

Supporting Information

Efficient Synthesis of Quinolines through Alkali Catalysed Cascade Oppenauer Oxidation/Condensation of Amino Alcohols with Ketones

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1. General Information

All experiments were carried out in Vigor LG2400/750TS-F glovebox under inert atmosphere of purified nitrogen or using standard Schlenk techniques. All solvents used in the catalytic reactions were purified according to standard procedures and stored over 4 Å molecular sieves in the glovebox. NMR spectra were recorded on Bruker 400 MHz AVANCE III and AVANCE NEO 600MHz spectrometer. NMR spectroscopy abbreviations: br, broad; s, singlet; d, doublet; t, triplet; m, multiplet; v, virtual; bm, broad multiplet; bs, broad singlet. GC analysis was carried out on Agilent 8860 with Hp-5 column, flame ionization detector, and nitrogen as carrier gas. GS-MS analysis was carried out on Agilent 8860/5977B GC-MS system with MS detector, and helium as carrier gas.

2. General procedure for the synthesizing of quinolines

In a N₂ atmosphere glovebox, 2-aminobenzyl alcohol (0.5 mmol), acetophenone (0.5 mmol), base (0.025 mmol) and toluene (1 mL) were added to a dried 25 mL Young-type tube (Sealed tube). The tube was taken out and heated in an oil bath for 12 hours. The reaction mixture was taken out of the oil bath and cooled down to room temperature. Then biphenyl was added to the reaction mixture as an internal standard. After filtration, 50 µL of solution was diluted with CH₃OH and CH₂Cl₂, and the yield was determined by GC.

3. Optimization of the reaction conditions

Table S1. Measurement of the relative GC response factors of 2-phenylquinoline/biphenyl

Entry	biphenyl (mmol)	2-phenylquinoline (mmol)	biphenyl (peak area)	2-phenylquinoline (peak area)
1	0.093	0.205	11193.5	28584.4
2	0.104	0.133	13043.8	20065.5
3	0.105	0.066	14597.7	10594.7
4	0.092	0.046	11332.8	6409.8
5	0.108	0.023	11074.7	2806.8

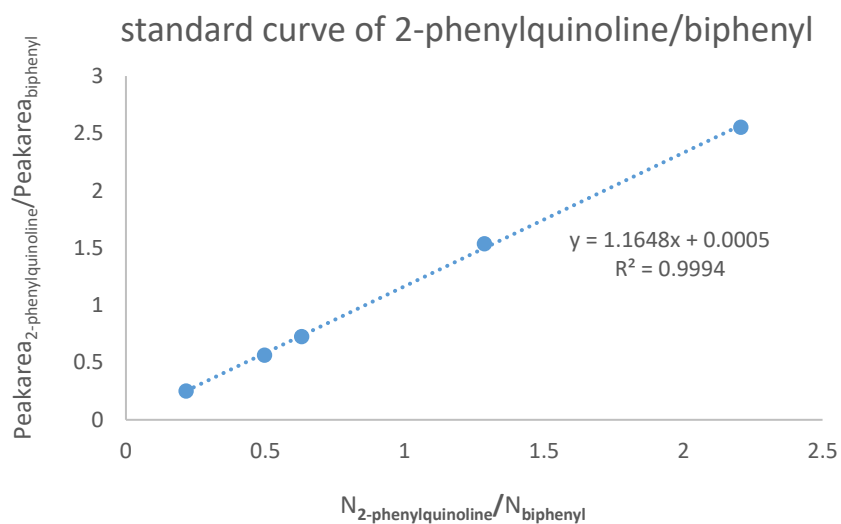


Figure S-1 Linear standard curve based on 2-phenylquinoline and biphenyl GC conditions (for organic compounds): Agilent 8860 GC system; Column: HP-5, 30 m, 320 μ m, Inlets: 280 $^{\circ}$ C; Detector: FID 280 $^{\circ}$ C; Carrier Gas: N₂; Flow: 1 mL/min; Oven: 50 $^{\circ}$ C, hold 4 min; 15 $^{\circ}$ C/min to 280 $^{\circ}$ C, hold 4 min.

Table S2. Measurement of the relative GC response factors of 2-aminobenzyl alcohol /biphenyl

Entry	biphenyl (mmol)	2-aminobenzyl alcohol (mmol)	biphenyl (peak area)	2-aminobenzyl alcohol (peak area)
1	0.093	0.038	11193.5	2121.9
2	0.104	0.067	13043.8	4382.4
3	0.105	0.133	14597.7	9219.8
4	0.092	0.260	11332.8	15932.0
5	0.108	0.341	11074.7	17626.9

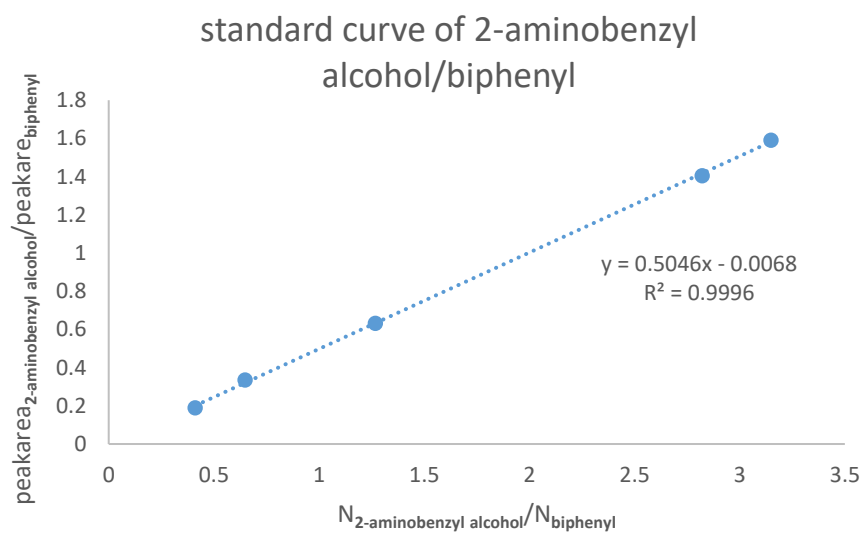
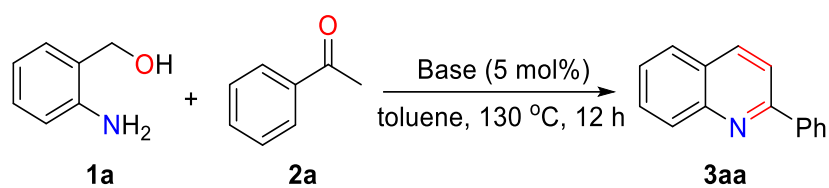
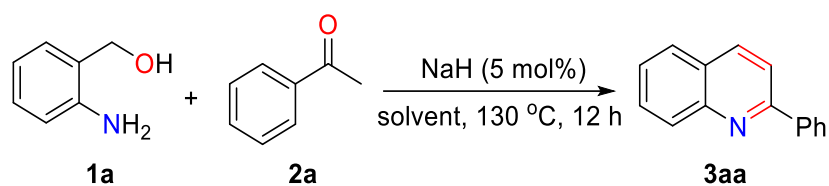


Figure S-2 Linear standard curve based on 2-aminobenzyl alcohol and biphenyl

Table S3. Screening of bases.

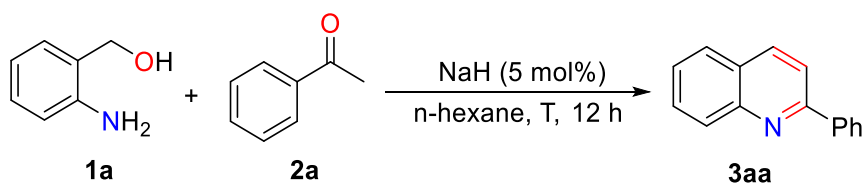
Entry	Base	Conv. (%)	3aa Yield (%)
1	KO <i>t</i> -Bu	70	45
2	KOH	52	29
3	KOCH ₃	51	33
4	NaO <i>t</i> -Bu	79	67
5	NaOH	74	55
6	NaOCH ₃	73	59
7	NaOEt	79	62
8	NaH	92	79
9	K ₂ CO ₃	42	3
10	K ₃ PO ₄	34	12
11	CsOH·H ₂ O	89	72
12	Ce(OH) ₄	41	0
13	LiO <i>t</i> -Bu	46	18
14	LiH	38	18
15	LiOH·H ₂ O	33	8

Reaction conditions: 2-aminobenzyl alcohol (0.5 mmol), acetophenone (0.5 mmol), base (0.025 mmol) and toluene (1 mL), oil bath 130 °C, 12 h. Yields and conversions were determined by GC using biphenyl as the internal standard.

Table S4. Screening of solvents.

Entry	Solvent	Conv. (%)	3aa Yield (%)
1	1,4-dioxane	78	69
2	THF	70	54
3	<i>o</i> -xylene	63	44
4	CH ₃ CN	65	36
5	n-hexane	100	86
6	DME	61	47

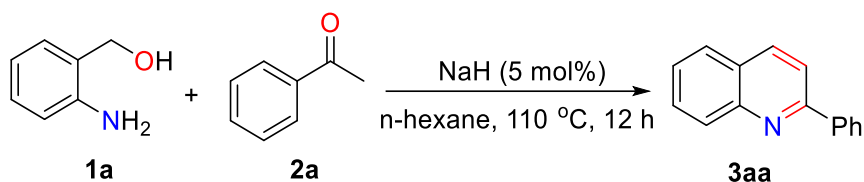
Reaction conditions: 2-aminobenzyl alcohol (0.5 mmol), acetophenone (0.5 mmol), NaH (0.025 mmol) and solvent (1 mL), oil bath 130 °C, 12 h. Yields and conversions were determined by GC using biphenyl as the internal standard.

Table S5. Screening of temperature.

Entry	Temperature	Conv. (%)	3aa Yield (%)
1	60 °C	19	3
2	70 °C	15	3
3	80 °C	19	6
4	90 °C	42	24
5	100 °C	83	63
6	110 °C	97	91
7	120 °C	100	90

Reaction conditions: 2-aminobenzyl alcohol (0.5 mmol), acetophenone (0.5 mmol), NaH (0.025 mmol) and n-hexane (1 mL), oil bath, 12 h. Yields and conversions were determined by GC using biphenyl as the internal standard.

Table S6. Screening of ratio.



Entry	acetophenone (x mmol)	Conv. (%)	3aa Yield (%)
1	1.05	100	99
2	1.1	100	93
3	1.2	100	99

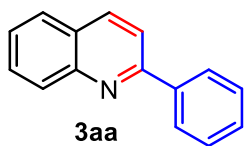
Reaction conditions: 2-aminobenzyl alcohol (0.5 mmol), acetophenone (x mmol), NaH (0.025 mmol) and n-hexane (1 mL), oil bath 110 °C, 12 h. Yields and conversions were determined by GC using biphenyl as the internal standard.

4. Optimized procedure for synthesizing of quinolines

In a N₂ atmosphere glovebox, 2-aminobenzyl alcohol (1 mmol), acetophenone (2.1 mmol), NaH (0.05 mmol) and n-hexane (2 mL) were placed in a dried 25 mL Young-type tube (Sealed tube). The tube was taken out of the glovebox and heated at 110 °C for 12 hours, then cooled down to room temperature. The reaction mixture was analyzed by GC-MS and GC. After concentration under reduced pressure to give a crude product. The residue was purified by flash column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1 to 50/1) to afford the desired product **3**. The structure of these pure products were confirmed by NMR spectroscopy.

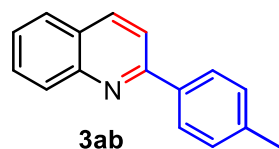
5. Experimental characterization data for products 3

2-phenylquinoline (3aa)¹: The title compound was prepared according to the general



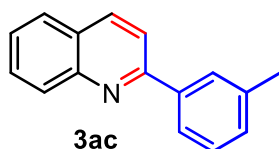
procedure and purified by flash column chromatography to give white solid, 98.7 mg, 96 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.24 – 8.11 (m, 4H), 7.86 (d, *J* = 8.6 Hz, 1H), 7.81 (d, *J* = 8.1 Hz, 1H), 7.72 (ddd, *J* = 8.5, 6.9, 1.5 Hz, 1H), 7.56 – 7.48 (m, 3H), 7.48 – 7.42 (m, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 157.49, 148.43, 139.82, 136.89, 129.89, 129.77, 129.45, 128.97, 127.71, 127.59, 127.32, 126.41, 119.12.

2-(*p*-tolyl)quinoline (3ab)¹: The title compound was prepared according to the general



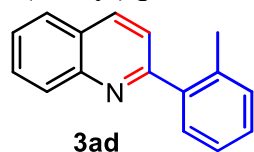
procedure and purified by flash column chromatography to give white solid, 199.8 mg, 91 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.15 (d, *J* = 8.6 Hz, 2H), 8.06 (d, *J* = 8.2 Hz, 2H), 7.83 (d, *J* = 8.6 Hz, 1H), 7.78 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.69 (ddd, *J* = 8.4, 6.8, 1.5 Hz, 1H), 7.48 (ddd, *J* = 8.2, 6.9, 1.2 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 2.42 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 157.46, 148.40, 139.54, 136.98, 136.80, 129.77, 129.71, 127.58, 127.23, 126.22, 118.99, 21.47.

2-(*m*-tolyl)quinoline (3ac)³: The title compound was prepared according to the general



procedure and purified by flash column chromatography to give colorless oil, 216.4 mg, 99 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.20 (d, *J* = 8.6 Hz, 1H), 8.12 (t, *J* = 9.4 Hz, 1H), 8.01 (d, *J* = 3.7 Hz, 1H), 7.92 (d, *J* = 7.2 Hz, 1H), 7.83 – 7.73 (m, 2H), 7.70 (ddd, *J* = 8.5, 6.9, 1.5 Hz, 1H), 7.51 – 7.45 (m, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.26 (d, *J* = 8.0 Hz, 1H), 2.46 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 157.59, 148.33, 139.69, 138.54, 136.74, 130.18, 129.75, 129.67, 128.78, 128.33, 127.51, 127.23, 126.25, 124.78, 119.17, 21.65.

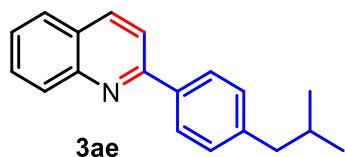
2-(*o*-tolyl)quinoline (3ad)²: The title compound was prepared according to the general



procedure and purified by flash column chromatography to give colorless oil, 132.6 mg, 60 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.18 (d, *J* = 3.6 Hz, 1H), 8.16 (d, *J* = 3.6 Hz, 1H), 7.83 (d, *J* =

7.5 Hz, 1H), 7.72 (ddd, $J = 8.4, 6.8, 1.5$ Hz, 1H), 7.56 – 7.48 (m, 3H), 7.31 (h, $J = 2.8$ Hz, 3H), 2.41 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.37, 147.99, 140.82, 136.14, 136.08, 130.95, 129.79, 129.69, 128.59, 127.59, 126.82, 126.48, 126.09, 122.44, 20.43.

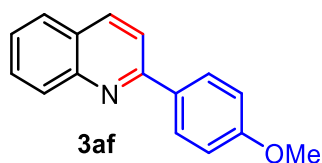
2-(4-isobutylphenyl)quinoline (3ae)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 205.2 mg, 79 % yield.

^1H NMR (400 MHz, CDCl_3) δ 8.17 (t, $J = 8.9$ Hz, 2H), 8.07 (d, $J = 8.2$ Hz, 2H), 7.86 (d, $J = 8.6$ Hz, 1H), 7.81 (dd, $J = 8.0, 1.4$ Hz, 1H), 7.71 (ddd, $J = 8.4, 6.9, 1.5$ Hz, 1H), 7.50 (ddd, $J = 8.2, 6.9, 1.2$ Hz, 1H), 7.30 (d, $J = 8.2$ Hz, 2H), 2.56 (d, $J = 7.2$ Hz, 2H), 1.93 (dp, $J = 13.6, 6.8$ Hz, 1H), 0.95 (s, 3H), 0.93 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 157.60, 148.41, 143.36, 137.29, 136.81, 129.79, 129.71, 127.57, 127.47, 127.22, 126.21, 119.08, 45.37, 30.40, 22.51.

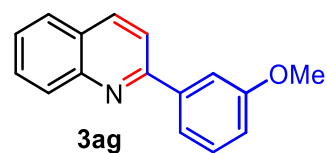
2-(4-methoxyphenyl)quinoline (3af)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 179.6 mg, 76 % yield.

^1H NMR (400 MHz, CDCl_3) δ 8.14 (dd, $J = 9.2, 2.4$ Hz, 4H), 7.79 (dd, $J = 12.0, 8.4$ Hz, 2H), 7.69 (ddd, $J = 8.5, 6.9, 1.5$ Hz, 1H), 7.48 (t, $J = 7.5$ Hz, 1H), 7.03 (d, $J = 8.8$ Hz, 2H), 3.86 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 160.95, 157.01, 148.36, 136.78, 132.31, 129.71, 129.60, 129.02, 127.55, 127.02, 126.04, 118.67, 114.35, 55.50.

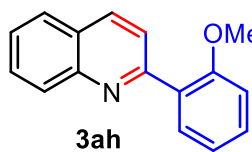
2-(3-methoxyphenyl)quinoline (3ag)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give colorless oil, 230.8 mg, 98 % yield.

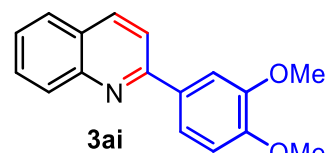
^1H NMR (400 MHz, CDCl_3) δ 8.18 (d, $J = 8.5$ Hz, 2H), 7.87 – 7.75 (m, 3H), 7.71 (td, $J = 7.6, 1.5$ Hz, 2H), 7.50 (t, $J = 7.4$ Hz, 1H), 7.42 (t, $J = 7.9$ Hz, 1H), 7.01 (dd, $J = 8.1, 2.5$ Hz, 1H), 3.91 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 160.22, 157.20, 148.28, 141.22, 136.85, 129.90, 129.81, 129.75, 127.54, 127.35, 126.41, 120.10, 119.18, 115.46, 112.81, 55.49.

2-(2-methoxyphenyl)quinoline (3ah)²: The title compound was prepared according to



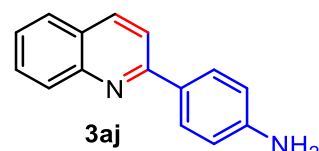
the general procedure and purified by flash column chromatography to give colorless oil, 194.3 mg, 83 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.17 (d, *J* = 8.5 Hz, 1H), 8.12 (d, *J* = 8.6 Hz, 1H), 7.92 – 7.83 (m, 2H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.54 – 7.48 (m, 1H), 7.44 – 7.38 (m, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.02 (d, *J* = 8.2 Hz, 1H), 3.84 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 157.34, 157.24, 148.43, 135.19, 131.61, 130.43, 129.86, 129.75, 129.31, 127.49, 127.16, 126.28, 123.56, 121.39, 111.59, 55.76.

2-(3,4-dimethoxyphenyl)quinoline (3ai)⁴: The title compound was prepared



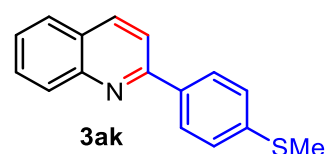
according to the general procedure and purified by flash column chromatography to give white solid, 242.1 mg, 91 % yield. ¹H NMR (600 MHz, CDCl₃) δ 8.15 (d, *J* = 8.4 Hz, 2H), 7.88 (s, 1H), 7.83 (d, *J* = 8.7 Hz, 1H), 7.79 (d, *J* = 7.7 Hz, 1H), 7.71 (t, *J* = 7.8 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.54 – 7.46 (m, 1H), 6.98 (d, *J* = 8.4 Hz, 1H), 4.05 (s, 3H), 3.95 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 156.92, 150.50, 149.51, 148.33, 136.72, 132.65, 129.71, 129.63, 127.55, 127.08, 126.10, 120.34, 118.70, 111.14, 110.52, 56.13, 56.10.

4-(quinolin-2-yl)aniline (3aj)²: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give yellow solid, 209.4 mg, 95 % yield. ¹H NMR (600 MHz, CDCl₃) δ 8.12 (dd, *J* = 8.5, 5.6 Hz, 2H), 8.02 (d, *J* = 8.5 Hz, 2H), 7.78 (dd, *J* = 15.2, 8.4 Hz, 2H), 7.70 – 7.65 (m, 1H), 7.46 (t, *J* = 7.5 Hz, 1H), 6.79 (d, *J* = 8.6 Hz, 2H), 3.87 (s, 2H). ¹³C NMR (151 MHz, CDCl₃) δ 157.32, 148.40, 147.97, 136.58, 129.95, 129.56, 129.48, 128.92, 127.51, 126.90, 125.70, 118.46, 115.23.

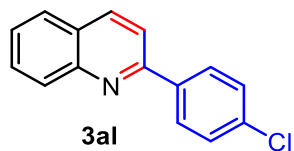
2-(4-(methylthio)phenyl)quinoline (3ak)⁵: The title compound was prepared



according to the general procedure and purified by flash column chromatography to give yellow solid, 207.6 mg, 83 % yield. ¹H NMR (400 MHz, CDCl₃) δ 8.20 – 8.08 (m, 4H),

7.86 – 7.77 (m, 2H), 7.71 (ddd, $J = 8.4, 6.9, 1.5$ Hz, 1H), 7.50 (t, $J = 7.3$ Hz, 1H), 7.38 (d, $J = 8.5$ Hz, 2H), 2.53 (s, 3H). ^{13}C NMR (151 MHz, CDCl_3) δ 156.73, 148.37, 140.55, 136.90, 136.31, 129.81, 129.71, 127.95, 127.57, 127.24, 126.52, 126.31, 118.69, 15.63.

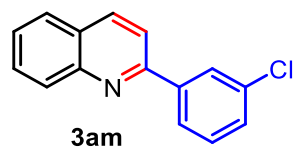
2-(4-chlorophenyl)quinoline (3al)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 225.4 mg, 94% yield. ^1H NMR (600 MHz, CDCl_3) δ 8.21 (d, $J = 8.4$ Hz, 1H), 8.16 (d,

$J = 8.4$ Hz, 1H), 8.11 (d, $J = 7.6$ Hz, 2H), 7.83 (d, $J = 8.6$ Hz, 2H), 7.73 (t, $J = 7.5$ Hz, 1H), 7.53 (d, $J = 7.5$ Hz, 1H), 7.49 (d, $J = 7.6$ Hz, 2H). ^{13}C NMR (151 MHz, CDCl_3) δ 156.13, 148.35, 138.16, 137.12, 135.69, 129.99, 129.82, 129.15, 128.96, 127.62, 127.35, 126.65, 118.70.

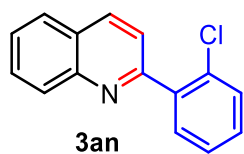
2-(3-chlorophenyl)quinoline (3am)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 200.4 mg, 84% yield. ^1H NMR (600 MHz, CDCl_3) δ 8.24 (d, $J = 8.6$ Hz, 1H), 8.21 –

8.15 (m, 2H), 8.03 (d, $J = 6.8$ Hz, 1H), 7.84 (dd, $J = 8.4, 4.3$ Hz, 2H), 7.75 (t, $J = 7.7$ Hz, 1H), 7.55 (t, $J = 7.5$ Hz, 1H), 7.45 (q, $J = 8.1$ Hz, 2H). ^{13}C NMR (151 MHz, CDCl_3) δ 155.85, 148.33, 141.54, 137.15, 135.08, 130.17, 130.01, 129.90, 129.43, 127.84, 127.61, 127.48, 126.78, 125.72, 118.80.

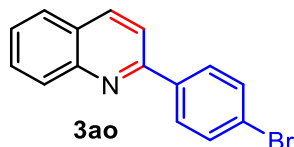
2-(2-chlorophenyl)quinoline (3an)²: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 221 mg, 92% yield. ^1H NMR (400 MHz, CDCl_3) δ 8.20 (t, $J = 9.4$ Hz, 2H), 7.87 (d, $J = 8.1$ Hz,

1H), 7.80 – 7.67 (m, 3H), 7.57 (t, $J = 7.2$ Hz, 1H), 7.51 (dd, $J = 7.5, 1.8$ Hz, 1H), 7.44 – 7.34 (m, 2H). ^{13}C NMR (151 MHz, CDCl_3) δ 157.53, 148.18, 139.76, 135.80, 132.48, 131.81, 130.21, 129.99, 129.81, 129.78, 127.68, 127.29, 127.25, 126.90, 122.89.

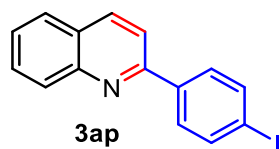
2-(4-bromophenyl)quinoline (3ao)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 268.8 mg, 95% yield. ^1H

NMR (600 MHz, CDCl₃) δ 8.21 (d, *J* = 8.6 Hz, 1H), 8.15 (d, *J* = 8.5 Hz, 1H), 8.05 (d, *J* = 8.2 Hz, 2H), 7.82 (dd, *J* = 8.4, 3.5 Hz, 2H), 7.73 (t, *J* = 7.7 Hz, 1H), 7.69 – 7.62 (m, 2H), 7.53 (t, *J* = 7.5 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 156.17, 148.37, 138.62, 137.12, 132.11, 129.99, 129.84, 129.23, 127.63, 127.38, 126.66, 124.07, 118.63.

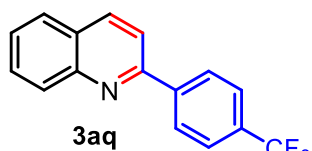
2-(4-iodophenyl)quinoline (3ap)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 306.7 mg, 93% yield. ¹H

NMR (600 MHz, CDCl₃) δ 8.20 (d, *J* = 8.5 Hz, 1H), 8.15 (d, *J* = 8.5 Hz, 1H), 7.91 (d, *J* = 8.1 Hz, 2H), 7.83 (dd, *J* = 20.6, 8.1 Hz, 4H), 7.73 (t, *J* = 7.7 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 156.26, 148.36, 139.20, 138.09, 137.10, 129.99, 129.85, 129.37, 127.62, 127.42, 126.67, 118.57, 96.02.

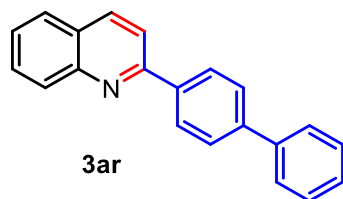
2-(4-(trifluoromethyl)phenyl)quinoline (3aq)²: The title compound was prepared



according to the general procedure and purified by flash column chromatography to give white solid, 269.5 mg, 99%

yield. ¹⁹F NMR (565 MHz, CDCl₃) δ -62.55. ¹H NMR (400 MHz, CDCl₃) δ 8.26 (dd, *J* = 13.3, 8.5 Hz, 3H), 8.18 (d, *J* = 8.5 Hz, 1H), 7.90 – 7.81 (m, 2H), 7.79 – 7.72 (m, 3H), 7.56 (t, *J* = 7.5 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 155.80, 148.35, 143.02, 137.33, 131.25 (q, *J* = 33.2 Hz), 130.17, 129.95, 128.00, 127.67, 127.58, 127.02, 125.89 (q, *J* = 4.5 Hz), 124.35 (q, *J* = 273.3 Hz), 118.93.

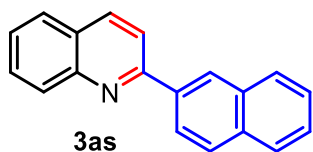
2-([1,1'-biphenyl]-4-yl)quinoline (3ar)⁶: The title compound was prepared according



to the general procedure and purified by flash column chromatography to give white solid, 255.7 mg, 91% yield.

¹H NMR (400 MHz, CDCl₃) δ 8.25 (d, *J* = 8.5 Hz, 2H), 8.20 (dd, *J* = 8.5, 5.5 Hz, 2H), 7.90 (d, *J* = 8.7 Hz, 1H), 7.81 (d, *J* = 8.2 Hz, 1H), 7.78 – 7.70 (m, 3H), 7.67 (dd, *J* = 8.2, 1.3 Hz, 2H), 7.55 – 7.43 (m, 3H), 7.37 (t, *J* = 7.3 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 157.00, 148.42, 142.23, 140.70, 138.59, 136.97, 129.86, 129.82, 128.98, 128.12, 127.73, 127.69, 127.61, 127.35, 127.28, 126.44, 119.02.

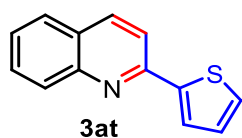
2-(naphthalen-2-yl)quinoline (3as)⁵: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 183.8 mg, 72% yield.

¹H NMR (400 MHz, CDCl₃) δ 8.62 (s, 1H), 8.37 (dd, *J* = 8.7, 1.9 Hz, 1H), 8.25 (dd, *J* = 12.4, 8.6 Hz, 2H), 8.02 (dd, *J* = 16.6, 8.6 Hz, 3H), 7.93 – 7.82 (m, 2H), 7.79 – 7.72 (m, 1H), 7.58 – 7.49 (m, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 157.31, 148.49, 137.07, 136.99, 134.01, 133.65, 129.90, 129.85, 128.97, 128.73, 127.87, 127.64, 127.38, 127.31, 126.86, 126.50, 126.48, 125.21, 119.32.

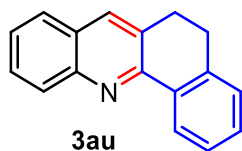
2-(thiophen-2-yl)quinoline (3at)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give light gray solid, 187.7 mg, 89% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.10 (t, *J* = 9.3 Hz, 2H), 7.81 – 7.65 (m, 4H), 7.50 – 7.43

(m, 2H), 7.15 (dd, *J* = 5.1, 3.7 Hz, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 152.43, 148.19, 145.46, 136.76, 129.95, 129.35, 128.72, 128.21, 127.60, 127.30, 126.23, 126.02, 117.78.

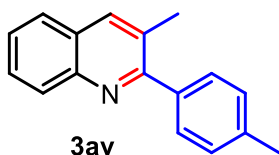
5,6-dihydrobenzo[*c*]acridine (3au)¹: The title compound was prepared according to



the general procedure and purified by flash column chromatography to give white solid, 161.4 mg, 70% yield. ¹H

NMR (400 MHz, CDCl₃) δ 8.57 (d, *J* = 7.5 Hz, 1H), 8.13 (d, *J* = 8.5 Hz, 1H), 7.91 (s, 1H), 7.73 (d, *J* = 7.3 Hz, 1H), 7.64 (ddd, *J* = 8.5, 6.9, 1.5 Hz, 1H), 7.50 – 7.39 (m, 2H), 7.36 (td, *J* = 7.4, 1.6 Hz, 1H), 7.27 (d, *J* = 7.4 Hz, 1H), 3.12 (dd, *J* = 8.4, 5.3 Hz, 2H), 3.01 (dd, *J* = 8.5, 5.4 Hz, 2H). ¹³C NMR (151 MHz, CDCl₃) δ 153.51, 147.74, 139.54, 134.82, 133.84, 130.71, 129.81, 129.52, 128.78, 128.07, 128.00, 127.45, 127.05, 126.19, 28.95, 28.52.

3-methyl-2-(*p*-tolyl)quinoline (3av)¹: The title compound was prepared according to

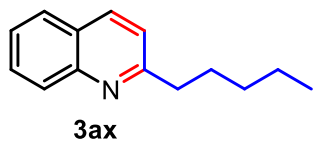


the general procedure and purified by flash column chromatography to give white solid, 198.8 mg, 85% yield. ¹H

NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 8.5 Hz, 1H), 7.98 (s, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.64 (t, *J* = 6.9 Hz, 1H), 7.49 (d, *J* = 8.1 Hz, 3H), 7.29 (d, *J* = 7.8 Hz, 2H), 2.46 (s, 3H), 2.42 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 160.69,

146.76, 138.13, 138.10, 136.82, 129.42, 129.38, 129.09, 128.93, 128.80, 127.66, 126.80, 126.42, 21.46, 20.84.

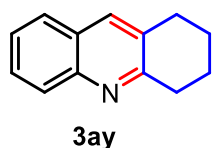
2-pentylquinoline (3ax)¹: The title compound was prepared according to the general



procedure and purified by flash column chromatography to give colorless oil, 102.8 mg, 52% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.05 (dd, *J* = 8.6, 5.1 Hz, 2H), 7.76 (d, *J* = 8.2 Hz,

1H), 7.70 – 7.64 (m, 1H), 7.50 – 7.44 (m, 1H), 7.31 – 7.26 (m, 1H), 2.97 (dd, *J* = 8.7, 7.2 Hz, 2H), 1.81 (dd, *J* = 11.0, 4.8 Hz, 2H), 1.45 – 1.31 (m, 4H), 0.90 (t, *J* = 6.9 Hz, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 163.24, 147.97, 136.31, 129.43, 128.90, 127.58, 126.82, 125.74, 121.48, 39.43, 31.87, 29.88, 22.68, 14.13.

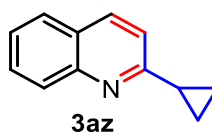
1,2,3,4-tetrahydroacridine (3ay)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 126.8 mg, 69% yield. ¹H NMR (600 MHz, CDCl₃) δ 7.97 (d, *J* = 8.5 Hz, 1H), 7.74 (s, 1H), 7.66 (d, *J* = 8.1 Hz, 1H),

7.59 (t, *J* = 7.3 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 3.11 (t, *J* = 6.7 Hz, 2H), 2.93 (t, *J* = 6.6 Hz, 2H), 2.00 – 1.94 (m, 2H), 1.90 – 1.84 (m, 2H). ¹³C NMR (151 MHz, CDCl₃) δ 159.32, 146.65, 134.99, 130.98, 128.51, 128.31, 127.24, 126.93, 125.55, 33.62, 29.28, 23.27, 22.95.

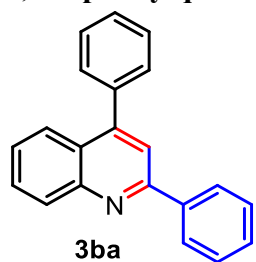
2-cyclopropylquinoline (3az)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give colorless oil, 92.1 mg, 54% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.98 (t, *J* = 8.8 Hz, 2H), 7.73 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.64 (ddd,

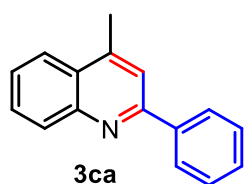
J = 8.4, 6.9, 1.5 Hz, 1H), 7.42 (ddd, *J* = 8.2, 6.9, 1.2 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 2.25 (tt, *J* = 8.2, 4.9 Hz, 1H), 1.16 (tt, *J* = 5.5, 2.5 Hz, 2H), 1.10 (tdd, *J* = 7.6, 5.2, 2.6 Hz, 2H). ¹³C NMR (151 MHz, CDCl₃) δ 163.56, 148.02, 136.04, 129.45, 128.71, 127.58, 126.86, 125.34, 119.40, 18.20, 10.43.

2,4-diphenylquinoline (3ba)¹: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 186.6 mg, 66% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.25 (d, *J* = 8.4 Hz, 1H), 8.19 (d, *J* = 7.7 Hz, 2H), 7.90 (d, *J* = 8.3 Hz, 1H), 7.82 (s, 1H), 7.75 – 7.69 (m, 1H), 7.58 – 7.53 (m, 4H), 7.51 (d, *J* = 7.6 Hz, 3H), 7.49 – 7.43 (m, 2H). ¹³C NMR (151 MHz, CDCl₃) δ 157.03, 149.33, 148.93, 139.78, 138.54, 130.25, 129.70, 129.67, 129.49, 128.98, 128.73, 128.54, 127.73, 126.48, 125.91, 125.78, 119.51.

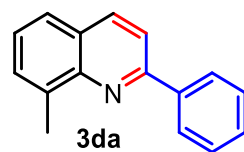
4-methyl-2-phenylquinoline (3ca)³: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 202 mg, 92% yield. ¹H NMR (600 MHz, CDCl₃) δ 8.21 (d, *J* = 8.5 Hz, 1H), 8.15 (d, *J* = 7.6 Hz, 2H), 7.98 (d, *J* = 8.3 Hz, 1H), 7.70 (d, *J* = 7.4 Hz, 2H), 7.56 – 7.49 (m, 3H),

7.45 (t, *J* = 7.3 Hz, 1H), 2.75 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ 157.12, 148.05, 145.16, 139.73, 130.26, 129.54, 129.39, 128.91, 127.71, 127.36, 126.21, 123.74, 119.92, 19.15.

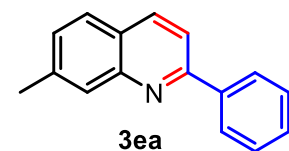
8-methyl-2-phenylquinoline (3da)³: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give colorless oil, 166.4 mg, 76% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.30 – 8.21 (m, 2H), 8.12 (d, *J* = 8.5

Hz, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.58 – 7.47 (m, 3H), 7.47 – 7.34 (m, 2H), 2.89 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 155.63, 147.29, 139.98, 137.79, 137.04, 129.81, 129.35, 128.89, 127.60, 127.22, 126.14, 125.51, 118.29, 18.03.

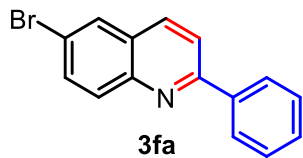
7-methyl-2-phenylquinoline (3ea)⁷: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 101.2 mg, 92% yield. ¹H NMR (600 MHz, CDCl₃) δ 8.15 (d, *J* = 7.9 Hz, 3H), 7.96 (s, 1H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 2H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 2.57 (s, 3H). ¹³C NMR (151 MHz, CDCl₃) δ

157.43, 148.64, 140.04, 139.96, 136.56, 129.33, 128.93, 128.90, 128.68, 127.66, 127.22, 125.37, 118.32, 22.04.

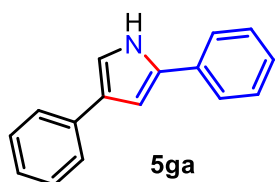
6-bromo-2-phenylquinoline (3fa)³: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give white solid, 249.7 mg, 88% yield.

¹H NMR (400 MHz, CDCl₃) δ 8.19 – 8.12 (m, 3H), 8.06 (d, *J* = 9.0 Hz, 1H), 7.99 (d, *J* = 2.2 Hz, 1H), 7.90 (d, *J* = 8.6 Hz, 1H), 7.79 (dd, *J* = 9.0, 2.2 Hz, 1H), 7.51 (dt, *J* = 22.4, 7.0 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 157.79, 146.99, 139.32, 135.88, 133.24, 131.59, 129.75, 129.63, 129.05, 128.39, 127.67, 120.19, 119.89.

2,4-diphenyl-1H-pyrrole (5ga)⁸: The title compound was prepared according to the



general procedure and purified by flash column chromatography to give light gray solid, 67 mg, 31% yield. ¹H

NMR (600 MHz, CDCl₃) δ 8.43 (s, 1H), 7.57 (d, *J* = 7.1 Hz, 2H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.37 (dt, *J* = 15.3, 7.7 Hz, 4H), 7.24 – 7.17 (m, 2H), 7.13 (s, 1H), 6.83 (s, 1H). ¹³C NMR (151 MHz, CDCl₃) δ 135.63, 133.20, 132.59, 129.09, 128.81, 126.72, 126.63, 125.89, 125.31, 123.98, 115.73, 104.09.

6. NMR Spectra

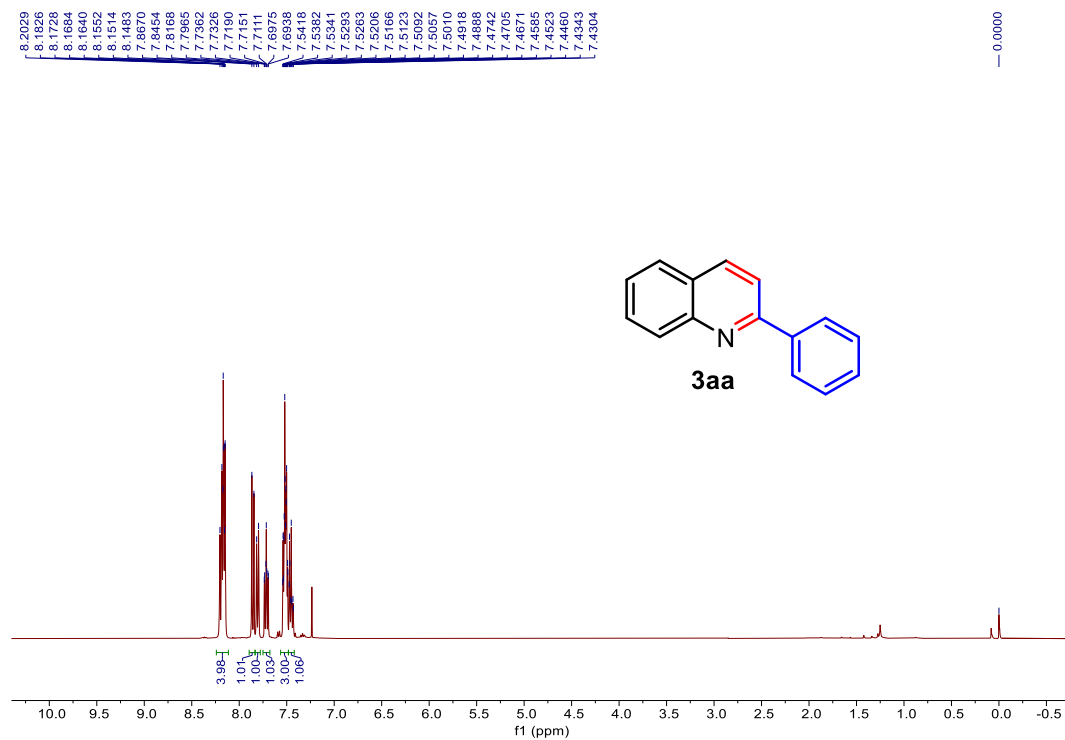


Figure S3. ^1H NMR of **3aa** in CDCl_3 .

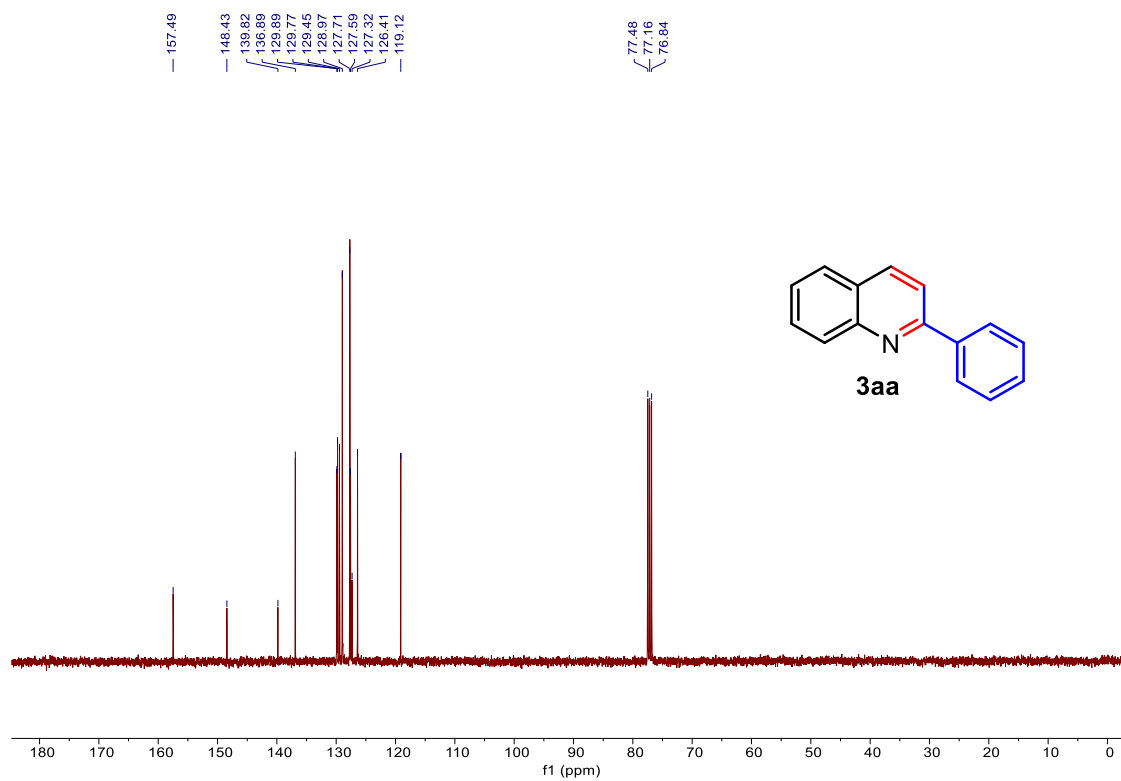


Figure S4. ^{13}C NMR of **3aa** in CDCl_3 .

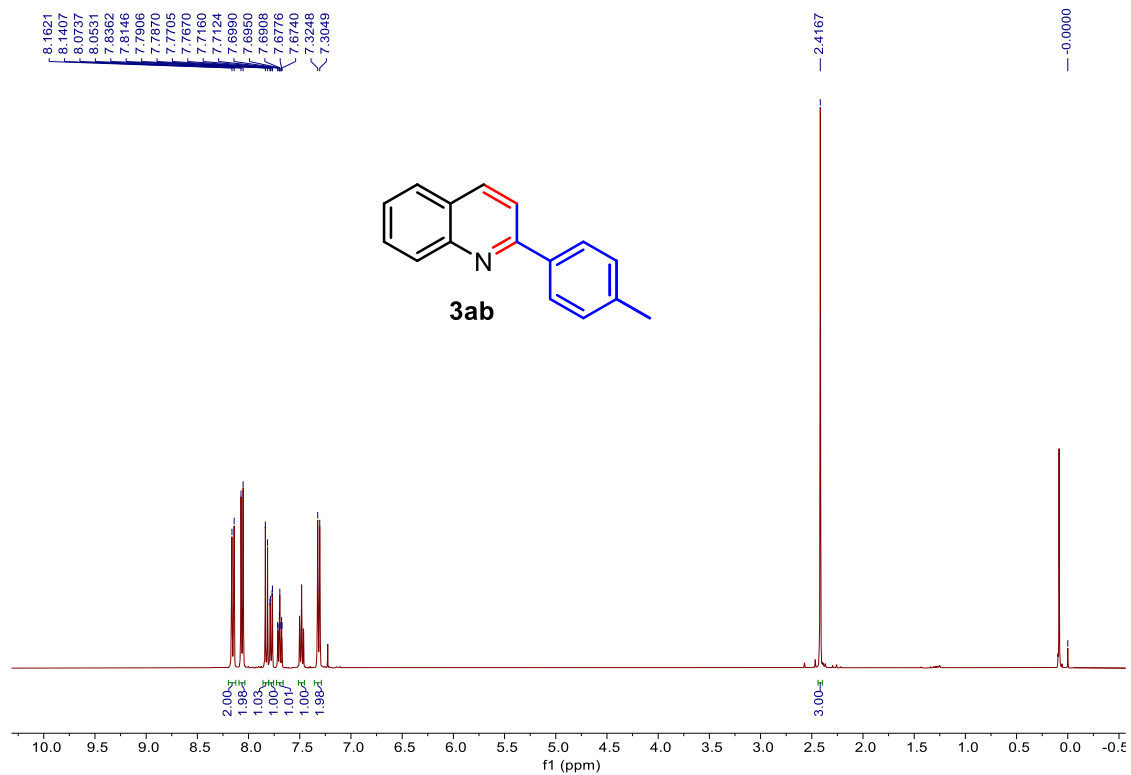


Figure S5. ¹H NMR of **3ab** in CDCl₃.

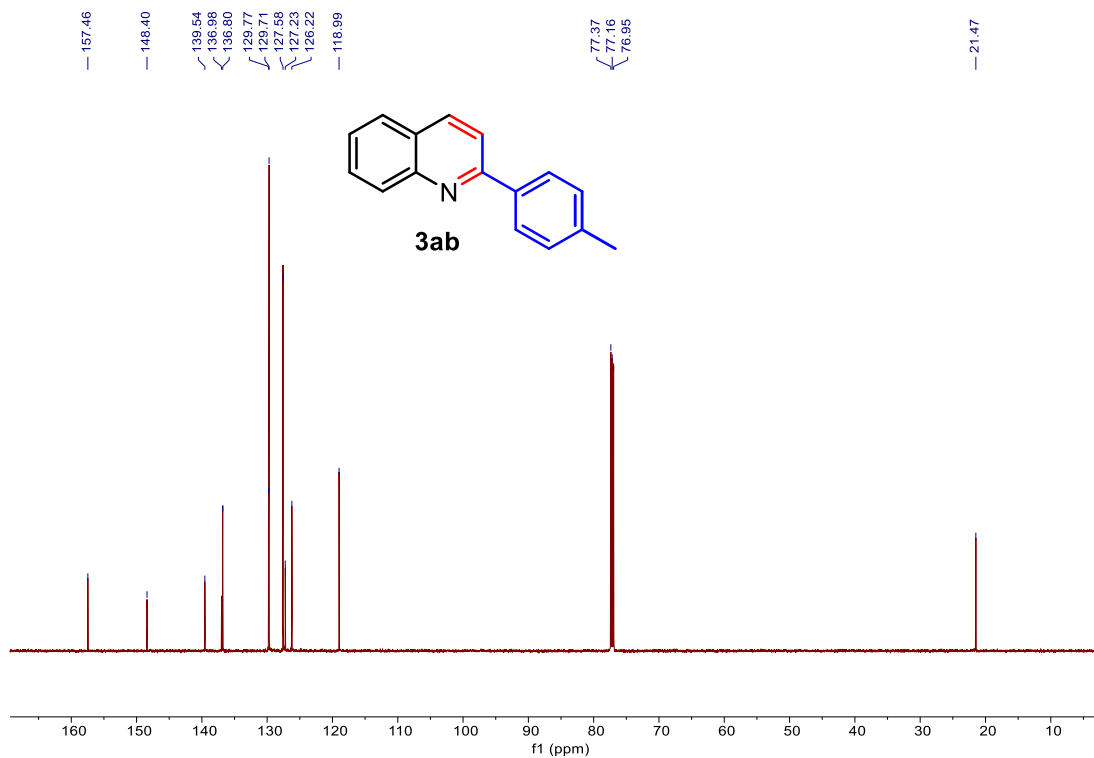


Figure S6. ¹³C NMR of **3ab** in CDCl₃.

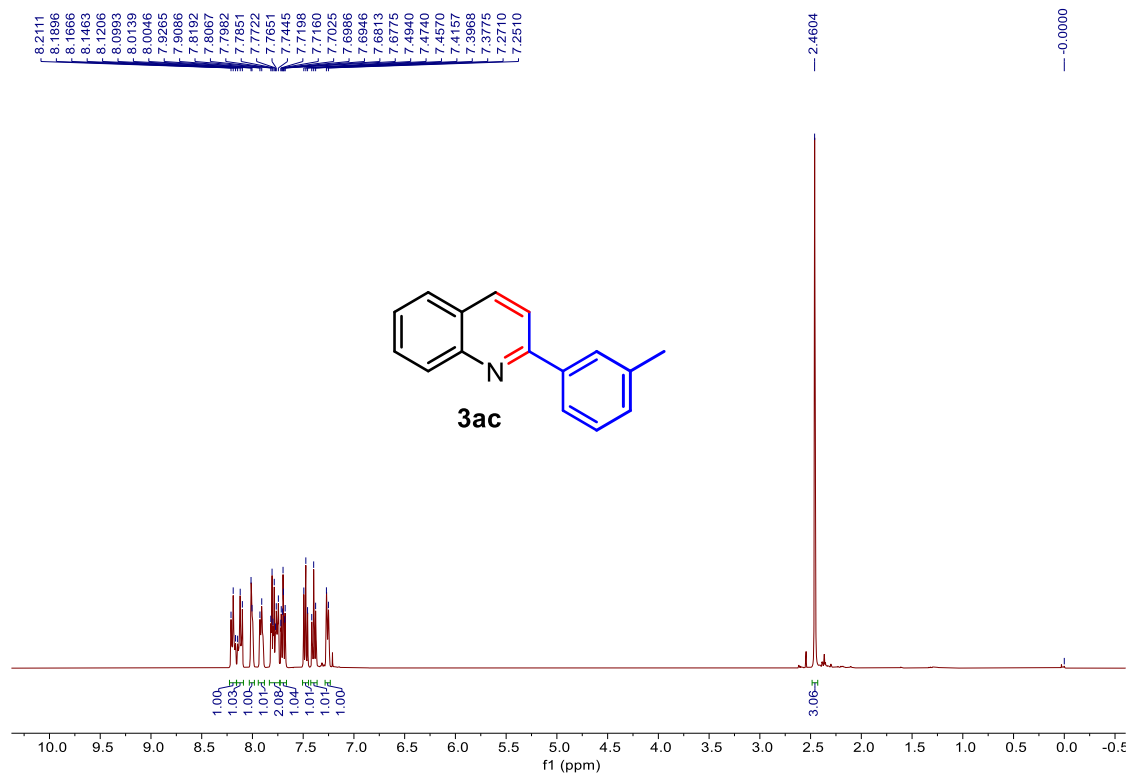


Figure S7. ¹H NMR of **3ac** in CDCl₃.

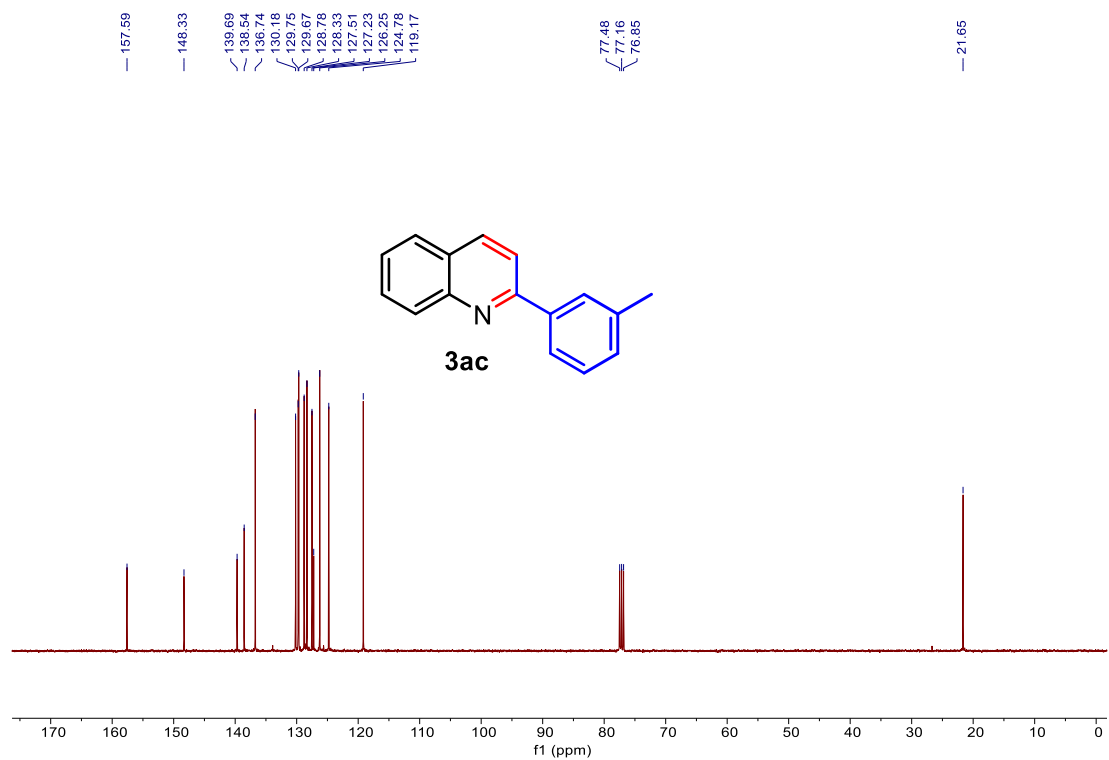


Figure S8. ¹³C NMR of **3ac** in CDCl₃.

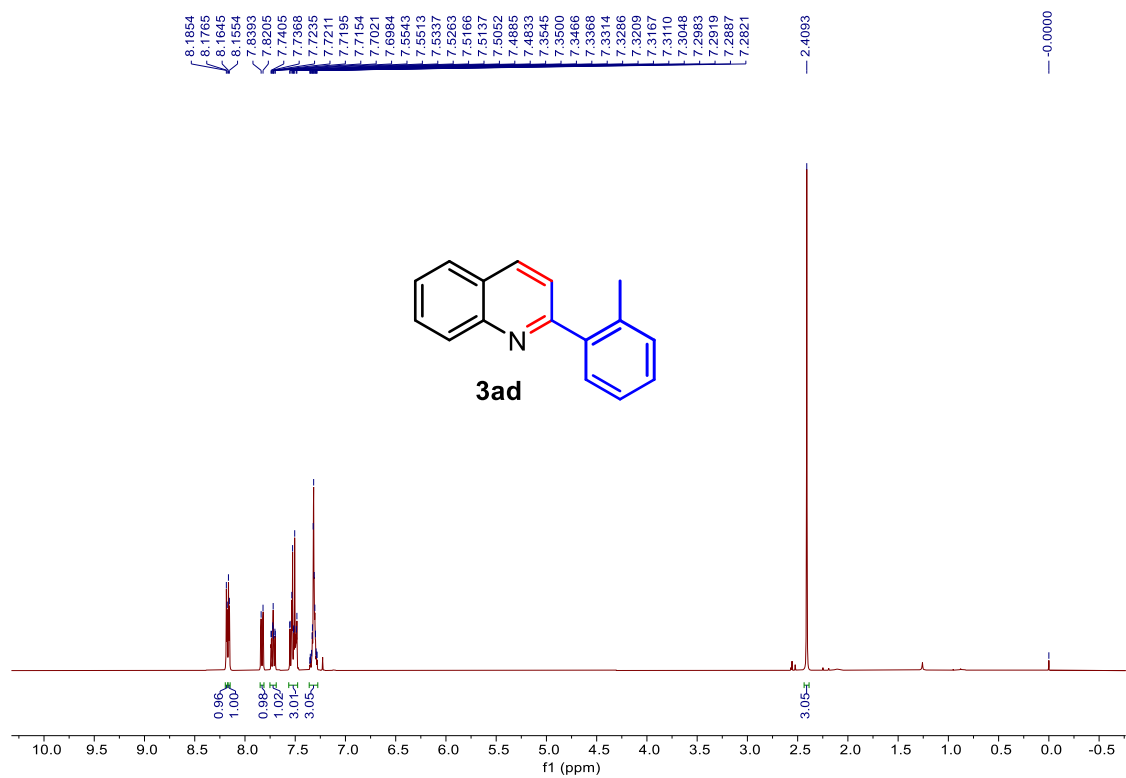


Figure S9. ^1H NMR of **3ad** in CDCl_3 .

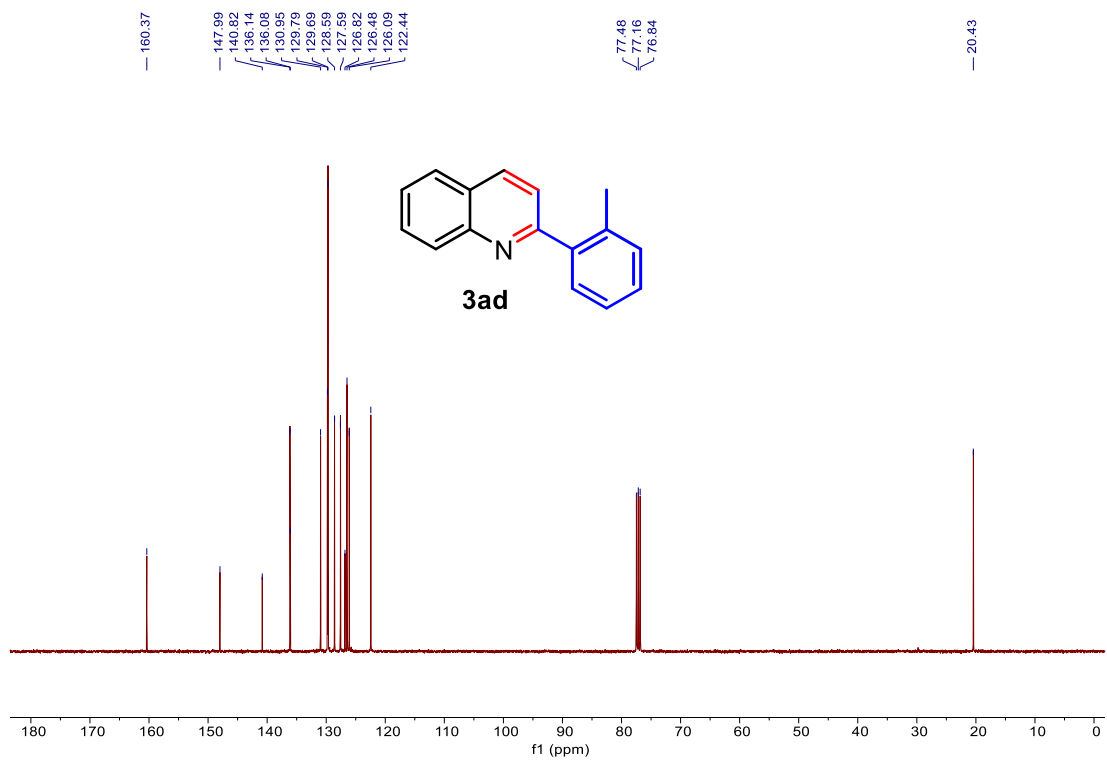


Figure S10. ^{13}C NMR of **3ad** in CDCl_3 .

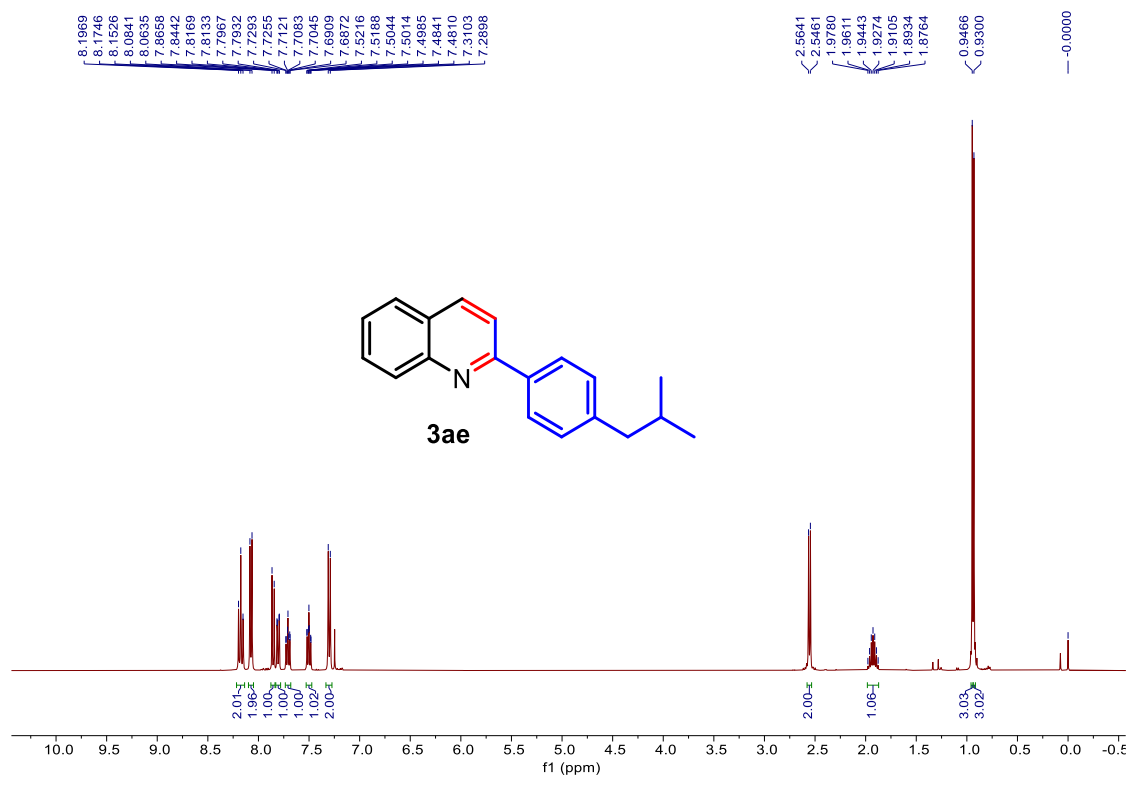


Figure S11. ¹H NMR of **3ae** in CDCl₃.

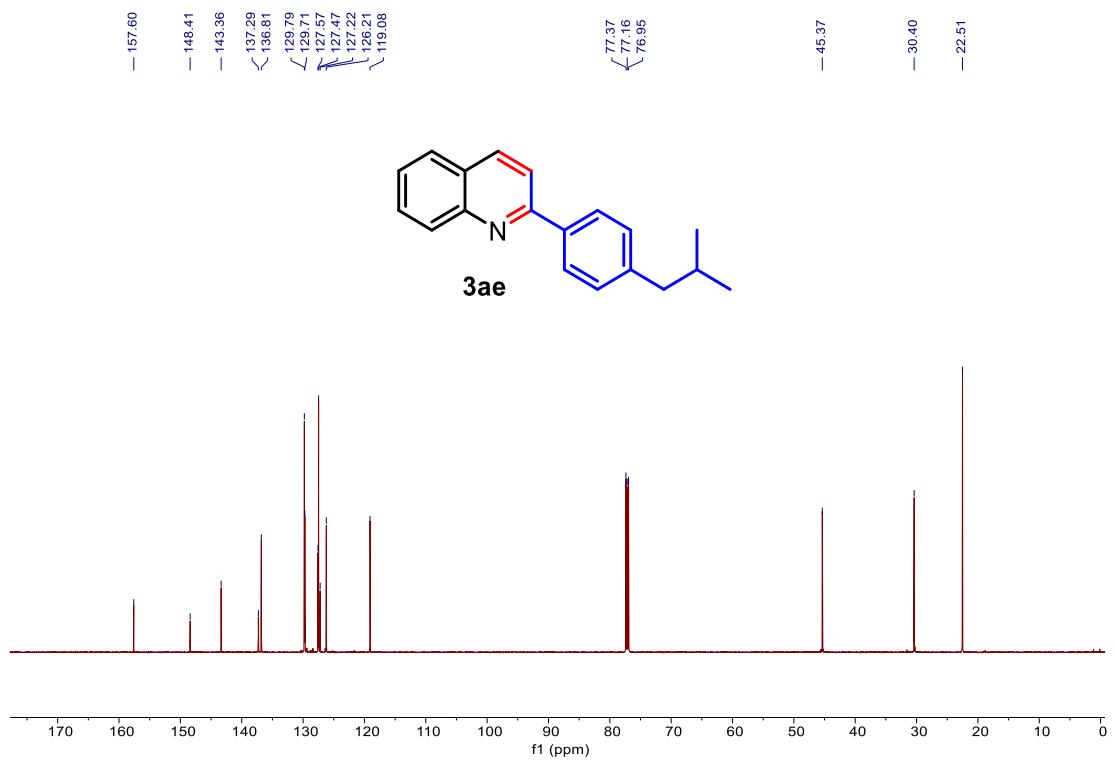


Figure S12. ¹³C NMR of **3ae** in CDCl₃.

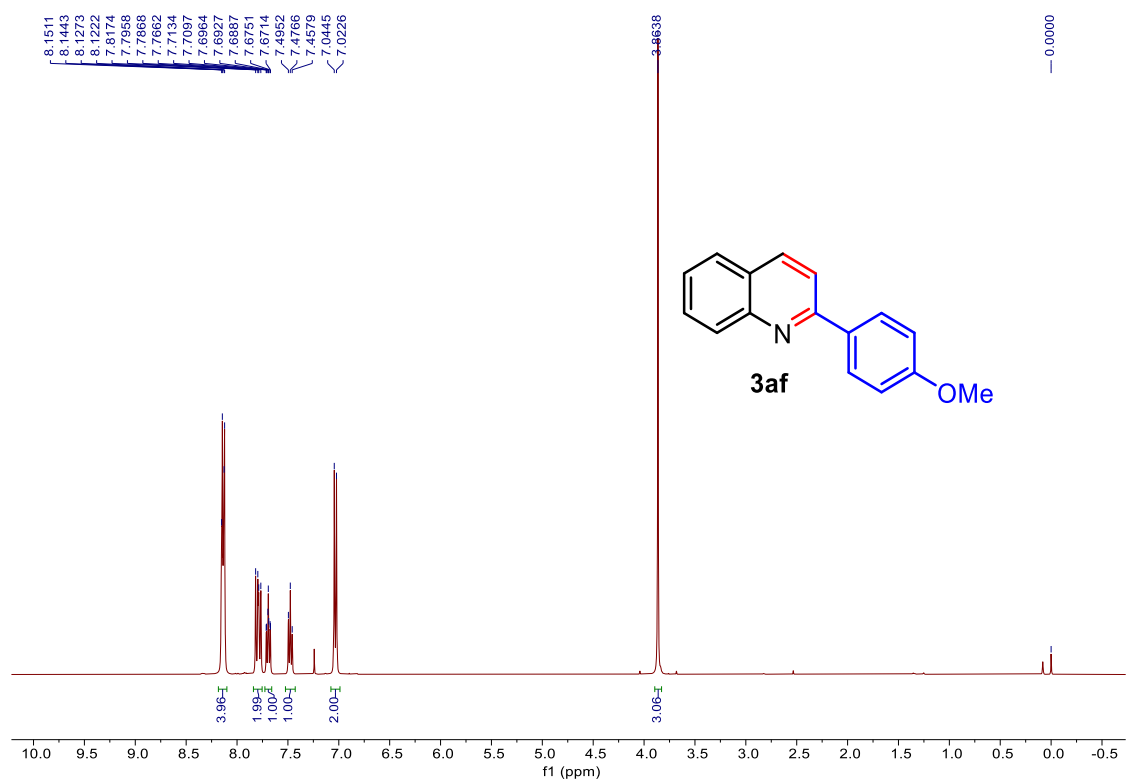


Figure S13. $^1\text{H NMR}$ of **3af** in CDCl_3 .

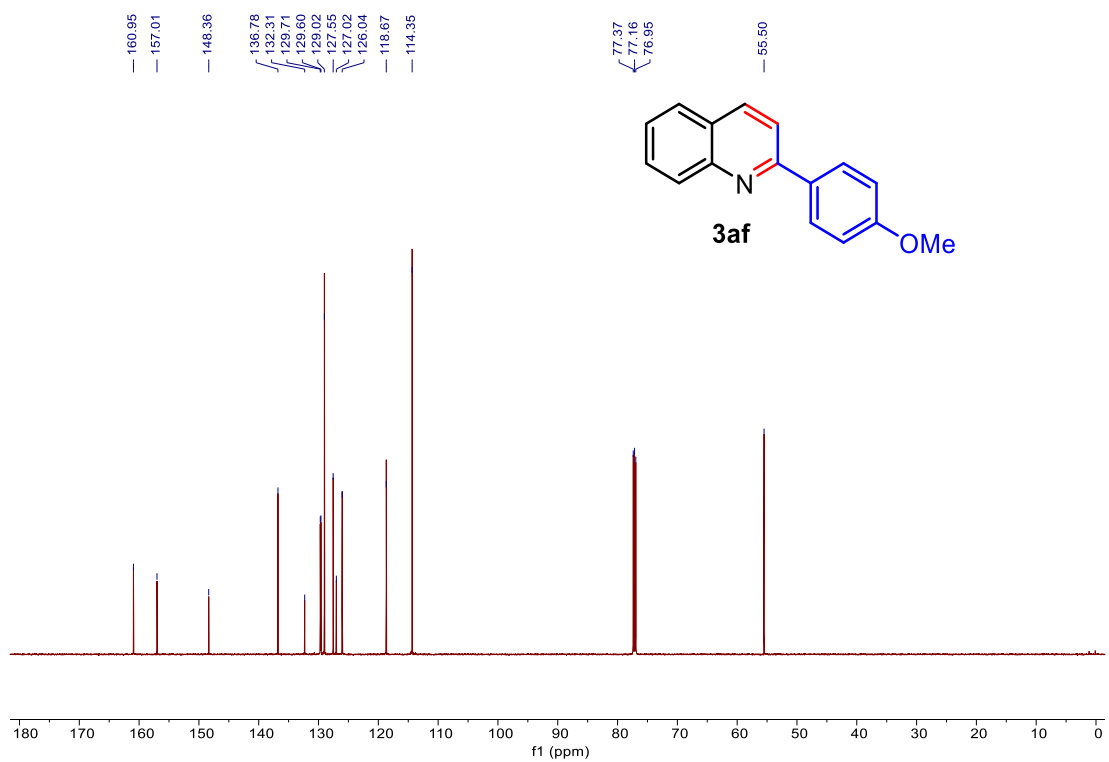


Figure S14. $^{13}\text{C NMR}$ of **3af** in CDCl_3 .

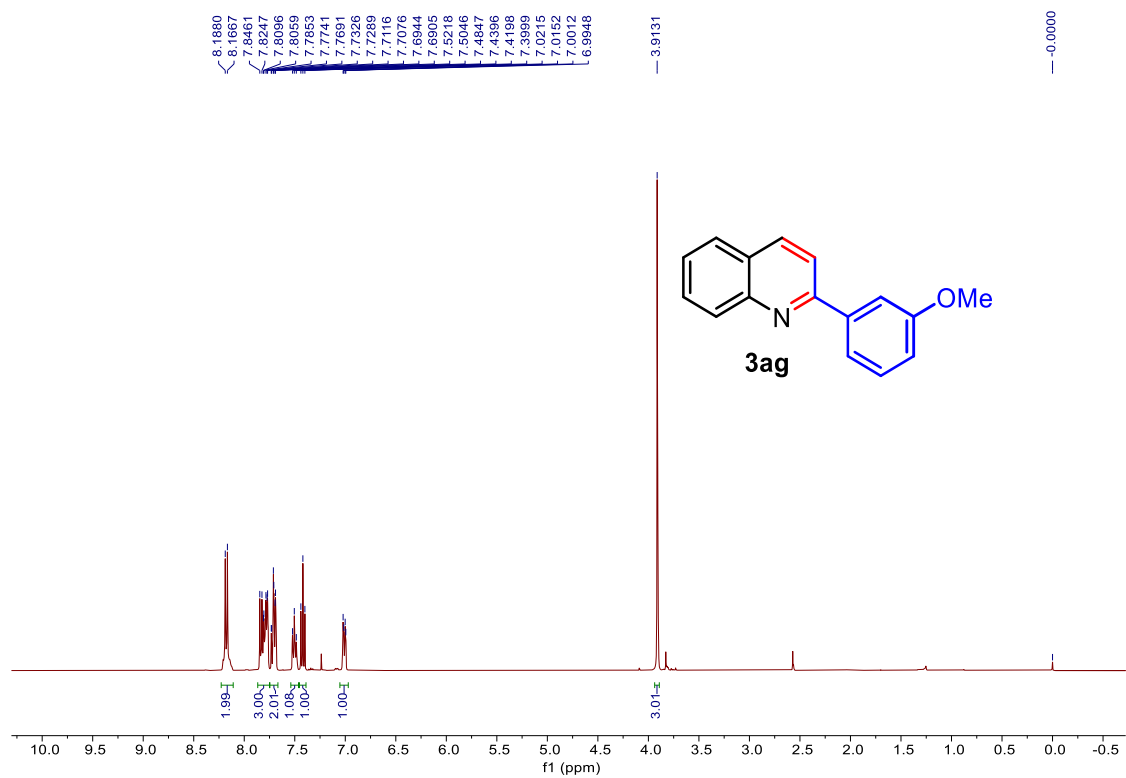


Figure S15. ¹H NMR of **3ag** in CDCl₃.

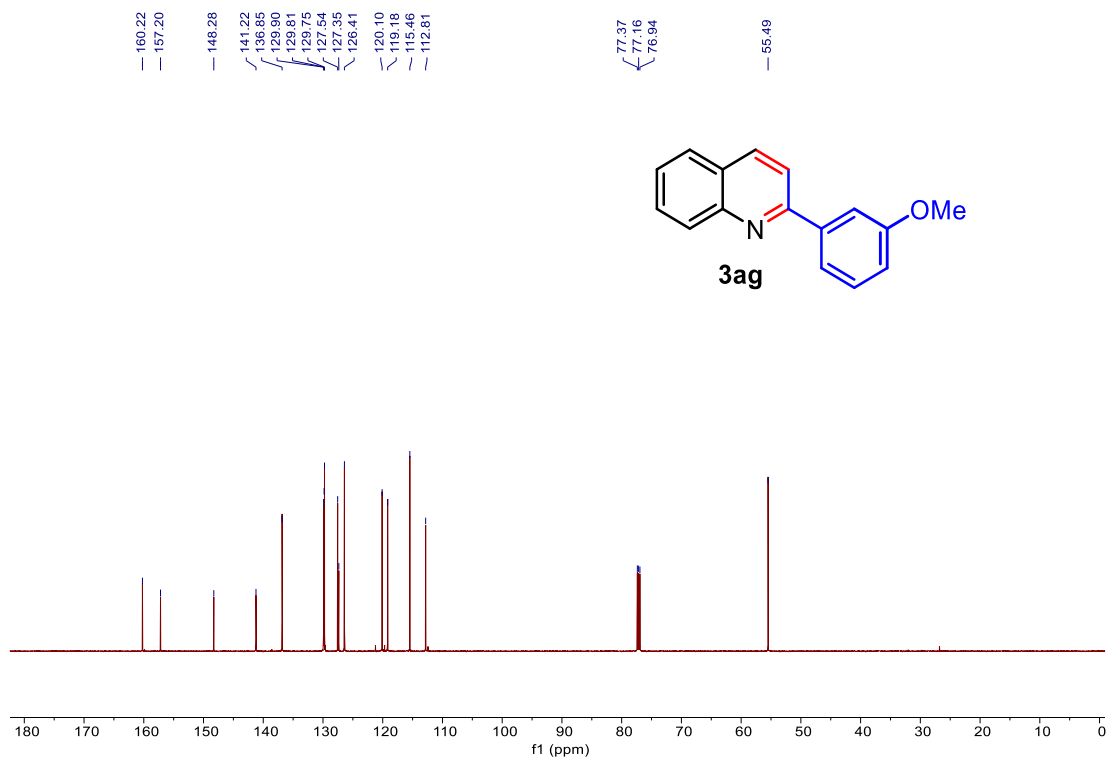


Figure S16. ¹³C NMR of **3ag** in CDCl₃.

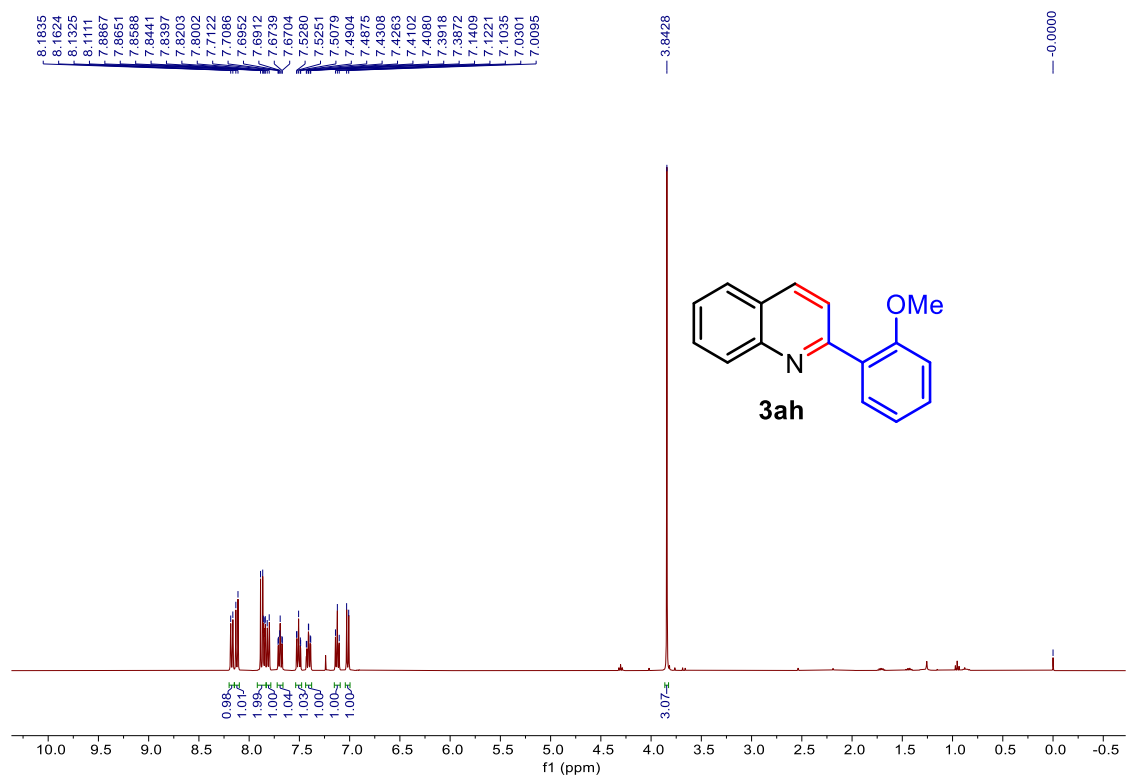


Figure S17. ¹H NMR of **3ah** in CDCl₃.

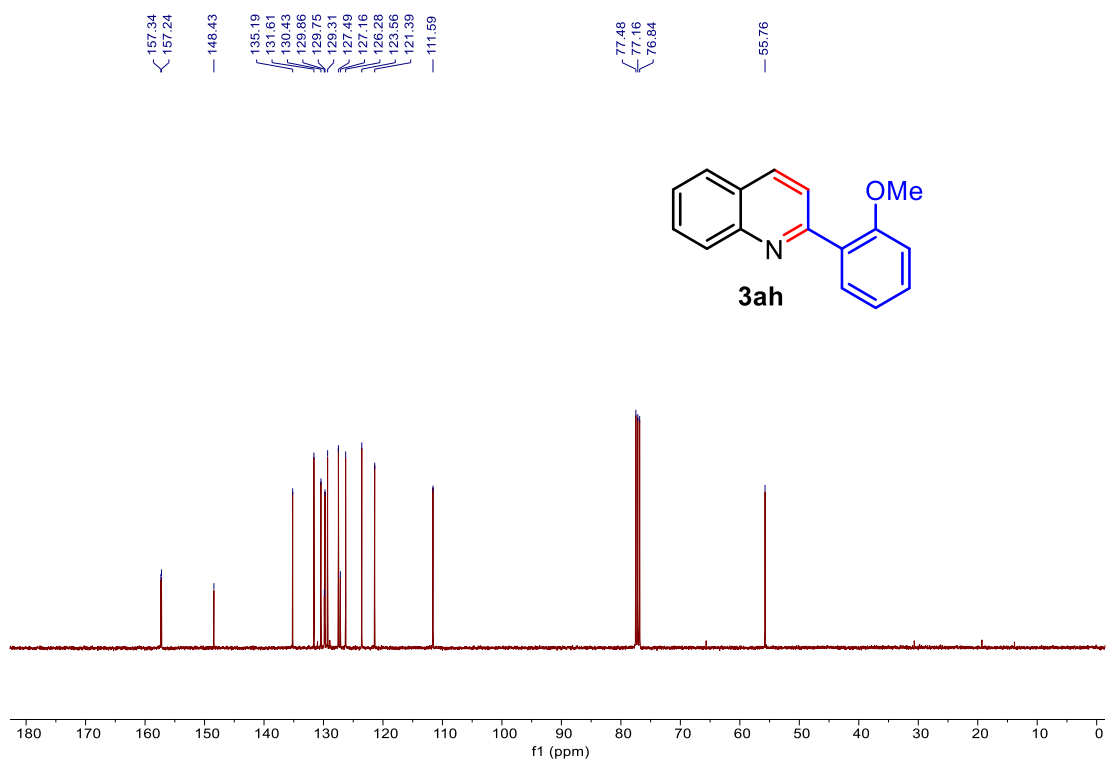


Figure S18. ¹³C NMR of **3ah** in CDCl₃.

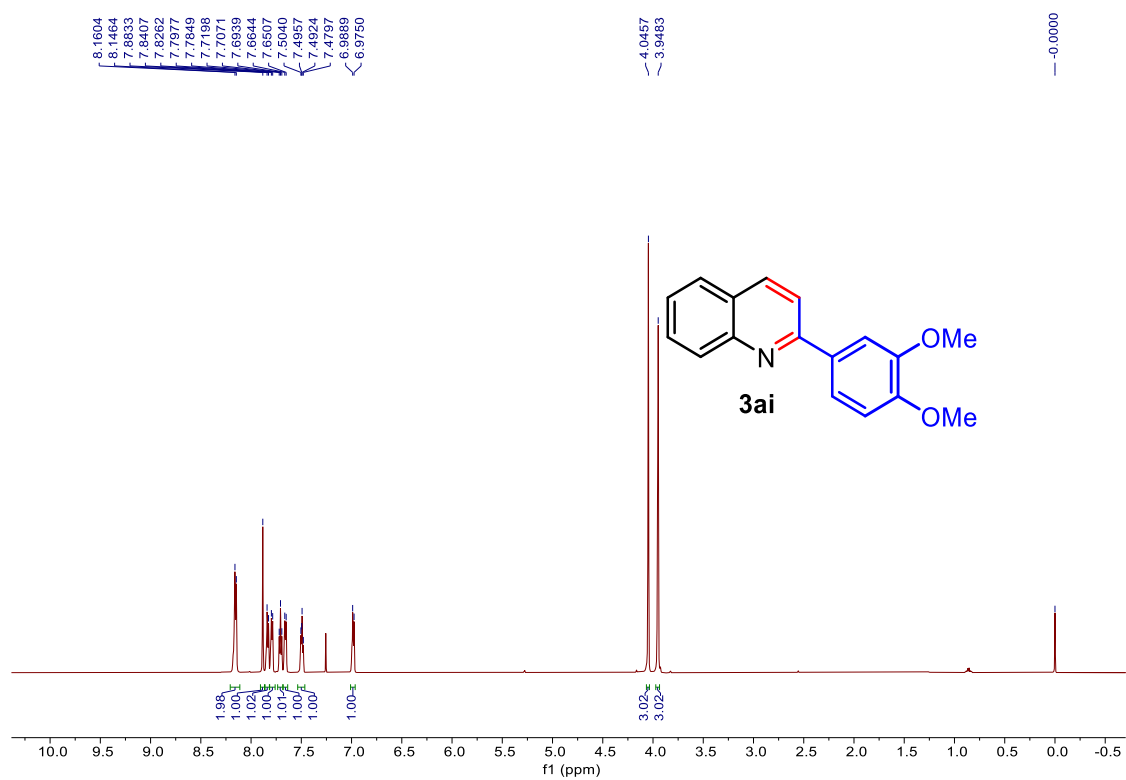


Figure S17. ¹H NMR of **3ai** in CDCl₃.

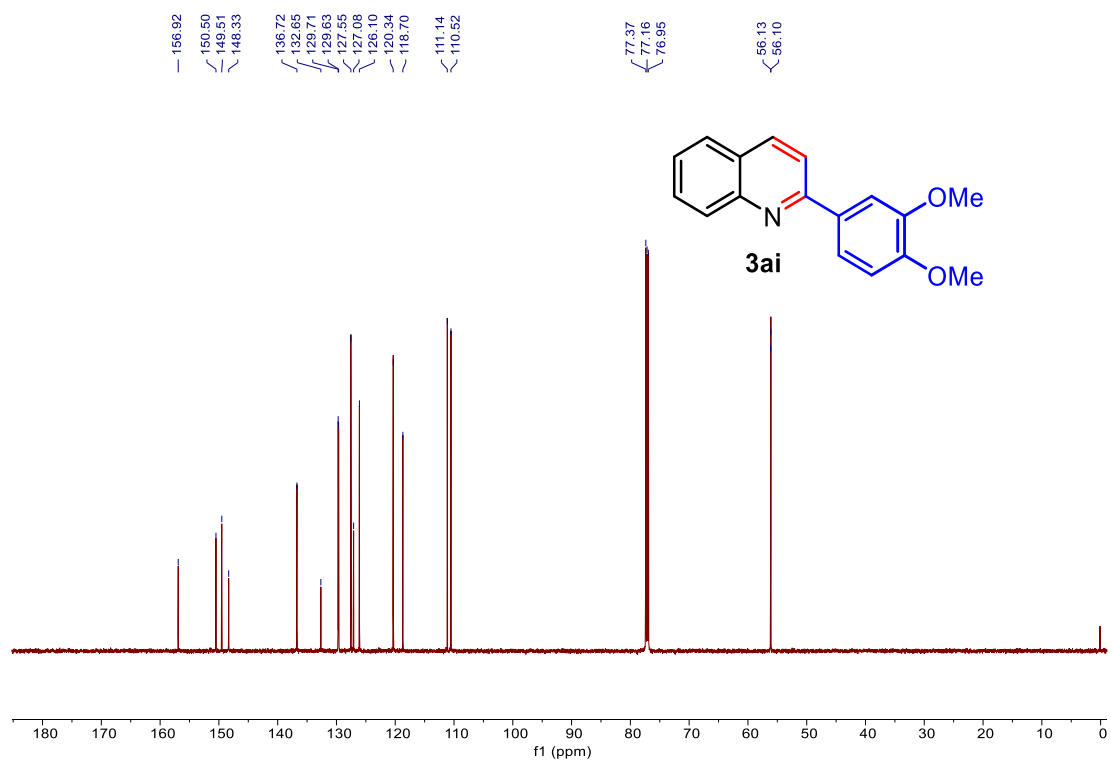


Figure S18. ¹³C NMR of **3ai** in CDCl₃.

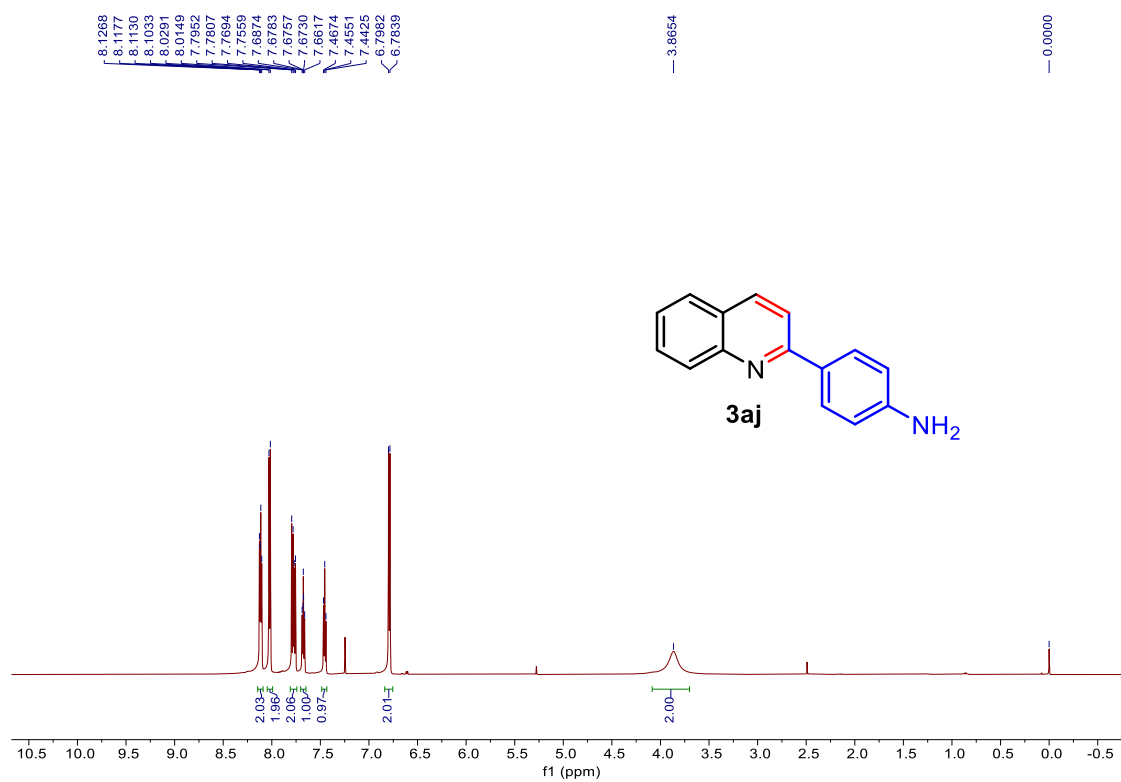


Figure S19. ¹H NMR of **3aj** in CDCl₃.

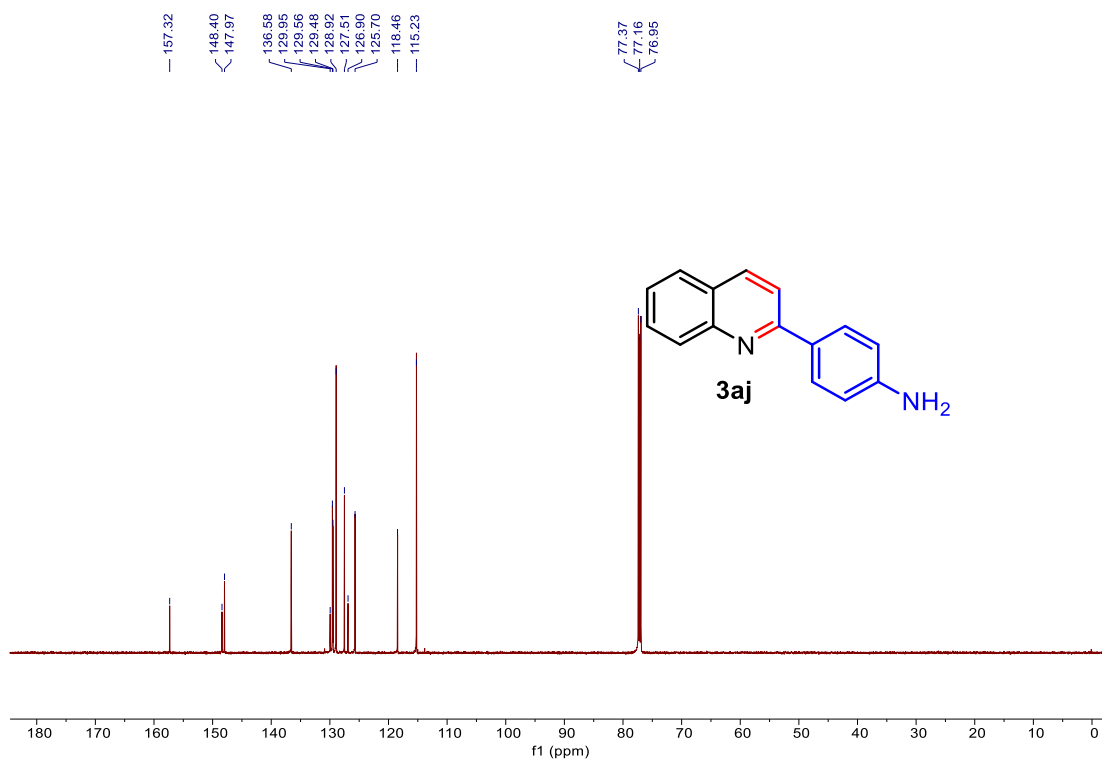


Figure S20. ¹³C NMR of **3aj** in CDCl₃.

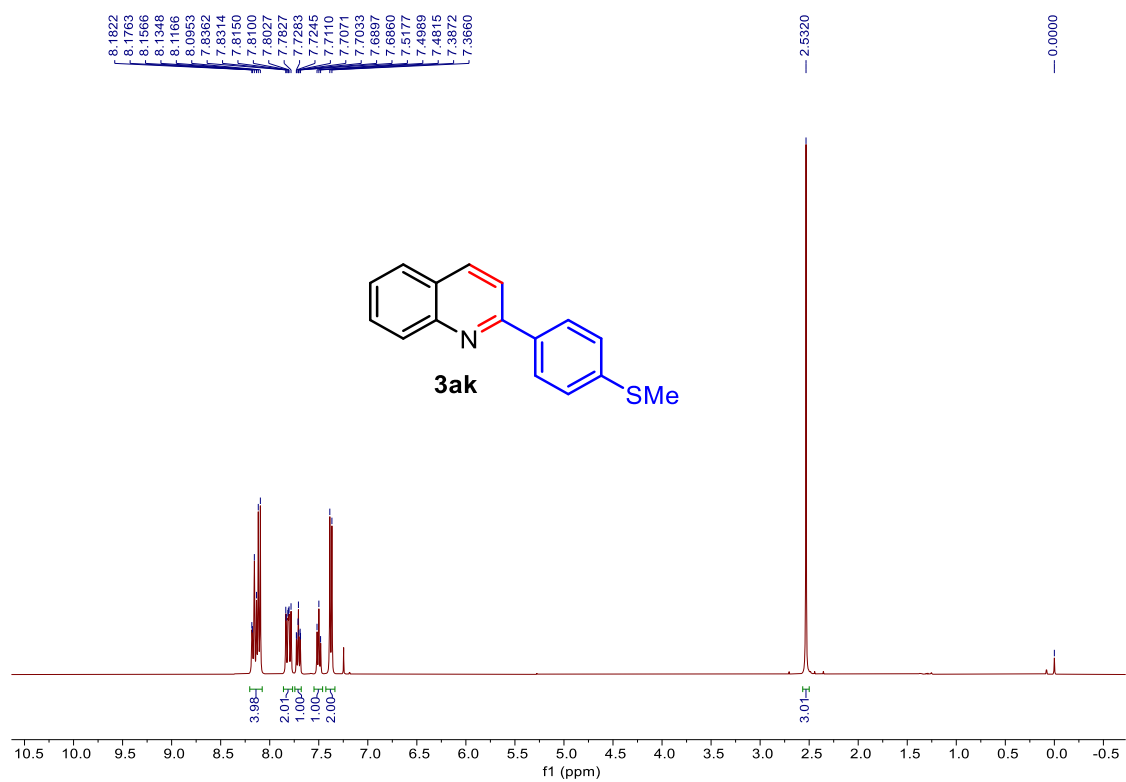


Figure S21. ¹H NMR of **3ak** in CDCl₃.

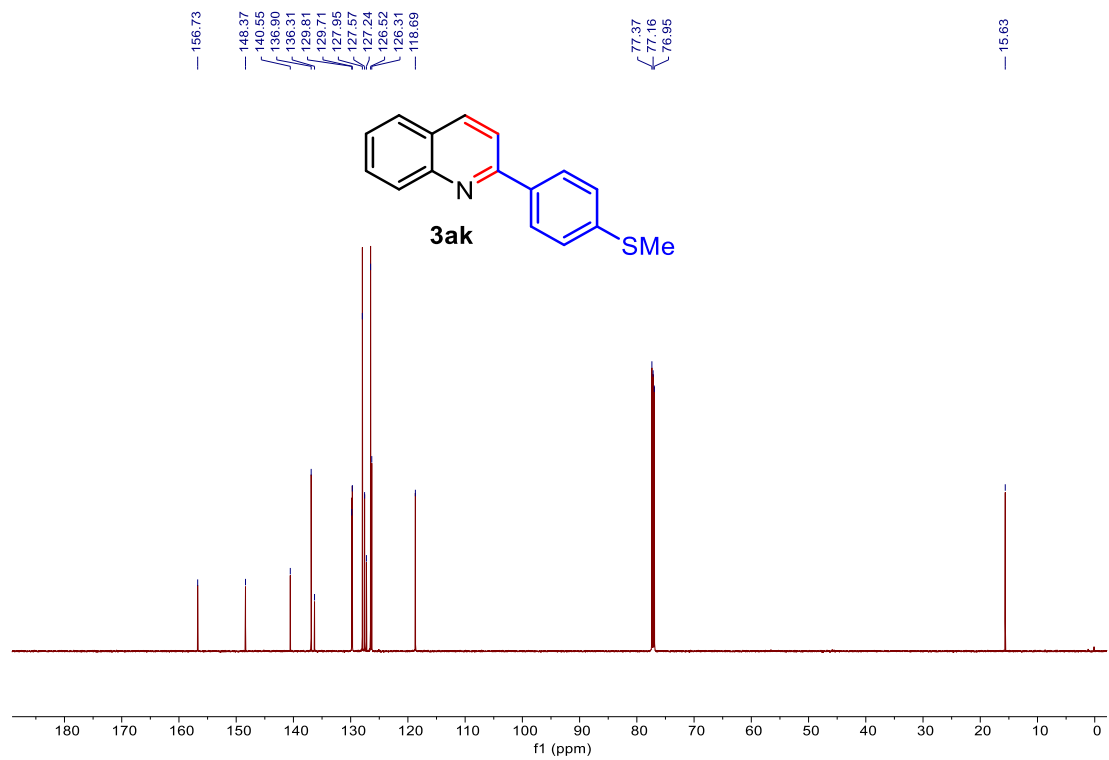


Figure S22. ¹³C NMR of **3ak** in CDCl₃.

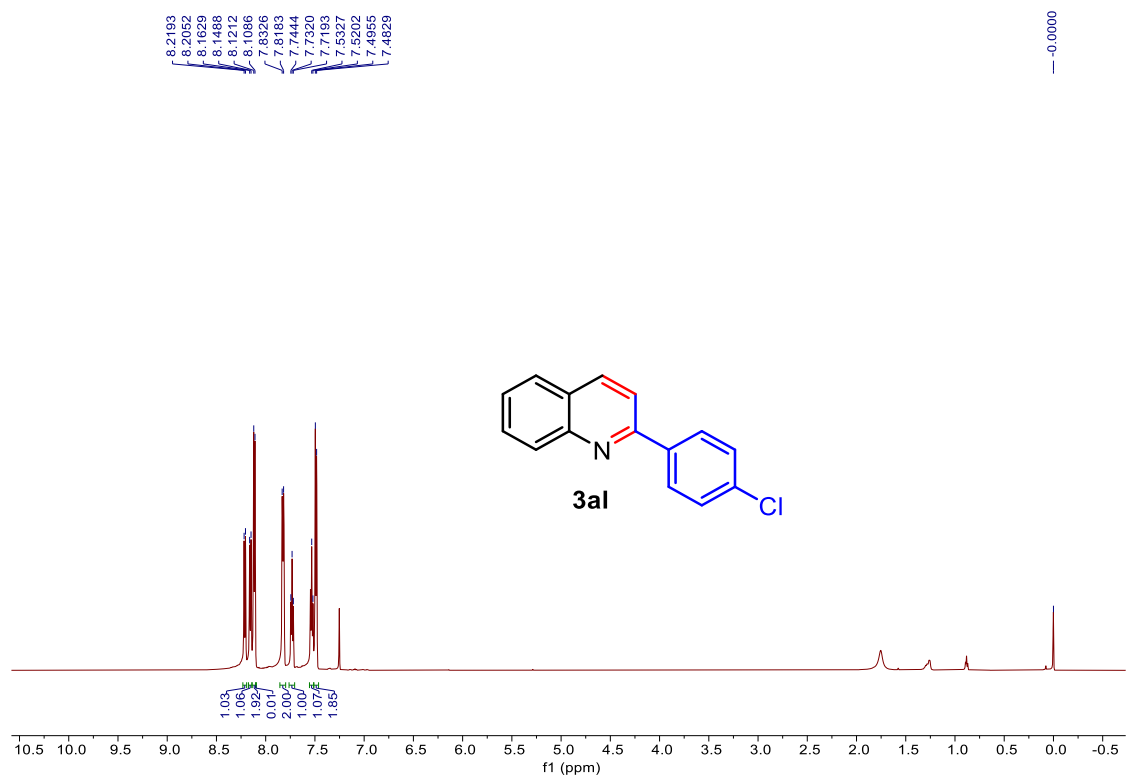


Figure S23. ¹H NMR of 3al in CDCl₃.

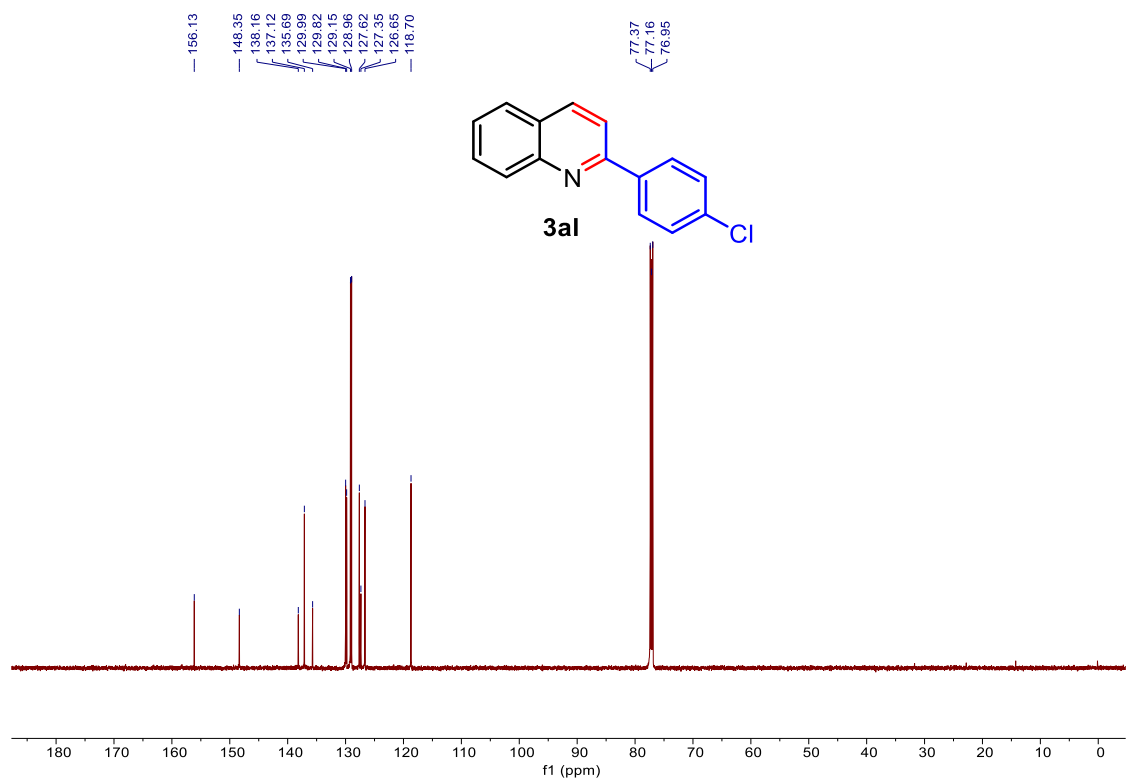


Figure S24. ¹³C NMR of 3al in CDCl₃.

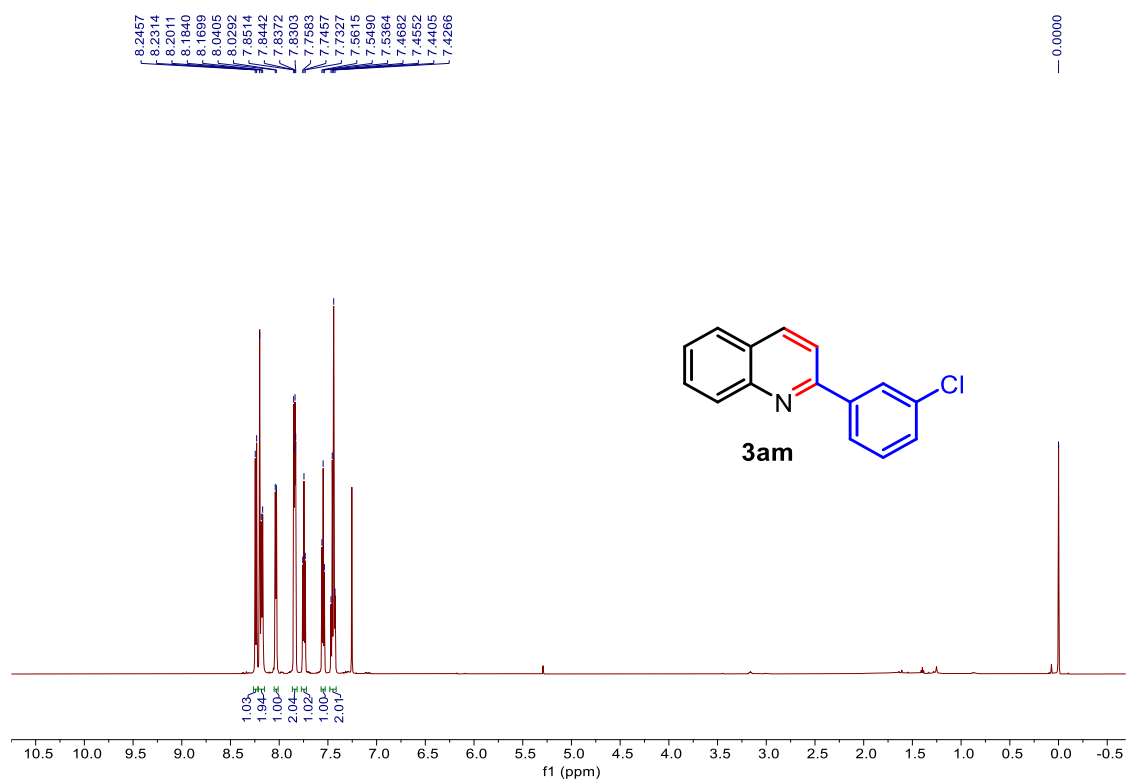


Figure S25. ¹H NMR of 3am in CDCl₃.

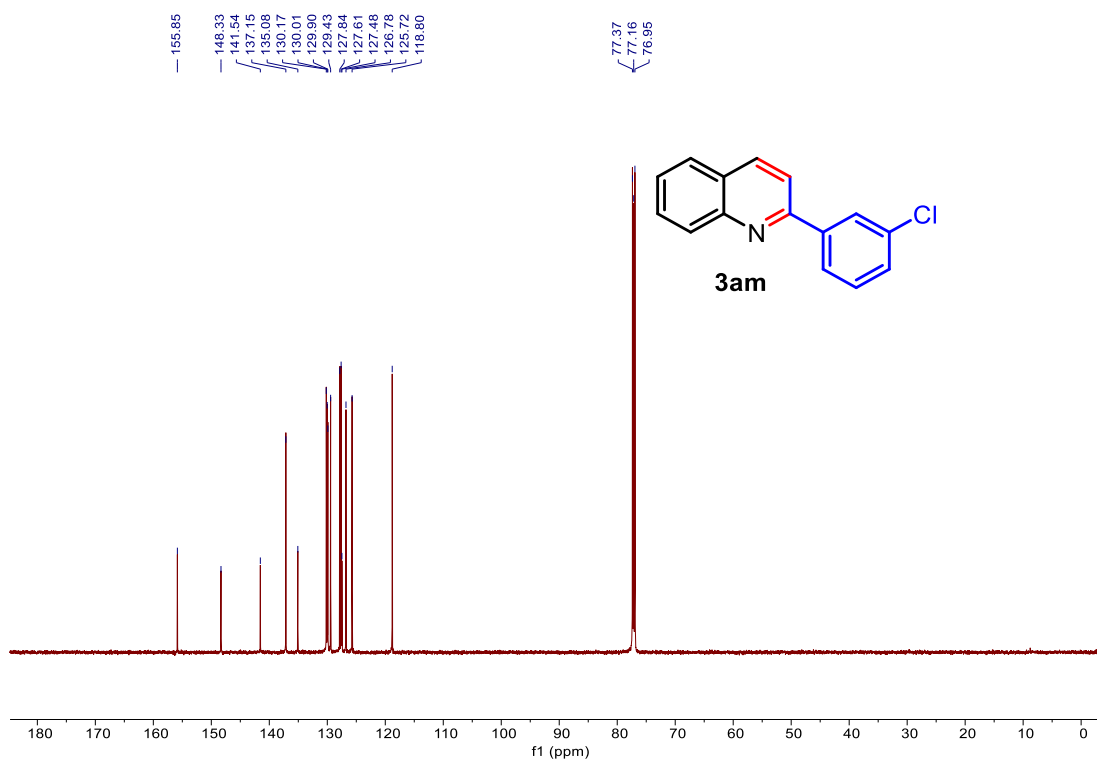
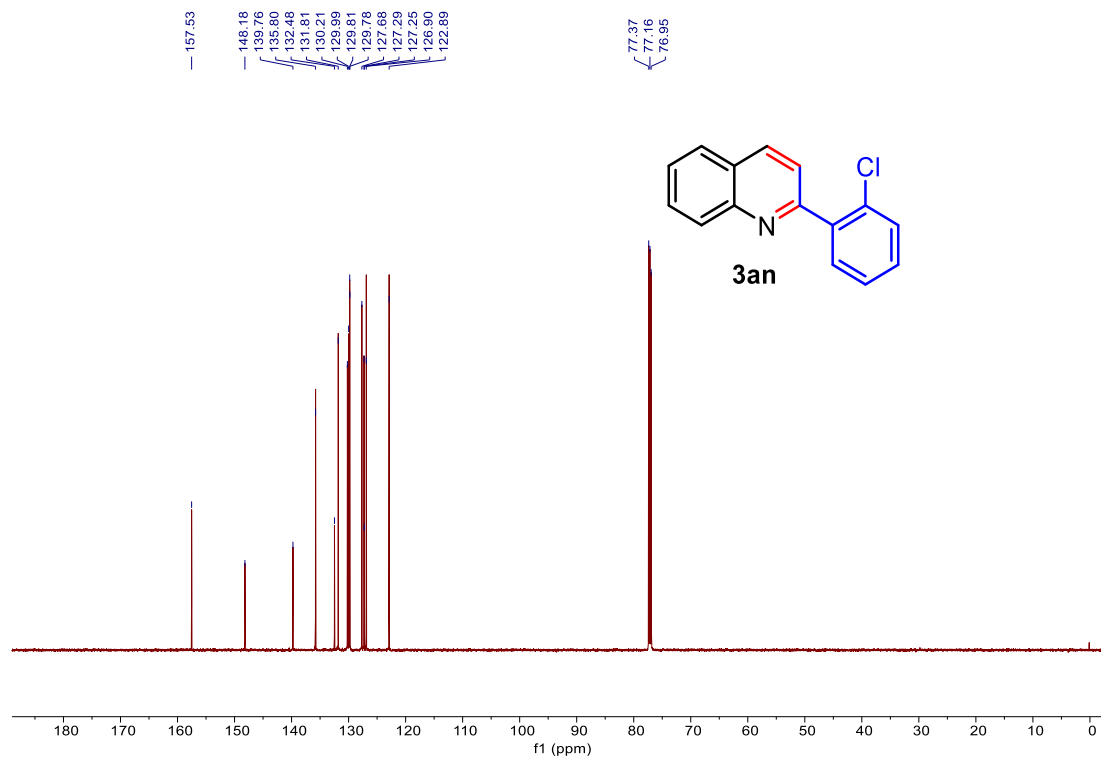
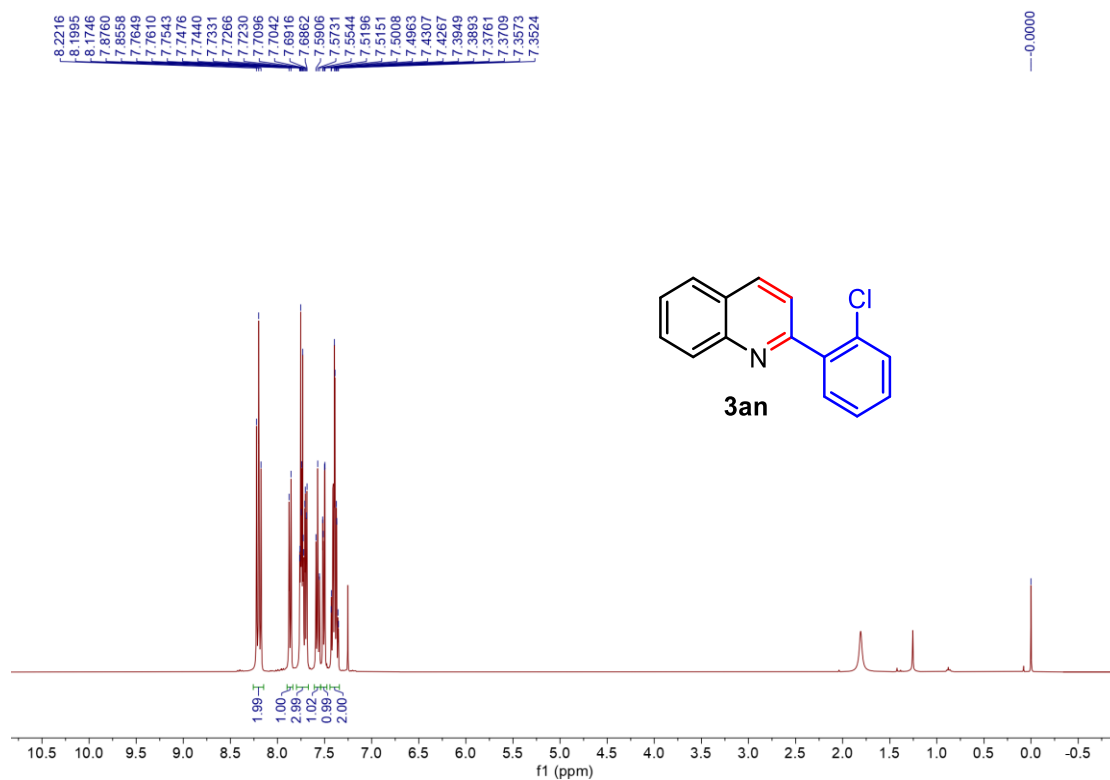


Figure S26. ¹³C NMR of 3am in CDCl₃.



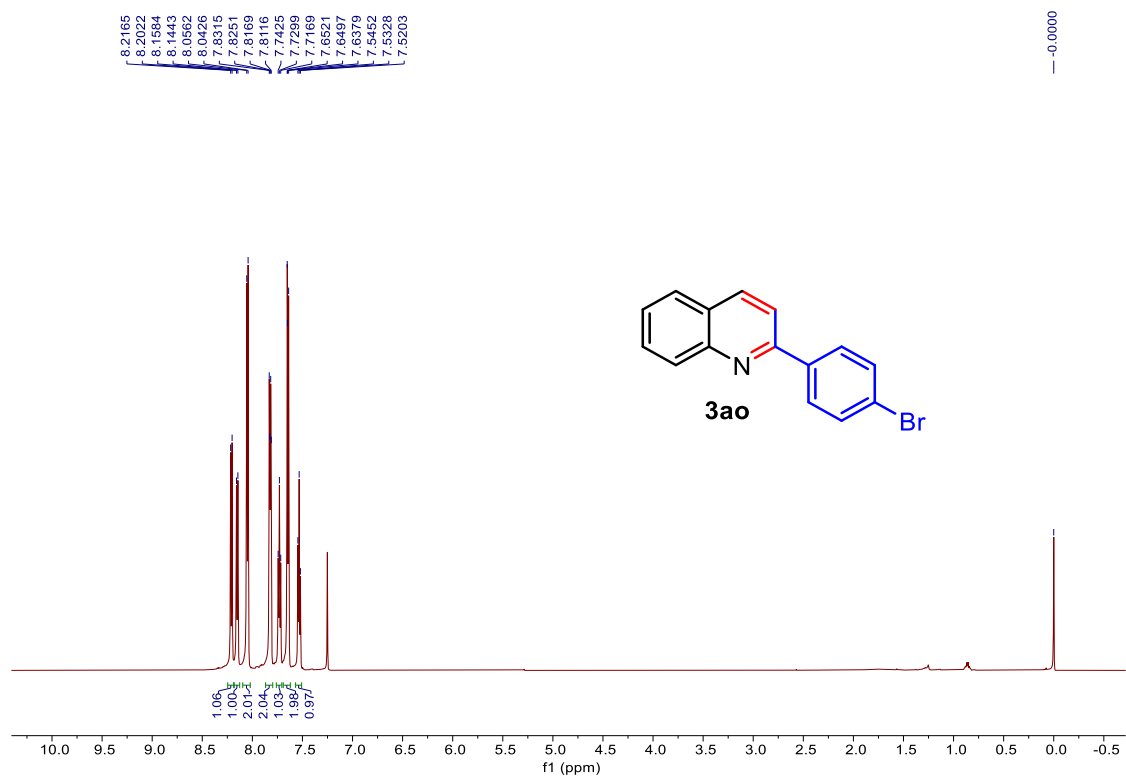


Figure S29. ¹H NMR of **3ao** in CDCl₃.

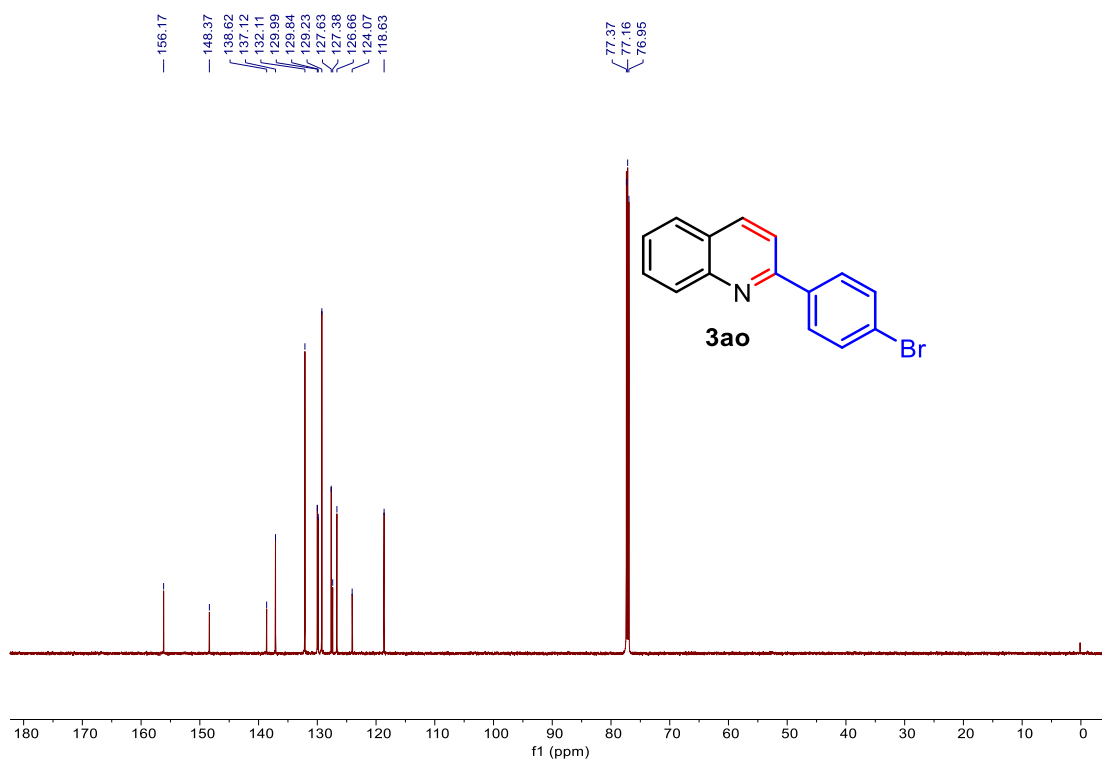


Figure S30. ¹³C NMR of **3ao** in CDCl₃.

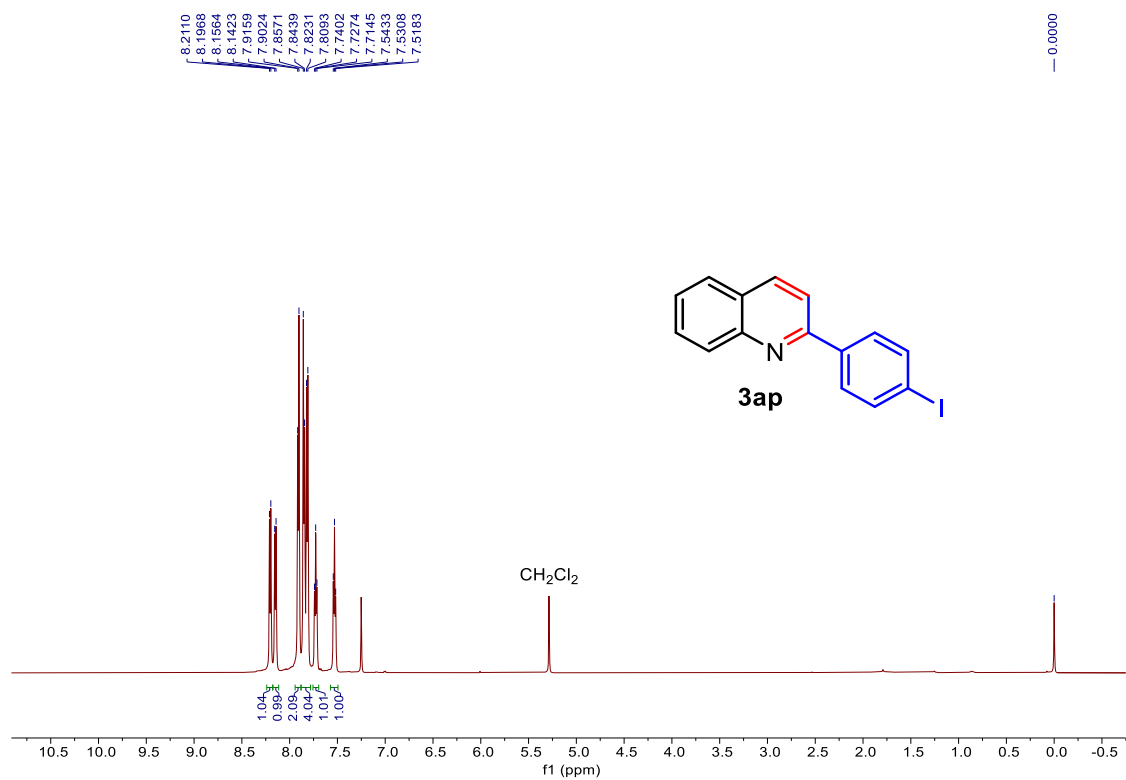


Figure S31. ¹H NMR of **3ap** in CDCl₃.

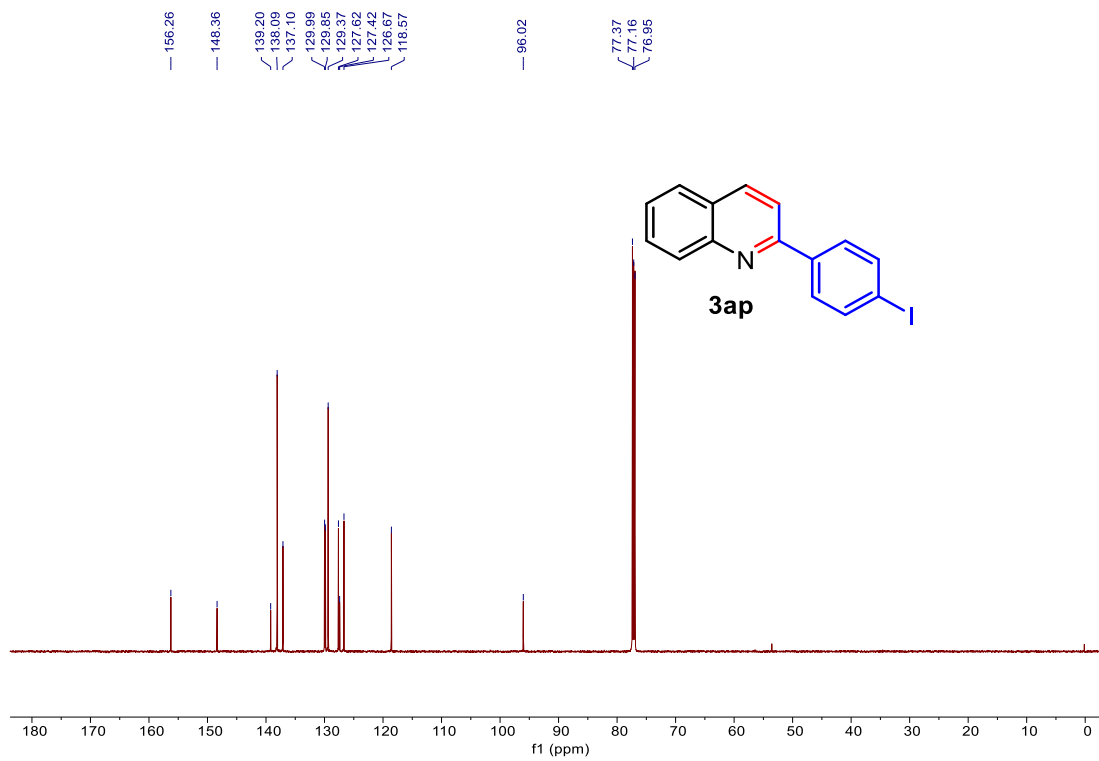


Figure S32. ¹³C NMR of **3ap** in CDCl₃.

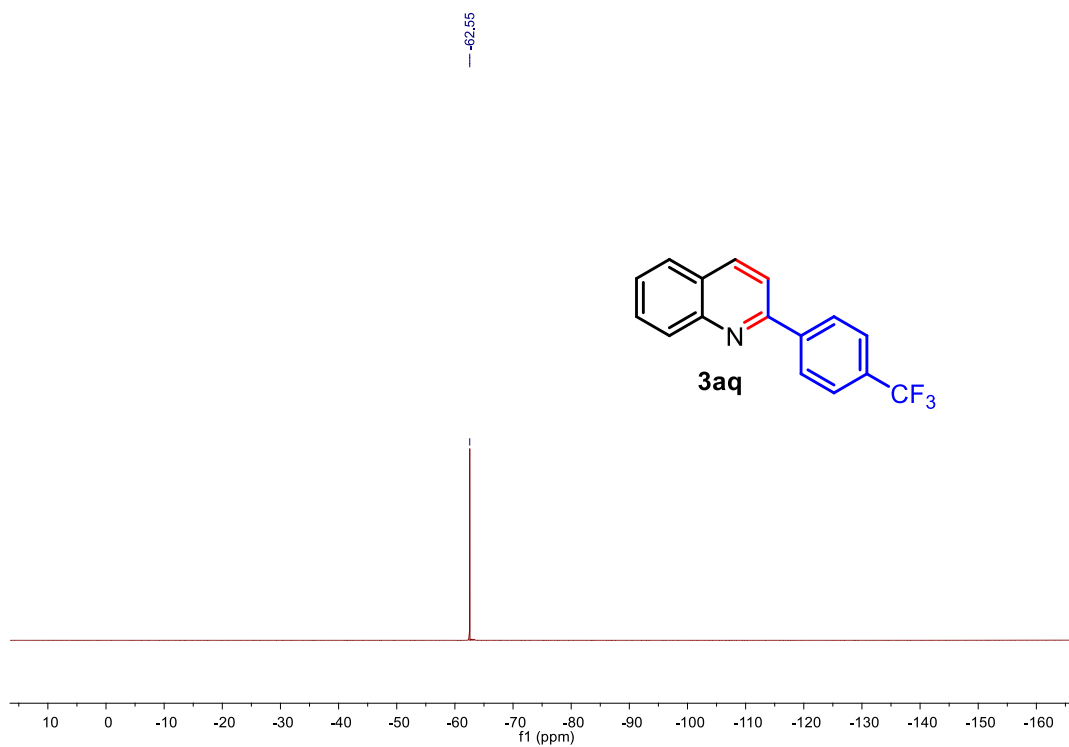


Figure S33. ^{19}F NMR of **3aq** in CDCl_3 .

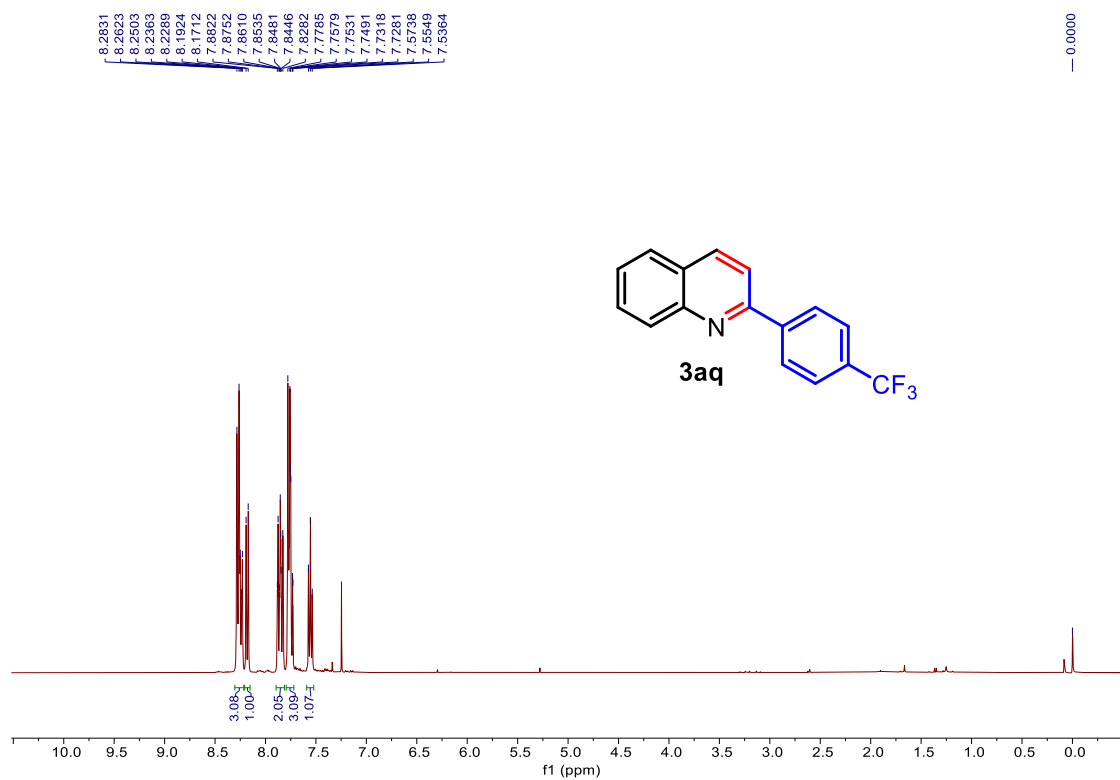


Figure S34. ^1H NMR of **3aq** in CDCl_3 .

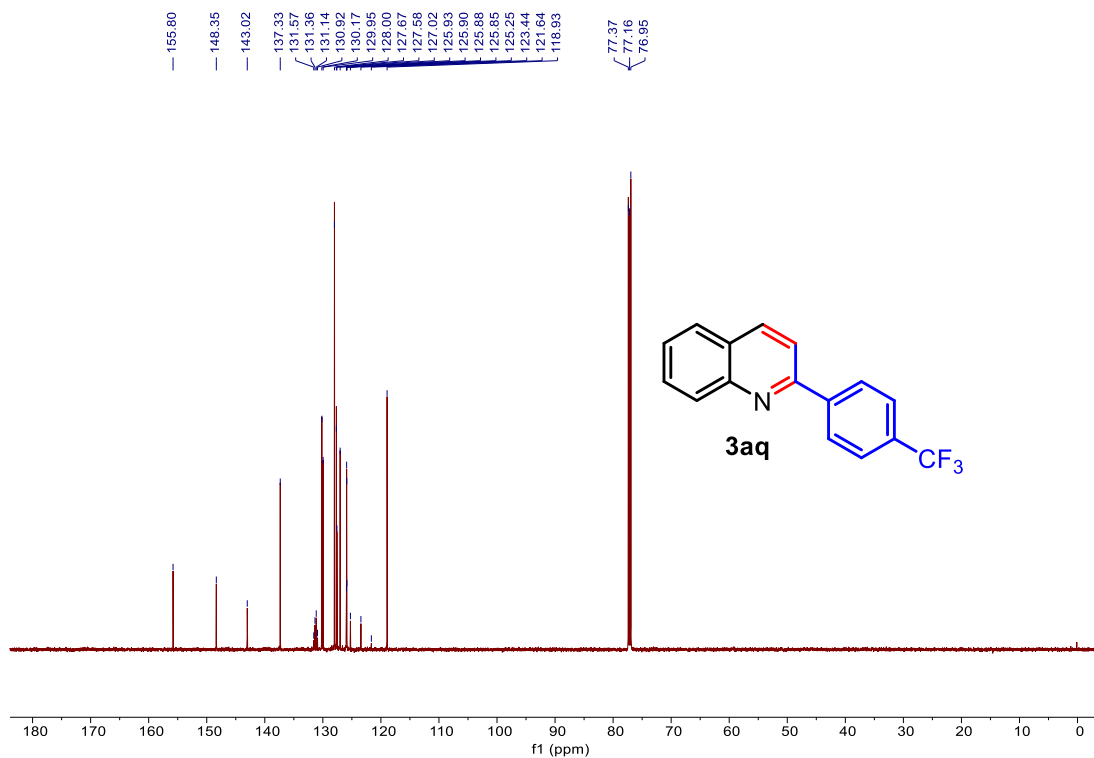


Figure S35. ¹³C NMR of **3aq** in CDCl₃.

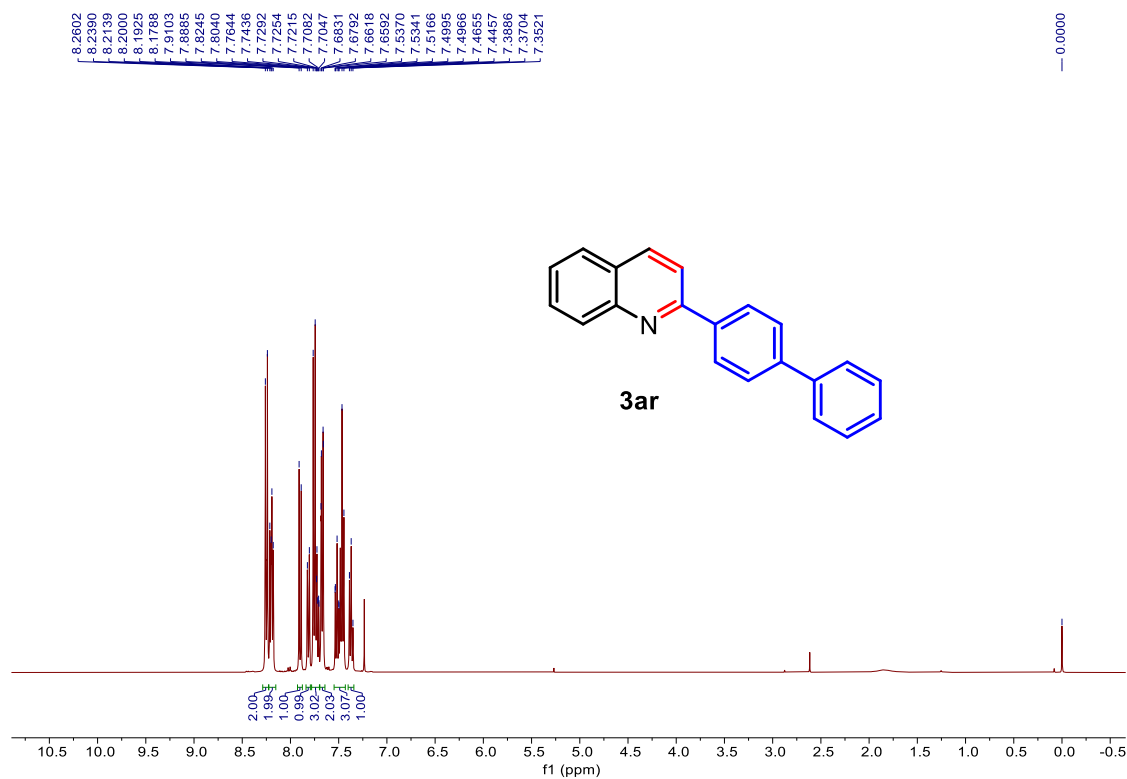


Figure S36. ¹H NMR of **3ar** in CDCl₃.

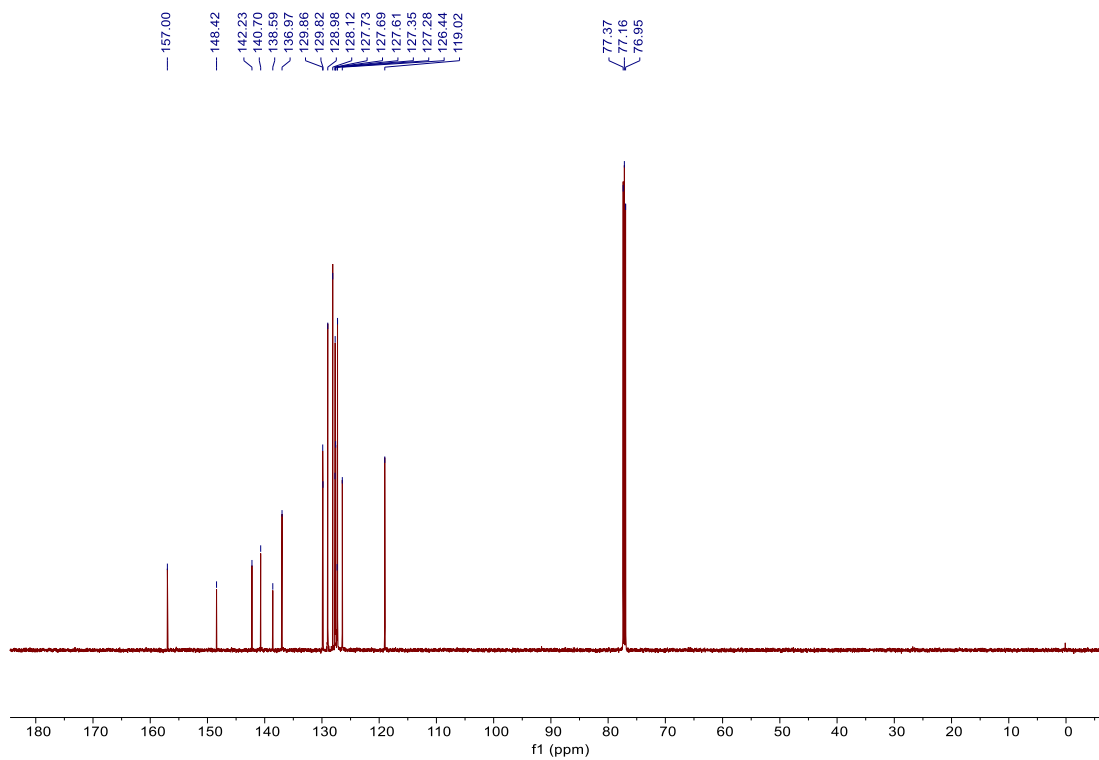


Figure S37. ^{13}C NMR of **3ar** in CDCl_3 .

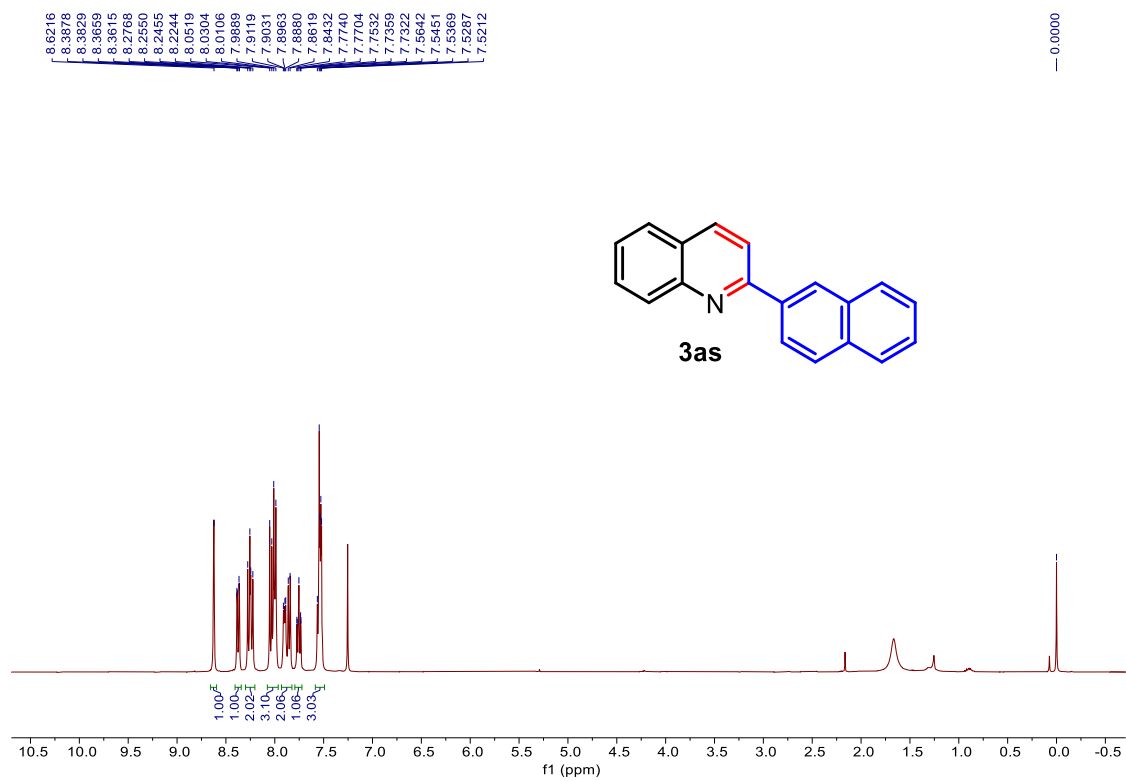


Figure S38. ^1H NMR of **3as** in CDCl_3 .

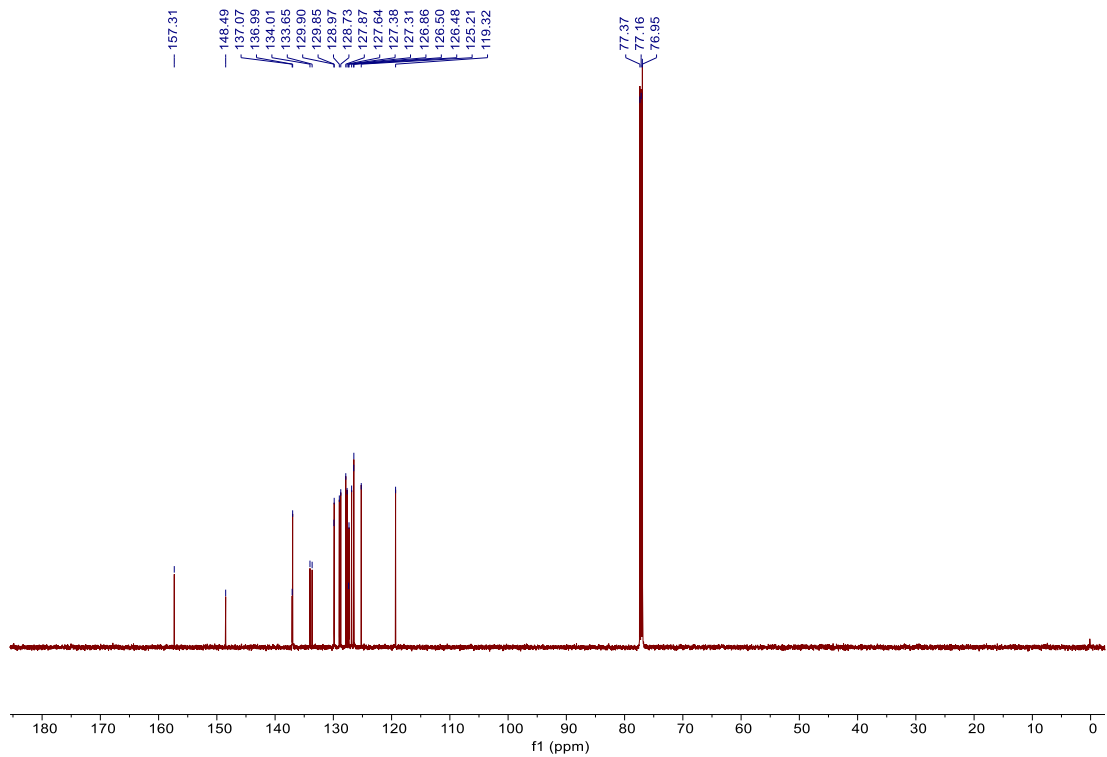


Figure S39. ^{13}C NMR of **3as** in CDCl_3 .

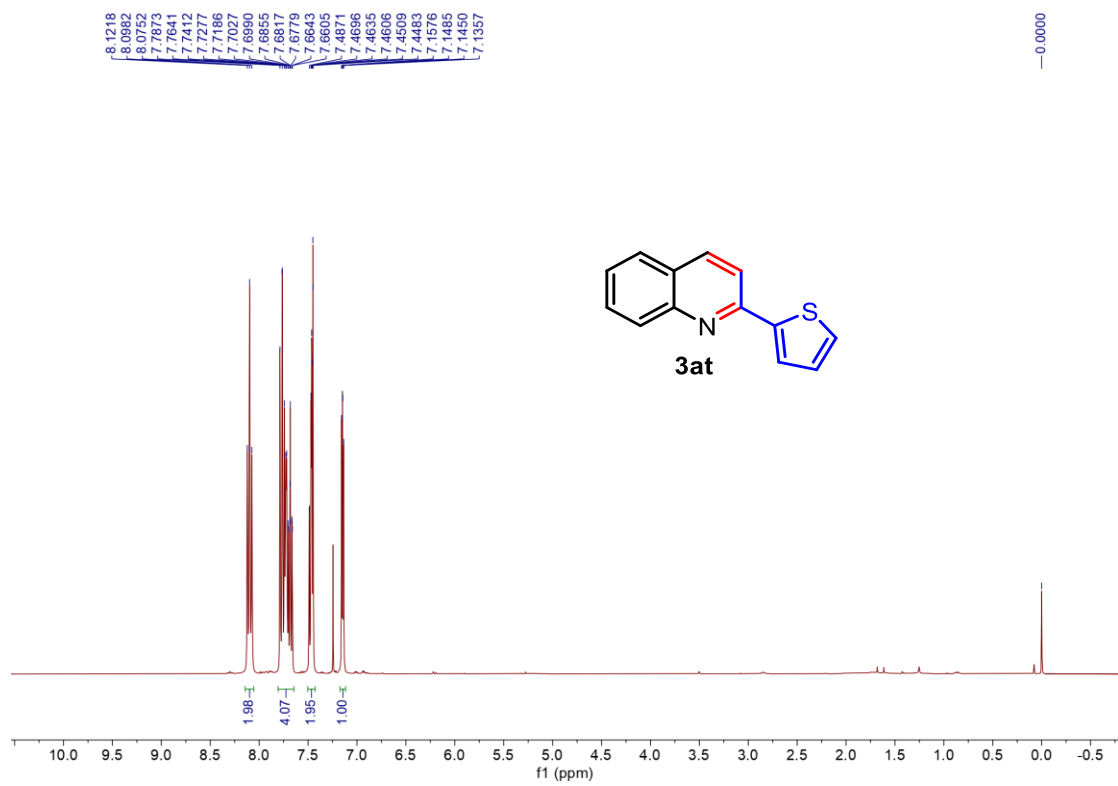


Figure S40. ^1H NMR of **3at** in CDCl_3 .

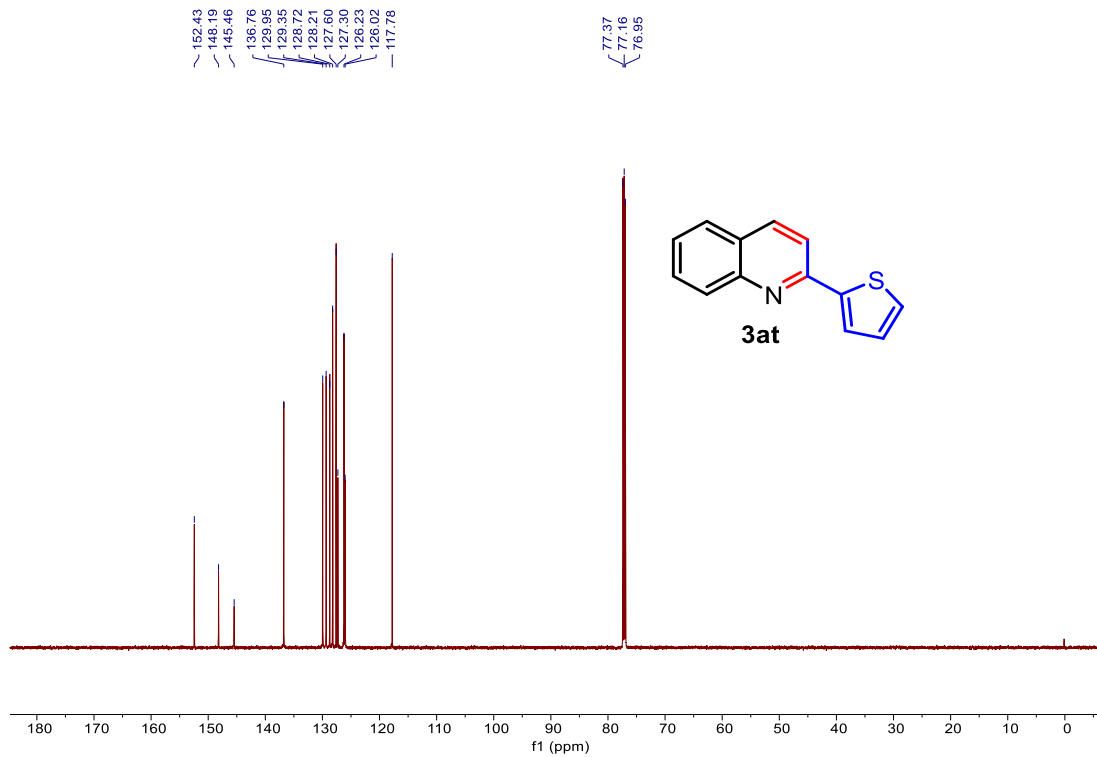


Figure S41. ¹³C NMR of **3at** in CDCl₃.

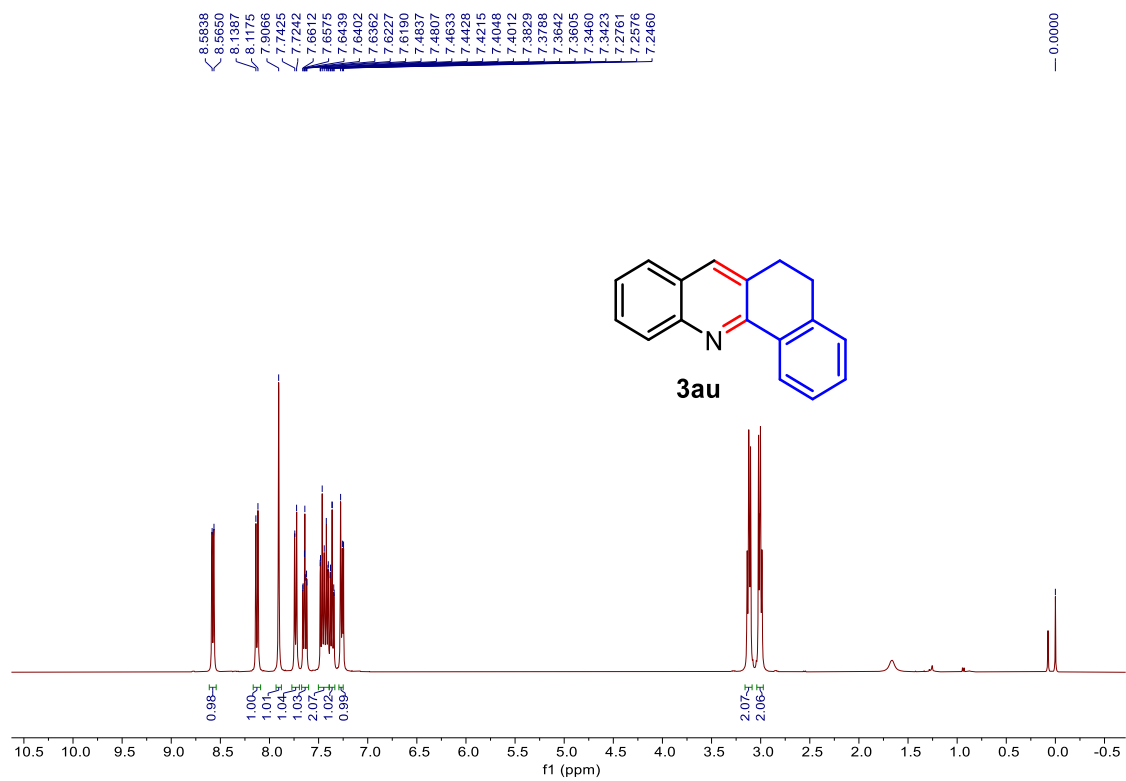


Figure S42. ¹H NMR of **3au** in CDCl₃.

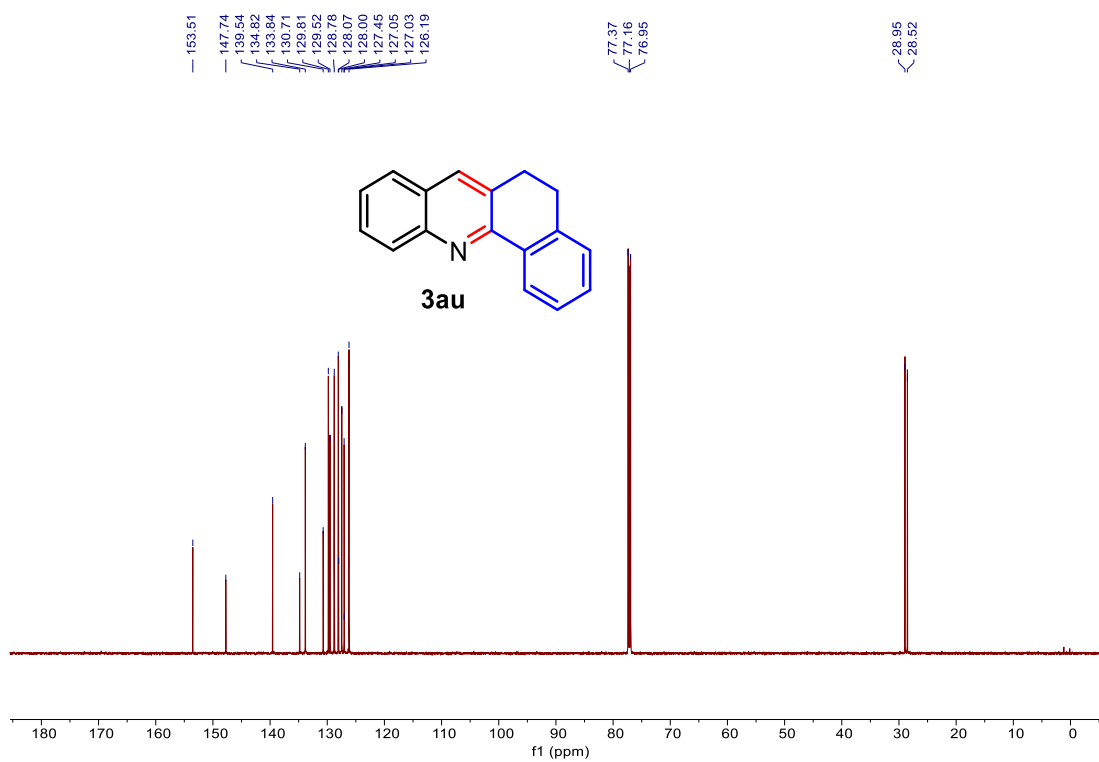


Figure S43. ^{13}C NMR of **3au** in CDCl_3 .

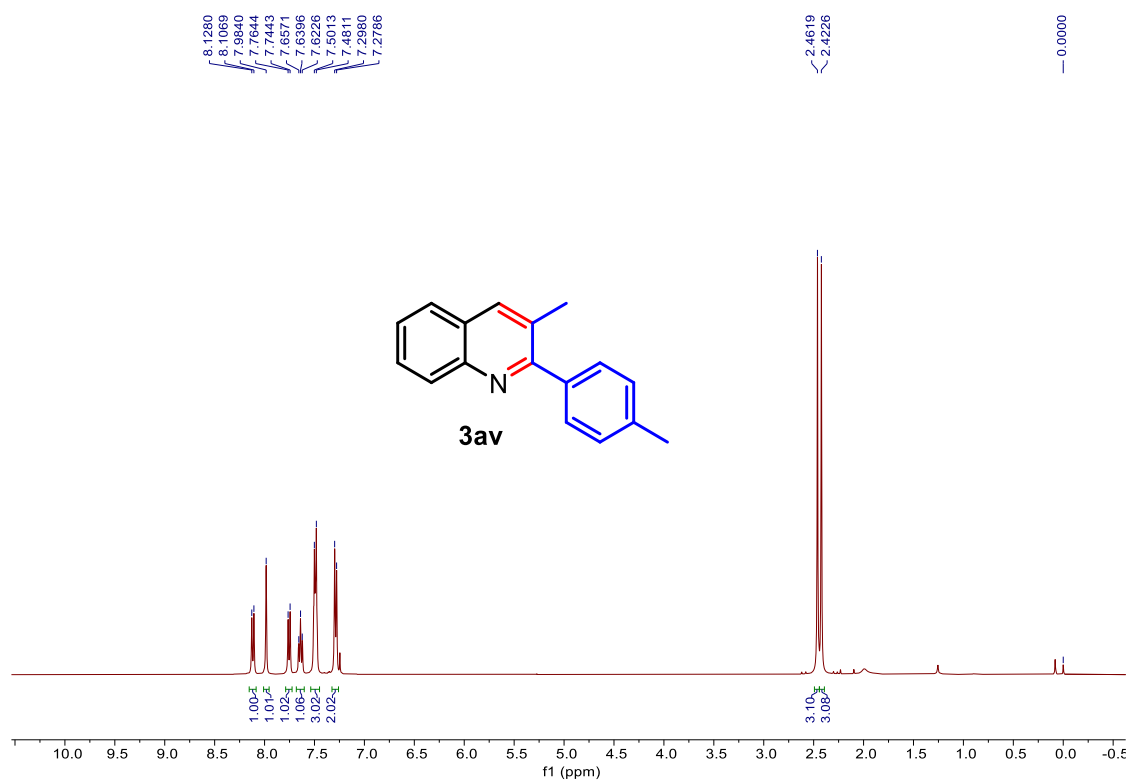


Figure S44. ^1H NMR of **3av** in CDCl_3 .

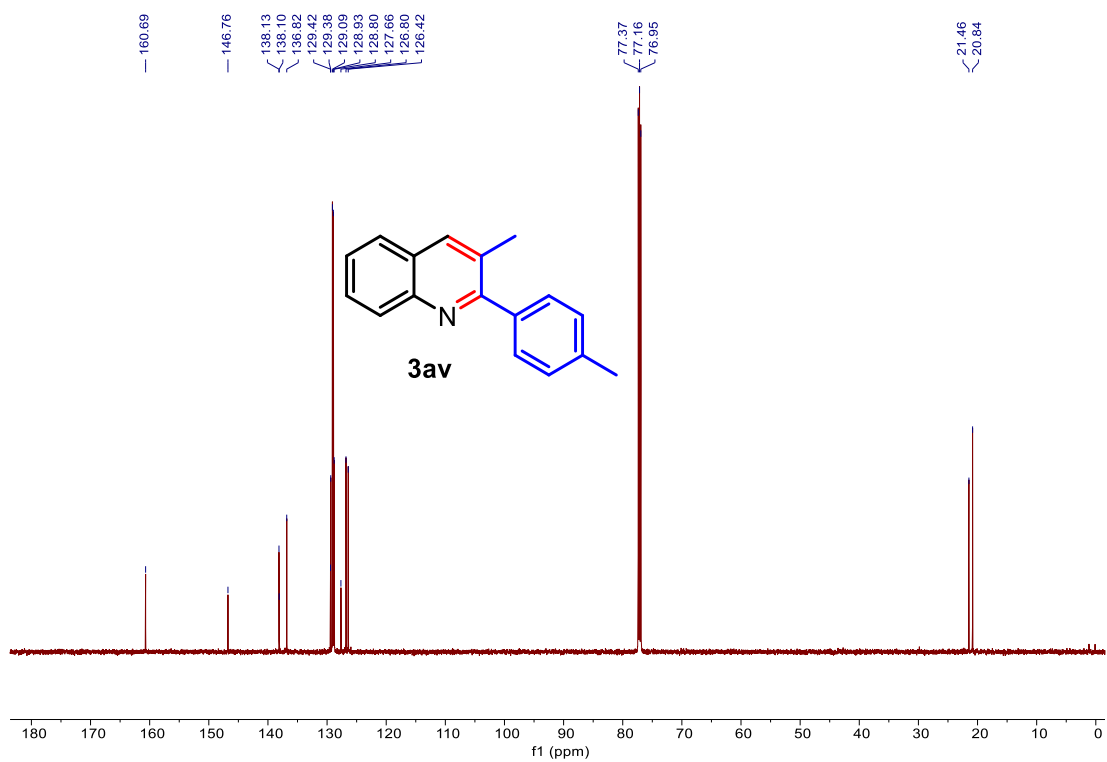


Figure S45. ¹³C NMR of **3av** in CDCl₃.

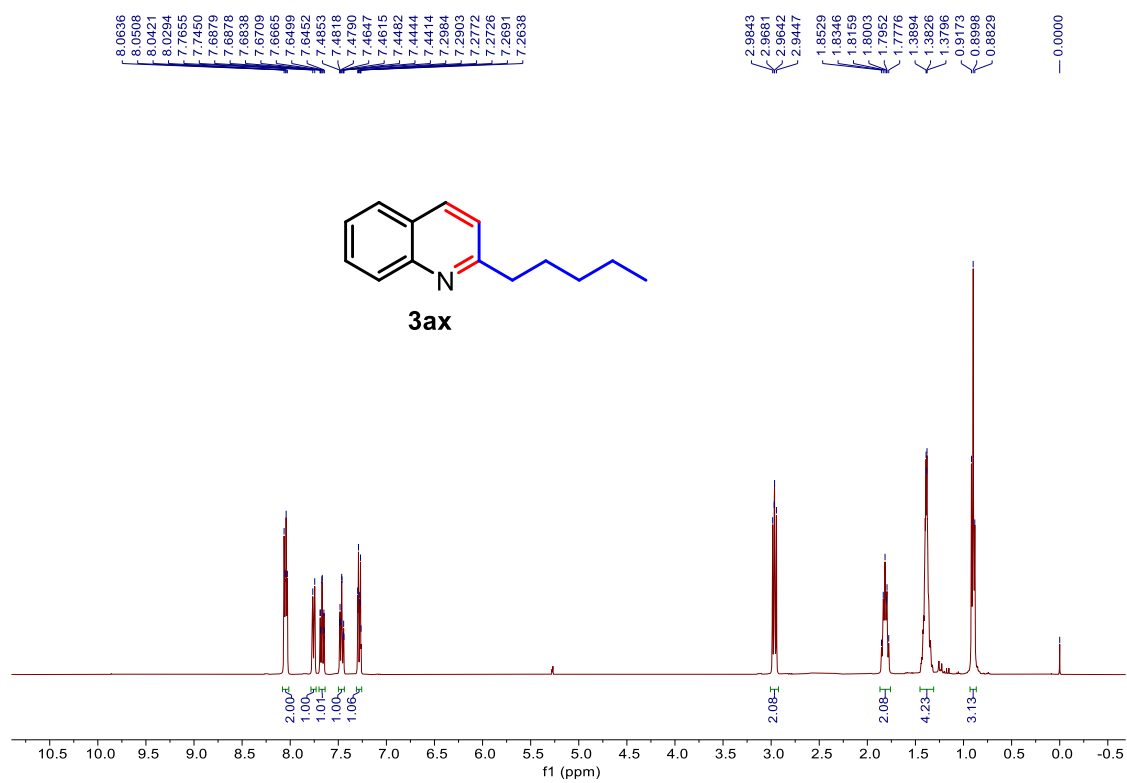


Figure S46. ¹H NMR of **3ax** in CDCl₃.

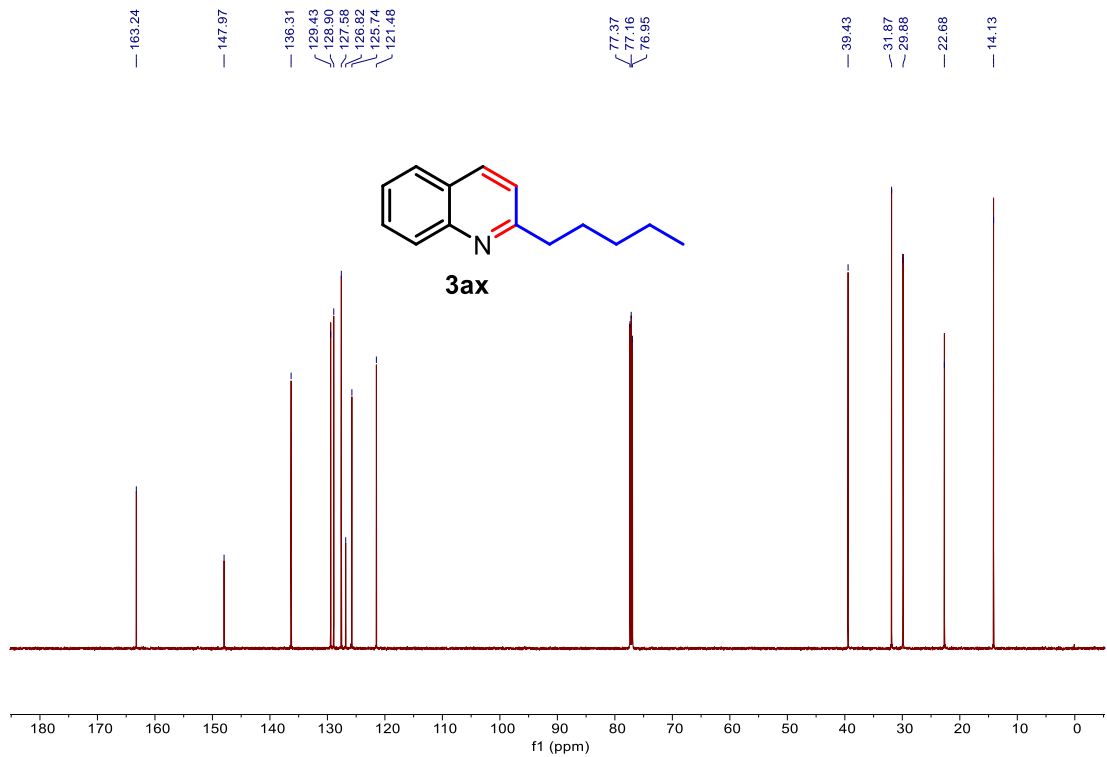


Figure S47. ^{13}C NMR of **3ax** in CDCl_3 .

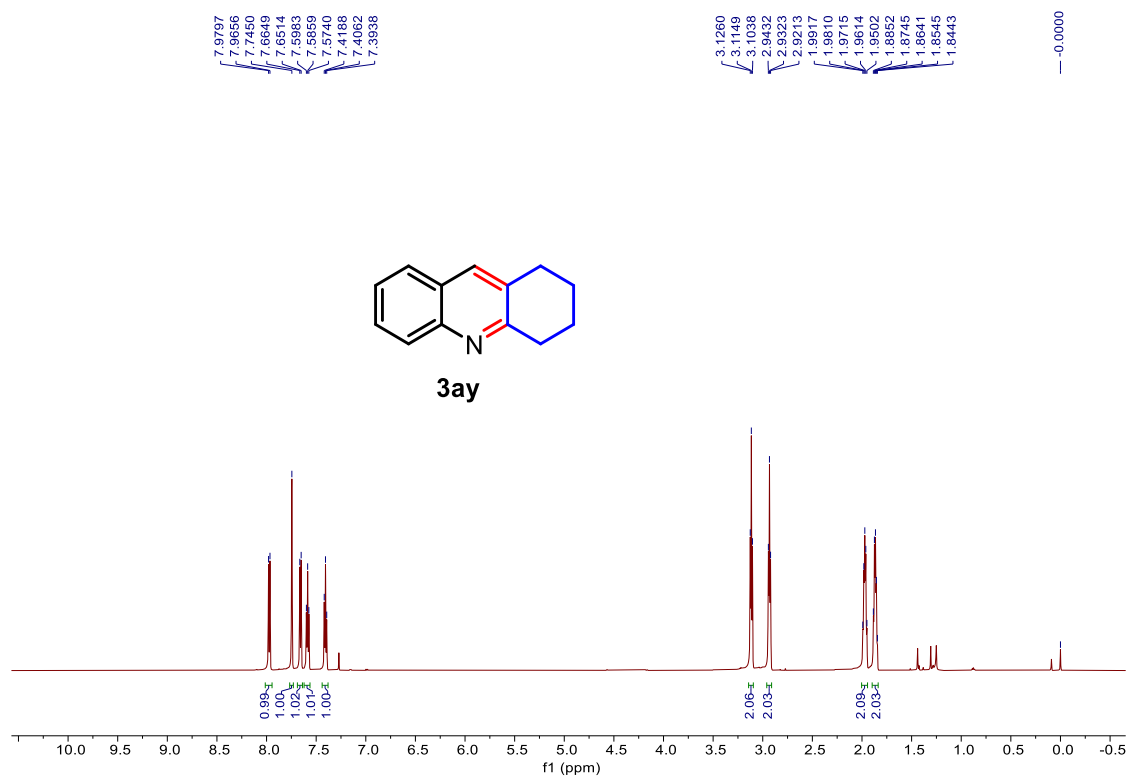


Figure S48. ^1H NMR of **3ay** in CDCl_3 .

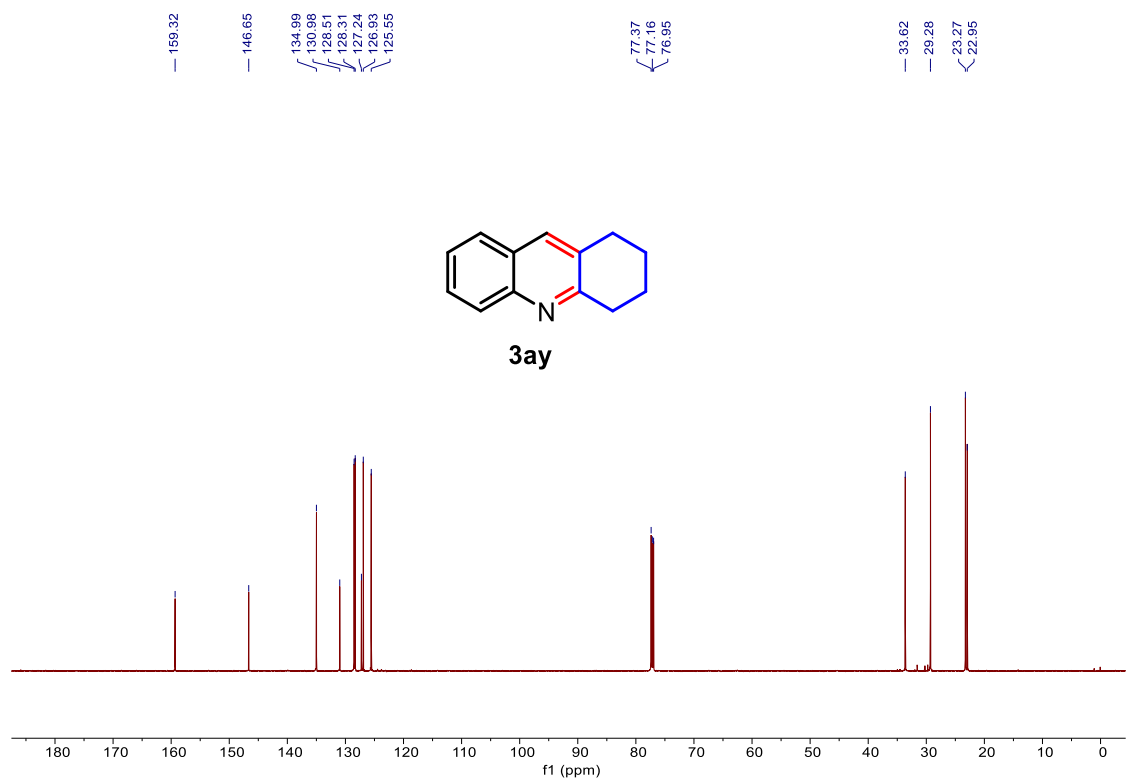


Figure S49. ^{13}C NMR of **3ay** in CDCl_3 .

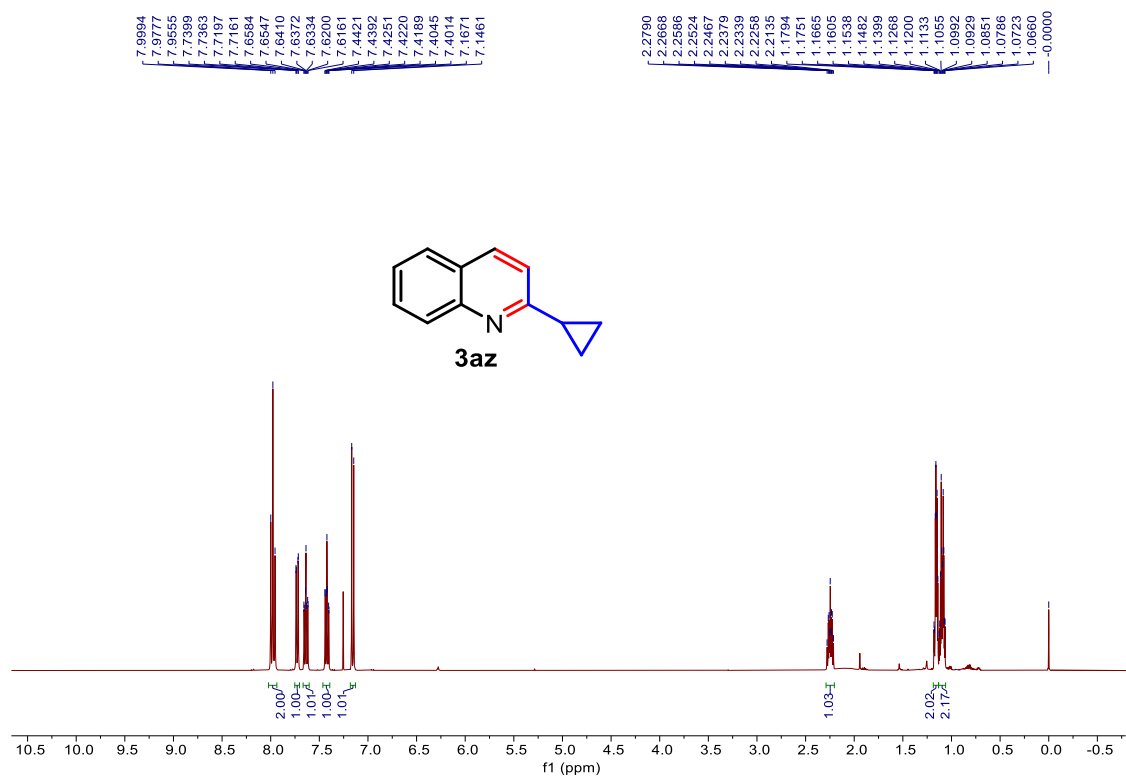


Figure S50. ^1H NMR of **3az** in CDCl_3 .

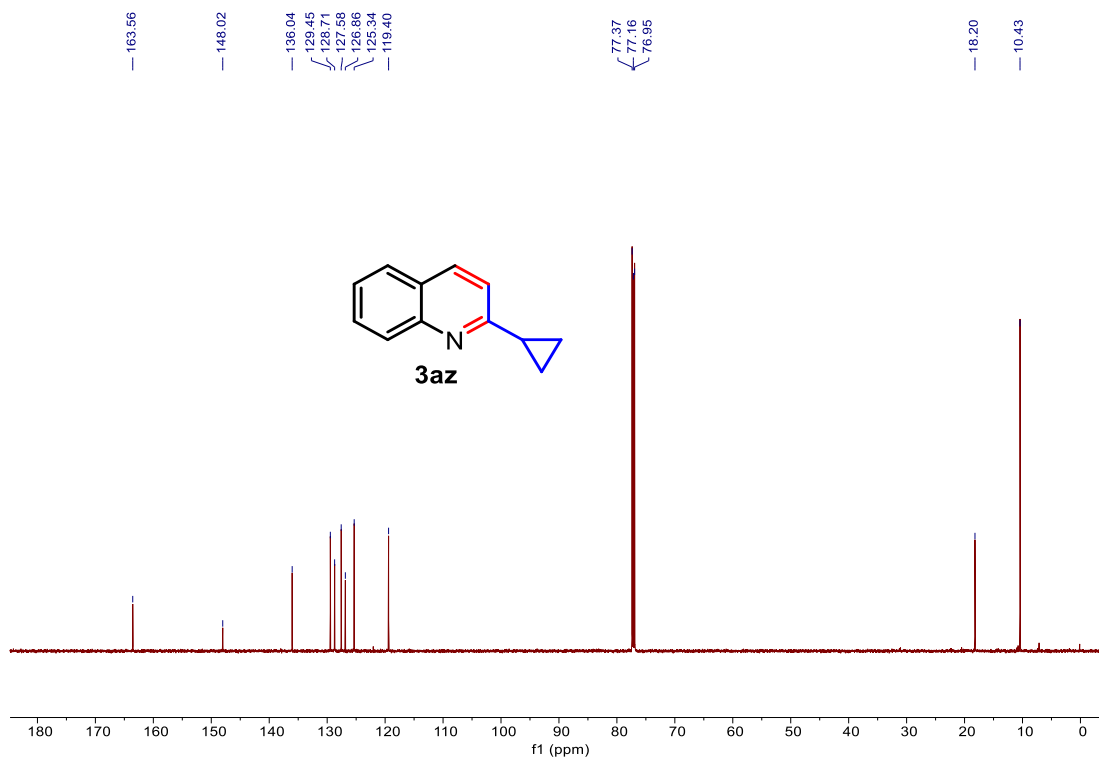


Figure S51. ^{13}C NMR of **3az** in CDCl_3 .

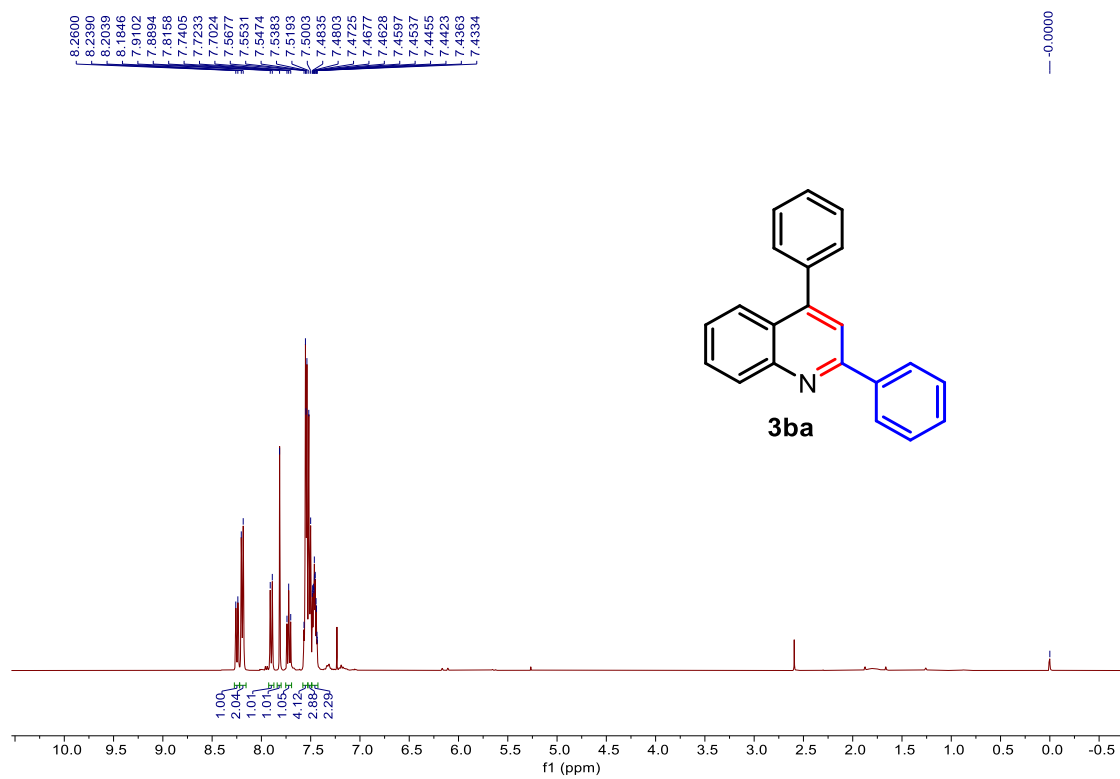


Figure S52. ^1H NMR of **3ba** in CDCl_3 .

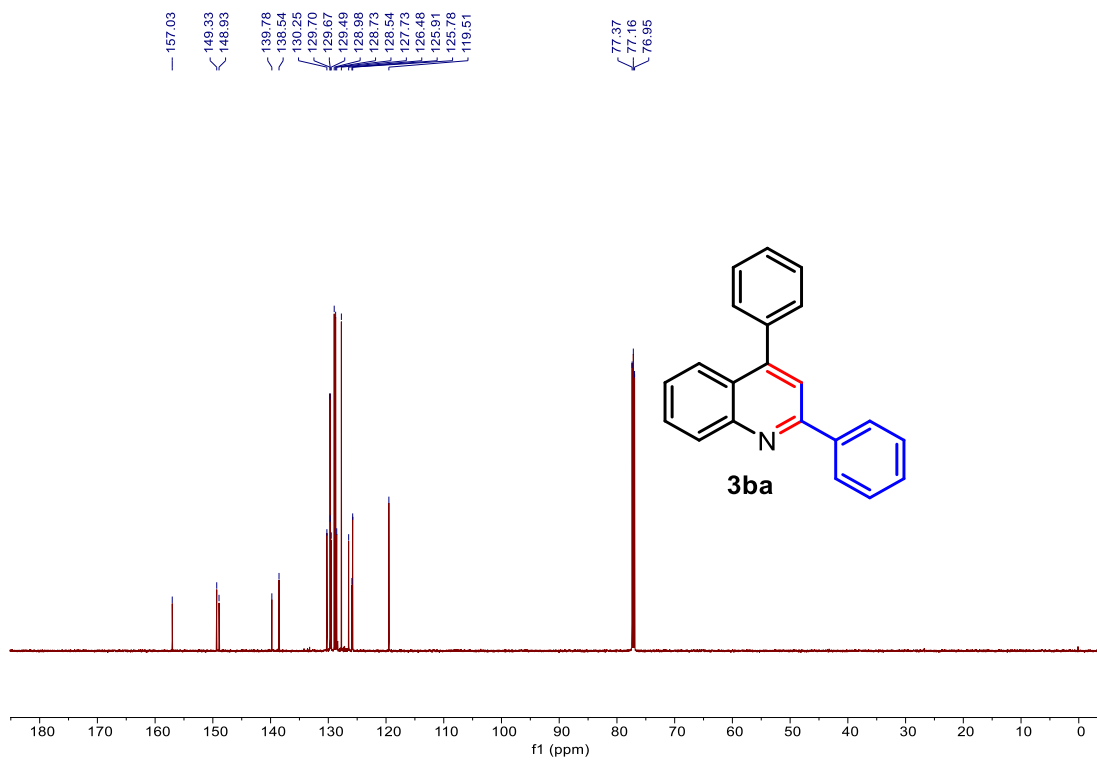


Figure S53. ^{13}C NMR of **3ba** in CDCl_3 .

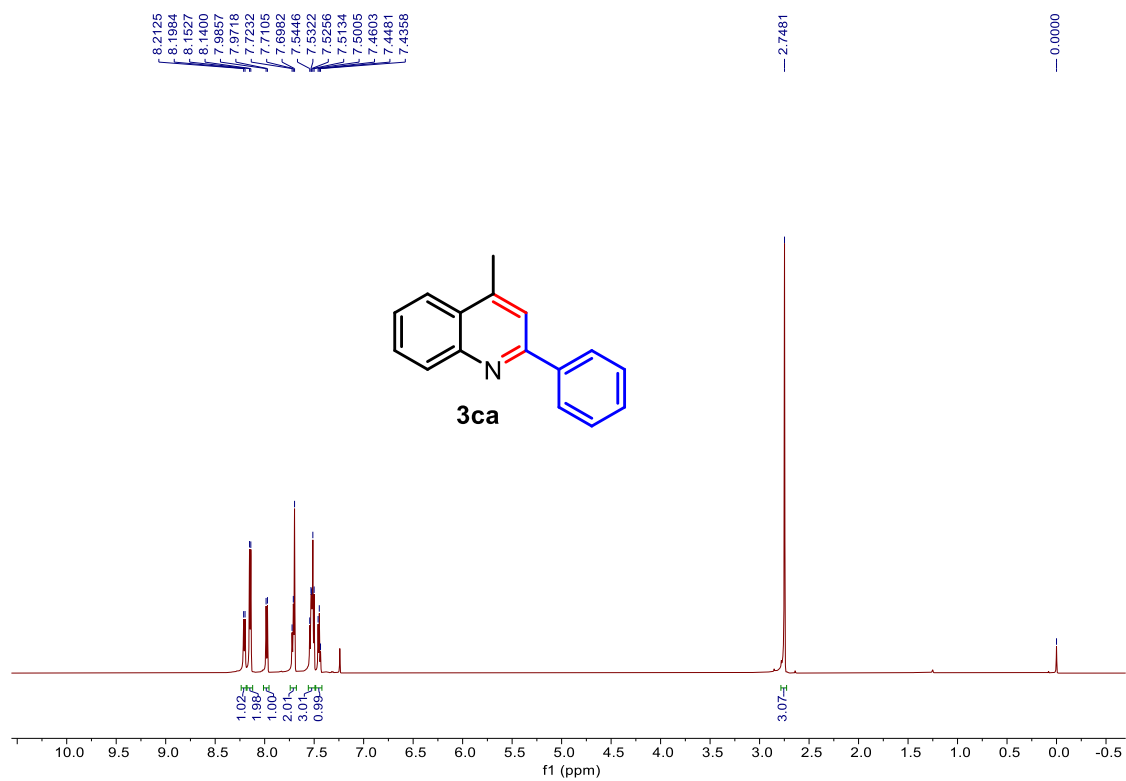


Figure S54. ^1H NMR of **3ca** in CDCl_3 .

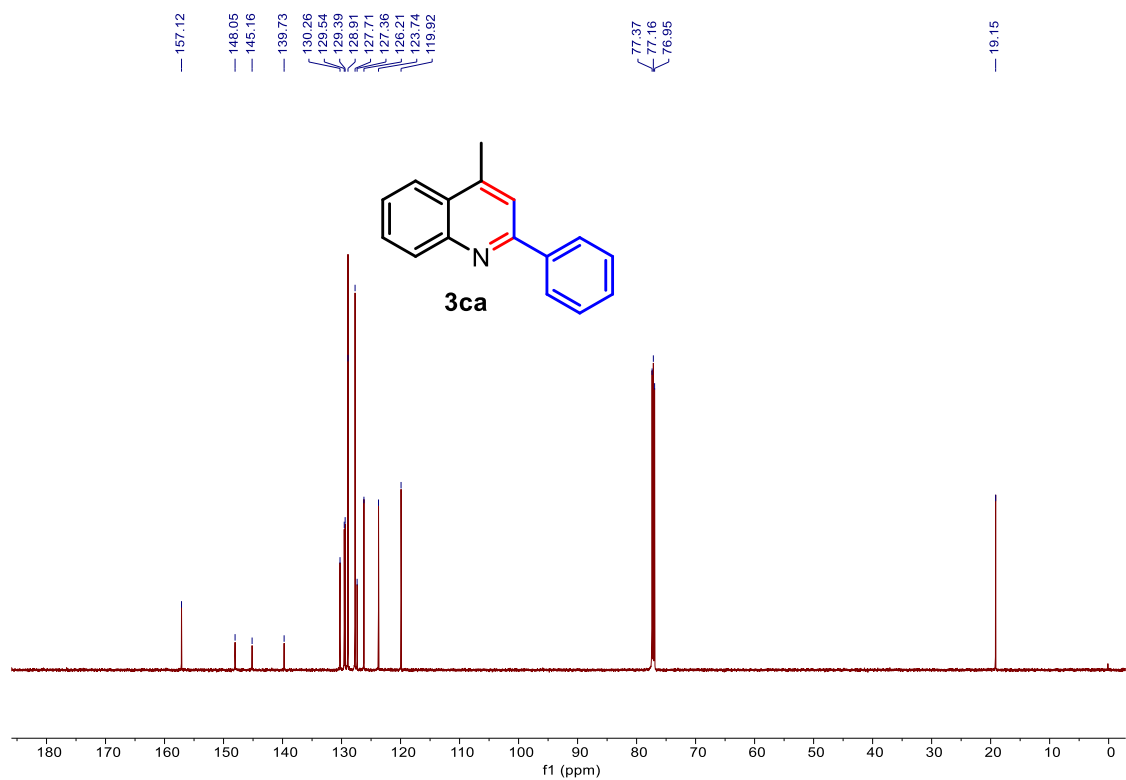


Figure S55. ¹³C NMR of **3ca** in CDCl₃.

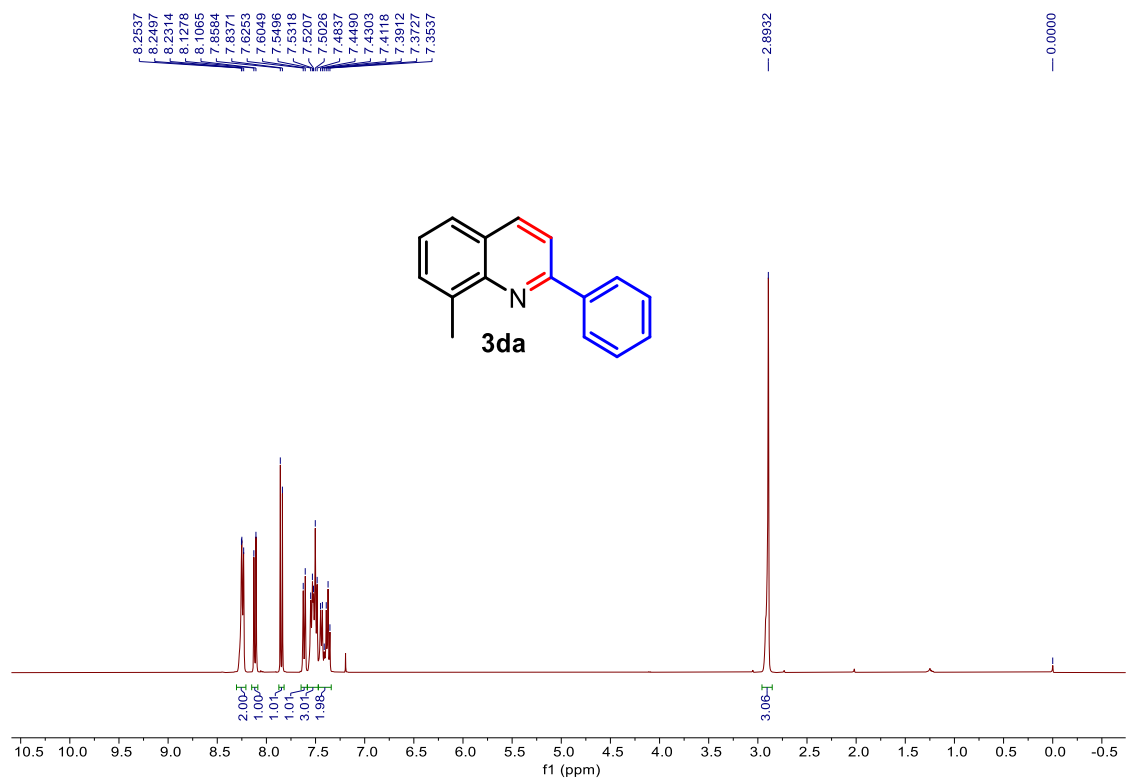


Figure S56. ¹H NMR of **3da** in CDCl₃.

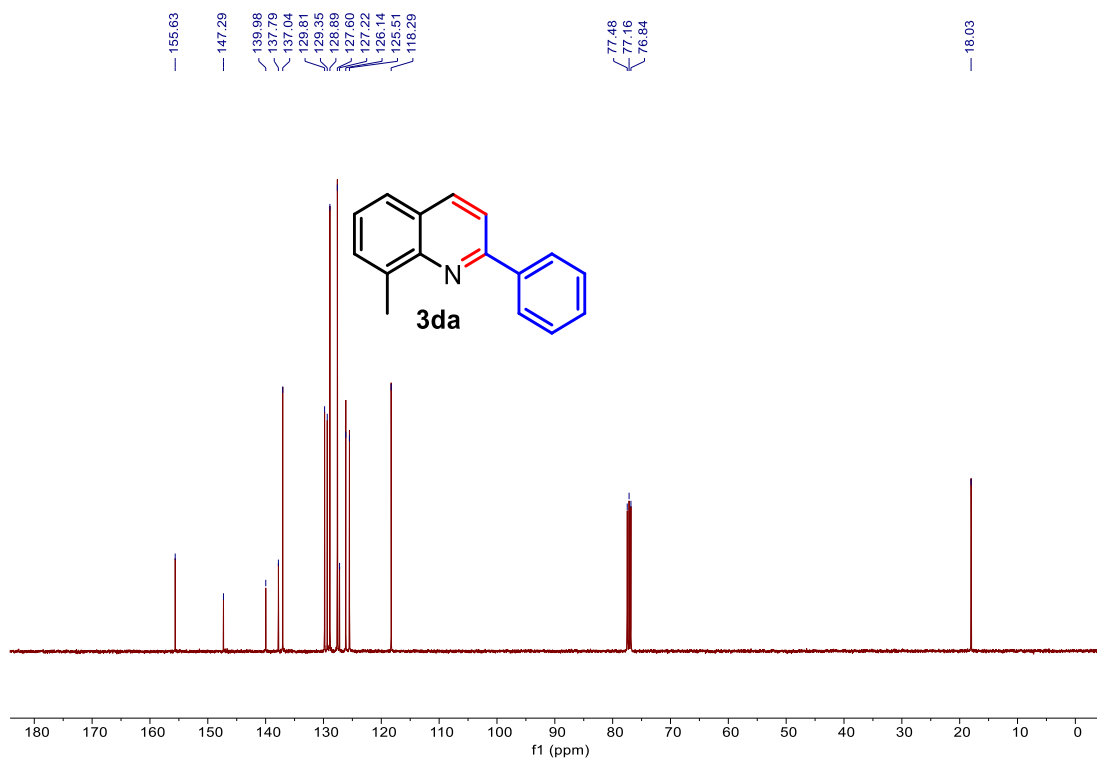


Figure S57. ¹³C NMR of **3da** in CDCl₃.

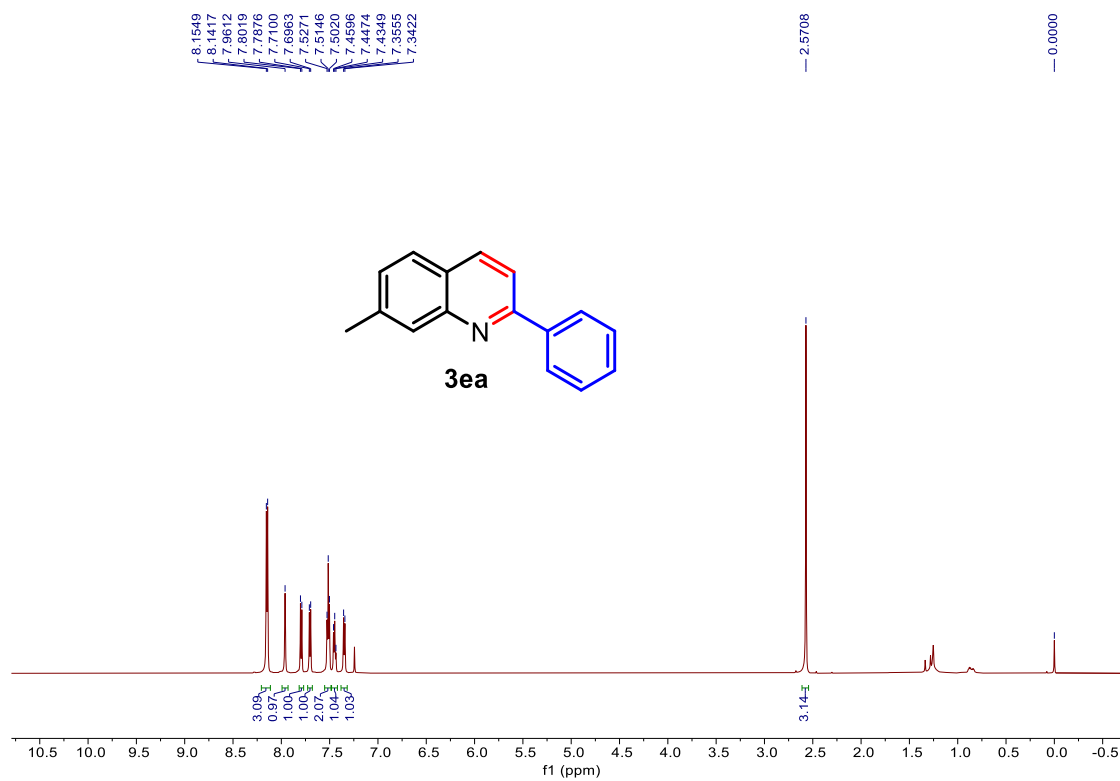


Figure S58. ¹H NMR of **3ea** in CDCl₃.

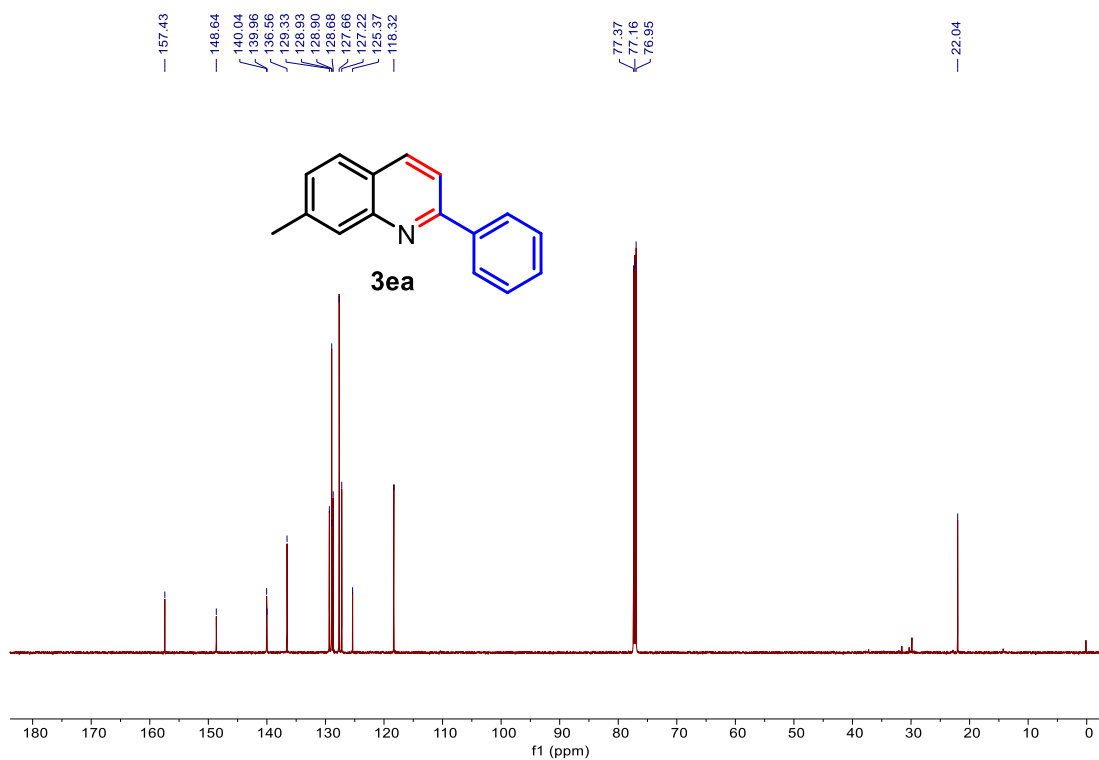


Figure S59. ¹³C NMR of **3ea** in CDCl₃.

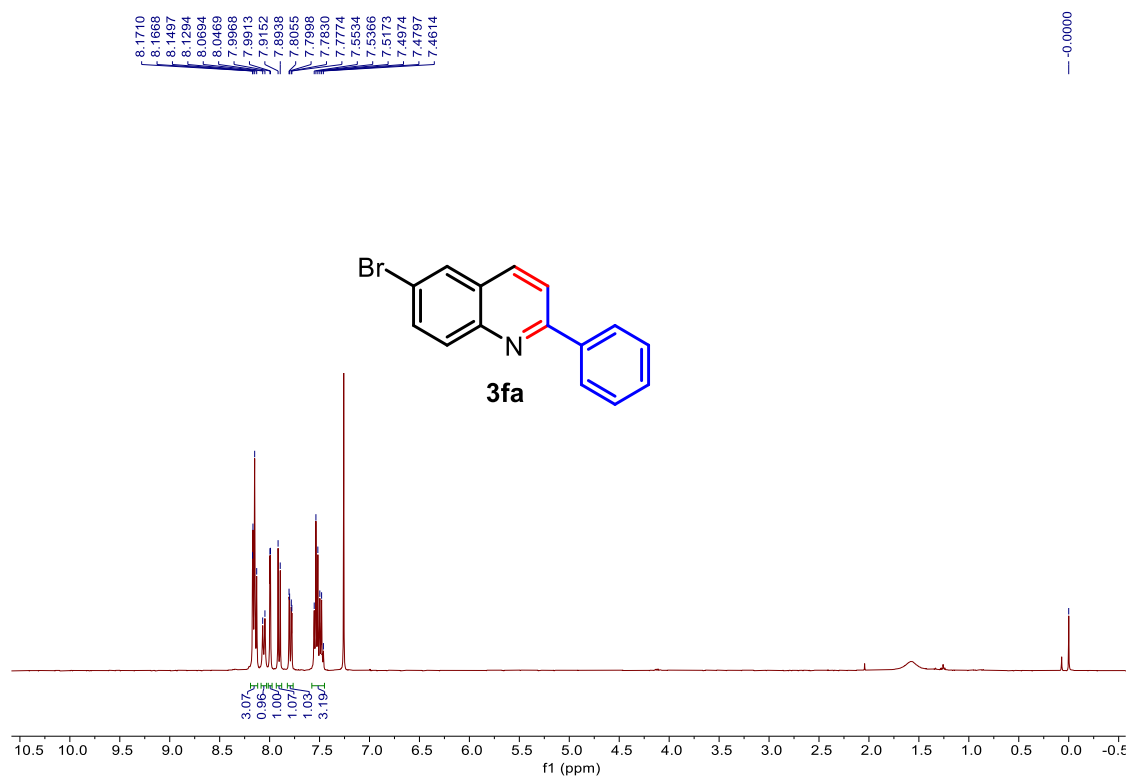


Figure S60. ¹H NMR of **3fa** in CDCl₃.

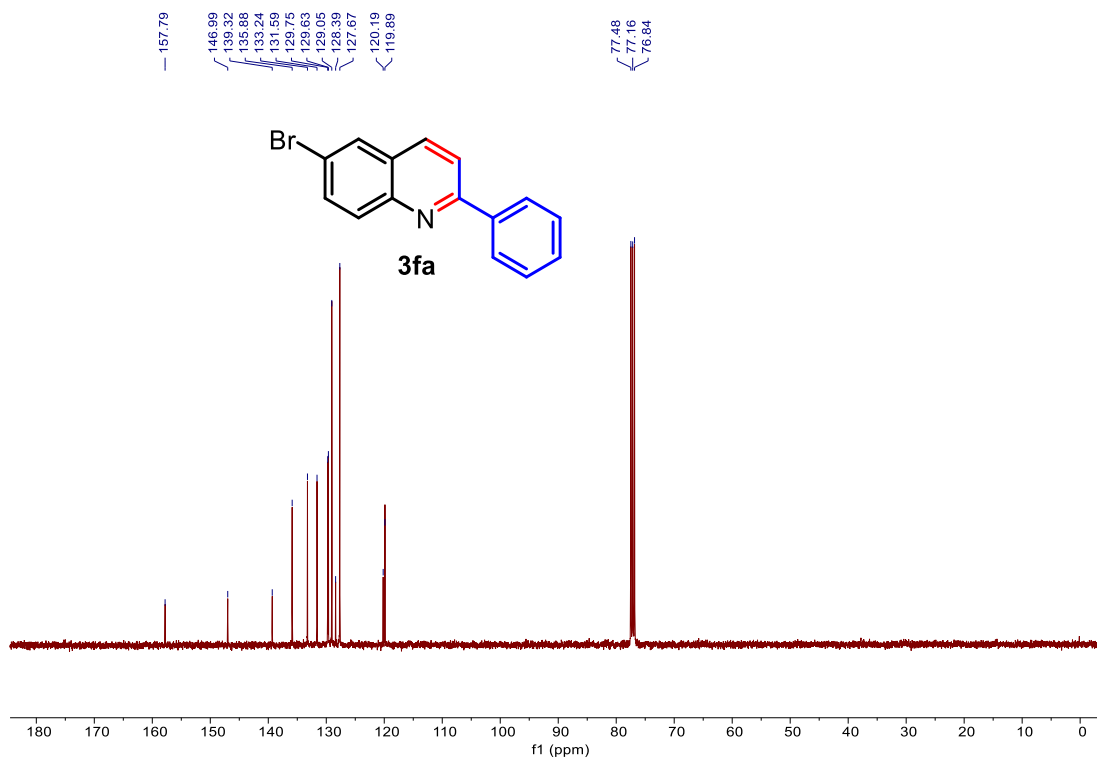


Figure S61. ^{13}C NMR of **3fa** in CDCl_3 .

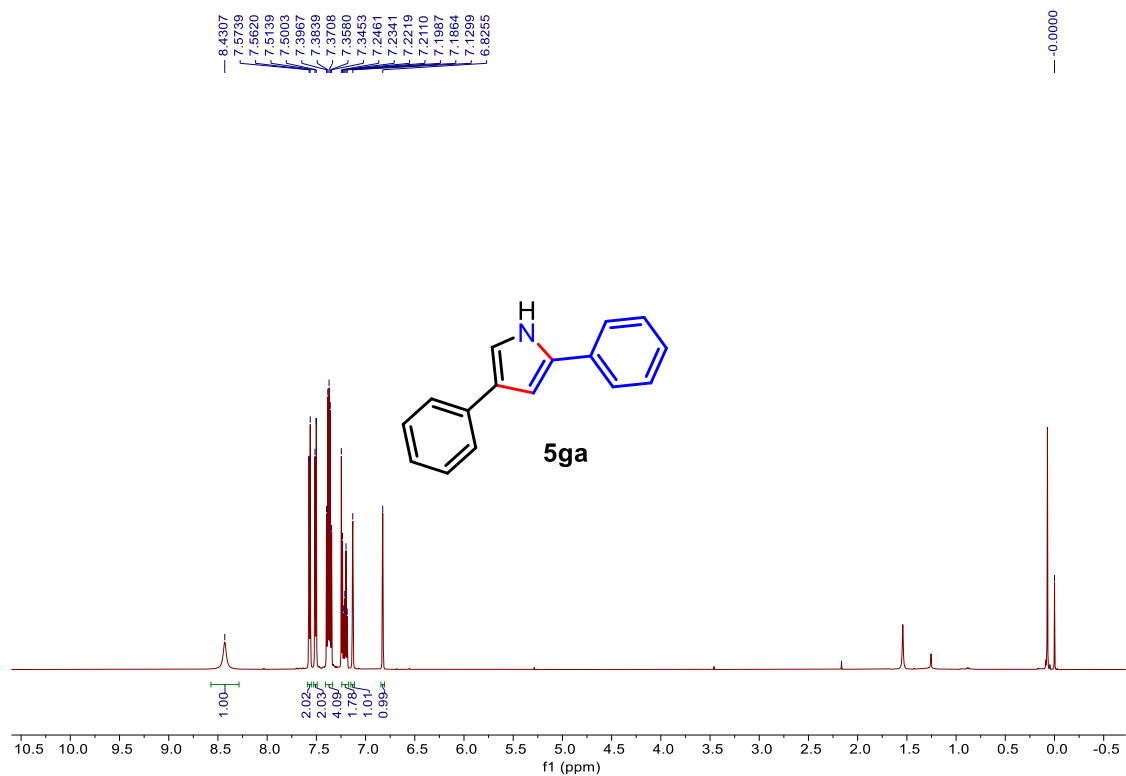


Figure S62. ^1H NMR of **5ga** in CDCl_3 .

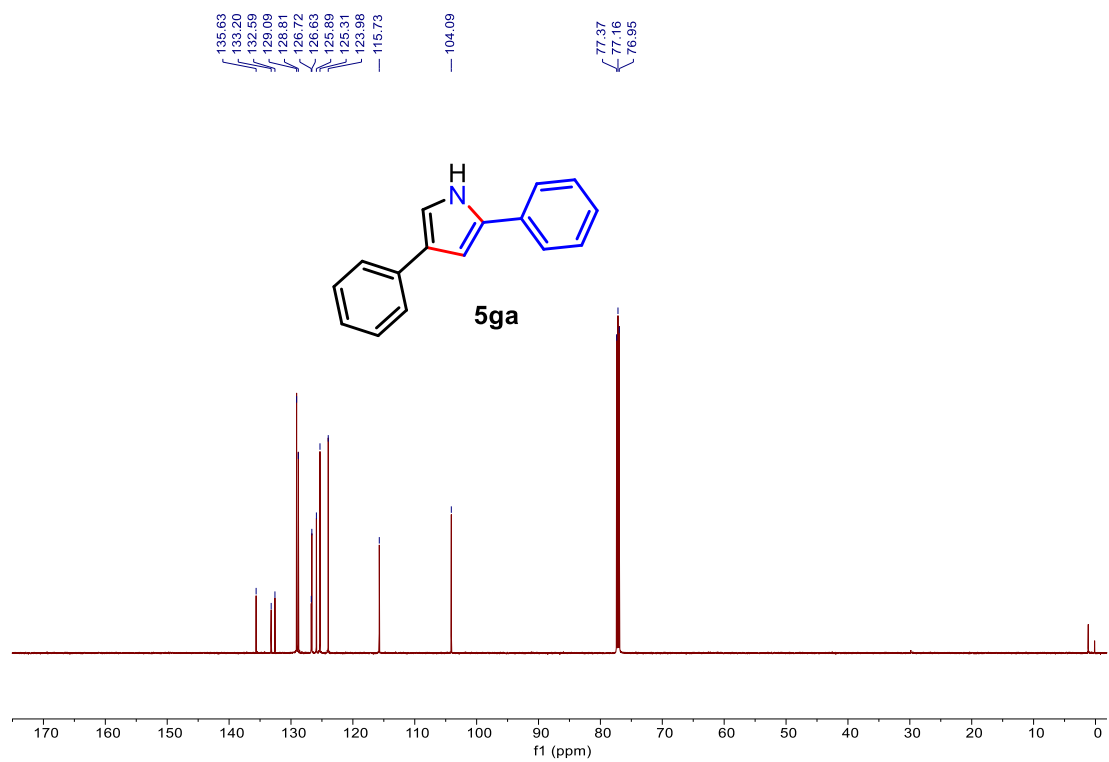


Figure S63. ¹³C NMR of 5ga in CDCl₃.

7. References

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