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# Supporting Information

## Straightforward Synthesis of Quinolines from Enones and 2-aminobenzyl Alcohols Using an Iridium-Catalyzed Transfer Hydrogenative Strategy

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**Table of contents**

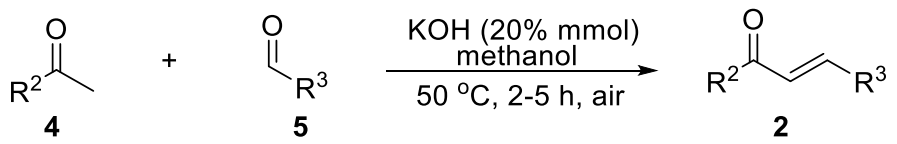
| | |
|---|---|
| General information | S2 |
| Substrates Preparation | S2-S3 |
| Synthesis of substituted quinolines **3** | S4-S5 |
| Typical procedure for the synthesis of **3aa** | S5 |
| Analytical data of the obtained compounds | S5-S13 |
| References | S14 |
| NMR spectra of obtained compounds | S15-S42 |
| GC-MS spectra of control experiments | S43 |

## General information

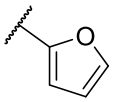
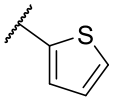
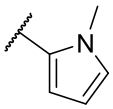
All the obtained products were characterized by melting points (m.p), $^{1}$H-NMR, $^{13}$C-NMR and infrared spectra (IR). Melting points were measured on an Electrothemal SGW-X4 microscopy digital melting point apparatus and are uncorrected; IR spectra were recorded on a FTLA2000 spectrometer; $^{1}$H-NMR and $^{13}$C-NMR spectra were obtained on Bruker-400 and referenced to 7.27 ppm for chloroform solvent with TMS as internal standard (0 ppm). Chemical shifts were reported in parts per million (ppm, δ) downfield from tetramethylsilane. Proton coupling patterns are described as singlet (s), doublet (d), triplet (t), multiplet (m); TLC was performed using commercially prepared 100-400 mesh silica gel plates (GF254), and visualization was effected at 254 nm; Unless otherwise stated,, all the reagents were purchased from commercial sources (J&KChemic, TCI, Fluka, Acros, SCRC), used without further purification.

## Substrates preparation

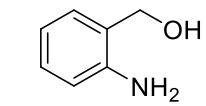
The preparation of eones **2** was similar to the literature procedures[1]. **4** (10 mmol), **5** (10 mmol), KOH (20 mol %), and methanol (20 mL) were introduced in a flask (50 mL). Then, it was stirred at 50 $^{o}$C under atmosphere for 2~5 hours. After cooling down to room temperature, the reaction mixture was concentrated by removing the solvent under vacuum, and the residue was purified by column chromatography (EA/PE).



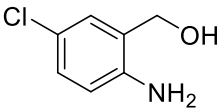

| Entry | $R^2$ | $R^3$ | **2**, Yield |
|---|---|---|---|
| 1 | Ph | 4-MePh | **2b**, 90% |
| 2 | Ph | 4-ClPh | **2c**, 92% |
| 3 | Ph | 4-BrPh | **2d**, 91% |
| 4 | Ph | 4-IPh | **2e**, 90% |

| | | | |
|---|---|---|---|
| 5 | Ph | 2-OMePh | **2f**, 84% |
| 6 | Ph | 3-OMePh | **2g**, 87% |
| 7 | Ph | 4-$N(CH_3)_2$Ph | **2h**, 86% |
| 8 | Ph | 4-$CF_3$Ph | **2i**, 91% |
| 9 | Ph |  | **2j**, 88% |
| 10 | Ph |  | **2k**, 84% |
| 11 | Ph |  | **2l**, 85% |
| 12 | 4-MePh | Ph | **2g**, 86% |

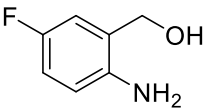
**Scheme S1**. Synthesis of substrates enones



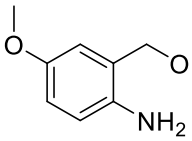
**1a**



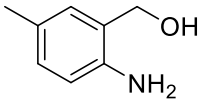
**1b**



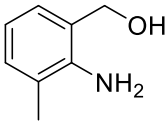
**1c**



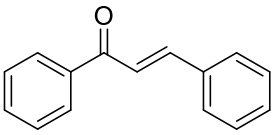
**1d**



**1e**



**1f**



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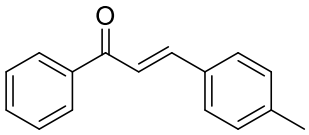

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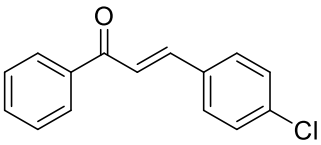
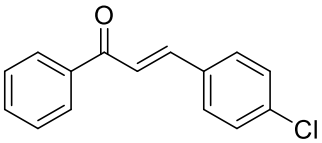


**2c**



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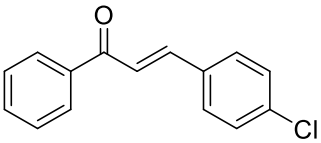
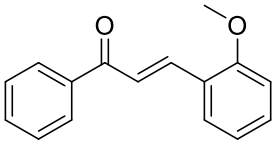
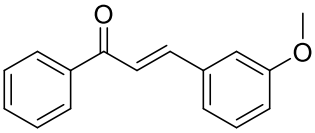


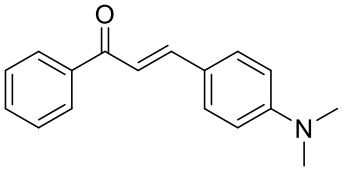
**2e**



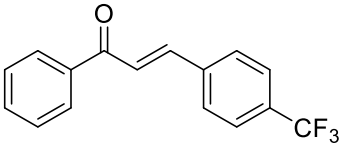
**2f**



**2g**



**2h**



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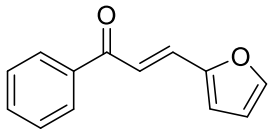
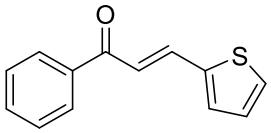
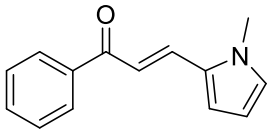


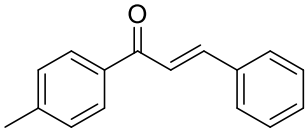
**2j**



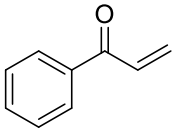
**2k**



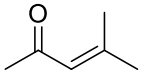
**2l**



**2m**



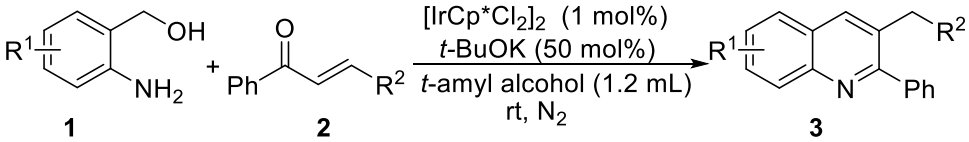
**2n**



**2o**

**Scheme S2**. Substrates employed for synthesizing quinolines

**Table S1.** Synthesis of substituted quinolines[a]




| Entry | 1 | 2 | Product **3**, Yield[b] [%] |
|---|---|---|---|
| 1 | **1a** | **2a** | **3aa**, 81 |
| 2 | **1a** | **2b** | **3ab**, 89 |
| 3 | **1a** | **2c** | **3ac**, 83 |
| 4 | **1a** | **2d** | **3ad**, 80 |
| 5 | **1a** | **2e** | **3ae**, 78 |
| 6 | **1a** | **2f** | **3af**, 62 |
| 7 | **1a** | **2g** | **3ag**, 78 |
| 8 | **1a** | **2h** | **3ah**, 80 |
| 9 | **1a** | **2i** | **3ai**, 76 |
| 10 | **1a** | **2j** | **3aj**, 72 |
| 11 | **1a** | **2k** | **3ak**, 84 |
| 12 | **1a** | **2l** | **3al**, 77 |
| 13 | **1a** | **2m** | **3am**, 82 |
| 14 | **1a** | **2n** | **3an**, 46 |
| 15 | **1a** | **2o** | **3ao**, 27 |
| 16 | **1b** | **2c** | **3bc**, 87 |
| 17 | **1b** | **2g** | **3bg**, 76 |
| 18 | **1b** | **2h** | **3bh**, 80 |
| 19 | **1b** | **2k** | **3bk**, 85 |
| 20 | **1c** | **2a** | **3ca**, 67 |
| 21 | **1c** | **2k** | **3ck**, 65 |
| 22 | **1d** | **2k** | **3dk**, 84 |
| 23 | **1e** | **2a** | **3ea**, 73 |
| 24 | **1e** | **2c** | **3ec**, 79 |
| 25 | **1e** | **2f** | **3ef**, 68 |

| | | | |
|---|---|---|---|
| 26 | **1e** | **2h** | **3eh**, 75 |
| 27 | **1f** | **2h** | **3fh**, 76 |
| 28 | **1f** | **2l** | **3fl**, 72 |

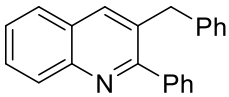
[a] Reaction conditions: Unless otherwise specified, all reactions were carried out under $N_2$ protection with **1** (0.35 mmol), **2** (0.35 mmol), *t*-BuOK (50 mol %) in TAA (1.2 mL) at rt for 12 h. [b] Isolated yield.

**Typical procedure for the synthesis of 3aa**

Under $N_2$ atmosphere, 2-aminobenzyl alcohol **1a** (42.7 mg, 0.35 mmol), chalcone **2a** (72.8 mg, 0.35 mmol), *t*-BuOK (19.6 mg, 50 mol %), and *t*-Amyl alcohol (1.2 mL) were introduced in a Schlenk tube (25 mL), successively. Then, the Schlenk tube was closed and the resulting mixture was stirred at rt for 12 h. Then, the reaction mixture was concentrated by removing the solvent under vacuum, and the residue was purified by preparative TLC on silica, eluting with petroleum ether (60-90 °C): ethyl acetate (15:1) to give 3-benzyl-2-phenylquinoline **3aa** as a yellow solid.

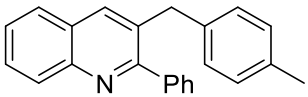
**Analytic data of the obtained compounds**

**(1) 3-benzyl-2-phenylquinoline (3aa)[2]**




Yellow solid, 81% yield, m.p: 84-85 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.91 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 8.0 Hz, 1H), 7.36-7.53 (m, 6H), 7.15-7.24 (m, 3H), 6.98 (d, *J* = 7.6 Hz, 2H), 4.12 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.76, 146.65, 140.64, 139.98, 137.08, 132.55, 129.30, 129.20, 129.03, 128.90, 128.52, 128.32, 128.24, 127.57, 127.14, 126.53, 126.30, 39.14. IR (KBr): 3029, 2918, 2847, 1624, 1598, 1557, 1490, 1442, 1419, 1342, 1275, 1073, 1019, 920, 757, 696 $cm^{-1}$. MS (EI, m/z): 295. $[M]^+$.

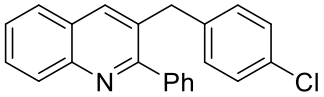
**(2) 3-(4-methylbenzyl)-2-phenylquinoline (3ab)[3]**




Yellow solid, 89% yield, m.p: 93-94 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.90 (s, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 8.0 Hz, 1H), 7.38-7.54 (m, 6H), 7.04 (d, *J* = 6.4 Hz, 2H), 6.89 (d, *J* = 6.4 Hz, 2H), 4.07 (s, 2H), 2.30 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ160.75, 146.65, 140.73, 136.95, 136.91, 135.79, 132.79, 129.32, 129.23, 129.10, 128.94, 128.92, 128.30, 128.20, 127.60, 127.14, 126.46, 38.67, 21.04. IR (KBr): 3042, 2943, 1595, 1550, 1506, 1483, 1442, 1416,

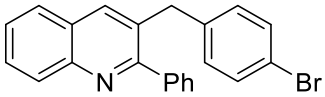
1336, 1271, 1003, 792, 762, 699 $cm^{-1}$. MS (EI, m/z): 309. $[M]^+$.

**(3) 3-(4-chlorobenzyl)-2-phenylquinoline (3ac) [4]**



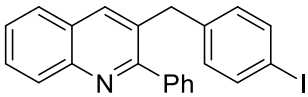

Yellow solid, 83% yield, m.p: 90-91 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.89 (s, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.67 (t, *J* = 8.4 Hz, 1H), 7.51 (t, *J* = 8.0 Hz, 1H), 7.37-7.41 (m, 5H), 7.17 (d, *J* = 8.4 Hz, 2H), 6.87 (d, *J* = 8.0 Hz, 2H), 4.07 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.63, 146.73, 140.50, 138.40, 136.99, 132.13, 132.01, 130.30, 129.36, 129.33, 128.81, 128.60, 128.38, 128.32, 127.49, 127.13, 126.67, 38.58. IR (KBr): 3039, 2898, 1617, 1589, 1550, 1483, 1413, 1086, 1013, 798, 757, 696 $cm^{-1}$. MS (EI, m/z): 329 $[M]^+$.

**(4) 3-(4-bromobenzyl)-2-phenylquinoline (3ad) [5]**



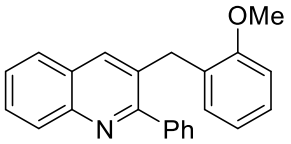

White solid, 80% yield, m.p: 118-119 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* =8.4 Hz, 1H), 7.85 (s, 1H), 7.71 (d, *J* =8.4 Hz, 1H), 7.64 (t, *J* =7.2 Hz, 1H), 7.36-7.52 (m, 6H), 7.29 (d, *J* =8.4 Hz, 2H), 6.79 (d, *J* =8.0 Hz, 2H), 4.02 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.63, 146.79, 140.54, 138.97, 137.03, 131.92, 131.59, 130.74, 129.41, 129.38, 128.86, 128.86, 128.42, 128.37, 127.52, 127.18, 126.71, 38.66. IR (KBr): 3053, 1593, 1485, 1412, 1268, 1218, 1070, 1009, 763, 702 $cm^{-1}$. MS (EI, m/z): 373, 375 $[M]^+$.

**(5) 3-(4-iodobenzyl)-2-phenylquinoline (3ae)**



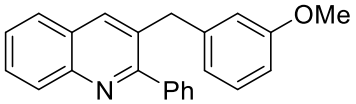

White solid, 78% yield, m.p: 124-125 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.87 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.67 (t, *J* = 7.6 Hz, 1H), 7.35-7.57 (m, 8H), 6.69 (d, *J* = 8.0 Hz, 2H), 4.04 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.61, 146.75, 140.50, 139.64, 137.56, 137.03, 131.85, 131.05, 129.39, 129.35, 128.84, 128.41, 128.35, 127.50, 127.15, 126.69, 91.63, 38.74. IR (KBr): 3053, 2922, 1591, 1483, 1411, 1330, 1268, 1115, 1063, 1006, 758, 701 $cm^{-1}$. MS (EI, m/z): 421 $[M]^+$. HRMS (ESI): Calcd. for $C_{22}H_{16}NI$ $[M+H]^+$: 422.0400; found: 422.0403.

**(6) 3-(2-methoxybenzyl)-2-phenylquinoline (3af)**



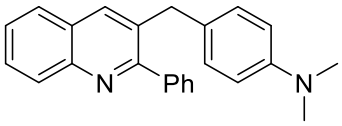
Yellow solid, 62% yield, m.p: 82-83 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.82 (s, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.61-7.67 (m, 1H), 7.56 (d, *J* = 8.4 Hz, 2H), 7.39-7.50 (m, 4H), 7.17-7.23 (m, 1H), 6.90 (d, *J* = 6.0 Hz, 1H), 6.79-6.87 (m, 2H), 4.09 (s, 2H), 3.69 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.82, 157.33, 146.49, 140.87, 136.50, 132.55, 130.62, 129.26, 128.95, 128.90, 128.51, 128.25, 128.10, 127.79, 127.64, 127.13, 126.29, 120.50, 110.42, 55.23, 33.35. IR (KBr): 3003, 2914, 2831, 1595, 1554, 1486, 1458, 1413, 1329, 1239, 1108, 1022, 753, 696 cm$^{-1}$. MS (EI, m/z): 325 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{23}H_{19}NO$ [M+H]$^{+}$: 326.1539; found: 326.1544.

**(7) 3-(3-methoxybenzyl)-2-phenylquinoline (3ag)**



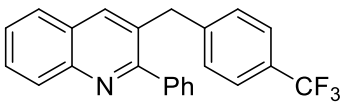

Yellow solid, 78% yield, m.p: 52-54 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.14 (t, *J* = 8.4 Hz, 1H), 7.92 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.66 (t, *J* = 8.0 Hz, 1H ), 7.46-7.52 (m, 3H), 7.40-7.45 (m, 3H), 7.14 (t, *J* = 8.0 Hz, 1H), 6.72 (dd, *J* = 8.0 Hz, 2.0 Hz, 1H), 6.59 (d, *J* = 8.0 Hz, 1H), 6.52 (s, 1H), 4.09 (s, 2H), 3.69 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.68, 159.77, 146.68, 141.60, 140.68, 137.07, 132.38, 129.50, 129.30, 129.21, 128.94, 128.33, 128.24, 127.57, 127.18, 126.53, 121.46, 114.81, 111.69, 55.15, 39.16. IR (KBr): 3052, 2918, 2828, 1592, 1486, 1467, 1409, 1256, 1153, 1038, 785, 760, 696 cm$^{-1}$. MS (EI, m/z): 325 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{23}H_{19}NO$ [M+H]$^{+}$: 326.1539; found: 326.1541.

**(8) N,N-dimethyl-4-((2-phenylquinolin-3-yl)methyl)aniline (3ah)**




Yellow solid, 80% yield, m.p: 75-76 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.13 (d, *J* = 8.4 Hz, 1H), 7.91 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.65 (t, *J* = 8.0 Hz, 1H), 740-7.54 (m, 6H), 6.89 (d, *J* = 8.8 Hz, 2H), 6.64 (d, *J* = 8.8 Hz, 2H), 4.02 (s, 2H), 2.90 (s, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.77, 149.18, 146.52, 140.77, 136.86, 133.46, 129.73, 129.25, 128.99, 128.28, 128.16, 128.04, 127.65, 127.15, 126.37, 112.98, 40.80, 38.09. IR (KBr): 3043, 2917, 2854, 1591, 1543, 1454, 1386, 1133, 791, 768, 696 cm$^{-1}$. MS (EI, m/z): 338 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{24}H_{22}N_2$ [M+H]$^{+}$: 339.1856; found: 339.1857.

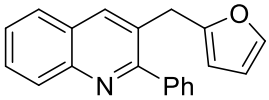
**(9) 2-phenyl-3-(4-(trifluoromethyl)benzyl)quinoline (3ai) [3]**




Yellow solid, 76% yield, m.p: 104-106 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.15 (d, *J* = 8.4 Hz, 1H), 7.93 (s, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.70 (t, *J* = 7.6 Hz, 1H), 7.40-7.55

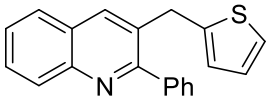
(m, 8H), 7.21-7.36 (m, 1H), 7.06 (d, $J$ = 8.0 Hz, 2H), 4.18 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.62, 146.83, 144.07, 140.46, 137.11, 131.49, 129.47, 129.39, 129.23, 128.77, 128.42, 128.36, 127.46, 127.13, 126.75, 125.38 (q, $J_{C\text{-}F}$ = 3.6 Hz), 39.05. IR (KBr): 3042, 2921, 2857, 1595, 1559, 1451, 1386, 1108, 796, 763, 697 cm$^{-1}$. MS (EI, m/z): 363 [M]$^+$.

**(10) 3-(furan-2-ylmethyl)-2-phenylquinoline (3aj) [3]**



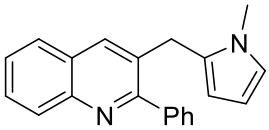

Yellow solid, 72% yield, m.p: 74-75 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, $J$ = 8.4 Hz, 1H), 8.02 (s, 1H), 7.77 (d, $J$ = 8.4 Hz, 1H), 7.67 (t, $J$ = 8.4 Hz, 1H), 7.41-7.54 (m, 6H), 7.31 (d, $J$ = 1.6 Hz, 1H), 6.27 (dd, $J$ = 3.2 Hz, 2.0 Hz, 1H), 5.89 (d, $J$ = 3.2 Hz, 1H), 4.09 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.31, 153.44, 146.87, 141.73, 140.41, 136.98, 129.67, 129.36, 129.33, 128.89, 128.37, 128.32, 127.51, 127.19, 126.56, 110.37, 107.07, 31.97. IR (KBr): 3148, 3058, 2911, 1595, 1554, 1506, 1486, 1413, 1336, 1266, 1141, 1070, 1006, 766, 744, 702 cm$^{-1}$. MS (EI, m/z): 285 [M]$^+$.

**(11) 2-phenyl-3-(thiophen-2-ylmethyl)quinoline (3ak)**




Yellow solid, 84% yield, m.p: 83-84 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.15 (d, $J$ = 8.4 Hz, 1H), 8.04 (s, 1H), 7.77 (d, $J$ = 8.0 Hz, 1H), 7.65-7.70 (m, 1H), 7.48-7.54 (m, 3H), 7.40-7.47 (m, 3H), 7.12 (dd, $J$ = 5.2 Hz, 1.2Hz, 1H), 6.88 (dd, $J$ = 5.2 Hz, 3.2Hz, 1H), 6.62 (dd, $J$ = 5.2 Hz, 1.2Hz, 1H), 4.28 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.27, 146.84, 142.90, 140.37, 136.89, 131.90, 129.40, 129.35, 128.88, 128.38, 128.35, 127.54, 127.23, 126.90, 126.62, 125.74, 124.29, 33.44. IR (KBr): 3107, 3051, 2906, 2847, 1591, 1549, 1482, 1441, 1410, 1333, 1265, 1128, 767, 708 cm$^{-1}$. MS (EI, m/z): 301 [M]$^+$. HRMS (ESI): Calcd. for $C_{20}H_{15}NS$ [M+H]$^+$: 302.1000; found: 302.1002.

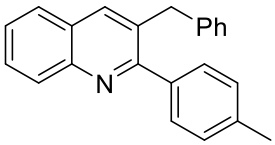
**(12) 3-((1-methyl-1H-pyrrol-2-yl)methyl)-2-phenylquinoline (3al)**




Yellow solid, 77% yield, m.p: 74-75 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, $J$ = 8.4 Hz, 1H), 7.83 (s, 1H), 7.73 (d, $J$ = 8.0 Hz, 1H), 7.67 (d, $J$ = 8.0 Hz, 1H), 7.40-7.56 (m, 6H), 6.56 (s, 1H), 6.07 (t, $J$ = 3.2 Hz, 1H), 5.83 (s, 1H), 3.99 (s, 2H), 3.24 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.30, 146.74, 140.49, 136.51, 131.11, 130.63, 129.31, 129.23, 128.80, 128.42, 128.39, 127.61, 127.24, 126.53, 121.90, 108.68, 106.94, 33.60, 30.40. IR (KBr): 3056, 2917, 2847, 1591, 1547, 1490, 1412, 1333, 1296, 1201, 1005, 961, 767, 700 cm$^{-1}$. MS (EI, m/z): 298 [M]$^+$. HRMS (ESI): Calcd.

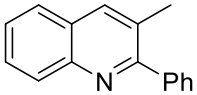
for $C_{21}H_{18}N_2$ $[M+H]^+$:299.1543; found: 299.1547.

**(13) 3-benzyl-2-(p-tolyl)quinoline (3am)** [3]



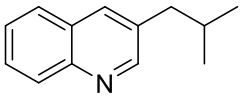

Yellow solid, 82% yield, m.p: 58-59 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.13 (d, *J* = 8.4 Hz, 1H), 7.88 (s, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.62-7.69 (m, 1H), 7.45-7.52 (m, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.16-7.29 (m, 5H), 7.02 (d, *J* = 7.2 Hz, 2H), 4.14 (s, 2H), 2.41 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.81, 146.73, 140.16, 138.03, 137.83, 137.00, 132.61, 129.34, 129.10, 129.01, 128.89, 128.55, 127.51, 127.13, 126.40, 126.30, 39.14, 21.37. IR (KBr): 3041, 2923, 2856, 1589, 1546, 1451, 1382, 1253, 1109, 768, 696 $cm^{-1}$. MS (EI, m/z): 309 $[M]^+$.

**(14) 3-methyl-2-phenylquinoline (3an)** [5]



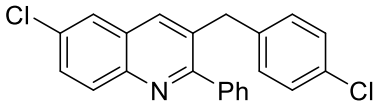

White solid, 43% yield, m.p: 44-46 °C; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 8.00 (s, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.58 (d, *J* = 7.2 Hz, 2H), 7.40-7.53 (m, 4H), 2.45 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.53, 146.61, 140.84, 136.81, 129.28, 129.24, 128.89, 128.80, 128.32, 128.23, 127.64, 126.73, 126.45, 20.62. IR (KBr): 3042, 2921, 2852, 1596, 1554, 1452, 1386, 1223, 1102, 766, 701 $cm^{-1}$. MS (EI, m/z): 219 $[M]^+$.

**(15) 3-isobutylquinoline (3ao)** [6]



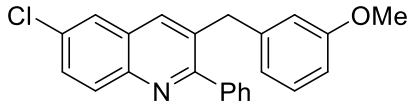

Yellowish oil, 27% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.08 (d, *J* = 8.4 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.68 (t, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 7.6 Hz, 1H), 7.25 (d, *J* = 8.4 Hz, 1H), 2.87 (d, *J* = 7.2 Hz, 2H), 2.24 (m, 1H), 1.00 (d, *J* = 6.4 Hz, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 162.22, 147.96, 135.90, 129.26, 128.91, 127.47, 126.72, 125.63, 122.01, 48.34, 29.43, 22.56. IR (KBr): 3054, 2956, 2872, 1605, 1562, 1503, 1461, 1428, 1375, 1315, 1201, 1114, 1015, 810, 758 $cm^{-1}$. MS (EI, m/z): 185 $[M]^+$.

**(16) 6-chloro-3-(4-chlorobenzyl)-2-phenylquinoline (3bc)**



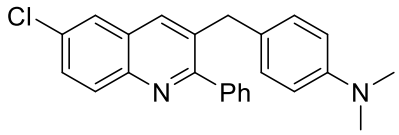
Yellow solid, 87% yield, m.p: 113-114 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.05 (d, *J* = 8.8 Hz, 1H), 7.78 (s, 1H), 7.72 (d, *J* = 2.4 Hz, 1H), 7.59 (dd, *J* = 8.8 Hz, 2.4Hz, 1H), 7.40-7.48 (m, 5H), 7.18 (d, *J* = 8.0 Hz, 2H), 6.87 (d, *J* = 8.0 Hz, 2H), 4.07 (s, 2H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.86, 145.06, 140.11, 137.99, 135.98, 133.14, 132.36, 132.31, 130.96, 130.29, 130.25, 128.75, 128.70, 128.52, 128.45, 128.05, 125.78, 38.56. IR (KBr): 3043, 2839, 1593, 1552, 1487, 1441, 1392, 1340, 1262, 1090, 1071, 842, 793, 760, 703 cm$^{-1}$. MS (EI, m/z): 363 $[M]^+$. HRMS (ESI): Calcd. for $C_{22}H_{15}Cl_2N$ $[M+H]^+$: 364.0654; found: 364.0661.

**(17) 6-chloro-3-(3-methoxybenzyl)-2-phenylquinoline (3bg)**



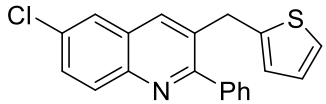

Yellow solid, 76% yield, m.p: 81-82 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.10 (d, *J* = 9.2 Hz, 1H), 7.86 (s, 1H), 7.76 (d, *J* = 2.4 Hz, 1H), 7.63 (dd, *J* = 9.2 Hz, 2.4Hz, 1H), 7.44-7.55 (m, 5H), 7.20 (d, *J* = 8.0 Hz, 1H), 6.78 (dd, *J* = 8.4 Hz, 2.4Hz, 1H), 6.63 (d, *J* = 7.6 Hz, 1H), 6.56 (s, 1H), 4.13 (s, 2H), 3.75 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 160.89, 159.81, 144.98, 141.15, 140.24, 136.07, 133.53, 132.20, 130.91, 130.10, 129.59, 128.87, 128.44, 128.39, 128.12, 125.81, 121.42, 114.84, 111.76, 55.15, 39.12. IR (KBr): 3046, 2917, 2832, 1593, 1549, 1477, 1441, 1258, 1154, 1074, 909, 835, 783, 693 cm$^{-1}$. MS (EI, m/z): 359 $[M]^+$. HRMS (ESI): Calcd. for $C_{23}H_{18}ClNO$ $[M+H]^+$: 360.1150; found: 360.1151.

**(18) 4-((6-chloro-2-phenylquinolin-3-yl)methyl)-N,N-dimethylaniline (3bh)**




Yellow solid, 80% yield, m.p: 112-114 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.05 (d, *J* = 8.8 Hz, 1H), 7.79 (s, 1H), 7.70 (s, 1H), 7.57 (d, *J* = 8.8 Hz, 1H), 7.49-7.54 (m, 2H), 7.39-7.48 (m, 3H), 6.87 (d, *J* = 8.0 Hz, 2H), 6.65 (d, *J* = 8.0 Hz, 2H), 4.01 (s, 2H), 2.91 (s, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 161.02, 149.23, 144.89, 140.42, 135.82, 134.65, 132.01, 130.90, 129.85, 129.74, 128.92, 128.34, 128.22, 125.81, 112.99, 40.76, 38.10. IR (KBr): 2914, 2845, 1613, 1591, 1518, 1472, 1335, 1216, 1126, 830, 762, 700 cm$^{-1}$. MS (EI, m/z): 372 $[M]^+$. HRMS (ESI): Calcd. for $C_{24}H_{21}ClN_2$ $[M+H]^+$: 373.1466; found: 373.1469.

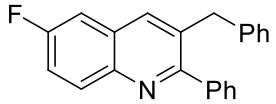
**(19) 6-chloro-2-phenyl-3-(thiophen-2-ylmethyl)quinoline (3bk)**




Yellow solid, 85%, m.p: 109-110 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 8.07 (d, *J* = 8.8 Hz, 1H), 7.95 (s, 1H), 7.677 (s, 1H), 7.62 (d, *J* = 9.2 Hz, 1H), 7.42-7.54 (m, 5H), 7.15 (d, *J* = 5.2 Hz, 1H), 6.90 (t, *J* = 4.4 Hz, 1H), 6.64 (d, *J* = 3.2 Hz, 1H), 4.29 (s, 2H). $^{13}$C

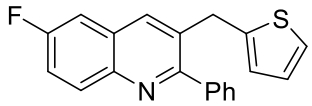
NMR (101 MHz, $CDCl_3$): δ 160.46, 145.14, 142.31, 139.95, 135.85, 133.00, 132.27, 130.94, 130.26, 128.80, 128.52, 128.42, 128.06, 126.95, 125.88, 125.84, 124.44, 33.38. IR (KBr): 3051, 2901, 1591, 1552, 1474, 1441, 1338, 1286, 1190, 1069, 1004, 904, 835, 760, 700 $cm^{-1}$. MS (EI, m/z): 335 $[M]^+$. HRMS (ESI): Calcd. for $C_{20}H_{14}NClS$ $[M+H]^+$: 336.0608; found: 336.0612.

**(20) 3-benzyl-6-fluoro-2-phenylquinoline (3ca)**



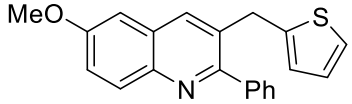

Yellow solid, 67% yield, m.p: 146-147 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 8.15 (dd, $J$ = 9.2 Hz, 5.2 Hz, 1H), 7.86 (s, 1H), 7.40-7.52 (m, 6H), 7.36 (dd, $J$ = 9.2 Hz, 2.8 Hz, 1H), 7.17-7.26 (m, 3H), 6.99 (d, $J$ = 7.2 Hz, 2H), 4.12 (s, 2H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 160.56 (d, $J_{C-F}$ = 246 Hz), 160.03, 143.59, 140.17, 139.60, 136.50 (d, $J_{C-F}$ = 5 Hz), 133.55, 131.70 (d, $J_{C-F}$ = 9 Hz), 129.03, 128.86, 128.59, 128.39, 128.15 (d, $J_{C-F}$ = 10 Hz), 126.42, 119.46 (d, $J_{C-F}$ = 26 Hz), 110.07 (d, $J_{C-F}$ = 22 Hz), 39.08. IR (KBr): 3058, 3022, 2903, 1598, 1557, 1490, 1438, 1351, 1216, 1144, 1118, 1010, 858, 840, 760, 695 $cm^{-1}$. MS (EI, m/z): 313 $[M]^+$. HRMS (ESI): Calcd. for $C_{22}H_{16}NF$ $[M+H]^+$: 314.1340; found: 314.1343.

**(21) 6-fluoro-2-phenyl-3-(thiophen-2-ylmethyl)quinoline (3ck)**




Yellow solid, 65% yield, m.p: 98-99 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 8.15 (dd, $J$ = 9.2 Hz, 5.2 Hz, 1H), 8.00 (s, 1H), 7.38-7.54 (m, 7H), 7.16 (d, $J$ = 4.8 Hz, 1H), 6.91 (t, $J$ = 4.4 Hz, 1H), 6.64 (d, $J$ = 3.2 Hz, 1H), 4.29 (s, 2H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 160.61 (d, $J_{C-F}$ = 247 Hz), 159.55, 143.79, 142.38, 139.90, 136.29 (d, $J_{C-F}$ = 6 Hz), 132.87, 131.76 (d, $J_{C-F}$ = 9 Hz), 128.83, 128.50, 128.44, 128.13 (d, $J_{C-F}$ = 10 Hz), 126.95, 125.87, 124.44, 119.69 (d, $J_{C-F}$ = 25 Hz), 110.15 (d, $J_{C-F}$ = 22 Hz), 33.37. IR (KBr): 3054, 2915, 2845, 1594, 1552, 1488, 1439, 1351, 1232, 1142, 1117, 1007, 835, 760, 698 $cm^{-1}$. MS (EI, m/z): 319 $[M]^+$. HRMS (ESI): Calcd. for $C_{20}H_{14}NFS$ $[M+H]^+$: 320.0904; found: 320.0903.

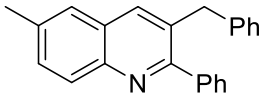
**(22) 6-methoxy-2-phenyl-3-(thiophen-2-ylmethyl)quinoline (3dk)**




Yellow solid, 84% yield, 135-136 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 8.06 (d, $J$ = 8.8 Hz, 1H), 7.73 (s, 1H), 7.71 (d, $J$ = 2.4 Hz, 1H), 7.58 (dd, $J$ = 8.8 Hz, 2.4Hz, 1H), 7.43-7.53 (m, 5H), 6.56 (s, 1H), 6.07 (t, $J$ = 3.2 Hz, 1H), 5.82 (s, 1H), 3.98 (s, 2H), 3.24 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 160.53, 145.07, 140.08, 135.59, 132.27, 132.20, 130.92, 130.21, 130.13, 128.74, 128.58, 128.47, 128.18, 125.88, 122.03, 108.78, 107.06, 33.58, 30.40. IR (KBr): 3054, 2936, 1594, 1545, 1493, 1472, 1441,

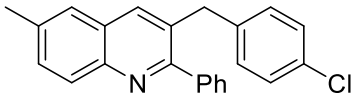
1338, 1292, 1196, 1070, 1005, 938, 838, 760, 698 $cm^{-1}$. MS (EI, m/z): 331 $[M]^+$. HRMS (ESI): Calcd. for $C_{21}H_{17}NOS$ $[M+2H]^+$: 333.1182; found: 333.1176.

**(23) 3-benzyl-6-methyl-2-phenylquinoline (3ea)[3]**



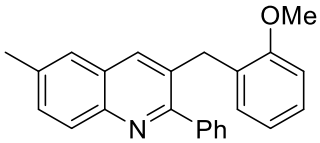

Yellow solid, 73%, m.p: 67-68 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 8.03 (d, *J* = 8.8 Hz, 1H), 7.82 (s, 1H), 7.39-7.52 (m, 7H), 7.14-7.23 (m, 3H), 6.98 (d, *J* = 7.2 Hz, 2H), 4.10 (s, 2H), 2.51 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 159.80 145.22, 140.70, 140.10, 136.46, 136.37, 132.44, 131.49, 129.01, 128.94, 128.48, 128.27, 128.11, 127.58, 126.23, 125.95, 39.11, 21.60. IR (KBr): 3021, 2912, 2851, 1594, 1557, 1490, 1441, 1372, 1268, 1137, 1072, 1013, 919, 835, 762, 695 $cm^{-1}$. MS (EI, m/z): 309 $[M]^+$.

**(24) 3-(4-chlorobenzyl)-6-methyl-2-phenylquinoline (3ec)**



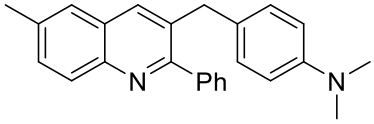

Yellow solid, 79% yield, m.p: 86-88 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.95 (d, *J* = 9.2 Hz, 1H), 7.71 (s, 1H), 7.41-7.45 (m, 2H), 7.30-7.37 (m, 5H), 7.08 (d, *J* = 8.4 Hz, 2H), 6.79 (d, *J* = 8.4 Hz, 2H), 3.97 (s, 2H), 2,43 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 159.67, 145.31, 140.57, 138.52, 136.55, 136.39, 132.08, 131.90, 131.67, 130.29, 128.98, 128.85, 128.57, 128.34, 128.21, 127.52, 125.94, 38.56, 21.62. IR (KBr): 3022, 2909, 1591, 1554, 1487, 1441, 1369, 1265, 1090, 1013, 915, 824, 760, 698 $cm^{-1}$. MS (EI, m/z): 343 $[M]^+$. HRMS (ESI): Calcd. for $C_{23}H_{18}ClN$ $[M+H]^+$: 344.1201; found: 344.1203.

**(25) 3-(2-methoxybenzyl)-6-methyl-2-phenylquinoline (3ef)**



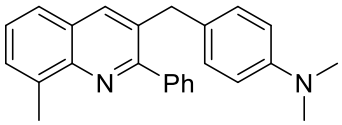

Yellow solid, 68% yield, m.p: 77-79 °C; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.95 (d, *J* = 8.4 Hz, 1H), 7.66 (s, 1H), 7.45-7.50 (m, 2H), 7.31-7.43 (m, 5H), 7.09-7.15 (m, 1H), 6.80-6.85 (m, 1H), 6.73-6.79 (m, 2H), 4.00 (s, 2H), 3.61 (s, 3H), 2.42 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$): δ 159.91, 157.32, 145.11, 141.00, 136.08, 135.89, 132.43, 131.20, 130.59, 128.98, 128.93, 128.64, 128.21, 127.98, 127.73, 127.65, 125.97, 120.48, 110.39, 55.24, 33.33, 21.59. IR (KBr): 3002, 2917, 1596, 1490, 1464, 1436, 1374, 1291, 1242, 1110, 1025, 824, 757, 703 $cm^{-1}$. MS (EI, m/z): 339 $[M]^+$. HRMS (ESI): Calcd. for $C_{24}H_{21}NO$ $[M+H]^+$: 340.1696; found: 340.1701.

**(26) N,N-dimethyl-4-((6-methyl-2-phenylquinolin-3-yl)methyl)aniline (3eh)**



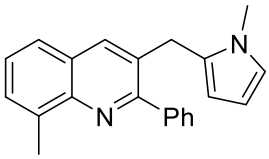
Yellow solid, 75% yield, m.p: 84-85 °C; $^{1}$H NMR (400 MHz, CDCl3): δ 8.02 (d, *J* = 9.2 Hz, 1H), 7.80 (s, 1H), 7.37-7.54 (m, 7H), 6.87 (d, *J* = 8.4 Hz, 2H), 6.63 (d, *J* = 8.4 Hz, 2H), 4.00 (s, 2H), 2.89 (s, 6H), 2.49 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 159.84, 149.19, 145.14, 140.88, 136.24, 136.16, 133.36, 131.27, 129.70, 129.03, 128.92, 128.24, 128.11, 128.04, 127.67, 125.98, 112.94, 40.78, 38.07, 21.62. IR (KBr): 3048, 2914, 2850, 1613, 1523, 1485, 1443, 1345, 1224, 1162, 1059, 1010, 822, 760, 698 cm$^{-1}$. MS (EI, m/z): 352 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{25}H_{24}N_2$ [M+H]$^{+}$: 353.2012; found: 353.2016.

**(27) N,N-dimethyl-4-((8-methyl-2-phenylquinolin-3-yl)methyl)aniline (3fh)**



Yellow solid, 76% yield, m.p: 108-109 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 7.86 (s, 1H), 7.60 (d, *J* = 7.2 Hz, 2H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.39-7.51 (m, 4H), 7.36 (t, *J* = 8.0 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 2H), 6.65 (d, *J* = 7.6 Hz, 2H), 4.07 (s, 2H), 2.90 (s, 6H), 2.80 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 159.06, 145.78, 141.29, 137.34, 137.20, 132.75, 129.70, 129.50, 128.92, 128.06, 128.02, 127.48, 126.08, 125.08, 113.07, 113.04, 40.86, 38.08, 17.97. IR (KBr): 3034, 2918, 2845, 1612, 1516, 1477, 1441, 1413, 1340, 1225, 1190, 1070, 812, 778, 701 cm$^{-1}$. MS (EI, m/z): 352 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{25}H_{24}N_2$ [M+H]$^{+}$: 353.2012; found: 353.2014.

**(28) 8-methyl-3-((1-methyl-1H-pyrrol-2-yl)methyl)-2-phenylquinoline (3fl)**



Yellow solid, 72% yield, m.p: 128-129 °C; $^{1}$H NMR (400 MHz, $CDCl_3$): δ 7.80 (s, 1H), 7.61 (dd, *J* = 8.0 Hz, 1.6Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.52 (d, *J* = 6.8 Hz, 1H), 7.43-7.49 (m, 3H), 7.38 (t, *J* = 7.6 Hz, 1H), 6.57 (t, *J* = 2.4 Hz, 1H), 6.07 (t, *J* = 3.2 Hz, 1H), 5.85 (s, 1H), 4.05 (s, 2H), 3.27 (s, 3H), 2.81 (s, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$): δ 158.54, 145.87, 140.89, 137.34, 136.85, 130.98, 130.44, 129.29, 129.16, 128.23, 128.18, 127.43, 126.22, 125.14, 121.84, 108.58, 106.85, 33.60, 30.45, 17.98. IR (KBr): 3034, 2918, 2848, 1596, 1563, 1490, 1475, 1410, 1291, 1191, 1072, 775, 708 cm$^{-1}$. MS (EI, m/z): 312 [M]$^{+}$. HRMS (ESI): Calcd. for $C_{22}H_{20}N_2$ [M+H]$^{+}$: 313.1699; found: 313.1720.

## Reference

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[2] Tanaka, S. Y.; Yasuda, M.; Baba, A. *J. Org. Chem*. **2006**, *71*, 800-803.
[3] Chen, B. W. J.; Chng, L. L.; Yang, J.; Wei, Y. F.; Yang, J. H.; Ying, J. Y. *ChemCatChem* **2013**, *5*, 277-283.
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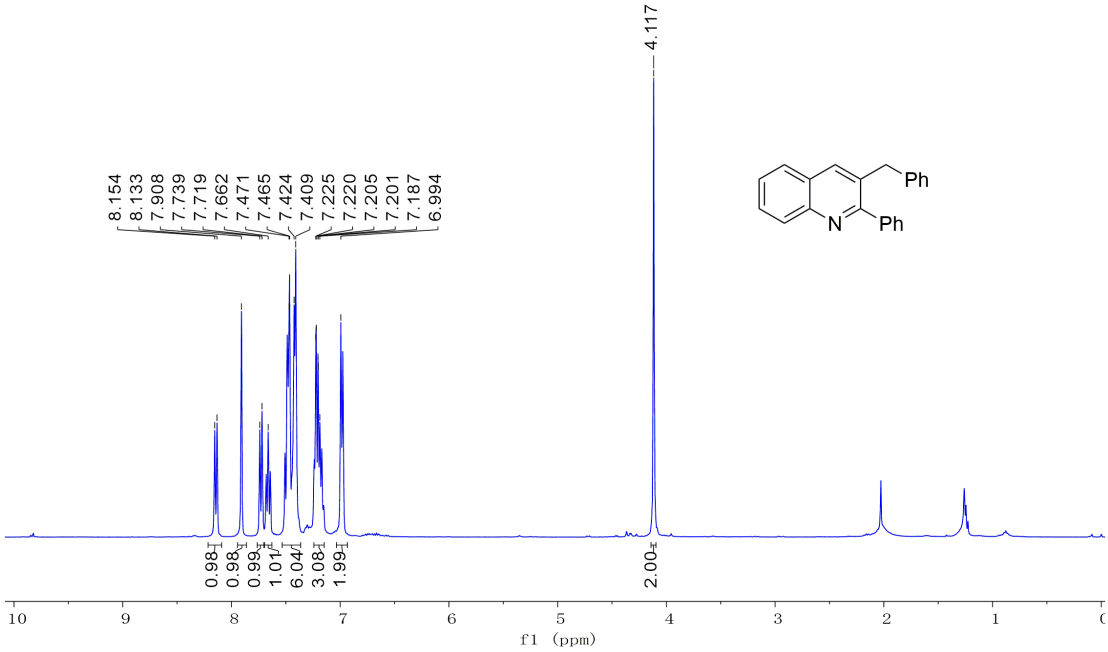
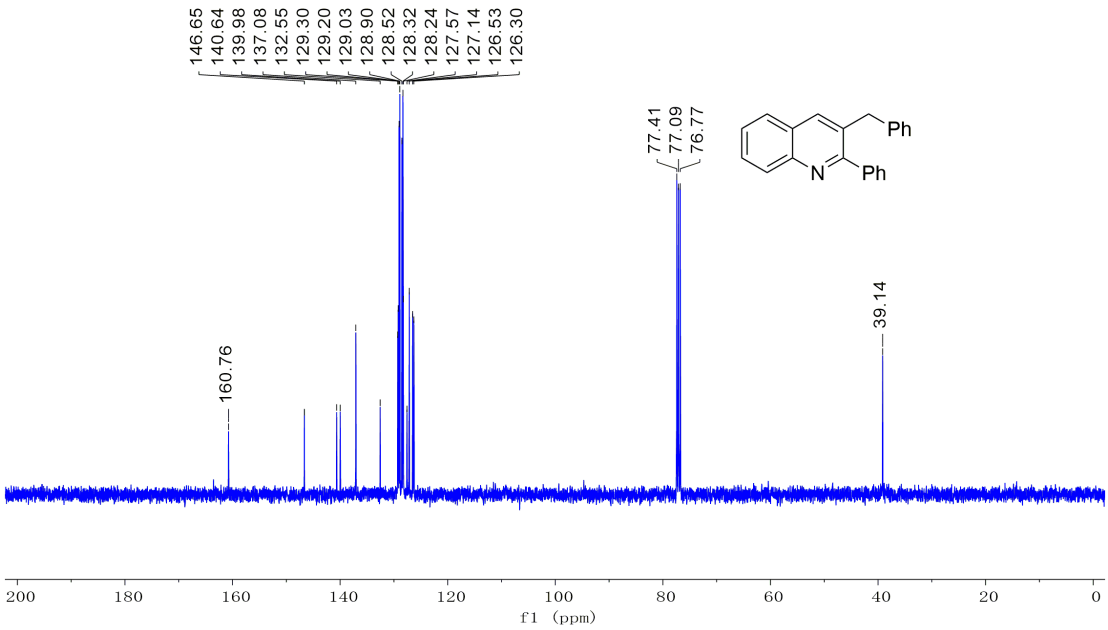
[6] Darzens, G; Meyer, M. *C. R. Hebd. Seances Acad. Sci*. **1934**, *198*, 1428-1429.

**NMR spectra of the obtained compounds:**

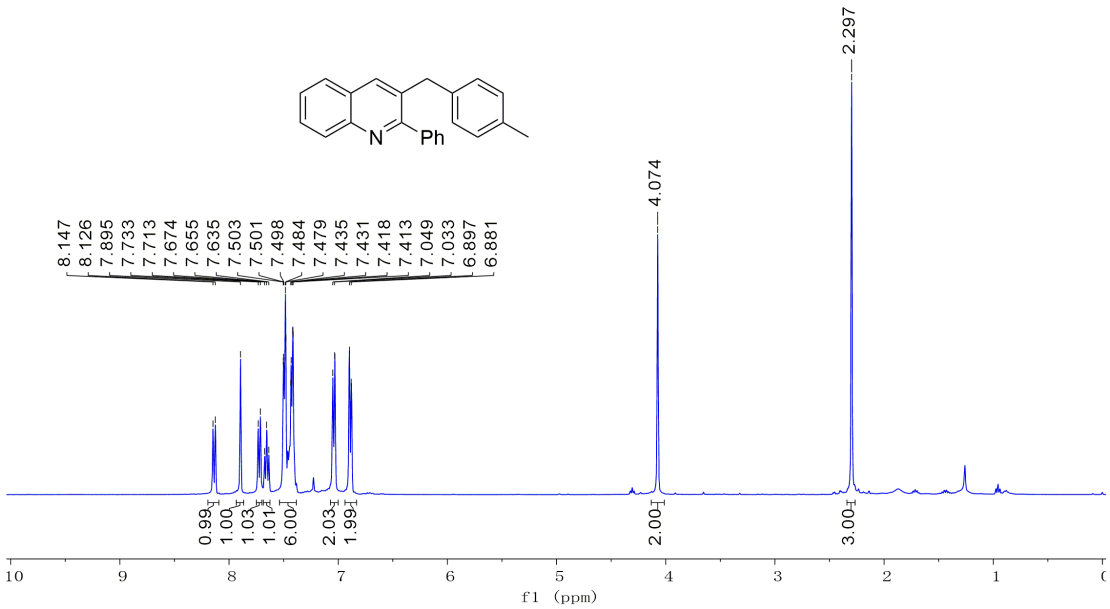
**$^{1}$H- NMR spectrum of 3aa**



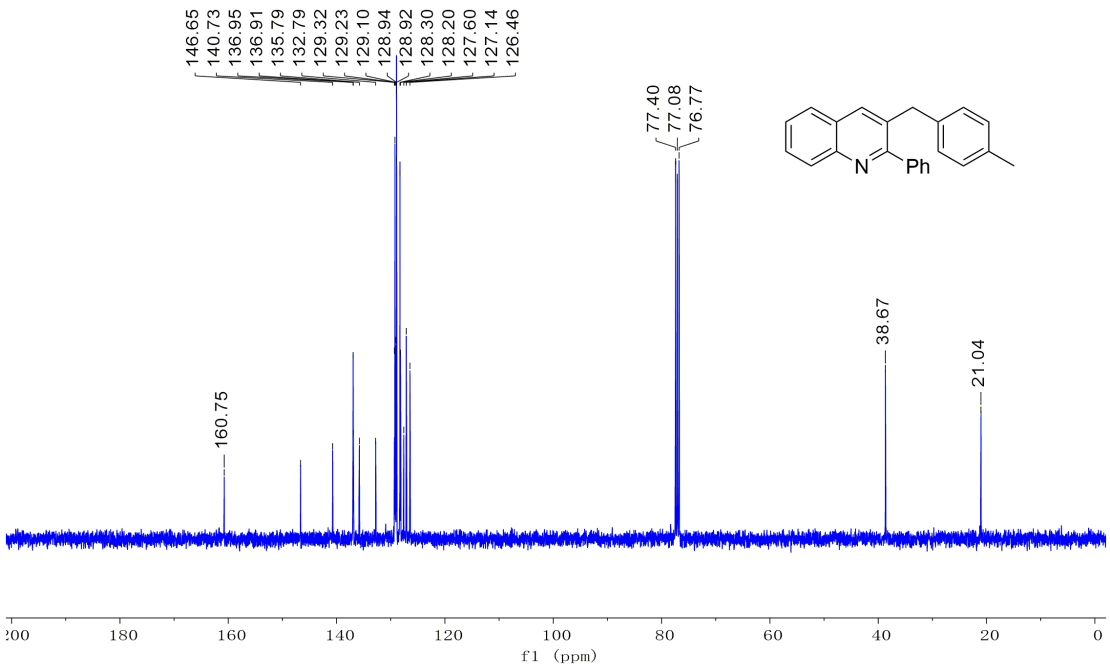

**$^{13}$C-NMR spectrum of 3aa**



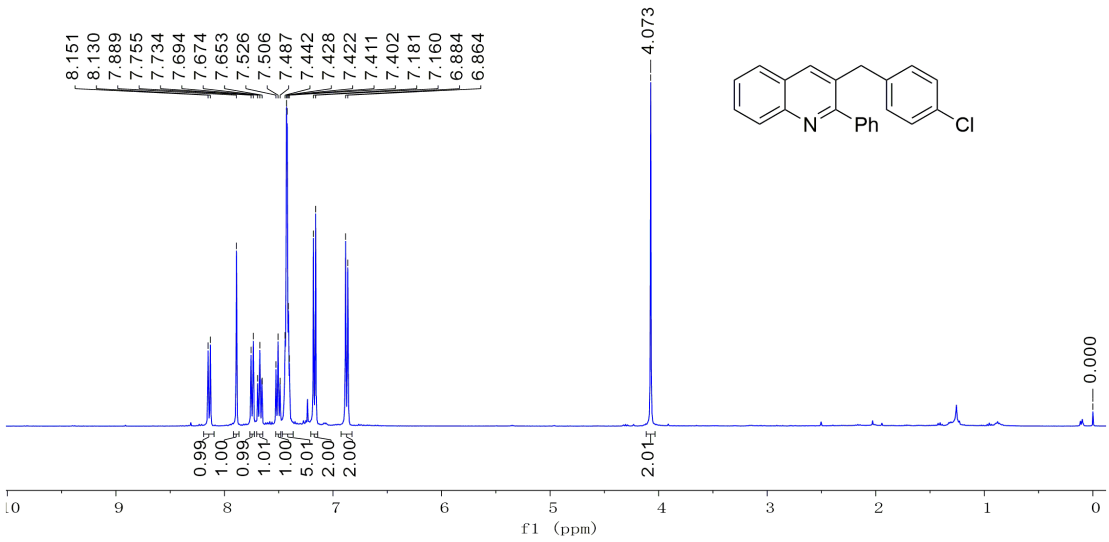
## $^{1}$H- NMR spectrum of 3ab



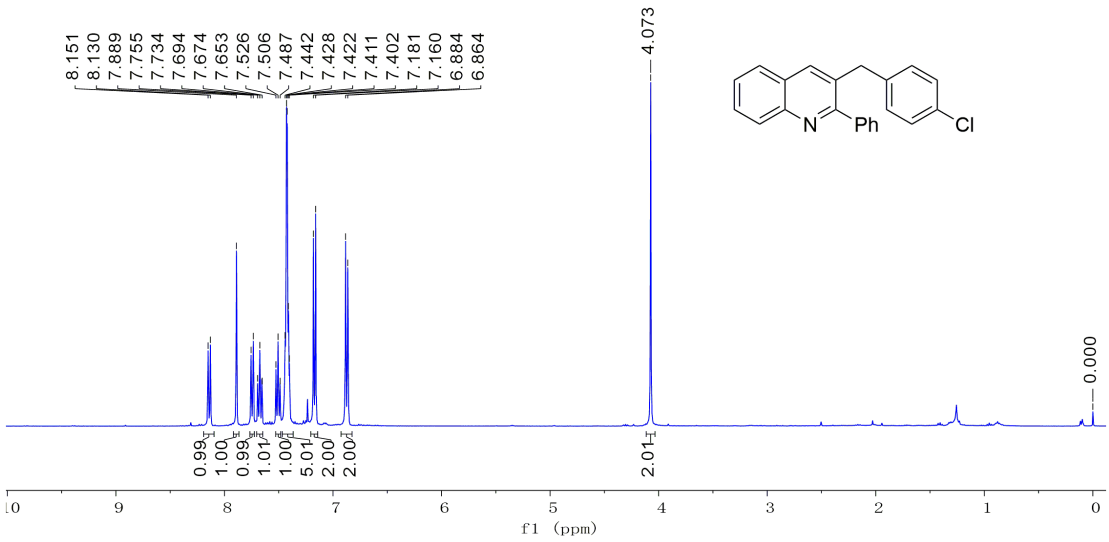

## $^{13}$C-NMR spectrum of 3ab



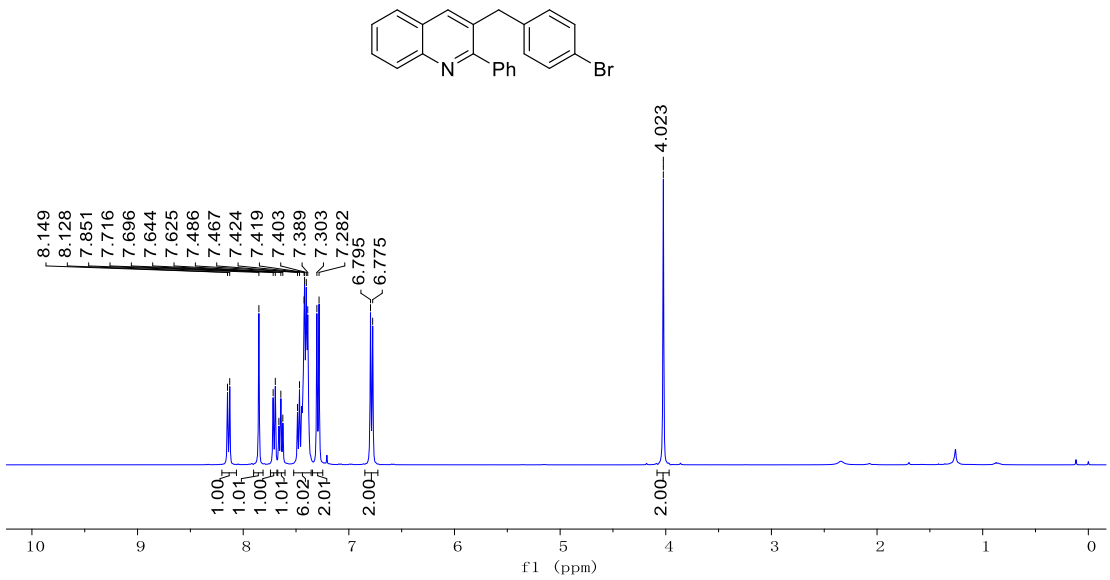
## $^{1}$H- NMR spectrum of 3ac



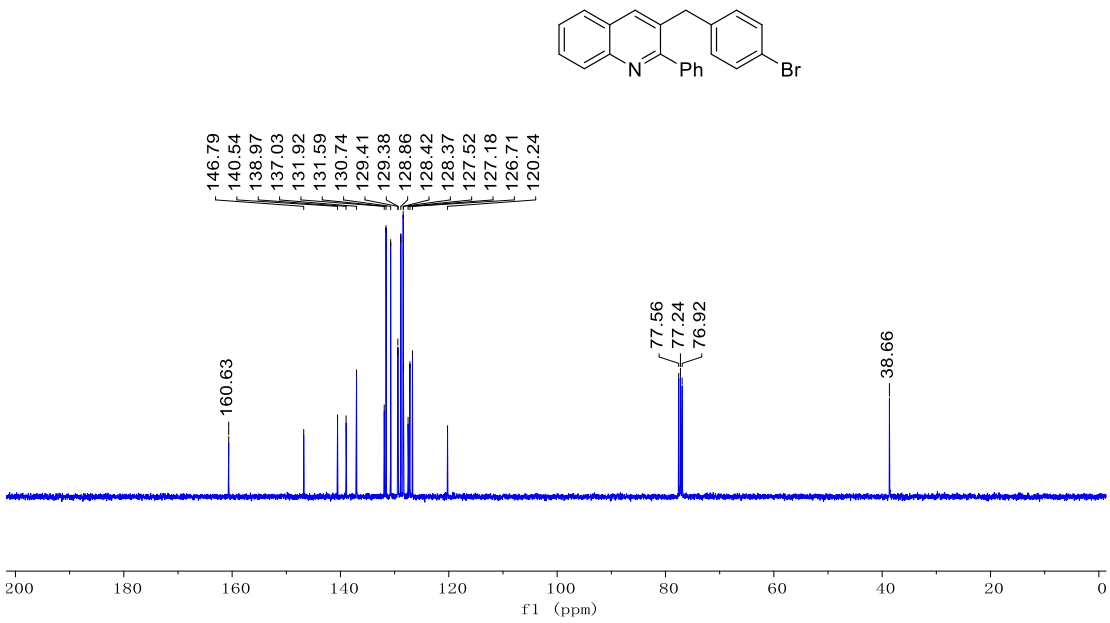

## $^{13}$C-NMR spectrum of 3ac



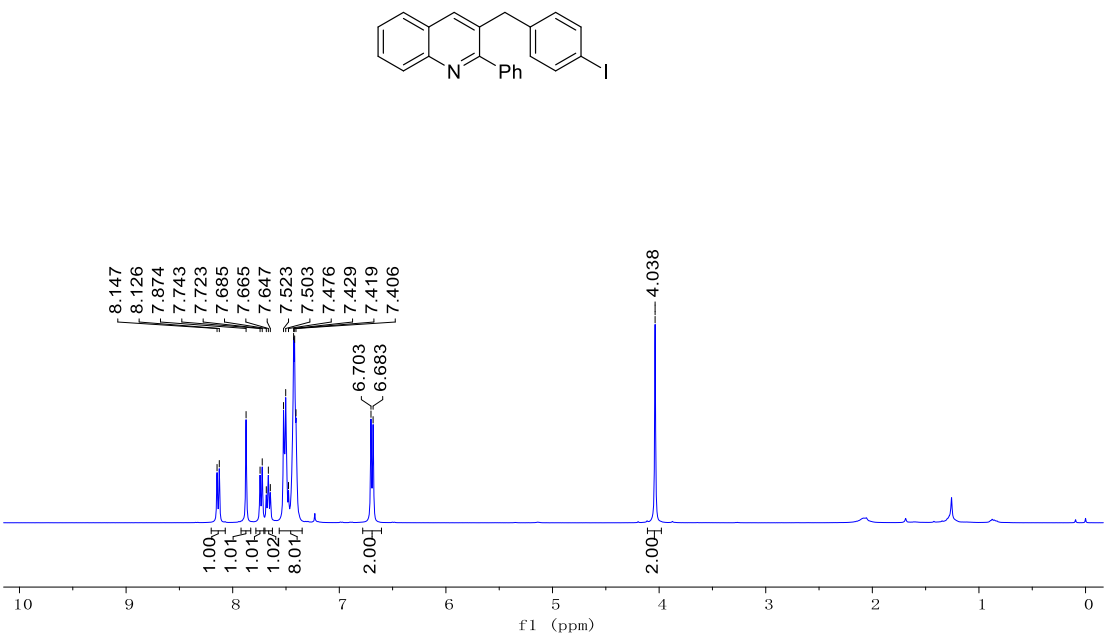
## $^{1}$H-NMR spectrum of 3ad



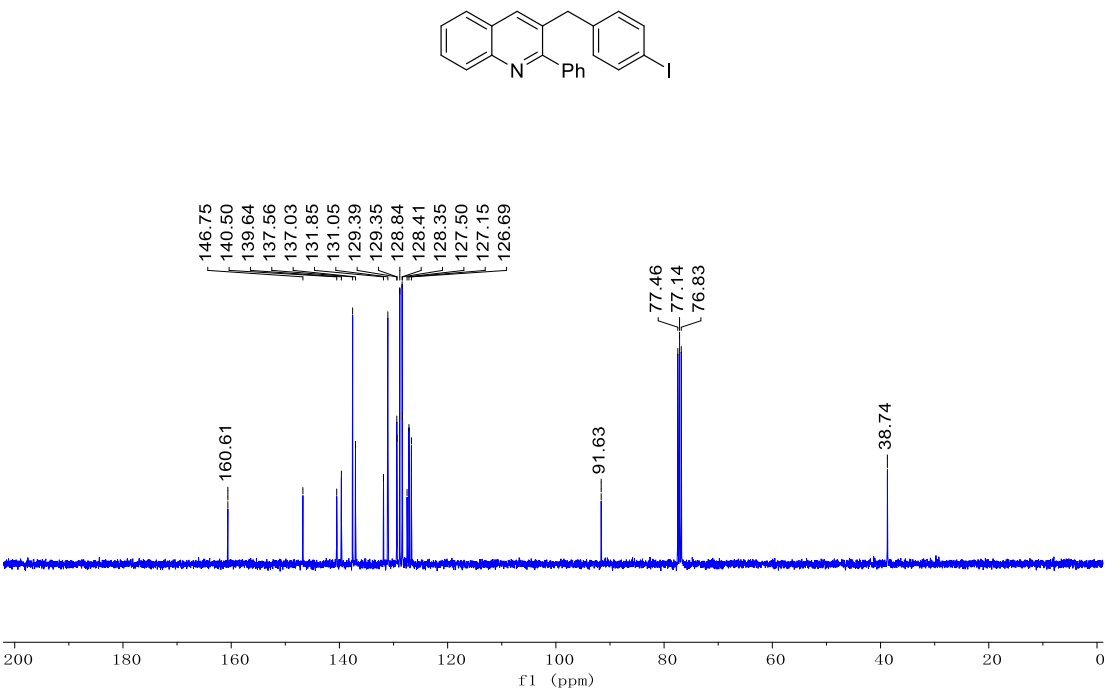

## $^{13}$C-NMR spectrum of 3ad



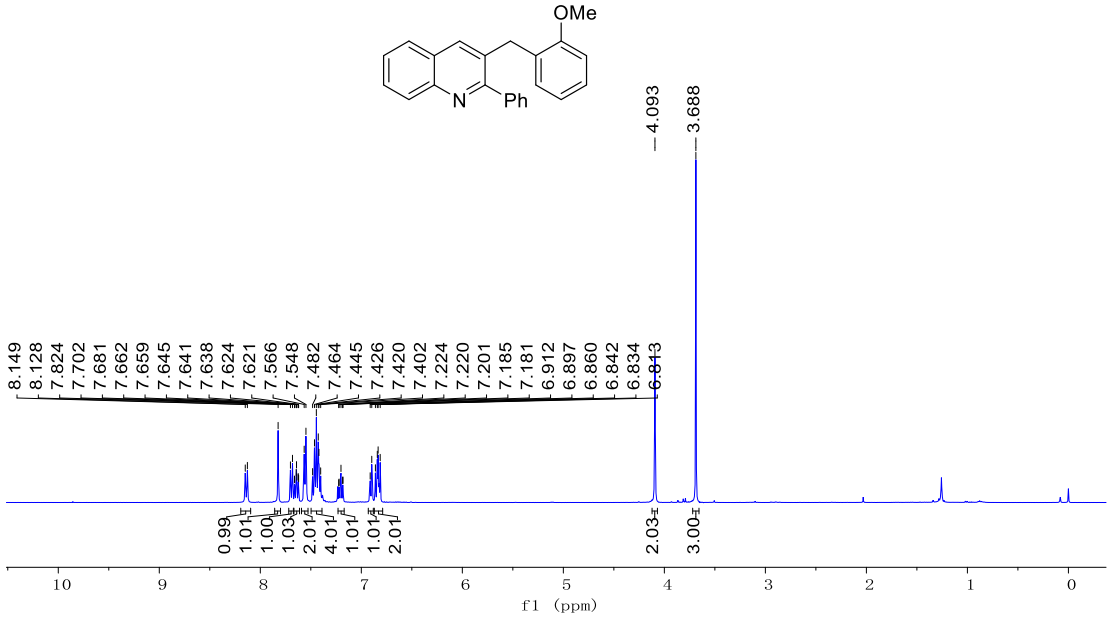
## $^{13}$C-NMR spectrum of 3ae



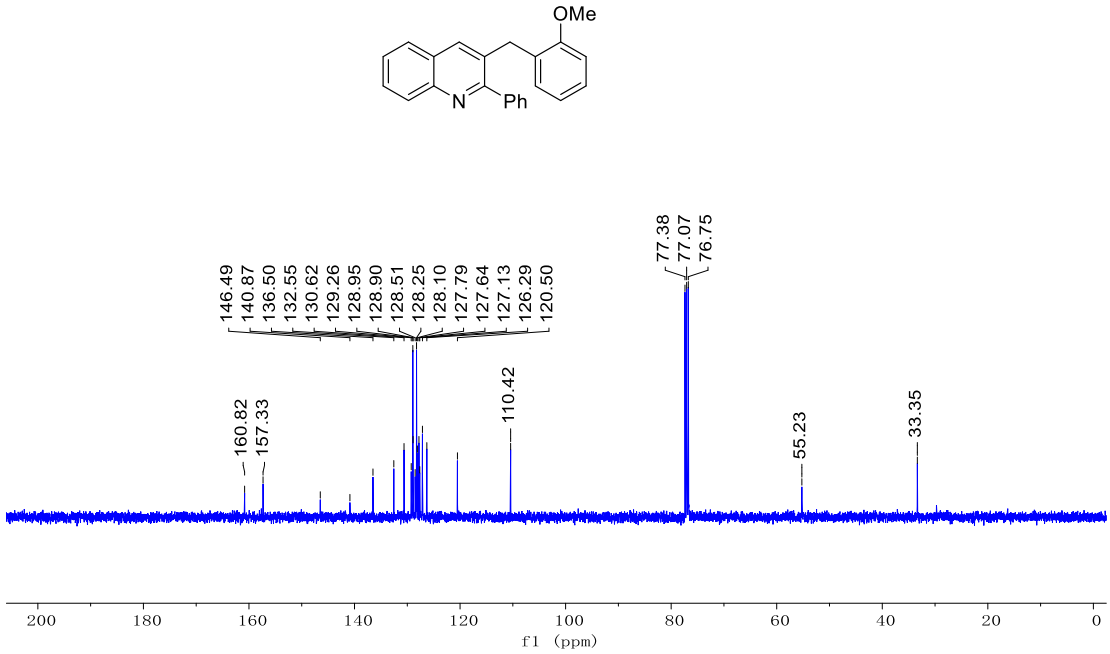

## $^{13}$C-NMR spectrum of 3ae



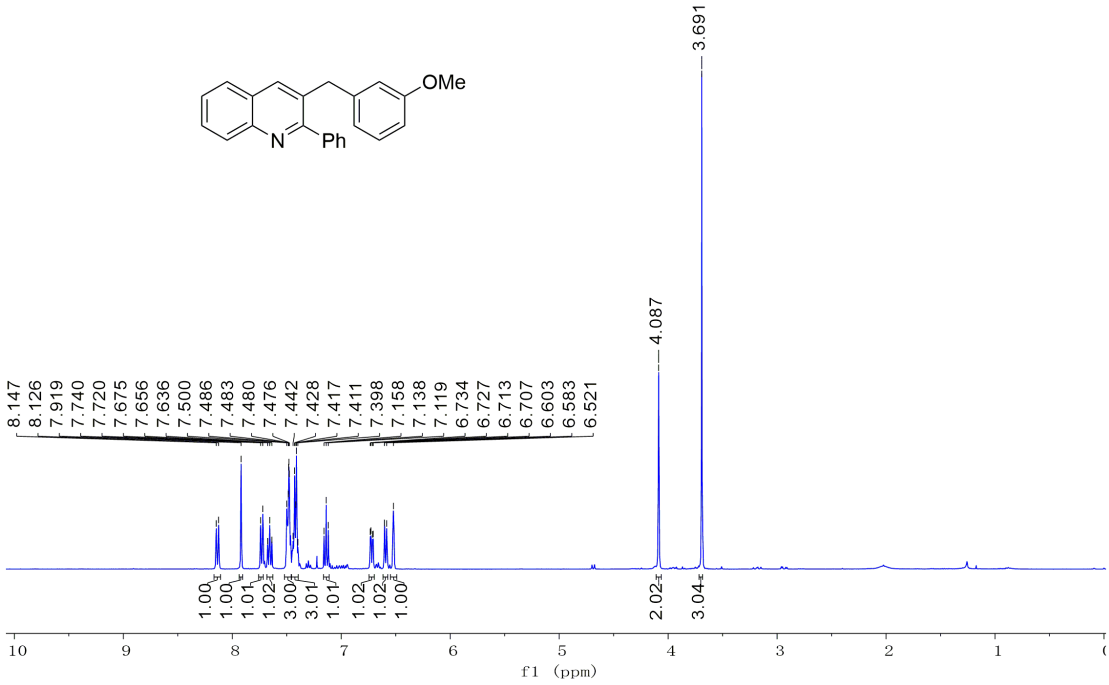
## $^{1}$H-NMR spectrum of 3af



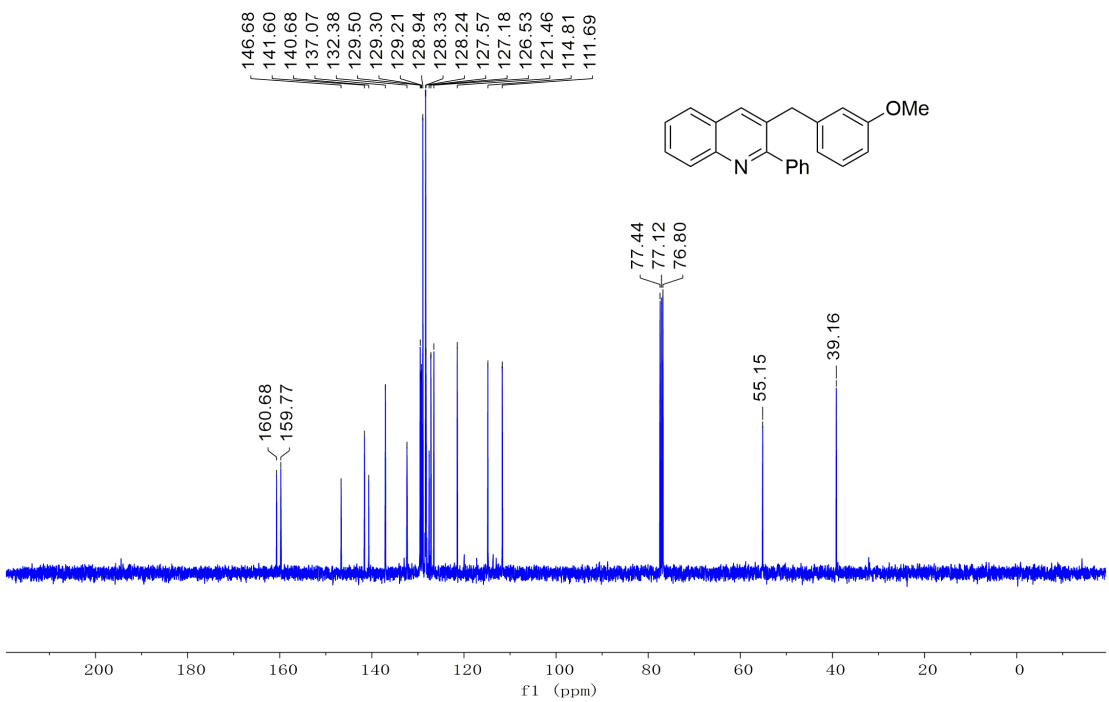

## $^{13}$C-NMR spectrum of 3af



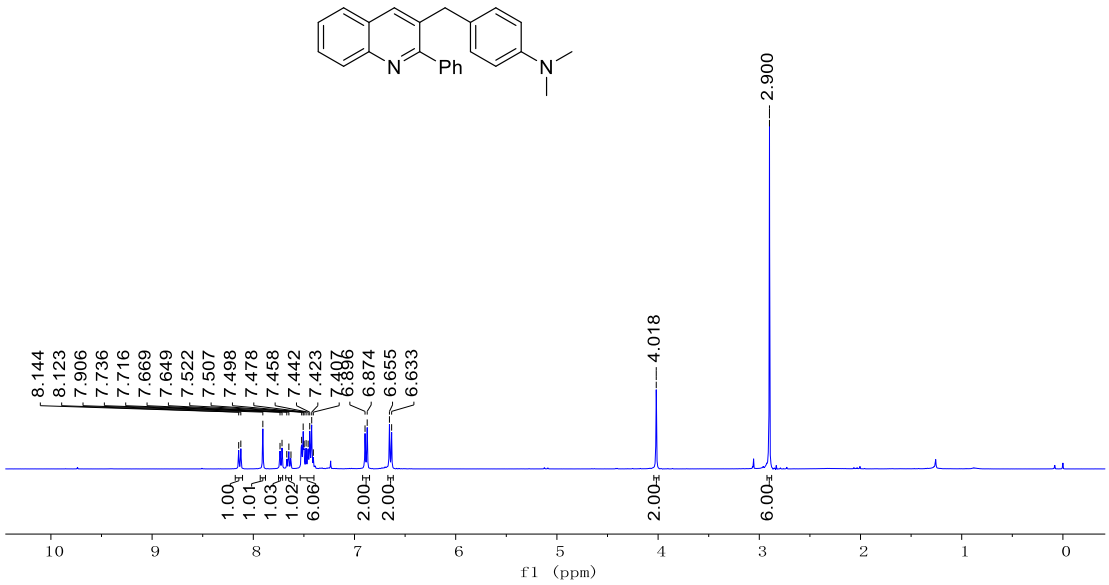
## $^{1}$H-NMR spectrum of 3ag



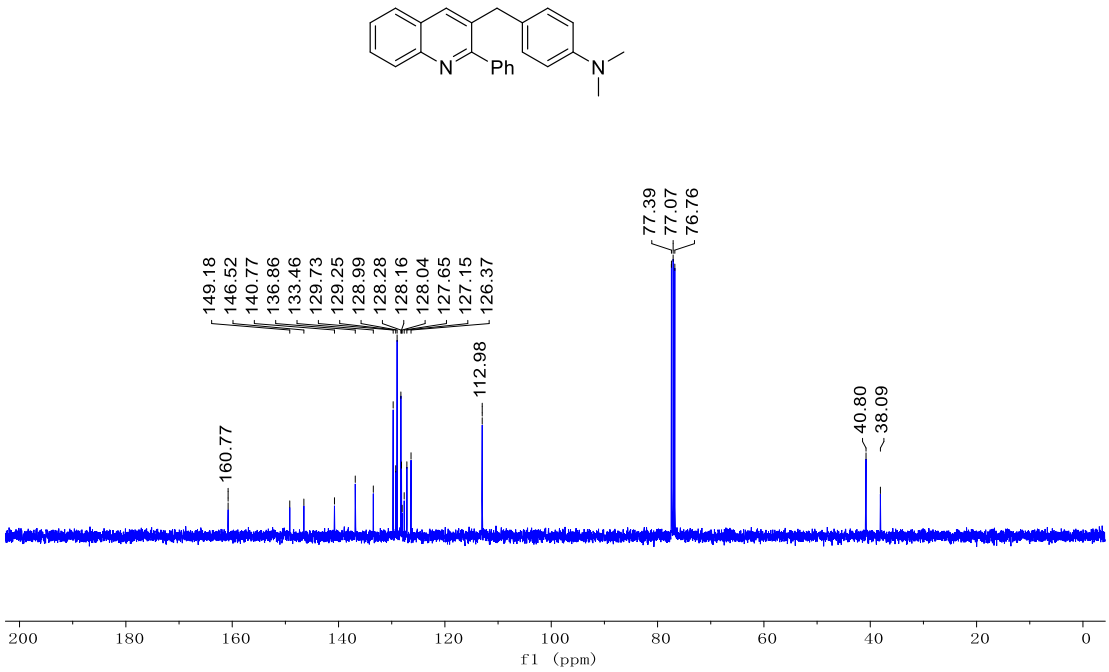

## $^{13}$C-NMR spectrum of 3ag



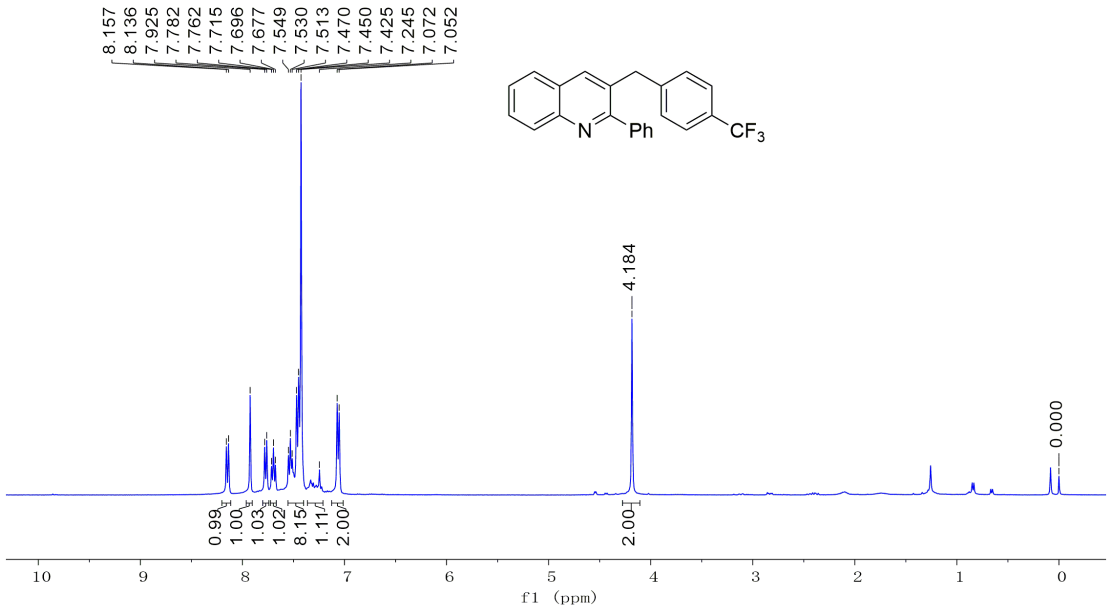
## $^{1}$H-NMR spectrum of 3ah



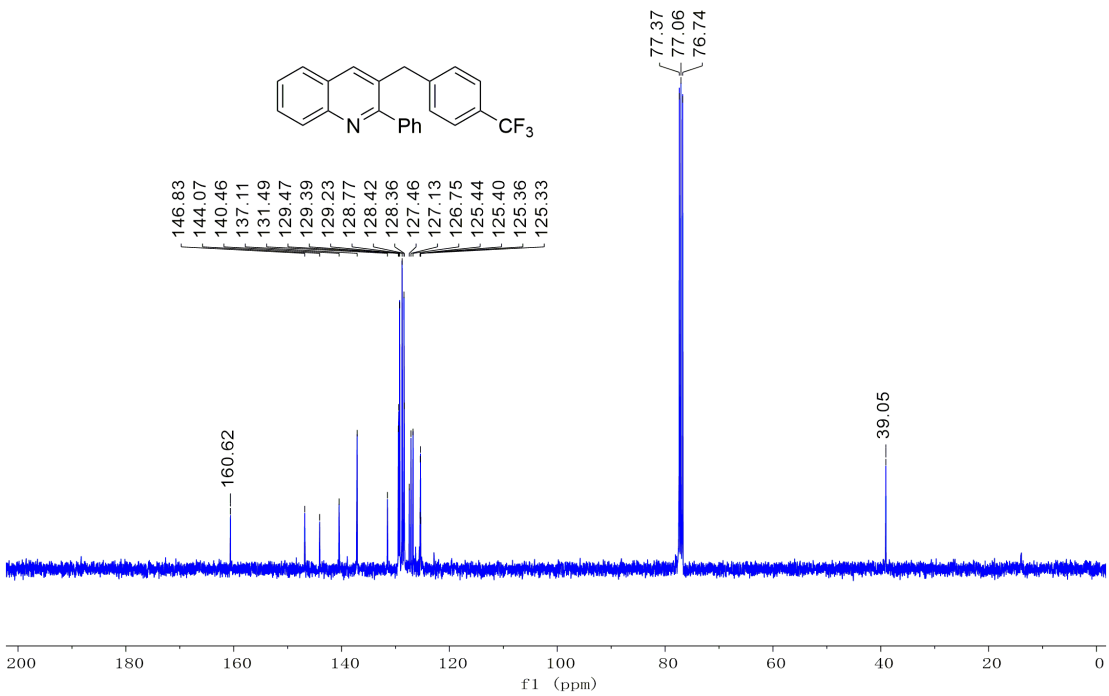

## $^{13}$C-NMR spectrum of 3ah



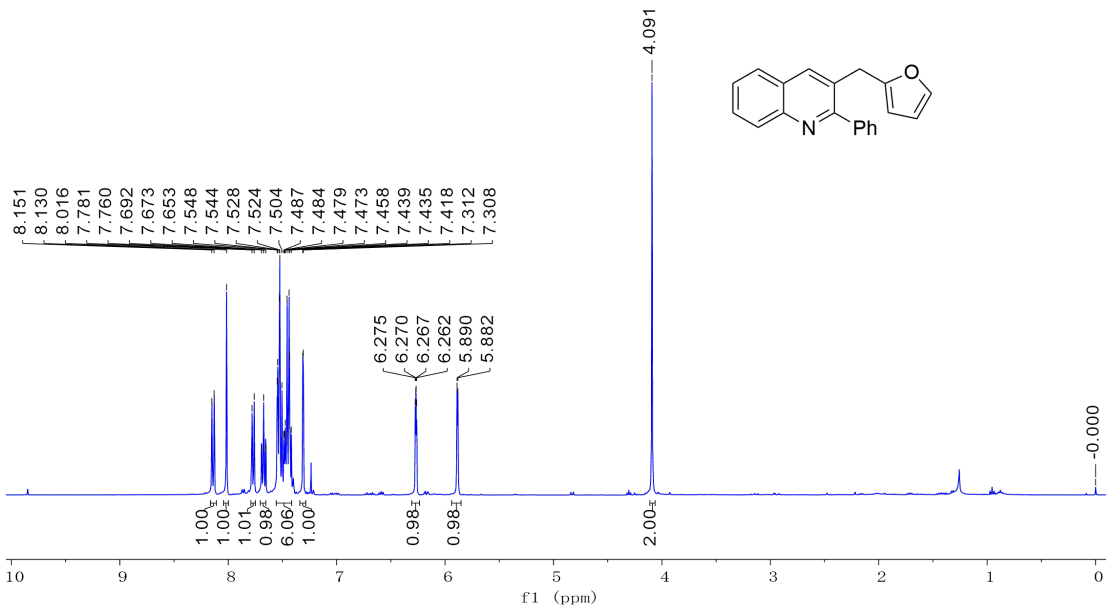
## $^{1}$H-NMR spectrum of 3ai



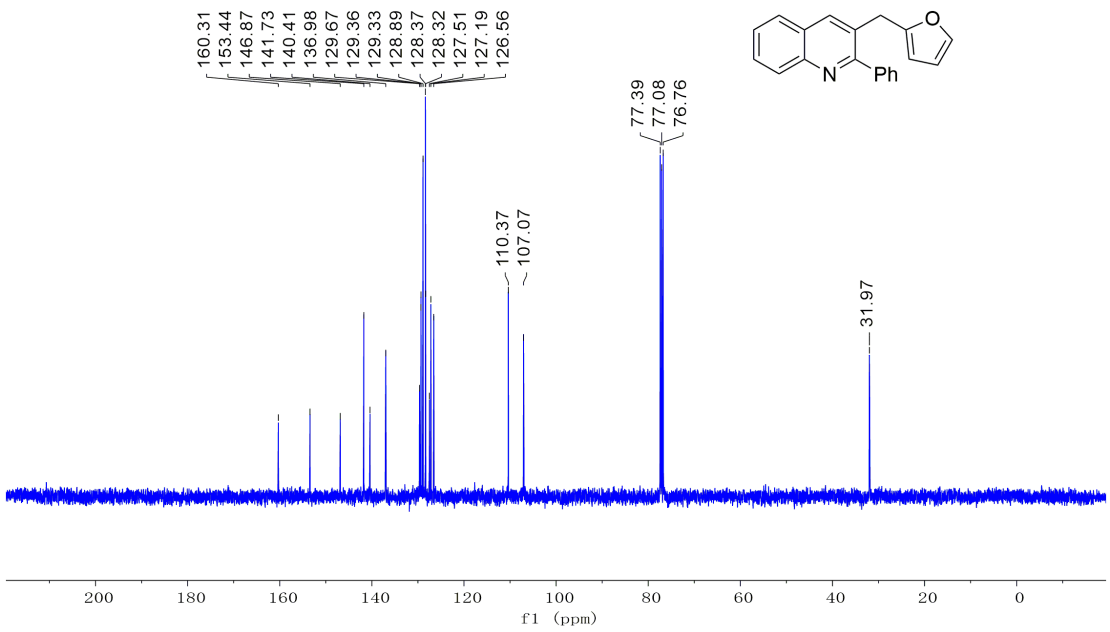

## $^{13}$C-NMR spectrum of 3ai



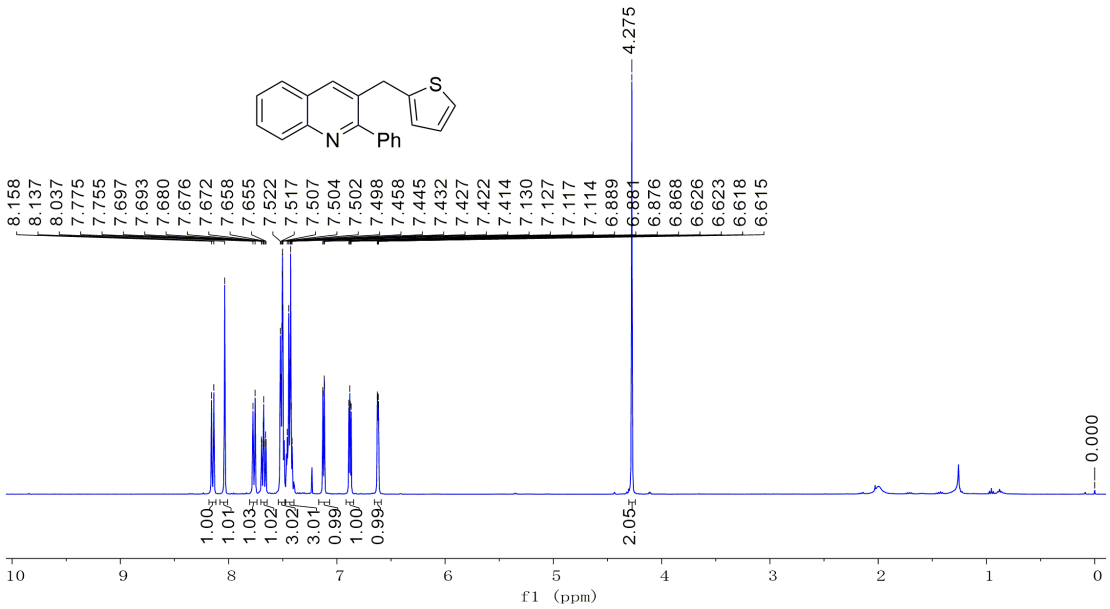
## $^{1}$H- NMR spectrum of 3aj



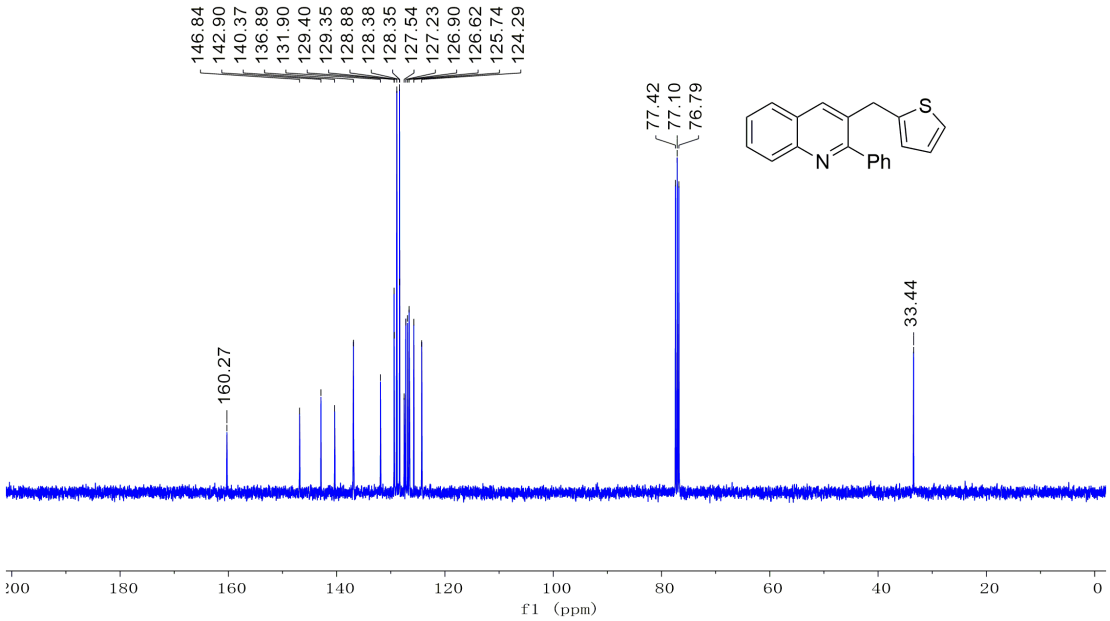

## $^{13}$C-NMR spectrum of 3aj



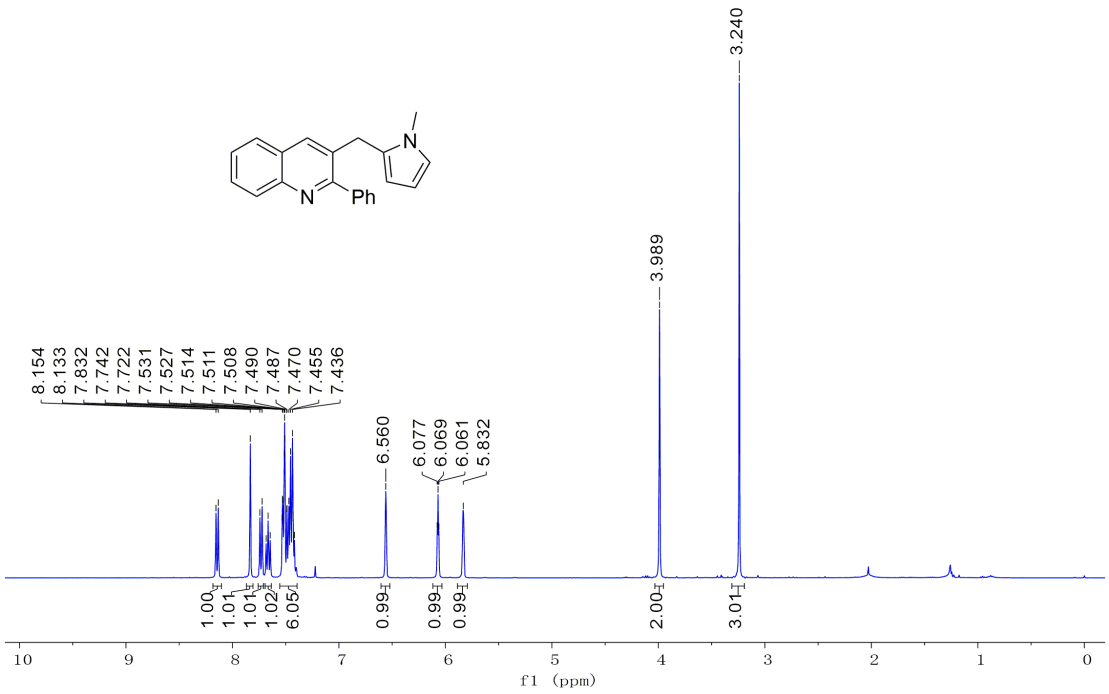
## $^{1}$H-NMR spectrum of 3ak



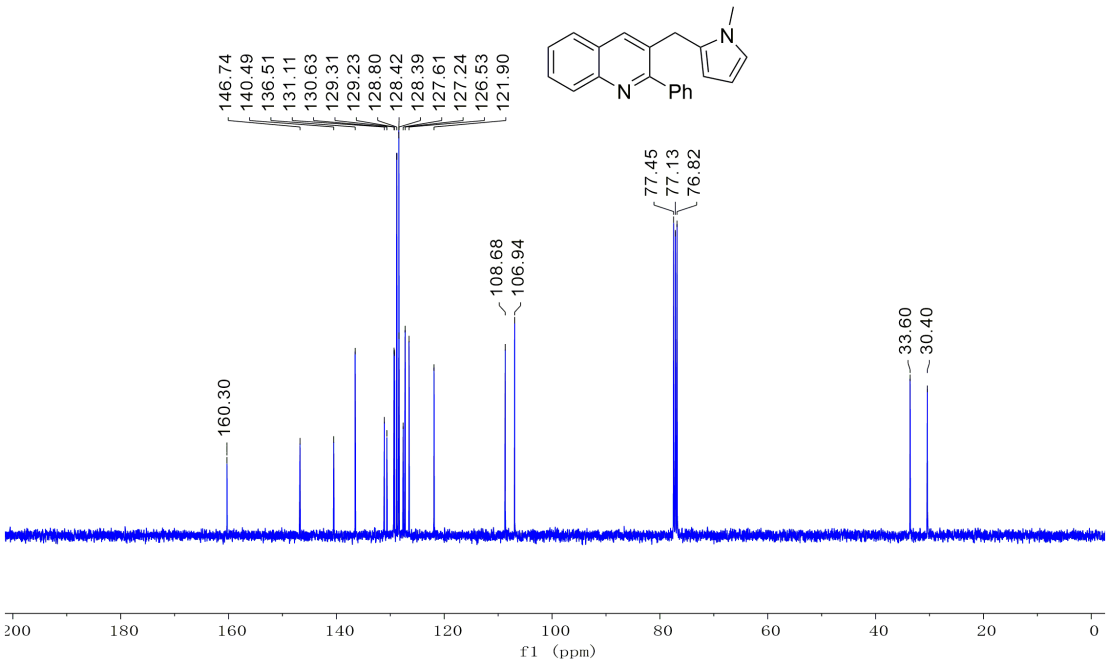

## $^{13}$C-NMR spectrum of 3ak



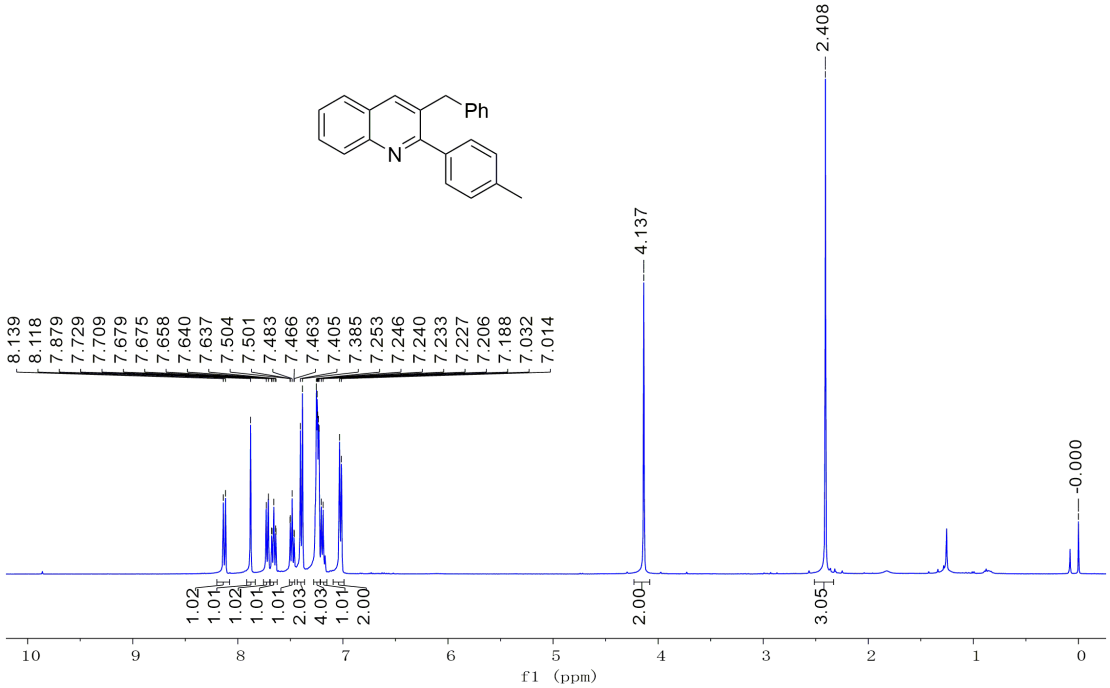
## $^{1}$H-NMR spectrum of 3al



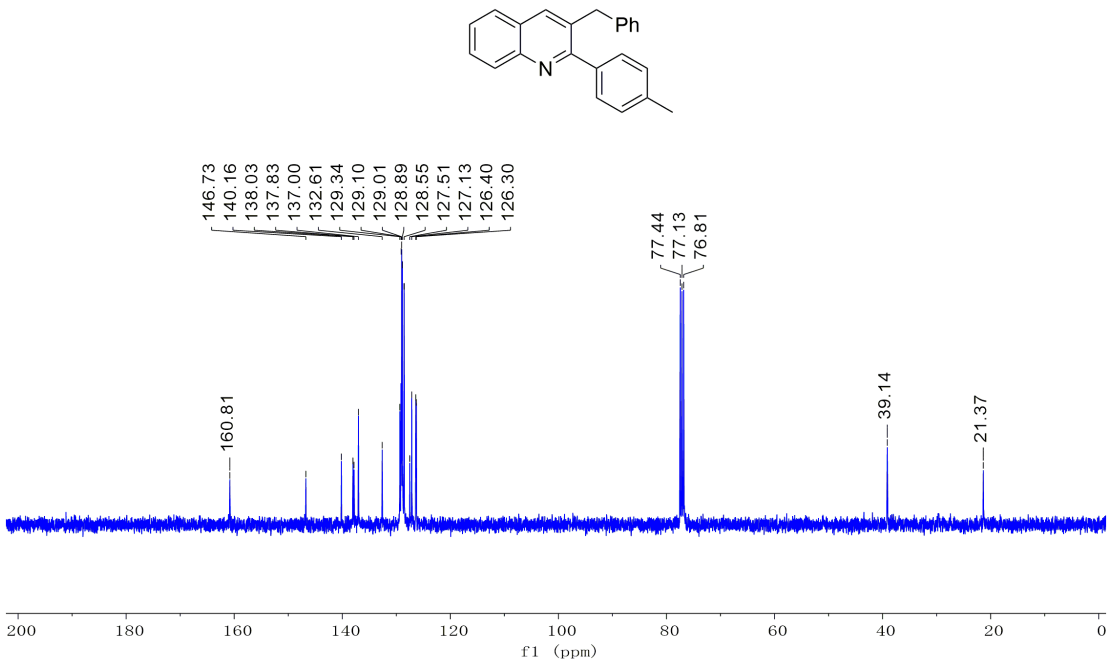

## $^{13}$C-NMR spectrum of 3al



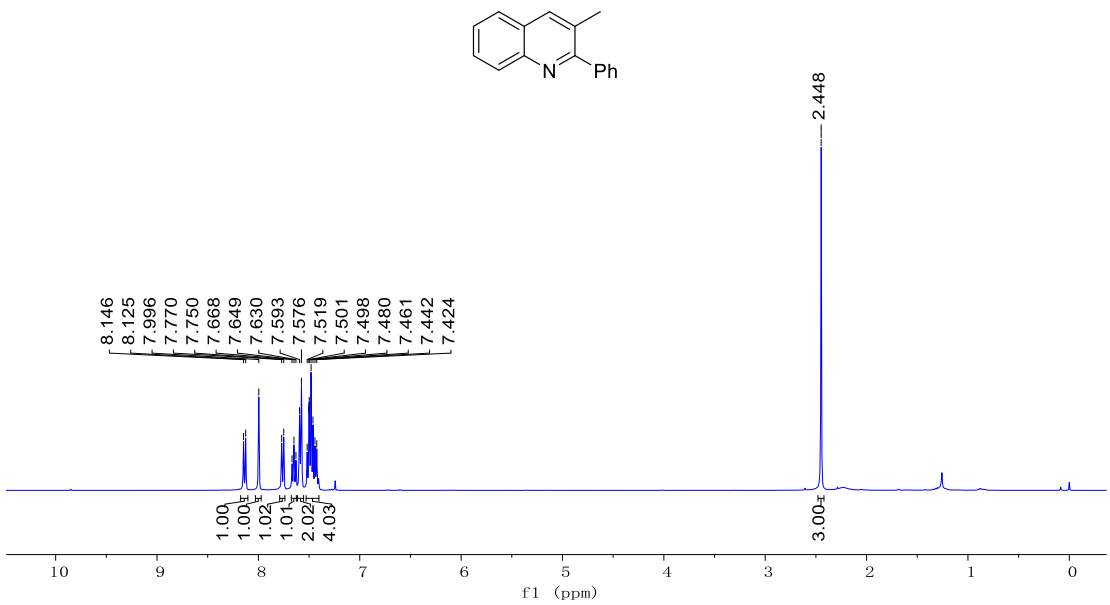
## $^{1}$H- NMR spectrum of 3am



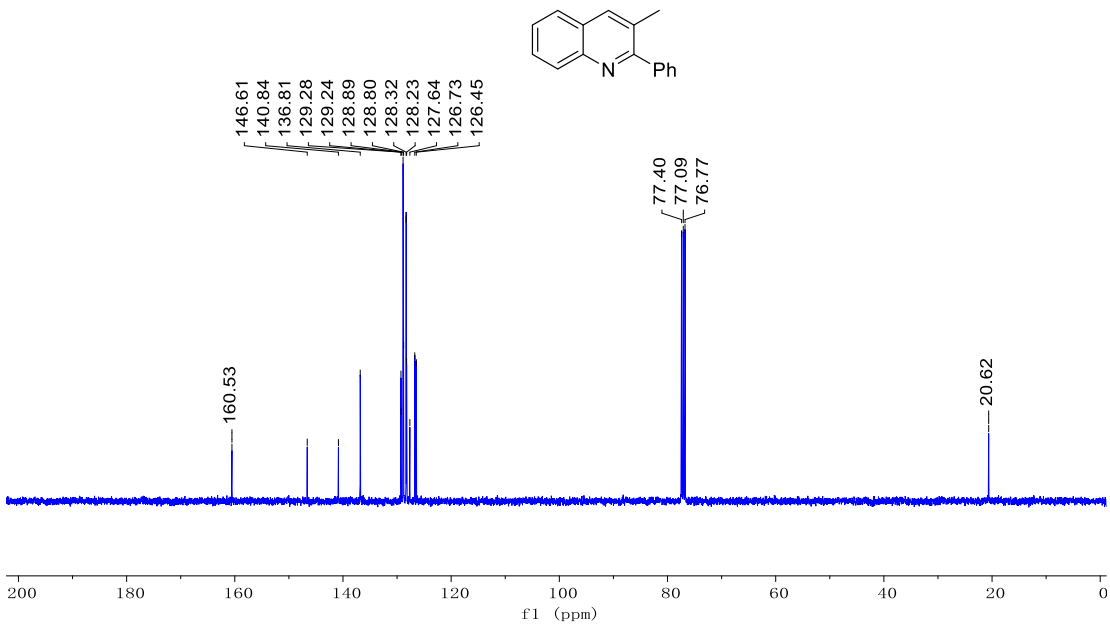

## $^{13}$C-NMR spectrum of 3am



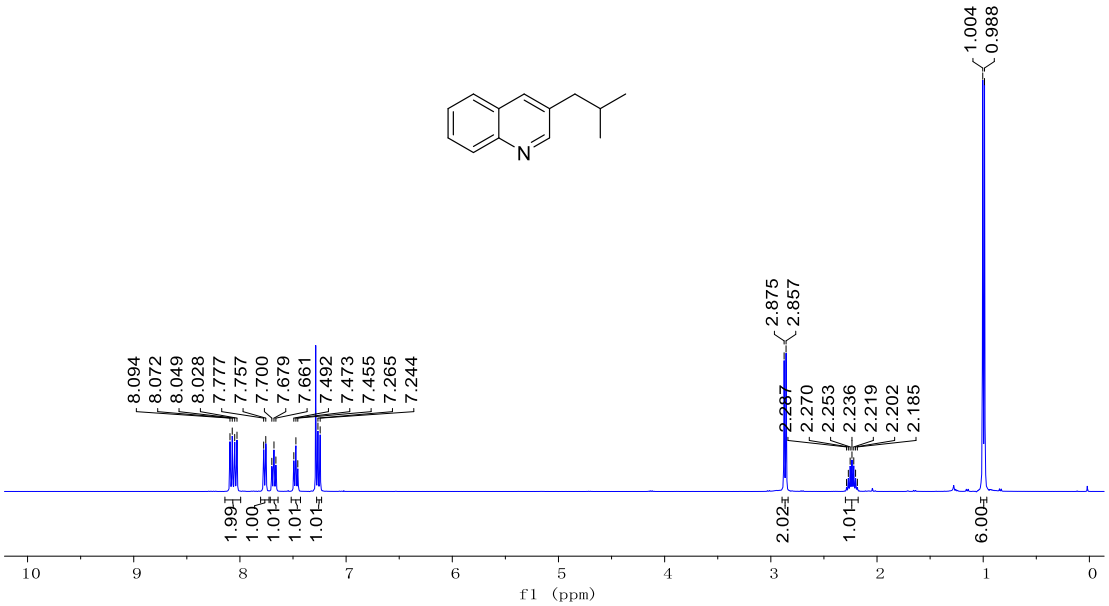
## $^{1}$H-NMR spectrum of 3an



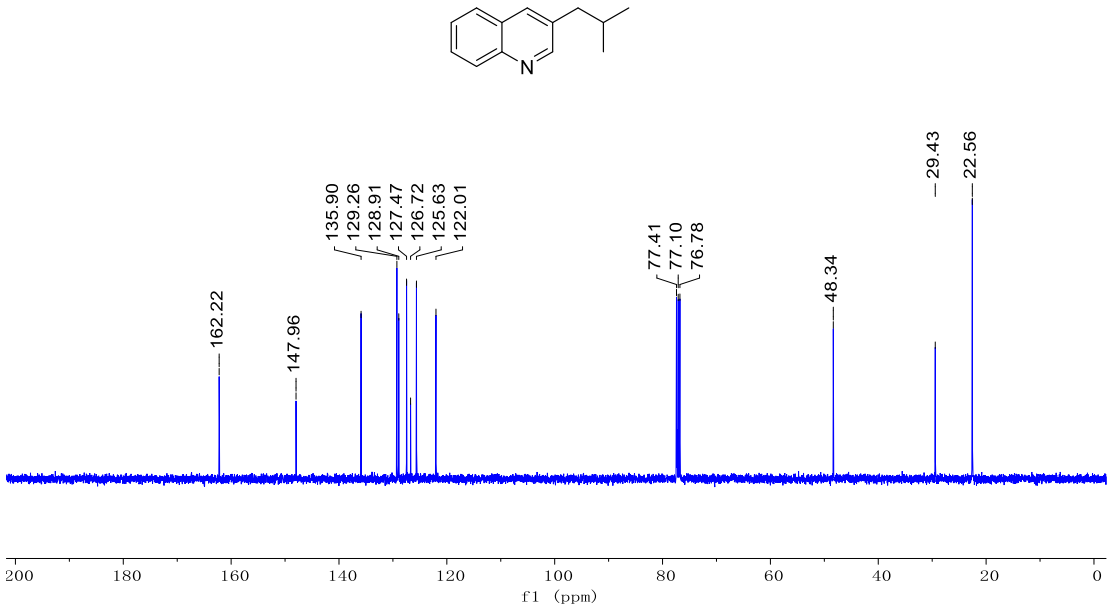

## $^{13}$C-NMR spectrum of 3an



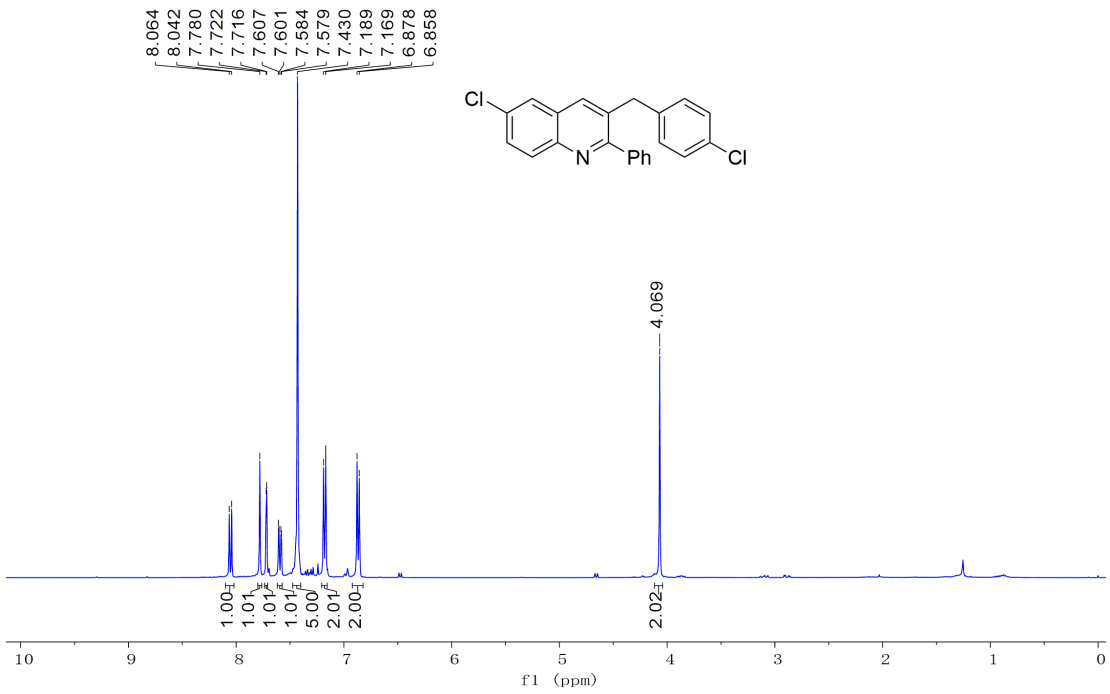
## $^{1}$H-NMR spectrum of 3ao



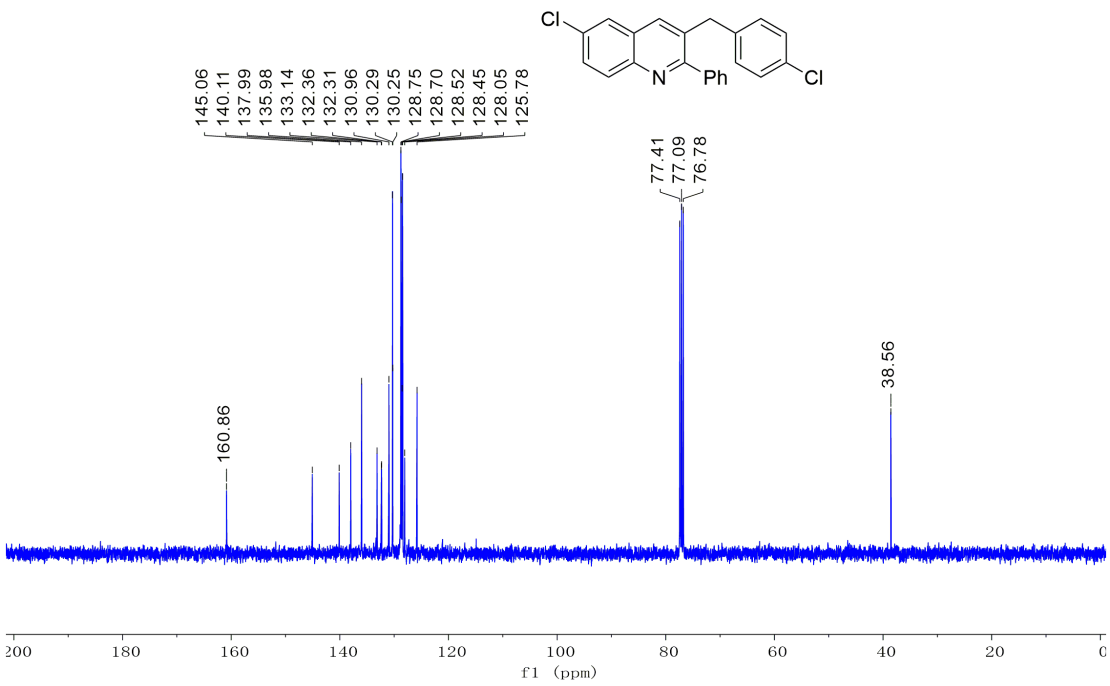

## $^{13}$C-NMR spectrum of 3ao



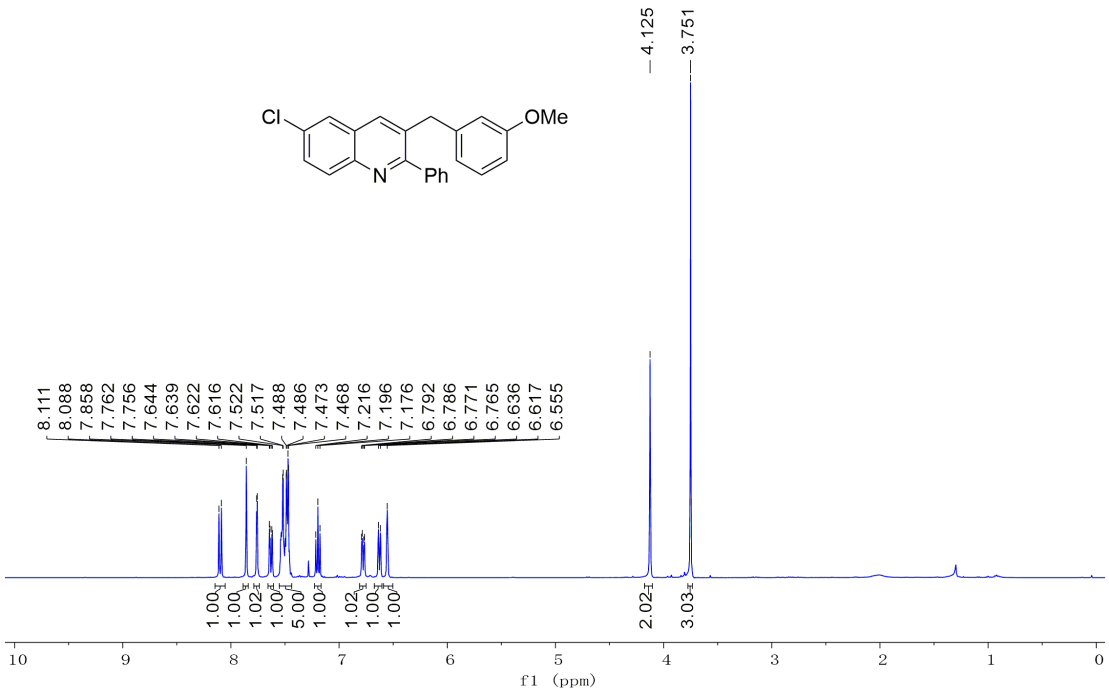
## $^{1}$H-NMR spectrum of 3bc



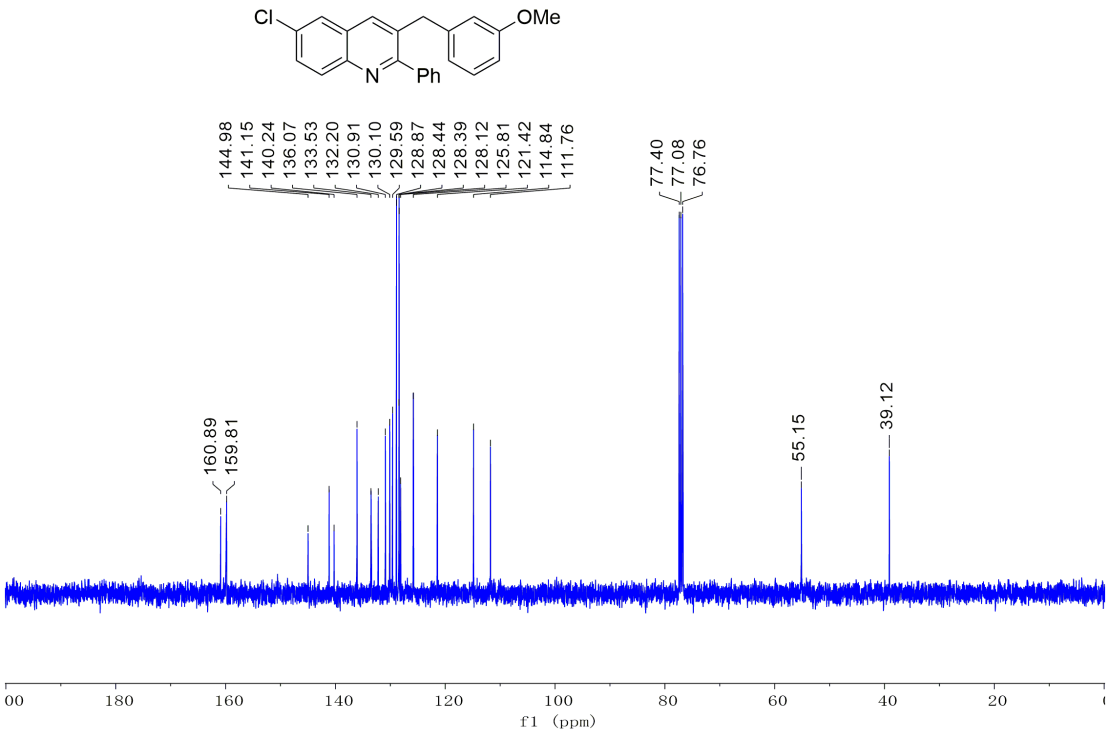

## $^{13}$C-NMR spectrum of 3bc



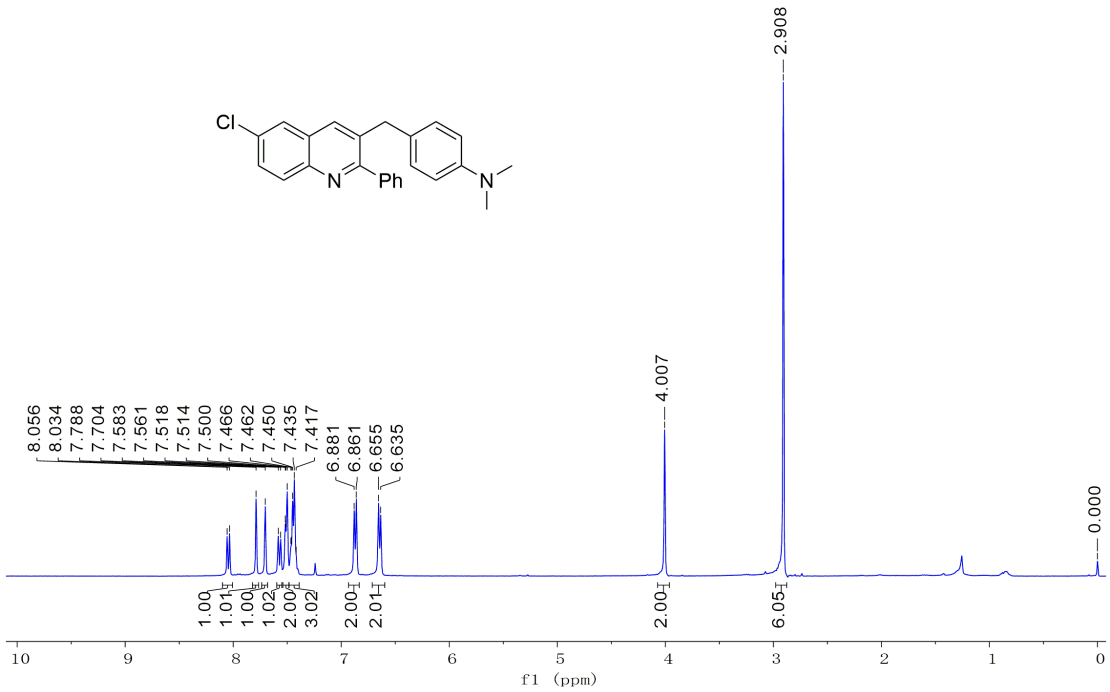
## $^{1}$H-NMR spectrum of 3bg



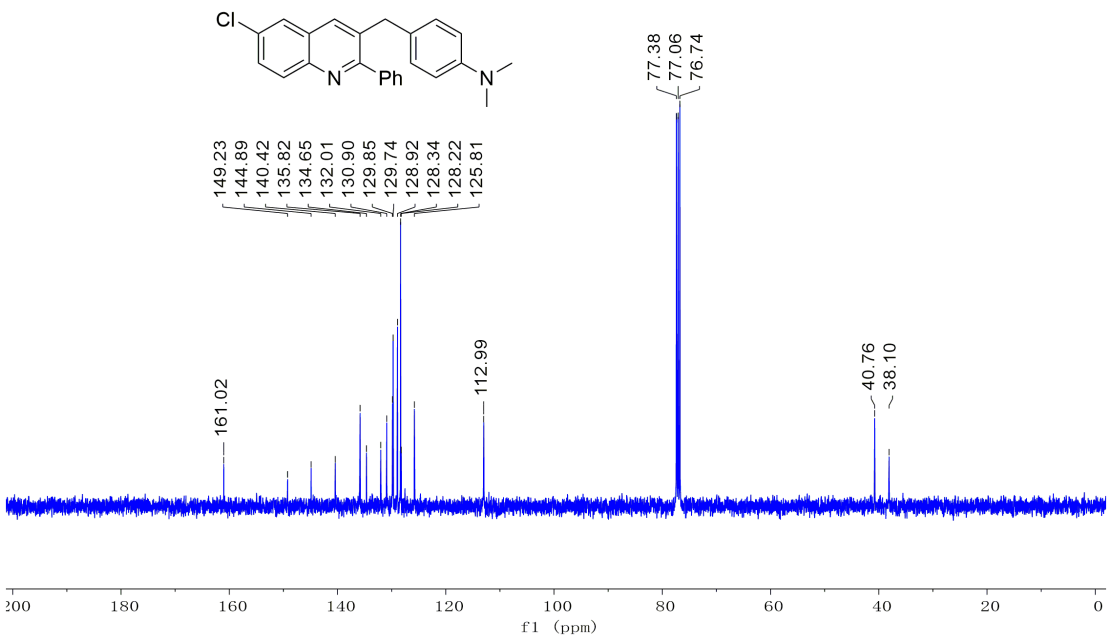

## $^{13}$C-NMR spectrum of 3bg



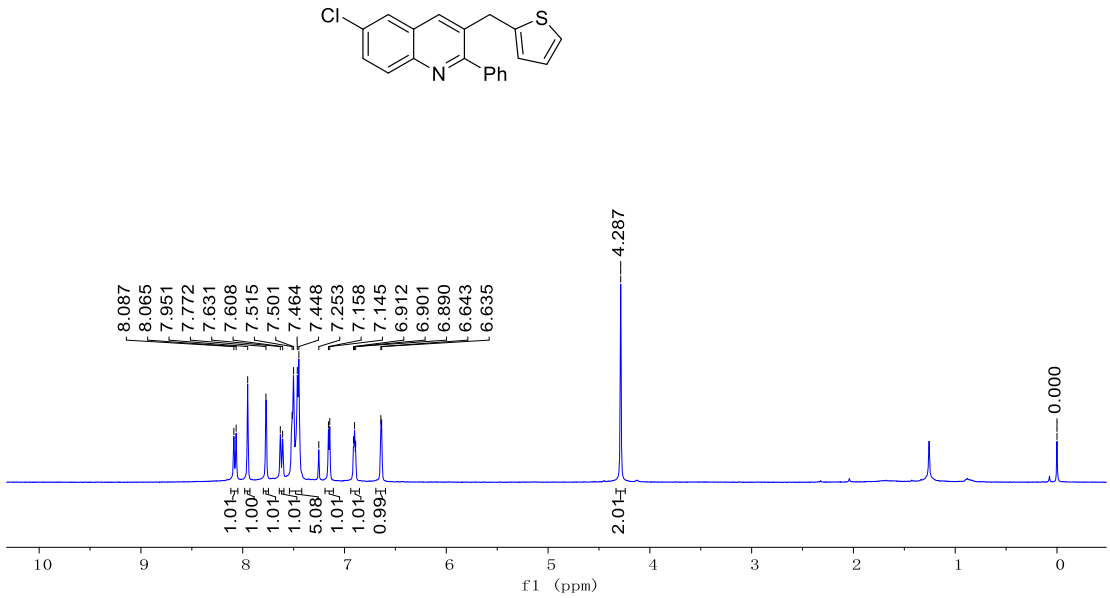
## $^{1}$H-NMR spectrum of 3bh



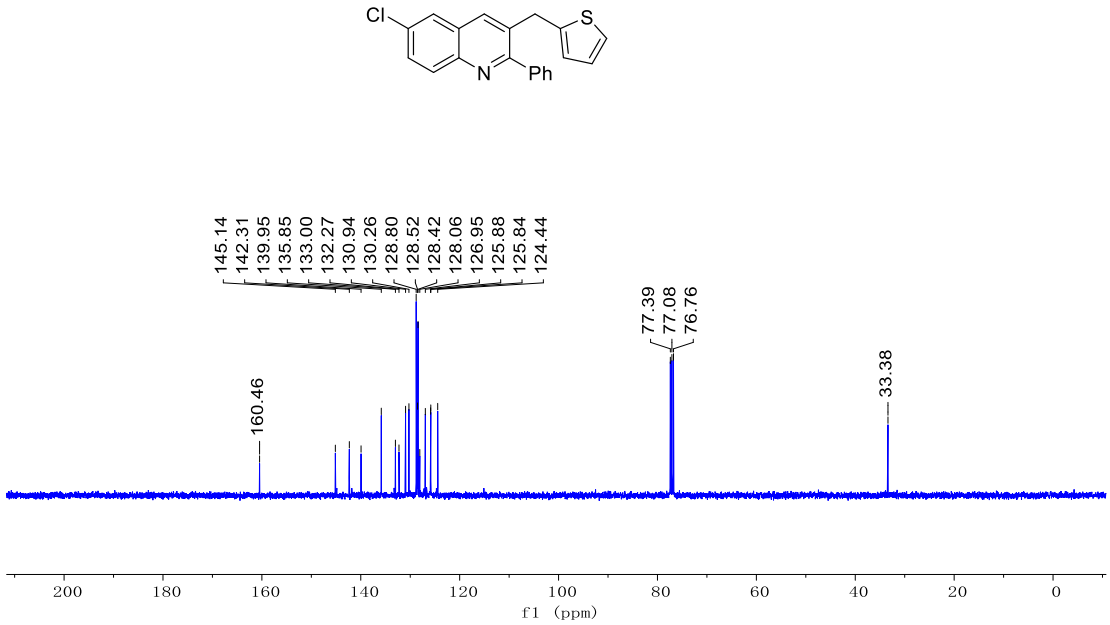

## $^{13}$C-NMR spectrum of 3bh



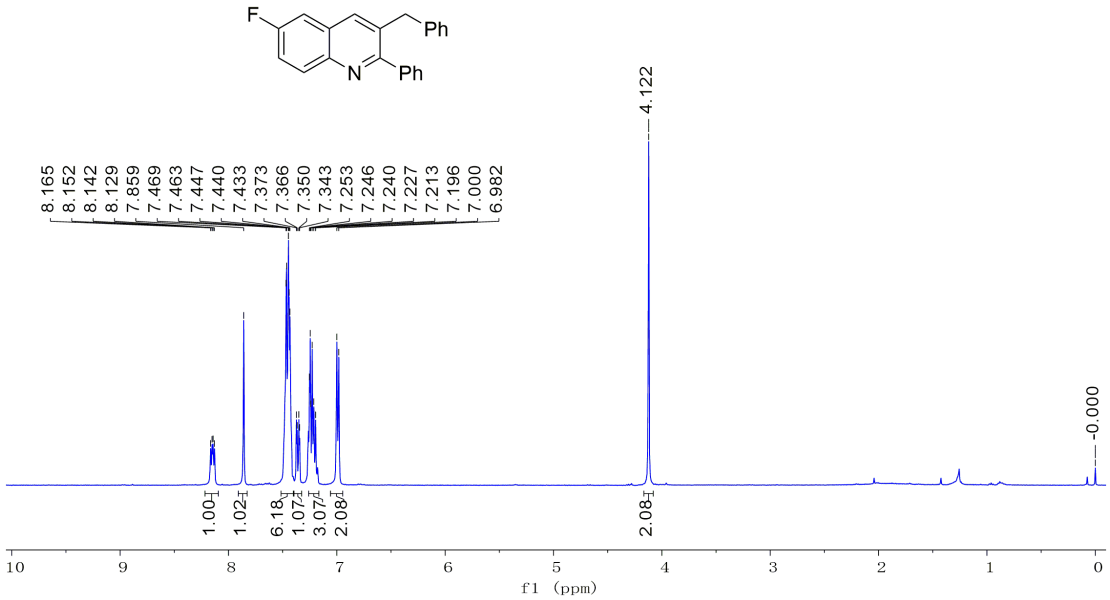
## $^{1}$H-NMR spectrum of 3bk



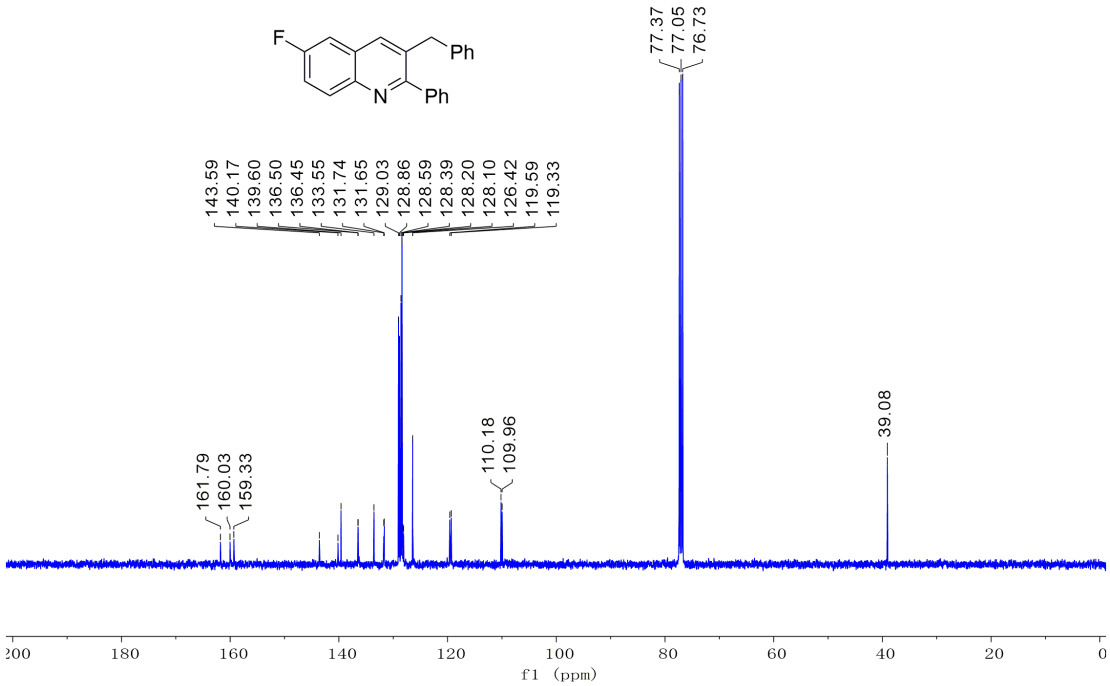

## $^{13}$C-NMR spectrum of 3bk



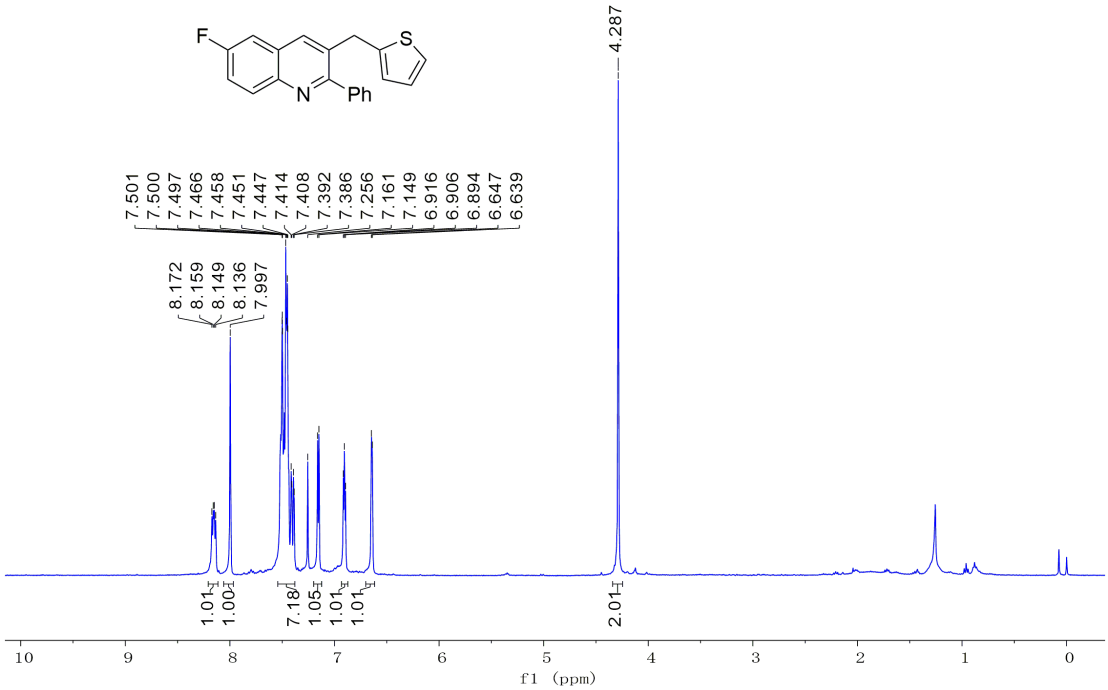
## $^{1}$H-NMR spectrum of 3ca



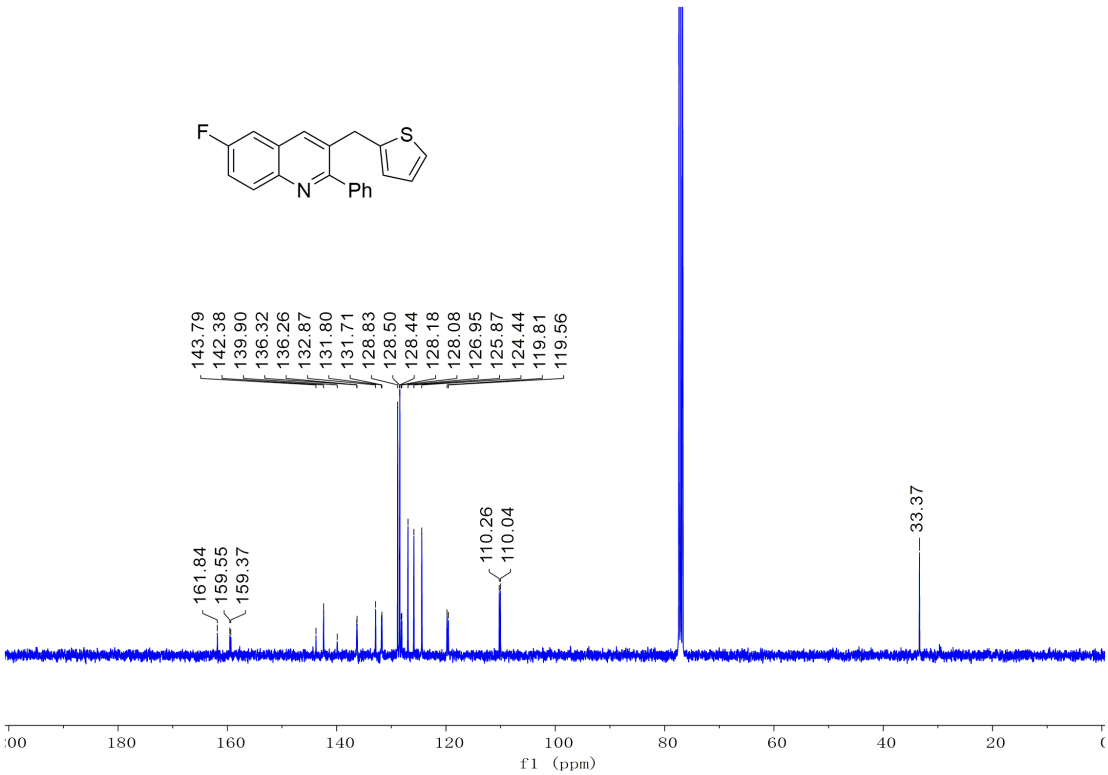

## $^{13}$C-NMR spectrum of 3ca



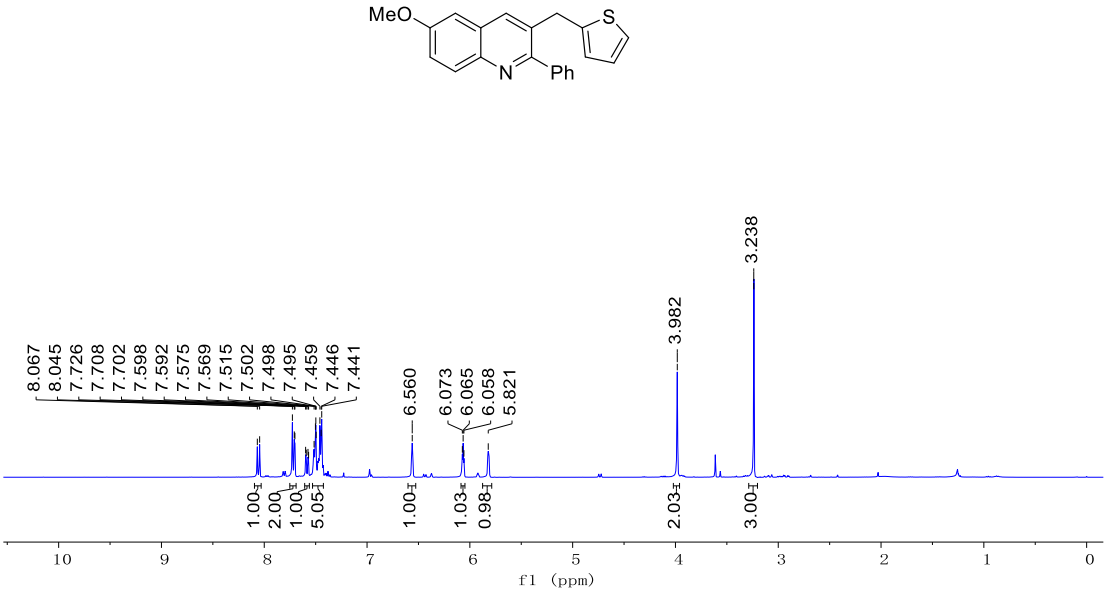
## $^{1}$H-NMR spectrum of 3ck



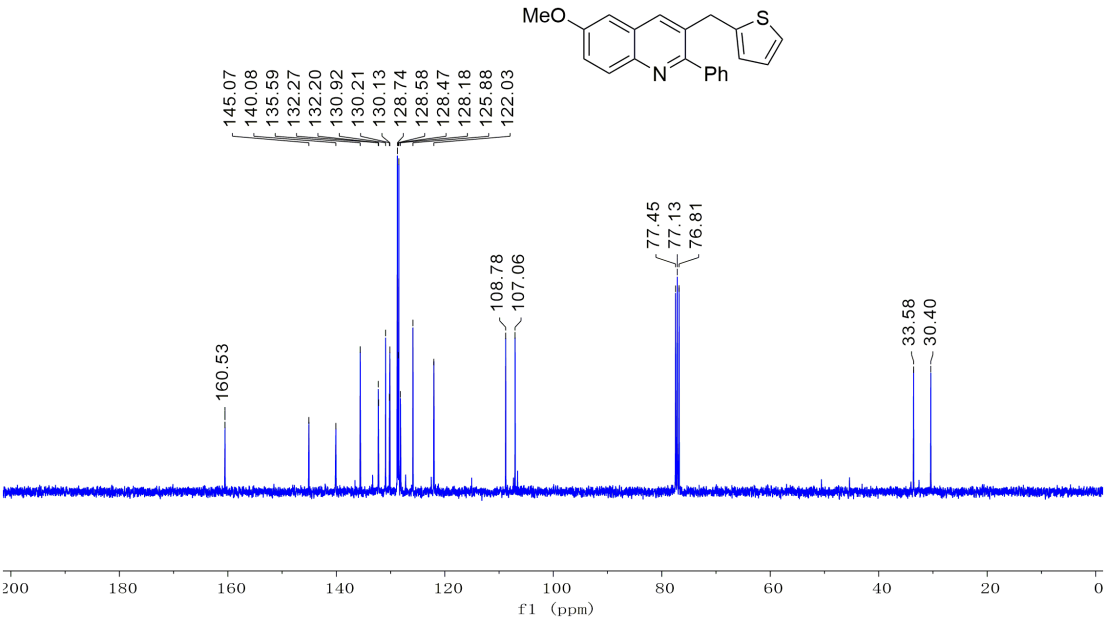

## $^{13}$C-NMR spectrum of 3ck



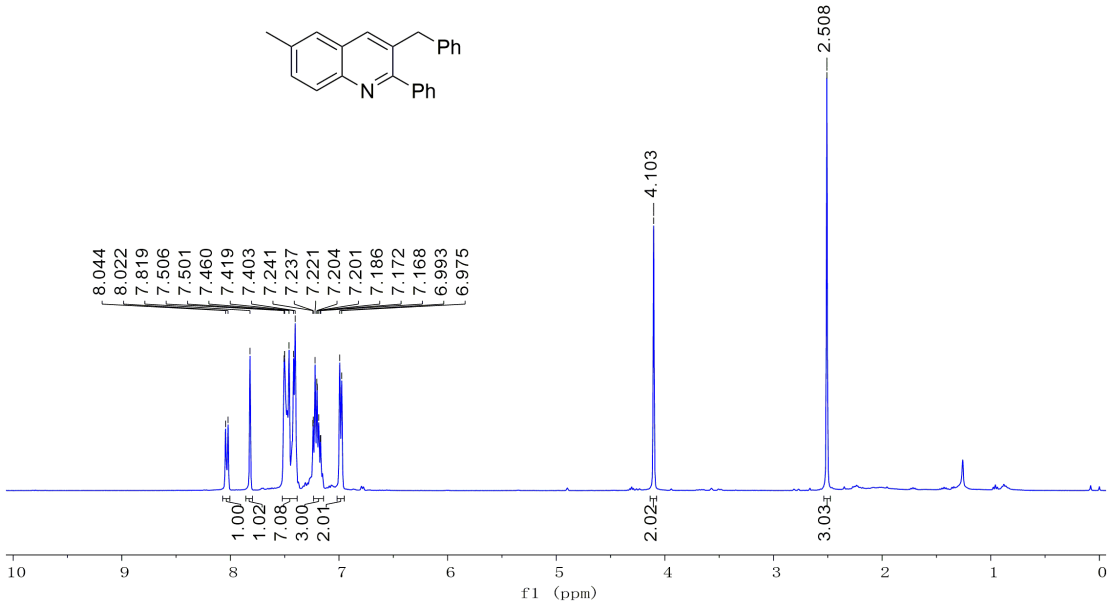
## $^{1}$H-NMR spectrum of 3dk



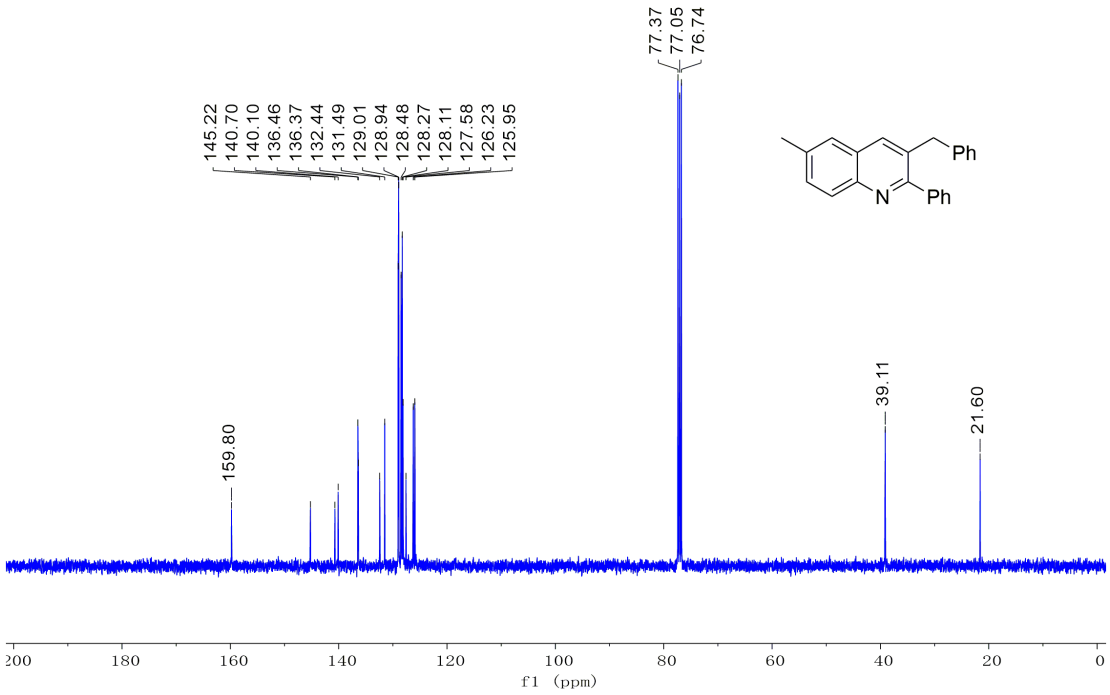

## $^{13}$C-NMR spectrum of 3dk



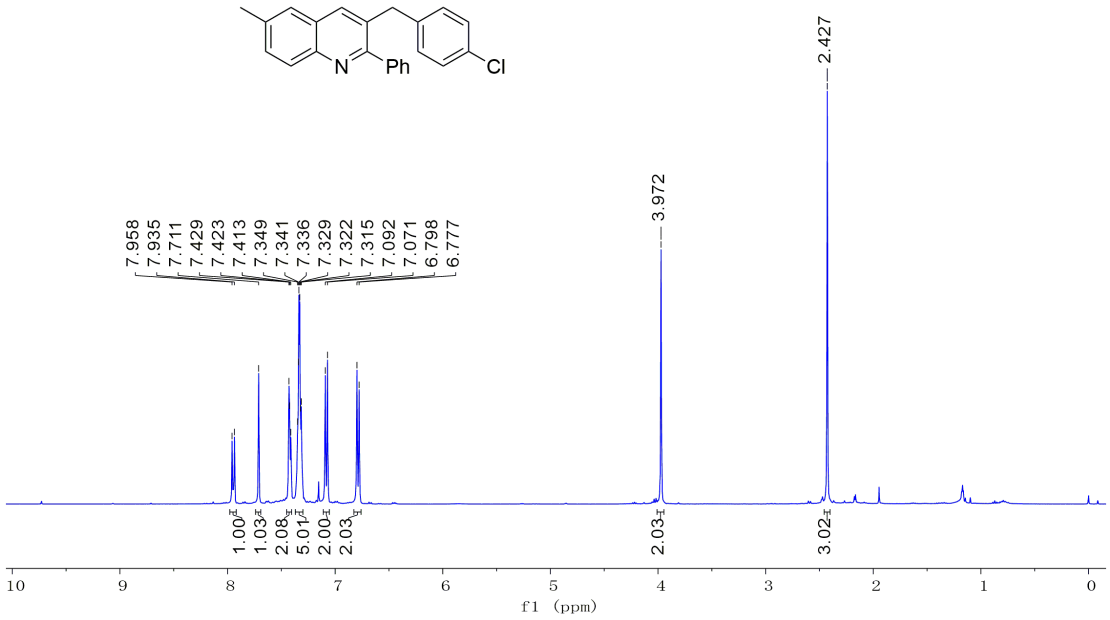
## $^{1}$H-NMR spectrum of 3ea



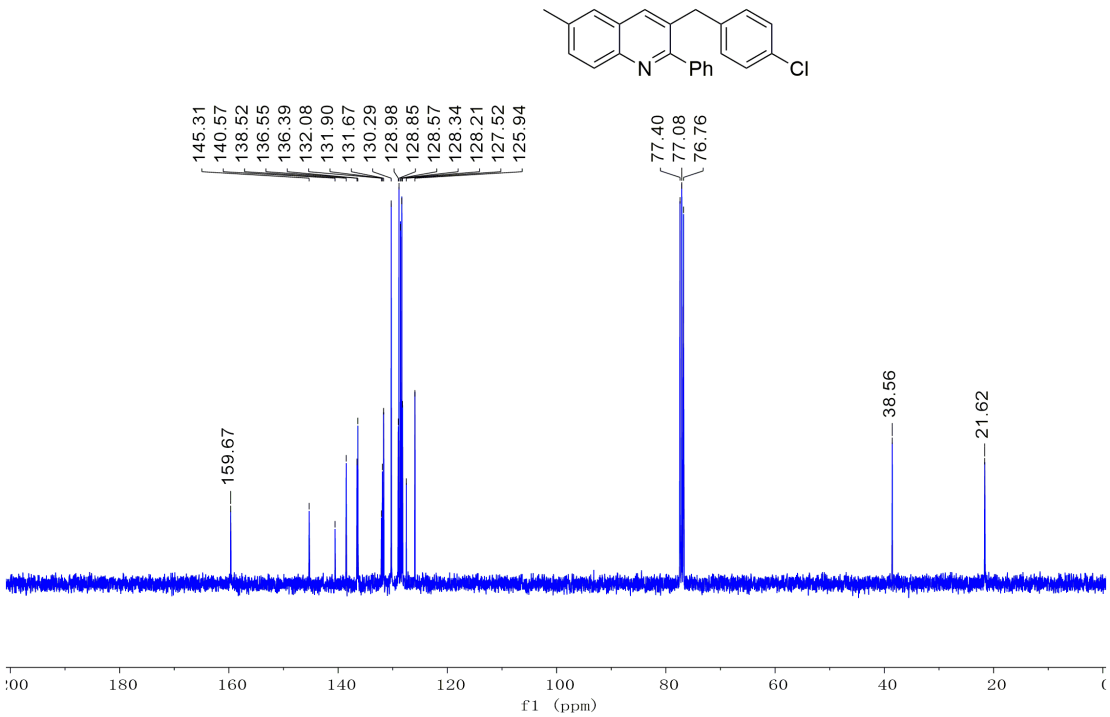

## $^{13}$C-NMR spectrum of 3ea



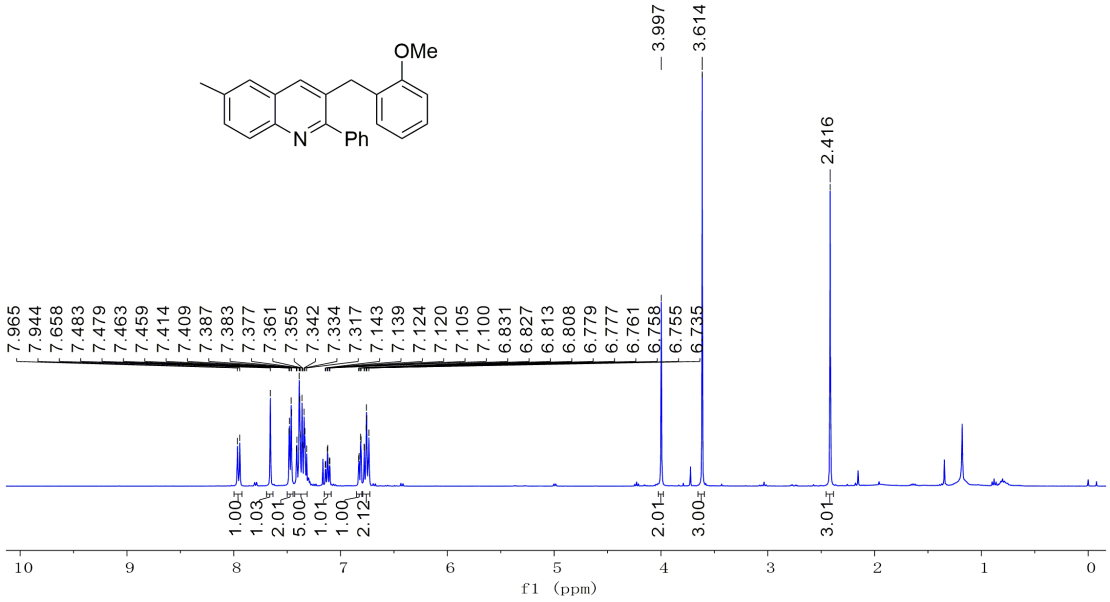
## $^{1}$H-NMR spectrum of 3ec



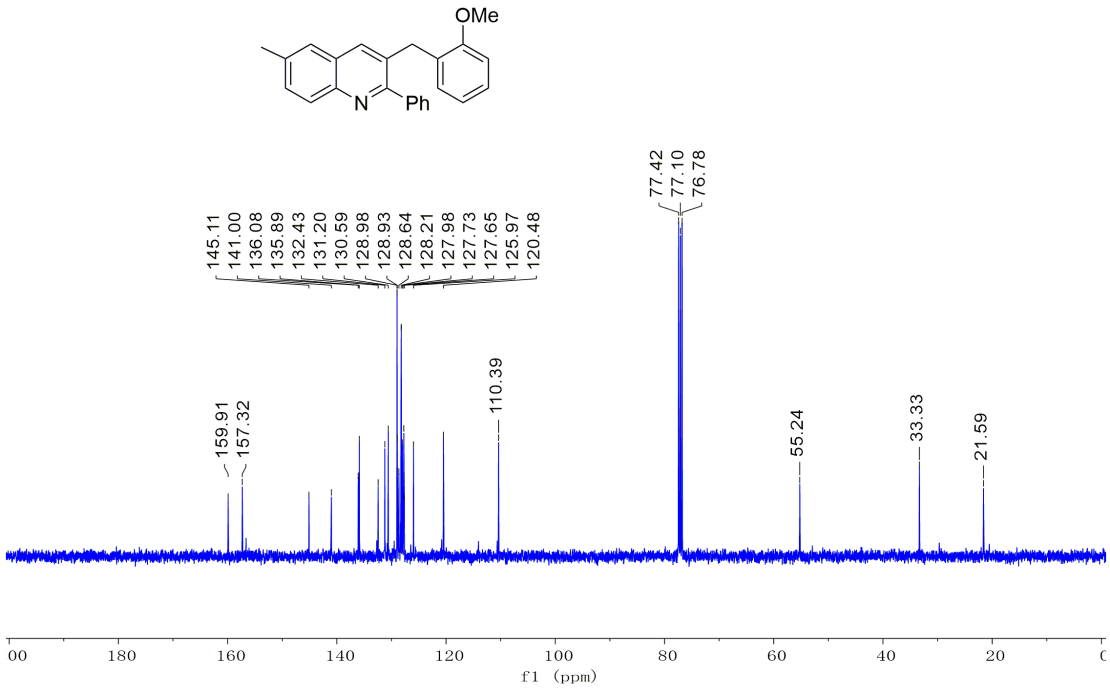

## $^{13}$C-NMR spectrum of 3ec



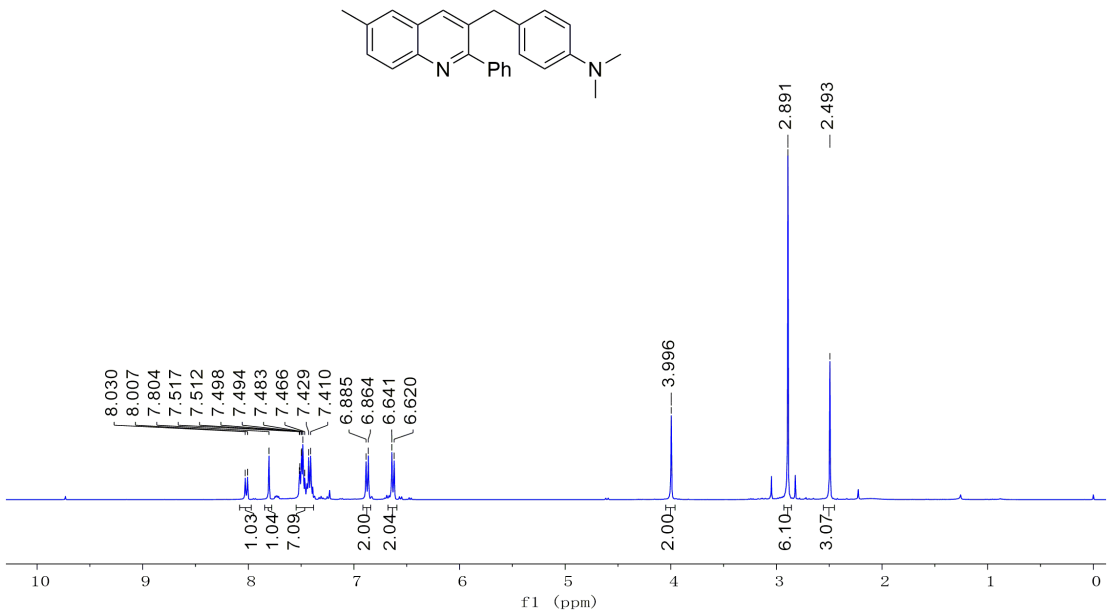
## $^{1}$H-NMR spectrum of 3ef



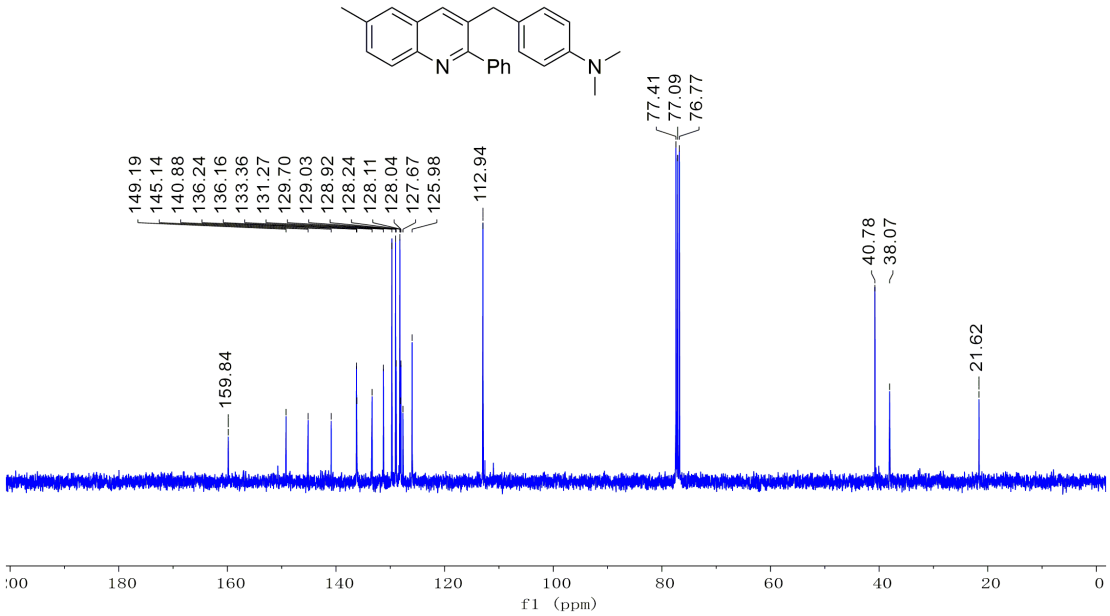

## $^{13}$C-NMR spectrum of 3ef



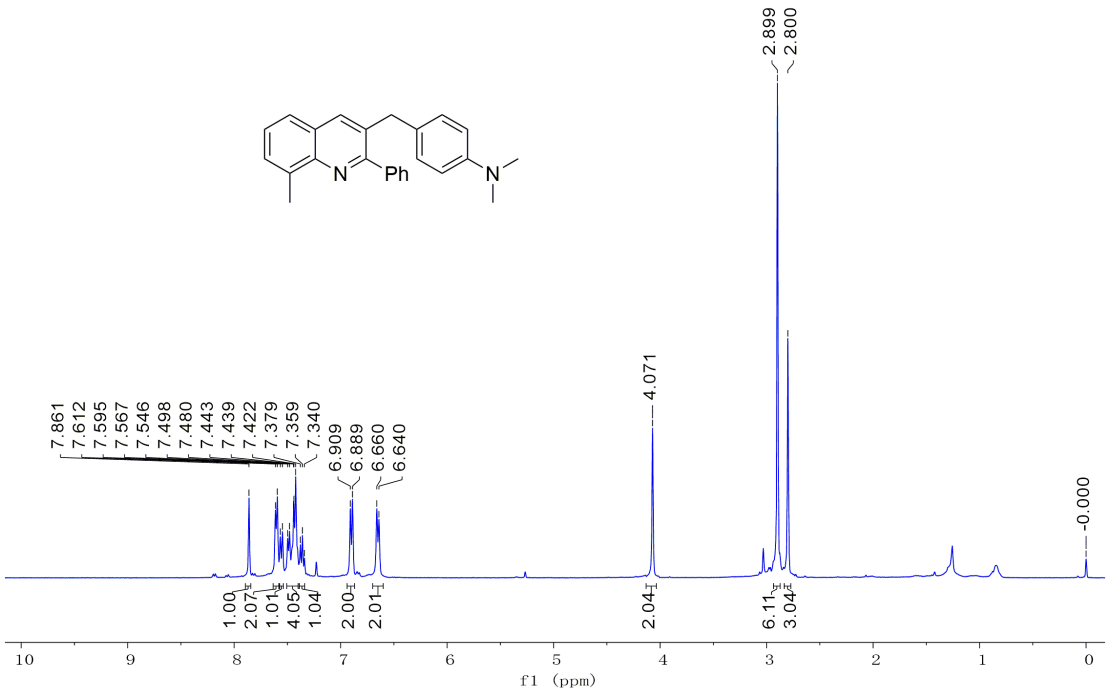
## $^{1}$H-NMR spectrum of 3eh



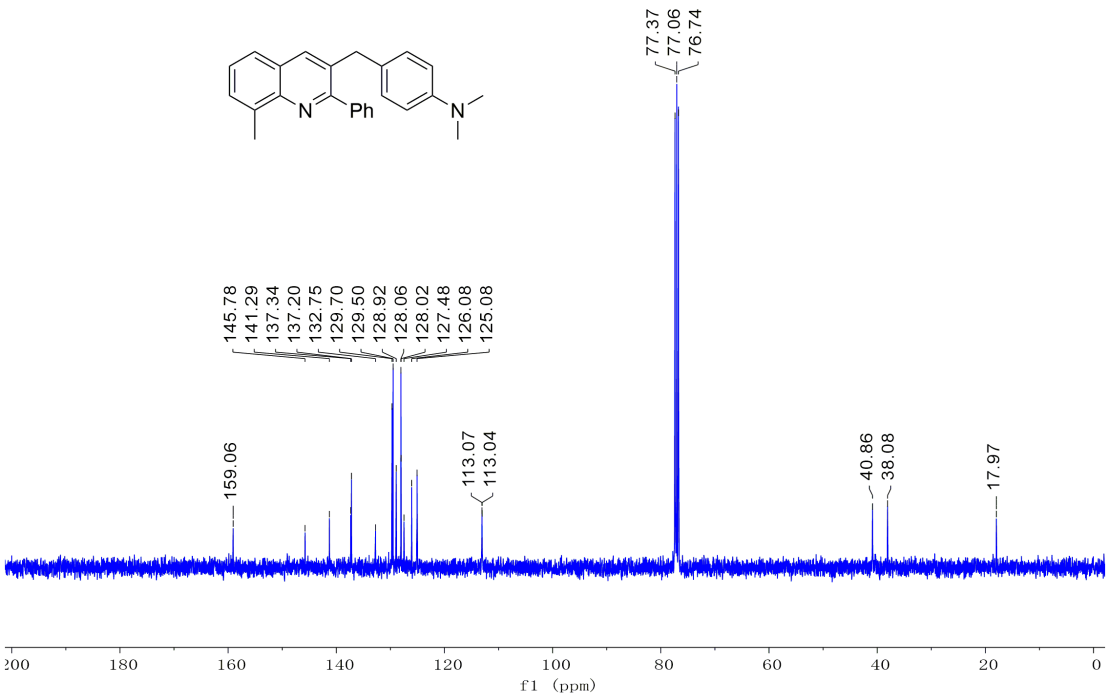

## $^{13}$C-NMR spectrum of 3eh



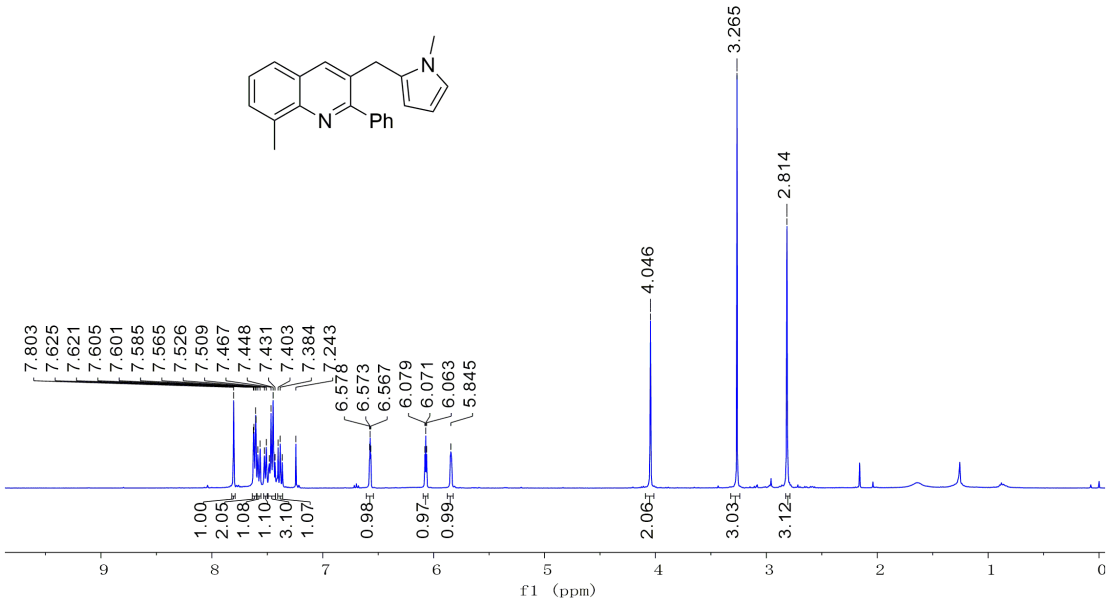
## $^{13}$C-NMR spectrum of 3fh



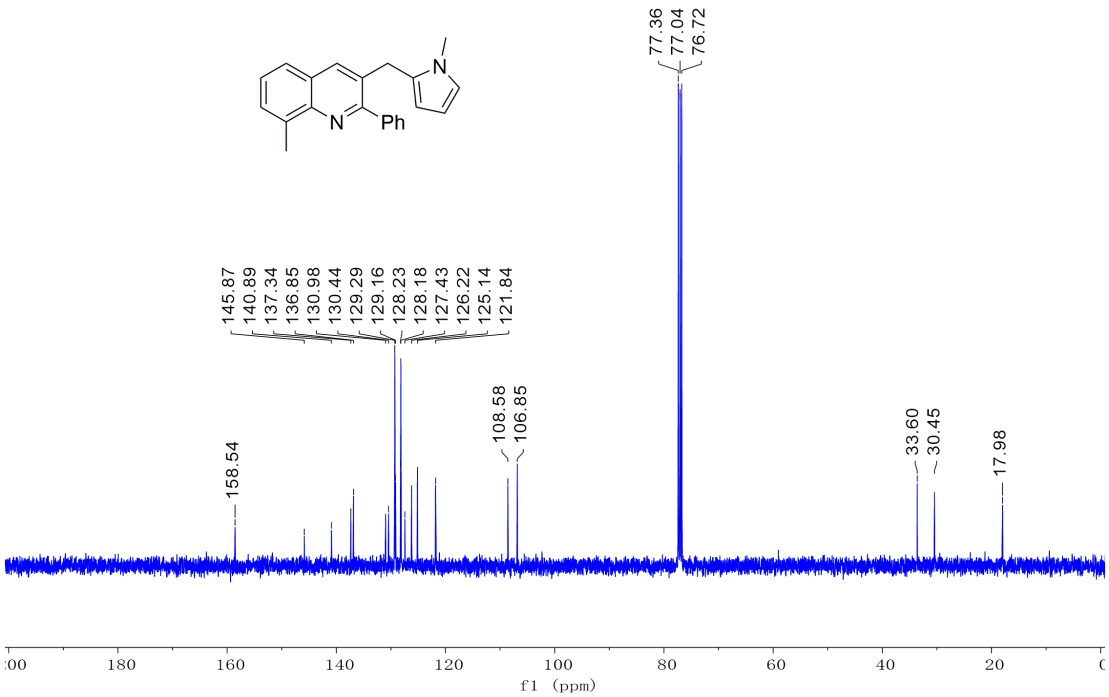

## $^{13}$C- NMR spectrum of 3fh



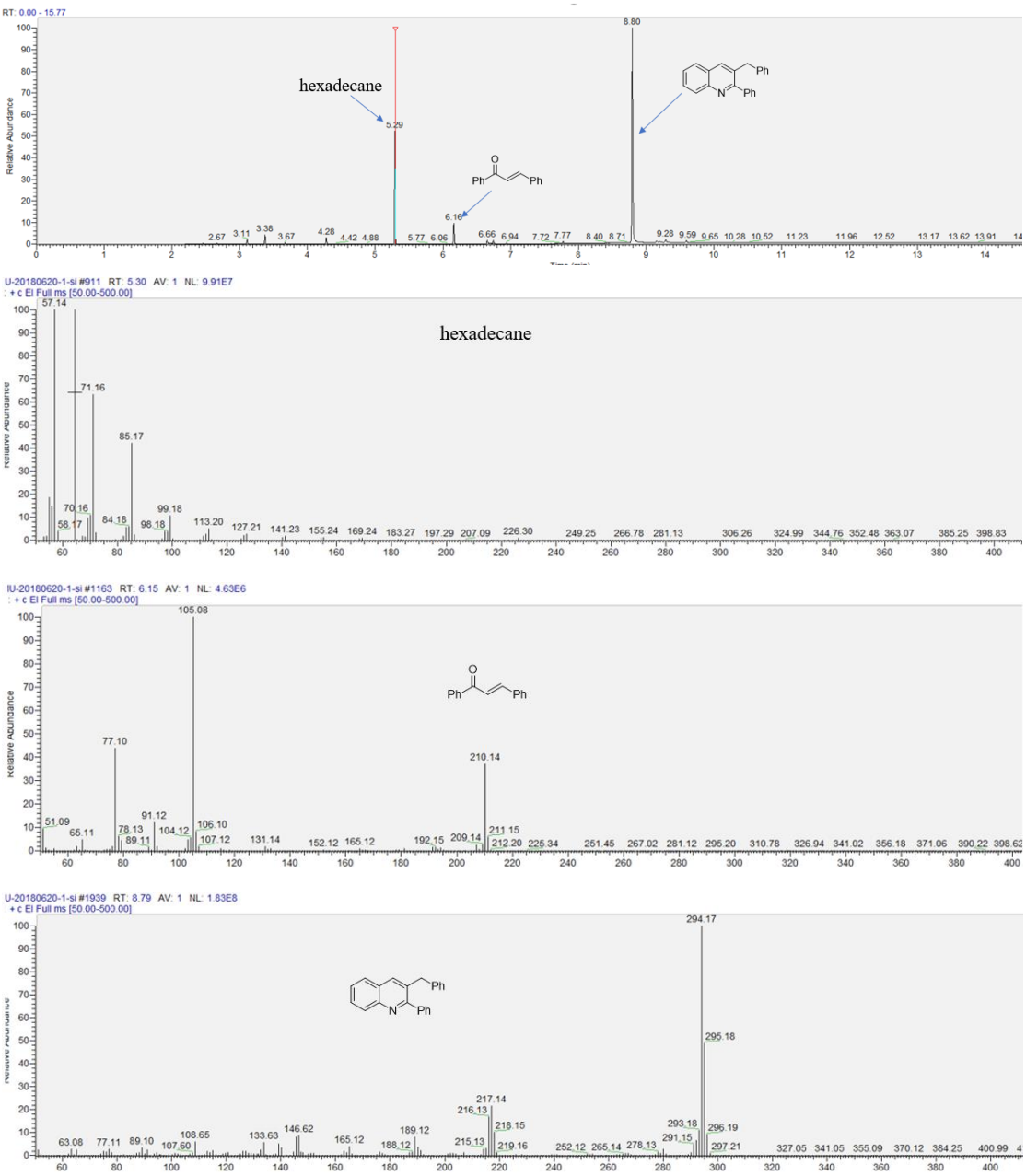
## $^{1}$H-NMR spectrum of 3fl



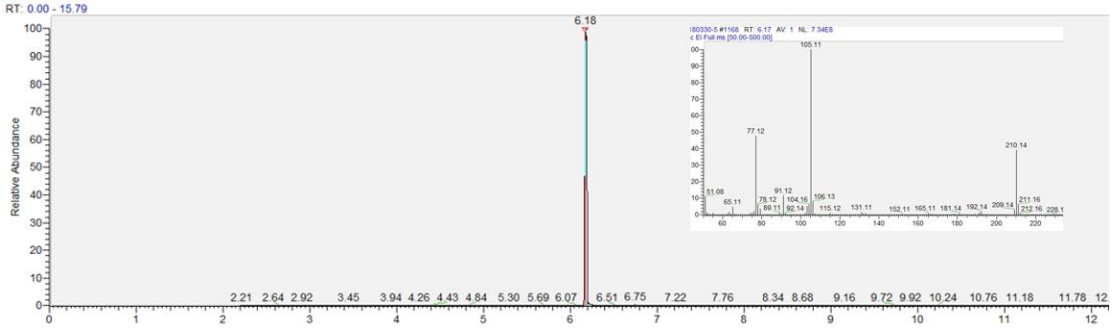

## $^{13}$C-NMR spectrum of 3fl



## GC-MS spectra of control experiments




GC-MS of the model reaction from **1a** and **2a** after two hours



GC-MS of standard substance **2a'**