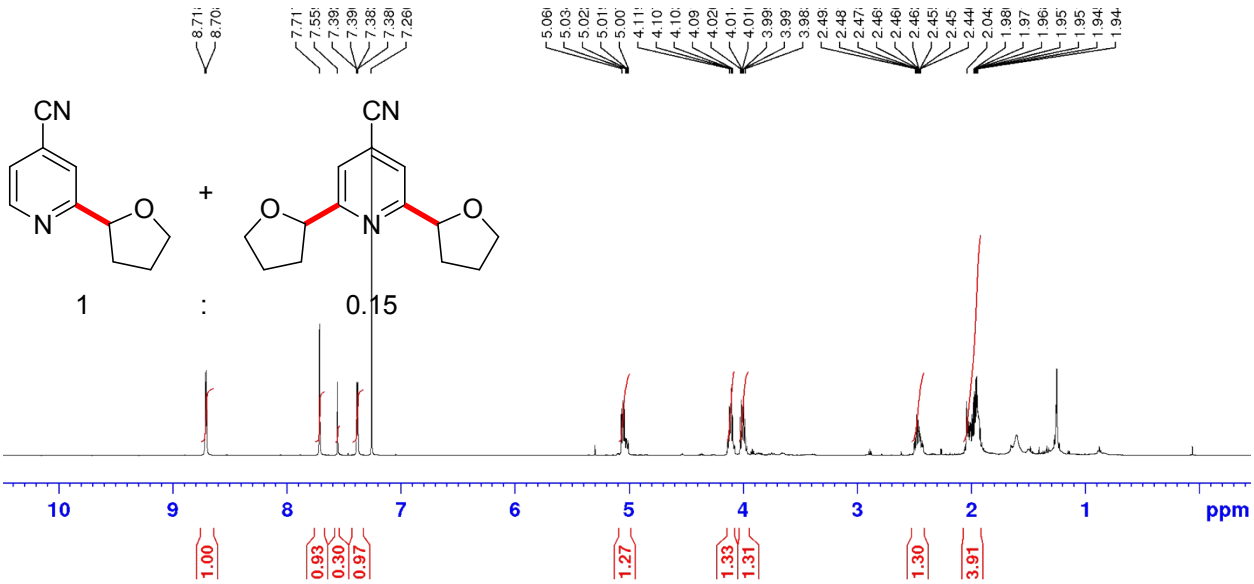
^{13}C NMR (125 MHz, $CDCl_3$) of 3-methyl-1-(tetrahydrofuran-2-yl)isoquinoline (3t)



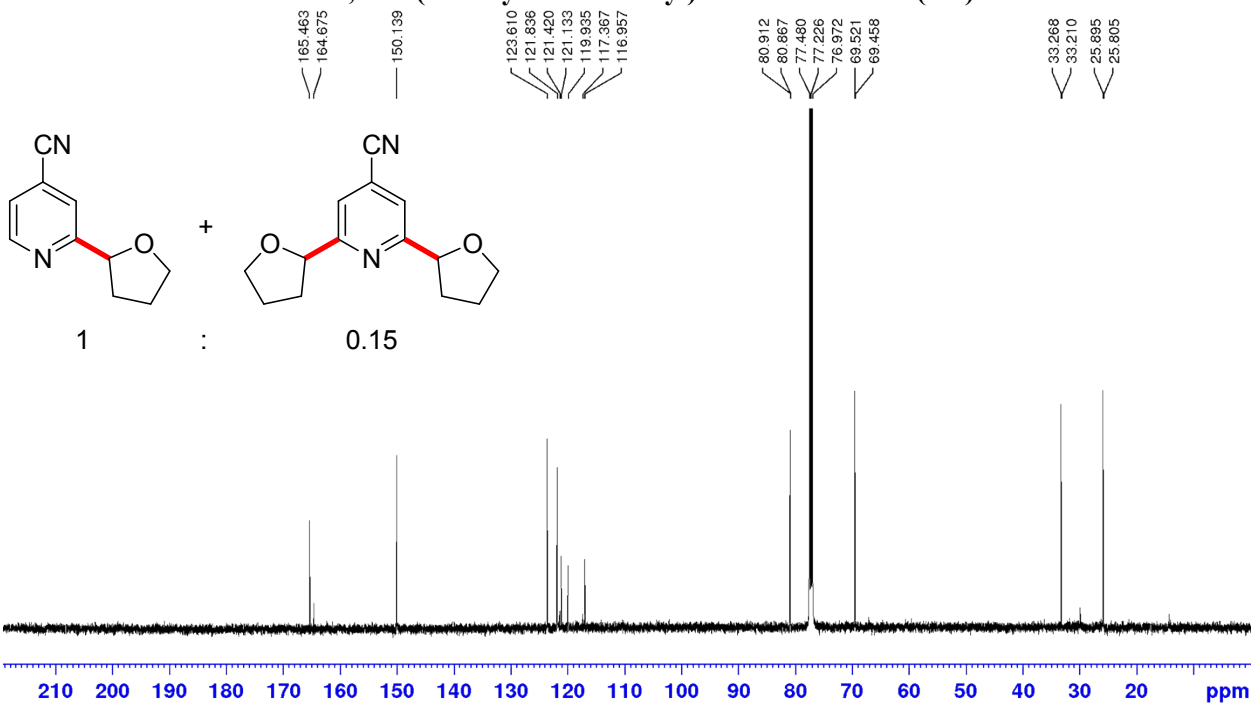
^{1}H NMR (500 MHz, $CDCl_3$) of 3-methyl-1-(tetrahydrofuran-2-yl)isoquinoline (3t)



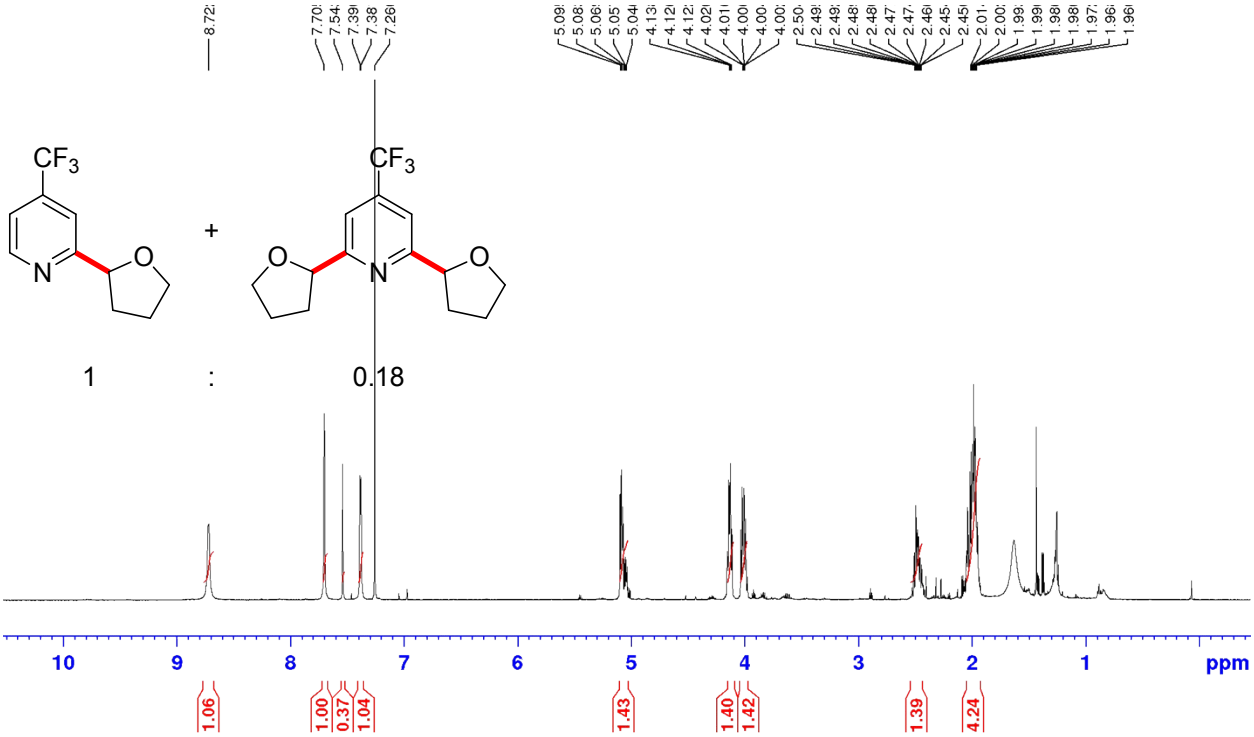
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)isonicotinonitrile (3u) and 2,6-bis(tetrahydrofuran-2-yl)isonicotinonitrile (3u')



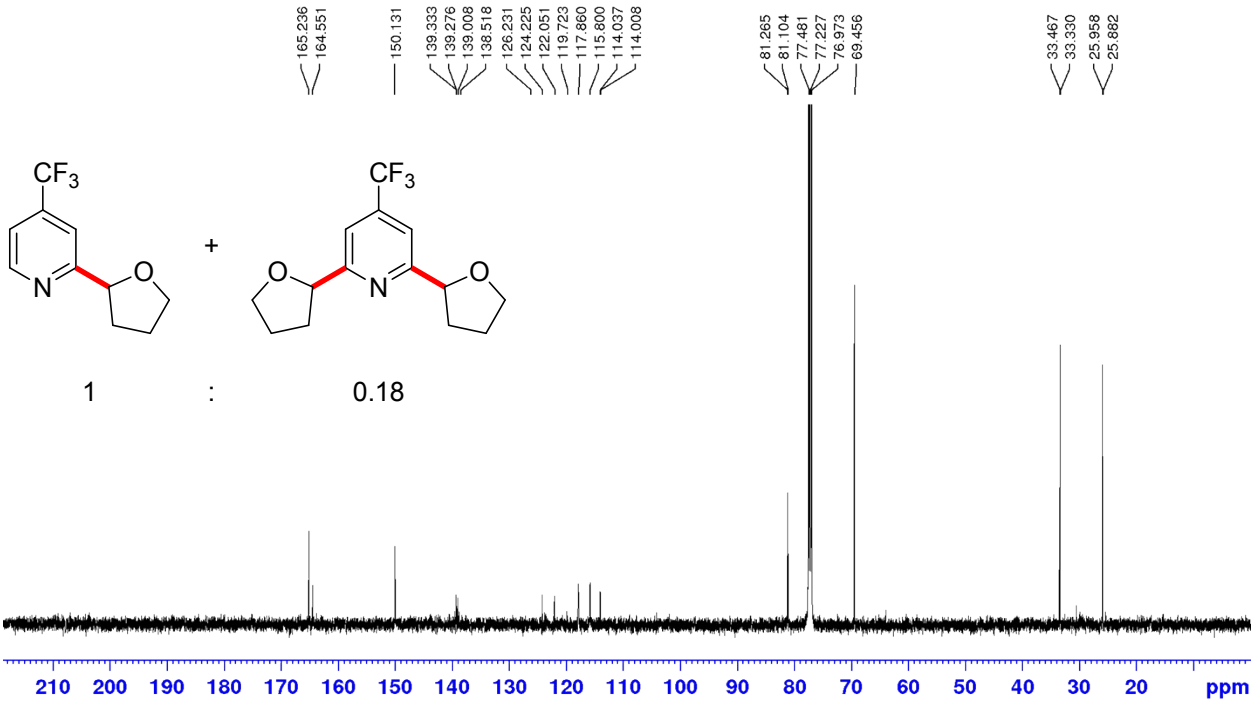
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)isonicotinonitrile (3u) and 2,6-bis(tetrahydrofuran-2-yl)isonicotinonitrile (3u')



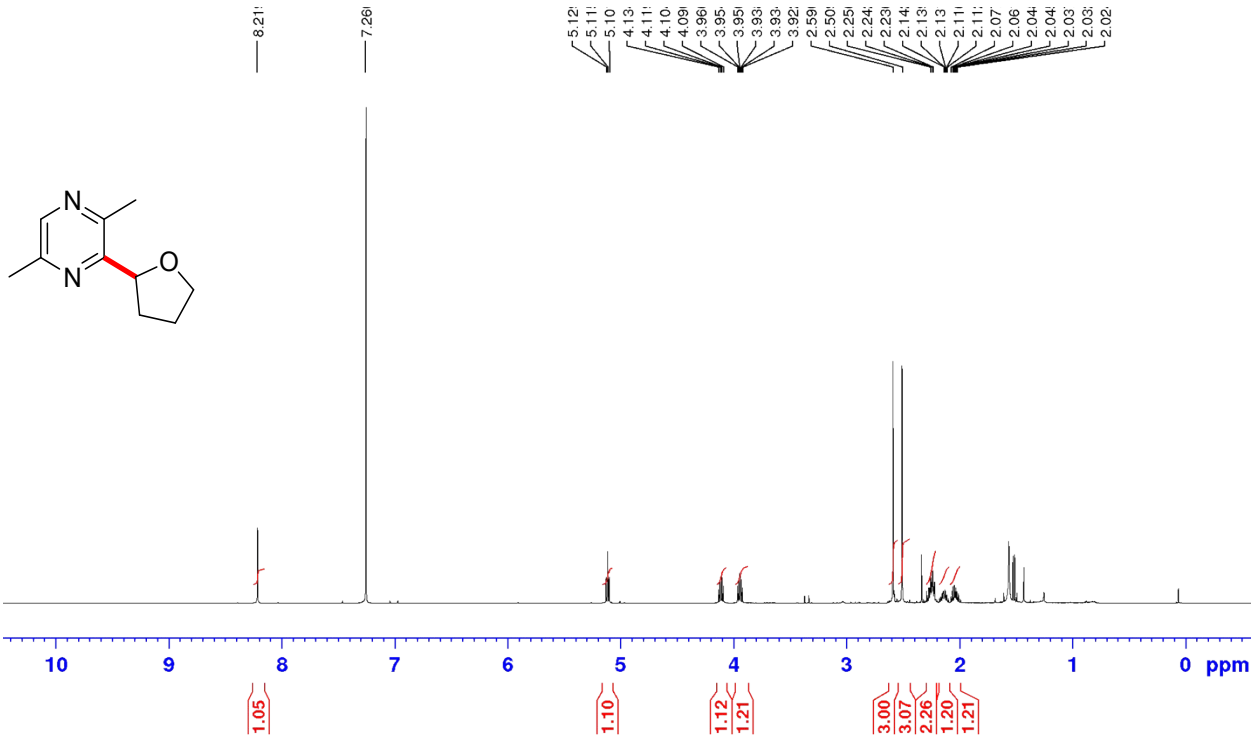
^{1}H NMR (500 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v) and 2-(tetrahydrofuran-2-yl)-6-(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v')



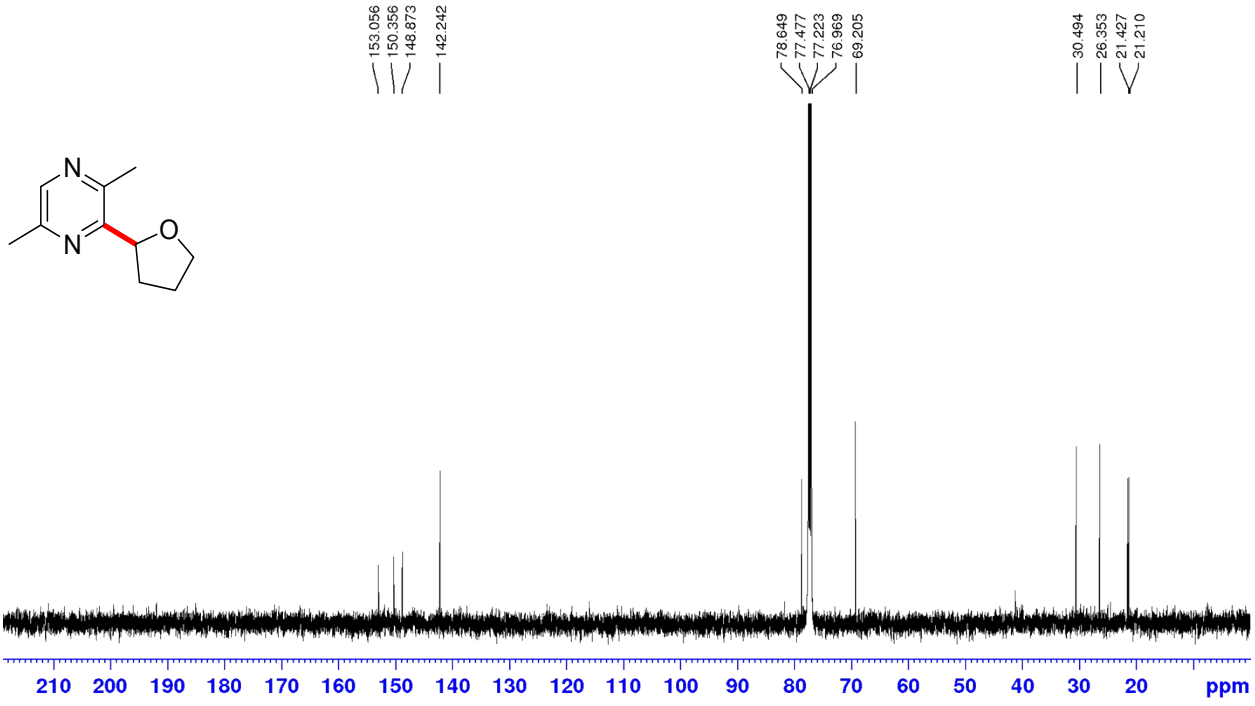
^{13}C NMR (125 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v) and 2-(tetrahydrofuran-2-yl)-6-(tetrahydrofuran-2-yl)-4-(trifluoromethyl)pyridine (3v')



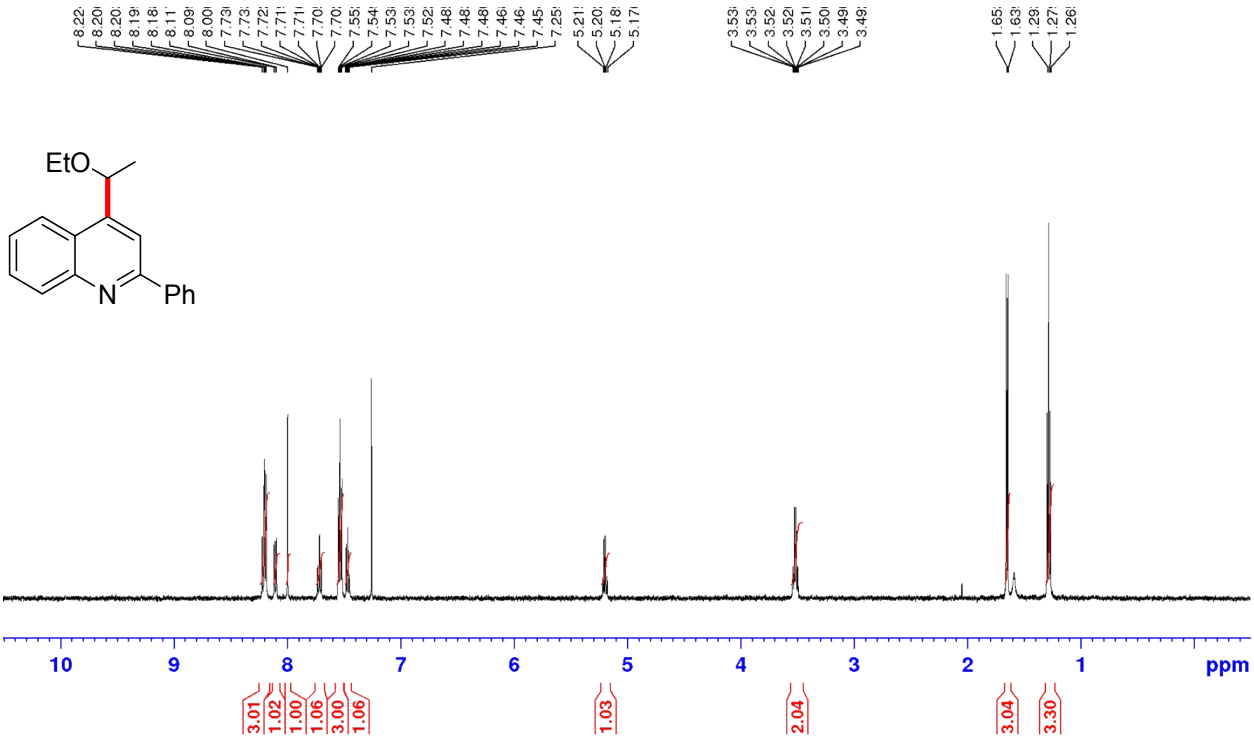
^{1}H NMR (500 MHz, $CDCl_3$) of 2,5-dimethyl-3-(tetrahydrofuran-2-yl)pyrazine (3w)



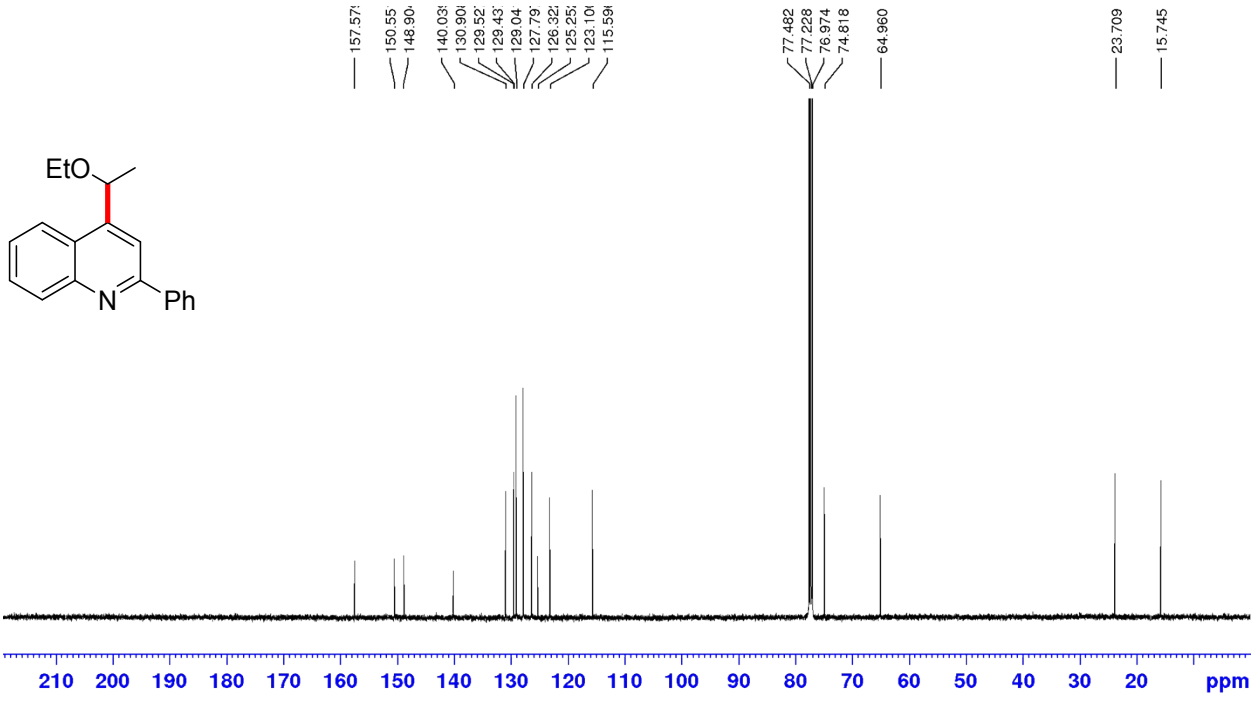
^{13}C NMR (125 MHz, $CDCl_3$) of 2,5-dimethyl-3-(tetrahydrofuran-2-yl)pyrazine (3w)



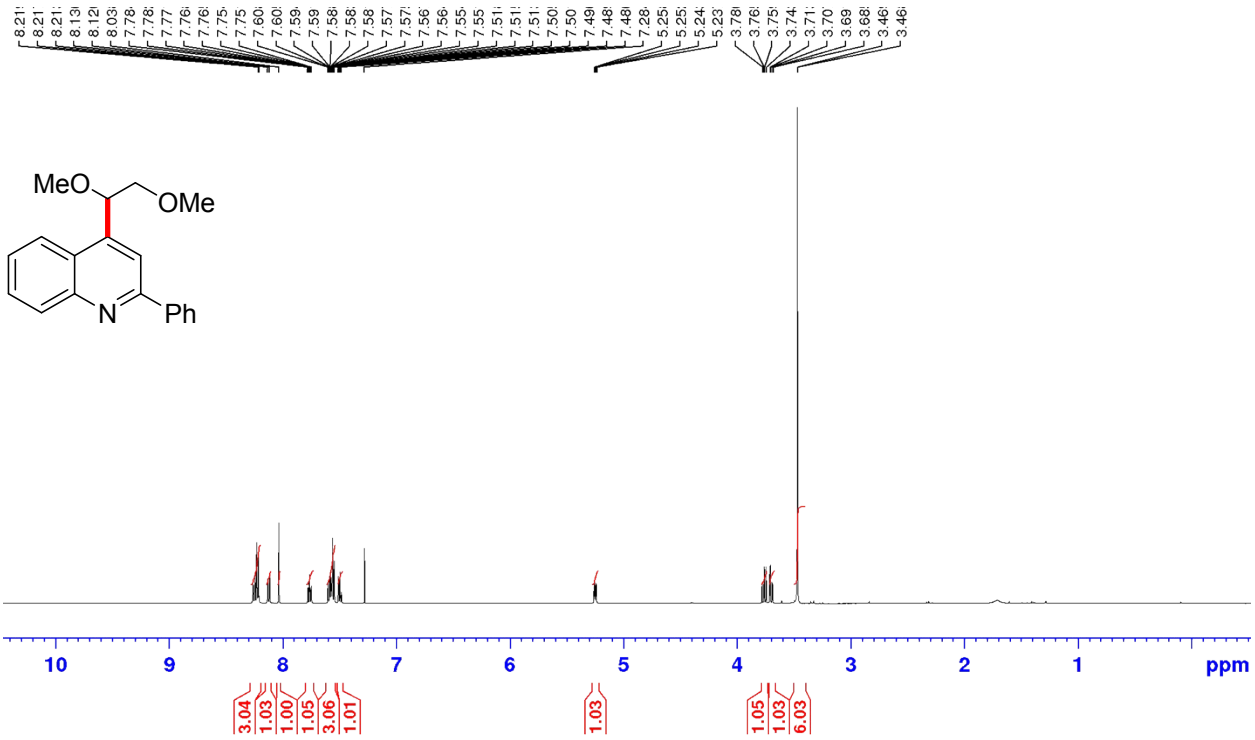
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(1-ethoxyethyl)-2-phenylquinoline (3x)



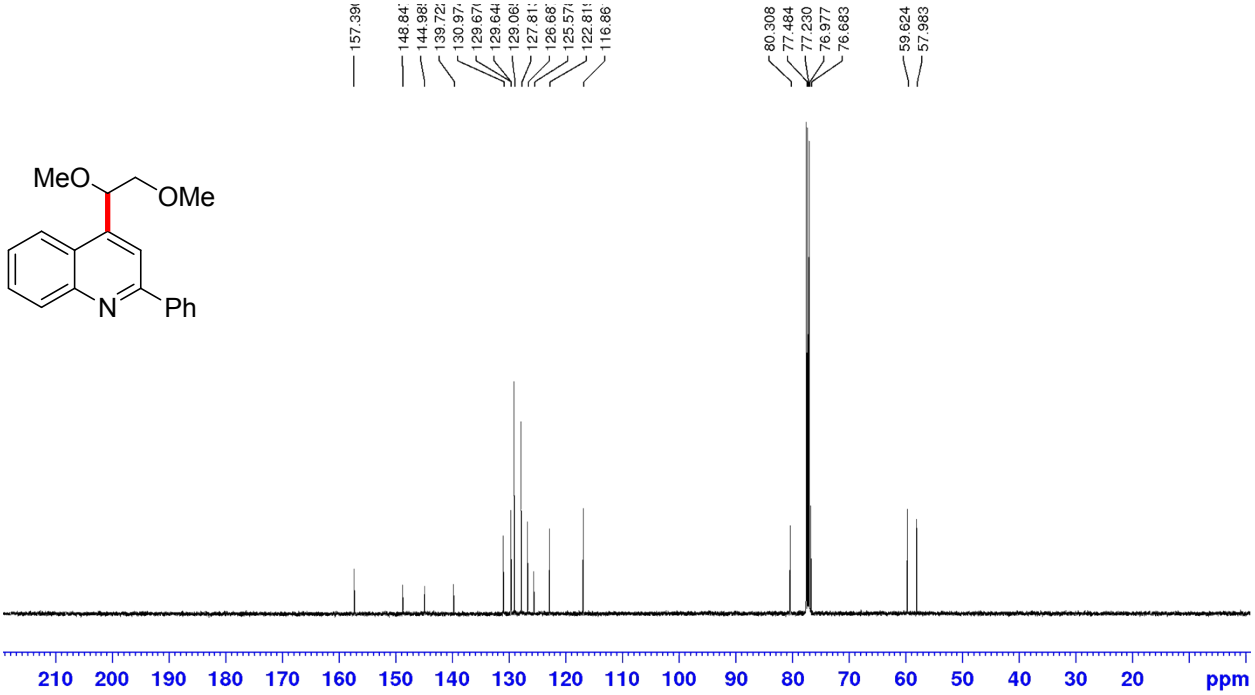
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(1-ethoxyethyl)-2-phenylquinoline (3x)



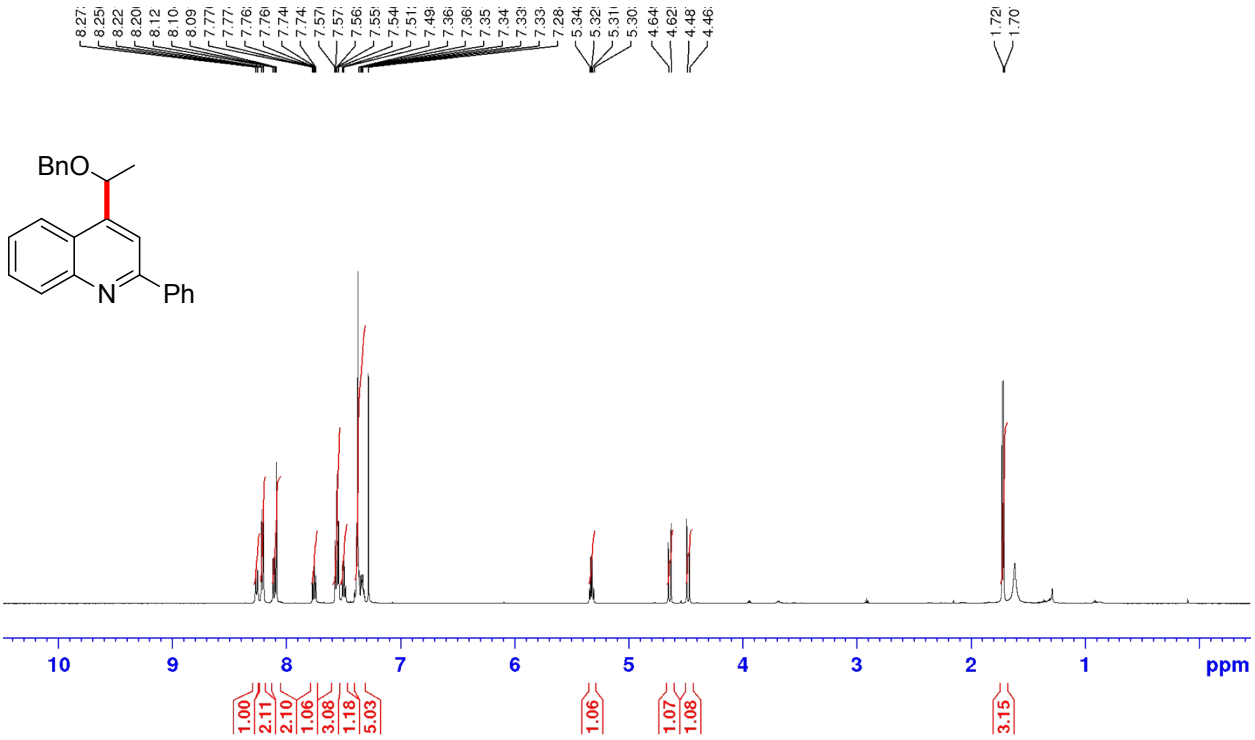
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(1,2-dimethoxyethyl)-2-phenylquinoline (3y)



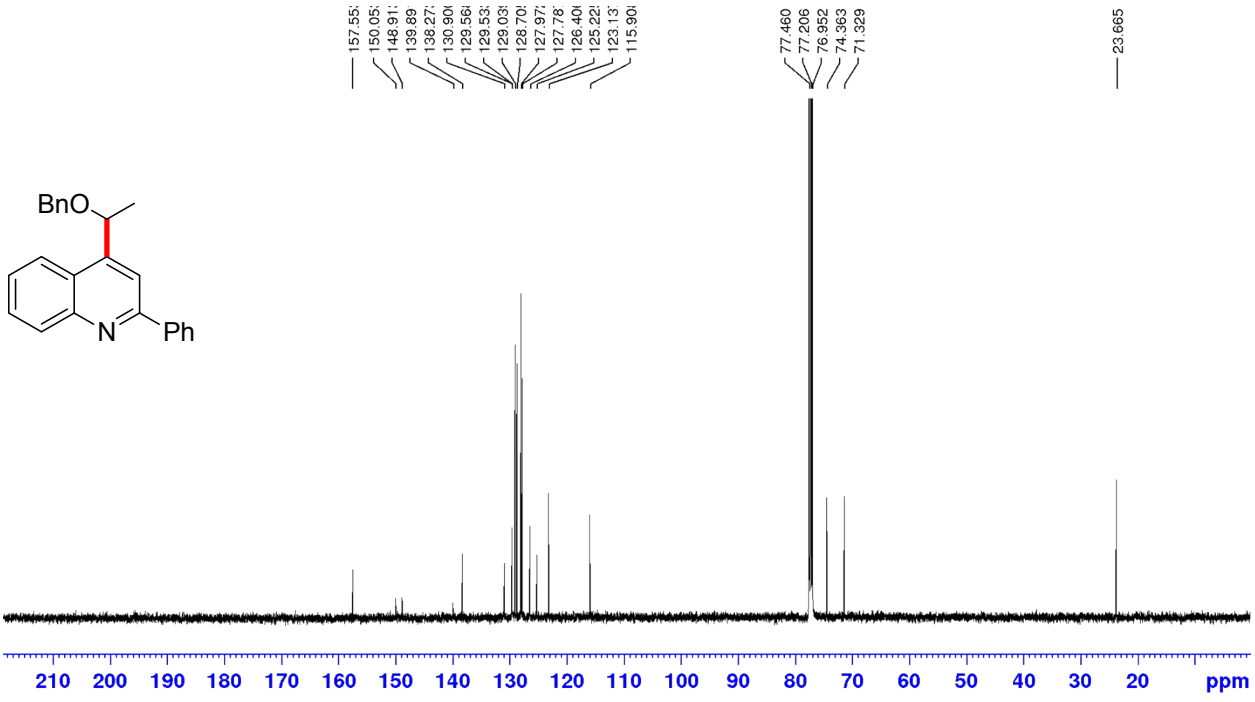
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(1,2-dimethoxyethyl)-2-phenylquinoline (3y)



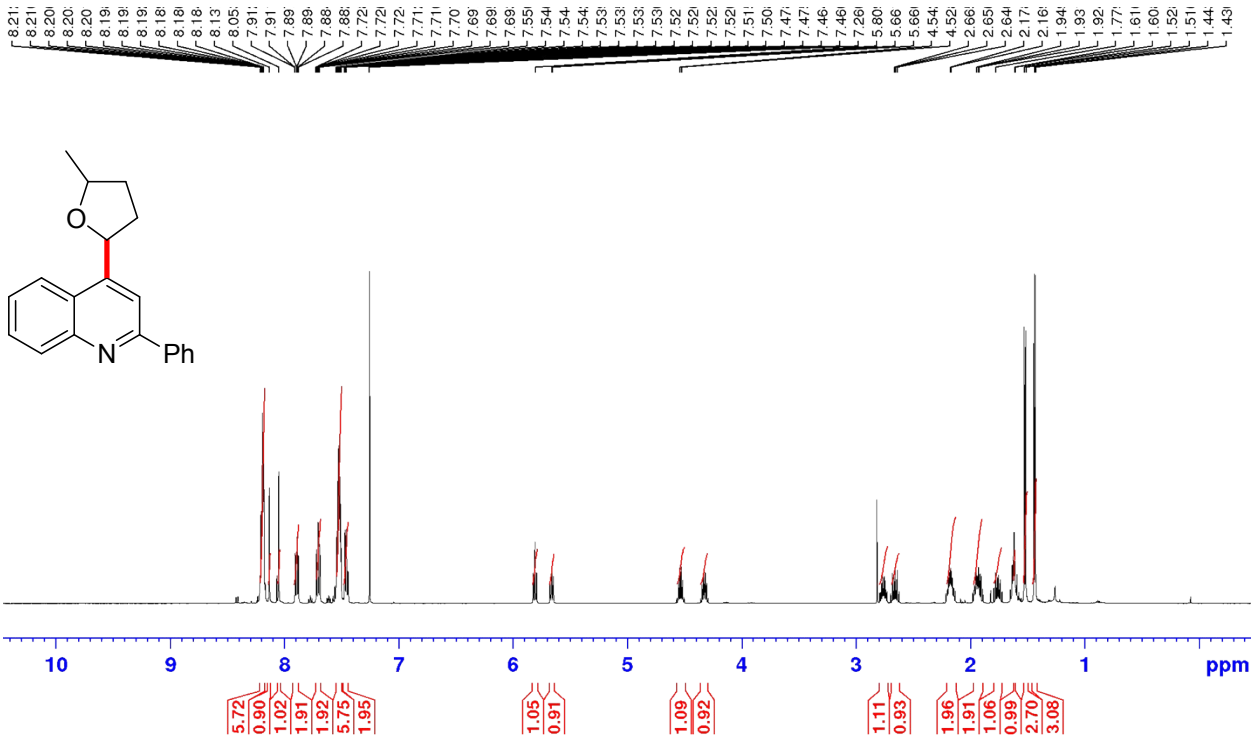
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(1-(benzyloxy)ethyl)-2-phenylquinoline (3z)



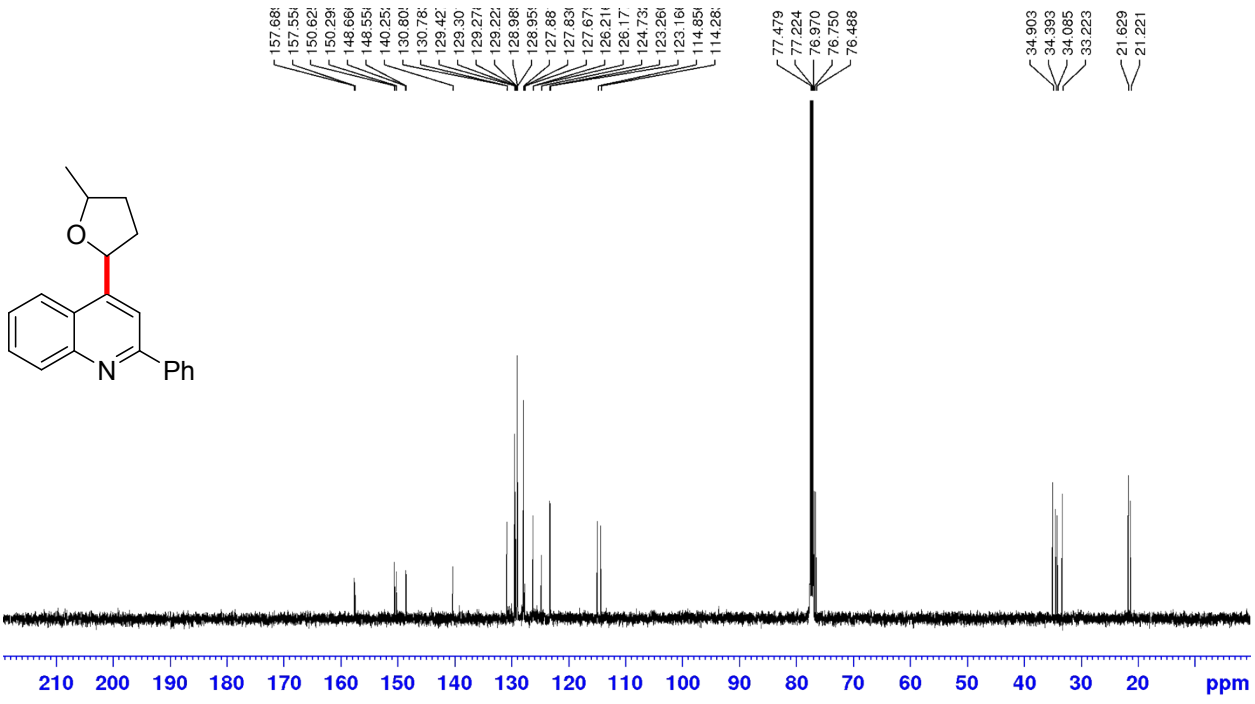
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(1-(benzyloxy)ethyl)-2-phenylquinoline (3z)



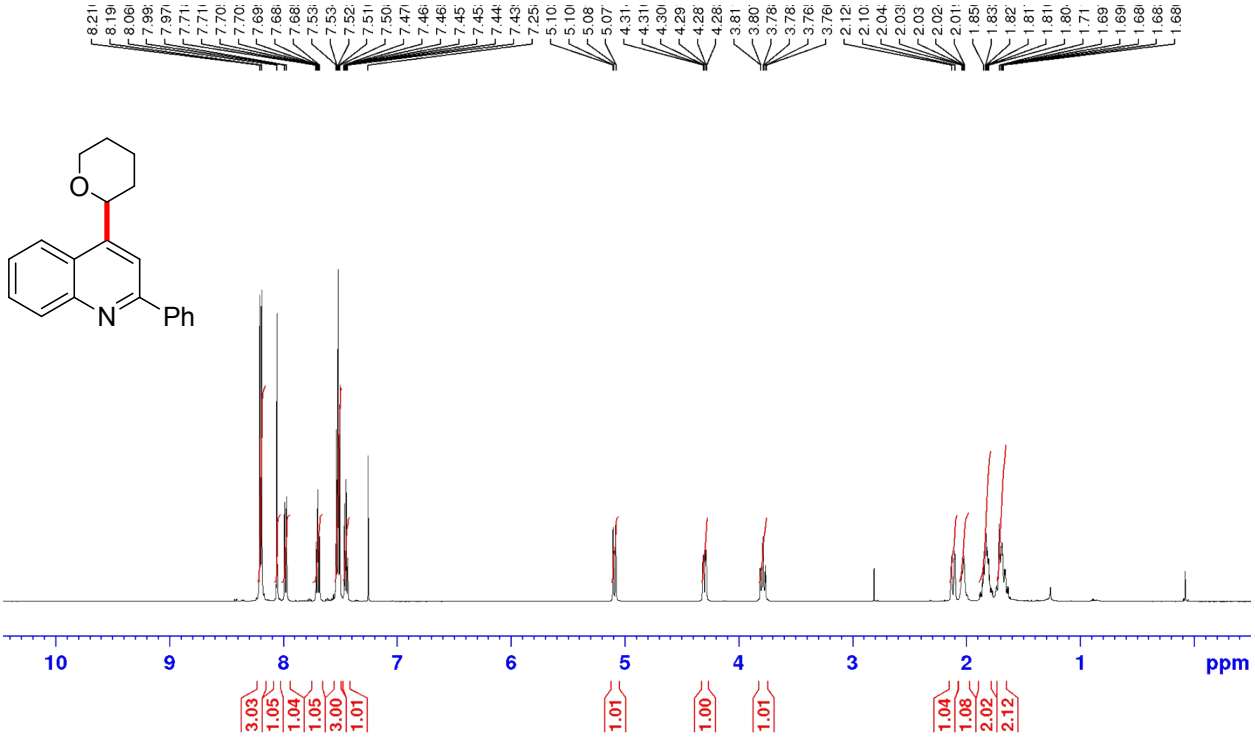
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(5-methyltetrahydrofuran-2-yl)-2-phenylquinoline (3aa)



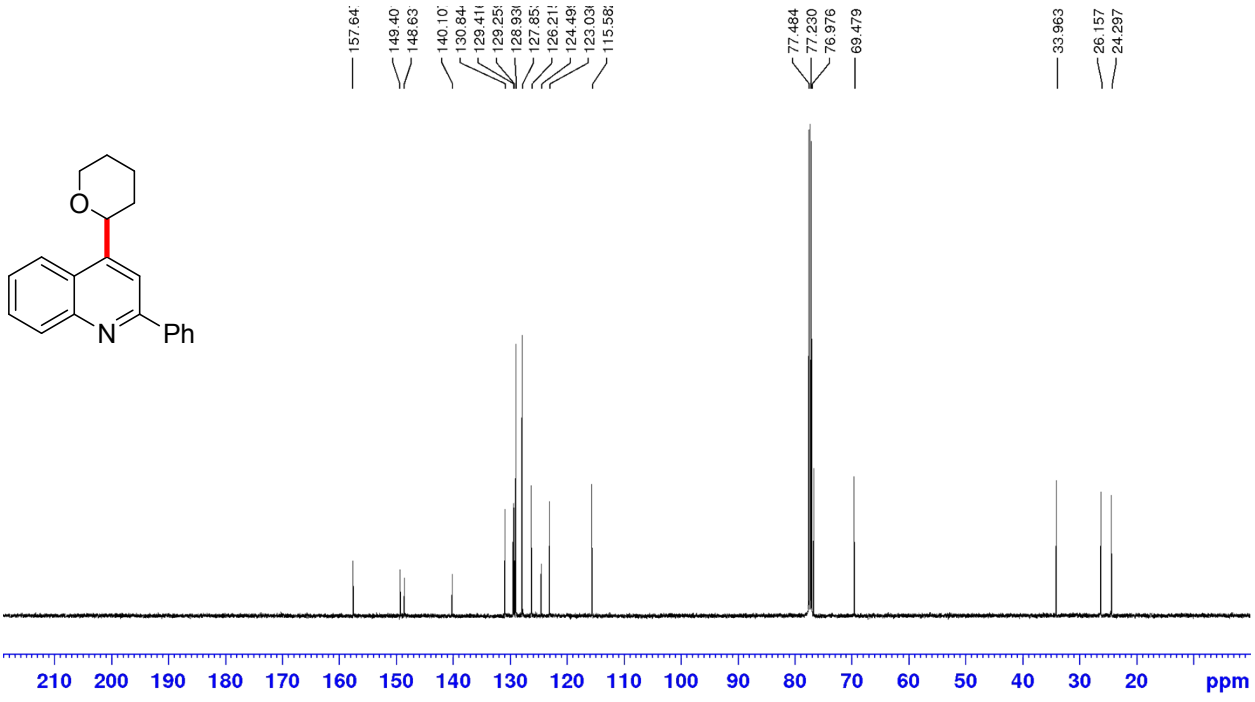
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(5-methyltetrahydrofuran-2-yl)-2-phenylquinoline (3aa)



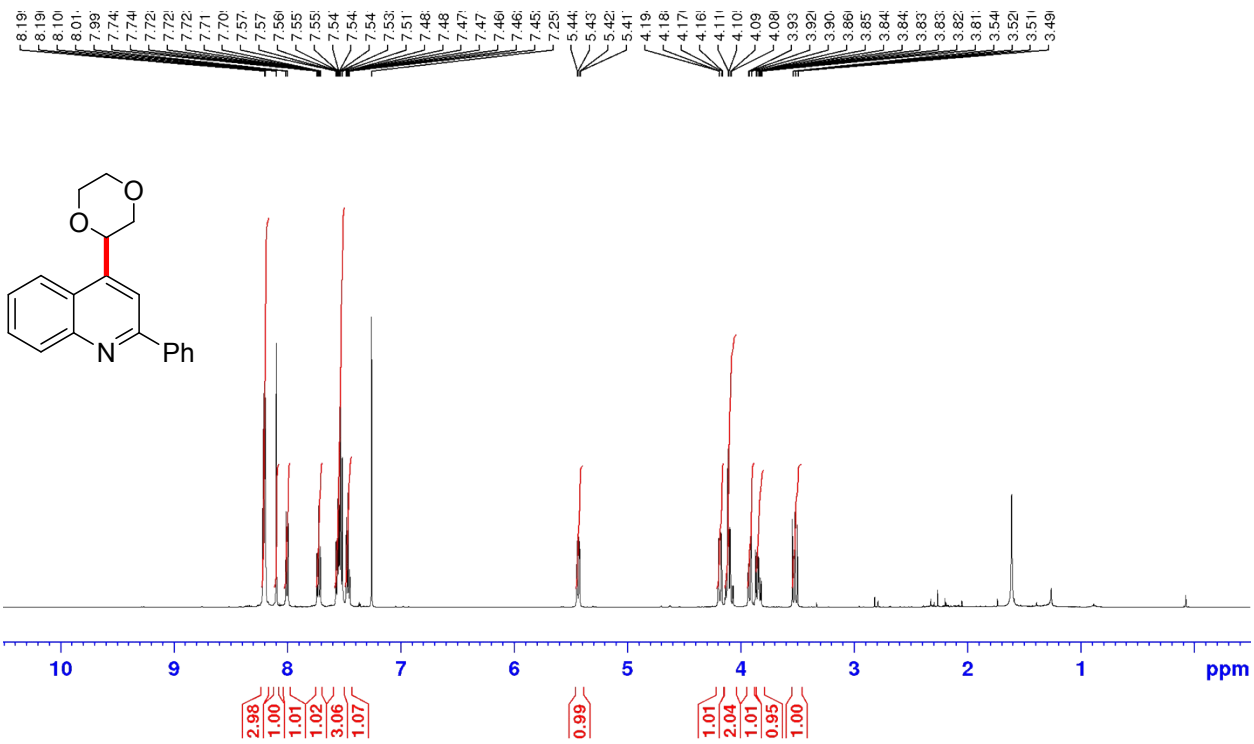
^{1}H NMR (500 MHz, $CDCl_3$) of 2-phenyl-4-(tetrahydro-2H-pyran-2-yl)quinoline (3ab)



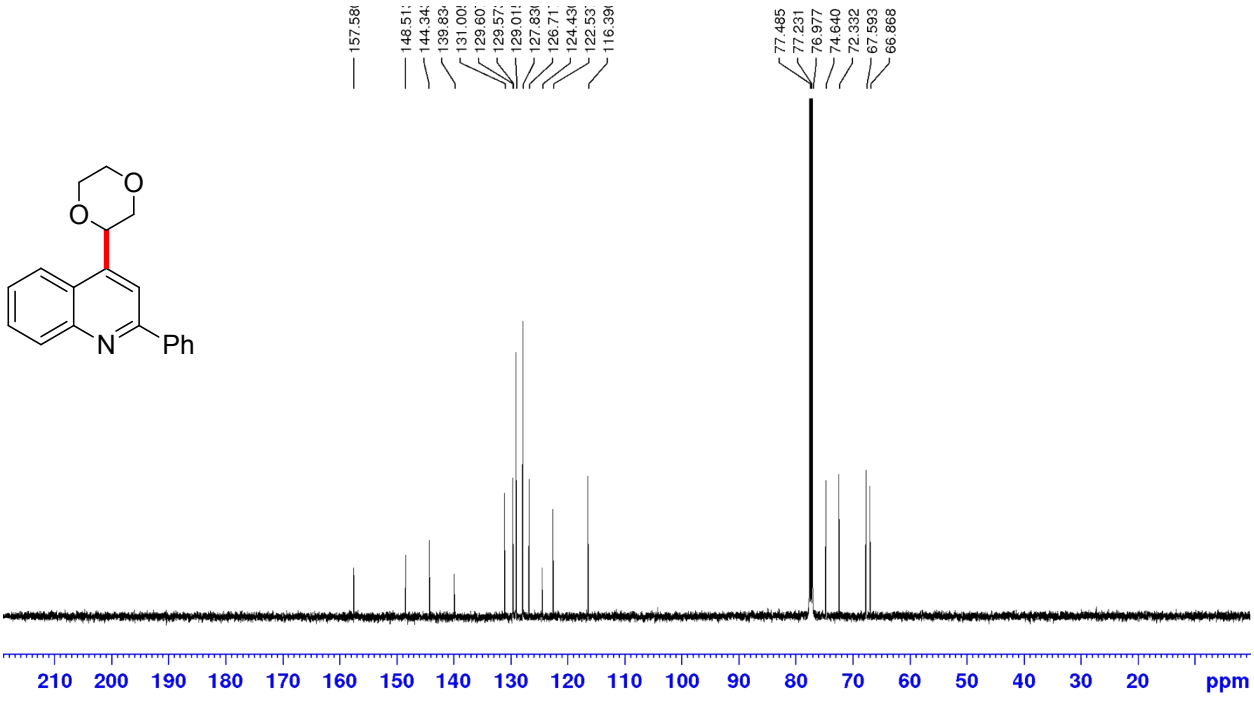
^{13}C NMR (125 MHz, $CDCl_3$) of 2-phenyl-4-(tetrahydro-2H-pyran-2-yl)quinoline (3ab)



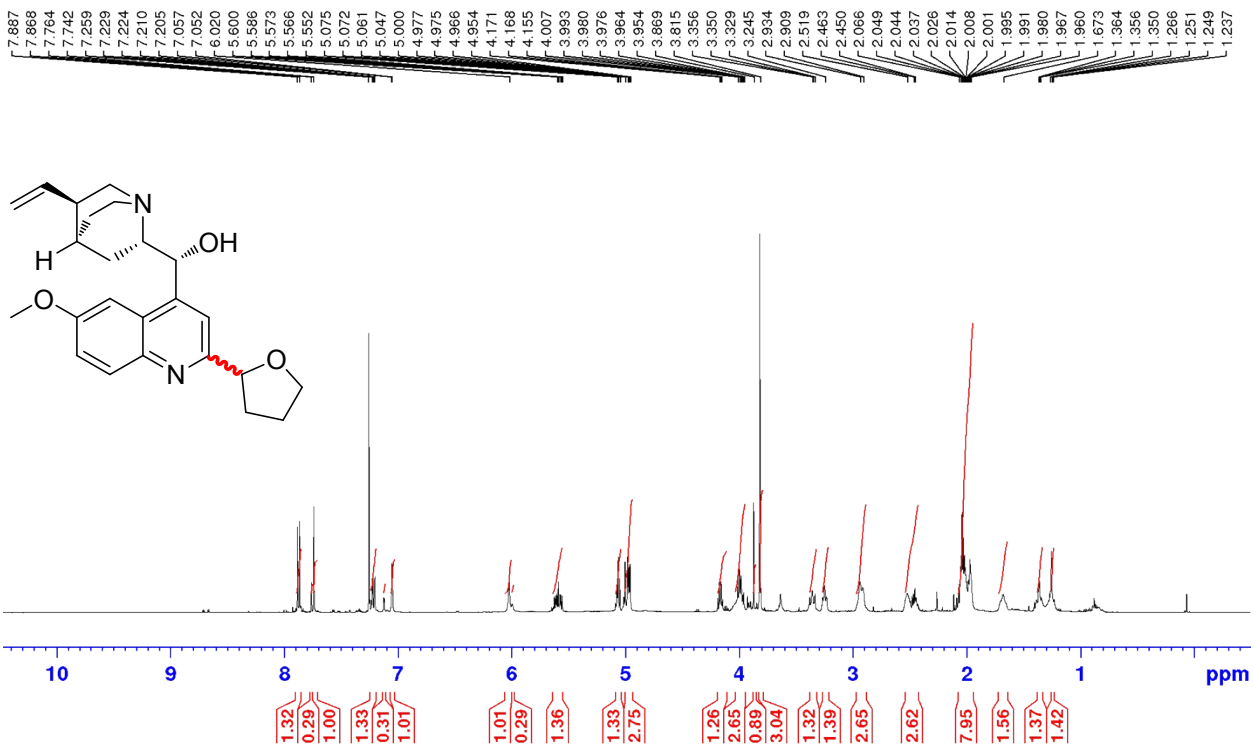
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(1,4-dioxan-2-yl)-2-phenylquinoline (3ac)



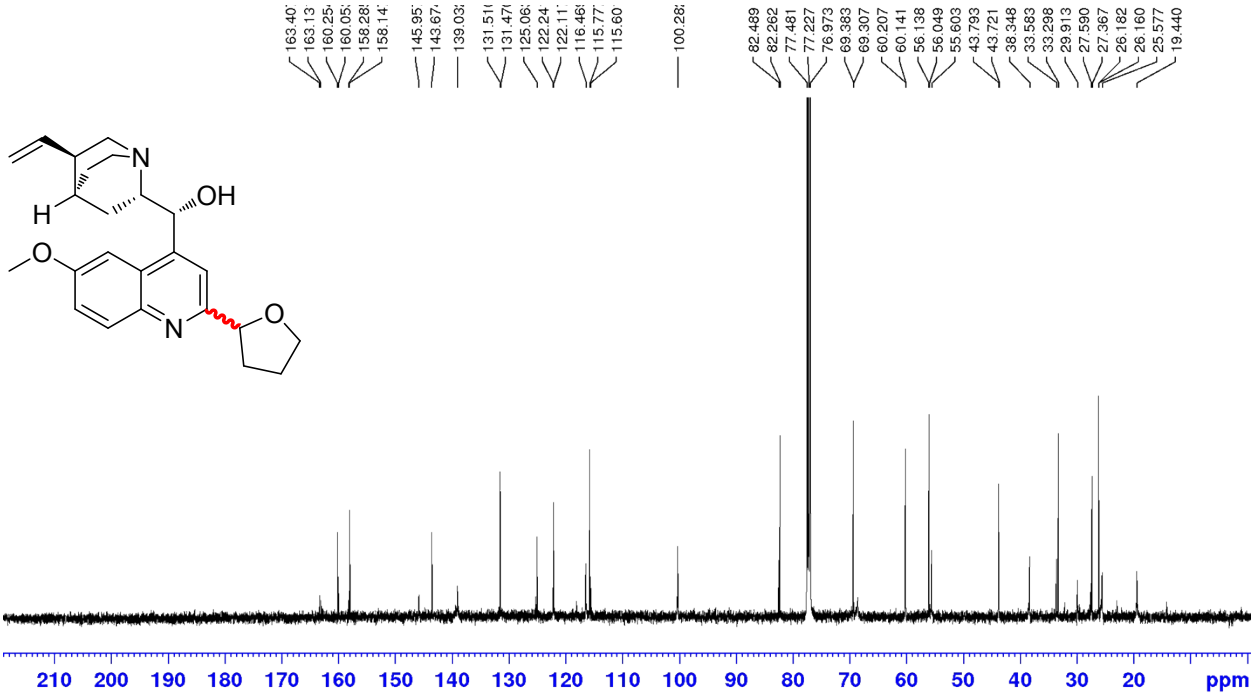
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(1,4-dioxan-2-yl)-2-phenylquinoline (3ac)



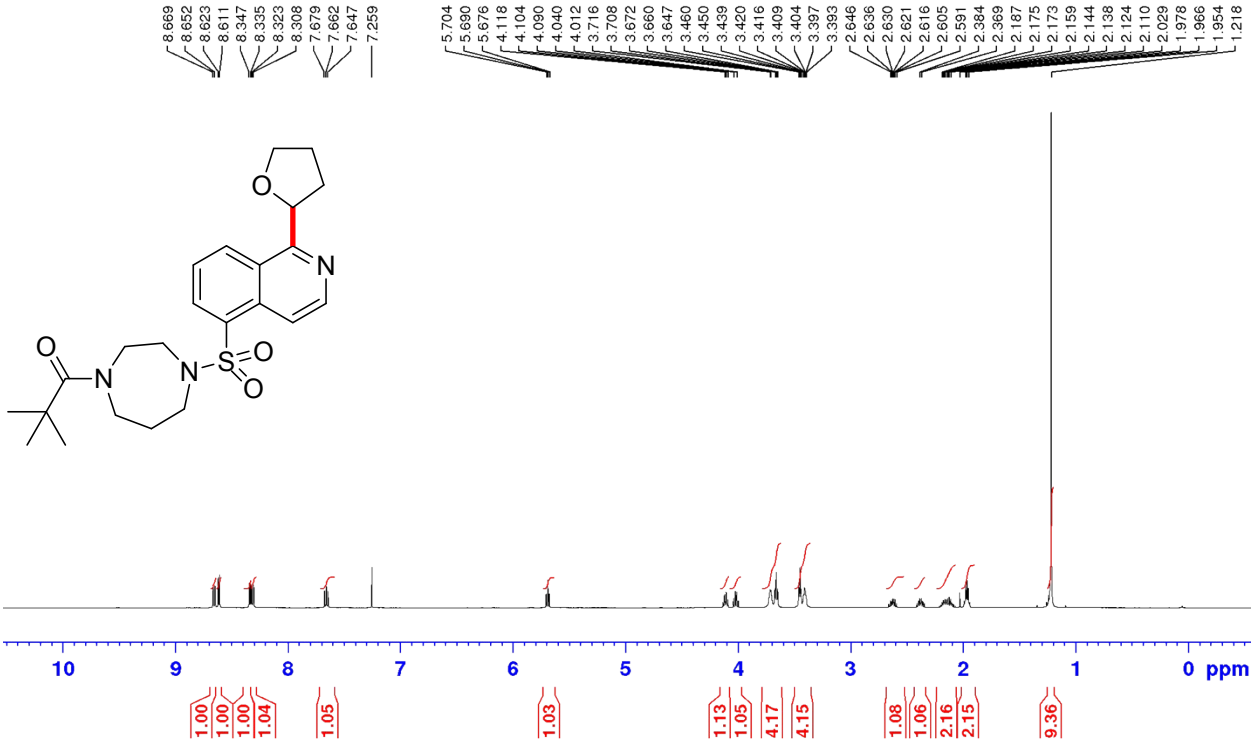
^{13}C NMR (125 MHz, $CDCl_3$) of (1*R*)-(6-methoxy-2-(tetrahydrofuran-2-yl)quinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (3ad)



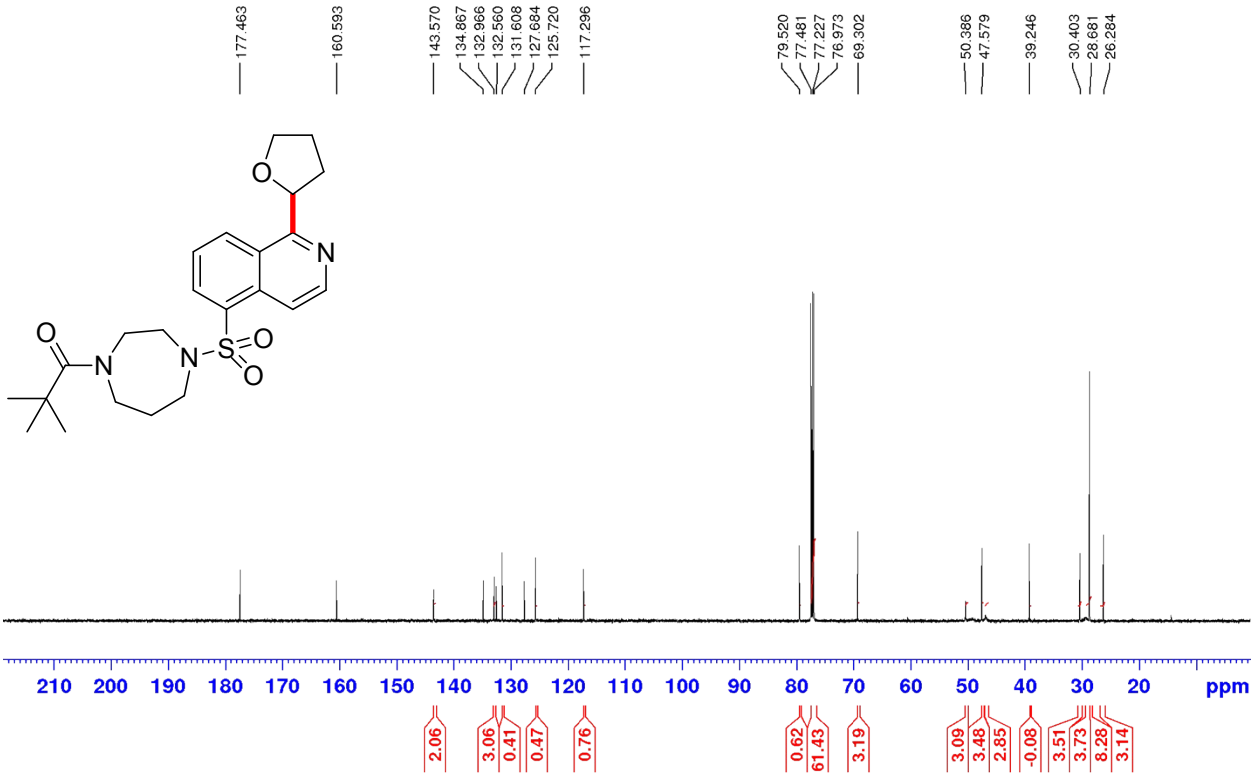
^{1}H NMR (500 MHz, $CDCl_3$) of (1*R*)-(6-methoxy-2-(tetrahydrofuran-2-yl)quinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (3ad)



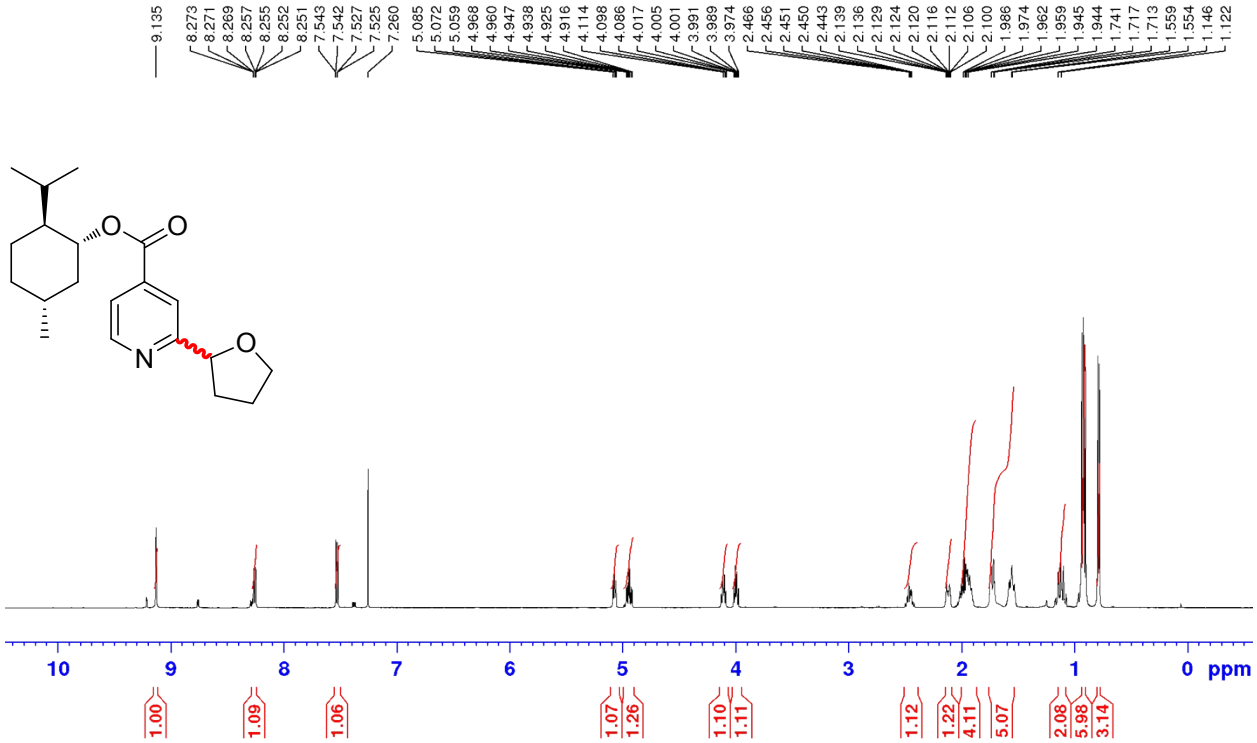
^{1}H NMR (500 MHz, $CDCl_3$) of 2,2-dimethyl-1-(4-((1-(tetrahydrofuran-2-yl)isoquinolin-5-yl)sulfonyl)azepan-1-yl)propan-1-one (3ae)



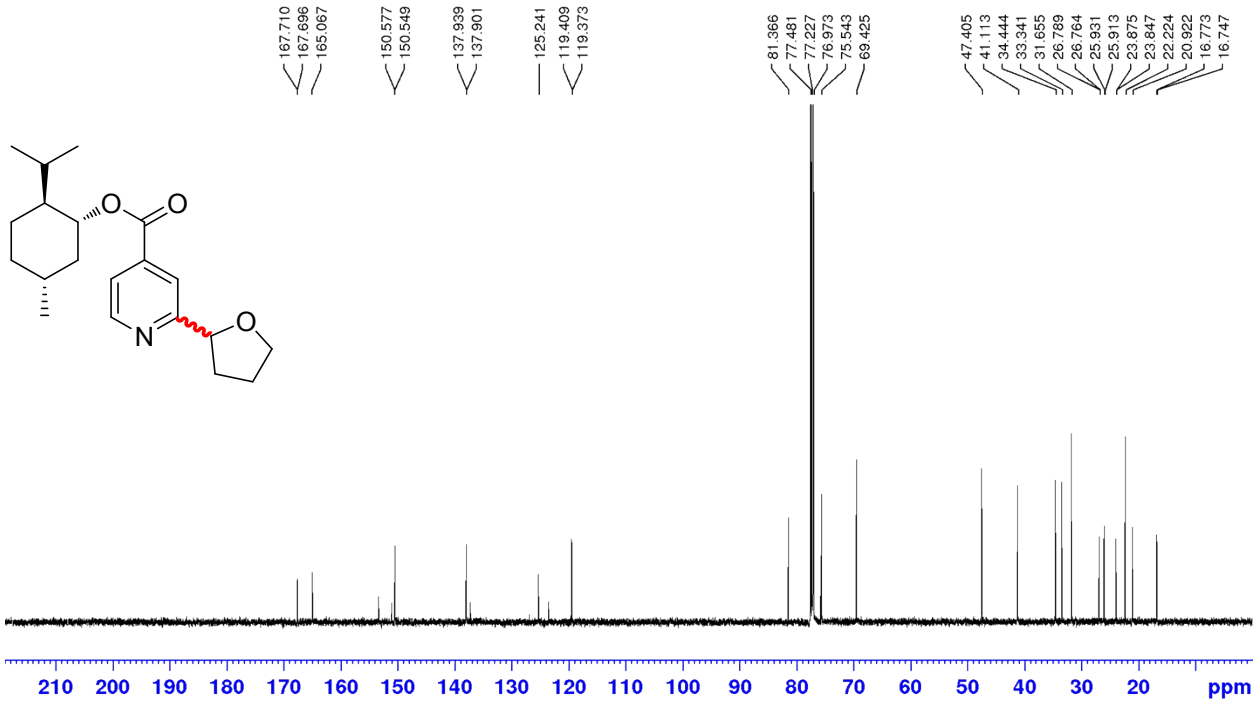
^{13}C NMR (125 MHz, $CDCl_3$) of 2,2-dimethyl-1-(4-((1-(tetrahydrofuran-2-yl)isoquinolin-5-yl)sulfonyl)azepan-1-yl)propan-1-one (3ae)



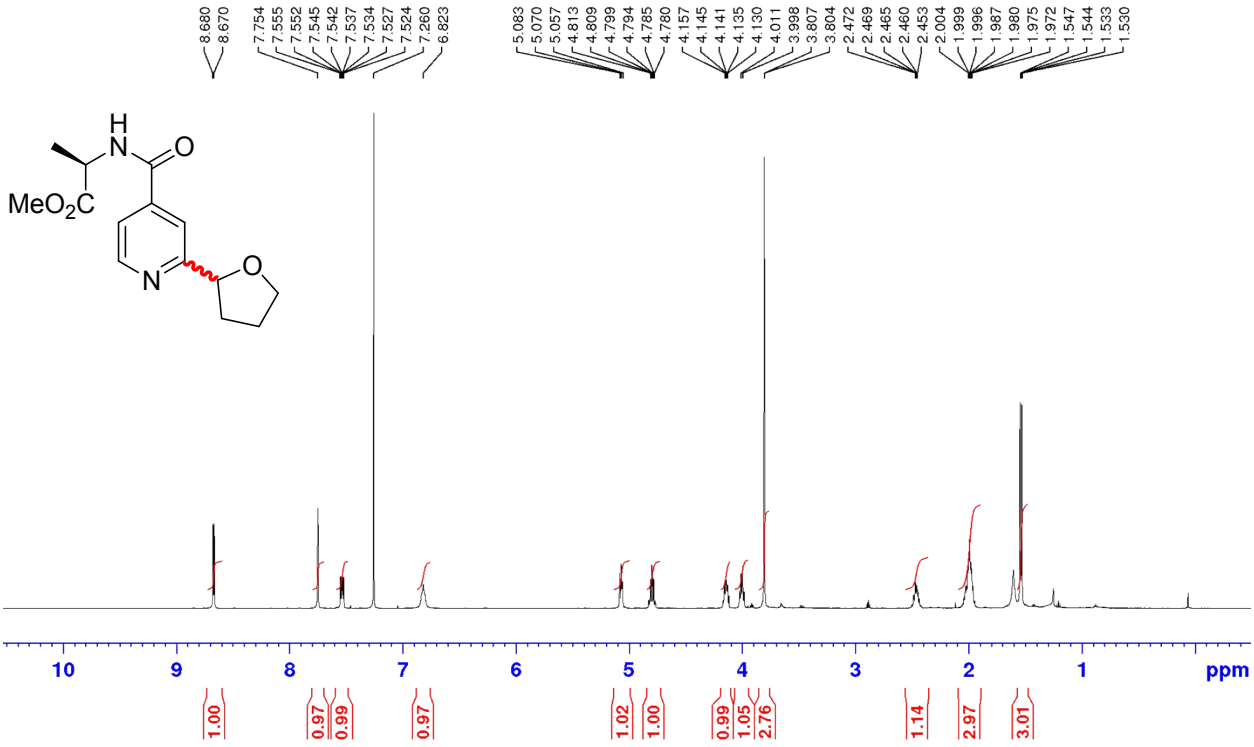
^{1}H NMR (500 MHz, $CDCl_3$) of (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2-(tetrahydrofuran-2-yl)isonicotinate (3af)



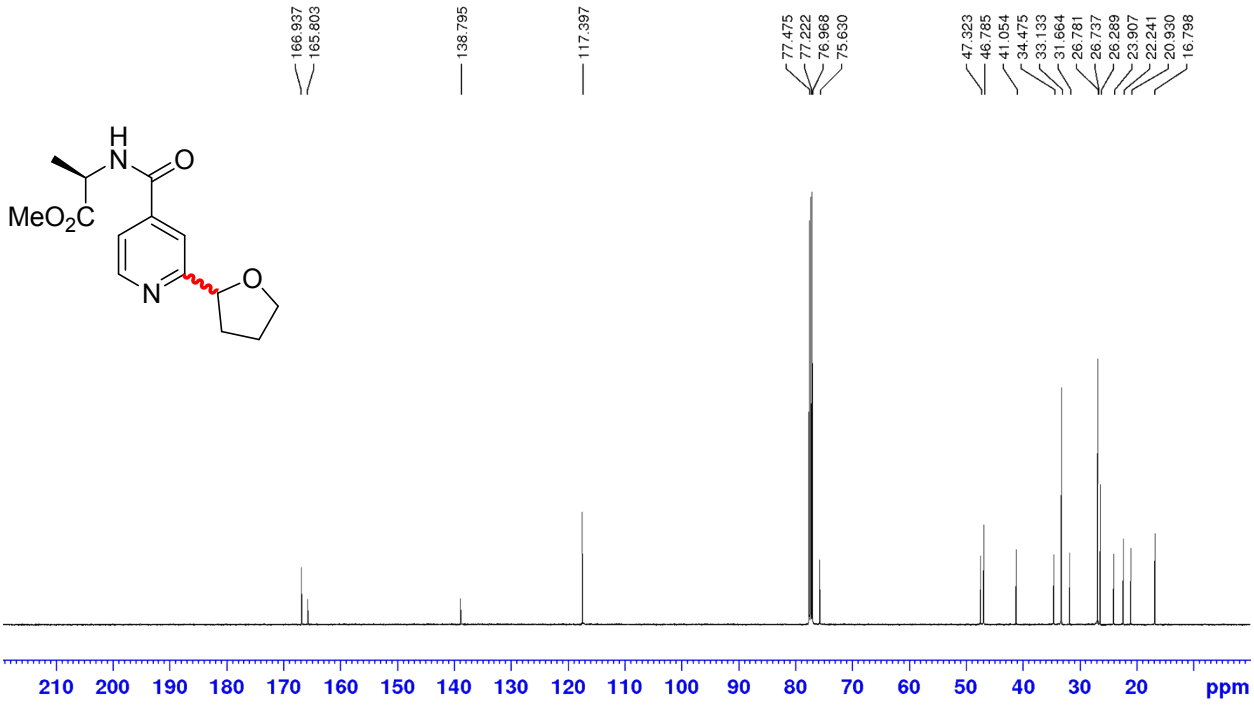
^{13}C NMR (125 MHz, $CDCl_3$) of (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2-(tetrahydrofuran-2-yl)isonicotinate (3af)



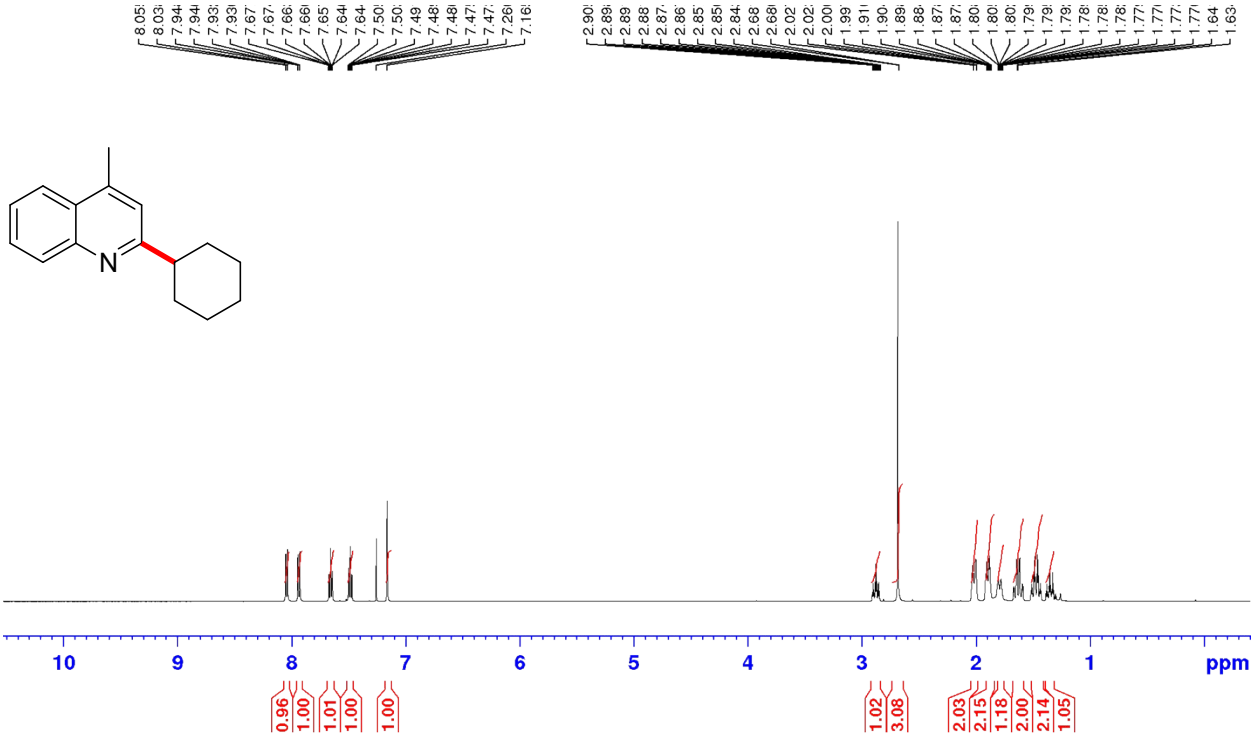
^{1}H NMR (500 MHz, $CDCl_3$) of (*R*)-methyl-2-(2-(tetrahydrofuran-2-yl)isonicotinamido)propanoate (3ag)



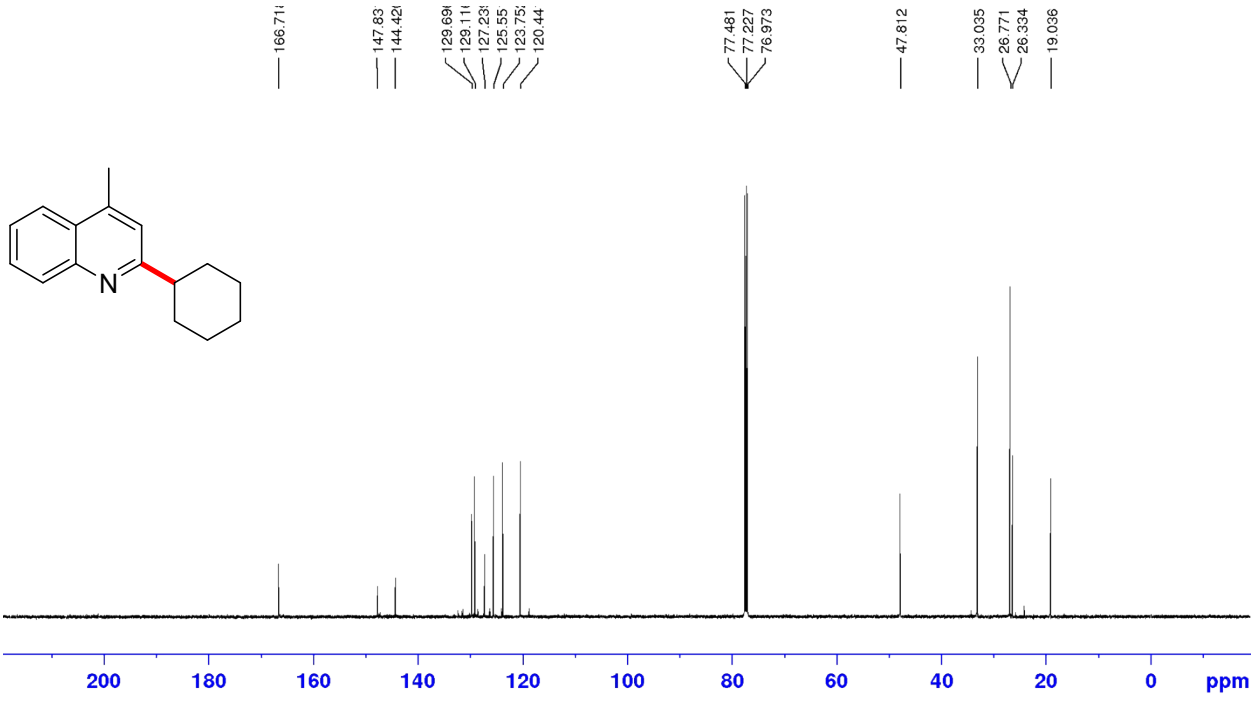
^{13}C NMR (125 MHz, $CDCl_3$) of (*R*)-methyl-2-(2-(tetrahydrofuran-2-yl)isonicotinamido)propanoate (3ag)



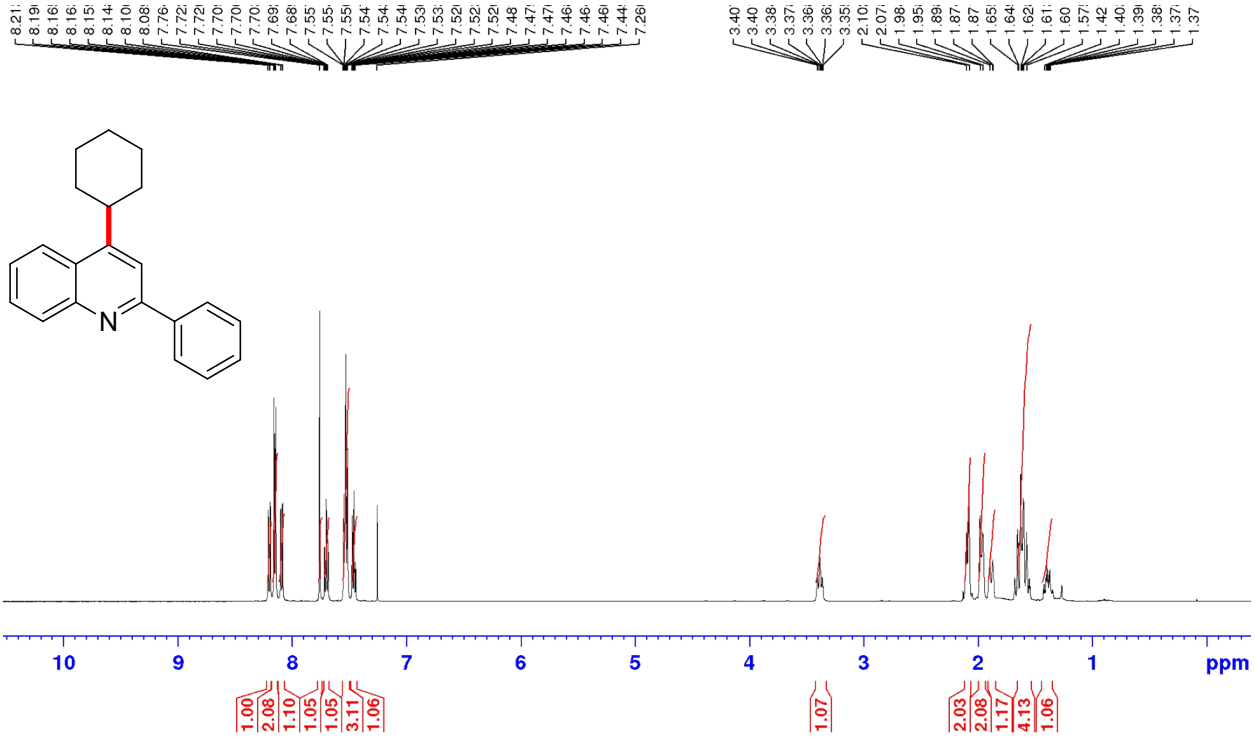
^{1}H NMR (500 MHz, $CDCl_3$) of 2-cyclohexyl-4-methylquinoline (5a)



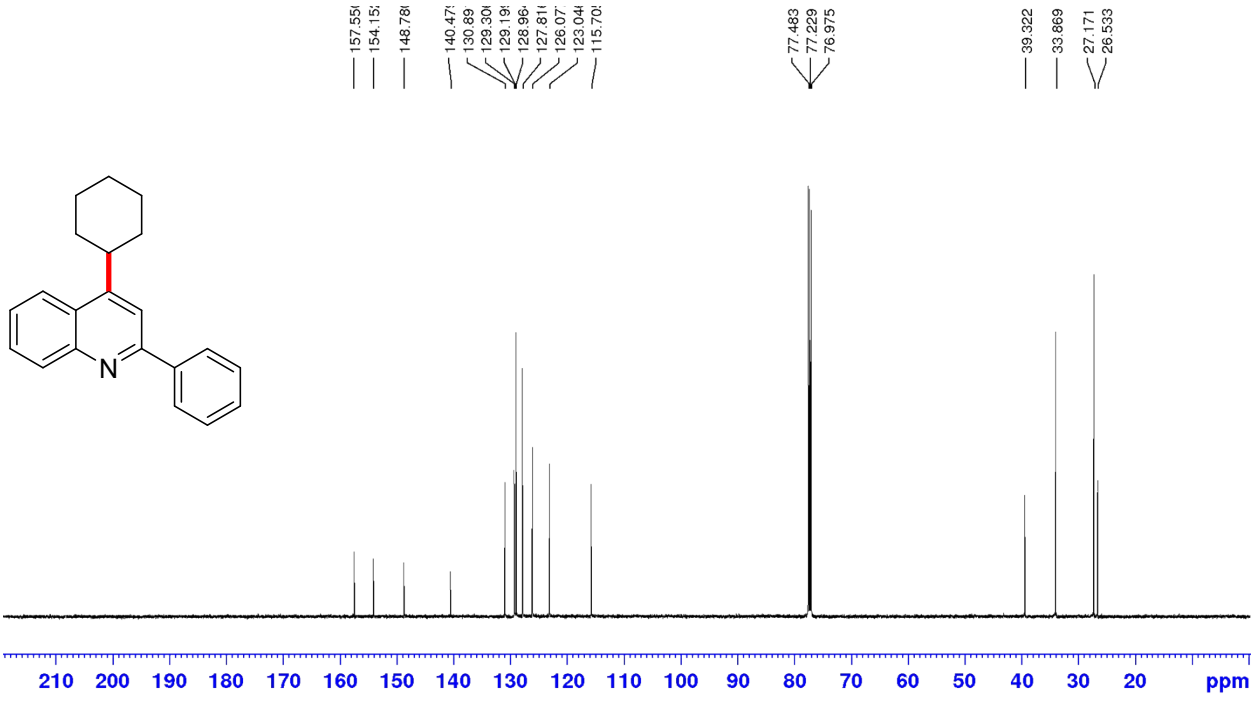
^{13}C NMR (125 MHz, $CDCl_3$) of 2-cyclohexyl-4-methylquinoline (5a)



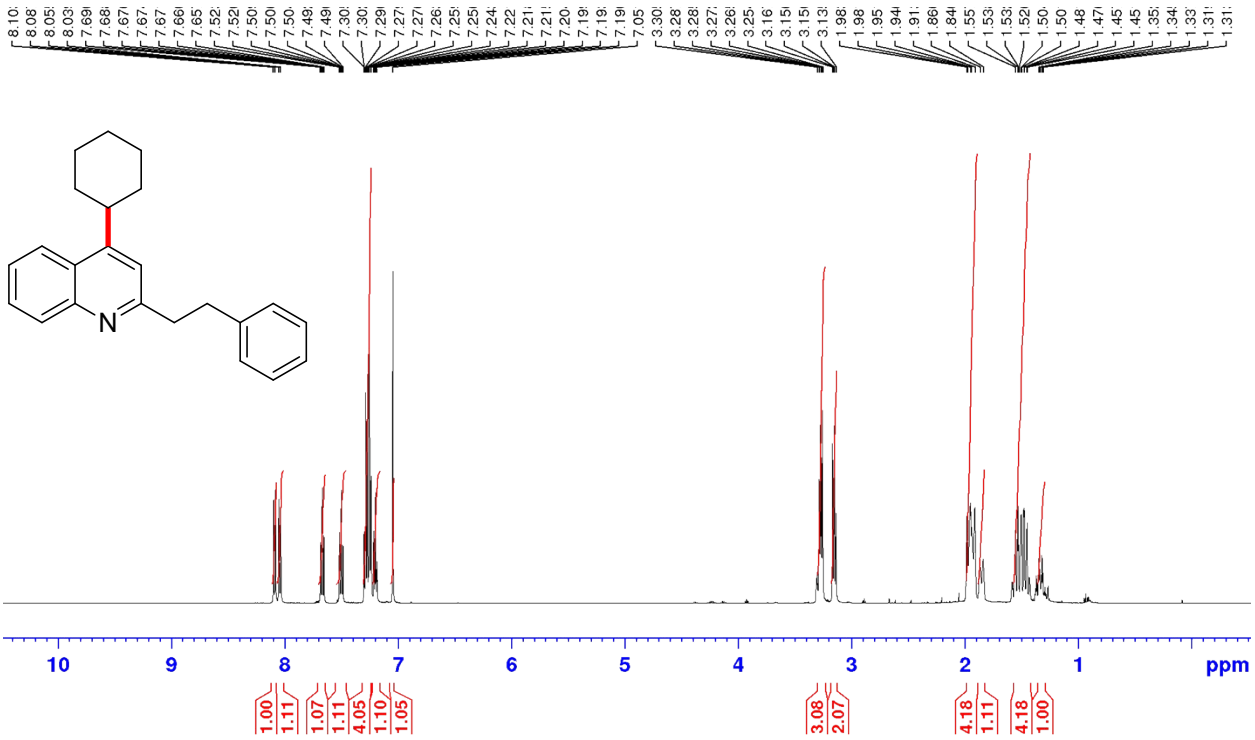
^{1}H NMR (500 MHz, $CDCl_3$) of 4-cyclohexyl-2-phenylquinoline (5b)



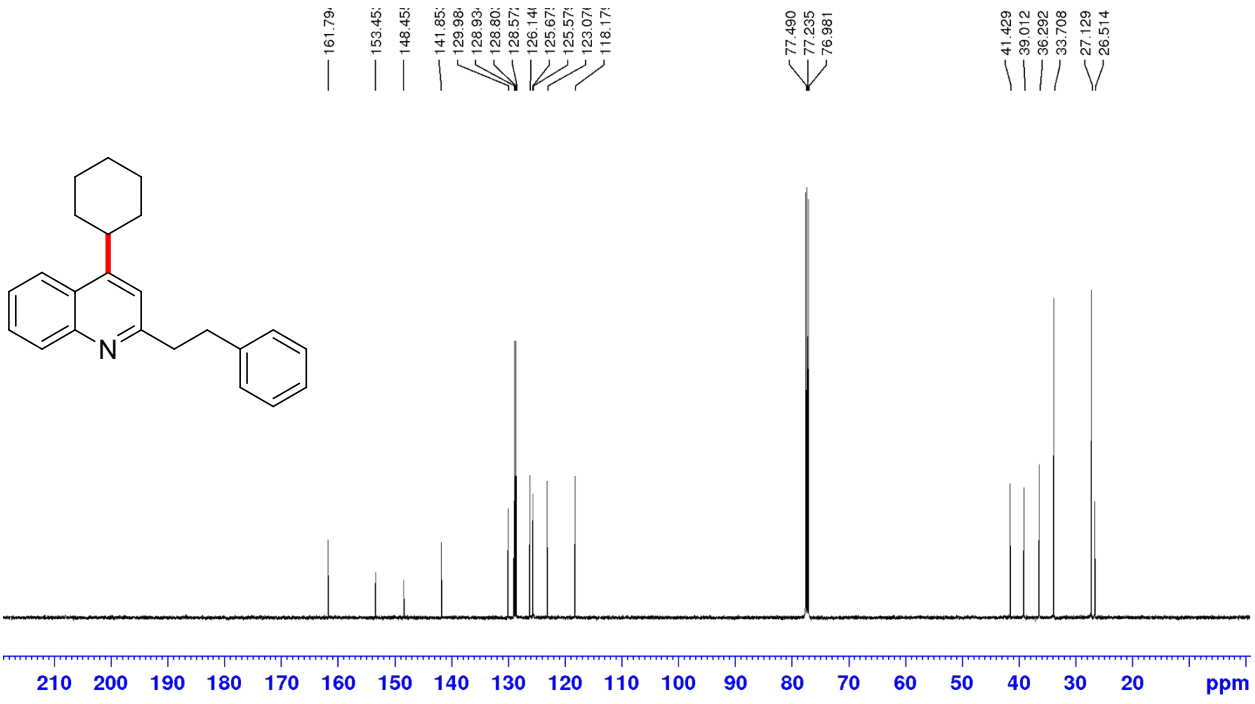
^{13}C NMR (125 MHz, $CDCl_3$) of 4-cyclohexyl-2-phenylquinoline (5b)



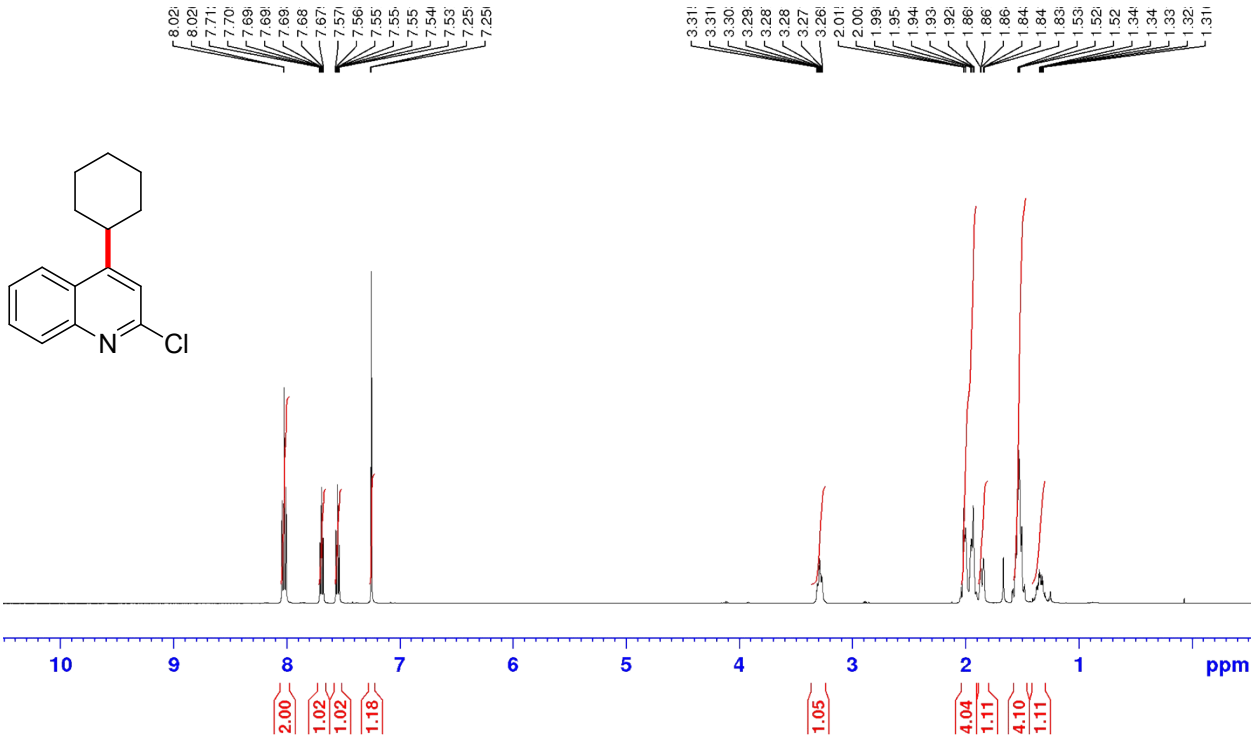
^{1}H NMR (500 MHz, $CDCl_3$) of 4-cyclohexyl-2-phenethylquinoline (5c)



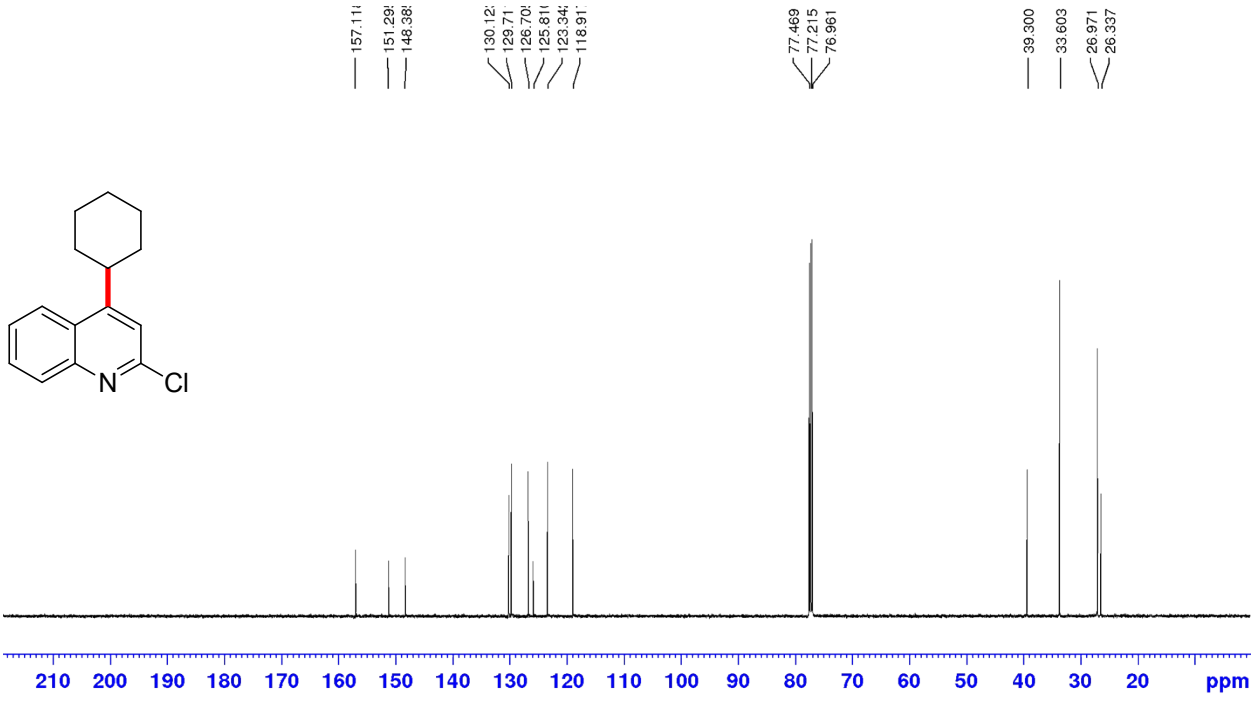
^{13}C NMR (125 MHz, $CDCl_3$) of 4-cyclohexyl-2-phenethylquinoline (5c)



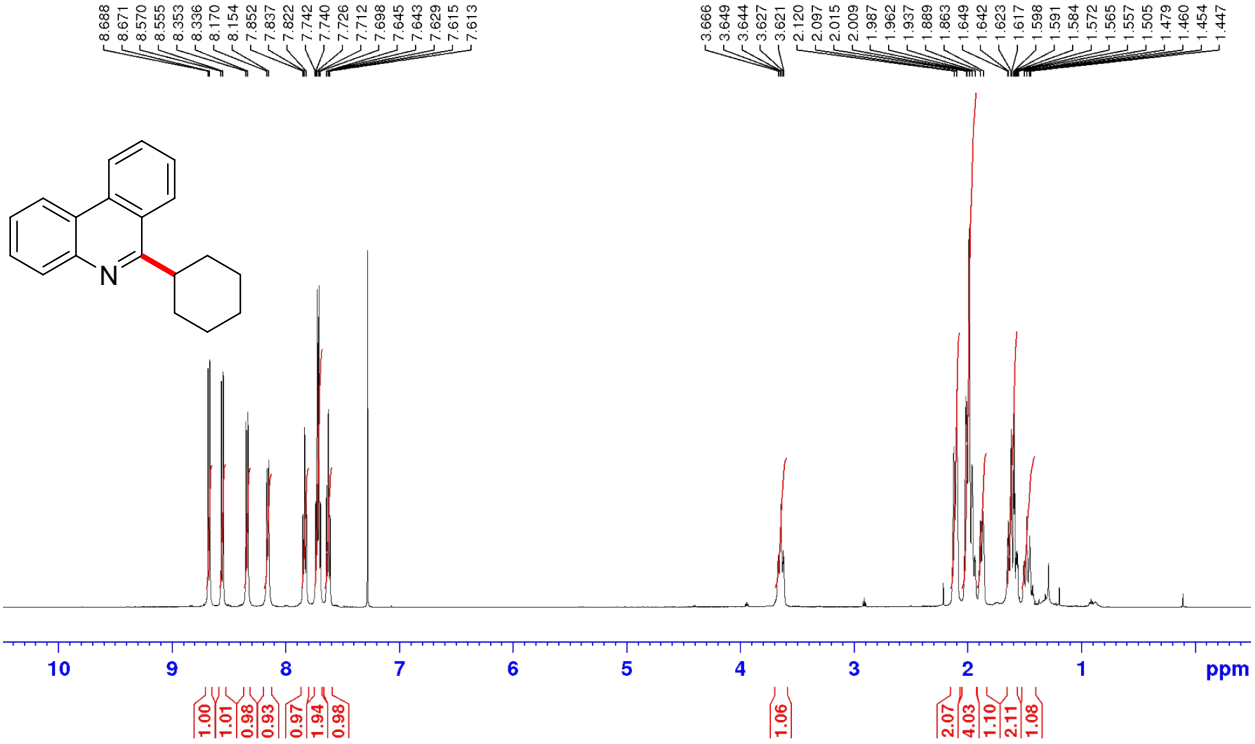
^{1}H NMR (500 MHz, $CDCl_3$) of 2-chloro-4-cyclohexylquinoline (5d)



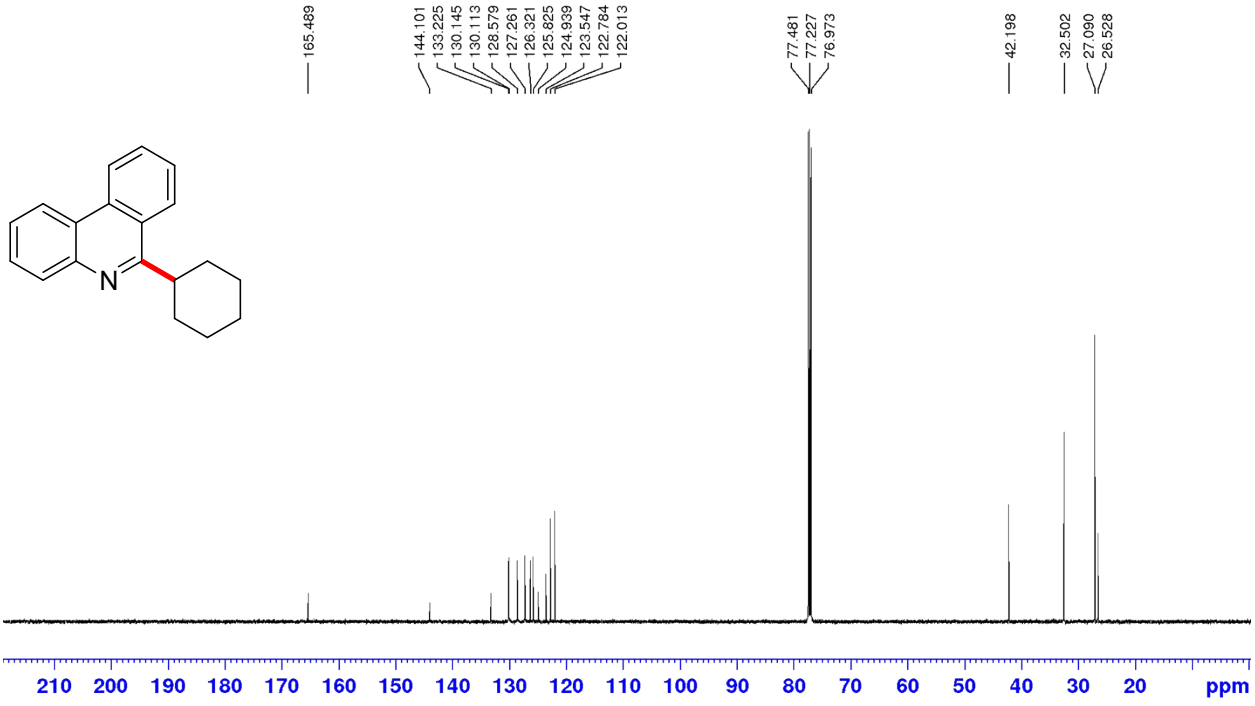
^{13}C NMR (125 MHz, $CDCl_3$) of 2-chloro-4-cyclohexylquinoline (5d)



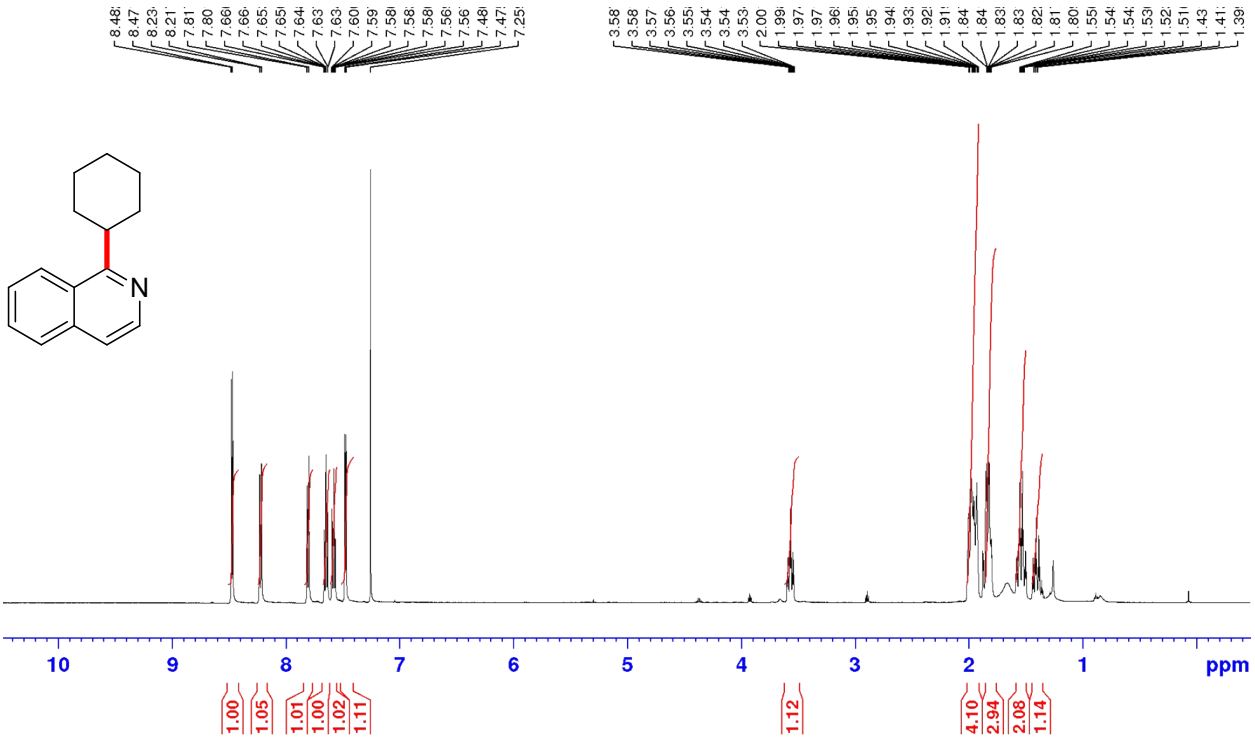
^{1}H NMR (500 MHz, $CDCl_3$) of 6-cyclohexylphenanthridine (5e)



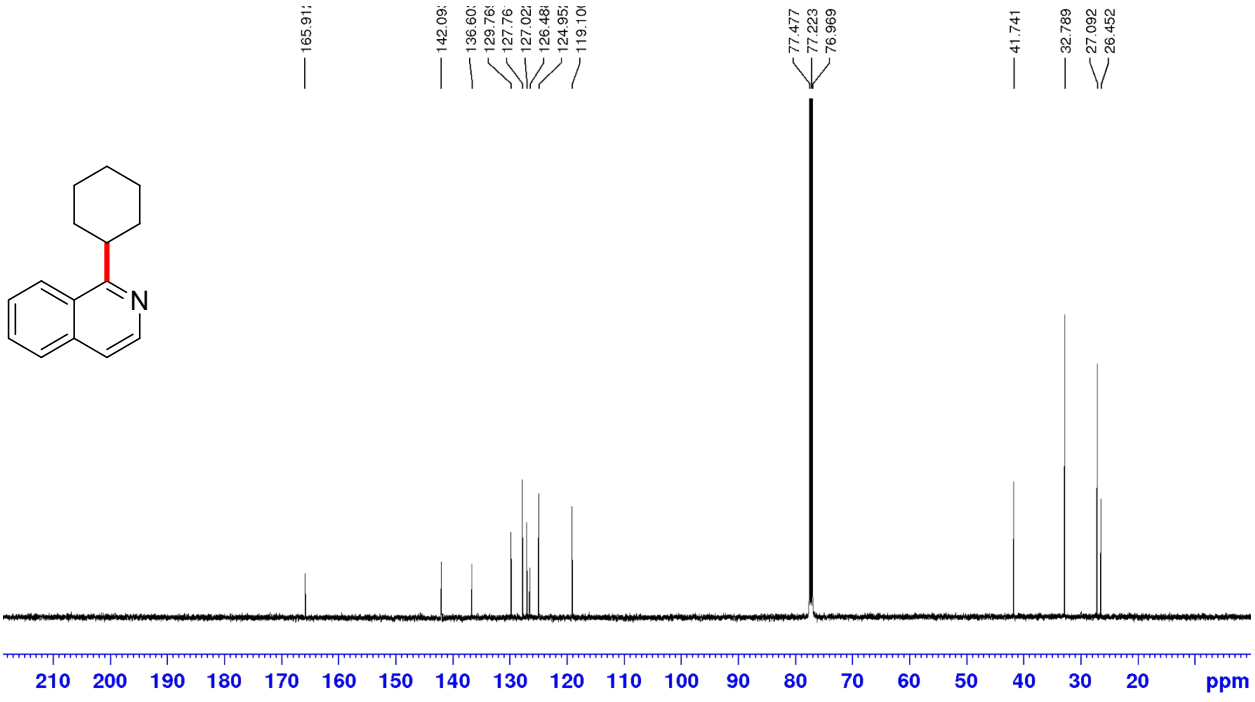
^{13}C NMR (125 MHz, $CDCl_3$) of 6-cyclohexylphenanthridine (5e)



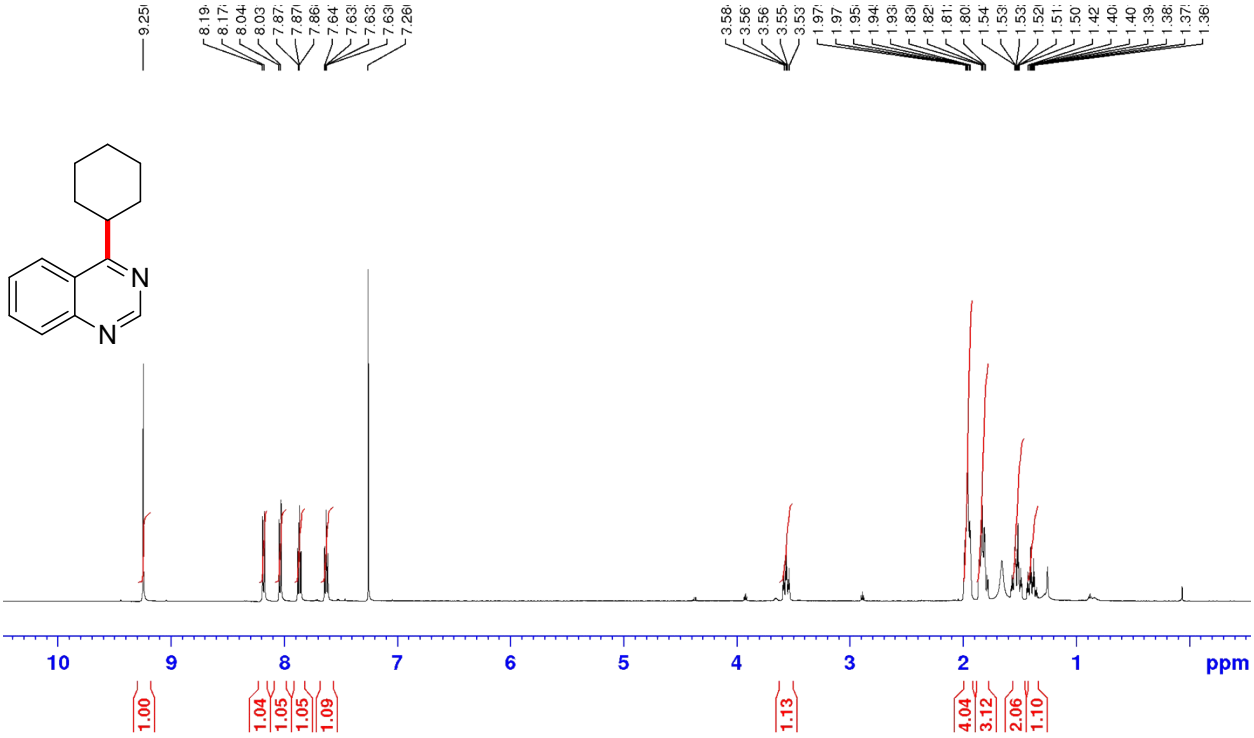
^{1}H NMR (500 MHz, $CDCl_3$) of 1-cyclohexylisoquinoline (5f)



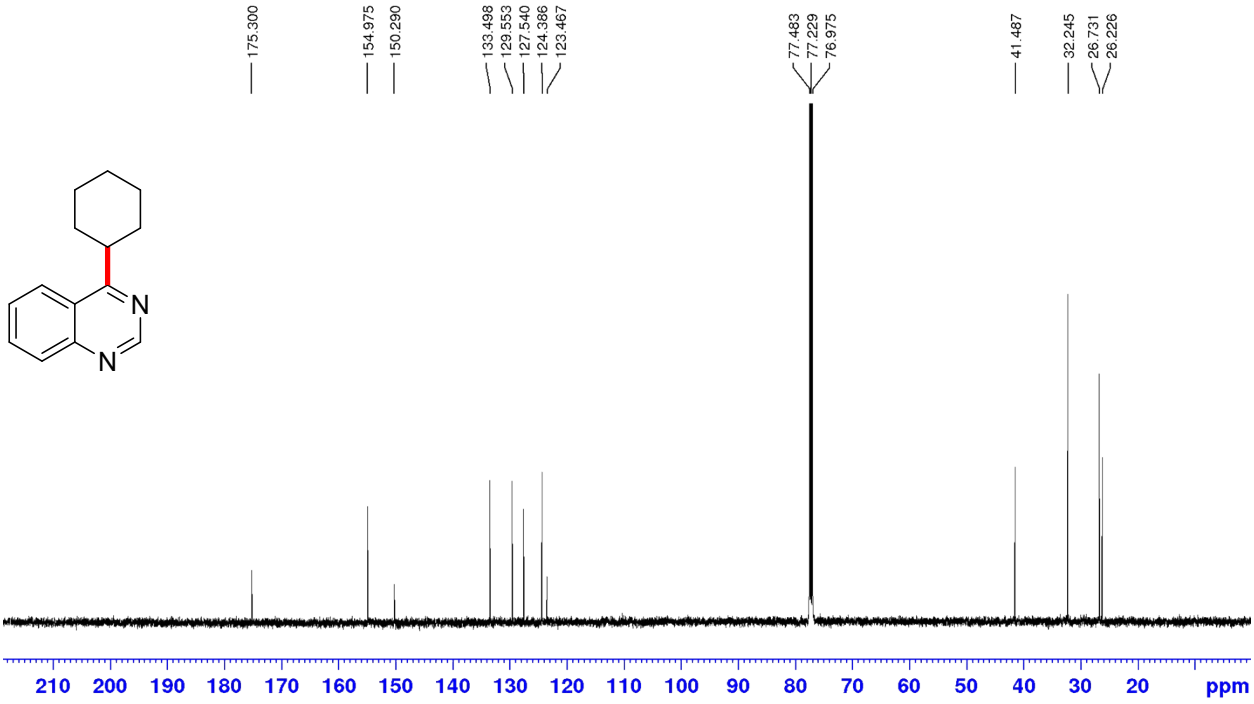
^{13}C NMR (125 MHz, $CDCl_3$) of 1-cyclohexylisoquinoline (5f)



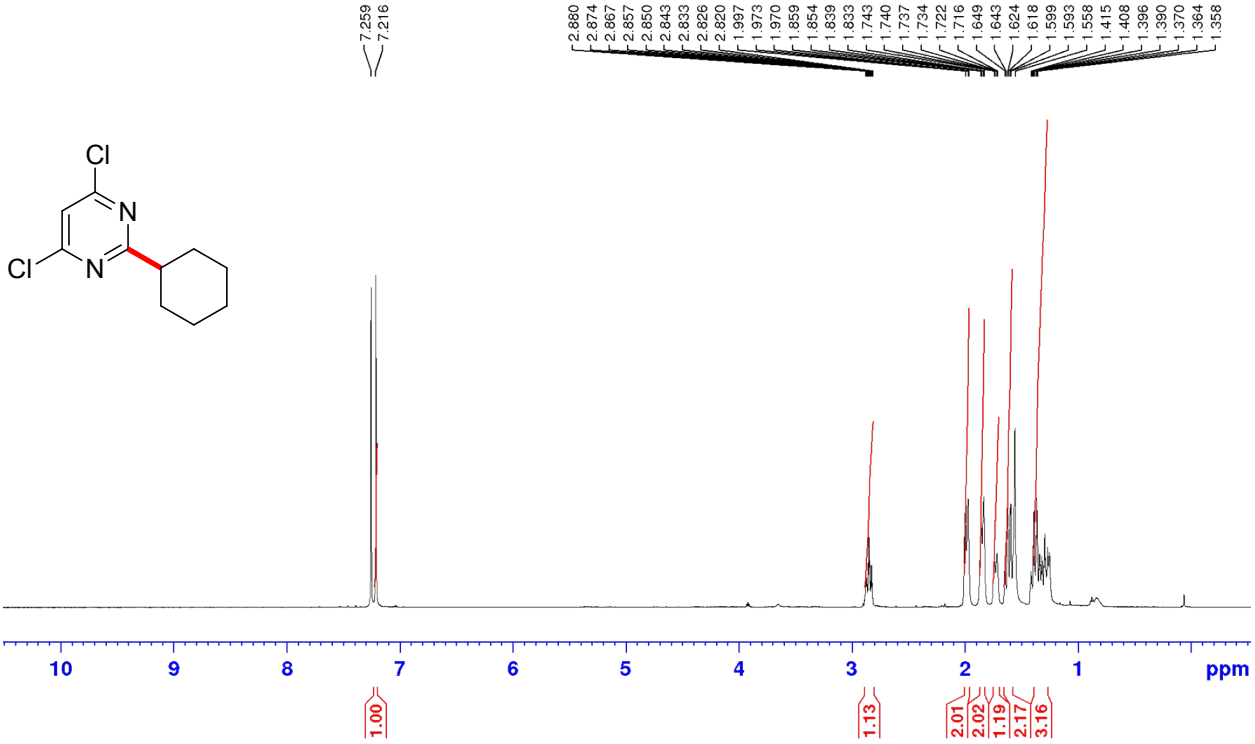
^{1}H NMR (500 MHz, $CDCl_3$) of 4-cyclohexylquinazoline (5g)



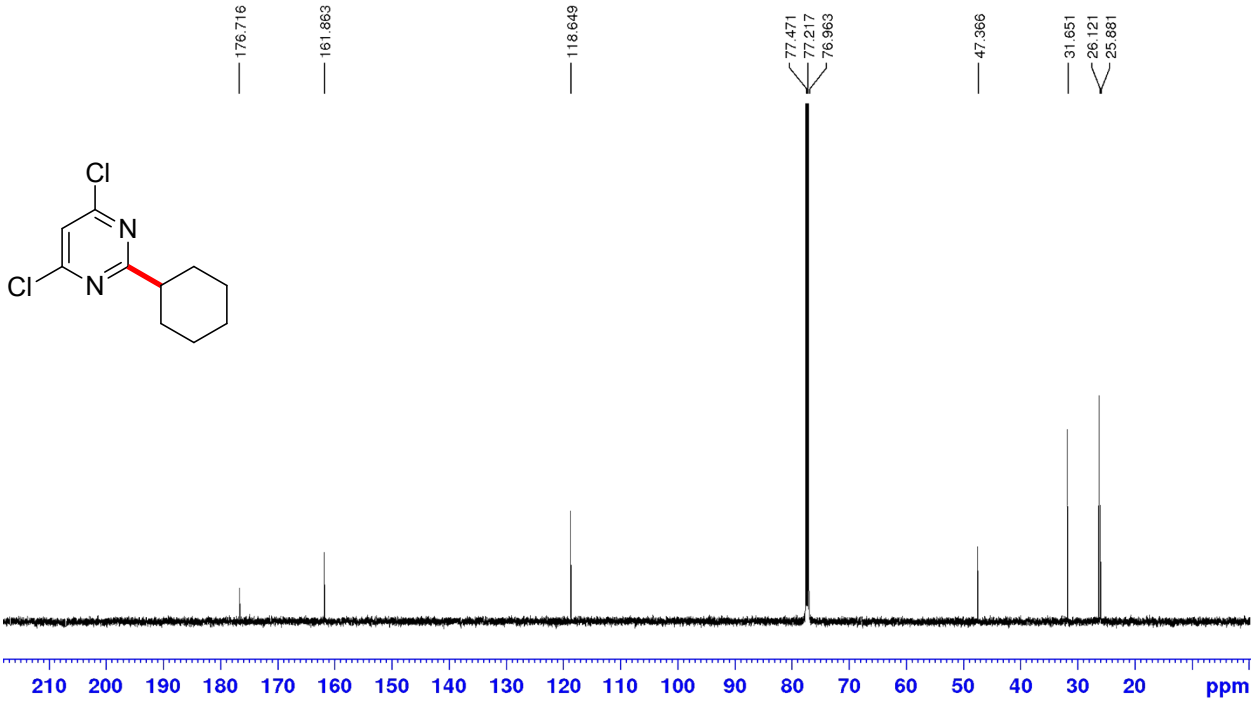
^{13}C NMR (125 MHz, $CDCl_3$) of 4-cyclohexylquinazoline (5g)



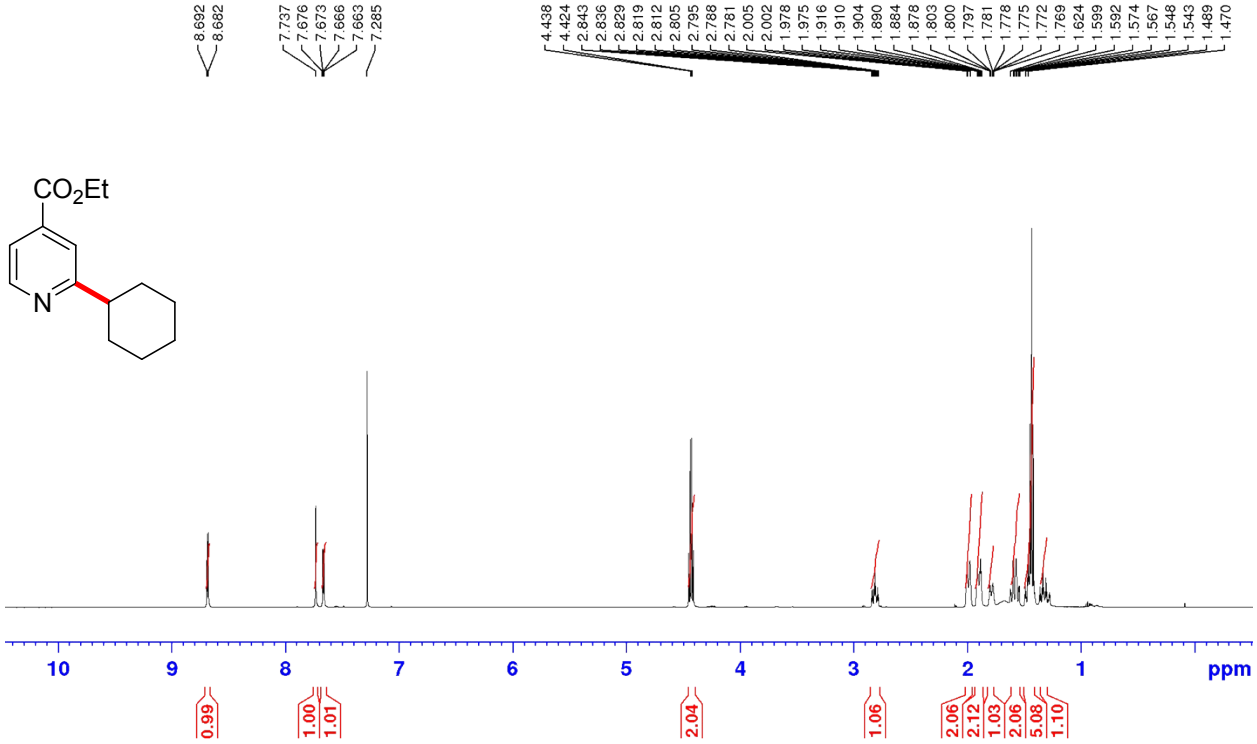
^{1}H NMR (500 MHz, $CDCl_3$) of 4,6-dichloro-2-cyclohexylpyrimidine (5h)



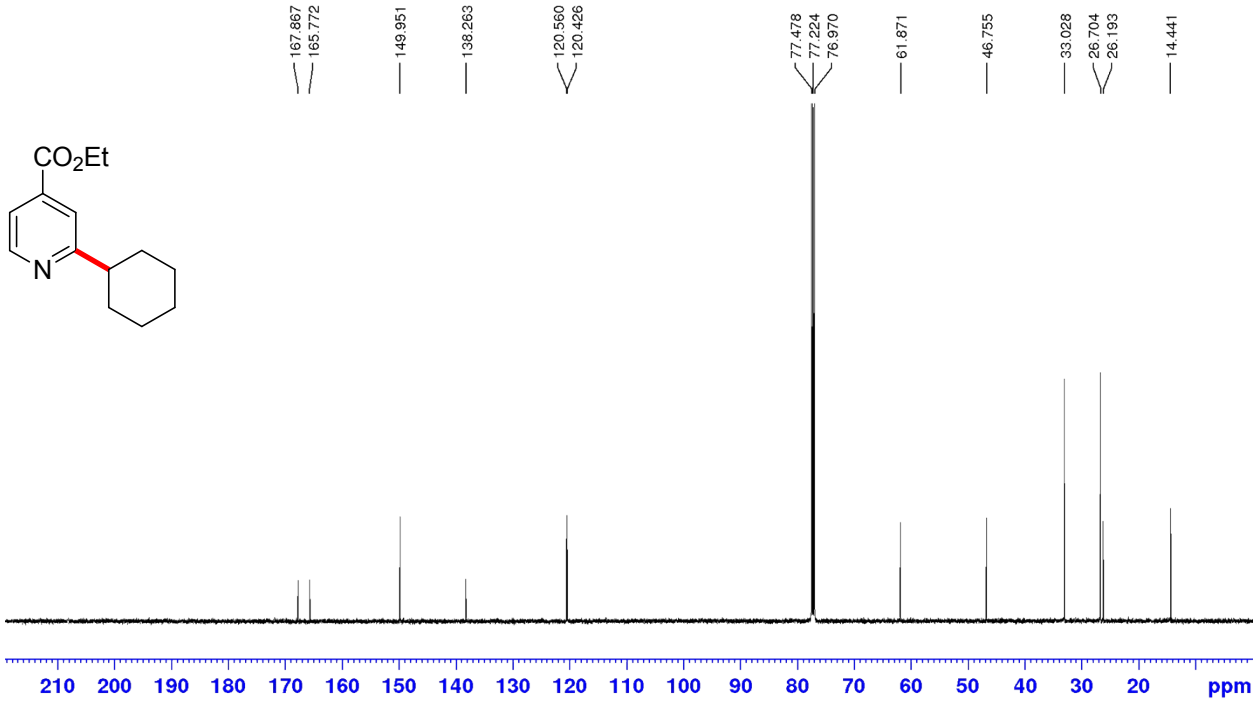
^{13}C NMR (125 MHz, $CDCl_3$) of 4,6-dichloro-2-cyclohexylpyrimidine (5h)



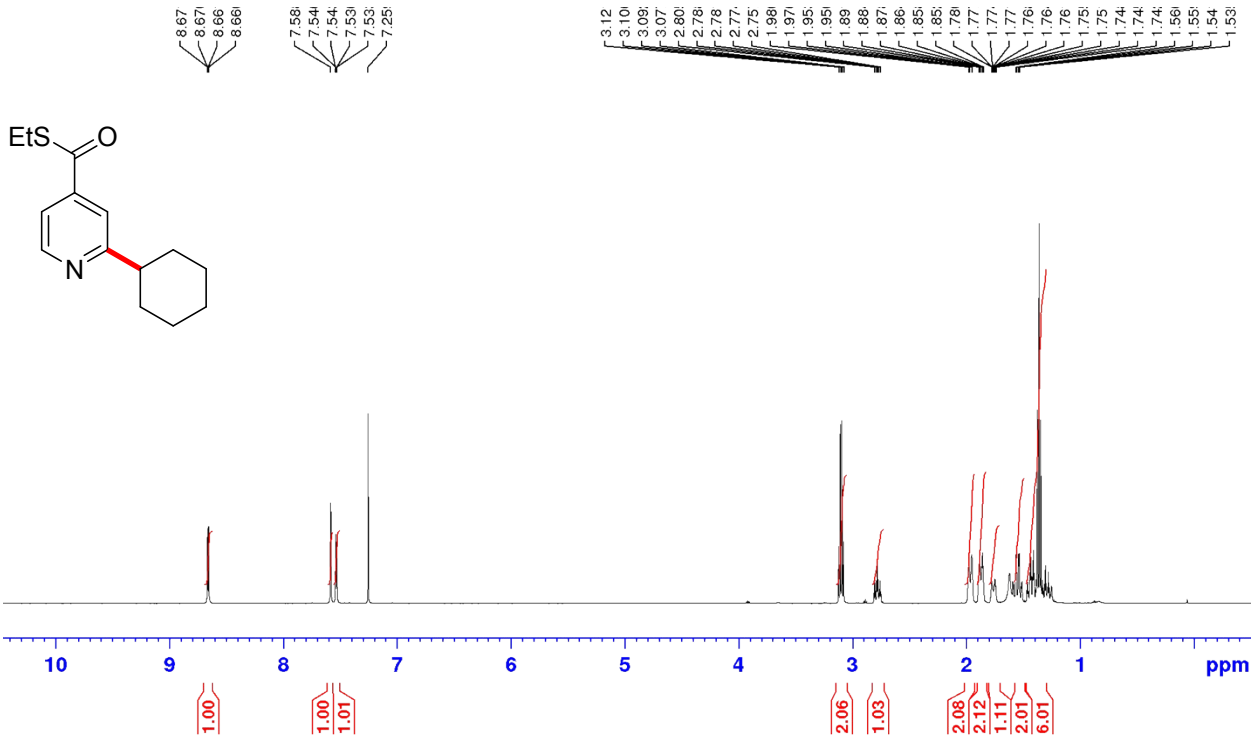
^{1}H NMR (500 MHz, $CDCl_3$) of ethyl 2-cyclohexylisonicotinate (5i)



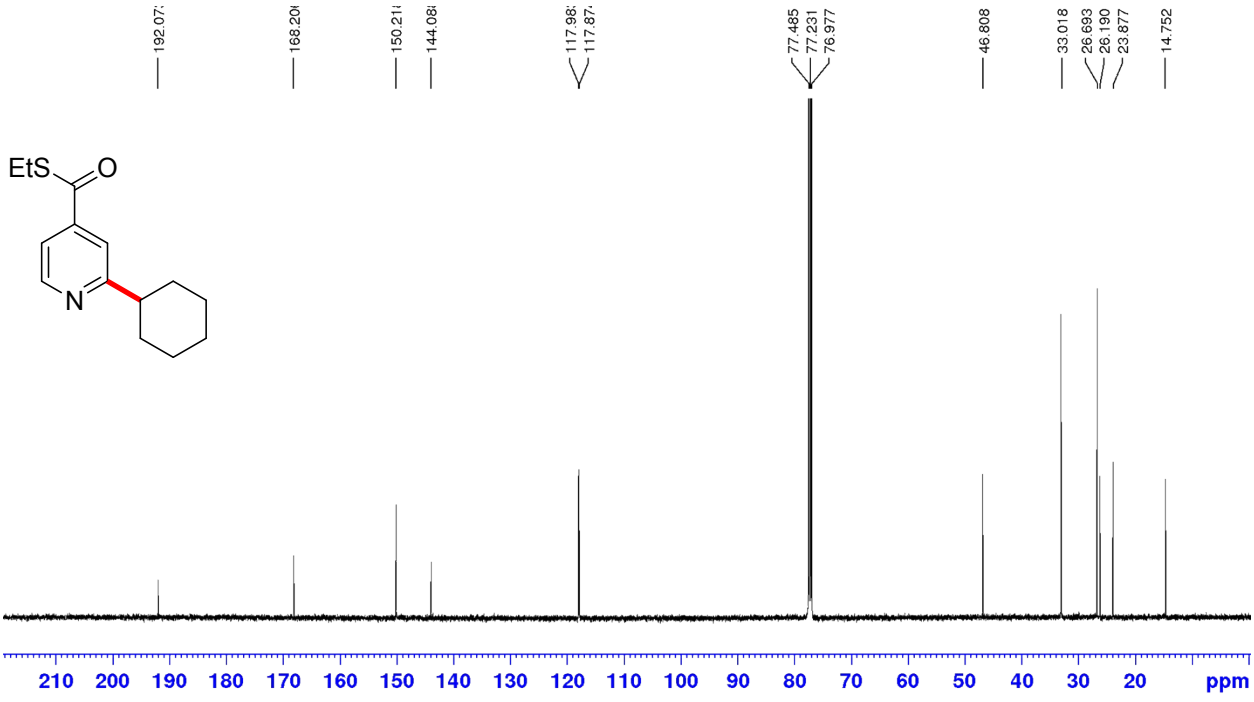
^{13}C NMR (125 MHz, $CDCl_3$) of ethyl 2-cyclohexylisonicotinate (5i)



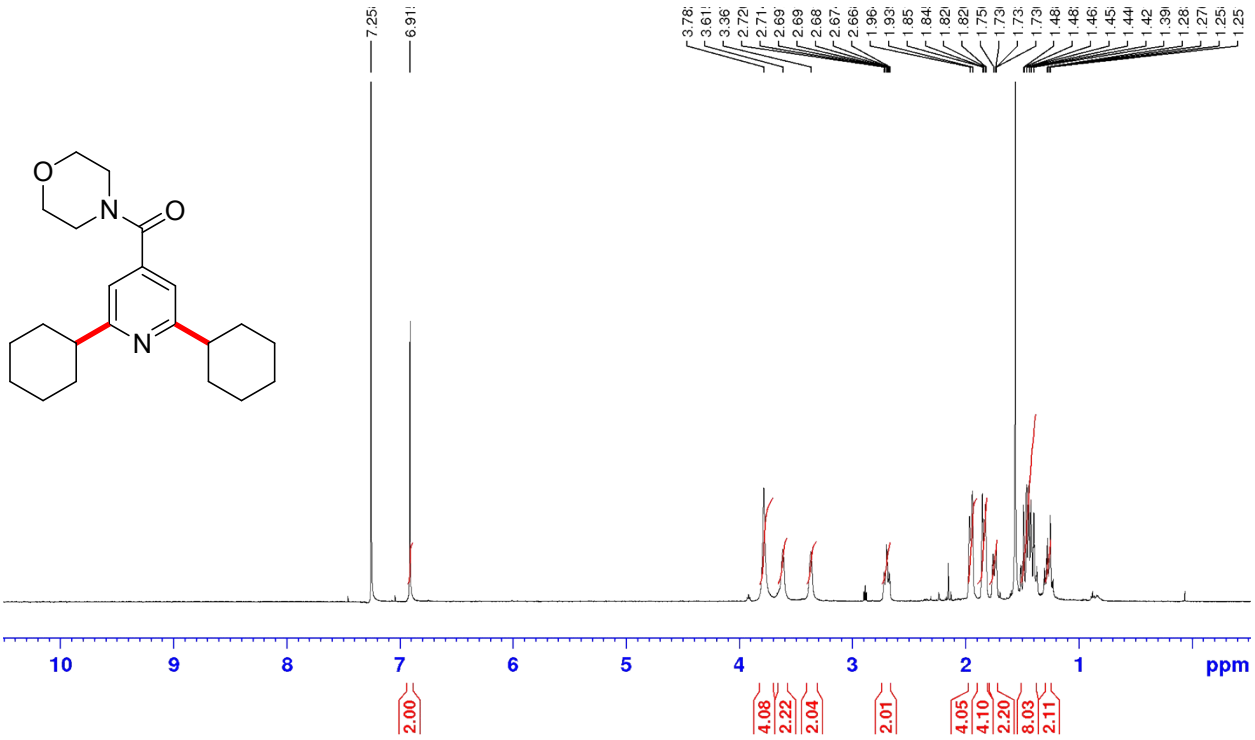
^{1}H NMR (500 MHz, $CDCl_3$) of ethyl 2-cyclohexylpyridine-4-carbothioate (5j)



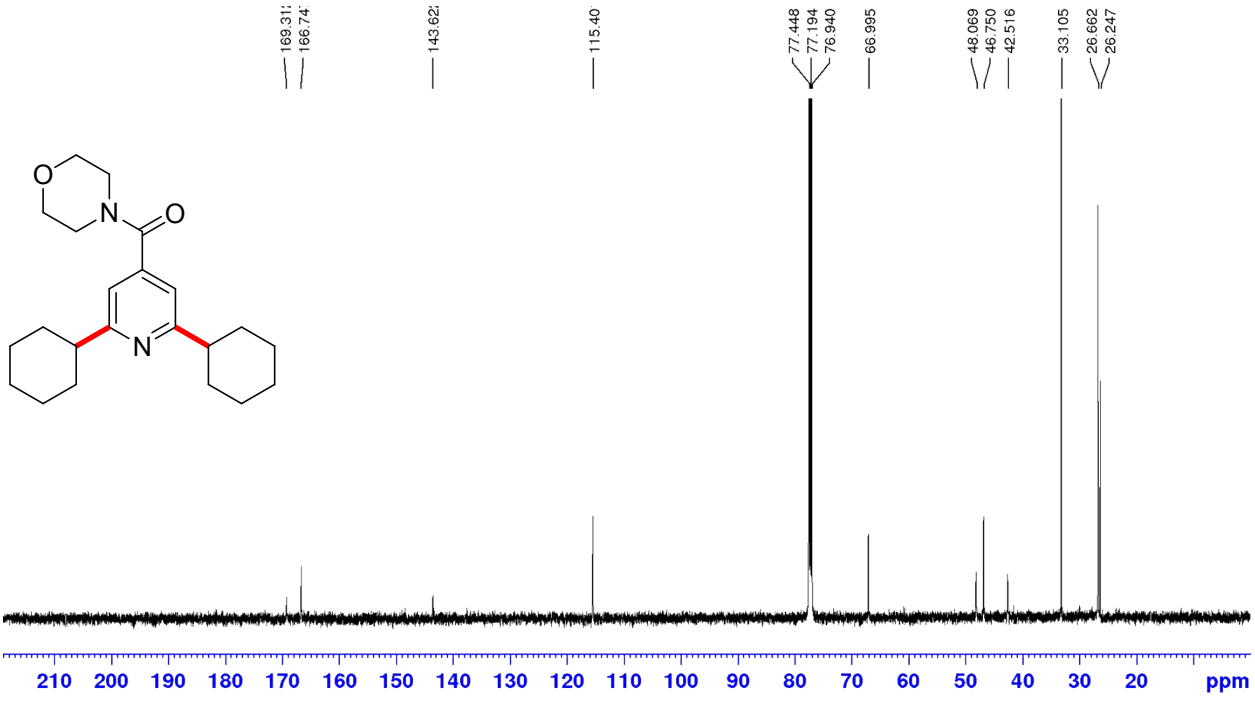
^{13}C NMR (125 MHz, $CDCl_3$) of ethyl 2-cyclohexylpyridine-4-carbothioate (5j)



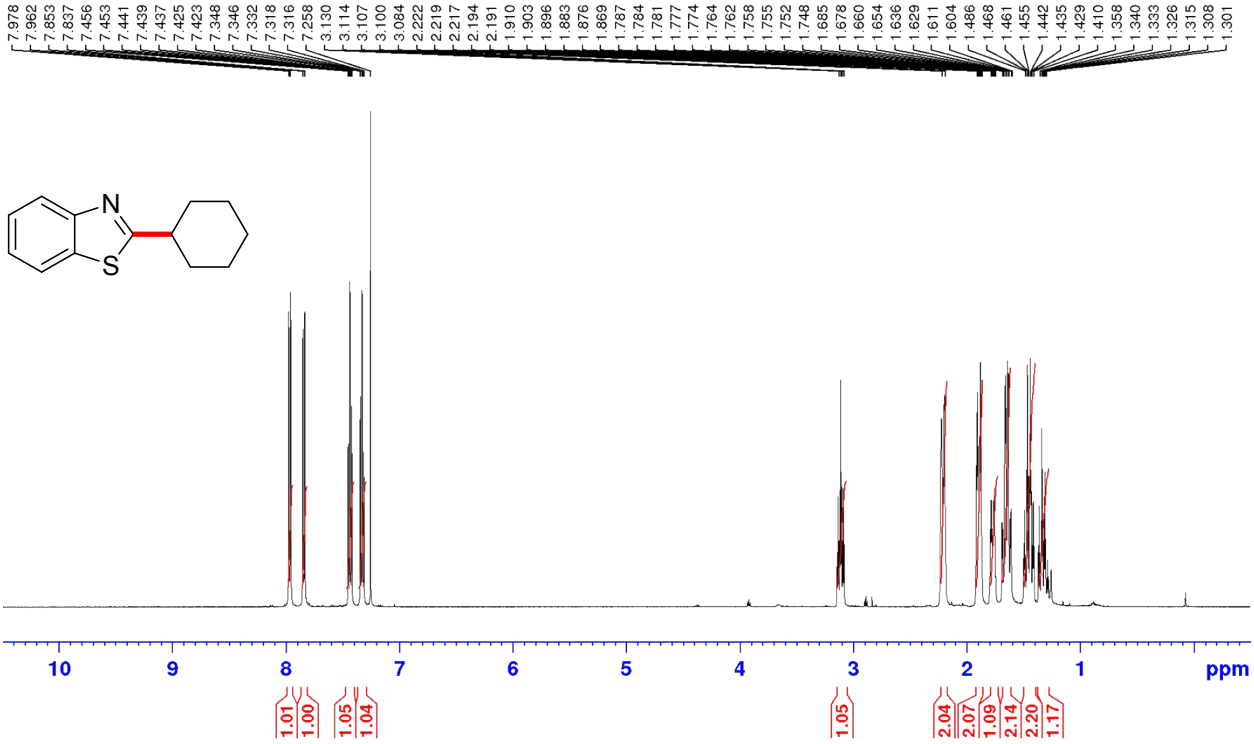
^{1}H NMR (500 MHz, $CDCl_3$) of (2,6-dicyclohexylpyridin-4-yl)(morpholino)methanone (5k)



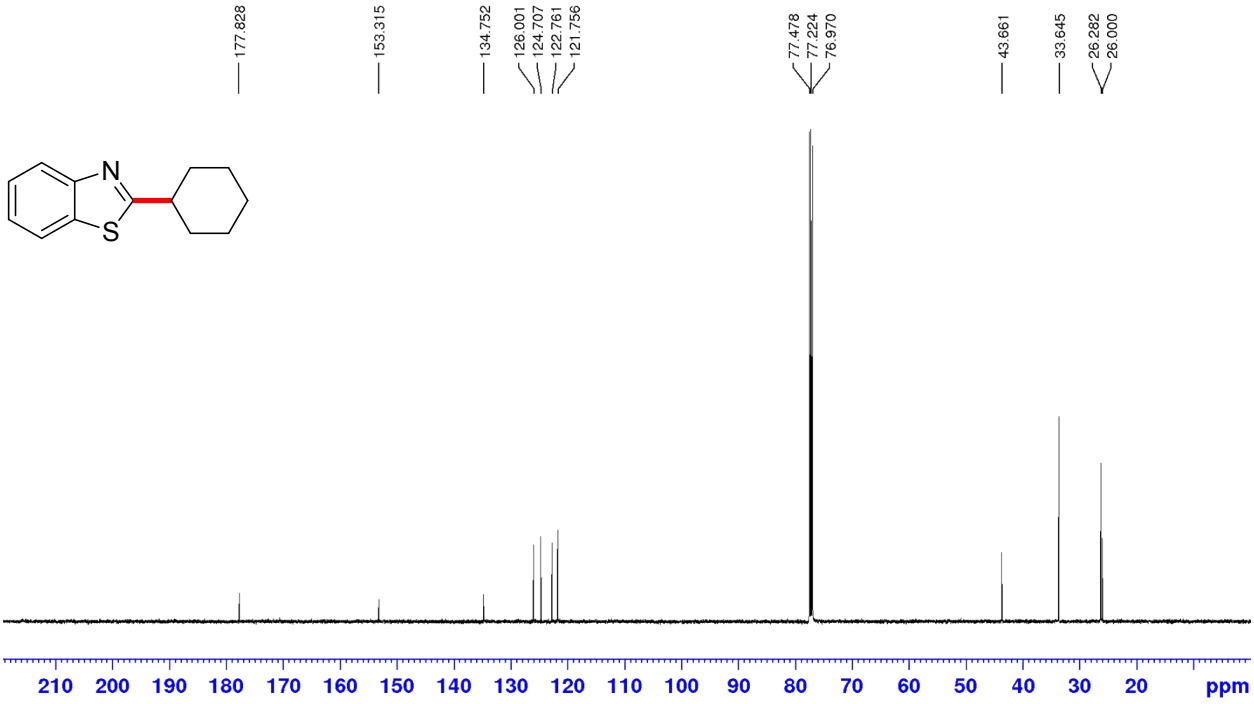
^{13}C NMR (125 MHz, $CDCl_3$) of (2,6-dicyclohexylpyridin-4-yl)(morpholino)methanone (5k)



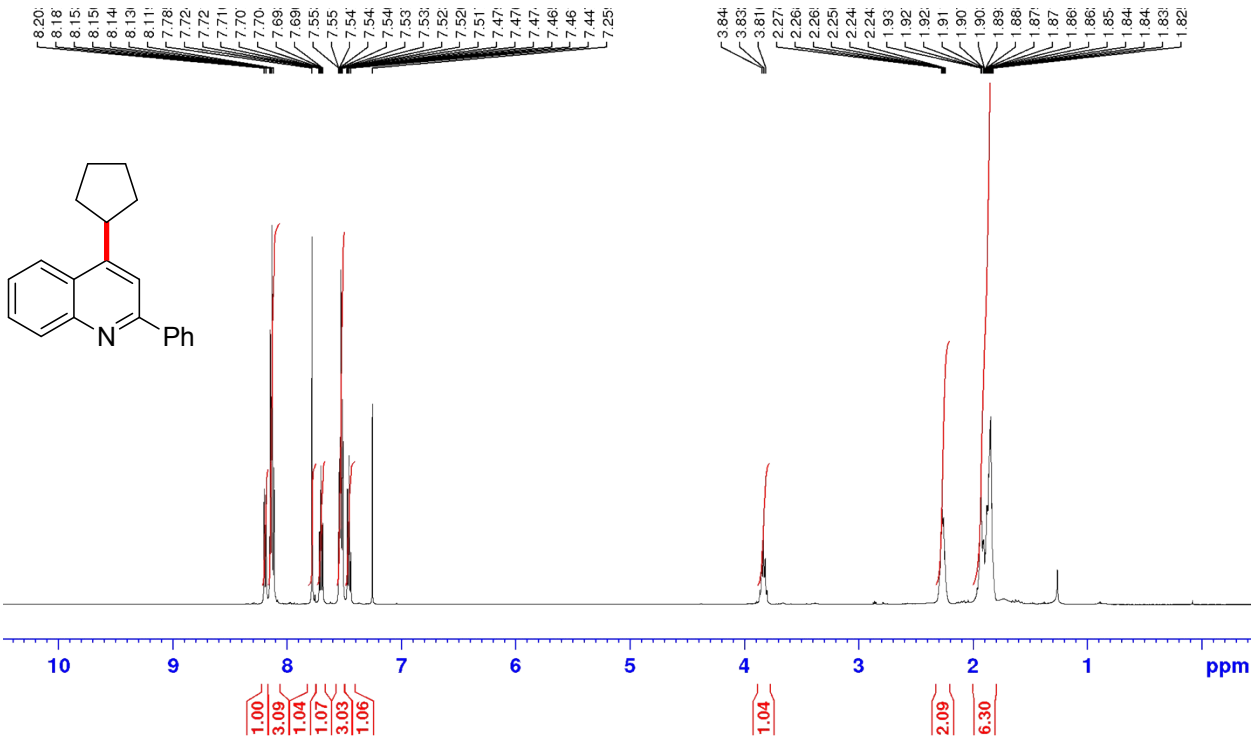
^{1}H NMR (500 MHz, CDCl3) of 2-cyclohexylbenzo[*d*]thiazole (5l)



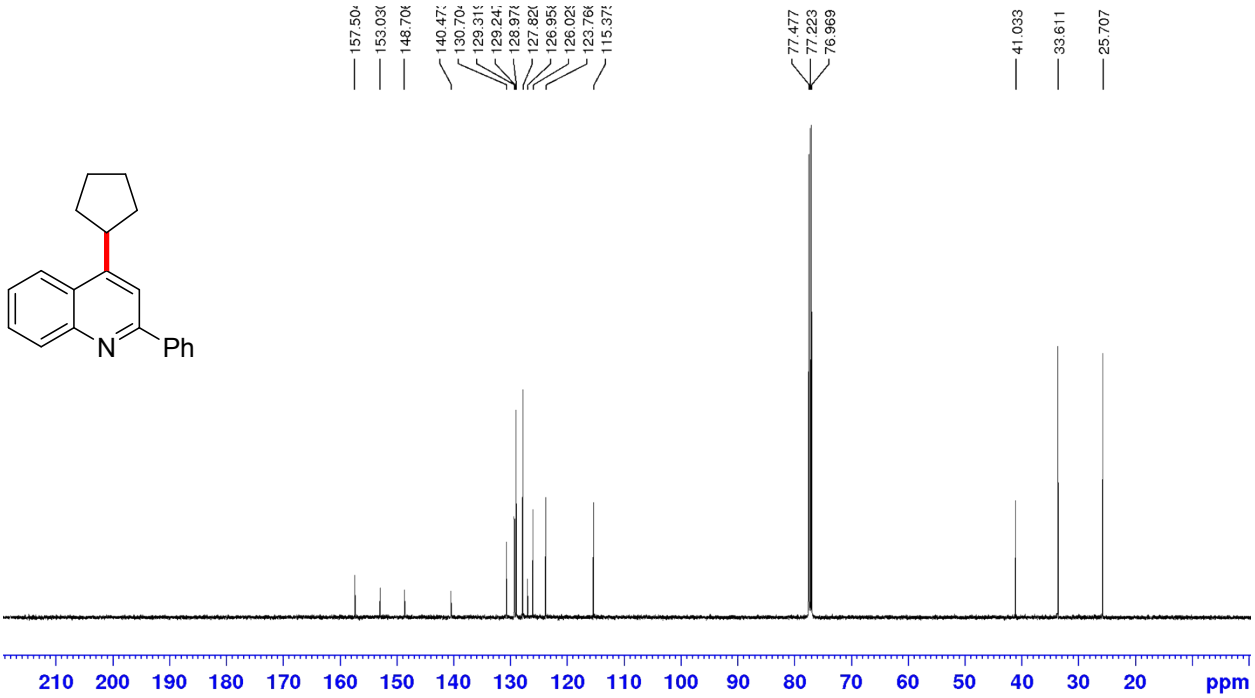
^{13}C NMR (125 MHz, $CDCl_3$) of 2-cyclohexylbenzo[*d*]thiazole (5l)



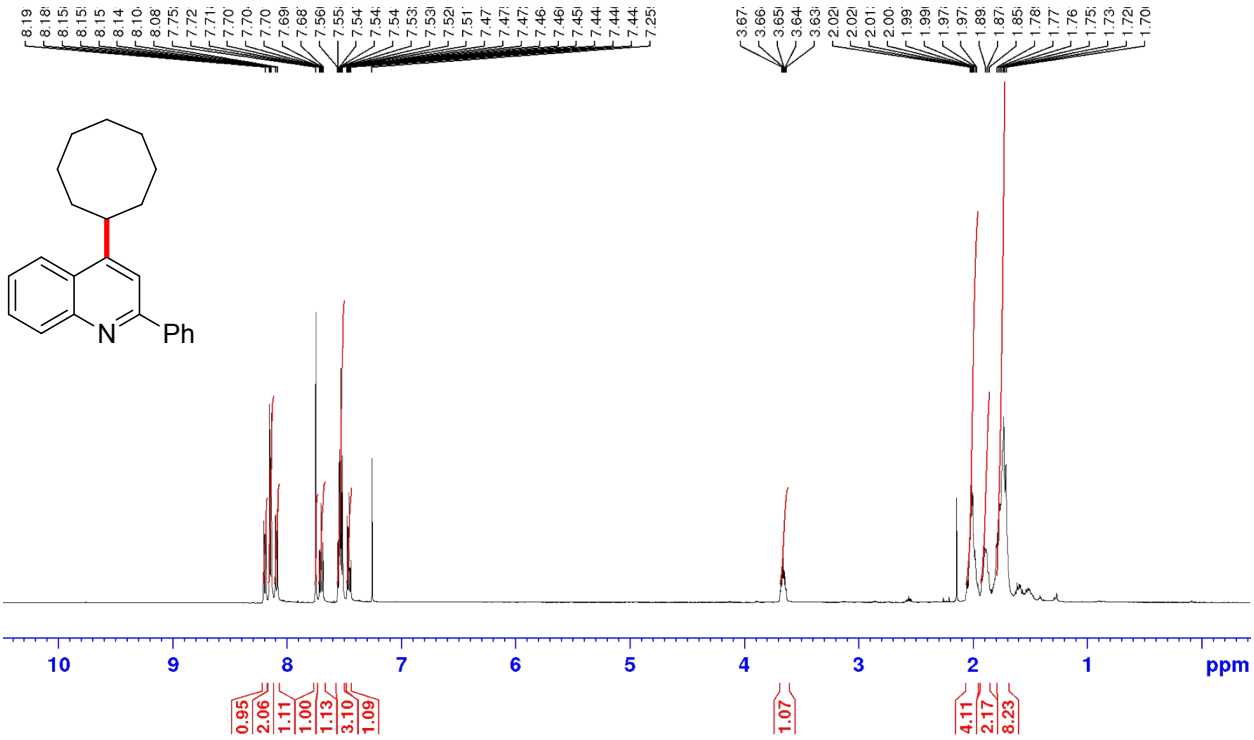
^{1}H NMR (500 MHz, $CDCl_3$) of 4-cyclopentyl-2-phenylquinoline (5m)



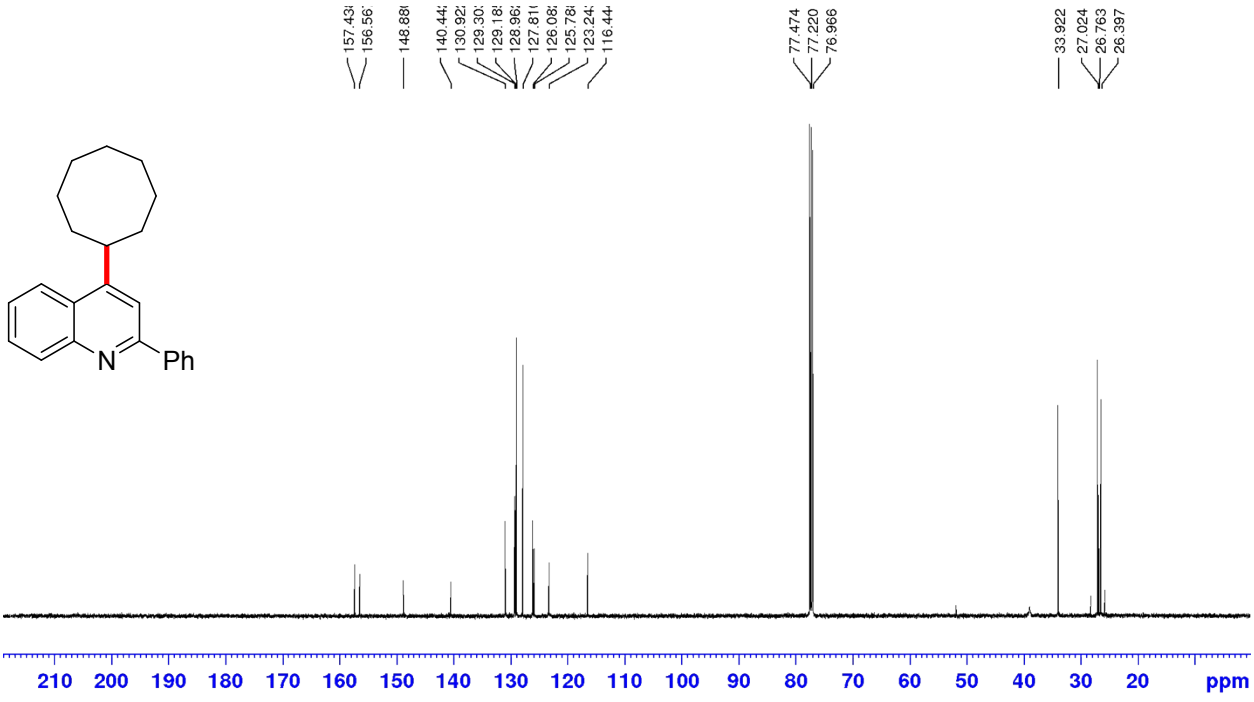
^{13}C NMR (125 MHz, $CDCl_3$) of 4-cyclopentyl-2-phenylquinoline (5m)



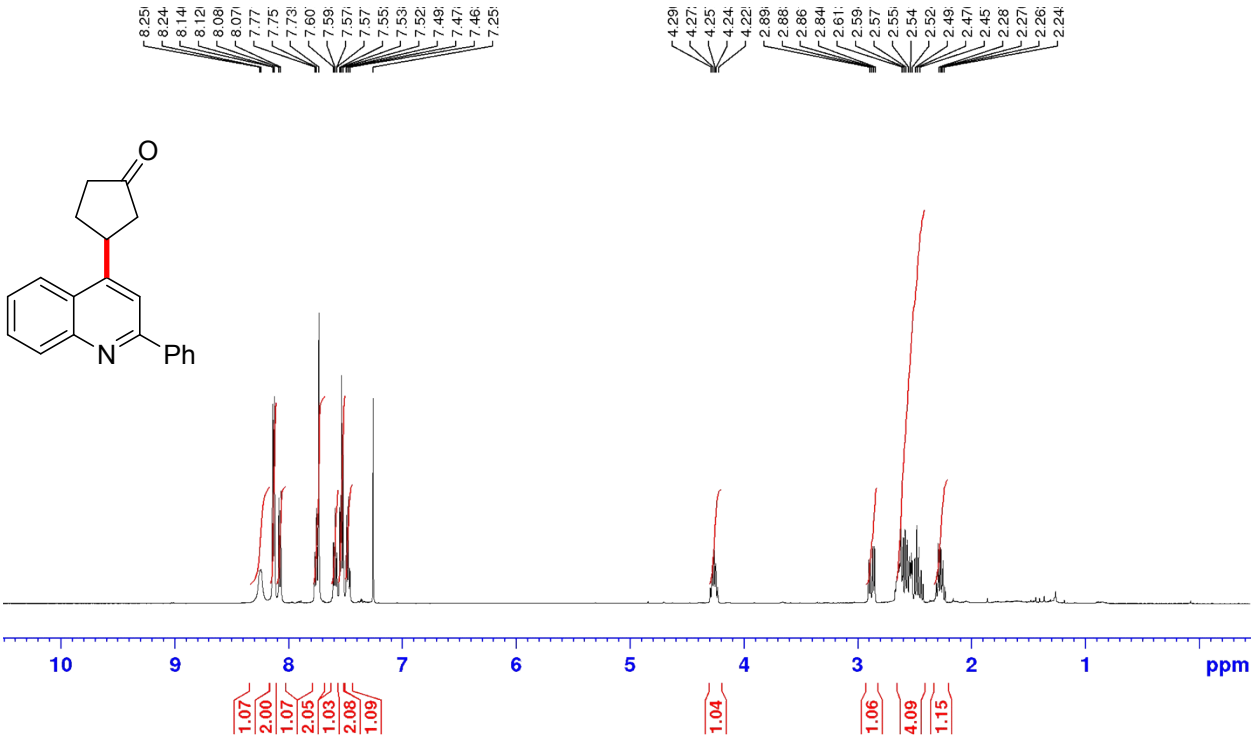
^{1}H NMR (500 MHz, $CDCl_3$) of 4-cyclooctyl-2-phenylquinoline (5n)



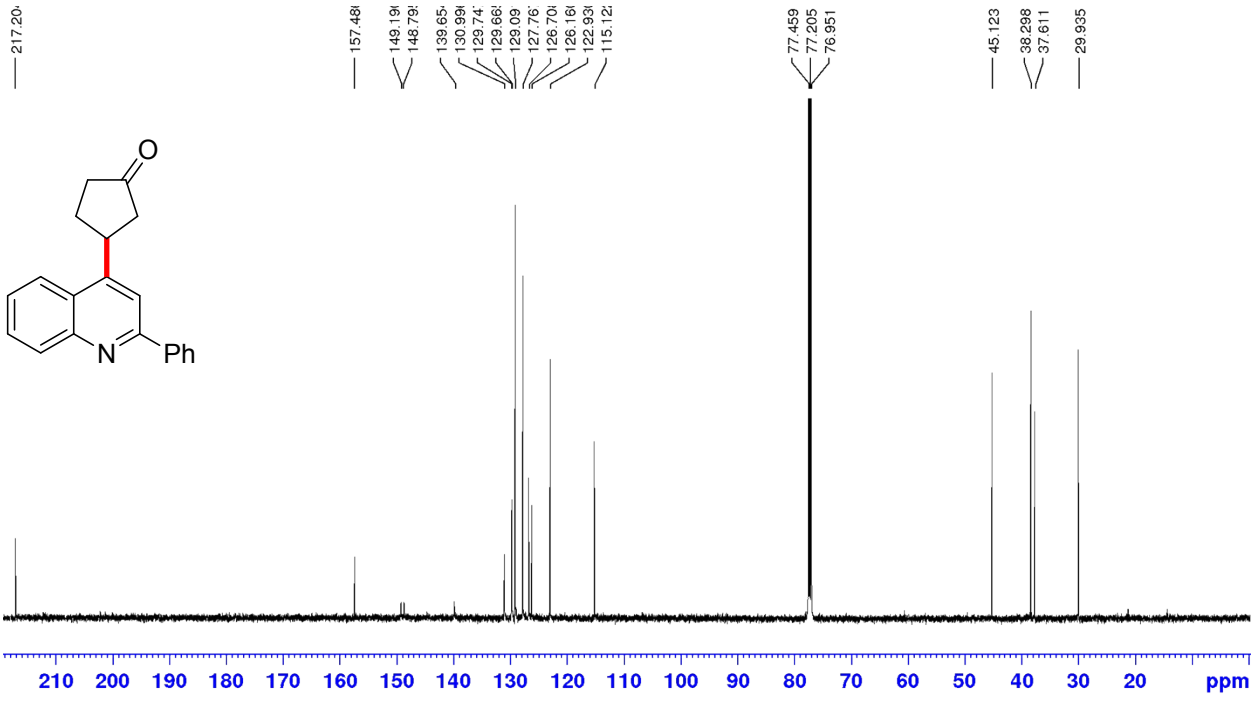
^{13}C NMR (125 MHz, $CDCl_3$) of 4-cyclooctyl-2-phenylquinoline (5n)



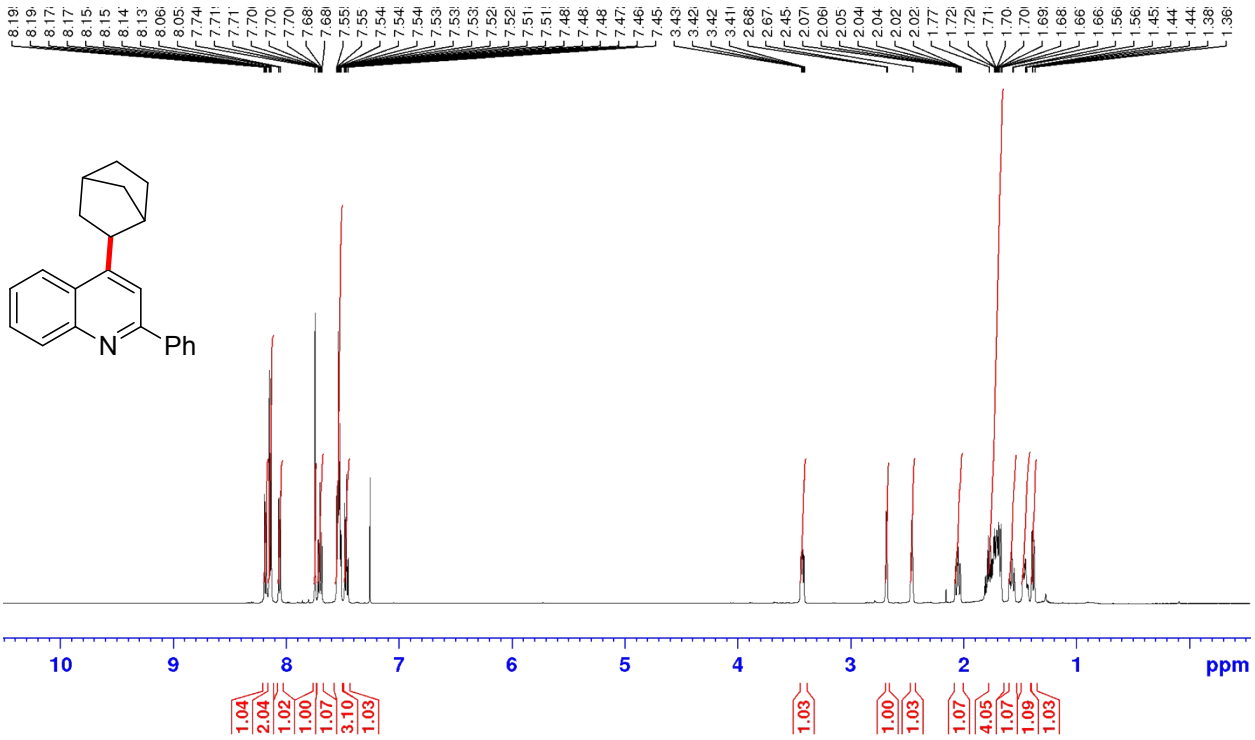
^{1}H NMR (500 MHz, $CDCl_3$) of 3-(2-phenylquinolin-4-yl)cyclopentanone (5o)



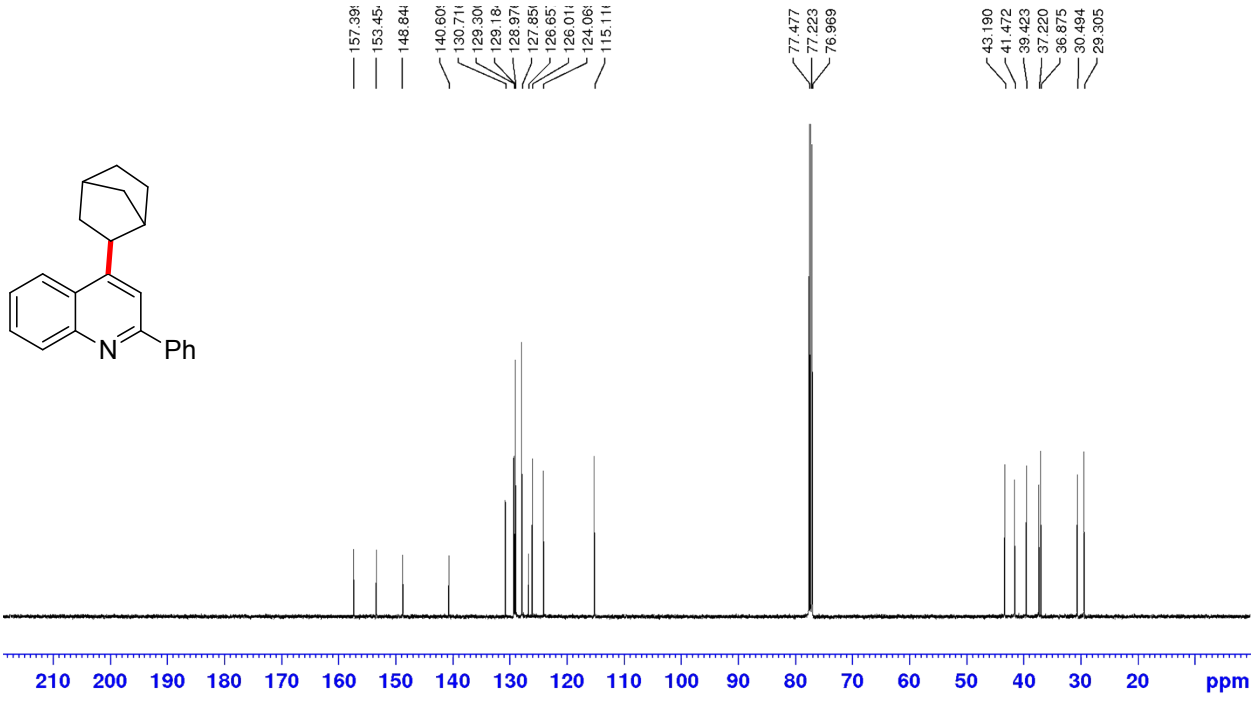
^{13}C NMR (125 MHz, $CDCl_3$) of 3-(2-phenylquinolin-4-yl)cyclopentanone (5o)



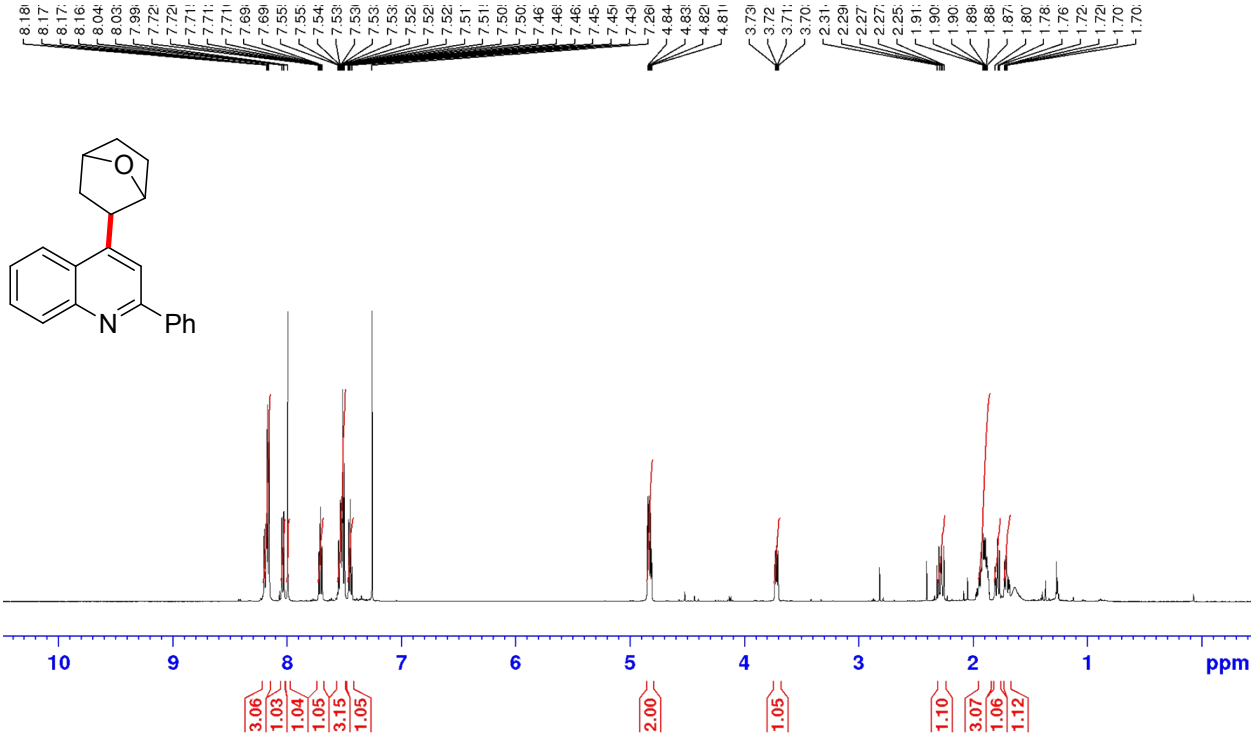
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(bicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5p)



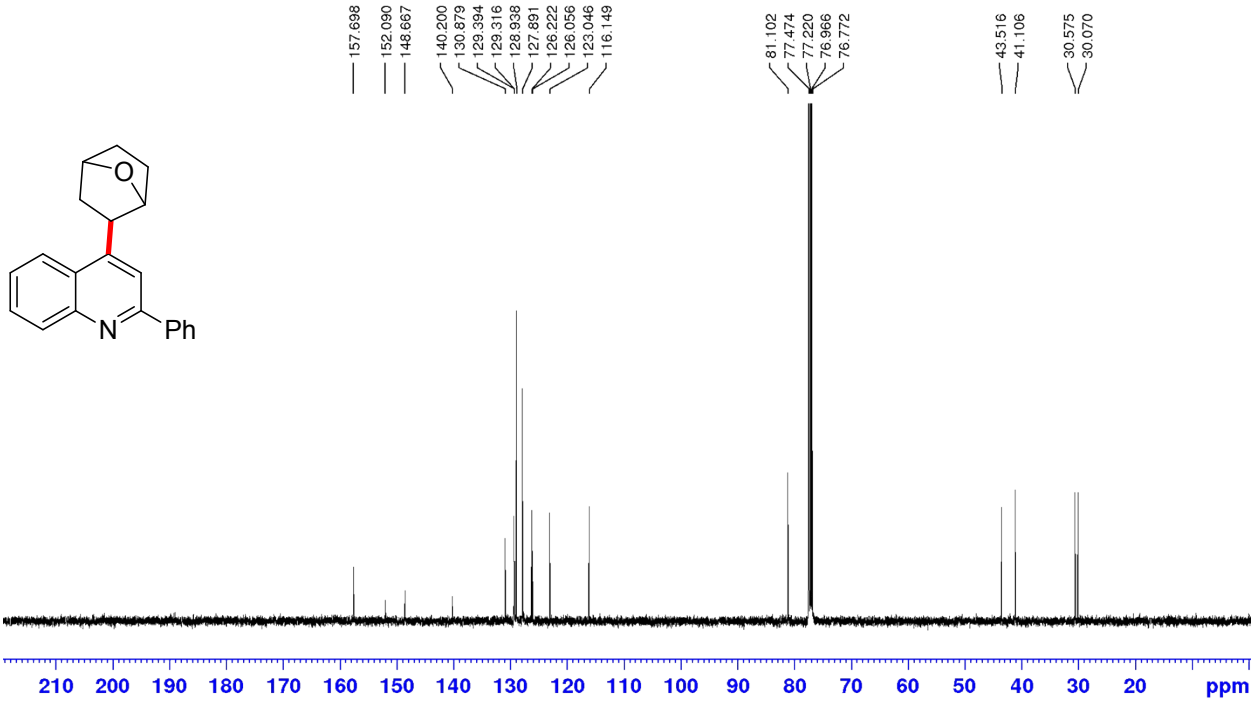
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(bicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5p)



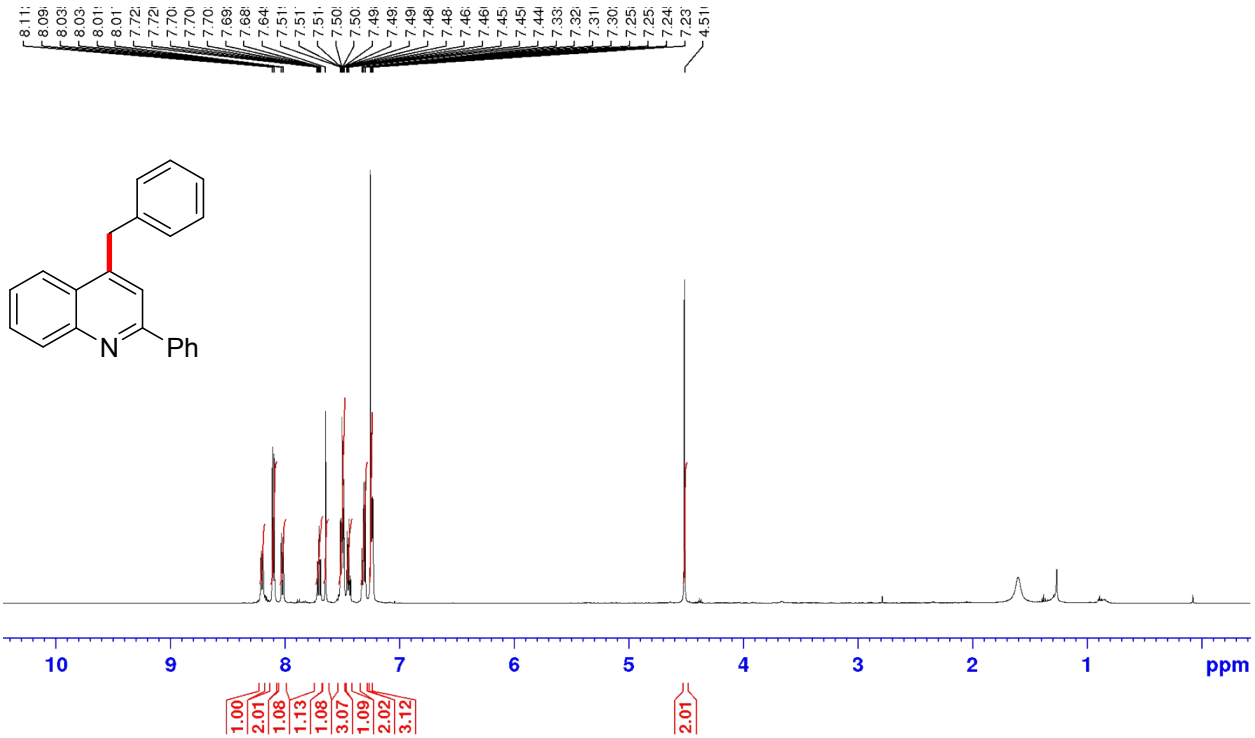
^{1}H NMR (500 MHz, $CDCl_3$) of 4-(7-oxabicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5q)



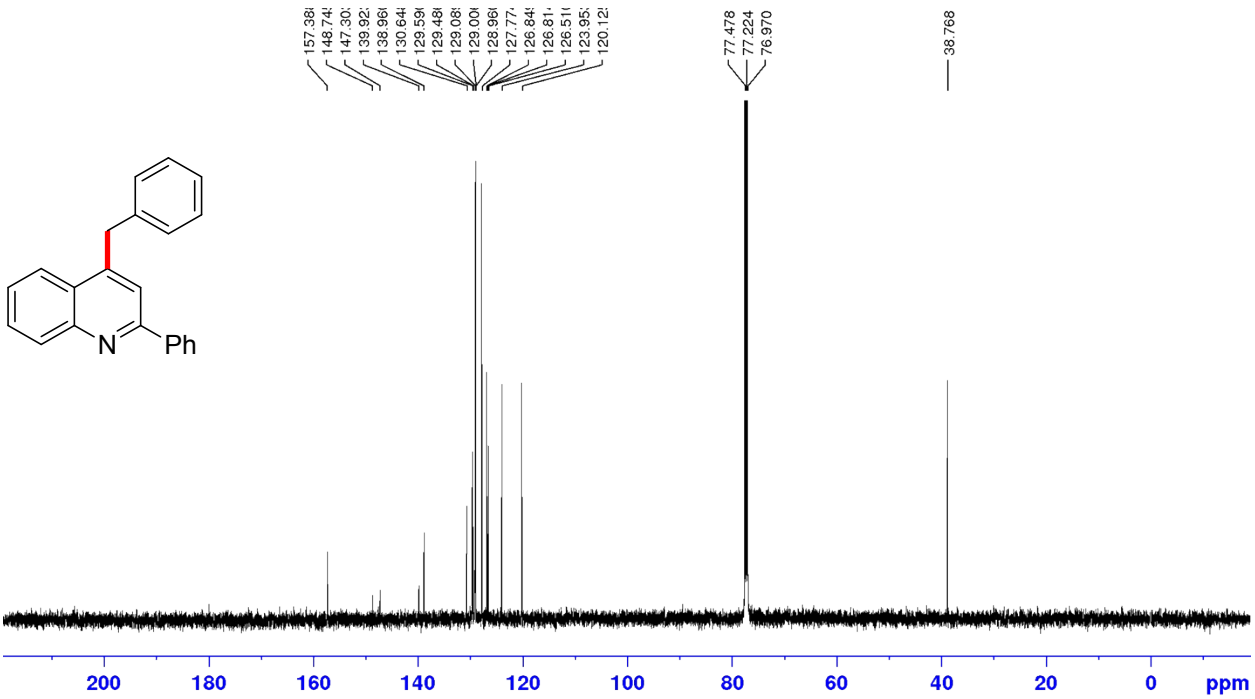
^{13}C NMR (125 MHz, $CDCl_3$) of 4-(7-oxabicyclo[2.2.1]heptan-2-yl)-2-phenylquinoline (5q)



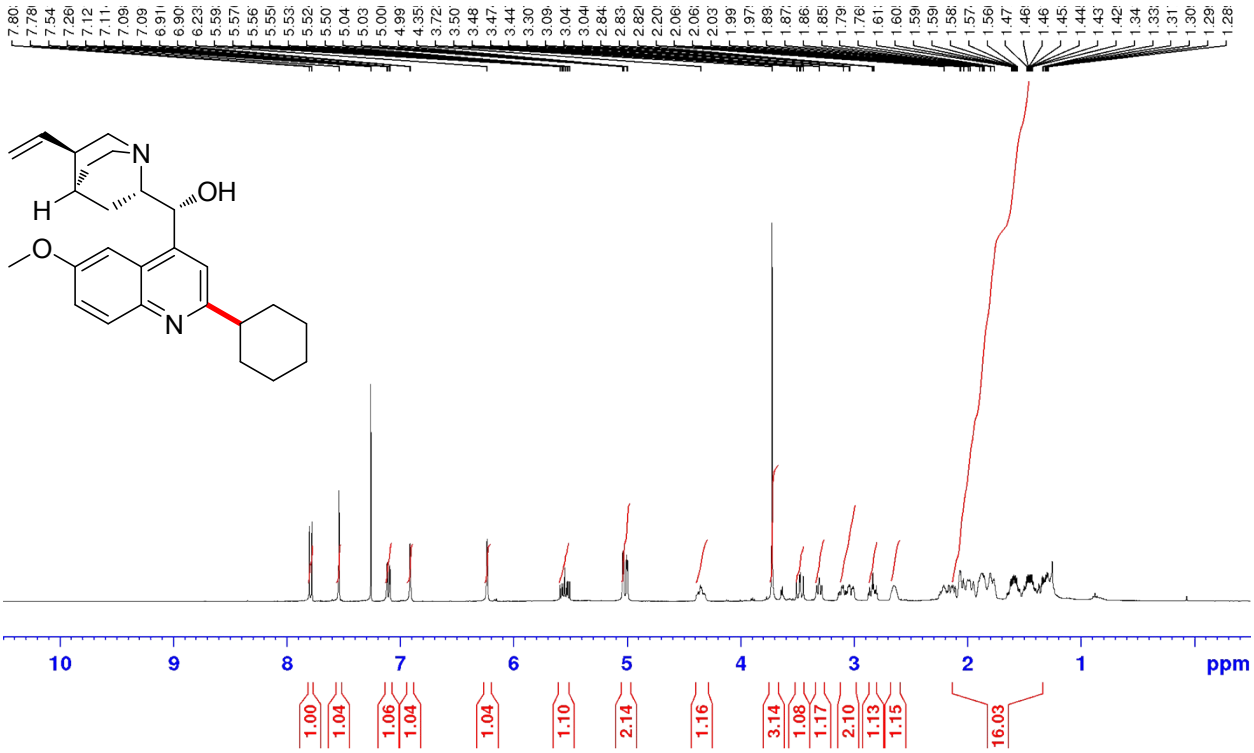
^{1}H NMR (500 MHz, $CDCl_3$) of 4-benzyl-2-phenylquinoline (5r)



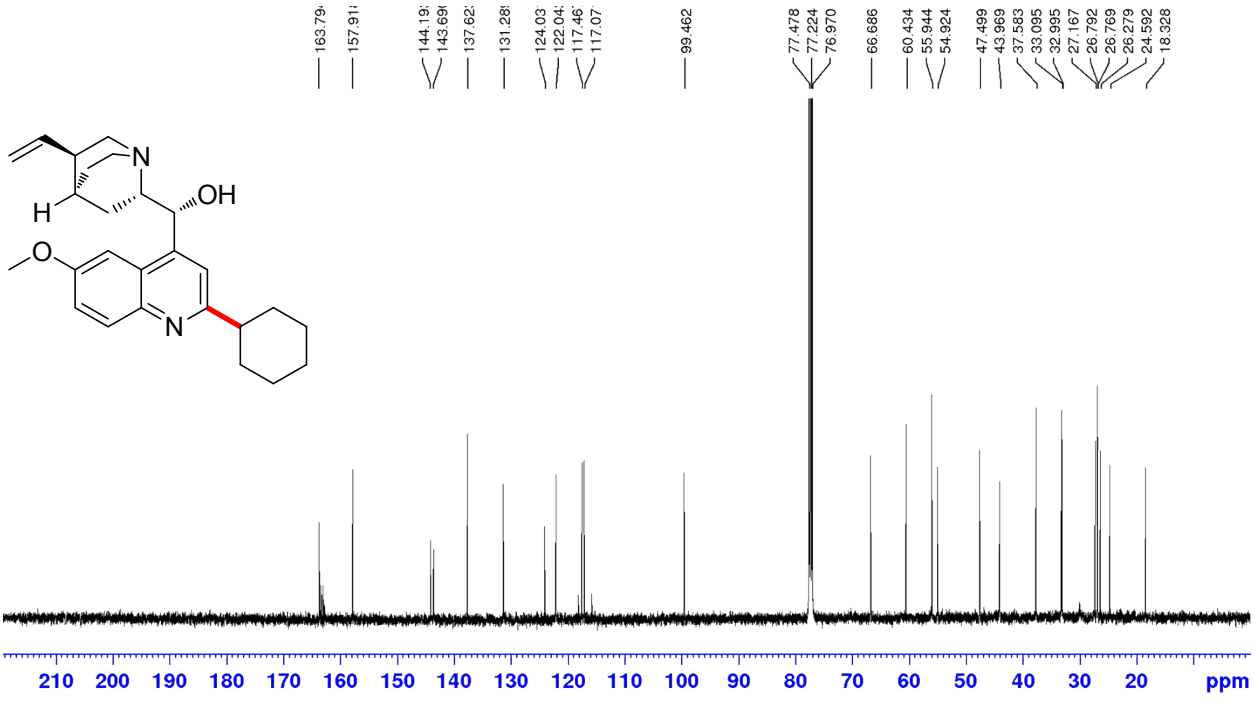
^{13}C NMR (125 MHz, $CDCl_3$) of 4-benzyl-2-phenylquinoline (5r)



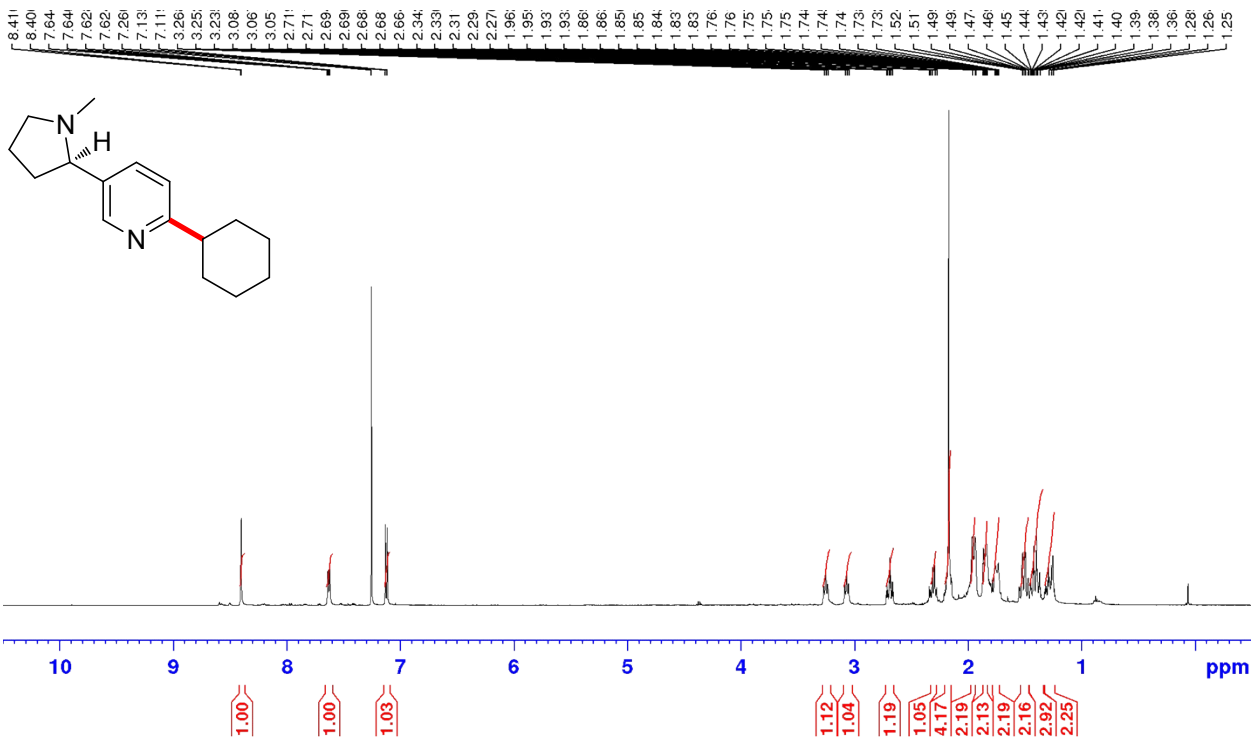
^{1}H NMR (500 MHz, $CDCl_3$) of (1*R*)-(2-cyclohexyl-6-methoxyquinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (5s)



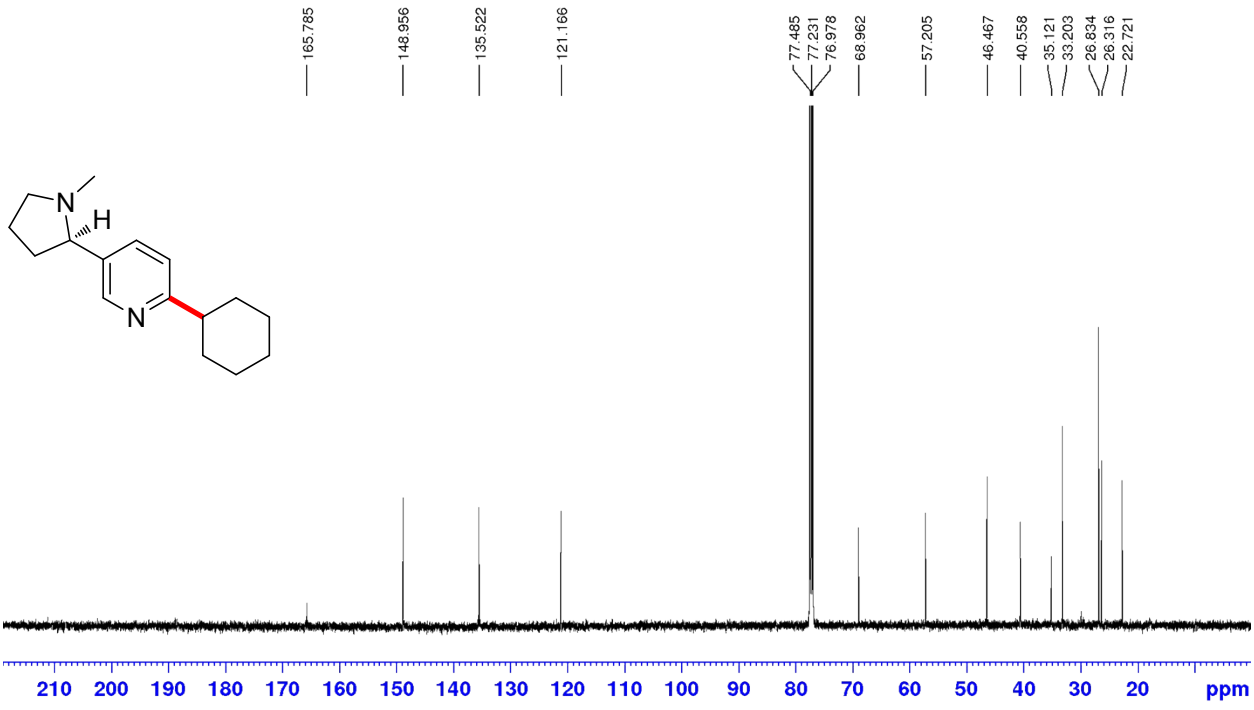
^{13}C NMR (125 MHz, $CDCl_3$) of (1*R*)-(2-cyclohexyl-6-methoxyquinolin-4-yl)((2*S*,4*S*,5*R*)-5-vinylquinuclidin-2-yl)methanol (5s)



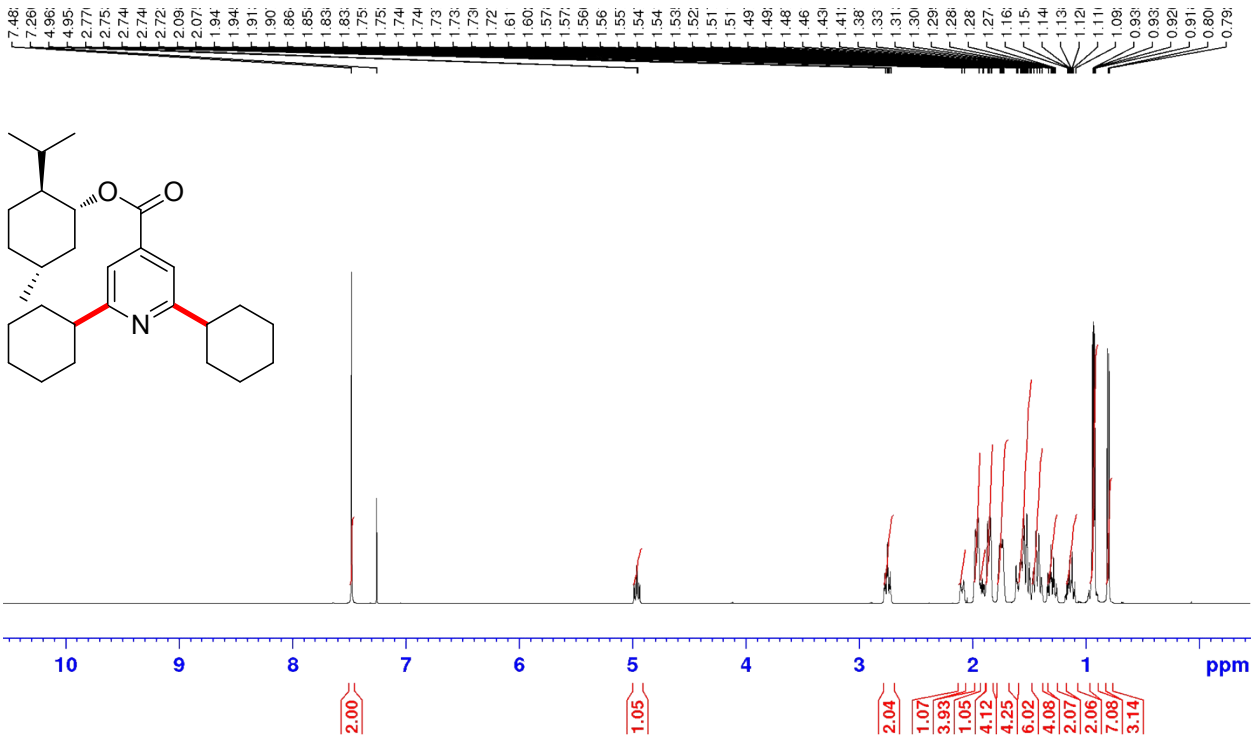
^{1}H NMR (500 MHz, $CDCl_3$) of (*R*)-2-cyclohexyl-5-(1-methylpyrrolidin-2-yl)pyridine (5t)



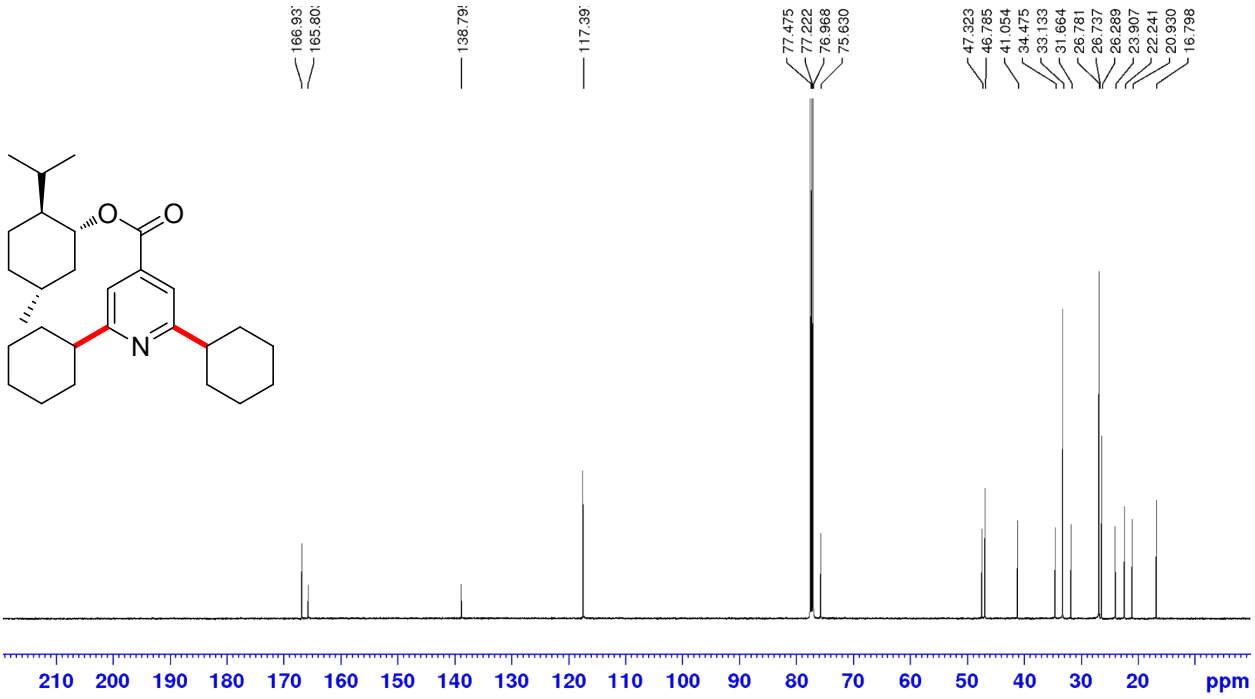
^{13}C NMR (125 MHz, $CDCl_3$) of (*R*)-2-cyclohexyl-5-(1-methylpyrrolidin-2-yl)pyridine (5t)



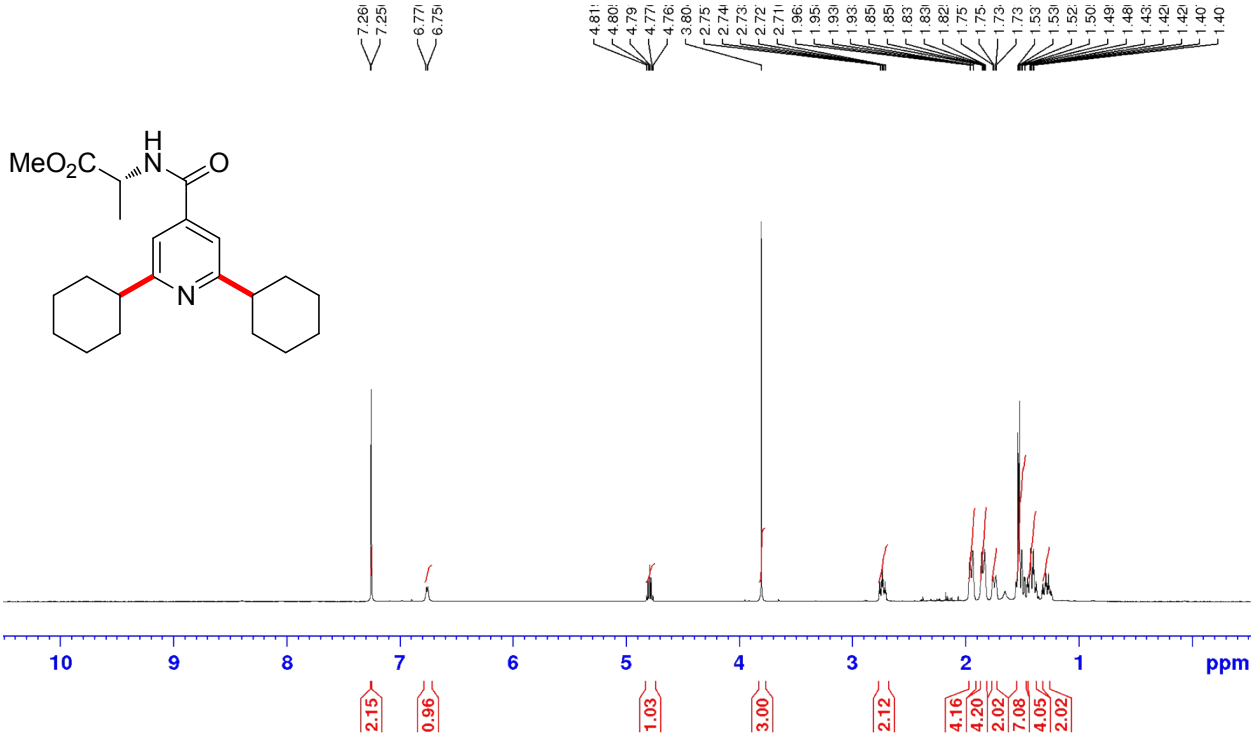
^{1}H NMR (500 MHz, $CDCl_3$) of (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2,6-dicyclohexylisonicotinate (5u)



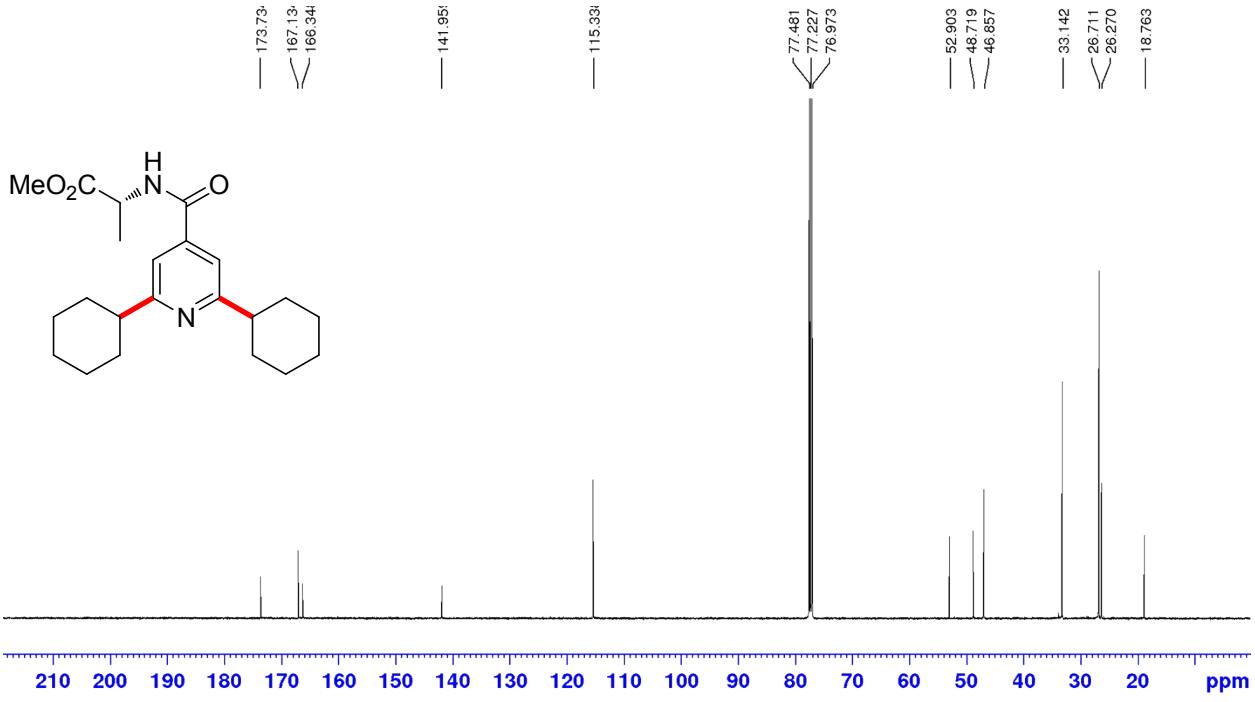
^{13}C NMR (125 MHz, $CDCl_3$) of (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl-2,6-dicyclohexylisonicotinate (5u)



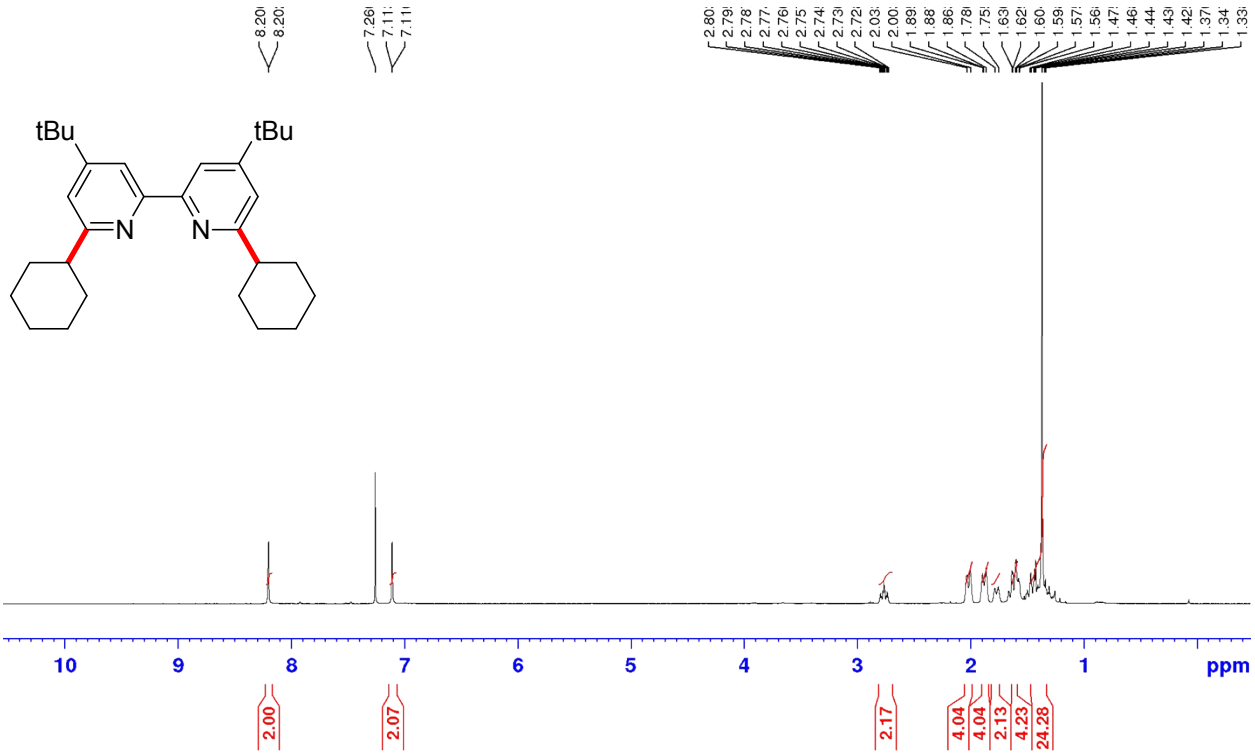
^{1}H NMR (500 MHz, $CDCl_3$) of (*R*)-methyl-2-(2,6-dicyclohexylisonicotinamido)propanoate (5v)



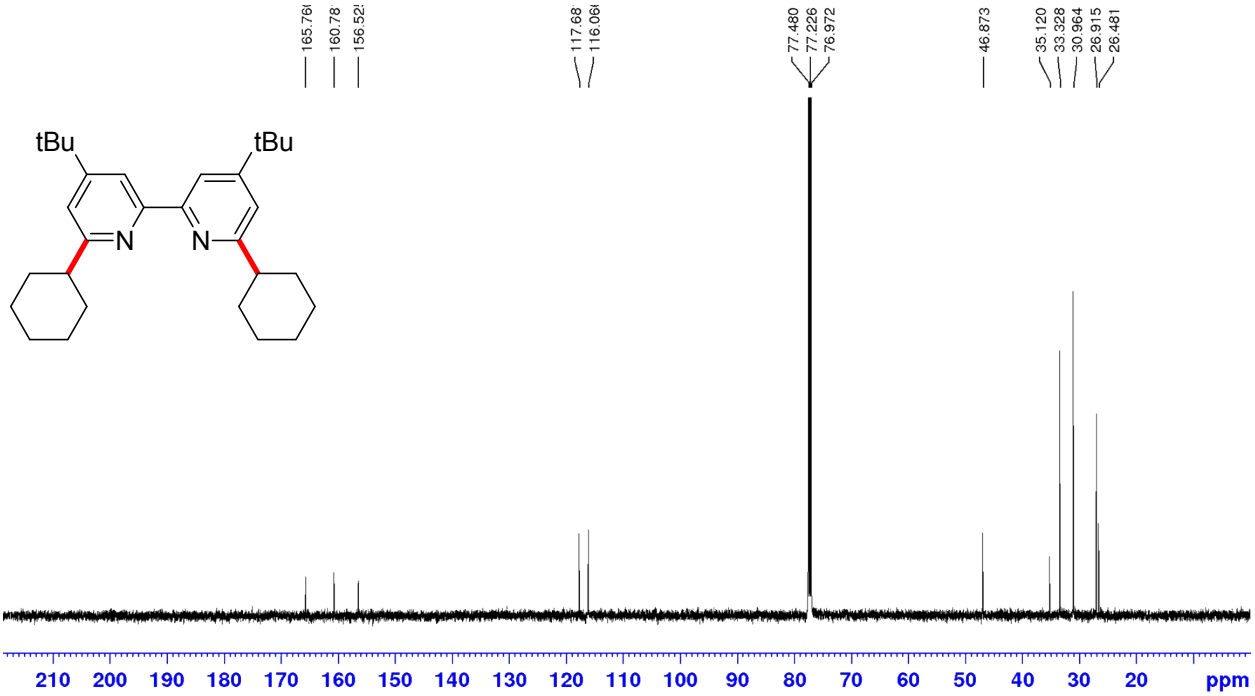
^{13}C NMR (125 MHz, $CDCl_3$) of (*R*)-methyl-2-(2,6-dicyclohexylisonicotinamido)propanoate (5v)



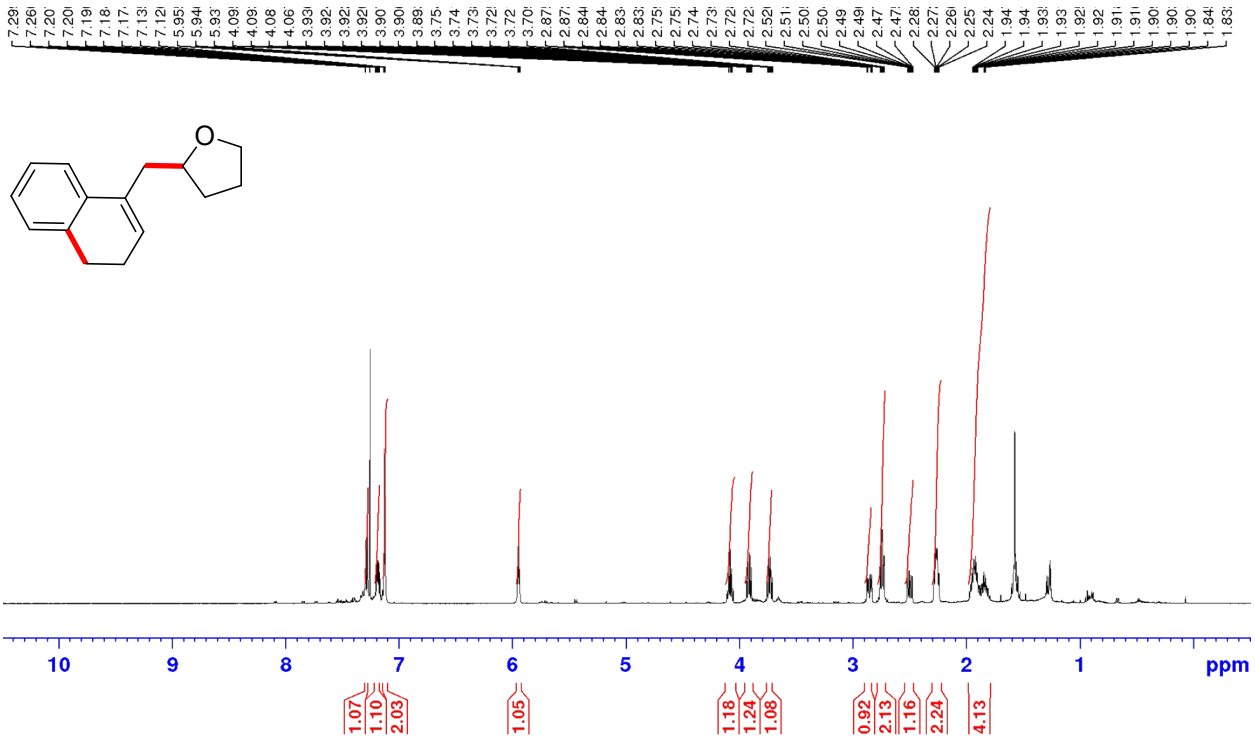
^{1}H NMR (500 MHz, $CDCl_3$) of 4,4'-di-tert-butyl-6,6'-dicyclohexyl-2,2'-bipyridine (5w)



^{13}C NMR (125 MHz, $CDCl_3$) of 4,4'-di-tert-butyl-6,6'-dicyclohexyl-2,2'-bipyridine (5w)



^{1}H NMR (500 MHz, $CDCl_3$) of 2-((3,4-dihydronaphthalen-1-yl)methyl)tetrahydrofuran (7)



^{13}C NMR (125 MHz, $CDCl_3$) of 2-((3,4-dihydronaphthalen-1-yl)methyl)tetrahydrofuran (7)