Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Catalyst-Free Synthesis of Quinoline-enols through Coupling between Heterocycle N-oxides and CF_3-ynones under Mild Conditions

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1. General information.

All reactions were carried out using oven-dried glassware and magnetic stirring under argon gas unless otherwise stated. Reaction temperatures are reported as the temperature of the bath surrounding the vessel. Analytical thin layer chromatography was performed on silica gel aluminum plates with F-254 indicator and visualized by UV light (254 nm). Column chromatography was performed using 200-300 mesh silica gel. NMR spectra were recorded on AVANCE III HD 400 MHz or Bruker AVANCE III 300 MHz spectrometer. Chemical shifts (δ) are quoted in ppm relative to TMS (^{1}H) and $CFCl_3$ (^{19}F). Coupling constants (J) are quoted in Hz. The following abbreviations were used to show the multiplicities: s: singlet, d: doublet, t: triplet, q: quadruplet, dd: doublet of doublet, m: multiplet. The residual solvent signals were used as references ($CDCl_3$: δ_H = 7.26 ppm, δ_C = 77.00 ppm or relative to external $CFCl_3$, δ_F = 0 ppm). High-resolution mass spectrometry (HRMS) was carried out on a Waters Xevo G2-XS QTof. IR spectra were recorded on a VERTEX 70, the wave numbers of recorded IR-signals are quoted in cm^{-1}.

2. Materials.

Anhydrous ethyl acetate was purchased from Innochem Ltd. (Extra Dry, with molecular sieves, Water ≤50 ppm, in resealable bottle), *m*CPBA was purchased from Energy Chemical Ltd. Derivatives **1a** and **1o** were purchased from Aldrich Chemical Ltd. All the compounds were used as received unless otherwise stated. Heating mantle was used for heating. Derivatives **2** were synthesized according to literature[1].

List of the derivatives **1**:

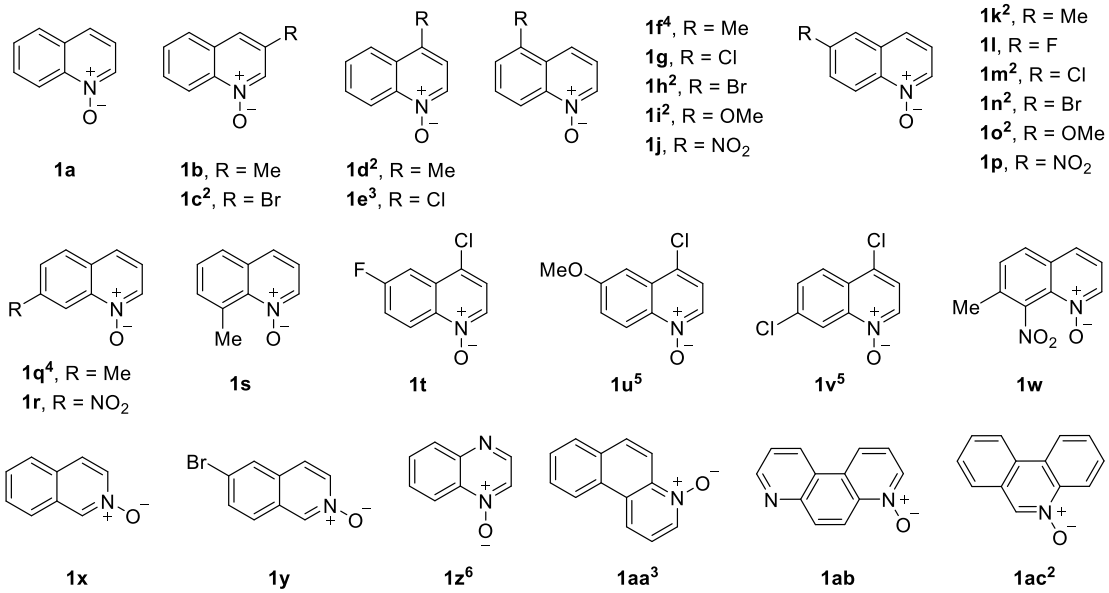
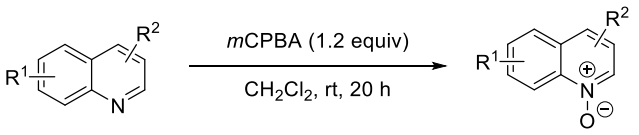


Figure S1 List of derivatives **1**

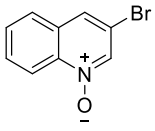
3. General procedure for the synthesis of derivatives 1b-n, 1p-ac.



1

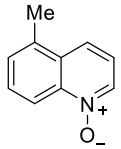
An oven-dried 120 mL Schlenk tube equipped with a stirring bar was charged with quinoline (5 mmol, l.0 equiv), 3-chloroperbenzoic acid (*m*CPBA, 85%, 6 mmol, 1.2 equiv) and CH_2Cl_2 (25 ml). The reaction mixture was stirred at room temperature under Ar for 20 h. After reaction completed, a solution of saturated $NaHCO_3$ was added to the mixture to neutralize the residual *m*CPBA, and the mixture was extracted with CH_2Cl_2 (3 × 10 mL). The organic phase was combined and washed with saturated NaCl solution (3 × 10 mL), dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel to afford the corresponding product **1**.

4. Purification and characterization of derivatives 1.



1c

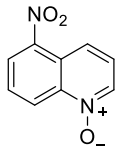
3-bromoquinoline 1-oxide 1c. On a 10 mmol scale, 24 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 3.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 5:1) as a white solid (38%, 852.8 mg). R_f (petroleum ether/ethyl acetate = 0:1): 0.60. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 8.61 – 8.36 (m, 2H), 7.73 (s, 1H), 7.69 – 7.46 (m, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 140.0, 136.6, 130.0, 129.8, 129.4, 127.1, 127.0, 119.3, 114.0. **IR** (KBr, cm^{-1}) ν: 3413, 3054, 1657, 1554, 1493, 1424, 1354, 1310, 1264, 1213, 1143, 1077, 963, 905, 838, 760. **HRMS** (ESI) calcd for $C_9H_7BrNO^+$ *m/z* 223.9706 $[M+H]^+$, Found 223.9711.



1f

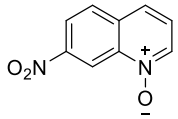
5-methylquinoline 1-oxide 1f. On a 6 mmol scale, 20 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 2.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 5:1) as a brown solid (44%, 421.8 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.20. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 8.59 (d, *J* = 8.7 Hz, 1H), 8.51 (d, *J* = 6.0 Hz, 1H), 7.84 (d, *J* = 8.7 Hz, 1H), 7.60 (dd, *J* = 8.7,

7.2 Hz, 1H), 7.42 (d, *J* = 6.9 Hz, 1H), 7.28 (dd, *J* = 8.7, 6.0 Hz, 1H), 2.65 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 141.4, 135.0, 134.7, 129.4, 128.7, 122.0, 119.9, 117.2, 18.6, one carbon was overlapped. **IR** (KBr, cm^{-1}) ν: 3410, 2964, 2915, 1565, 1515, 1452, 1405, 1296, 1231, 1191, 1139, 1069, 912, 855, 785. **HRMS** (ESI) calcd for $C_{10}H_{10}NO^+$ *m/z* 160.0757 $[M+H]^+$, Found 160.0765.



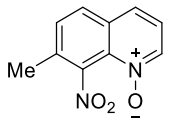
1j

5-nitroquinoline 1-oxide 1j. The product was purified by flash column chromatography on silica gel (height 20 cm, width 2.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 1:1) as a yellow solid (11%, 100.4 mg). R_f (petroleum ether/ethyl acetate = 0:1): 0.30. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 9.15 (d, *J* = 9.0 Hz, 1H), 8.62 (d, *J* = 6.3 Hz, 1H), 8.56 – 8.38 (m, 2H), 7.86 (dd, *J* = 8.7, 8.1 Hz, 1H), 7.53 (dd, *J* = 9.0, 6.3 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 146.0, 142.4, 136.2, 128.4, 126.6, 126.4, 124.0, 123.9, 121.0. **IR** (KBr, cm^{-1}) ν: 3416, 3102, 1568, 1518, 1396, 1344, 1261, 1197, 1130, 870, 784.



1r

7-nitroquinoline 1-oxide 1r. The product was purified by flash column chromatography on silica gel (height 20 cm, width 2.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 1:1) as a yellow solid (44%, 422.3 mg). R_f (petroleum ether/ethyl acetate = 0:1): 0.30. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 9.58 (d, *J* = 2.1 Hz, 1H), 8.59 (d, *J* = 6.0 Hz, 1H), 8.39 (dd, *J* = 9.0, 2.1 Hz, 1H), 8.05 (d, *J* = 9.0 Hz, 1H), 7.81 (d, *J* = 8.4 Hz, 1H), 7.50 (dd, *J* = 8.4, 6.0 Hz, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 148.3, 141.2, 136.8, 133.4, 130.1, 124.6, 124.4, 122.4, 116.9. **IR** (KBr, cm^{-1}) ν: 3410, 3106, 3059, 1598, 1522, 1421, 1346, 1301, 1263, 1219, 1112, 1072, 1032, 901, 844, 796, 736, 626.

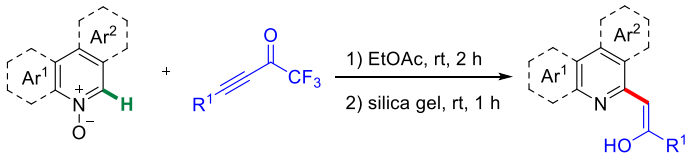


1w

7-methyl-8-nitroquinoline 1-oxide 1w. The product was purified by flash column chromatography on silica gel (height 20 cm, width 2.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 2:1) as a yellow solid (42%, 431.5 mg). R_f (petroleum ether/ethyl acetate = 0:1): 0.40. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 8.45 (d, *J* = 5.4 Hz, 1H),

7.89 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.54 (d, *J* = 8.7 Hz, 1H), 7.44 – 7.29 (m, 1H), 2.50 (s, 3H). **^{13}C NMR** (100 MHz, DMSO) δ 140.9, 137.3, 133.3, 131.3, 131.0, 130.3, 130.0, 125.4, 123.0, 16.7. **IR** (KBr, cm^{-1}) ν: 3413, 3097, 1624, 1533, 1459, 1413, 1355, 1298, 1251, 1118, 833, 758. **HRMS** (ESI) calcd for $C_{10}H_9N_2O_3^+$ *m/z* 205.0608 $[M+H]^+$, Found 205.0618.

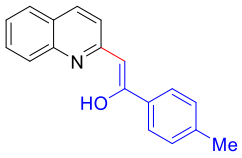
5. General procedure for the synthesis of derivatives 3.



1 **2** **3**

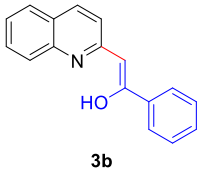
An oven-dried 25 mL Schlenk tube equipped with a stirring bar was charged with **1** (0.3 mmol, 1.5 equiv), **2** (0.2 mmol, 1.0 equiv) and EtOAc (2 ml). The resulting reaction was stirred at room temperature under air for 2 h. After reaction completed, silica gel (500 mg) was added to the mixture. The mixture was then stirred at room temperature for another 1 h. The solvent was removed, and residue was purified by flash column chromatography on silica gel to afford the corresponding product **3**.

6. Purification and characterization of derivatives 3.



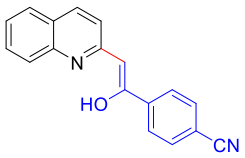
3a

2-(quinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3a. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (93%, 48.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.65 (s, 1H), 7.87 (d, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 9.2 Hz, 1H), 7.54 – 7.41 (m, 3H), 7.28 – 7.19 (m, 3H), 6.82 (d, *J* = 8.8 Hz, 1H), 6.06 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.1, 154.0, 140.7, 137.8, 137.0, 135.9, 130.9, 129.0, 127.5, 126.6, 123.5, 123.2, 122.3, 118.0, 89.6, 21.4. **IR** (KBr, cm^{-1}) ν: 3418, 2915, 1626, 1546, 1411, 1333, 1184, 1141, 966, 826, 756, 618. **HRMS** (ESI) calcd for $C_{18}H_{16}NO^+$ *m/z* 262.1226 $[M+H]^+$, Found 262.1236.



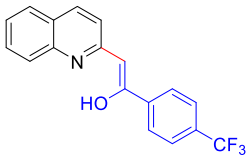
3b

1-phenyl-2-(quinolin-2-yl)ethen-1-ol 3b. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (78%, 38.7 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.70 (s, 1H), 8.01 – 7.91 (m, 2H), 7.64 (d, *J* = 9.2 Hz, 1H), 7.57 – 7.40 (m, 6H), 7.29 – 7.22 (m, 1H), 6.86 (d, *J* = 9.2 Hz, 1H), 6.08 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.0, 154.1, 139.8, 137.8, 136.1, 131.0, 130.4, 128.3, 127.6, 126.6, 123.7, 123.3, 122.3, 118.2, 89.9. **IR** (KBr, cm^{-1}) ν: 3358, 2974, 2888, 1629, 1545, 1451, 1407, 1333, 1090, 1051, 882, 820, 729, 695. **HRMS** (ESI) calcd for $C_{17}H_{14}NO^+$ *m/z* 248.1070 $[M+H]^+$, Found 248.1076.



3c

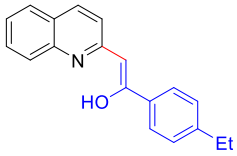
4-(1-hydroxy-2-(quinolin-2-yl)vinyl)benzonitrile 3c. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (66%, 36.1 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.13. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.86 (s, 1H), 8.01 (d, *J* = 8.0 Hz, 2H), 7.82 – 7.67 (m, 3H), 7.64 – 7.45 (m, 3H), 7.32 (t, *J* = 7.6 Hz, 1H), 6.91 (d, *J* = 9.2 Hz, 1H), 6.07 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 180.8, 154.5, 143.7, 137.5, 137.0, 132.1, 131.3, 127.7, 127.0, 124.4, 123.6, 121.9, 118.7, 118.6, 113.3, 90.5. **IR** (KBr, cm^{-1}) ν: 3416, 1628, 1581, 1550, 1417, 1341, 1292, 1210, 1145, 1108, 973, 853, 825, 754, 711, 655. **HRMS** (ESI) calcd for $C_{18}H_{13}N_2O^+$ *m/z* 273.1022 $[M+H]^+$, Found 273.1031.



3d

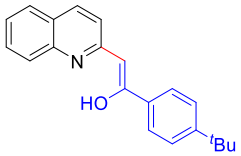
2-(quinolin-2-yl)-1-(4-(trifluoromethyl)phenyl)ethen-1-ol 3d. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (63%, 39.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.81 (s, 1H), 8.03 (d, *J* = 8.0 Hz, 2H), 7.77 – 7.64 (m, 3H), 7.61 – 7.45 (m, 3H), 7.28 (d, *J* = 7.2 Hz, 1H), 6.88 (d, *J* = 9.2 Hz, 1H), 6.07 (s, 1H). **^{19}F NMR** (376 MHz, $CDCl_3$) *δ* -63.1 (s). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 181.8, 154.4, 143.0, 137.6, 136.7, 131.7 (q, *J* = 32.0 Hz), 131.2, 127.7, 126.8, 125.2 (q, *J* = 4.0 Hz), 124.1, 124.0 (q, *J* = 271.0 Hz), 123.5, 122.0, 118.4, 90.2. **IR** (KBr, cm^{-1}) ν: 3426, 2924, 1641, 1589, 1556, 1530, 1329, 1223, 1160, 1116, 1064, 1016, 854, 826, 760, 668. **HRMS** (ESI) calcd for $C_{18}H_{13}F_3NO^+$

m/z 316.0944 $[M+H]^+$, Found 316.0952.



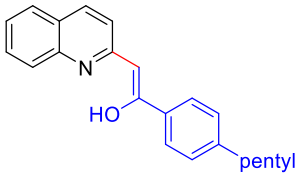
3e

1-(4-ethylphenyl)-2-(quinolin-2-yl)ethen-1-ol 3e. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 54.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.64 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 8.8 Hz, 1H), 7.54 – 7.39 (m, 3H), 7.31 – 7.14 (m, 3H), 6.82 (d, *J* = 9.2 Hz, 1H), 6.05 (s, 1H), 2.70 (q, *J* = 7.6 Hz, 2H), 1.27 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 154.0, 146.9, 137.8, 137.3, 135.9, 130.9, 127.8, 127.5, 126.7, 123.5, 123.2, 122.4, 118.0, 89.6, 28.8, 15.3. **IR** (KBr, cm^{-1}) ν: 3416, 2924, 2859, 1622, 1541, 1410, 1330, 1410, 1181, 1140, 964, 825, 749, 617. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1391.



3f

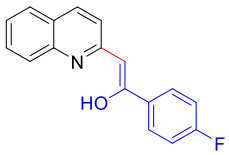
1-(4-(tert-butyl)phenyl)-2-(quinolin-2-yl)ethen-1-ol 3f. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (98%, 59.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.65 (s, 1H), 7.90 (d, *J* = 8.4 Hz, 2H), 7.61 (d, *J* = 9.2 Hz, 1H), 7.54 – 7.42 (m, 5H), 7.23 (t, *J* = 7.2 Hz, 1H), 6.84 (d, *J* = 8.8 Hz, 1H), 6.07 (s, 1H), 1.36 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.1, 154.0, 153.8, 137.9, 137.0, 135.9, 130.9, 127.5, 126.5, 125.2, 123.5, 123.2, 122.4, 118.1, 89.7, 34.8, 31.2. **IR** (KBr, cm^{-1}) ν: 3427, 2959, 1634, 1580, 1462, 1414, 1343, 1154, 1023, 971, 828, 755, 661. **HRMS** (ESI) calcd for $C_{21}H_{22}NO^+$ *m/z* 304.1696 $[M+H]^+$, Found 304.1706.



3g

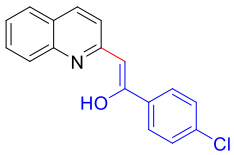
1-(4-pentylphenyl)-2-(quinolin-2-yl)ethen-1-ol 3g. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (99%, 62.8 mg). R_f

(petroleum ether/ethyl acetate = 10:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.64 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 9.2 Hz, 1H), 7.54 – 7.39 (m, 3H), 7.26 – 7.18 (m, 3H), 6.82 (d, *J* = 9.2 Hz, 1H), 6.06 (s, 1H), 2.65 (t, *J* = 8.0 Hz, 2H), 1.71 – 1.60 (m, 2H), 1.40 – 1.30 (m, 4H), 0.90 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 153.9, 145.7, 137.8, 137.2, 135.9, 130.9, 128.3, 127.5, 126.6, 123.5, 123.2, 122.4, 118.0, 89.6, 35.8, 31.5, 30.9, 22.5, 14.0. **IR** (KBr, cm^{-1}) ν: 3421, 2921, 2854, 1637, 1549, 1414, 1339, 1182, 1153, 1052, 823, 745, 612. **HRMS** (ESI) calcd for $C_{22}H_{24}NO^+$ *m/z* 318.1852 $[M+H]^+$, Found 318.1859.



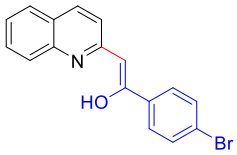
3h

1-(4-fluorophenyl)-2-(quinolin-2-yl)ethen-1-ol 3h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 30:1) as a yellow solid (99%, 52.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.61 (s, 1H), 7.95 (dd, *J* = 8.4, 5.6 Hz, 2H), 7.63 (d, *J* = 9.2 Hz, 1H), 7.58 – 7.40 (m, 3H), 7.27 – 7.21 (m, 1H), 7.10 (t, *J* = 8.4 Hz, 2H), 6.83 (d, *J* = 9.2 Hz, 1H), 6.00 (s, 1H). **^{19}F NMR** (376 MHz, $CDCl_3$) *δ* -110.8 (s). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.2, 164.2 (d, *J* = 249.0 Hz), 154.0, 137.5, 136.2, 136.1 (d, *J* = 1.0 Hz), 131.0, 128.8 (d, *J* = 9.0 Hz), 127.6, 123.7, 123.2, 122.2, 117.9, 115.1 (d, *J* = 21.0 Hz), 89.3. **IR** (KBr, cm^{-1}) ν: 3400, 2973, 2922, 1627, 1594, 1501, 1415, 1331, 1149, 1092, 1052, 966, 830, 753, 658, 617. **HRMS** (ESI) calcd for $C_{17}H_{13}FNO^+$ *m/z* 266.0976 $[M+H]^+$, Found 266.0978.



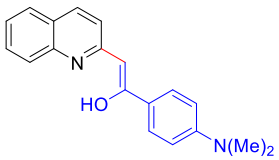
3i

1-(4-chlorophenyl)-2-(quinolin-2-yl)ethen-1-ol 3i. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (96%, 54.0 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.68 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.66 (d, *J* = 9.2 Hz, 1H), 7.58 – 7.44 (m, 3H), 7.40 (d, *J* = 8.4 Hz, 2H), 7.26 (t, *J* = 8.0 Hz, 1H), 6.85 (d, *J* = 9.2 Hz, 1H), 6.02 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.7, 154.1, 138.2, 137.6, 136.4, 136.4, 131.1, 128.4, 128.0, 127.6, 123.9, 123.3, 122.2, 118.1, 89.6. **IR** (KBr, cm^{-1}) ν: 3414, 3050, 2923, 1628, 1582, 1547, 1487, 1413, 1334, 1214, 1184, 1146, 1085, 1008, 969, 830, 759, 616. **HRMS** (ESI) calcd for $C_{17}H_{13}ClNO^+$ *m/z* 282.0680 $[M+H]^+$, Found 282.0689.



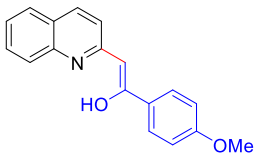
3j

1-(4-bromophenyl)-2-(quinolin-2-yl)ethen-1-ol 3j. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (70%, 45.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.71 (s, 1H), 7.82 (d, *J* = 8.8 Hz, 2H), 7.69 (d, *J* = 9.2 Hz, 1H), 7.64 – 7.43 (m, 5H), 7.28 (t, *J* = 8.0 Hz, 1H), 6.87 (d, *J* = 8.8 Hz, 1H), 6.04 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.8, 154.2, 138.7, 137.7, 136.5, 131.5, 131.2, 128.3, 127.7, 123.9, 123.4, 122.2, 119.0, 118.2, 89.6. **IR** (KBr, cm^{-1}) ν: 3402, 2973, 2890, 1630, 1575, 1458, 1413, 1333, 1150, 1091, 1053, 1002, 881, 830, 748, 656. **HRMS** (ESI) calcd for $C_{17}H_{13}BrNO^+$ *m/z* 326.0175 $[M+H]^+$, Found 326.0183.



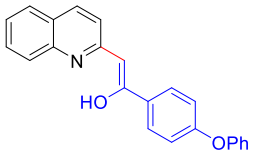
3k

1-(4-(dimethylamino)phenyl)-2-(quinolin-2-yl)ethen-1-ol 3k. 5 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 5:1) as a yellow solid (99%, 57.5 mg). R_f (petroleum ether/ethyl acetate = 3:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.5**) δ 15.40 (s, 1H), 8.08 (d, *J* = 8.4 Hz, 1H), 8.02 (d, *J* = 8.8 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 2H), 7.81 – 7.65 (m, 1H), 7.55 – 7.40 (m, 4H), 7.37 (d, *J* = 8.0 Hz, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 6.77 (d, *J* = 9.2 Hz, 1H), 6.71 (d, *J* = 8.8 Hz, 2H), 6.63 (d, *J* = 8.8 Hz, 1H), 6.00 (s, 1H), 4.61 (s, 1H), 3.03 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.5**) δ 194.6, 185.0, 156.8, 153.5, 153.2, 152.1, 148.0, 137.9, 136.3, 135.2, 131.1, 130.7, 129.7, 129.3, 128.9, 128.3, 127.5, 127.4, 127.0, 126.1, 124.6, 122.9, 122.9, 122.8, 122.1, 117.4, 111.1, 110.6, 88.7, 49.1, 40.2, 40.0. **IR** (KBr, cm^{-1}) ν: 3431, 3050, 2918, 2858, 1599, 1447, 1413, 1332, 1190, 953, 822, 754, 616. **HRMS** (ESI) calcd for $C_{19}H_{19}N_2O^+$ *m/z* 291.1492 $[M+H]^+$, Found 291.1503.



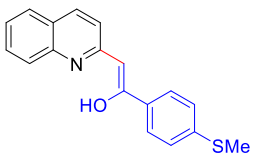
3l

1-(4-methoxyphenyl)-2-(quinolin-2-yl)ethen-1-ol 3l. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 40:1) as a yellow solid (99%, 54.9 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.53 (s, 1H), 7.93 (d, *J* = 8.8 Hz, 2H), 7.58 (d, *J* = 9.2 Hz, 1H), 7.53 – 7.38 (m, 3H), 7.21 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 8.8 Hz, 2H), 6.81 (d, *J* = 9.2 Hz, 1H), 6.02 (s, 1H), 3.86 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 161.6, 153.7, 137.7, 135.8, 132.5, 130.9, 128.4, 127.5, 123.4, 123.0, 122.4, 117.7, 113.5, 89.1, 55.3. **IR** (KBr, cm^{-1}) ν: 3421, 2923, 2854, 1628, 1552, 1455, 1406, 1325, 1256, 1171, 1109, 832, 757, 622. **HRMS** (ESI) calcd for $C_{18}H_{16}NO_2^+$ *m/z* 278.1176 $[M+H]^+$, Found 278.1183.



3m

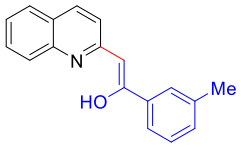
1-(4-phenoxyphenyl)-2-(quinolin-2-yl)ethen-1-ol 3m. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 67.1 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.59 (s, 1H), 7.94 (d, *J* = 7.6 Hz, 2H), 7.62 (d, *J* = 9.2 Hz, 1H), 7.57 – 7.32 (m, 5H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.16 (t, *J* = 7.2 Hz, 1H), 7.06 (dd, *J* = 16.0, 8.0 Hz, 4H), 6.84 (d, *J* = 8.8 Hz, 1H), 6.03 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.2, 154.2, 141.6, 137.6, 136.5, 134.4, 131.1, 130.2, 129.5, 127.6, 126.9, 124.7, 123.9, 123.4, 122.1, 118.2, 89.8, two carbon were overlapped. **IR** (KBr, cm^{-1}) ν: 3427, 2969, 2923, 1642, 1587, 1553, 1413, 1336, 1211, 1143, 1093, 872, 820, 743, 678. **HRMS** (ESI) calcd for $C_{23}H_{18}NO_2^+$ *m/z* 340.1332 $[M+H]^+$, Found 340.1333.



3n

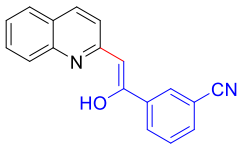
1-(4-(methylthio)phenyl)-2-(quinolin-2-yl)ethen-1-ol 3n. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (99%, 58.1 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.65 (s, 1H), 7.88 (d, *J* = 8.8 Hz, 2H), 7.63 (d, *J* = 9.2 Hz, 1H), 7.57 – 7.42 (m, 3H), 7.33 – 7.19 (m, 3H), 6.84 (d, *J* = 9.2 Hz, 1H), 6.04 (s, 1H), 2.53 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.5, 154.0, 141.8, 137.8, 136.3, 136.1, 131.0, 127.6, 127.1, 125.5, 123.6, 123.2, 122.4, 118.0, 89.5, 15.2. **IR** (KBr, cm^{-1}) ν: 3422, 3050, 2974, 2912, 1627, 1578,

1414, 1332, 1196, 1148, 1095, 962, 832, 752, 660, 613. **HRMS** (ESI) calcd for $C_{18}H_{16}NOS^+$ *m/z* 294.0947 $[M+H]^+$, Found 294.0955.



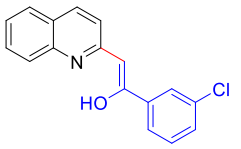
3o

2-(quinolin-2-yl)-1-(*m*-tolyl)ethen-1-ol 3o. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 51.7 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.71 (s, 1H), 7.79 (s, 1H), 7.75 (d, *J* = 7.6 Hz, 1H), 7.63 (d, *J* = 9.2 Hz, 1H), 7.57 – 7.43 (m, 3H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.29 – 7.20 (m, 2H), 6.85 (d, *J* = 9.2 Hz, 1H), 6.07 (s, 1H), 2.43 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.3, 154.1, 139.7, 137.9, 137.8, 136.0, 131.1, 130.9, 128.1, 127.5, 127.3, 123.8, 123.6, 123.3, 122.3, 118.1, 89.9, 21.5. **IR** (KBr, cm^{-1}) ν: 3421, 2921, 2856, 1631, 1551, 1408, 1337, 1185, 1143, 814, 766. **HRMS** (ESI) calcd for $C_{18}H_{16}NO^+$ *m/z* 262.1226 $[M+H]^+$, Found 262.1233.



3p

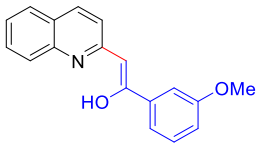
3-(1-hydroxy-2-(quinolin-2-yl)vinyl)benzonitrile 3p. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (76%, 41.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.76 (s, 1H), 8.22 (s, 1H), 8.16 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 9.2 Hz, 1H), 7.70 (d, *J* = 7.6 Hz, 1H), 7.63 – 7.47 (m, 4H), 7.35 – 7.28 (m, 1H), 6.91 (d, *J* = 9.2 Hz, 1H), 6.04 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 181.1, 154.4, 141.0, 137.3, 136.9, 133.3, 131.3, 130.8, 130.4, 129.1, 127.7, 124.3, 123.5, 122.0, 118.7, 118.2, 112.5, 89.5. **IR** (KBr, cm^{-1}) ν: 3428, 2971, 2919, 1639, 1557, 1408, 1342, 1151, 1091, 1053, 820, 743, 685. **HRMS** (ESI) calcd for $C_{18}H_{13}N_2O^+$ *m/z* 273.1022 $[M+H]^+$, Found 273.1026.



3q

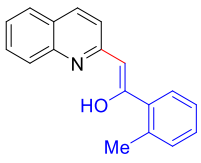
1-(3-chlorophenyl)-2-(quinolin-2-yl)ethen-1-ol 3q. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum

ether/ethyl acetate, gradient: 100:0 to 30:1) as a yellow solid (89%, 50.1 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.69 (s, 1H), 7.92 (s, 1H), 7.81 (d, *J* = 7.6 Hz, 1H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.58 – 7.31 (m, 5H), 7.26 (t, *J* = 7.2 Hz, 1H), 6.85 (d, *J* = 9.2 Hz, 1H), 6.01 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.7, 159.6, 156.4, 153.9, 137.7, 136.0, 134.7, 131.0, 129.8, 128.5, 127.6, 123.8, 123.6, 123.2, 122.4, 119.6, 117.9, 117.8, 89.4. **IR** (KBr, cm^{-1}) ν: 3418, 2870, 1630, 1588, 1494, 1406, 1258, 1207, 1161, 1108, 832, 755, 697. **HRMS** (ESI) calcd for $C_{17}H_{13}ClNO^+$ *m/z* 282.0680 $[M+H]^+$, Found 282.0683.



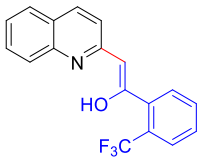
3r

1-(3-methoxyphenyl)-2-(quinolin-2-yl)ethen-1-ol 3r. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 30:1 to 20:1) as a yellow solid (99%, 54.9 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.66 (s, 1H), 7.63 (d, *J* = 8.8 Hz, 1H), 7.55 – 7.43 (m, 5H), 7.36 – 7.30 (m, 1H), 7.26 – 7.20 (m, 1H), 6.99 (d, *J* = 8.0 Hz, 1H), 6.84 (d, *J* = 8.8 Hz, 1H), 6.06 (s, 1H), 3.88 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.0, 159.7, 154.0, 141.3, 137.6, 136.2, 131.0, 129.2, 127.6, 123.7, 123.2, 122.3, 119.1, 118.0, 116.8, 111.2, 89.9, 55.3. **IR** (KBr, cm^{-1}) ν: 3061, 3007, 2940, 2839, 1679, 1588, 1491, 1426, 1333, 1269, 1155, 1040, 968, 913, 827, 752, 686. **HRMS** (ESI) calcd for $C_{18}H_{16}NO_2^+$ *m/z* 278.1176 $[M+H]^+$, Found 278.1184.



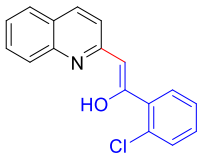
3s

2-(quinolin-2-yl)-1-(o-tolyl)ethen-1-ol 3s. 12 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:1 to 50:1) as a yellow solid (88%, 46.2 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.42 (s, 1H), 7.60 (d, *J* = 9.2 Hz, 1H), 7.55 – 7.42 (m, 4H), 7.31 – 7.15 (m, 4H), 6.75 (d, *J* = 8.8 Hz, 1H), 5.64 (s, 1H), 2.53 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 189.2, 153.6, 141.5, 137.7, 136.1, 135.7, 130.9, 130.8, 128.7, 127.5, 127.5, 125.4, 123.6, 123.2, 122.1, 118.1, 93.7, 20.3. **IR** (KBr, cm^{-1}) ν: 3423, 2966, 2921, 1632, 1579, 1547, 1408, 1336, 1216, 1140, 1062, 966, 819, 738, 653. **HRMS** (ESI) calcd for $C_{18}H_{16}NO^+$ *m/z* 262.1226 $[M+H]^+$, Found 262.1233.



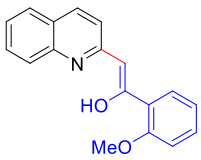
3t

2-(quinolin-2-yl)-1-(2-(trifluoromethyl)phenyl)ethen-1-ol 3t. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 30:0 to 20:1) as a yellow solid (72%, 45.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.18 (s, 1H), 7.75 – 7.64 (m, 2H), 7.63 – 7.38 (m, 6H), 7.33 – 7.26 (m, 1H), 6.78 (d, J = 9.2 Hz, 1H), 5.59 (s, 1H). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -58.6. **^{13}C NMR** (100 MHz, $CDCl_3$) δ 187.6, 153.4, 141.7 (q, J = 2.0 Hz), 137.1, 136.7, 131.5 (q, J = 1.0 Hz), 131.2, 128.8, 128.5, 127.6, 127.1 (q, J = 32.0 Hz), 126.3 (q, J = 5.0 Hz), 124.1 (q, J = 272.0 Hz), 123.9, 123.2, 121.9, 117.9, 93.0. **IR** (KBr, cm^{-1}) ν: 3425, 3067, 2923, 2855, 1632, 1590, 1547, 1412, 1313, 1164, 1113, 1037, 965, 866, 828, 767, 668. **HRMS** (ESI) calcd for $C_{18}H_{13}F_3NO^+$ *m/z* 316.0944 $[M+H]^+$, Found 316.0948.



3u

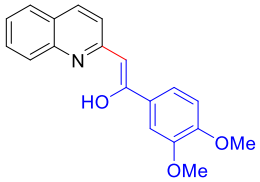
1-(2-chlorophenyl)-2-(quinolin-2-yl)ethen-1-ol 3u. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (99%, 55.7 mg). R_f (petroleum ether/ethyl acetate = 3:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.36 (s, 1H), 7.67 (d, J = 9.2 Hz, 1H), 7.62 – 7.46 (m, 4H), 7.45 – 7.37 (m, 1H), 7.34 – 7.26 (m, 3H), 6.82 (d, J = 8.8 Hz, 1H), 5.74 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 185.9, 153.6, 140.9, 137.3, 136.6, 131.1, 131.0, 130.2, 129.8, 129.4, 127.6, 126.6, 123.9, 123.3, 122.1, 118.0, 93.8. **IR** (KBr, cm^{-1}) ν: 3427, 2923, 2856, 1632, 1585, 1548, 1408, 1338, 1220, 1145, 1045, 824, 750, 653. **HRMS** (ESI) calcd for $C_{17}H_{13}ClNO^+$ *m/z* 282.0680 $[M+H]^+$, Found 282.0689.



3v

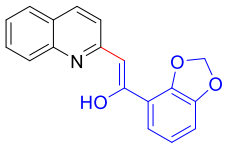
1-(2-methoxyphenyl)-2-(quinolin-2-yl)ethen-1-ol 3v. 5 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 20:1 to 10:1) as a yellow solid (99%, 54.9 mg).

R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.63 (s, 1H), 7.76 (d, *J* = 7.6 Hz, 1H), 7.62 (d, *J* = 9.2 Hz, 1H), 7.53 – 7.42 (m, 3H), 7.36 (t, *J* = 7.6 Hz, 1H), 7.24 (d, *J* = 7.2 Hz, 1H), 7.07 – 6.94 (m, 2H), 6.82 (d, *J* = 8.8 Hz, 1H), 6.10 (s, 1H), 3.92 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.0, 157.2, 153.8, 137.9, 135.9, 130.8, 130.7, 130.1, 129.7, 127.5, 123.5, 123.3, 122.5, 120.5, 118.3, 111.4, 94.9, 55.7. **IR** (KBr, cm^{-1}) ν: 3402, 3064, 2931, 2841, 2039, 1943, 1629, 1407, 1281, 1172, 1074, 909, 747, 655. **HRMS** (ESI) calcd for $C_{18}H_{16}NO_2^+$ *m/z* 278.1176 $[M+H]^+$, Found 278.1180.



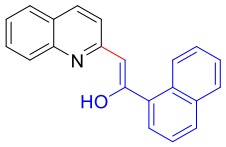
3w

1-(3,4-dimethoxyphenyl)-2-(quinolin-2-yl)ethen-1-ol 3w. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 5:1) as a yellow solid (99%, 60.8 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.10. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.52 (s, 1H), 7.62 – 7.46 (m, 5H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 8.4 Hz, 1H), 6.82 (d, *J* = 8.8 Hz, 1H), 6.03 (s, 1H), 3.99 (s, 3H), 3.94 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.3, 153.6, 151.1, 148.8, 137.5, 135.8, 132.9, 131.0, 127.5, 123.4, 123.0, 122.4, 119.9, 117.5, 110.2, 109.5, 89.0, 55.92, 55.89. **IR** (KBr, cm^{-1}) ν: 3422, 3069, 2934, 2840, 1628, 1511, 1412, 1332, 1260, 1145, 1028, 916, 819, 733, 639. **HRMS** (ESI) calcd for $C_{19}H_{18}NO_3^+$ *m/z* 308.1281 $[M+H]^+$, Found 308.1290.



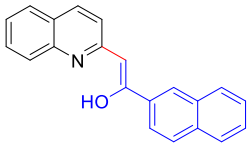
3x

1-(benzo[*d*][1,3]dioxol-4-yl)-2-(quinolin-2-yl)ethen-1-ol 3x. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (54%, 31.6 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.48 (s, 1H), 7.64 – 7.35 (m, 6H), 7.21 (t, *J* = 7.2 Hz, 1H), 6.93 – 6.69 (m, 2H), 6.01 (s, 2H), 5.95 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.7, 153.7, 149.5, 147.8, 137.6, 135.8, 134.5, 130.9, 127.5, 123.4, 123.0, 122.4, 121.5, 117.7, 107.8, 107.0, 101.3, 89.1. **IR** (KBr, cm^{-1}) ν: 3059, 2902, 1632, 1552, 1486, 1443, 1324, 1252, 1147, 1034, 931, 817, 745, 661. **HRMS** (ESI) calcd for $C_{18}H_{14}NO_3^+$ *m/z* 292.0968 $[M+H]^+$, Found 292.0976.



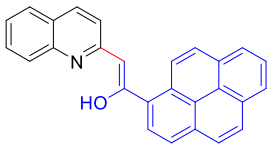
3y

1-(naphthalen-1-yl)-2-(quinolin-2-yl)ethen-1-ol 3y. 12 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:1 to 50:1) as a yellow solid (99%, 58.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.63 (s, 1H), 8.55 (d, *J* = 8.4 Hz, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.76 – 7.64 (m, 2H), 7.63 – 7.39 (m, 6H), 7.33 – 7.26 (m, 1H), 6.82 (d, *J* = 8.8 Hz, 1H), 5.85 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 188.8, 153.7, 139.9, 137.6, 136.3, 133.8, 131.1, 130.4, 129.5, 128.2, 127.6, 126.4, 126.2, 125.8, 125.4, 124.9, 123.7, 123.3, 122.1, 118.1, 94.5. **IR** (KBr, cm^{-1}) ν: 3047, 2932, 2863, 2740, 2675, 2492, 2308, 1724, 1628, 1587, 1544, 1501, 1410, 1326, 1188,, 1151, 1081, 1022, 968, 873, 827, 784, 631. **HRMS** (ESI) calcd for $C_{21}H_{16}NO^+$ *m/z* 298.1226 $[M+H]^+$, Found 298.1234.



3z

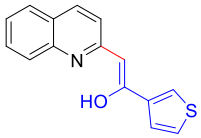
1-(naphthalen-2-yl)-2-(quinolin-2-yl)ethen-1-ol 3z. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:1 to 50:1) as a yellow solid (99%, 58.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.83 (s, 1H), 8.49 (s, 1H), 8.09 – 7.83 (m, 4H), 7.66 (d, *J* = 9.2 Hz, 1H), 7.62 – 7.39 (m, 5H), 7.34 – 7.19 (m, 1H), 6.90 (d, *J* = 8.8 Hz, 1H), 6.24 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.6, 154.2, 137.9, 137.0, 136.2, 134.4, 133.0, 131.0, 129.1, 127.9, 127.6, 127.6, 127.0, 126.8, 126.2, 123.8, 123.7, 123.4, 122.3, 118.2, 90.3. **IR** (KBr, cm^{-1}) ν: 3421, 3053, 1623, 1578, 1543, 1405, 1321, 1186, 1118, 955, 869, 826, 749, 611. **HRMS** (ESI) calcd for $C_{21}H_{16}NO^+$ *m/z* 298.1226 $[M+H]^+$, Found 298.1231.



3aa

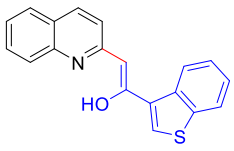
1-(pyren-1-yl)-2-(quinolin-2-yl)ethen-1-ol 3aa. 20 h, 40 °C. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 15:1) as a yellow solid (64%, 47.8 mg).

R_f (petroleum ether/ethyl acetate = 5:1): 0.40. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 15.74 (s, 1H), 8.87 (d, *J* = 9.3 Hz, 1H), 8.30 – 7.97 (m, 8H), 7.69 – 7.50 (m, 4H), 7.33 – 7.26 (m, 1H), 6.84 (d, *J* = 9.3 Hz, 1H), 5.99 (s, 1H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 188.9, 153.5, 137.6, 137.0, 136.4, 131.9, 131.3, 131.1, 130.9, 128.4, 128.0, 127.8, 127.6, 127.3, 126.0, 125.6, 125.5, 125.3, 125.2, 124.9, 124.8, 124.4, 123.8, 123.3, 122.2, 118.1, 95.3. **IR** (KBr, cm^{-1}) ν: 3410, 3041, 2922, 2853, 1630, 1548, 1411, 1327, 1217, 1142, 966, 840, 750, 615. **HRMS** (ESI) calcd for $C_{27}H_{18}NO^+$ *m/z* 372.1383 $[M+H]^+$, Found 372.1392.



3ab

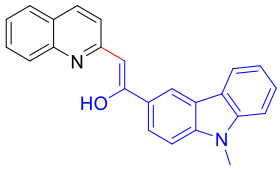
2-(quinolin-2-yl)-1-(thiophen-3-yl)ethen-1-ol 3ab. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:1 to 30:1) as a yellow solid (82%, 41.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.54**) δ 15.16 (s, 1H), 8.37 (d, *J* = 8.4 Hz, 0.54H), 8.22 (d, *J* = 8.4 Hz, 0.54H), 8.13 (d, *J* = 8.4 Hz, 0.54H), 7.89 (d, *J* = 8.0 Hz, 0.54H), 7.84 (d, *J* = 4.8 Hz, 0.54H), 7.78 – 7.73 (m, 0.57H), 7.71 – 7.65 (m, 1H), 7.64 – 7.57 (m, 2H), 7.56 – 7.43 (m, 3H), 7.39 (d, *J* = 8.0 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.19 – 7.15 (m, 0.54H), 7.13 – 7.07 (m, 1H), 6.81 (d, *J* = 9.2 Hz, 1H), 5.94 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.54**) δ 179.2, 153.4, 151.0, 147.5, 147.0, 140.4, 137.4, 137.3, 136.2, 136.0, 135.9, 131.2, 131.1, 130.4, 129.8, 129.5, 129.5, 128.6, 127.8, 127.7, 127.6, 126.9, 123.6, 122.9, 122.2, 118.9, 117.3, 89.0, two carbon were overlapped. **IR** (KBr, cm^{-1}) ν: 3419, 3100, 2922, 2854, 1628, 1587, 1551, 1508, 1415, 1331, 1250, 1183, 1148, 1082, 1014, 973, 828, 753, 619. **HRMS** (ESI) calcd for $C_{15}H_{12}NOS^+$ *m/z* 254.0634 $[M+H]^+$, Found 254.0646.



3ac

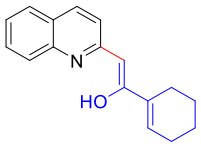
1-(benzo[*b*]thiophen-3-yl)-2-(quinolin-2-yl)ethen-1-ol 3ac. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (99%, 60.2 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.37 (s, 1H), 8.68 (d, *J* = 8.4 Hz, 1H), 7.97 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.60 (d, *J* = 9.2 Hz, 1H), 7.54 – 7.44 (m, 4H), 7.42 – 7.36 (m, 1H), 7.26 – 7.19 (m, 1H), 6.81 (d, *J* = 9.2 Hz, 1H), 5.96 (s, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.3, 153.4, 140.4, 138.0, 137.5,

137.3, 136.0, 131.0, 128.9, 127.6, 125.0, 124.8, 124.6, 123.5, 123.0, 122.4, 122.2, 117.6, 91.6. **IR** (KBr, cm^{-1}) ν: 3420, 3025, 2918, 1596, 1376, 1316, 1177, 1077, 1003, 859, 814, 757, 676. **HRMS** (ESI) calcd for $C_{19}H_{14}NOS^+$ *m/z* 304.0791 $[M+H]^+$, Found 304.0797.



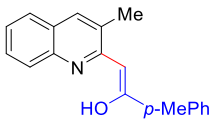
3ad

1-(9-methyl-9H-carbazol-3-yl)-2-(quinolin-2-yl)ethen-1-ol 3ad. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 5:1) as a yellow solid (94%, 66.2 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.60 (s, 1H), 8.74 (s, 1H), 8.18 – 8.09 (m, 2H), 7.52 – 7.35 (m, 7H), 7.29 – 7.24 (m, 1H), 7.18 (t, *J* = 7.2 Hz, 1H), 6.80 (d, *J* = 9.2 Hz, 1H), 6.19 (s, 1H), 3.79 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 185.4, 153.5, 142.5, 141.5, 137.7, 135.5, 131.0, 130.8, 127.5, 125.9, 124.9, 123.18, 123.17, 123.0, 122.6, 122.6, 120.4, 119.44, 119.42, 117.5, 108.6, 107.9, 89.4, 29.1. **IR** (KBr, cm^{-1}) ν: 3423, 1628, 1586, 1550, 1411, 1335, 1252, 1198, 1132, 967, 825, 751. **HRMS** (ESI) calcd for $C_{24}H_{19}N_2O^+$ *m/z* 351.1492 $[M+H]^+$, Found 351.1501.



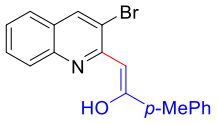
3ae

1-(cyclohex-1-en-1-yl)-2-(quinolin-2-yl)ethen-1-ol 3ae. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:1 to 50:1) as a yellow solid (99%, 49.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.56 (s, 1H), 7.57 – 7.44 (m, 1H), 7.25 – 7.16 (m, 3H), 7.23 (dd, *J* = 10.9, 3.6 Hz, 1H), 6.79 (d, *J* = 9.1 Hz, 1H), 5.65 (s, 1H), 4.37 (s, 0.64H), 2.45 – 2.33 (m, 2H), 2.27 – 2.22 (m, 2H), 1.75 – 1.62 (m, 4H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.0, 154.6, 142.4, 139.1, 137.2, 135.6, 131.6, 130.6, 127.4, 123.5, 122.3, 119.2, 89.9, 25.9, 24.3, 22.6, 21.9. **IR** (KBr, cm^{-1}) ν: 3414, 3042, 2923, 2854, 1613, 1550, 1413, 1334, 1188, 1141, 1072, 921, 826, 746. **HRMS** (ESI) calcd for $C_{17}H_{18}NO^+$ *m/z* 252.1383 $[M+H]^+$, Found 252.1393.



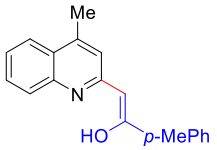
3af

2-(3-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3af. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 54.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.15 (s, 1H), 7.89 (d, *J* = 7.6 Hz, 2H), 7.56 – 7.38 (m, 4H), 7.29 – 7.16 (m, 3H), 6.06 (s, 1H), 2.41 (s, 3H), 2.29 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 185.3, 154.0, 140.7, 137.8, 136.3, 134.6, 130.0, 129.0, 128.6, 126.77, 126.76, 123.3, 122.8, 117.2, 85.9, 21.4, 18.6. **IR** (KBr, cm^{-1}) ν: 3421, 2969, 2918, 2861, 1630, 1559, 1434, 1387, 1324, 1278, 1233, 1189, 889, 834, 749, 617. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1387.



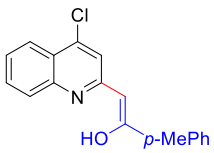
3ag

2-(3-bromoquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ag. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 67.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.60. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.22 (s, 1H), 7.99 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 2H), 7.56 – 7.38 (m, 3H), 7.29 – 7.18 (m, 3H), 6.55 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.0, 152.8, 141.0, 138.8, 138.1, 136.2, 131.1, 129.1, 126.7, 126.7, 124.0, 123.4, 119.2, 115.6, 89.8, 21.5. **IR** (KBr, cm^{-1}) ν: 3416, 3036, 2917, 2857, 1585, 1445, 1369, 1315, 1268, 1210, 1116, 1001, 905, 829, 748. **HRMS** (ESI) calcd for $C_{18}H_{15}BrNO^+$ *m/z* 340.0332 $[M+H]^+$, Found 340.0338.



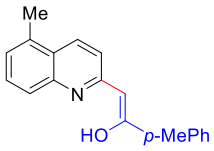
3ah

2-(4-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ah. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 54.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.58 (s, 1H), 7.86 (d, *J* = 8.0 Hz, 2H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.56 – 7.40 (m, 2H), 7.27 – 7.22 (m, 3H), 6.69 (s, 1H), 5.99 (s, 1H), 2.50 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.4, 153.7, 144.1, 140.5, 137.4, 137.4, 130.7, 128.9, 126.6, 124.0, 123.6, 123.3, 121.6, 118.2, 88.7, 21.4, 19.0. **IR** (KBr, cm^{-1}) ν: 3431, 3025, 2918, 2853, 1627, 1544, 1448, 1341, 1291, 1229, 1178, 1071, 987, 851, 749. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1393.



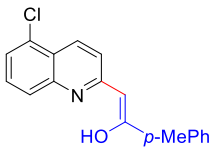
3ai

2-(4-chloroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ai. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 58.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.57 (s, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 2H), 7.59 – 7.52 (m, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.35 – 7.21 (m, 3H), 6.97 (s, 1H), 6.01 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.7, 153.7, 141.3, 140.9, 139.4, 136.2, 131.8, 129.0, 126.6, 124.6, 124.1, 121.7, 121.5, 119.0, 89.8, 21.4. **IR** (KBr, cm^{-1}) ν: 3421, 2969, 2919, 2858, 1615, 1547, 1456, 1321, 1175, 1110, 988, 859, 752, 656. **HRMS** (ESI) calcd for $C_{18}H_{15}ClNO^+$ *m/z* 296.0837 $[M+H]^+$, Found 296.0841.



3aj

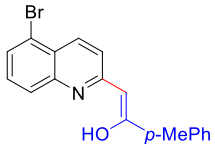
2-(5-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3aj. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (98%, 54.0 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 16.68 (s, 1H), 8.12 (d, *J* = 9.3 Hz, 1H), 7.92 (d, *J* = 7.8 Hz, 2H), 7.72 – 7.50 (m, 2H), 7.42 – 7.25 (m, 3H), 6.99 (d, *J* = 9.0 Hz, 1H), 2.64 (s, 3H), 2.45 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 193.9, 153.6, 144.6, 136.6, 136.2, 136.0, 135.8, 132.3, 129.8, 129.5, 127.1, 123.2, 119.0, 116.9, 102.2, 21.7, 18.3. **IR** (KBr, cm^{-1}) ν: 3418, 1621, 1567, 1381, 1300, 1267, 1180, 955, 879, 790, 748, 706, 635. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1310 $[M+H]^+$, Found 276.1388.



3ak

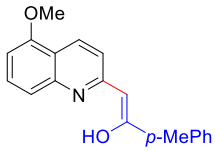
2-(5-chloroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ak. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 58.4 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.62 (s,

1H), 8.01 (d, *J* = 9.2 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 2H), 7.45 – 7.33 (m, 2H), 7.31 – 7.20 (m, 3H), 6.93 (d, *J* = 9.2 Hz, 1H), 6.09 (s, 1H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.4, 154.1, 141.0, 139.7, 136.4, 132.0, 131.9, 130.7, 129.1, 126.7, 123.8, 123.4, 121.2, 117.5, 90.4, 21.5. **IR** (KBr, cm^{-1}) ν: 3411, 2975, 2896, 1613, 1544, 1451, 1325, 1177, 1135, 1090, 1050, 963, 879, 825, 789, 740, 655. **HRMS** (ESI) calcd for $C_{18}H_{15}ClNO^+$ *m/z* 296.0837 $[M+H]^+$, Found 296.0844.



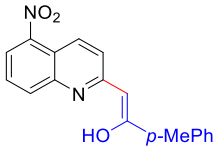
3al

2-(5-bromoquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3al. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 100:1) as a yellow solid (99%, 67.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.60 (s, 1H), 7.95 (d, *J* = 9.6 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.48 – 7.29 (m, 3H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.89 (d, *J* = 9.6 Hz, 1H), 6.08 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.1, 154.1, 141.0, 139.8, 136.3, 134.5, 131.1, 129.0, 127.3, 126.6, 123.7, 122.5, 122.3, 118.3, 90.4, 21.4. **IR** (KBr, cm^{-1}) ν: 3432, 3021, 2918, 2854, 1615, 1547, 1448, 1409, 1320, 1177, 1131, 937, 827, 788, 741, 649. **HRMS** (ESI) calcd for $C_{18}H_{15}BrNO^+$ *m/z* 340.0332 $[M+H]^+$, Found 340.0339.



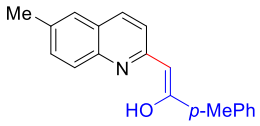
3am

2-(5-methoxyquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3am. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 57.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.68 (s, 1H), 8.03 (d, *J* = 9.2 Hz, 1H), 7.86 (d, *J* = 8.4 Hz, 2H), 7.42 (t, *J* = 8.0 Hz, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.05 (d, *J* = 8.4 Hz, 1H), 6.79 (d, *J* = 9.2 Hz, 1H), 6.64 (d, *J* = 8.0 Hz, 1H), 6.04 (s, 1H), 3.93 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.0, 155.4, 154.2, 140.6, 138.6, 137.2, 131.3, 130.8, 129.0, 126.6, 120.8, 114.3, 110.6, 103.3, 89.2, 55.7, 21.4. **IR** (KBr, cm^{-1}) ν: 3420, 2971, 2915, 1635, 1554, 1479, 1412, 1356, 1276, 1219, 1152, 1101, 830, 787, 734. **HRMS** (ESI) calcd for $C_{19}H_{18}NO_2^+$ *m/z* 292.1332 $[M+H]^+$, Found 292.1340.



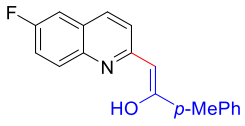
3an

2-(5-nitroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3an. 12 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a brown solid (99%, 60.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.55 (s, 1H), 8.35 (d, *J* = 9.6 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.57 (t, *J* = 8.0 Hz, 1H), 7.26 – 7.22 (m, 2H), 7.05 (d, *J* = 9.6 Hz, 1H), 6.11 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.0, 154.1, 145.8, 141.4, 140.4, 135.4, 130.4, 129.3, 129.1, 126.6, 125.9, 125.2, 120.4, 116.6, 91.4, 21.4. **IR** (KBr, cm^{-1}) ν: 3415, 3103, 2922, 2858, 1585, 1532, 1460, 1326, 1173, 1072, 968, 810, 733, 642. **HRMS** (ESI) calcd for $C_{18}H_{15}N_2O_3^+$ *m/z* 307.1077 $[M+H]^+$, Found 307.1079.



3ao

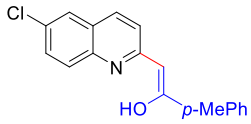
2-(6-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ao. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (99%, 54.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.76 (s, 1H), 7.85 (d, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.44 – 7.34 (m, 2H), 7.31 (s, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.85 (d, *J* = 9.2 Hz, 1H), 6.05 (s, 1H), 2.43 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 183.1, 153.9, 140.5, 137.0, 136.1, 135.8, 133.4, 132.4, 129.0, 127.1, 126.6, 123.3, 122.2, 118.1, 89.4, 21.4, 21.0. **IR** (KBr, cm^{-1}) ν: 3409, 2919, 2855, 1628, 1552, 1434, 1384, 1331, 1237, 1182, 1117, 966, 824, 771, 663. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1393.



3ap

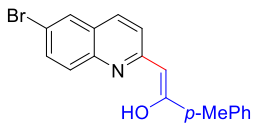
2-(6-fluoroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ap. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 50:1 to 30:1) as a yellow solid (99%, 55.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.82 (s, 1H), 8.08 – 7.97 (m, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.62 (d, *J* = 9.2 Hz, 1H), 7.50 (dd,

J = 9.2, 4.8 Hz, 1H), 7.33 – 7.27 (m, 1H), 7.25 – 7.17 (m, 2H), 6.93 (d, J = 8.8 Hz, 1H), 6.08 (s, 1H), 2.41 (s, 3H). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -118.1. **^{13}C NMR** (100 MHz, $CDCl_3$) δ 180.8, 158.8 (d, J = 243.0 Hz), 154.6, 144.3, 140.7, 136.1, 135.2 (d, J = 4.0 Hz), 129.3, 129.0, 128.9, 126.4, 123.3, 121.2 (d, J = 9.0 Hz), 112.0 (d, J = 22.0 Hz), 90.5, 21.4. **IR** (KBr, cm^{-1}) ν: 3431, 2922, 2854, 1633, 1400, 1335, 1245, 1182, 1115. **HRMS** (ESI) calcd for $C_{18}H_{15}FNO^+$ *m/z* 280.1132 $[M+H]^+$, Found 280.1141.



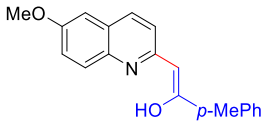
3aq

2-(6-chloroquinolin-2-yl)-1-*(p*-tolyl)ethen-1-ol 3aq. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 58.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.67 (s, 1H), 7.84 (d, J = 8.0 Hz, 2H), 7.55 (d, J = 9.2 Hz, 1H), 7.51 – 7.38 (m, 3H), 7.25 (d, J = 8.4 Hz, 2H), 6.89 (d, J = 9.2 Hz, 1H), 6.08 (s, 1H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.6, 154.3, 140.9, 137.2, 136.3, 134.7, 131.1, 129.4, 129.1, 128.9, 126.6, 124.3, 123.5, 120.2, 90.5, 21.4. **IR** (KBr, cm^{-1}) ν: 3450, 2922, 2856, 1631, 1551, 1438, 1400, 1331, 1287, 1187, 1076, 969, 867, 814, 743, 700, 657. **HRMS** (ESI) calcd for $C_{18}H_{15}ClNO^+$ *m/z* 296.0837 $[M+H]^+$, Found 296.0845.



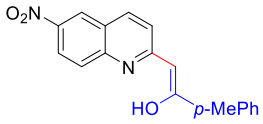
3ar

2-(6-bromoquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ar. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 67.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.50. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.63 (s, 1H), 7.84 (d, J = 8.0 Hz, 2H), 7.64 (d, J = 2.0 Hz, 1H), 7.58 (dd, J = 8.8, 2.0 Hz, 1H), 7.52 (d, J = 9.2 Hz, 1H), 7.34 (d, J = 8.8 Hz, 1H), 7.25 (d, J = 9.2 Hz, 2H), 6.86 (d, J = 9.2 Hz, 1H), 6.07 (s, 1H), 2.42 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.9, 154.2, 140.9, 137.4, 136.4, 134.6, 133.7, 129.7, 129.1, 126.6, 124.7, 123.5, 120.3, 116.3, 90.5, 21.4. **IR** (KBr, cm^{-1}) ν: 3427, 2968, 2915, 2852, 1628, 1550, 1435, 1328, 1284, 1186, 1069, 871, 814, 753, 656. **HRMS** (ESI) calcd for $C_{18}H_{15}BrNO^+$ *m/z* 340.0332 $[M+H]^+$, Found 340.0334.



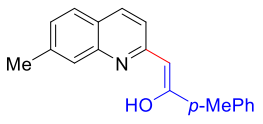
3as

2-(6-methoxyquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3as. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (99%, 57.7 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.01 (s, 1H), 7.84 (d, *J* = 8.4 Hz, 2H), 7.65 (d, *J* = 8.8 Hz, 1H), 7.49 (d, *J* = 9.2 Hz, 1H), 7.28 – 7.22 (m, 3H), 6.98 – 6.85 (m, 2H), 6.06 (s, 1H), 3.87 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 179.2, 156.1, 154.1, 140.2, 136.4, 135.5, 129.0, 126.3, 124.6, 122.4, 121.1, 121.1, 107.8, 105.1, 90.1, 55.6, 21.4. **IR** (KBr, cm^{-1}) ν: 3420, 2921, 2840, 1616, 1555, 1462, 1398, 1339, 1247, 1174, 1116, 1031, 852, 821, 770. **HRMS** (ESI) calcd for $C_{19}H_{18}NO_2^+$ *m/z* 292.1332 $[M+H]^+$, Found 292.1339.



3at

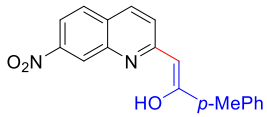
2-(6-nitroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3at. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a orange solid (45%, 27.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.34 (s, 1H), 8.47 – 8.17 (m, 2H), 7.85 (d, *J* = 7.6 Hz, 2H), 7.59 (d, *J* = 9.2 Hz, 1H), 7.42 (d, *J* = 8.8 Hz, 1H), 7.27 (d, *J* = 6.4 Hz, 2H), 6.89 (d, *J* = 9.2 Hz, 1H), 6.16 (s, 1H), 2.42 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 186.5, 153.4, 142.8, 142.2, 141.9, 136.2, 135.0, 129.2, 127.0, 125.7, 124.8, 123.5, 122.2, 118.2, 92.0, 21.5. **IR** (KBr, cm^{-1}) ν: 3396, 2924, 1635, 1553, 1456, 1327, 1282, 1189, 1148, 1083, 971, 822, 771. **HRMS** (ESI) calcd for $C_{18}H_{15}N_2O_3^+$ *m/z* 307.1077 $[M+H]^+$, Found 307.1089.



3au

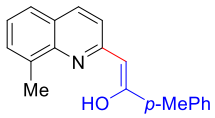
2-(7-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3au. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 70:1) as a yellow solid (99%, 54.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.66 (s, 1H), 7.86 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 8.8 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 1H), 7.28 – 7.18 (m, 3H), 7.06 (d, *J* = 8.0 Hz, 1H), 6.78 (d, *J* = 8.8 Hz, 1H), 6.04 (s, 1H), 2.46 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.1, 154.0, 141.7, 140.6, 137.8,

137.2, 135.8, 129.0, 127.3, 126.6, 125.2, 121.3, 117.8, 89.3, 21.8, 21.4. **IR** (KBr, cm^{-1}) ν: 3438, 2921, 2853, 1628, 1574, 1550, 1403, 1322, 1155, 1112, 977, 840, 772, 667. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1391.



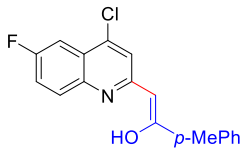
3av

2-(7-nitroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3av. 12 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (87%, 53.4 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.51 (s, 1H), 8.33 (d, *J* = 1.6 Hz, 1H), 8.02 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.70 – 7.59 (m, 2H), 7.28 – 7.24 (m, 2H), 7.02 (d, *J* = 9.2 Hz, 1H), 6.14 (s, 1H), 2.42 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 182.5, 154.9, 148.7, 141.5, 139.2, 136.0, 135.5, 134.4, 129.2, 128.5, 126.7, 126.2, 117.6, 114.6, 91.8, 21.5. **IR** (KBr, cm^{-1}) ν: 3393, 2971, 2922, 1611, 1533, 1405, 1338, 1190, 1138, 1053, 874, 839, 771, 732, 643. **HRMS** (ESI) calcd for $C_{18}H_{15}N_2O_3^+$ *m/z* 307.1077 $[M+H]^+$, Found 307.1079.



3aw

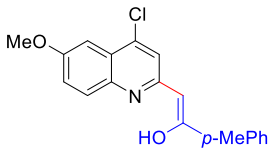
2-(8-methylquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3aw. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 30:1) as a yellow solid (99%, 54.3 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 15.89 (s, 1H), 7.88 (d, *J* = 8.1 Hz, 2H), 7.65 (d, *J* = 9.1 Hz, 1H), 7.45 – 7.33 (m, 2H), 7.27 – 7.12 (m, 3H), 6.87 (d, *J* = 9.0 Hz, 1H), 6.12 (s, 1H), 2.68 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 153.8, 140.5, 137.2, 136.8, 136.5, 131.6, 128.9, 126.7, 126.0, 125.3, 123.2, 123.0, 121.8, 89.7, 21.4, 17.2. **IR** (KBr, cm^{-1}) ν: 3399. 3033, 2922, 2861, 1923, 1800, 1628, 1467, 1419, 1337, 1190, 1114, 1078, 1033, 911, 832, 751, 607, 519. **HRMS** (ESI) calcd for $C_{19}H_{18}NO^+$ *m/z* 276.1383 $[M+H]^+$, Found 276.1393.



3ax

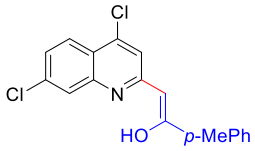
2-(4-chloro-6-fluoroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ax. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 60:1) as a yellow solid (95%,

59.7 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.60. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.50**) δ 15.66 (s, 1H), 8.05 (dd, *J* = 9.2, 5.2 Hz, 0.5H, minor), 7.99 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 2.5H), 7.64 – 7.46 (m, 3H), 7.39 – 7.32 (m, 1H), 7.29 – 7.21 (m, 3H), 7.07 (s, 1H), 6.02 (s, 1H), 4.61 (s, 1H, minor), 2.41 (s, 4.5H). **^{19}F NMR** (376 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.50**) δ -111.8 (s, minor), -115.7 (s, major). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.50**) δ 195.7, 177.3, 161.0 (d, *J* = 250.5 Hz), 159.4 (d, *J* = 247.5 Hz), 155.1 (d, *J* = 3.0 Hz), 155.0, 145.7, 144.5, 141.9 (d, *J* = 6.1 Hz), 140.8, 140.7 (d, *J* = 4.0 Hz), 138.5, 134.9, 133.9, 132.0, 131.9, 129.4, 129.1, 128.8, 126.2, 123.4 (d, *J* = 8.0 Hz), 123.3 (d, *J* = 9.1 Hz), 122.8, 122.2, 120.54 (d, *J* = 25.3 Hz), 120.51 (d, *J* = 26.3 Hz), 109.3 (d, *J* = 25.3 Hz), 107.8 (d, *J* = 25.3 Hz), 91.1, 48.6, 21.6, 21.4. **IR** (KBr, cm^{-1}) ν: 3417, 3082, 2970, 2924, 1605, 1550, 1506, 1446, 1379, 1247, 1136, 1052, 996, 869, 820, 757, 655. **HRMS** (ESI) calcd for $C_{18}H_{14}FClNO^+$ *m/z* 314.0742 $[M+H]^+$, Found 314.0743.



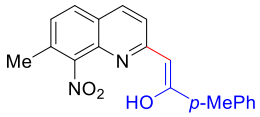
3ay

2-(4-chloro-6-methoxyquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ay. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 50:1) as a yellow solid (56%, 36.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.60. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.67**) δ 15.81 (s, 1H, major), 7.99 (d, *J* = 8.4 Hz, 1.34H, minor), 7.95 (d, *J* = 9.2 Hz, 0.67H, minor), 7.79 (d, *J* = 8.4 Hz, 2H, major), 7.58 (d, *J* = 9.2 Hz, 1H, major), 7.50 (s, 0.67H, minor), 7.41 – 7.35 (m, 1.34H, minor), 7.32 (d, *J* = 2.8 Hz, 1H, major), 7.28 (d, *J* = 2.8 Hz, 0.67H, minor), 7.23 (d, *J* = 8.0 Hz, 2.67H), 7.09 (s, 1H, major), 6.01 (s, 1H, major), 4.59 (s, 1.34H, minor), 3.95 (s, 2.01H, minor), 3.92 (s, 3H, major), 2.40 (s, 5.01H). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.67**) δ 196.0, 174.7, 158.5, 157.0, 154.5, 152.9, 144.8, 144.3, 141.2, 140.9, 140.3, 137.2, 135.0, 134.0, 130.9, 129.3, 129.1, 128.9, 126.2, 126.0, 123.7, 123.5, 123.1, 122.5, 122.3, 121.4, 104.1, 101.7, 91.1, 55.7, 55.6, 48.6, 21.6, 21.4. **IR** (KBr, cm^{-1}) ν: 3423, 3007, 2924, 2854, 1722, 1629, 1587, 1544, 1502, 1388, 1268, 1229, 1117, 1031, 836, 669. **HRMS** (ESI) calcd for $C_{19}H_{17}ClNO_2^+$ *m/z* 326.0942 $[M+H]^+$, Found 326.0954.



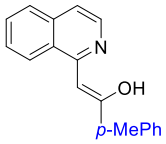
3az

2-(4,7-dichloroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3az. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 100:1) as a yellow solid (81%, 53.4 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.60. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.21**) δ 15.44 (s, 1H, major), 8.07 (d, *J* = 8.8 Hz, 0.21H, minor), 8.02 (d, *J* = 1.6 Hz, 0.21H, minor), 7.97 (d, *J* = 8.0 Hz, 0.42H, minor), 7.78 (d, *J* = 8.3 Hz, 3H, major), 7.54 – 7.48 (m, 0.42H, minor), 7.46 (s, 1H), 7.25 (d, *J* = 3.6 Hz, 0.42H, minor), 7.21 (d, *J* = 8.1 Hz, 3H, major), 6.91 (s, 1H, major), 5.97 (s, 1H, major), 4.58 (s, 0.42H, minor), 2.38 (s, 3.63H). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.21**) δ 195.5, 180.6, 157.2, 154.8, 149.0, 144.5, 142.6, 141.1, 141.0, 140.8, 137.7, 136.4, 135.3, 133.8, 129.4, 129.1, 128.8, 128.3, 128.1, 126.5, 125.75, 125.73, 125.3, 124.8, 123.7, 122.4, 121.5, 120.5, 119.4, 90.9, 21.6, 21.4. **IR** (KBr, cm^{-1}) ν: 3360, 2974, 2892, 1598, 1505, 1447, 1381, 1322, 1176, 1052, 961, 872, 811, 755, 669. **HRMS** (ESI) calcd for $C_{18}H_{14}Cl_2NO^+$ *m/z* 330.0447 $[M+H]^+$, Found 330.0454.



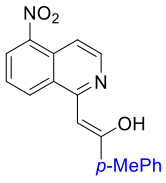
3ba

2-(7-methyl-8-nitroquinolin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3ba. 36 h, 50 ℃. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 8:1) as a red solid (74%, 47.5 mg). R_f (petroleum ether/ethyl acetate = 0:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$ **mixture of isomer, ratio = 1:0.40**) δ 15.13 (s, 1H, major), 8.08 – 7.99 (m, 1.2H, minor), 7.80 (d, *J* = 8.0 Hz, 2H, major), 7.77 – 7.70 (m, 1.40H, minor), 7.55 (d, *J* = 8.0 Hz, 1H, major), 7.48 (d, *J* = 8.4 Hz, 0.40H, minor), 7.34 (d, *J* = 8.4 Hz, 0.41H, minor), 7.25 (d, *J* = 7.2 Hz, 0.80H, minor), 7.21 (d, *J* = 8.0 Hz, 2H, major), 7.13 (d, *J* = 8.0 Hz, 1H, major), 6.98 (d, *J* = 9.2 Hz, 1H, major), 6.10 (s, 1H, major), 4.61 (s, 0.80H, minor), 2.51 (s, 3H, major), 2.48 (s, 1.20H, minor), 2.39 (s, 4.20H). **^{13}C NMR** (100 MHz, $CDCl_3$, major) δ 173.6, 157.6, 140.8, 135.3, 133.8, 133.1, 129.6, 129.3, 129.2, 129.0, 126.3, 126.2, 123.9, 122.8, 93.7, 21.4, 18.7. **IR** (KBr, cm^{-1}) ν: 3414, 2921, 2855, 1591, 1520, 1454, 1337, 1279, 1197, 1138, 1056, 896, 847, 772, 660. **HRMS** (ESI) calcd for $C_{19}H_{17}N_2O_3^+$ *m/z* 321.1234 $[M+H]^+$, Found 321.1248.



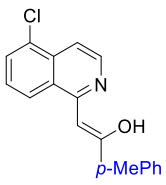
3bb

2-(isoquinolin-1-yl)-1-(*p*-tolyl)ethen-1-ol 3bb. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (99%, 51.6 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.17 (s, 1H), 8.17 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 2H), 7.66 – 7.58 (m, 1H), 7.56 – 7.45 (m, 2H), 7.33 (d, *J* = 6.0 Hz, 1H), 7.25 (d, *J* = 7.6 Hz, 2H), 6.74 (d, *J* = 9.2 Hz, 2H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 154.2, 140.5, 137.8, 135.4, 131.7, 128.9, 128.0, 127.2, 127.0, 126.7, 124.5, 124.4, 110.8, 84.5, 21.4. **IR** (KBr, cm^{-1}) ν: 3407, 2974, 2888, 1626, 1402, 1205, 1090, 1050, 883, 795, 751. **HRMS** (ESI) calcd for $C_{18}H_{16}NO^+$ *m/z* 262.1226 $[M+H]^+$, Found 262.1233.



3bc

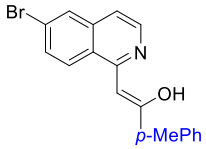
2-(5-nitroisoquinolin-1-yl)-1-(*p*-tolyl)ethen-1-ol 3bc. 12 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 50:1 to 20:1) as a brown solid (99%, 60.6 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.11 (s, 1H), 8.46 (d, *J* = 8.4 Hz, 1H), 8.31 (d, *J* = 7.6 Hz, 1H), 7.89 (d, *J* = 8.4 Hz, 2H), 7.63 – 7.48 (m, 2H), 7.41 (d, *J* = 7.2 Hz, 1H), 7.27 (d, *J* = 8.8 Hz, 2H), 6.77 (s, 1H), 2.42 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 185.1, 153.1, 145.6, 141.4, 136.9, 132.5, 129.8, 129.2, 129.1, 128.5, 126.9, 126.3, 125.9, 104.5, 85.9, 21.5. **IR** (KBr, cm^{-1}) ν: 3414, 2968, 2921, 1604, 1519, 1404, 1315, 1209, 1073, 878, 774. **HRMS** (ESI) calcd for $C_{18}H_{15}N_2O_3^+$ *m/z* 307.1077 $[M+H]^+$, Found 307.1083.



3bd

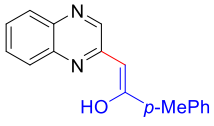
2-(5-chloroisoquinolin-1-yl)-1-(*p*-tolyl)ethen-1-ol 3bd. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 30:1 to 10:1) as a yellow solid (99%, 58.5 mg).

R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.18 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.89 (d, *J* = 7.6 Hz, 2H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.50 – 7.32 (m, 2H), 7.25 (d, *J* = 7.2 Hz, 2H), 7.12 (d, *J* = 6.4 Hz, 1H), 6.69 (s, 1H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.2, 153.7, 140.8, 137.3, 133.3, 131.7, 131.3, 129.7, 129.0, 127.1, 126.7, 125.9, 123.0, 106.6, 85.2, 21.4. **IR** (KBr, cm^{-1}) ν: 3419, 2920, 2854, 1611, 1537, 1479, 1349, 1210, 1114, 1072, 892, 832, 757, 662. **HRMS** (ESI) calcd for $C_{18}H_{15}F_3ClNO^+$ *m/z* 296.0837 $[M+H]^+$, Found 296.0839.



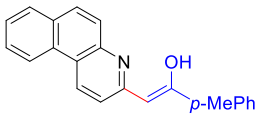
3be

2-(6-bromoisoquinolin-1-yl)-1-(*p*-tolyl)ethen-1-ol 3be. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (99%, 67.3 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.05 (s, 1H), 7.99 – 7.80 (m, 3H), 7.65 (s, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.37 – 7.18 (m, 3H), 6.75 – 6.50 (m, 2H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 184.4, 153.7, 140.8, 137.4, 136.8, 130.4, 129.5, 129.2, 129.0, 126.7, 126.4, 125.9, 123.2, 109.4, 84.7, 21.4. **IR** (KBr, cm^{-1}) ν: 3416, 3044, 2917, 2855, 1597, 1542, 1479, 1384, 1315, 1255, 1199, 1068, 831, 770. **HRMS** (ESI) calcd for $C_{18}H_{15}BrNO^+$ *m/z* 340.0332 $[M+H]^+$, Found 340.0333.



3bf

2-(quinoxalin-2-yl)-1-(*p*-tolyl)ethen-1-ol 3bf. 24 h. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) as a yellow solid (40%, 21.1 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 14.68 (s, 1H), 8.42 (s, 1H), 7.91 – 7.78 (m, 3H), 7.55 (t, *J* = 8.0 Hz, 1H), 7.48 – 7.35 (m, 2H), 7.31 – 7.26 (m, 2H), 6.23 (s, 1H), 2.42 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$, mixture of isomer) δ 182.5, 150.0, 147.6, 146.3, 144.6, 141.6, 141.4, 137.3, 135.2, 133.8, 132.6, 130.9, 130.0, 129.5, 129.5, 129.3, 129.2, 129.2, 129.0, 128.8, 126.7, 125.5, 119.5, 90.9, 21.7, 21.5. **IR** (KBr, cm^{-1}) ν: 3418, 2921, 2852, 1625, 1537, 1403, 1317, 1185, 1114, 815, 753. **HRMS** (ESI) calcd for $C_{17}H_{15}N_2O^+$ *m/z* 263.1179 $[M+H]^+$, Found 263.1180.

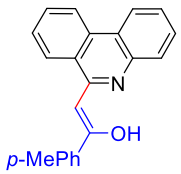


3bg

2-(benzo[f]quinolin-3-yl)-1-(*p*-tolyl)ethen-1-ol 3bg. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 30:1) as a yellow solid (37%, 23.1 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.40. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.36**) δ 16.37 (s, 1H, major), 8.89 (d, *J* = 8.4 Hz, 0.36H, minor), 8.63 – 8.51 (m, 1.36H), 8.39 (d, *J* = 8.4 Hz, 1H, major), 8.05 – 7.82 (m, 5.80H), 7.72 – 7.61 (m, 2.72H), 7.60 – 7.48 (m, 1.36H), 7.28 – 7.22 (m, 2.72H), 7.13 (d, *J* = 9.2 Hz, 1H, major), 6.17 (s, 1H, major), 4.71 (s, 0.72H, minor), 2.41 (s, 4.08H). **^{13}C NMR** (100 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.36**) δ 196.4, 176.7, 155.7, 148.0, 144.2, 140.2, 139.7, 135.7, 134.1, 132.1, 131.8, 131.6, 131.4, 131.2, 130.9, 130.4, 129.6, 129.3, 129.3, 129.0, 129.0, 128.9, 128.6, 127.9, 127.7, 127.1, 127.1, 126.2, 126.1, 122.6, 122.1, 121.5, 121.3, 121.1, 119.6, 90.8, 49.0, 21.6, 21.4, one carbon was overlapped. **IR** (KBr, cm^{-1}) ν: 3416, 3044, 2924, 2859, 1613, 1553, 1503, 1454, 1276, 1188, 1064, 826, 744, 631. **HRMS** (ESI) calcd for $C_{22}H_{18}NO^+$ *m/z* 312.1383 $[M+H]^+$, Found 312.1383.

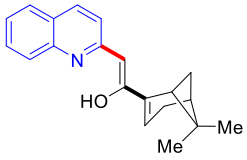
3bh

2-(4,7-phenanthrolin-3-yl)-1-(*p*-tolyl)ethen-1-ol 3bh. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) as a yellow solid (19%, 11.9 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.5**) δ 16.23 (s, 1H), 9.08 – 8.78 (m, 2.5H), 8.71 (d, *J* = 8.4 Hz, 1H), 8.55 (d, *J* = 9.2 Hz, 1H), 8.42 – 8.18 (m, 2H), 8.17 – 7.71 (m, 4H), 7.70 – 7.45 (m, 2H), 7.40 – 7.08 (m, 4H), 6.21 (s, 1H), 4.74 (s, 1H), 2.41 (d, *J* = 3.8 Hz, 4.54H). **^{13}C NMR** (75 MHz, $CDCl_3$, **mixture of isomer, ratio = 1:0.5**) δ 196.3, 175.2, 156.6, 156.3, 150.3, 149.5, 147.6, 147.5, 146.3, 144.4, 140.5, 140.4, 135.0, 134.1, 134.0, 133.1, 132.1, 131.9, 131.9, 131.1, 130.9, 130.6, 129.7, 129.4, 129.1, 128.9, 126.1, 125.6, 124.6, 122.7, 122.2, 121.9, 121.7, 119.2, 91.7, 48.9, 21.7, 21.4. **IR** (KBr, cm^{-1}) ν: 3409, 2921, 2857, 1609, 1556, 1499, 1451, 1386, 1332, 1266, 1183, 1097, 1038, 937, 812. **HRMS** (ESI) calcd for $C_{21}H_{17}N_2O^+$ *m/z* 313.1335 $[M+H]^+$, Found 313.1345.



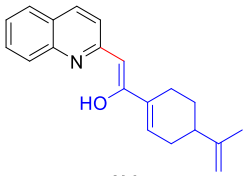
3bi

2-(phenanthridin-6-yl)-1-(*p*-tolyl)ethen-1-ol 3bi. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 30:1) as a yellow solid (97%, 60.7 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.30. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 15.69 (s, 1H), 8.18 – 7.99 (m, 3H), 7.92 (d, *J* = 8.0 Hz, 2H), 7.61 (t, *J* = 7.6 Hz, 1H), 7.51 – 7.36 (m, 2H), 7.34 – 7.14 (m, 4H), 6.68 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 186.7, 152.4, 140.9, 137.9, 134.6, 131.9, 131.7, 129.6, 129.0, 127.8, 126.9, 124.9, 124.2, 123.2, 122.4, 122.3, 120.0, 117.8, 84.9, 21.4. **IR** (KBr, cm^{-1}) ν: 3416, 3056, 2923, 2862, 1719, 1603, 1547, 1440, 1342, 1258, 1207, 1119, 1032,853, 741. **HRMS** (ESI) calcd for $C_{22}H_{18}NO^+$ *m/z* 312.1383 $[M+H]^+$, Found 312.1375.



3bj

1-(6,6-dimethylbicyclo[3.1.1]hept-2-en-3-yl)-2-(quinolin-2-yl)ethen-1-ol 3bj. The product was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 50:1 to 10:1) as a yellow solid (61%, 35.5 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.20. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 16.59 (s, 1H), 7.97 (d, *J* = 9.3 Hz, 1H), 7.78 – 7.57 (m, 3H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.00 (d, *J* = 8.7 Hz, 1H), 6.71 (s, 1H), 3.09 (s, 1H), 2.61 – 2.07 (m, 5H), 1.36 (s, 3H), 1.11 (d, *J* = 9.0 Hz, 1H), 0.78 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 193.0, 154.2, 152.3, 141.5, 139.2, 135.9, 132.4, 127.9, 126.1, 123.9, 119.3, 118.7, 102.1, 40.2, 39.9, 37.5, 32.5, 30.9, 25.7, 20.7. **IR** (KBr, cm^{-1}) ν: 3413, 2928, 1630, 1577, 1515, 1368, 1302, 1260, 1194, 1169, 952, 904, 844, 758, 614. **HRMS** (ESI) calcd for $C_{20}H_{22}NO^+$ *m/z* 292.1696 $[M+H]^+$, Found 292.1705.

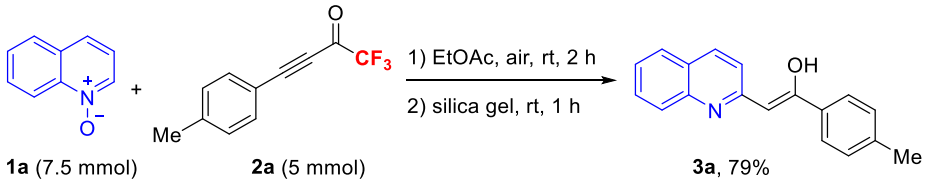


3bk

1-(4-(prop-1-en-2-yl)cyclohex-1-en-1-yl)-2-(quinolin-2-yl)ethen-1-ol 3bk. The product was purified by flash column chromatography on silica gel (height 20 cm,

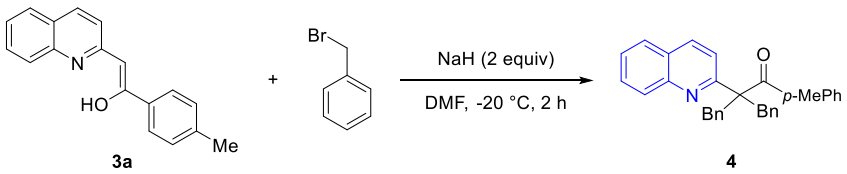
width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 50:1 to 10:1) as a yellow oil (45%, 26.2 mg). R_f (petroleum ether/ethyl acetate = 10:1): 0.3. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 16.60 (s, 1H), 7.99 (d, *J* = 9.2 Hz, 1H), 7.72 (dd, *J* = 7.6, 4.8 Hz, 2H), 7.64 (d, *J* = 8.4 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.12 (d, *J* = 8.8 Hz, 1H), 6.88 (s, 1H), 4.74 (d, *J* = 18.8 Hz, 2H), 2.67 (d, *J* = 17.6 Hz, 1H), 2.41 – 2.27 (m, 2H), 2.26 – 2.08 (m, 2H), 2.00 – 1.91 (m, 1H), 1.75 (s, 3H), 1.57 – 1.45 (m, 1H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 195.4, 154.3, 148.6, 143.8, 142.5, 139.2, 135.9, 132.4, 128.0, 126.1, 124.0, 119.5, 118.8, 109.3, 40.2, 31.4, 29.7, 26.8, 23.6, 20.7. **IR** (KBr, cm^{-1}) ν: 3485, 2929, 1718, 1634, 1576, 1516, 1379, 1305, 1192, 1152, 949, 893, 837, 753. **HRMS** (ESI) calcd for $C_{20}H_{22}NO^+$ *m/z* 292.1696 $[M+H]^+$, Found 292.1706.

7. Procedure for the synthesis of derivative 3a on large scale.



An oven-dried 120 mL Schlenk tube equipped with a stirring bar was charged **1a** (1.09 g, 7.5 mmol, 1.5 equiv), **2a** (1.06 g, 5 mmol, 1.0 equiv) and EtOAc (50 ml). The resulting reaction mixture was stirred at room temperature under air for 2 h. After reaction completed, silica gel (5.0 g) was added to the mixture. The mixture was then stirred at room temperature for another 1 h. The solvent was removed, and residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 10:1) to afford the desired product **3a** (yield 79%, 1.03 g) as a yellow solid.

8. Procedure for the synthesis of derivatives 4.

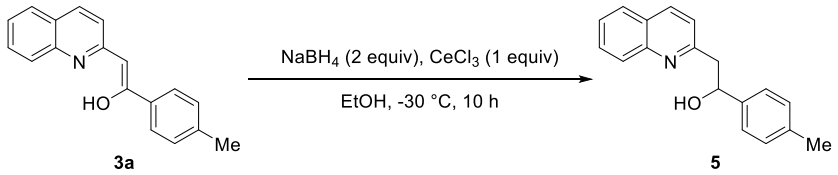


An oven-dried 25 mL Schlenk tube equipped with a stirring bar was charged with NaH (16 mg, 0.4 mmol, 2 equiv), **3a** (52.3 mg, 0.2 mmol, 1.0 equiv) and DMF (2 ml). The resulting reaction mixture was stirred at -20 ℃ for 10 min, then BnBr (68.4 mg, 0.4 mmol, 2.0 equiv) was added. The reaction mixture was then stirred at -20 ℃ for 2 h. After warming up to room temperature, water (5 mL) was added to the mixture. The resulting mixture was further extracted with EtOAc (3 × 5 mL). The combined organic layers was dried over Na_2SO_4, filtered and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (height 20 cm, width 1.5

cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) to afford the product **4** as a white solid (yield 41%, 36.6 mg). R_f (petroleum ether/ethyl acetate = 20:1): 0.30.

2-benzyl-3-phenyl-2-(quinolin-2-yl)-1-(*p*-tolyl)propan-1-one 4. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.7 Hz, 1H), 7.80 – 7.71 (m, 3H), 7.55 (t, *J* = 7.2 Hz, 1H), 7.44 (d, *J* = 8.4 Hz, 2H), 7.14 – 7.02 (m, 6H), 6.96 (d, *J* = 8.1 Hz, 2H), 6.84 (d, *J* = 6.6 Hz, 4H), 6.72 (d, *J* = 8.7 Hz, 1H), 3.92 (d, *J* = 14.1 Hz, 2H), 3.63 (d, *J* = 14.1 Hz, 2H), 2.26 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 200.0, 161.8, 147.5, 142.6, 137.5, 135.0, 134.0, 130.8, 130.1, 129.7, 129.3, 128.8, 127.7, 127.5, 126.7, 126.4, 126.2, 122.4, 63.9, 42.3, 21.4. **IR** (KBr, cm^{-1}) ν: 3433, 3031, 2925, 2859, 1677, 1601, 1498, 1446, 1299, 1253, 1177, 1090, 1030, 931, 829, 757, 705, 616. **HRMS** (ESI) calcd for $C_{32}H_{28}NO^+$ *m/z* 442.2165 $[M+H]^+$, Found 442.2166.

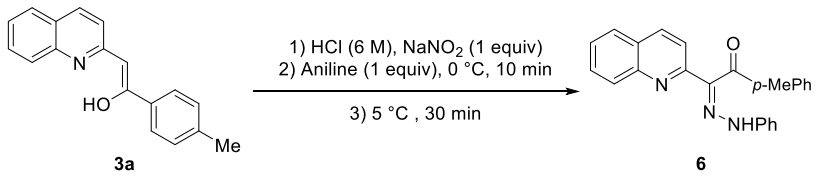
9. Procedure for the synthesis of derivatives 5.



An oven-dried 25 mL Schlenk tube equipped with a stirring bar was charged with $NaBH_4$ (15.1 mg, 0.4 mmol, 2 equiv), $CeCl_3$ (49.3 mg, 0.2 mmol, 1.0 equiv), **3a** (52.3 mg, 0.2 mmol, 1.0 equiv) and EtOH (2 ml). The resulting reaction mixture was stirred at -30 ℃ for 10 h. After warming up to room temperature, water (5 mL) was added to the mixture. The resulting mixture was further extracted with EtOAc (3 × 5 mL). The combined organic layers was dried over Na_2SO_4, filtered and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) to afford product **5** as a white solid (yield 75%, 39.4 mg). R_f (petroleum ether/ethyl acetate = 5:1): 0.20.

2-(quinolin-2-yl)-1-(*p*-tolyl)ethan-1-ol 5. ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.13 (t, *J* = 7.2 Hz, 2H), 7.93 – 7.70 (m, 2H), 7.58 (t, *J* = 7.2 Hz, 1H), 7.43 (d, *J* = 7.8 Hz, 2H), 7.33 – 7.08 (m, 3H), 6.16 (s, 1H), 5.35 (dd, *J* = 8.1, 3.6 Hz, 1H), 3.51 – 3.13 (m, 2H), 2.41 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 160.6, 147.0, 141.0, 136.9, 136.8, 129.8, 129.0, 128.7, 127.5, 126.8, 126.2, 125.8, 122.1, 72.8, 46.2, 21.1. **IR** (KBr, cm^{-1}) ν: 3154, 2918, 2874, 2730, 1604, 1504, 1430, 1318, 1206, 1122, 1066, 1018, 879, 816, 746, 692. **HRMS** (ESI) calcd for $C_{18}H_{18}NO^+$ *m/z* 264.1310 $[M+H]^+$, Found 264.1390.

10. Procedure for the synthesis of derivatives 6.

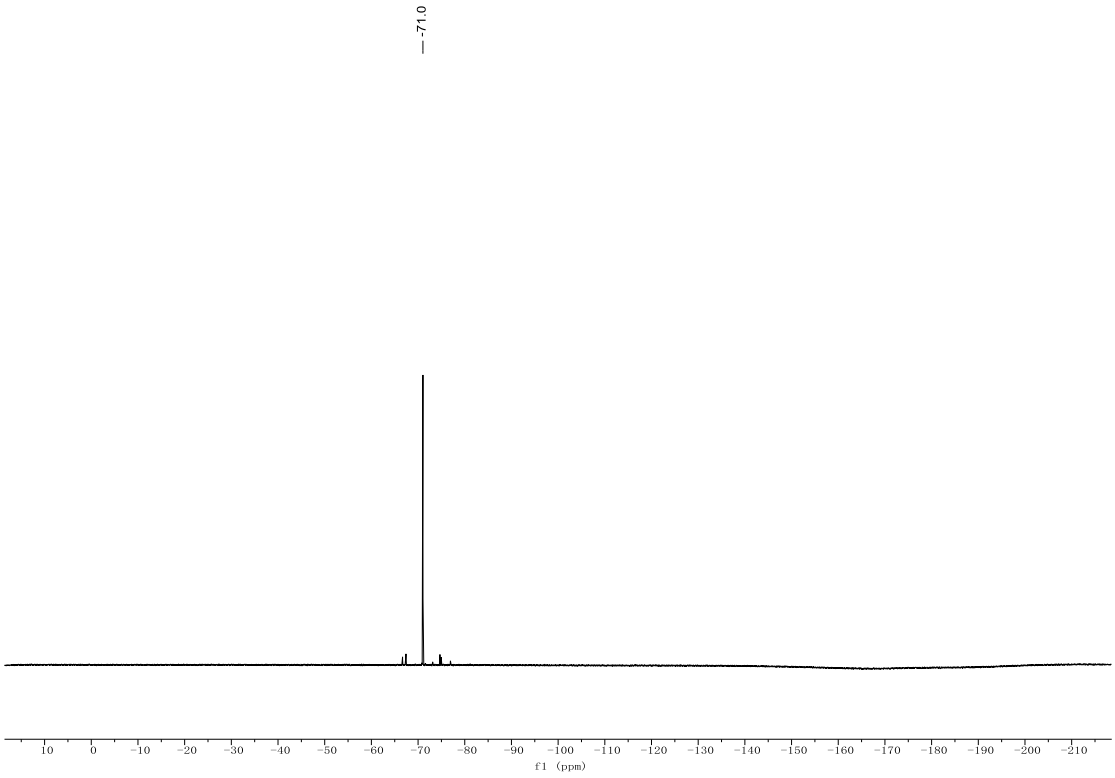


3a 6

A solution of the **3a** (52.3 mg, 0.2 mmol, 1.0 equiv) in ethanol (2 ml) was cooled to 0 - 5 ºC. To the solution, while being stirred, was added a solution of the benzene diazonium chloride dropwise over a period of 10 min (prepared by diazotizing the aniline (18.6 mg, 0.2 mmol, 1.0 equiv) in hydrochloric acid (6 M, 0.45 ml, 2.7 mmol, 13.5 equiv) with sodium nitrite (13.8 mg, 0.2 mmol, 1.0 equiv)). The resulting reaction mixture was stirred for 30 min. The precipitated solid was collected, washed with water and dried which was further purified by column chromatography on silica gel (height 20 cm, width 1.5 cm, eluent: petroleum ether/ethyl acetate, gradient: 100:0 to 20:1) to afford the product **6** as a yellow solid (yield 61%, 44.7 mg). R_f (petroleum ether/ethyl acetate = 20:1): 0.30.

2-(2-phenylhydrazono)-2-(quinolin-2-yl)-1-(*p*-tolyl)ethan-1-one 6. **^{1}H NMR** (300 MHz, $CDCl_3$) δ 16.04 (s, 1H), 8.33 – 8.18 (m, 2H), 8.13 (d, *J* = 8.4 Hz, 1H), 8.02 (d, *J* = 8.1 Hz, 2H), 7.92 – 7.77 (m, 2H), 7.64 (t, *J* = 8.1 Hz, 1H), 7.44 – 7.27 (m, 6H), 7.09 (t, *J* = 6.9 Hz, 1H), 2.53 (s, 3H). **^{13}C NMR** (75 MHz, $CDCl_3$) δ 192.4, 153.6, 145.4, 143.1, 142.4, 136.4, 136.2, 132.8, 130.9, 129.8, 129.4, 128.4, 128.1, 127.5, 127.1, 126.9, 123.1, 122.1, 115.0, 21.6. **IR** (KBr, cm^{-1}) ν: 3439, 3046, 2920, 1637, 1601, 1512, 1345, 1287, 1212, 1172, 1102, 910, 832, 752, 691. **HRMS** (ESI) calcd for $C_{24}H_{20}N_3O^+$ *m/z* 366.1601 $[M+H]^+$, Found 366.1611.

11. F^{19} NMR spectra copy of the control experiment.



12. References

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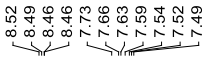
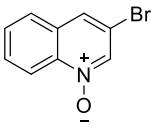
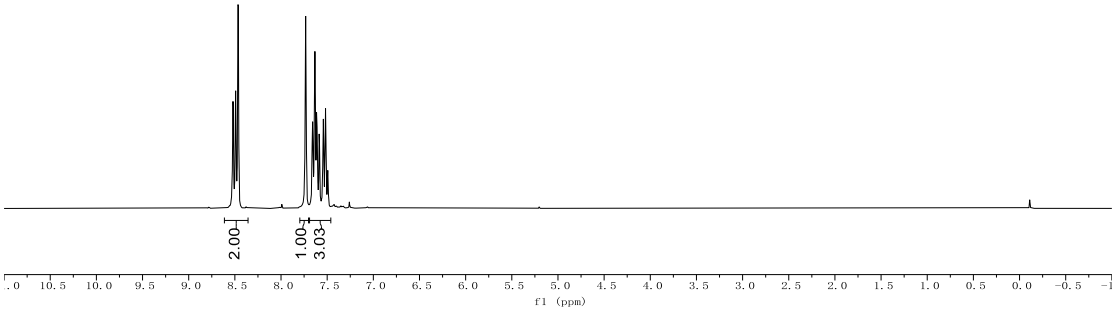
13. NMR spectra copies of the products.

^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **1c**:

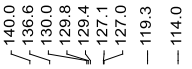


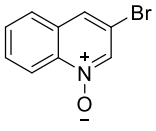


1c

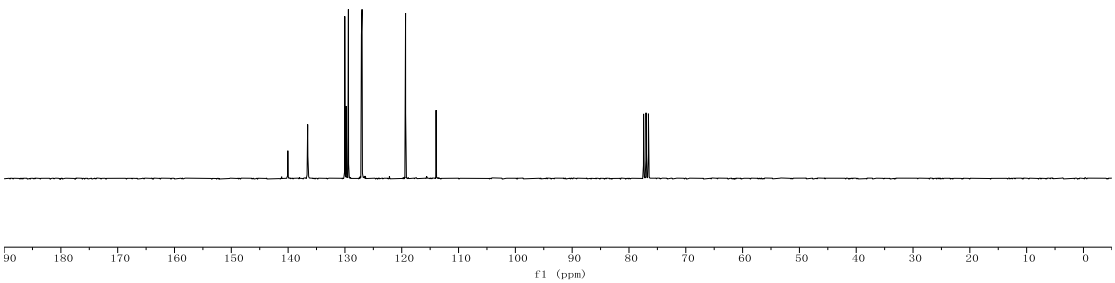


$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **1c**:

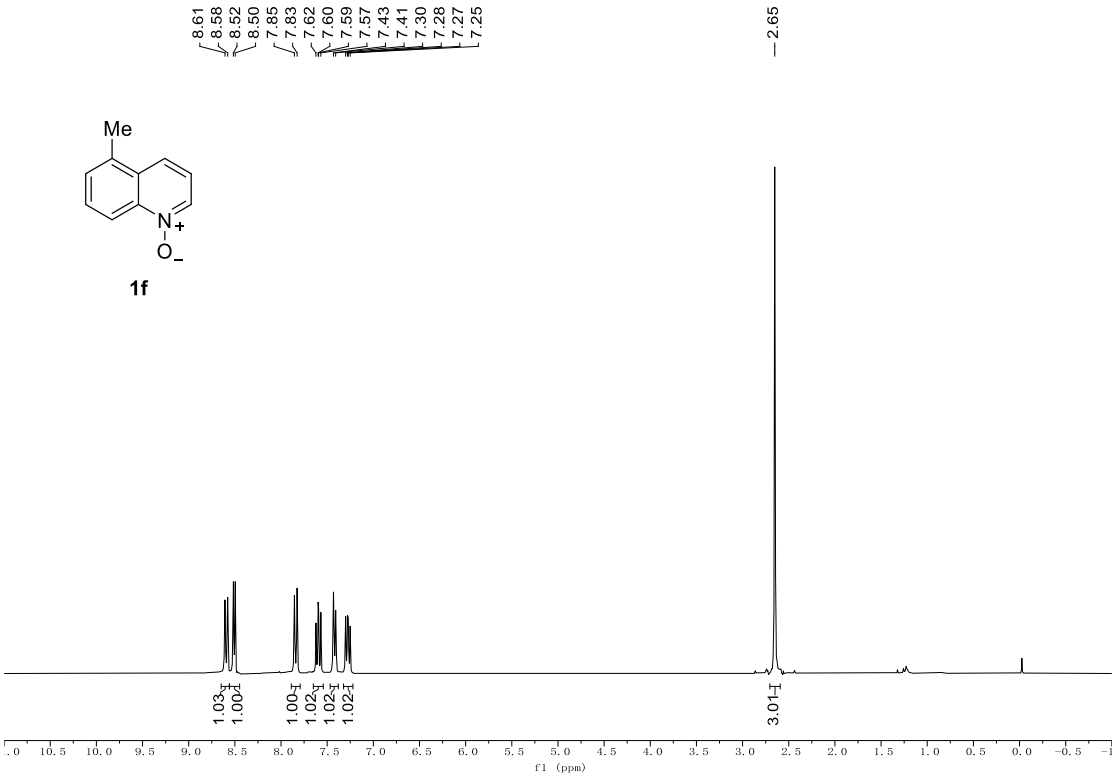




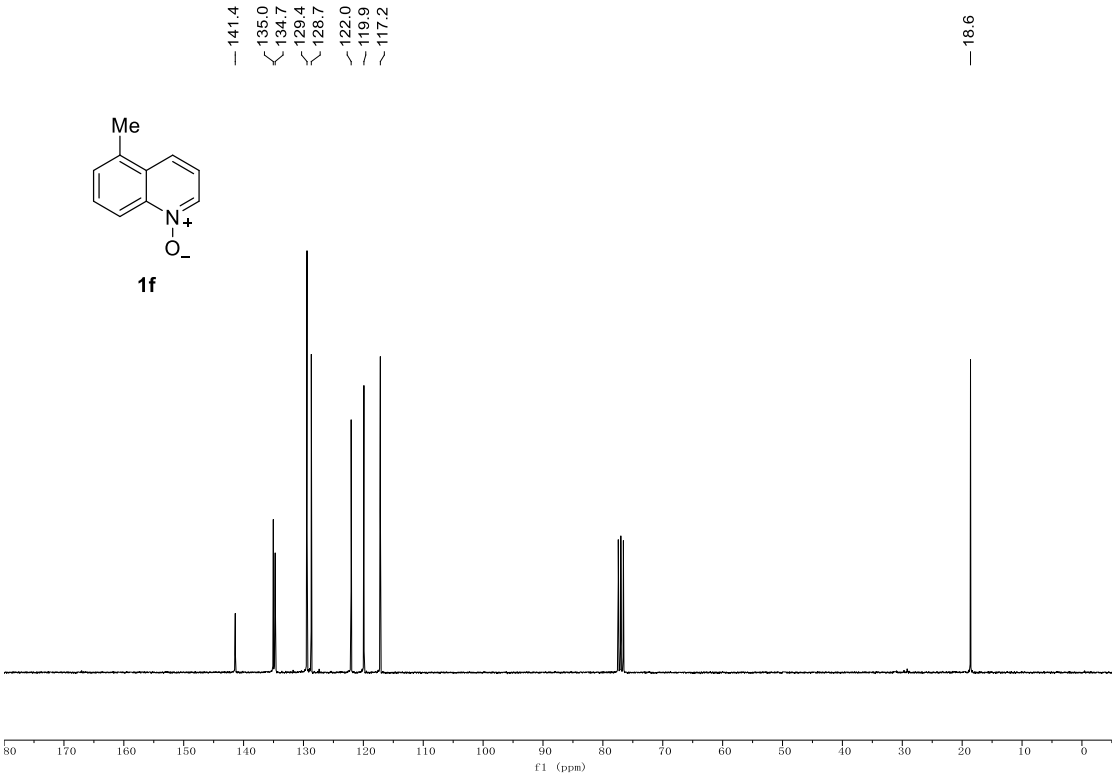
1c



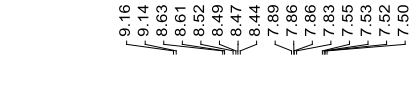
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **1f**:

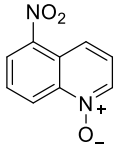


^{13}C{^{1}H} NMR (75 MHz, $CDCl_3$) spectra copy of compound **1f**:

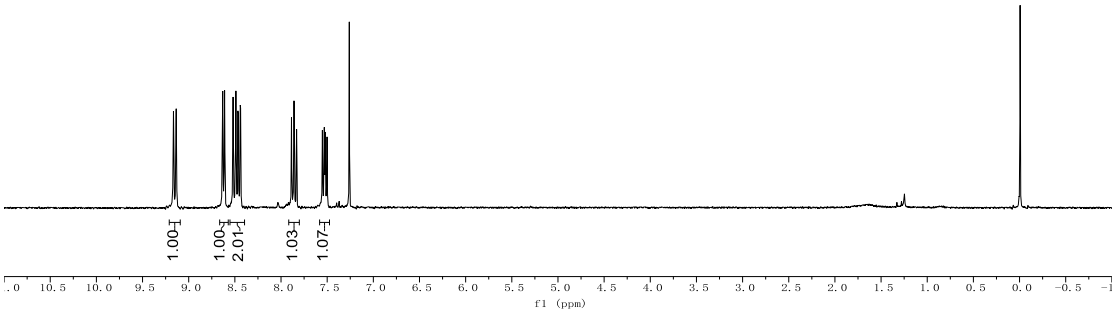


^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **1j**:

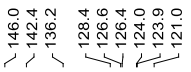


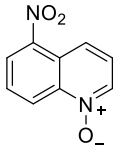


1j

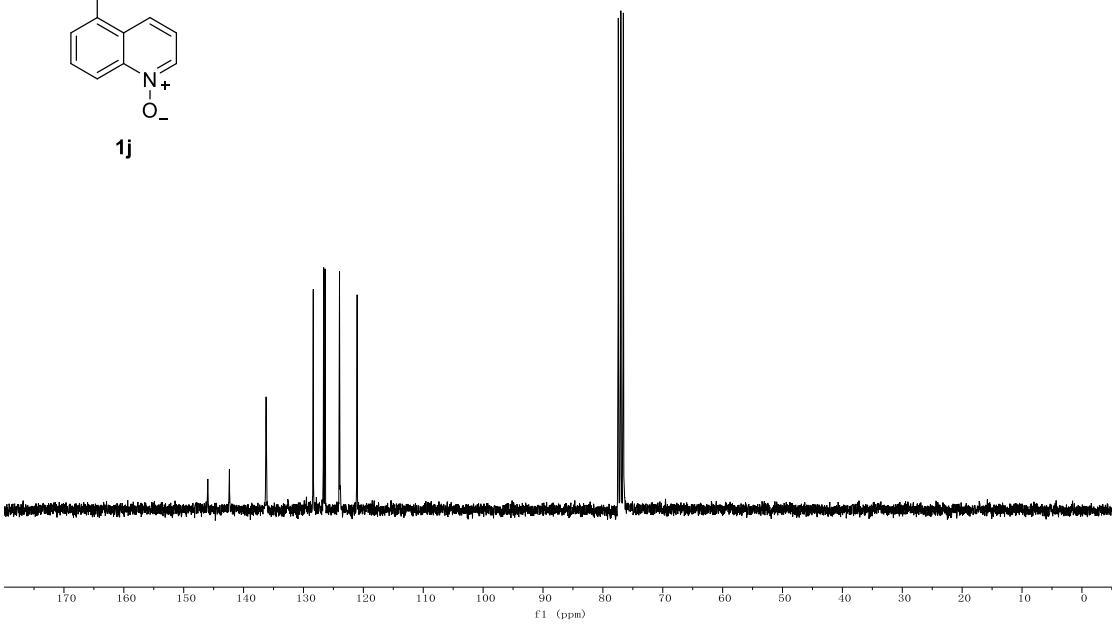


$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **1j**:

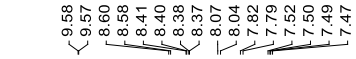


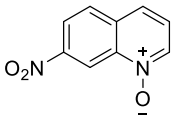


1j

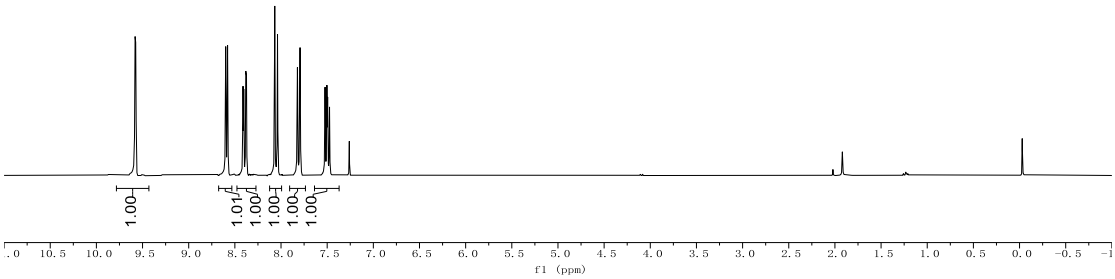


^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **1r**:

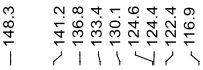


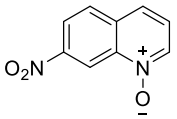


1r

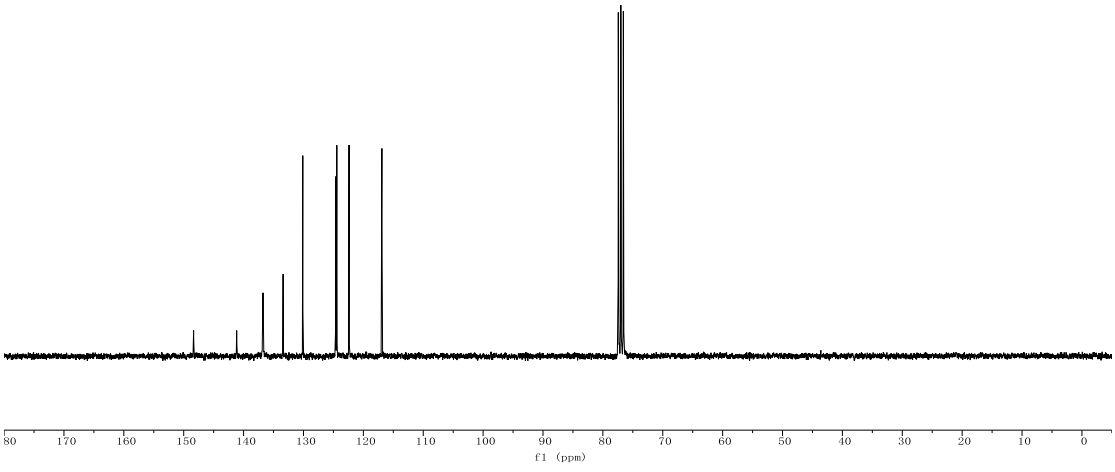


^{13}C{^{1}H} NMR (75 MHz, $CDCl_3$) spectra copy of compound **1r**:

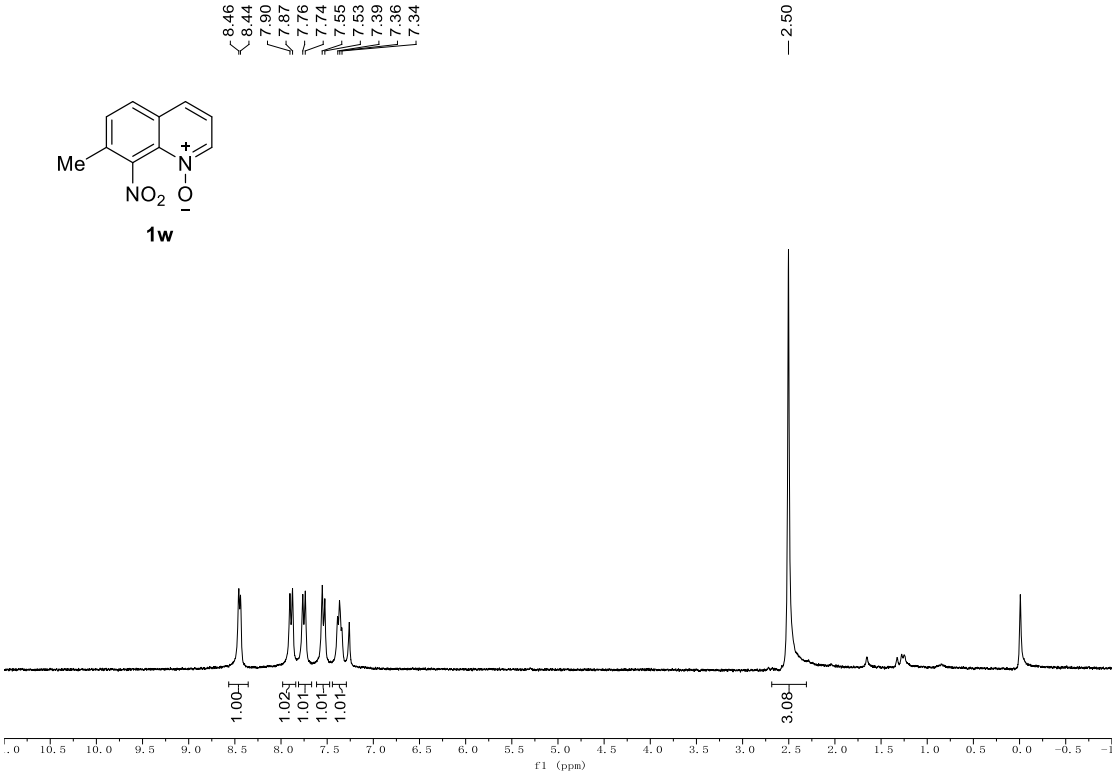




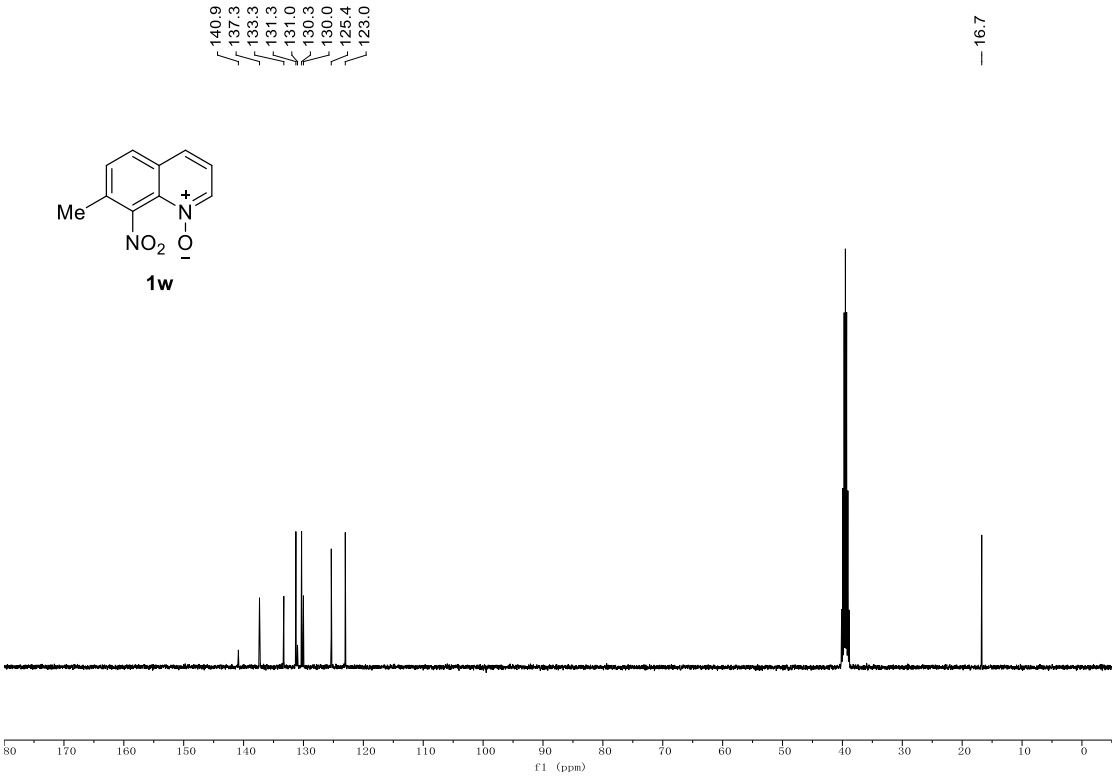
1r



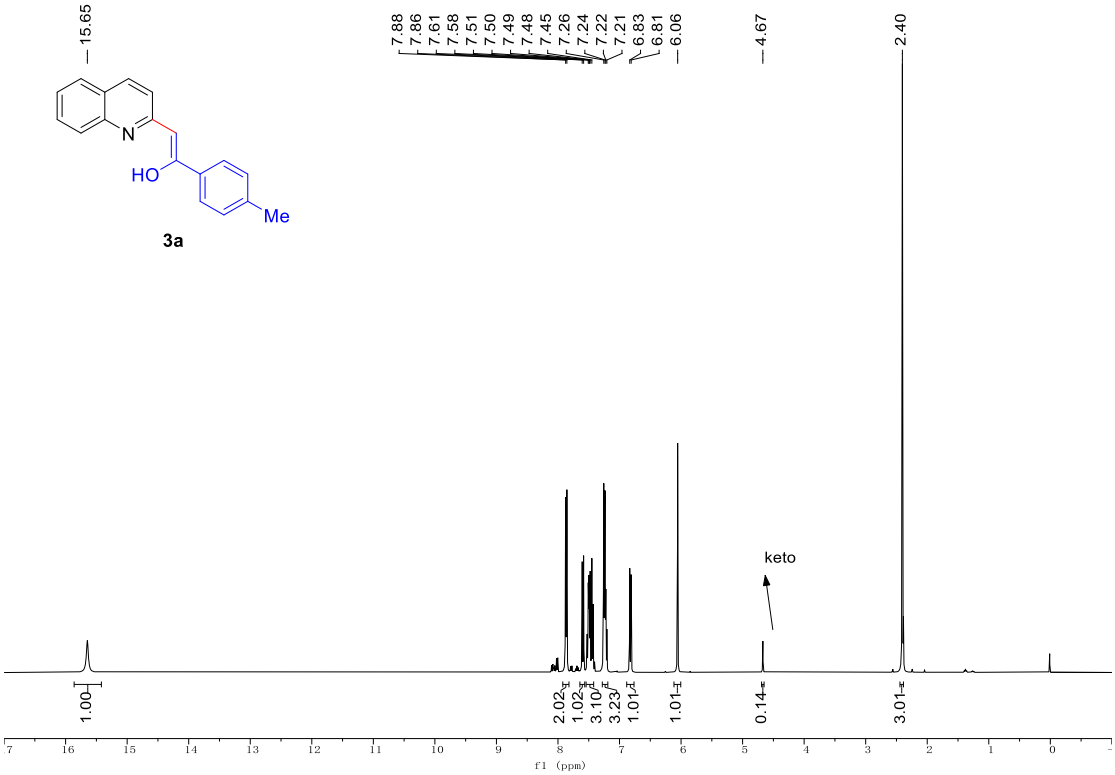
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **1w**:



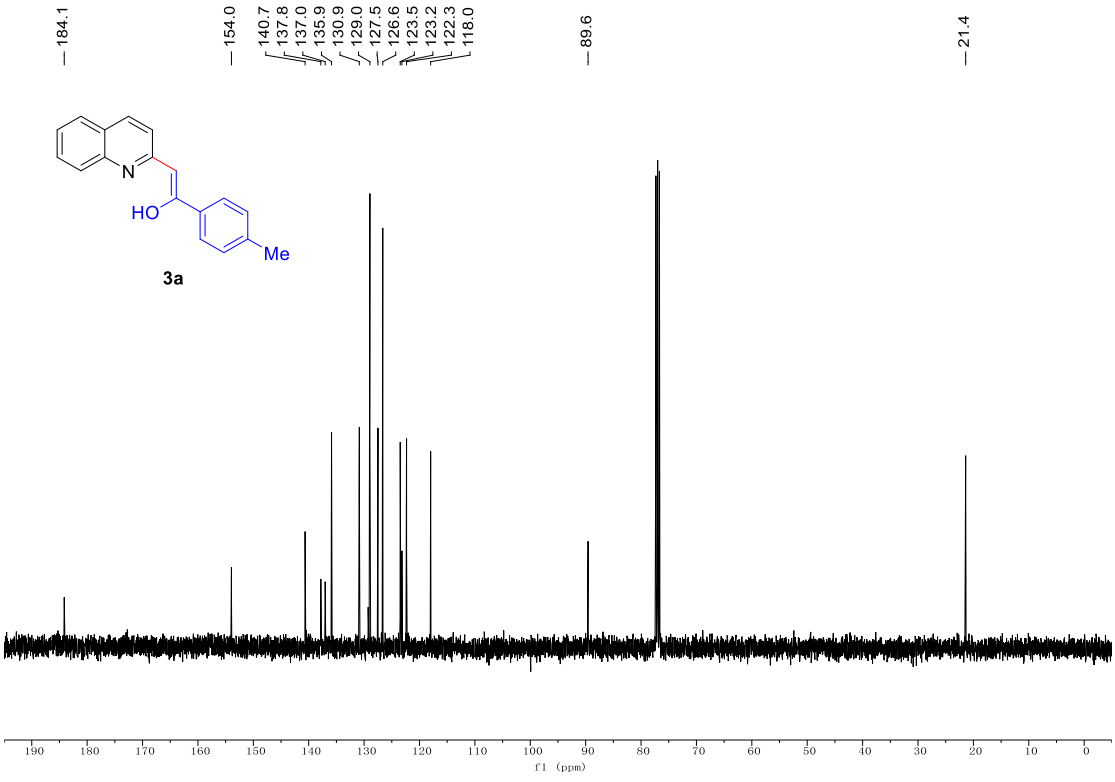
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **1w**:



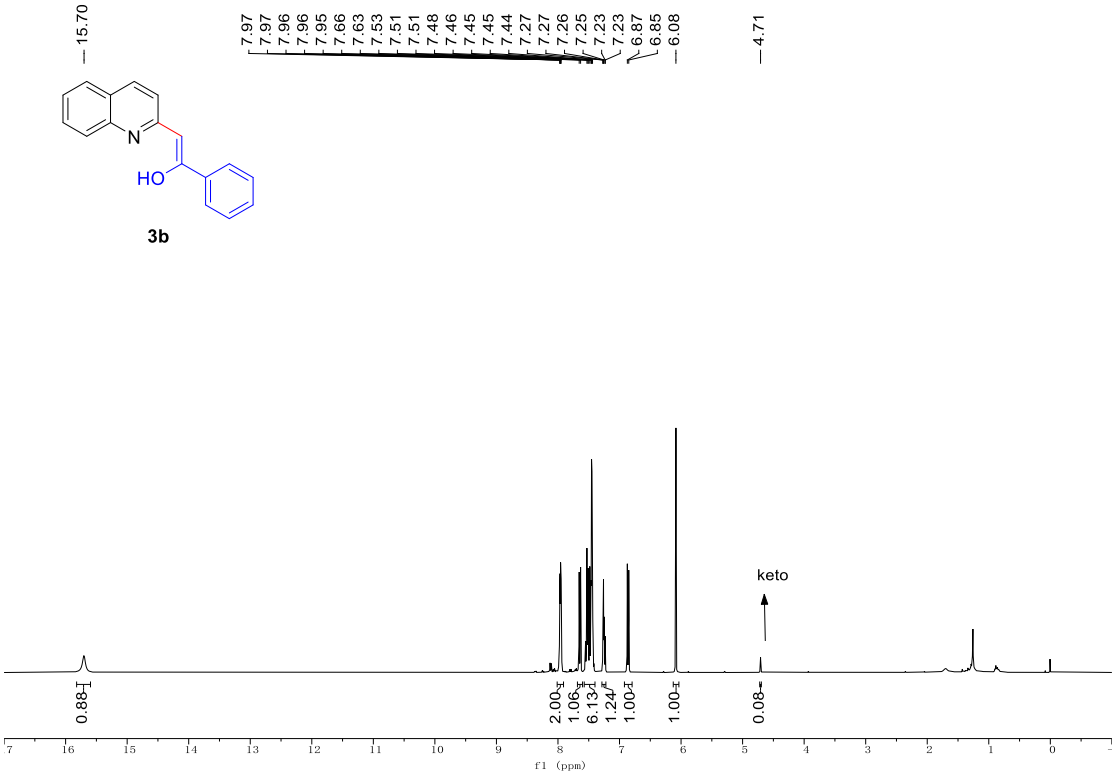
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3a**:



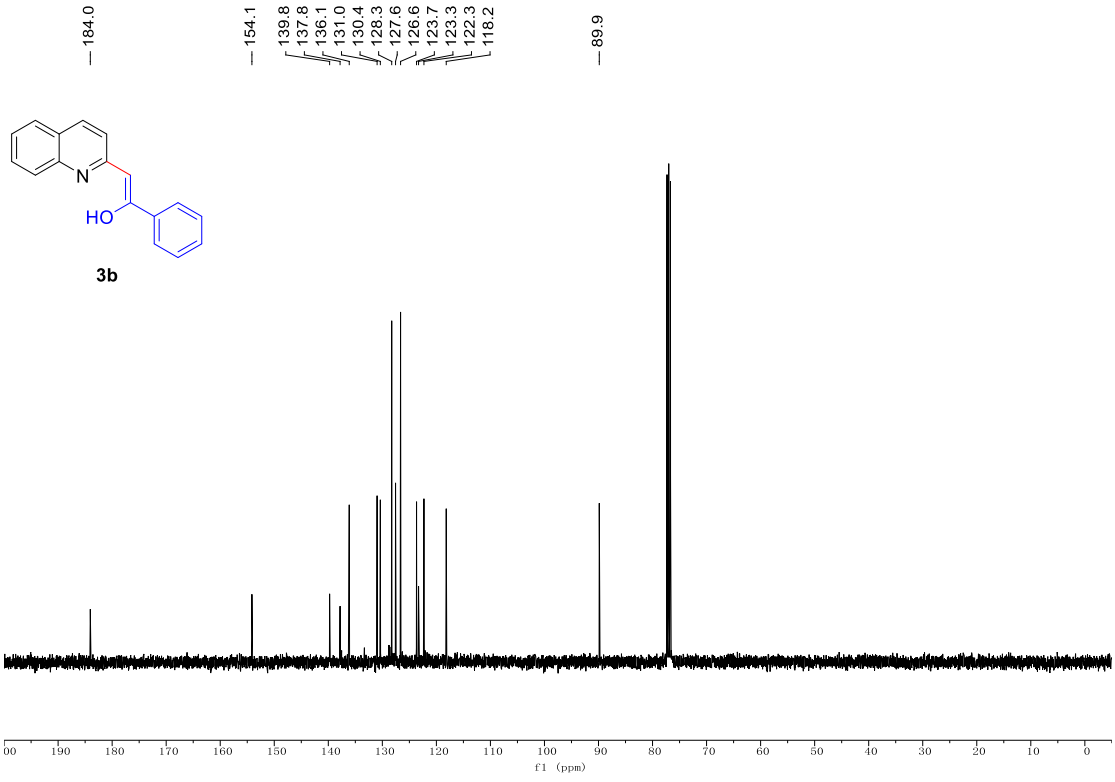
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3a**:



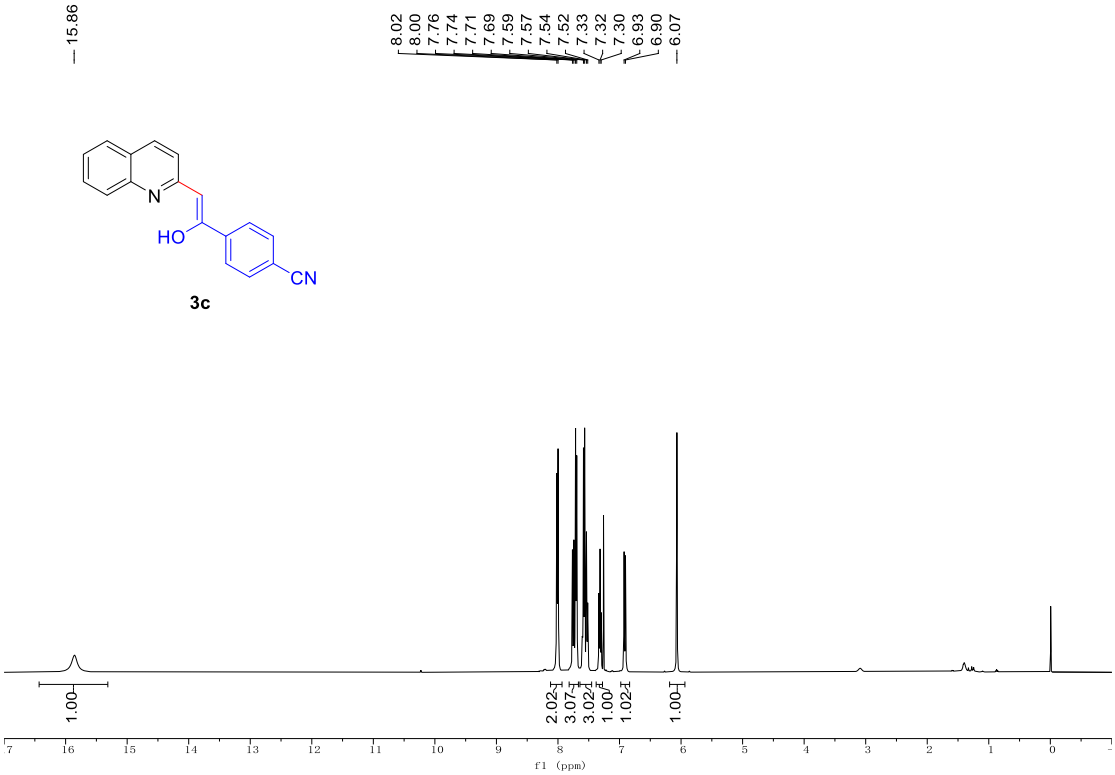
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3b**:



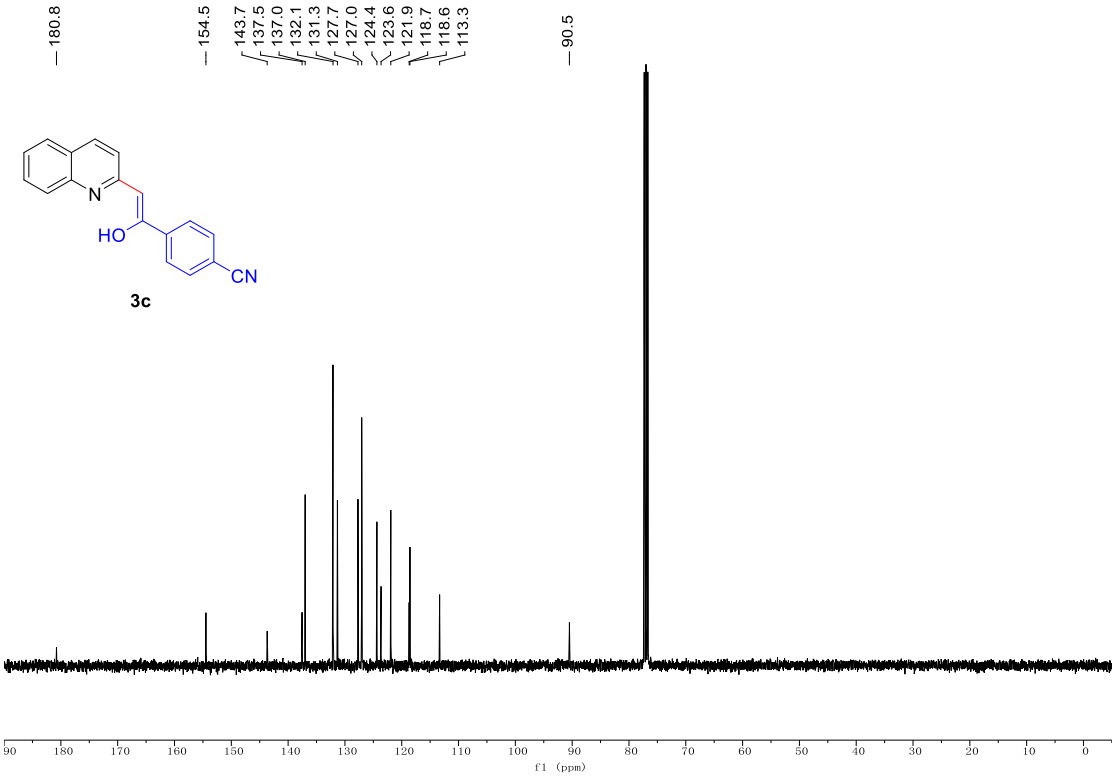
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3b**:



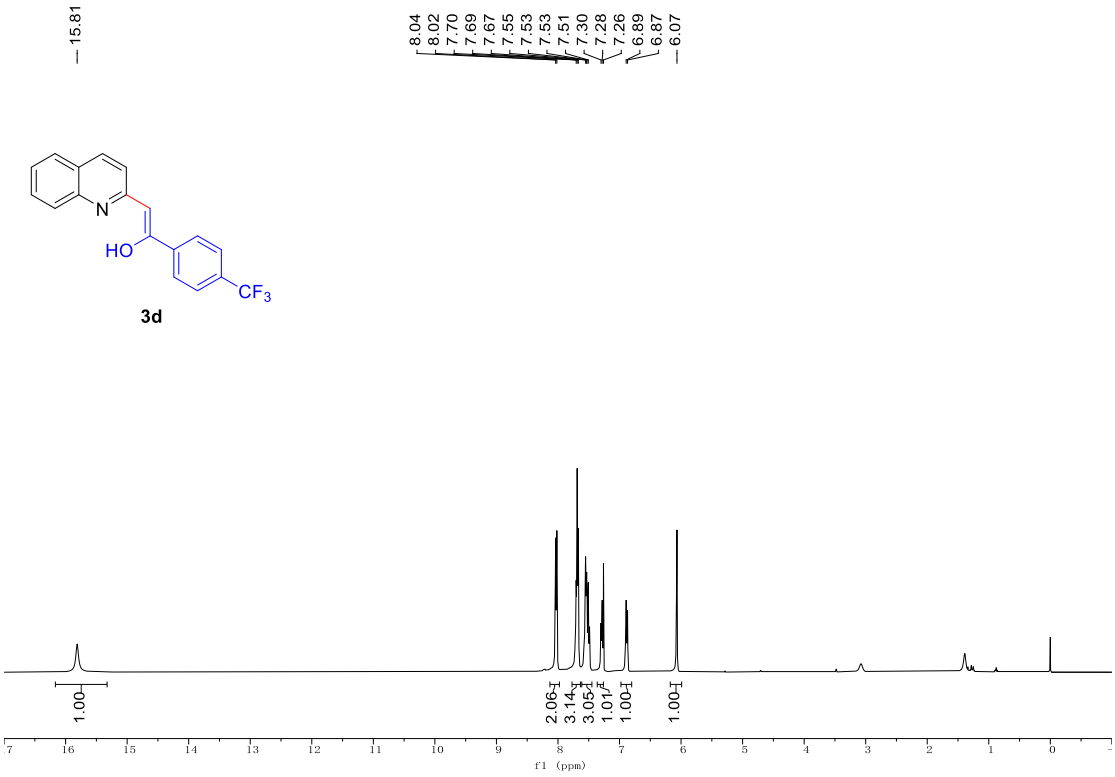
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3c**:



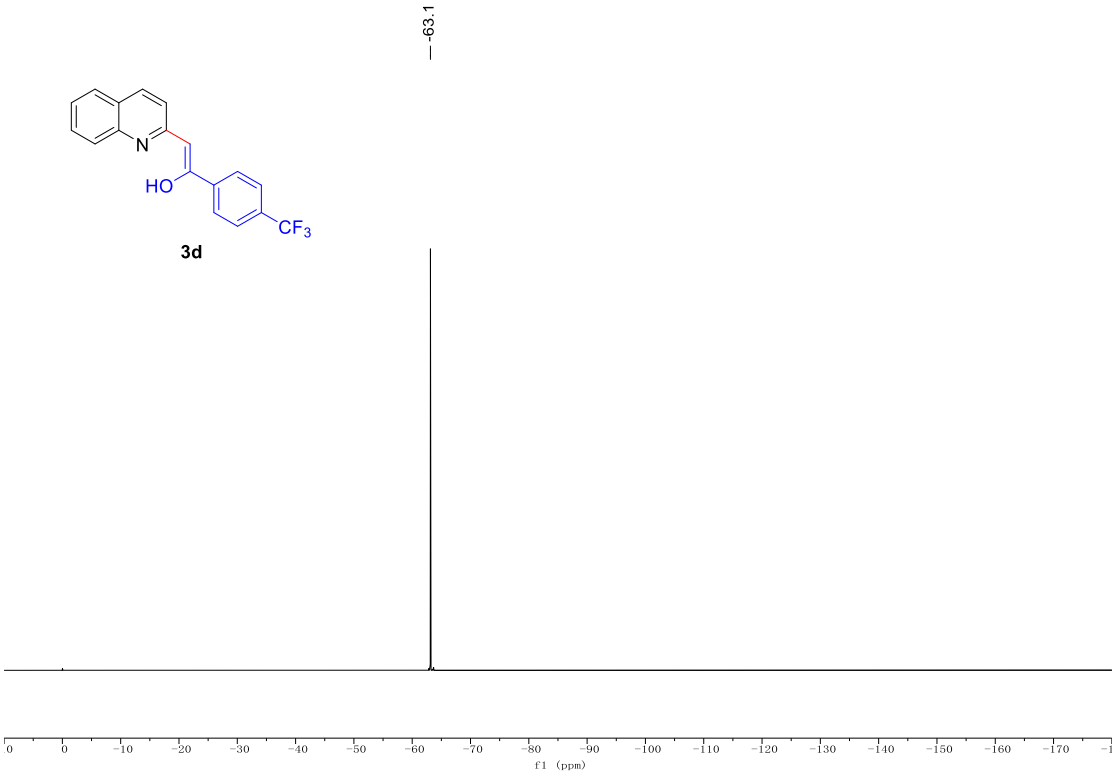
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3c**:



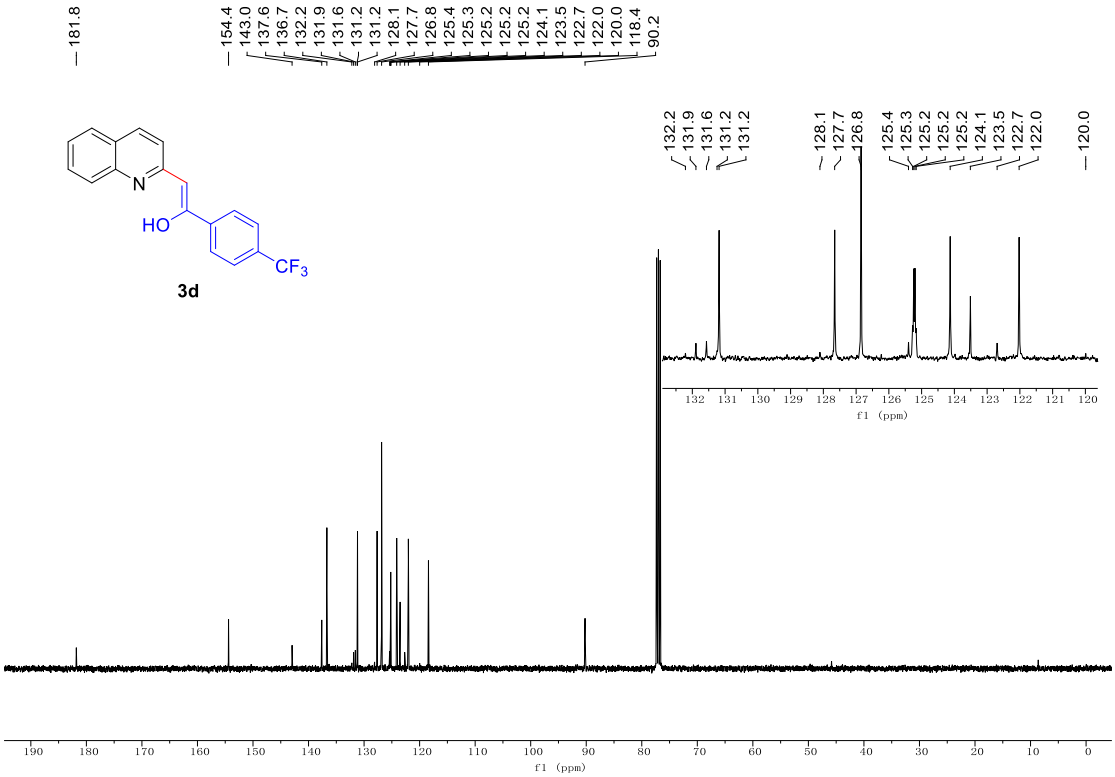
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3d**:



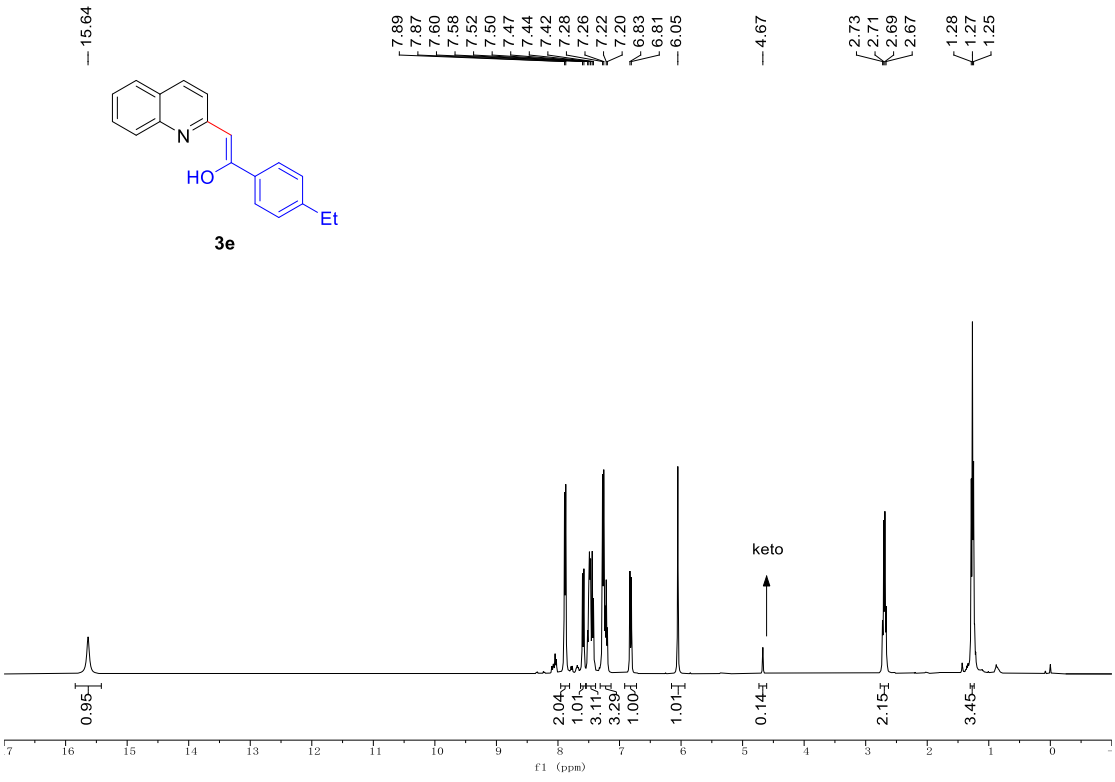
^{19}F{^{1}H} NMR (376 MHz, $CDCl_3$) spectra copy of compound **3d**:



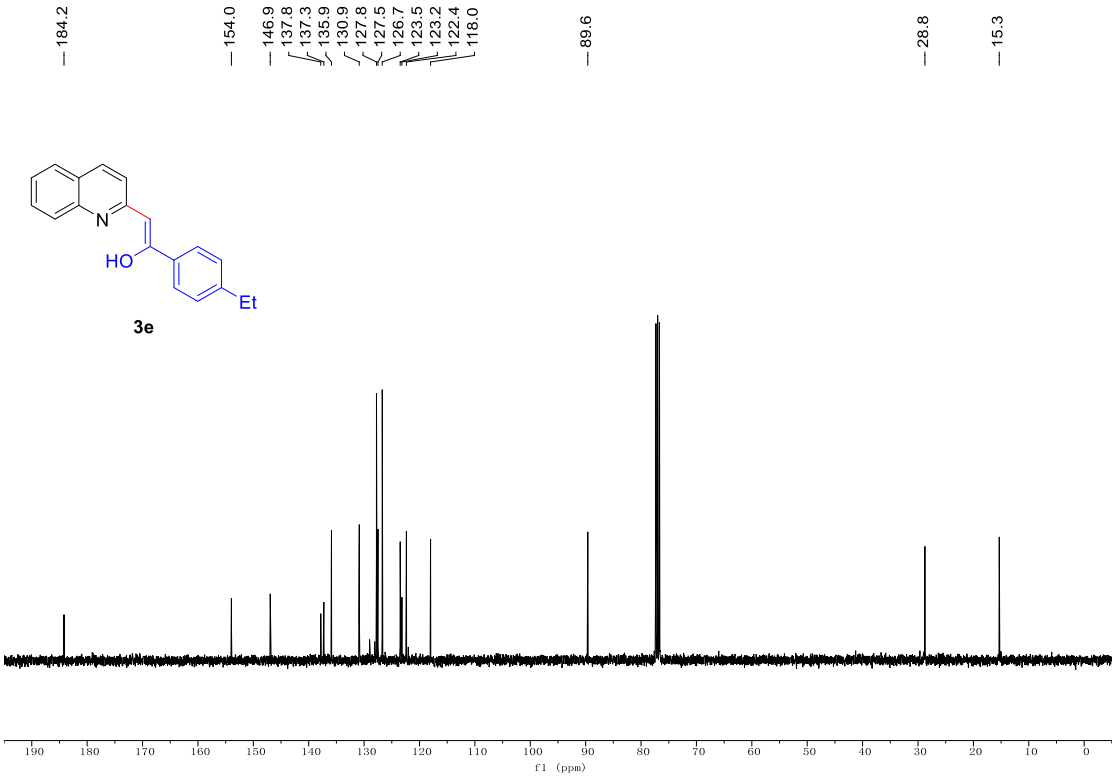
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3d**:



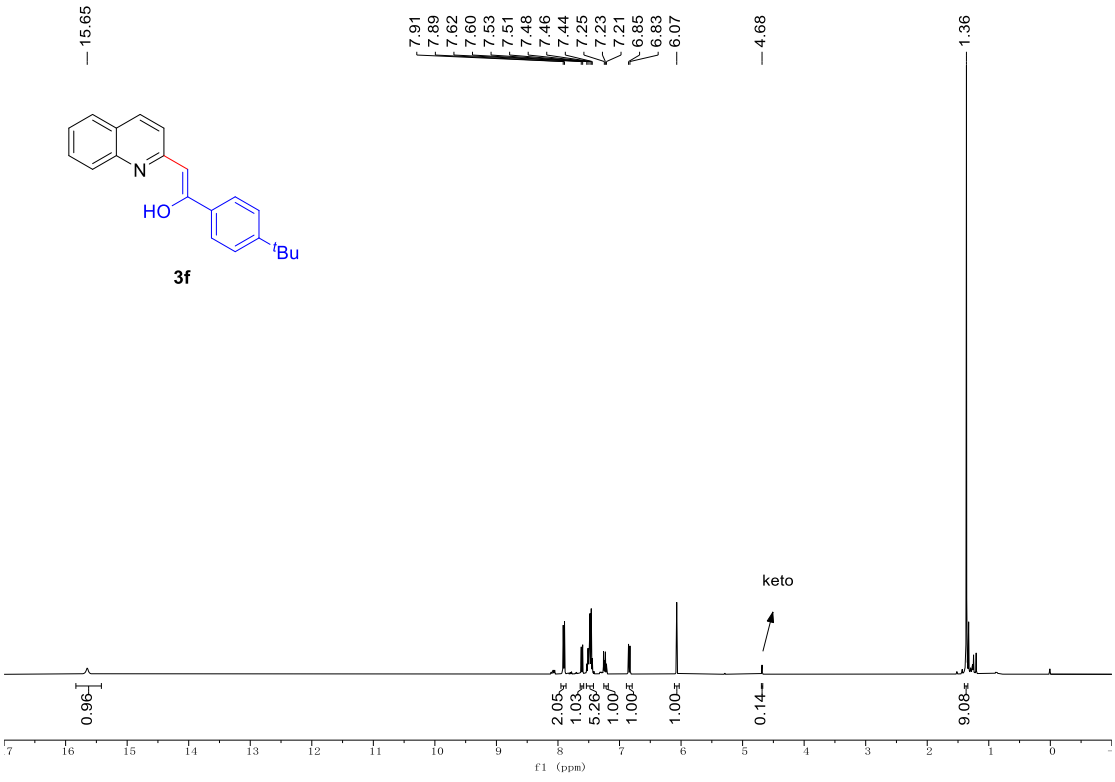
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3e**:



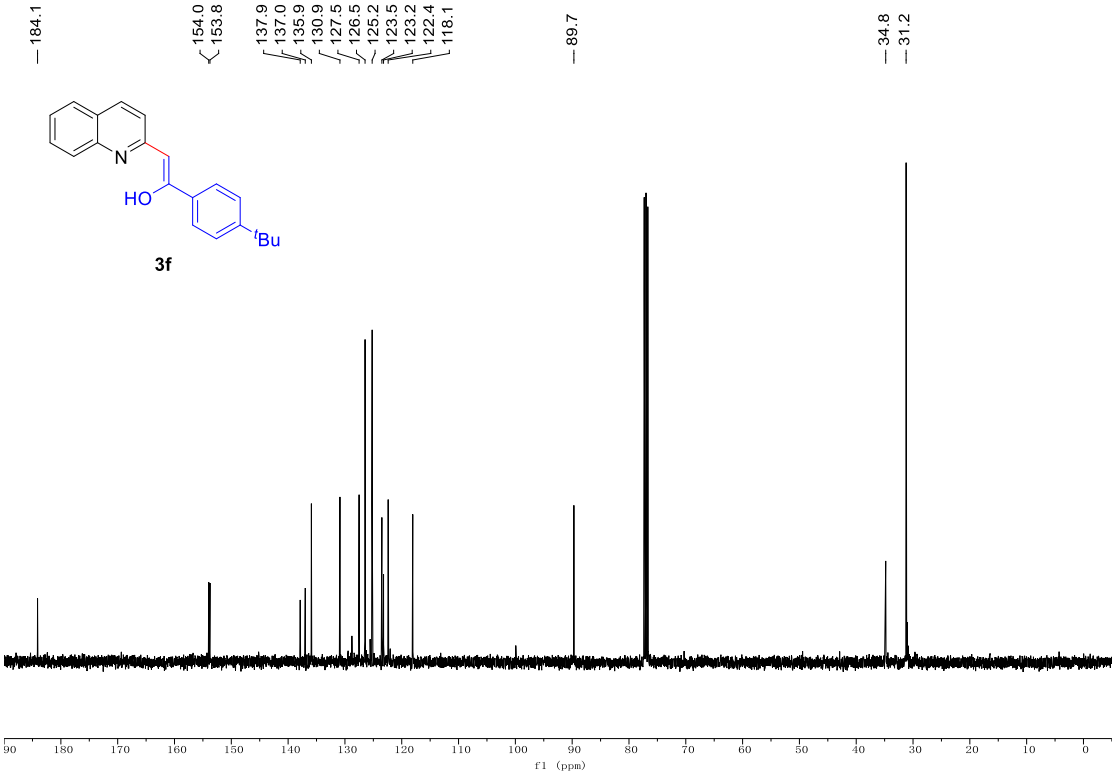
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3e**:



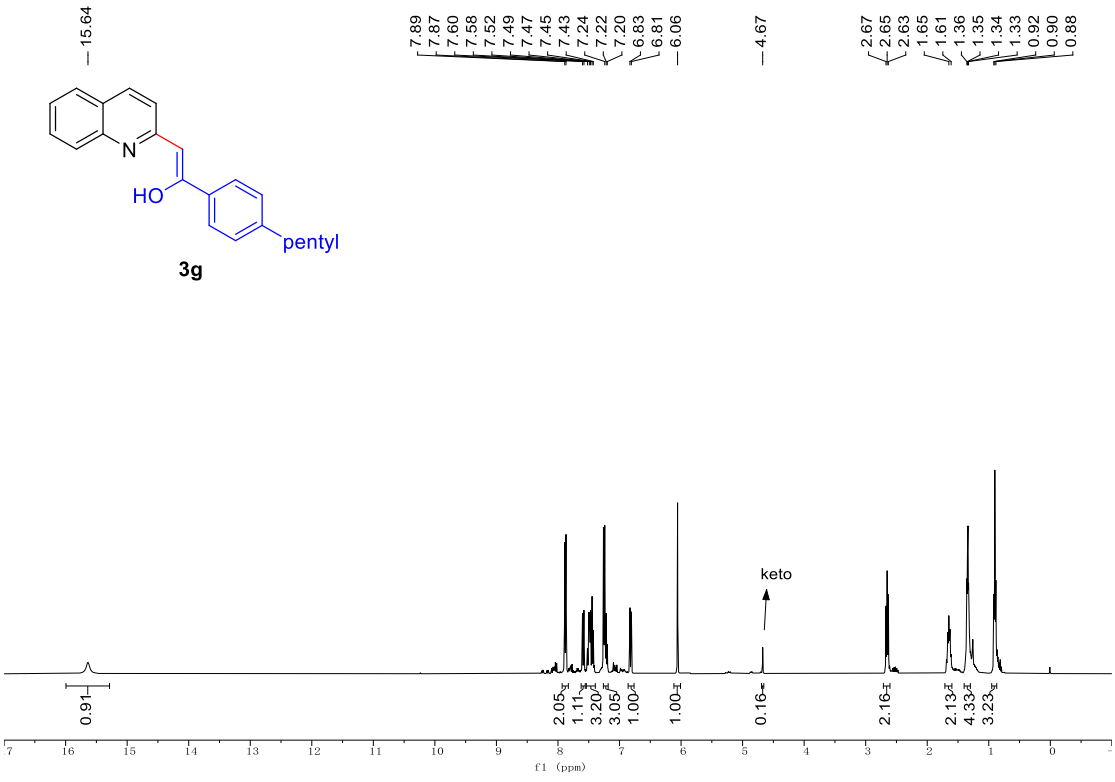
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3f**:



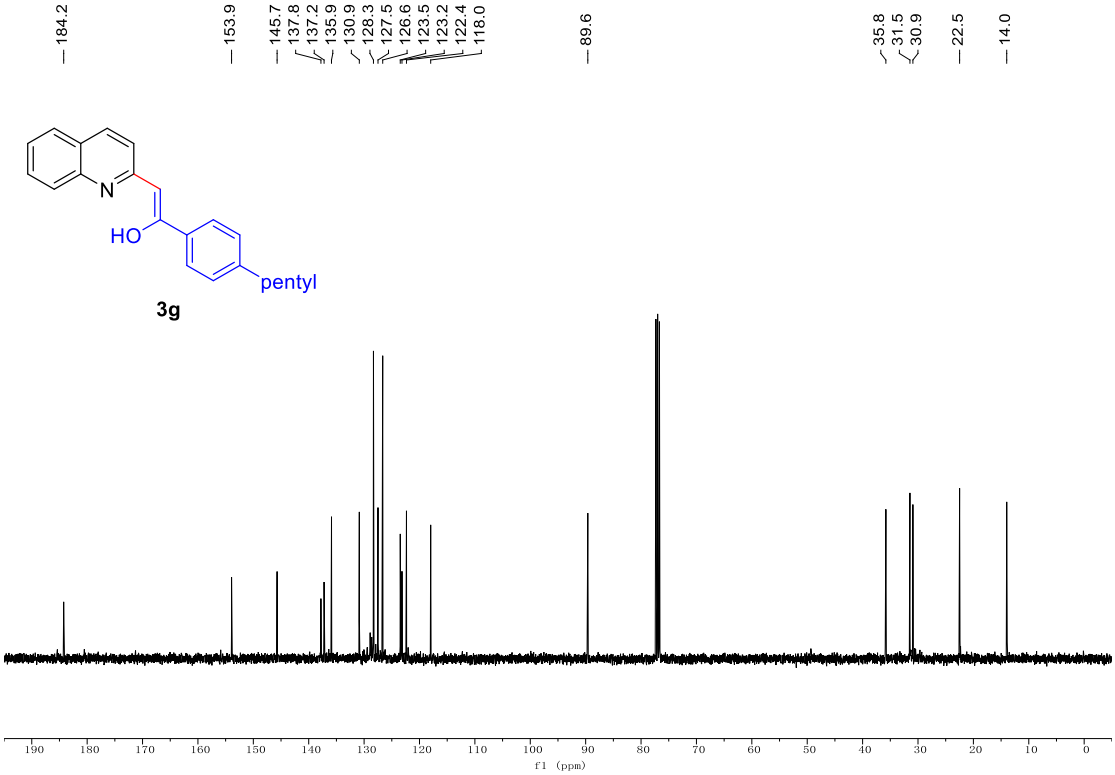
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3f**:



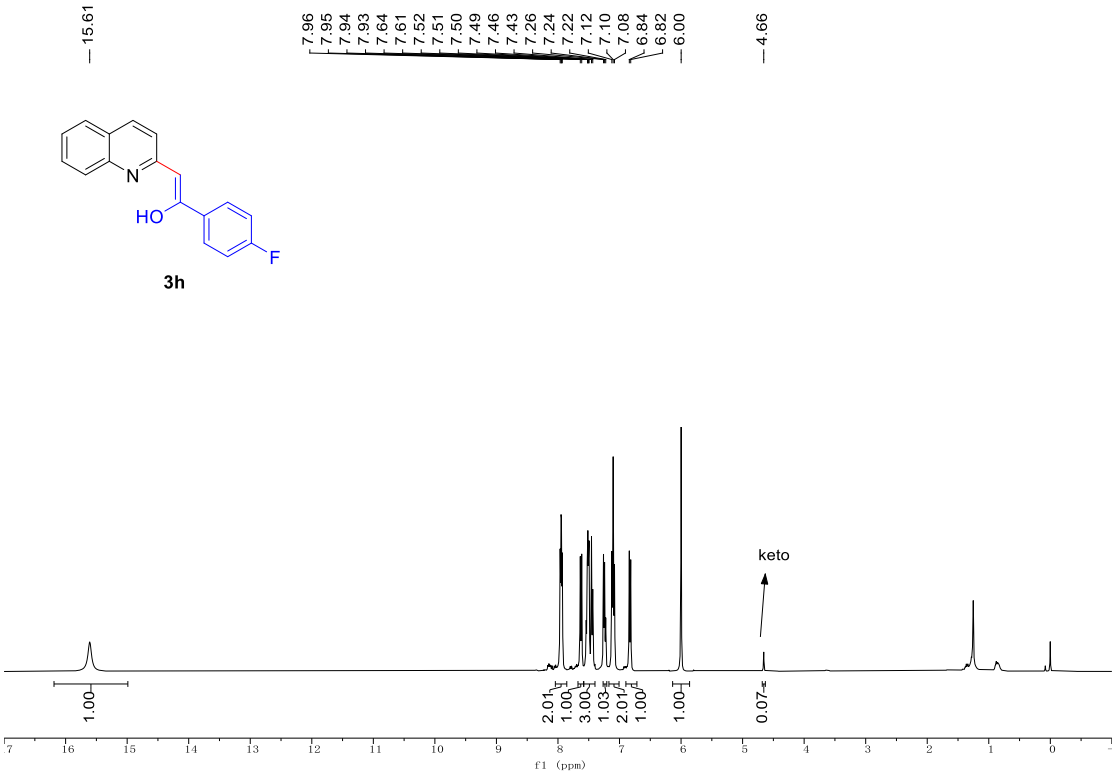
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3g**:



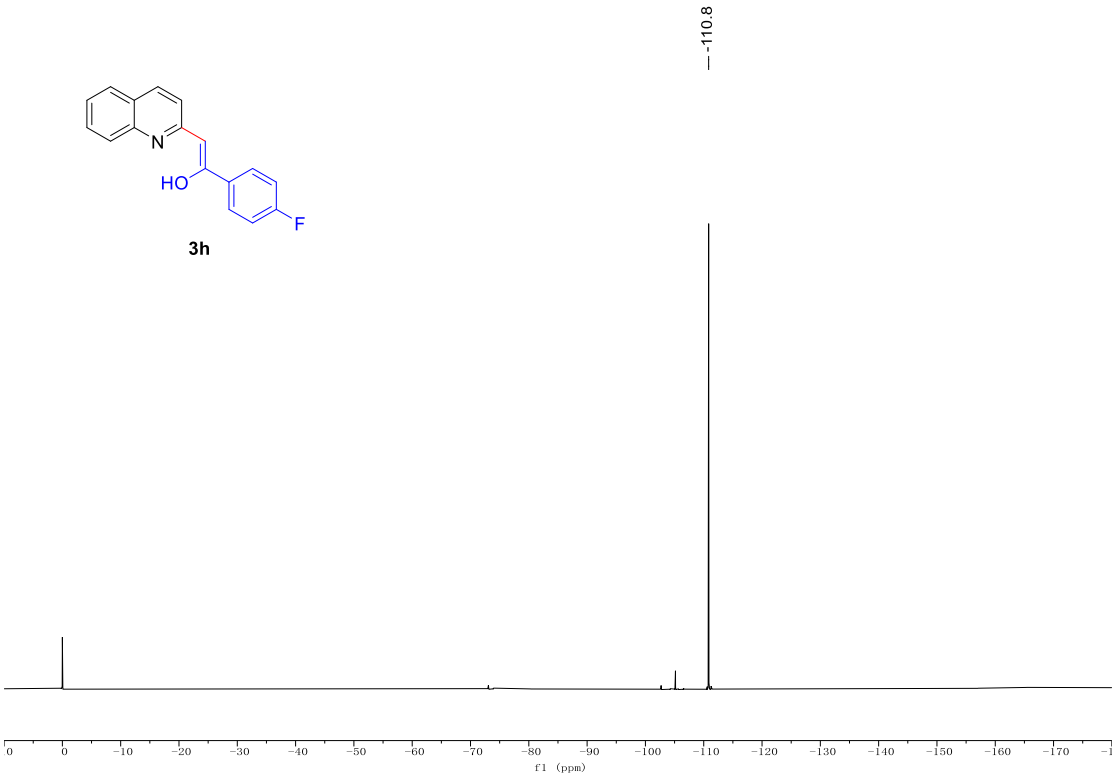
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3g**:



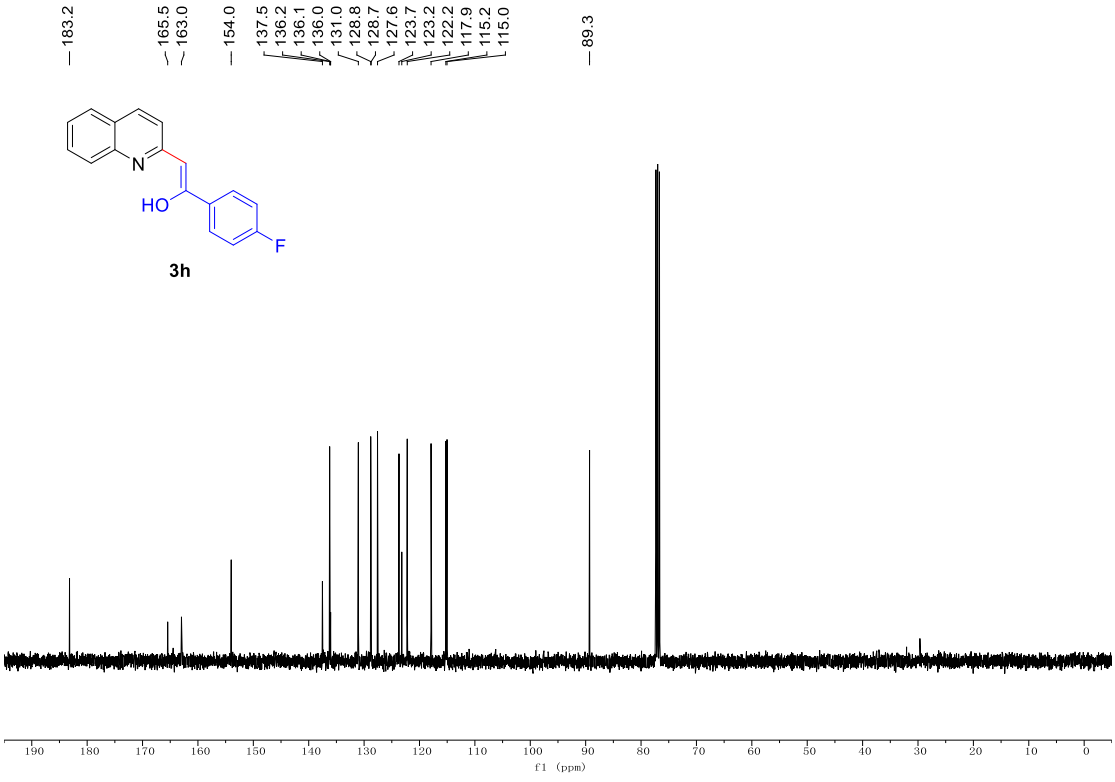
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3h**:



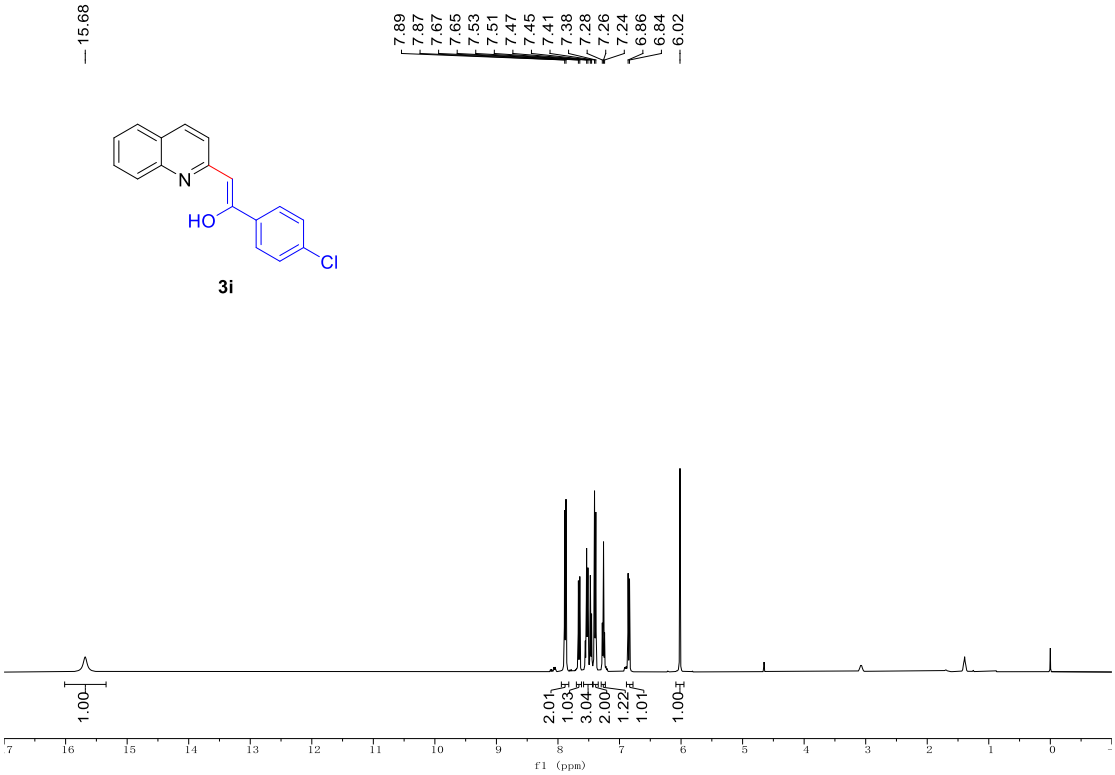
$^{19}F\{^{1}H\}$ NMR (376 MHz, $CDCl_3$) spectra copy of compound **3h**:



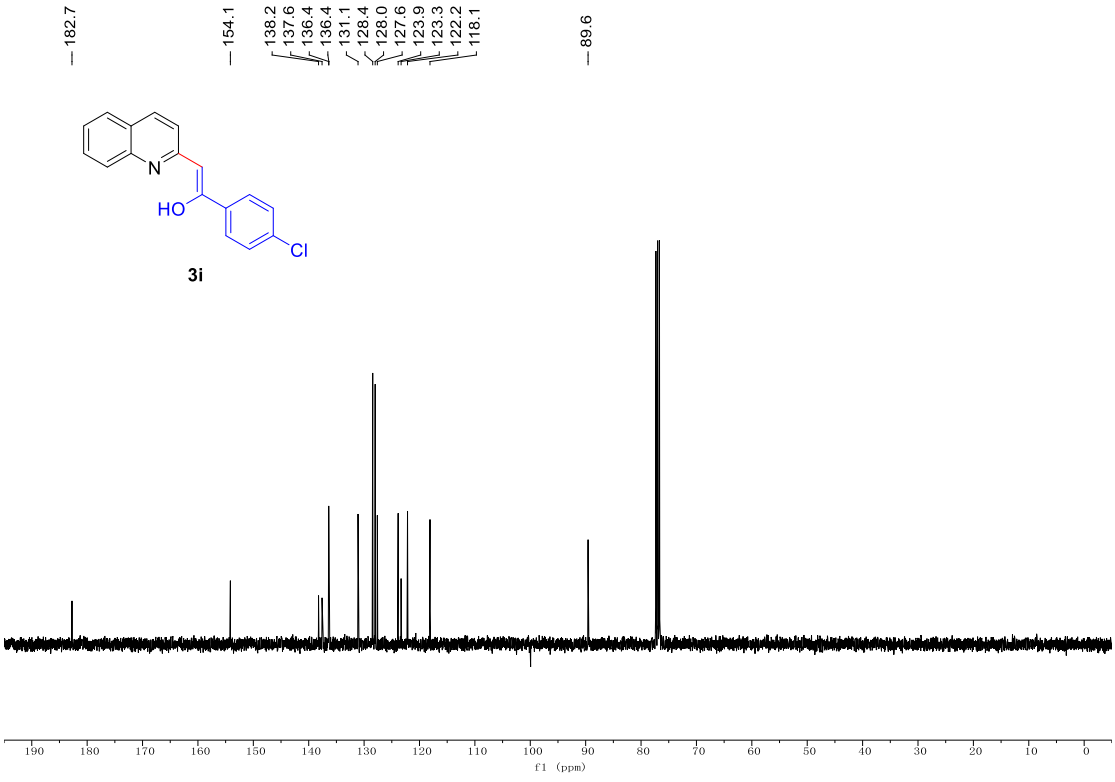
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3h**:



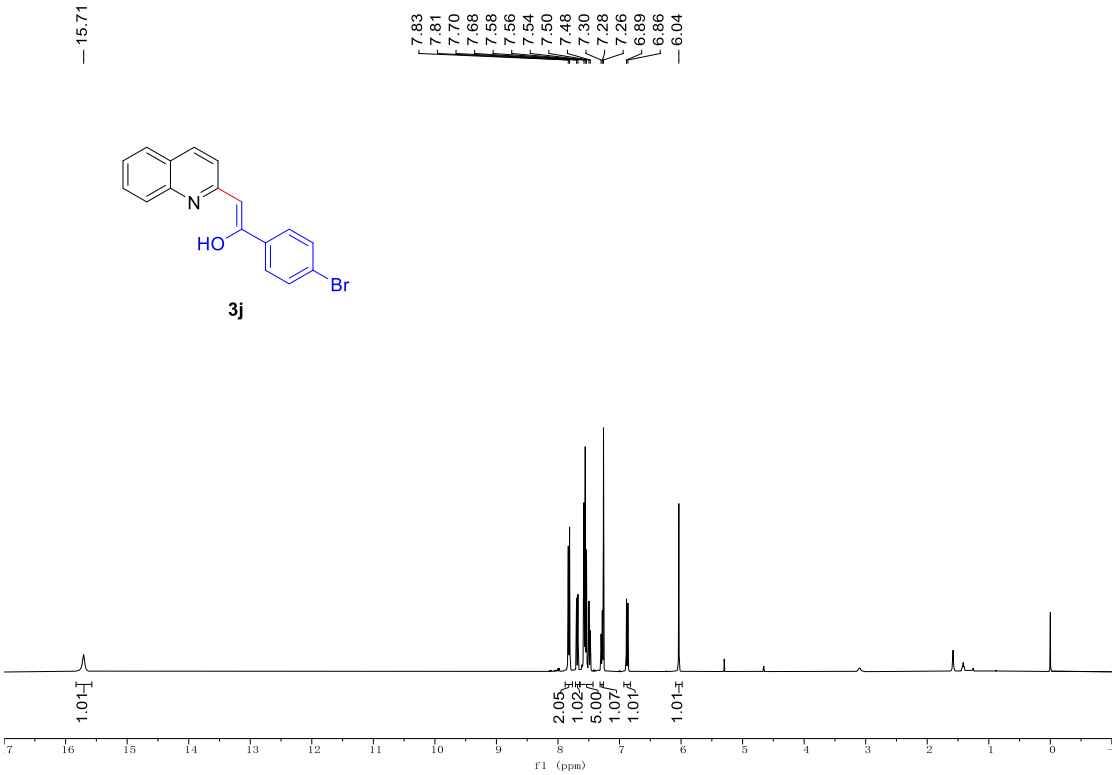
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3i**:



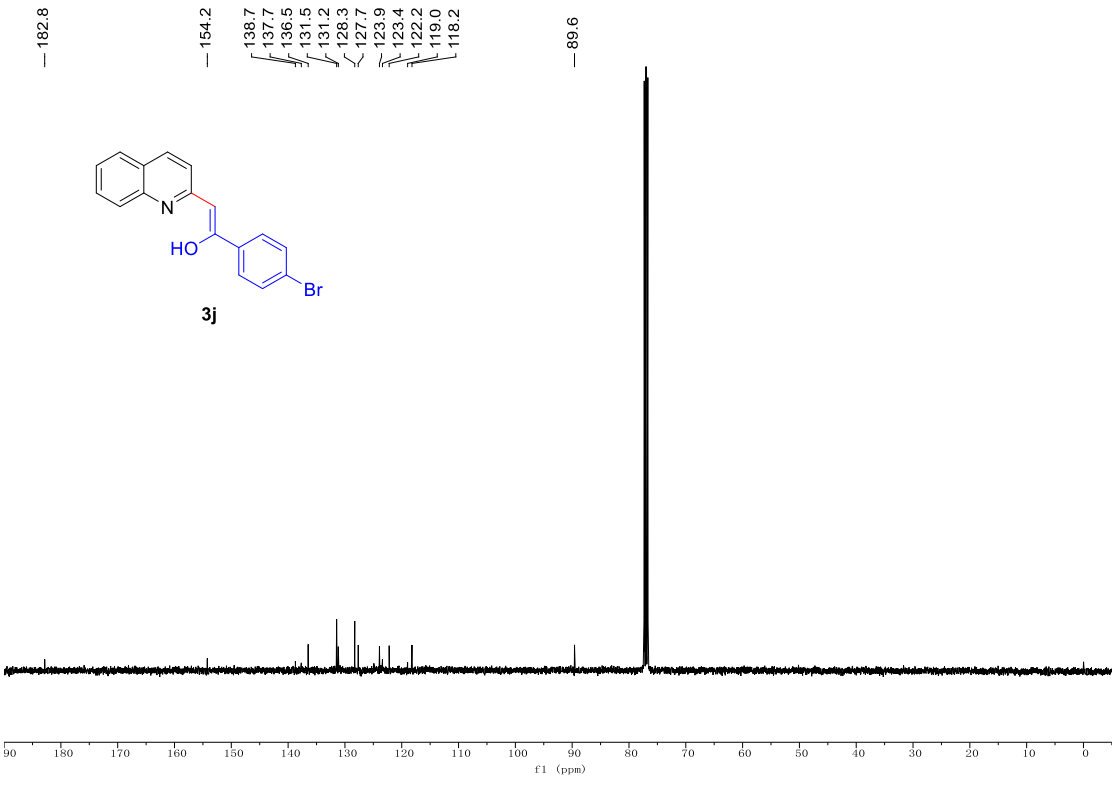
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3i**:



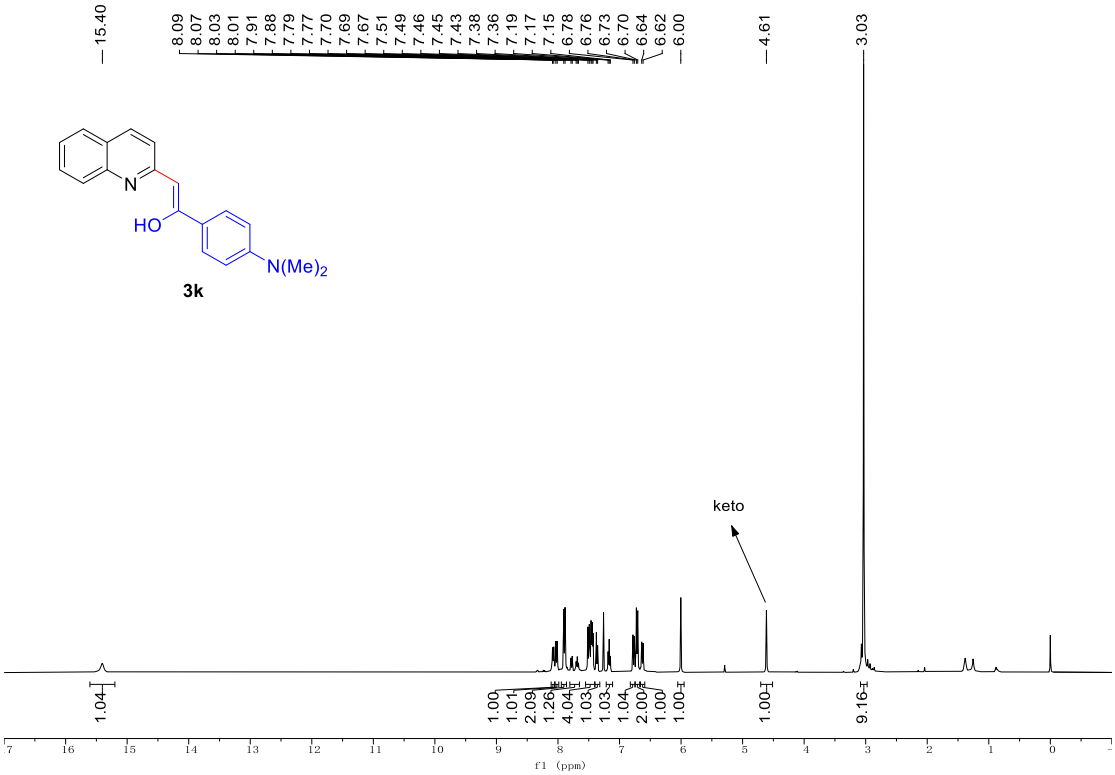
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3j**:



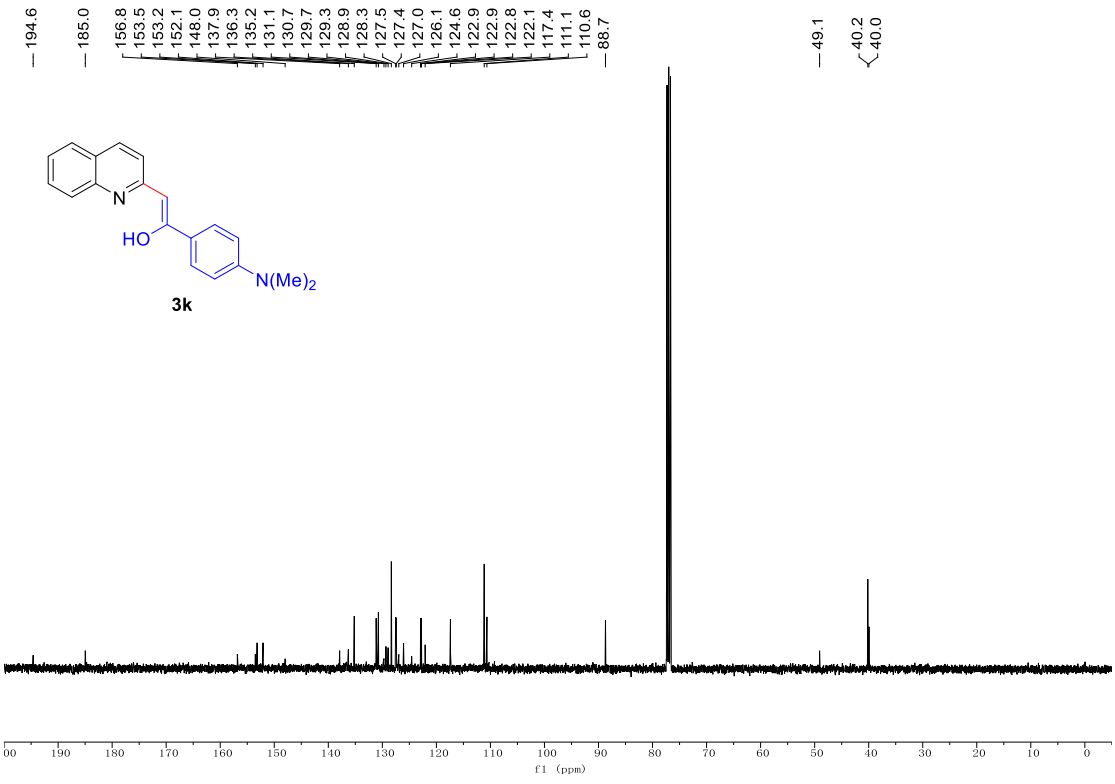
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3j**:



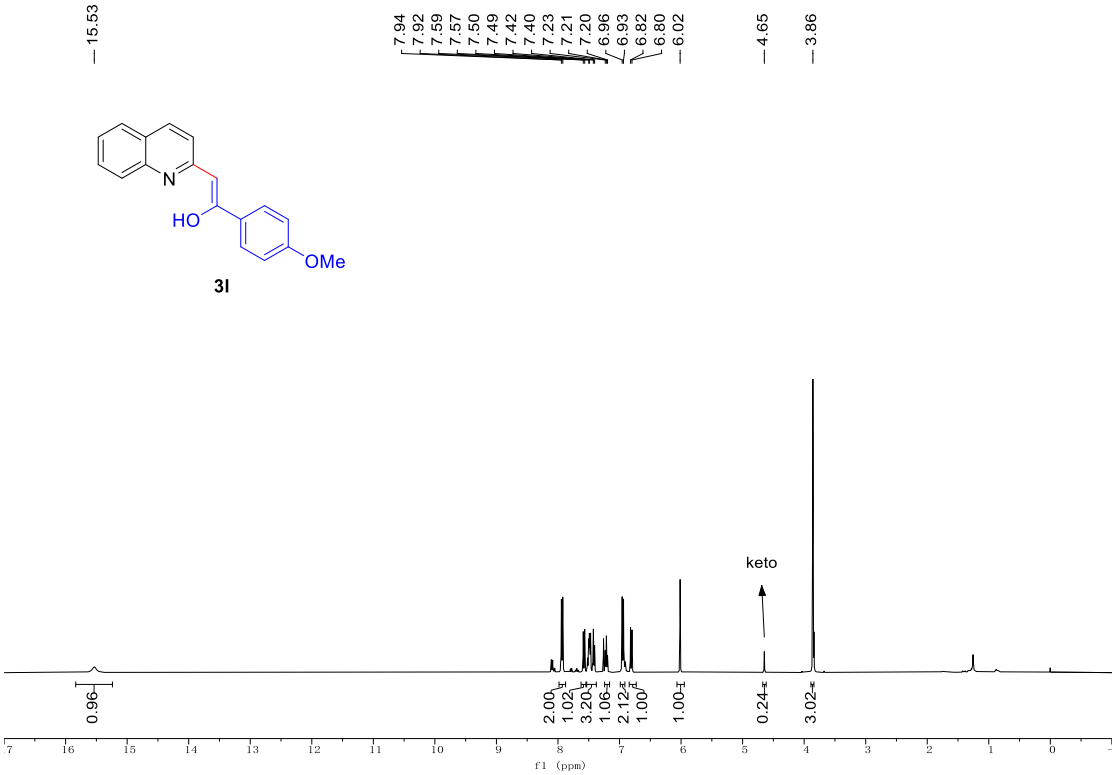
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3k**:



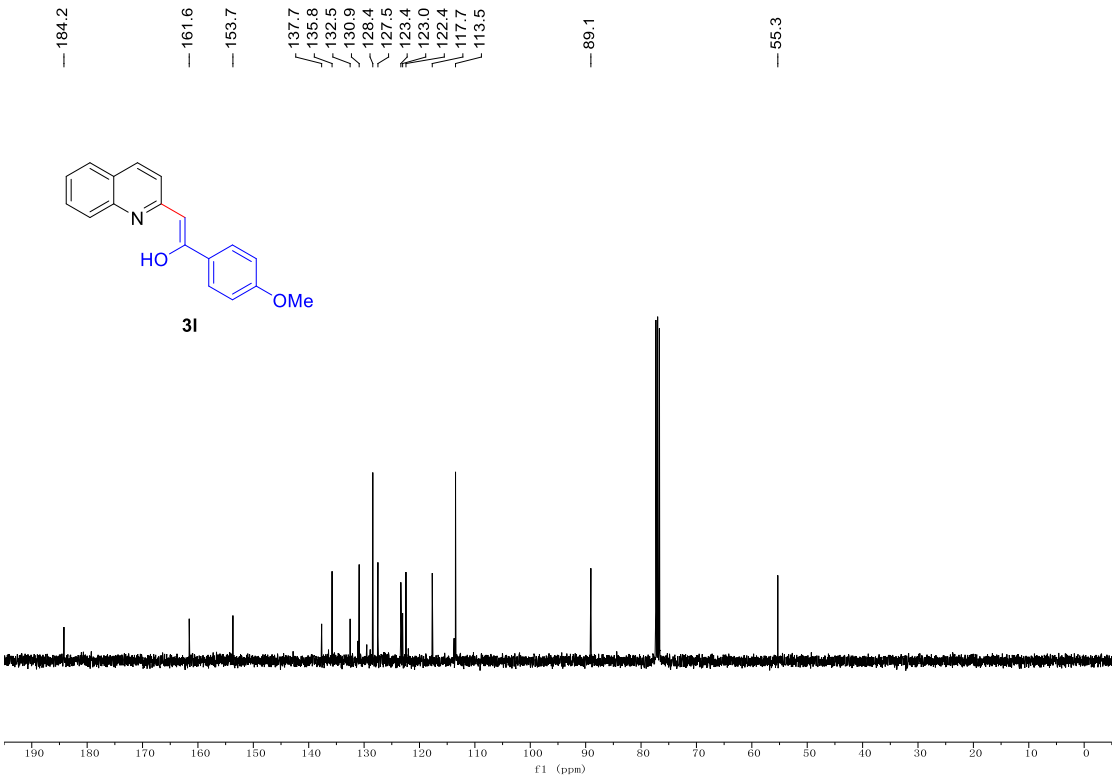
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3k**:



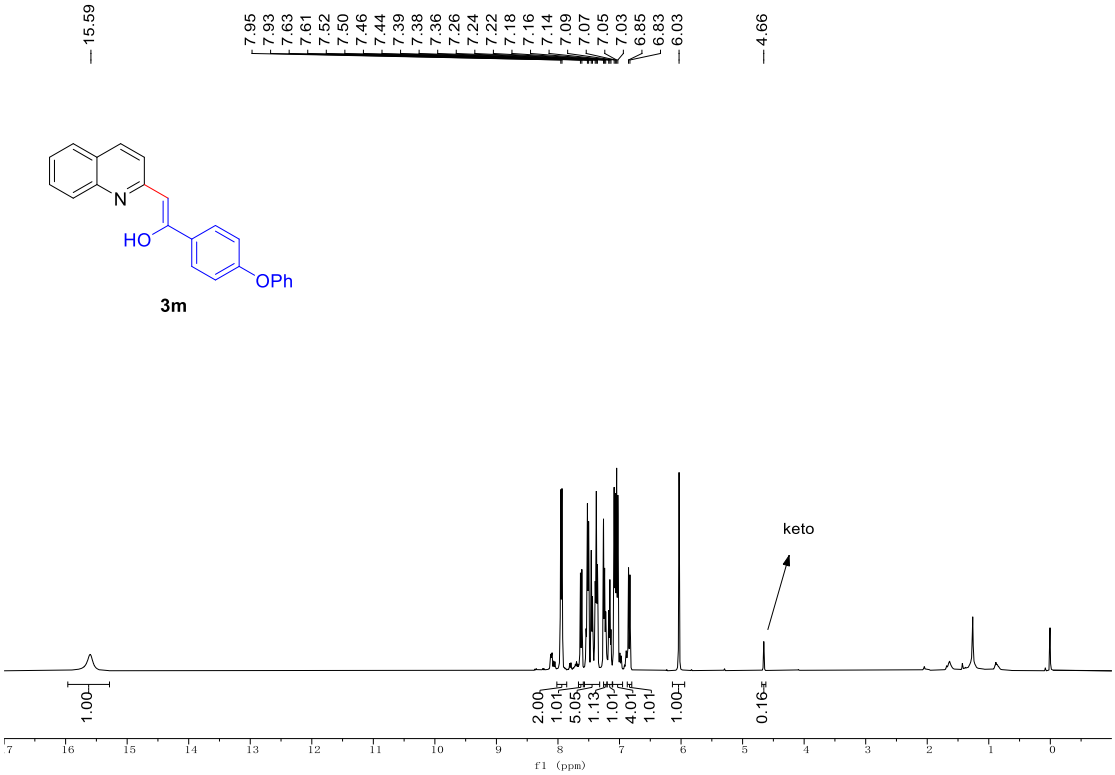
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3l**:



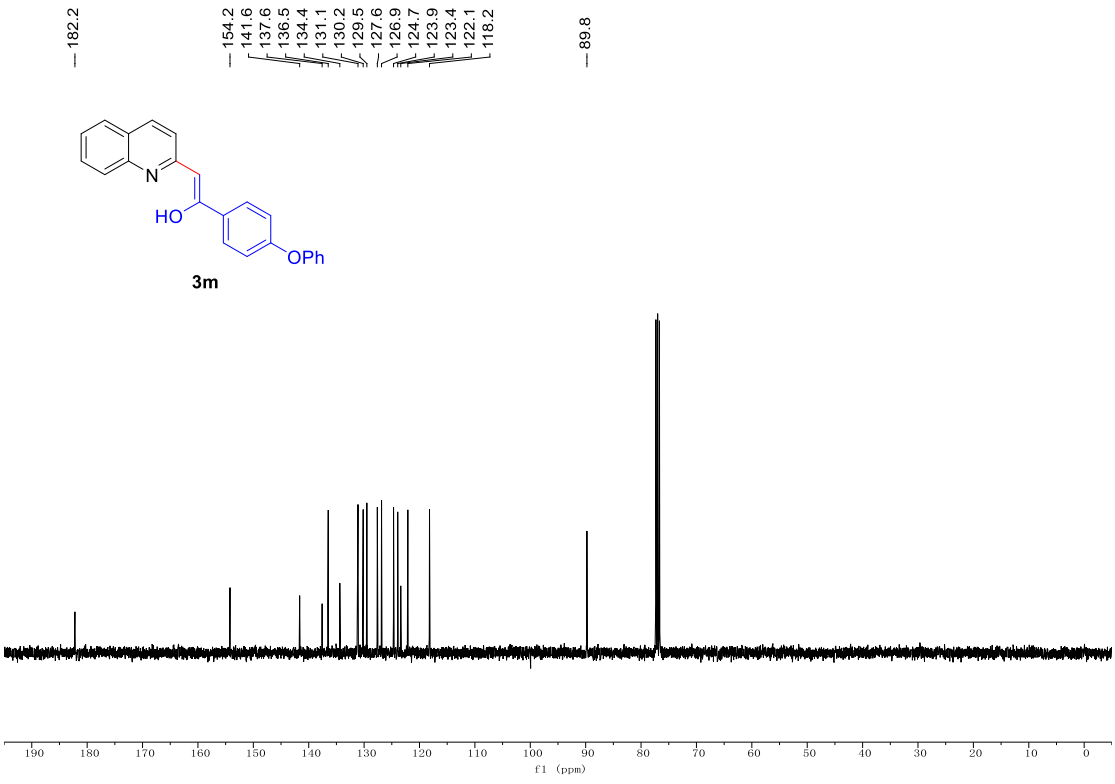
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3l**:



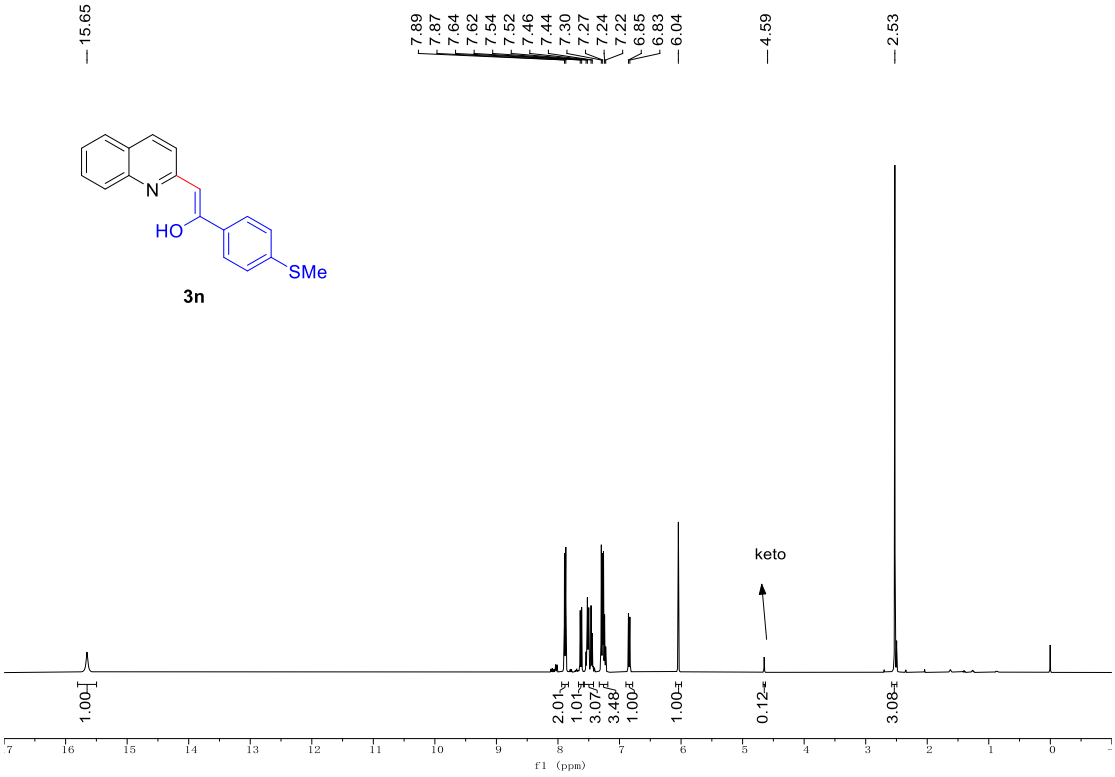
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3m**:



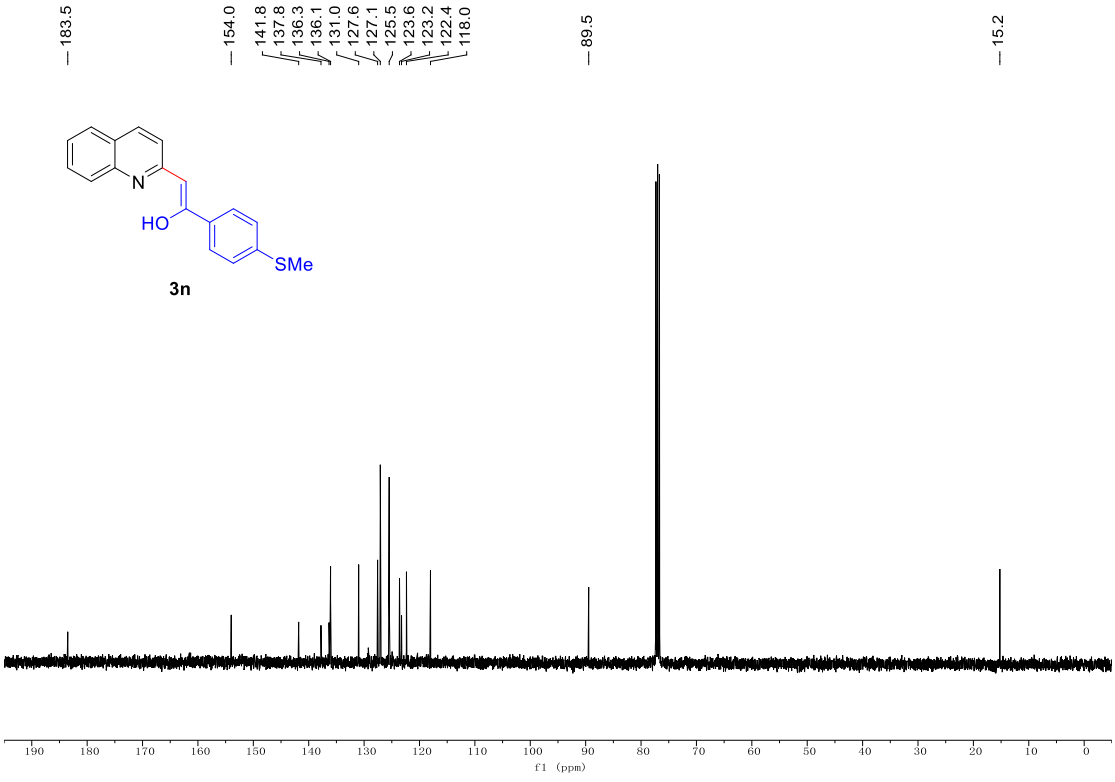
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3m**:



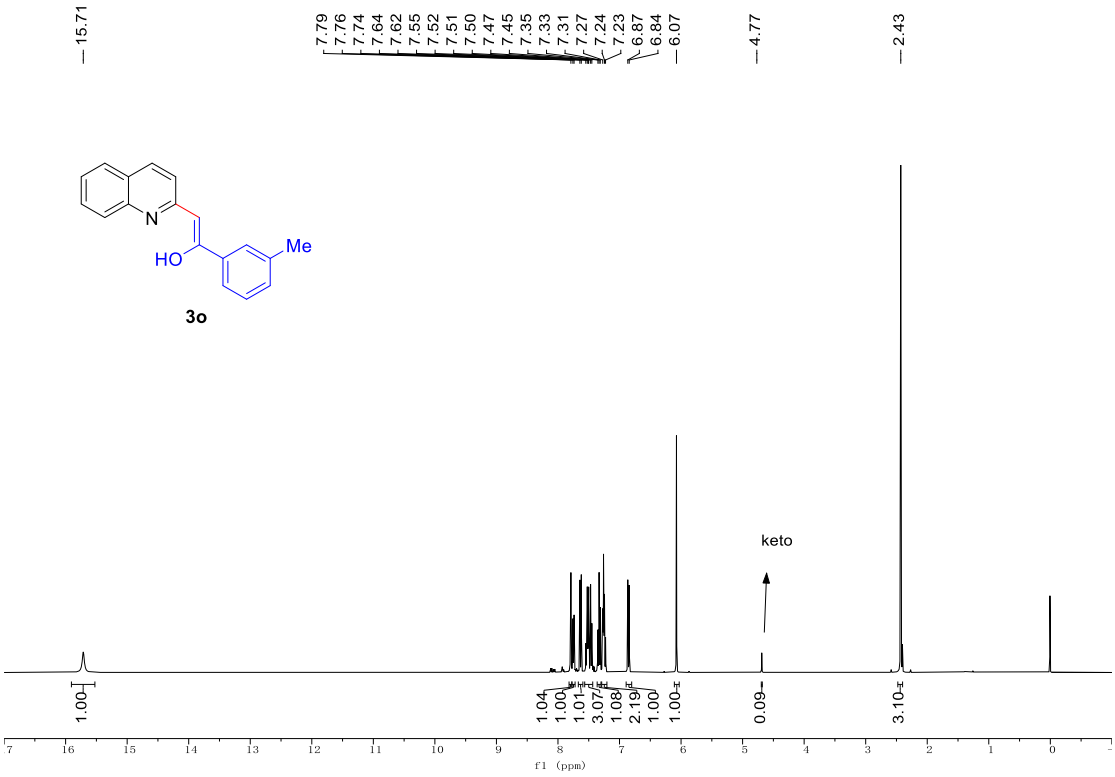
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3n**:



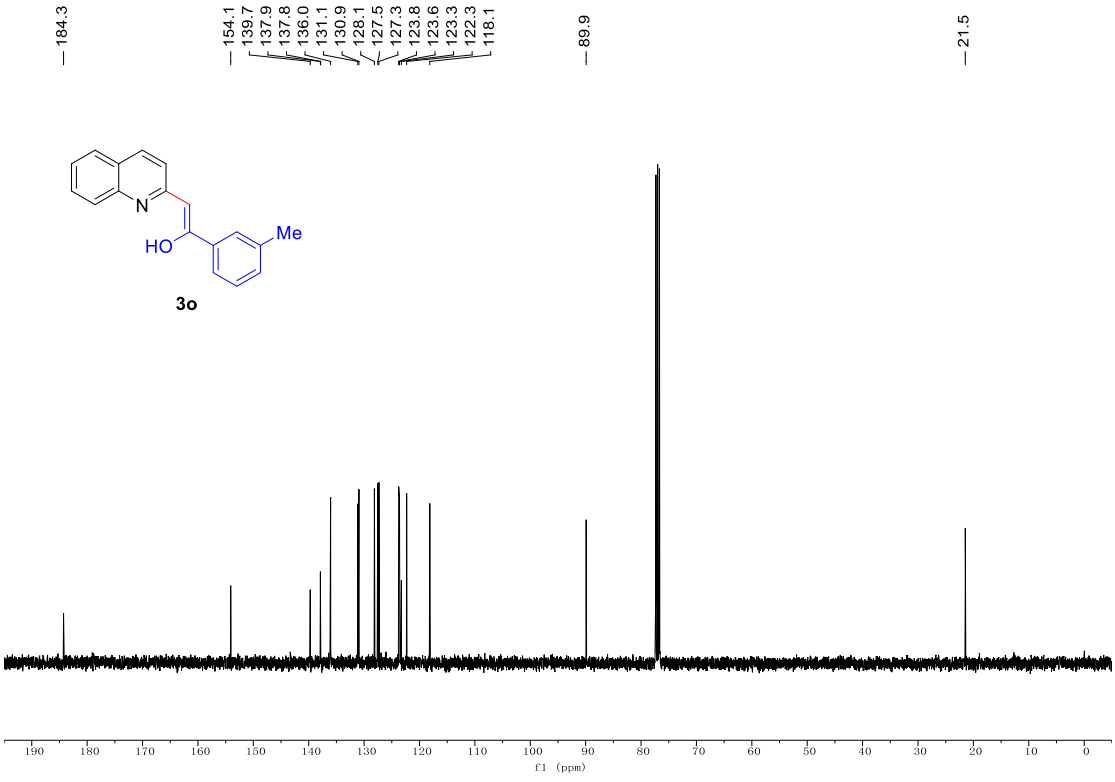
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3n**:



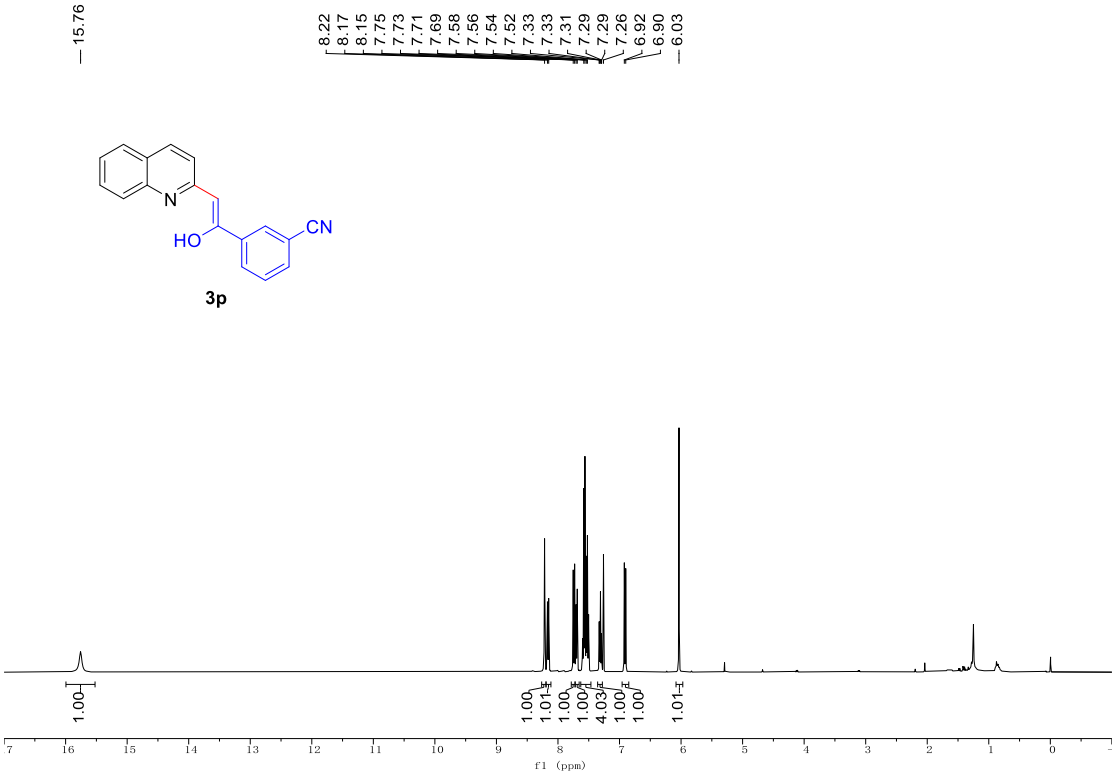
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3o**:



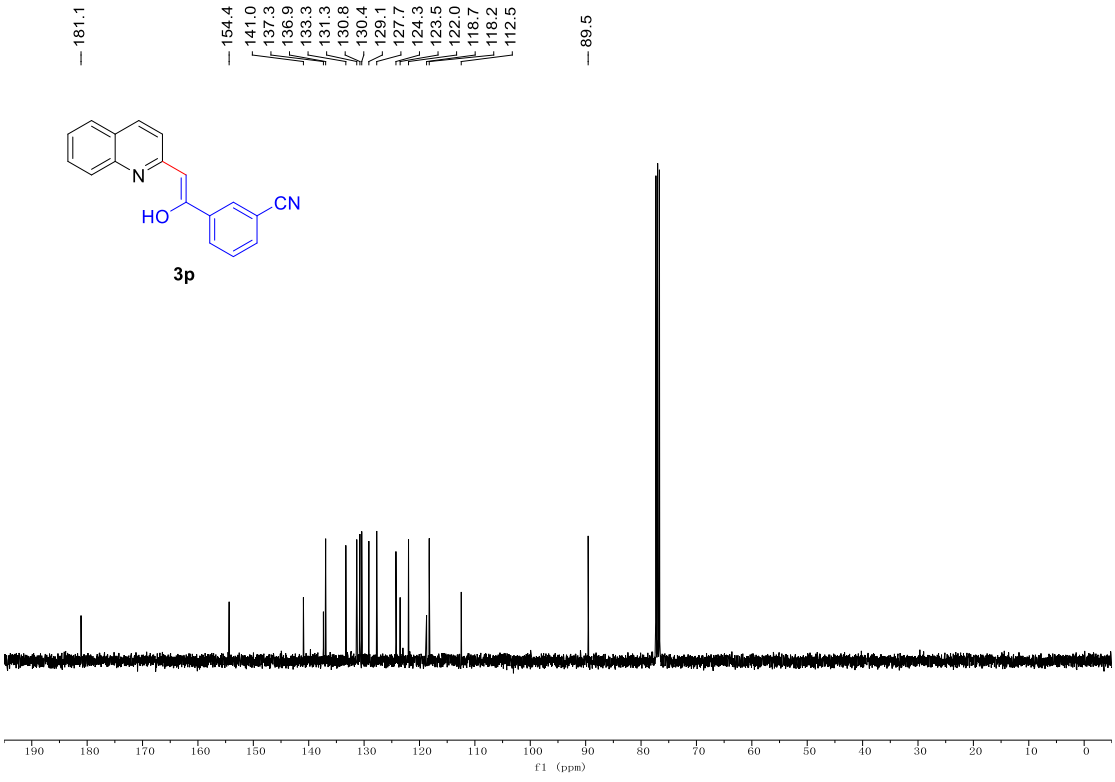
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3o**:



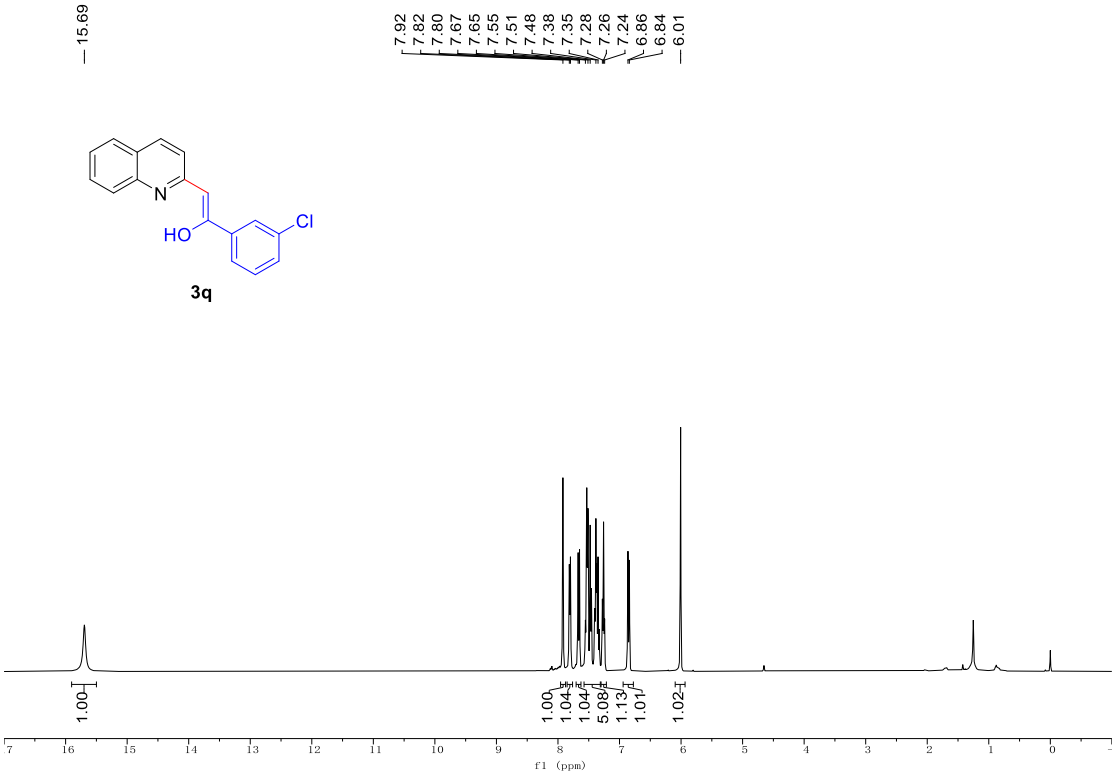
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3p**:



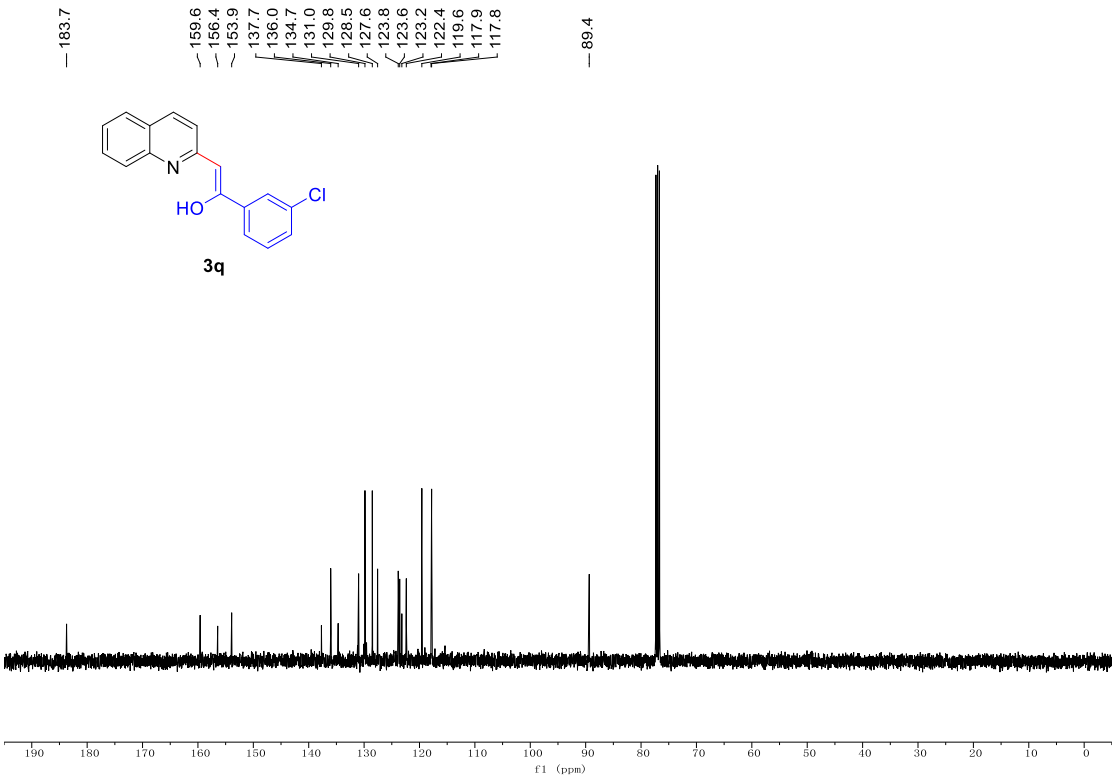
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3p**:



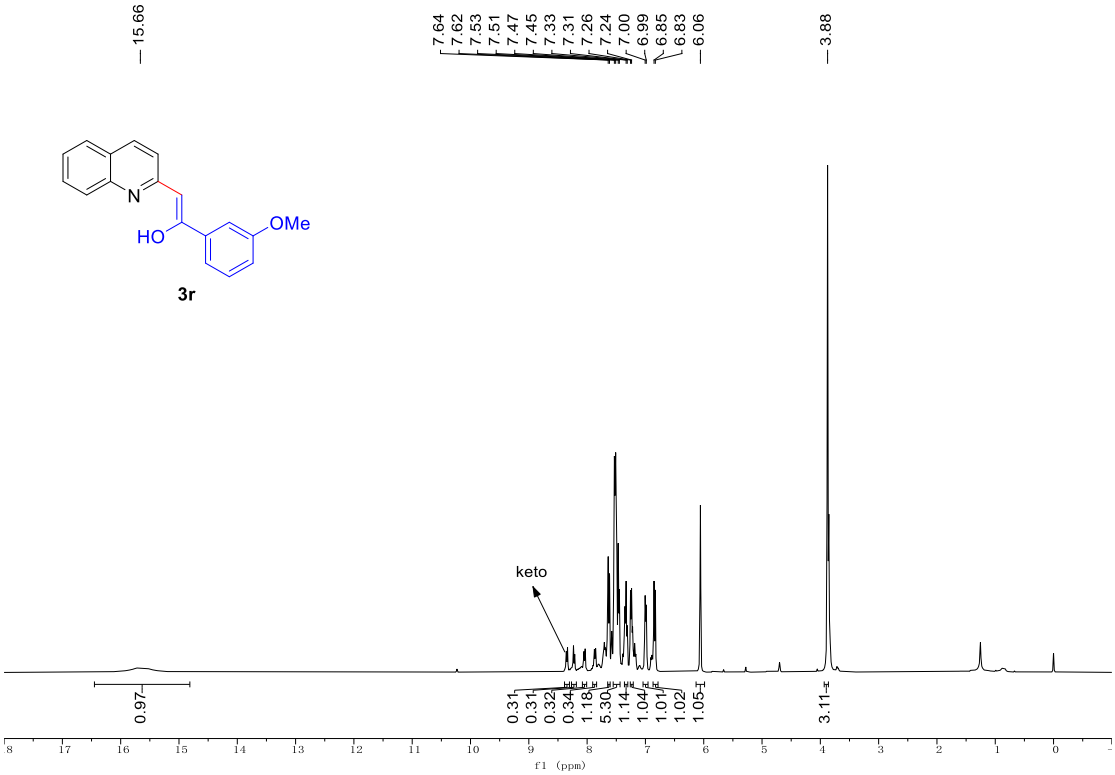
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3q**:



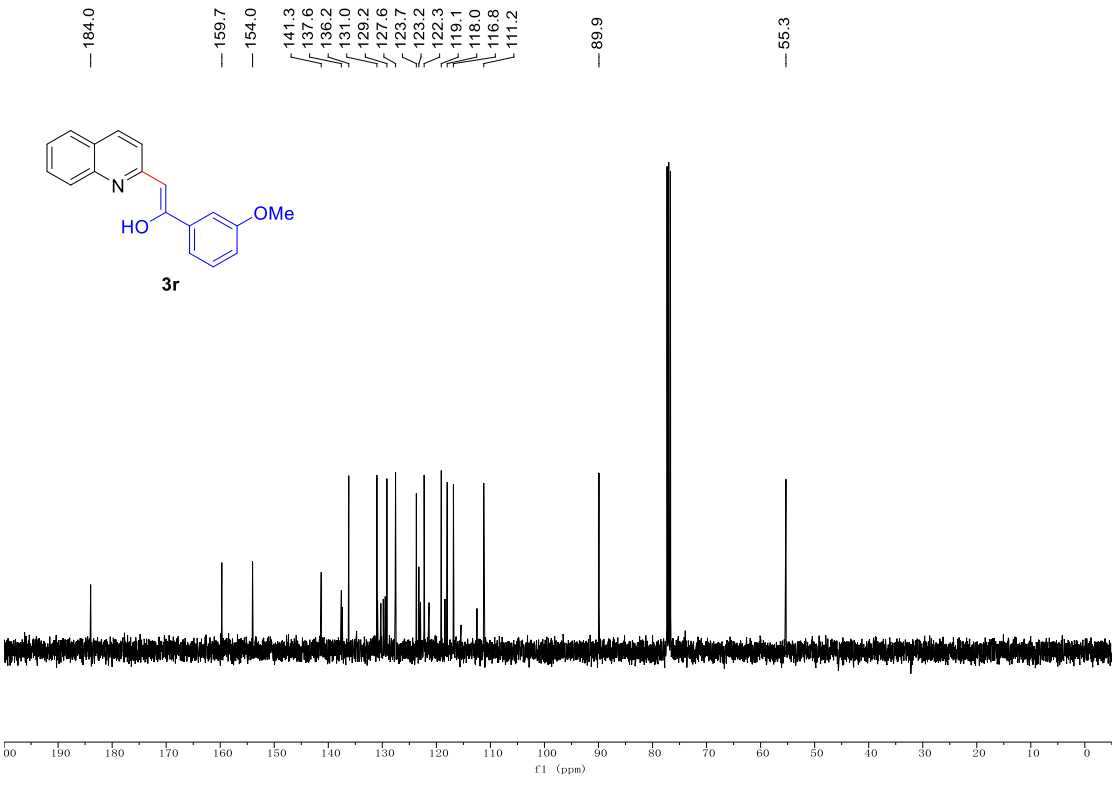
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3q**:



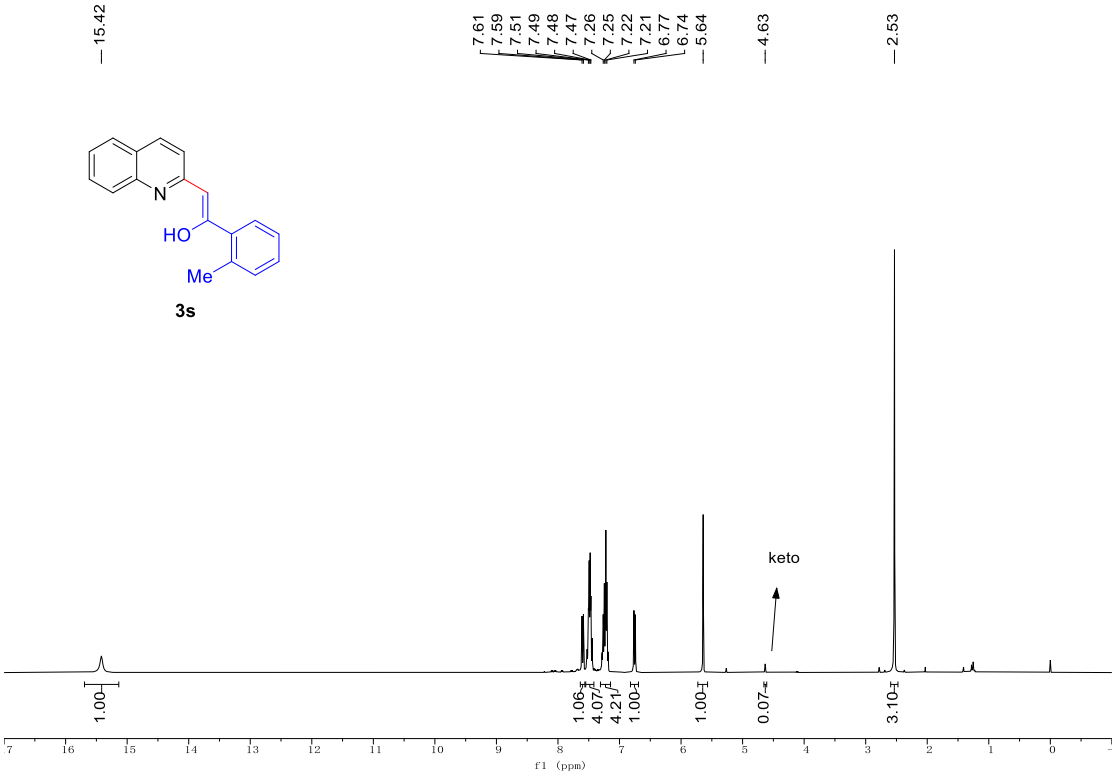
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3r**:



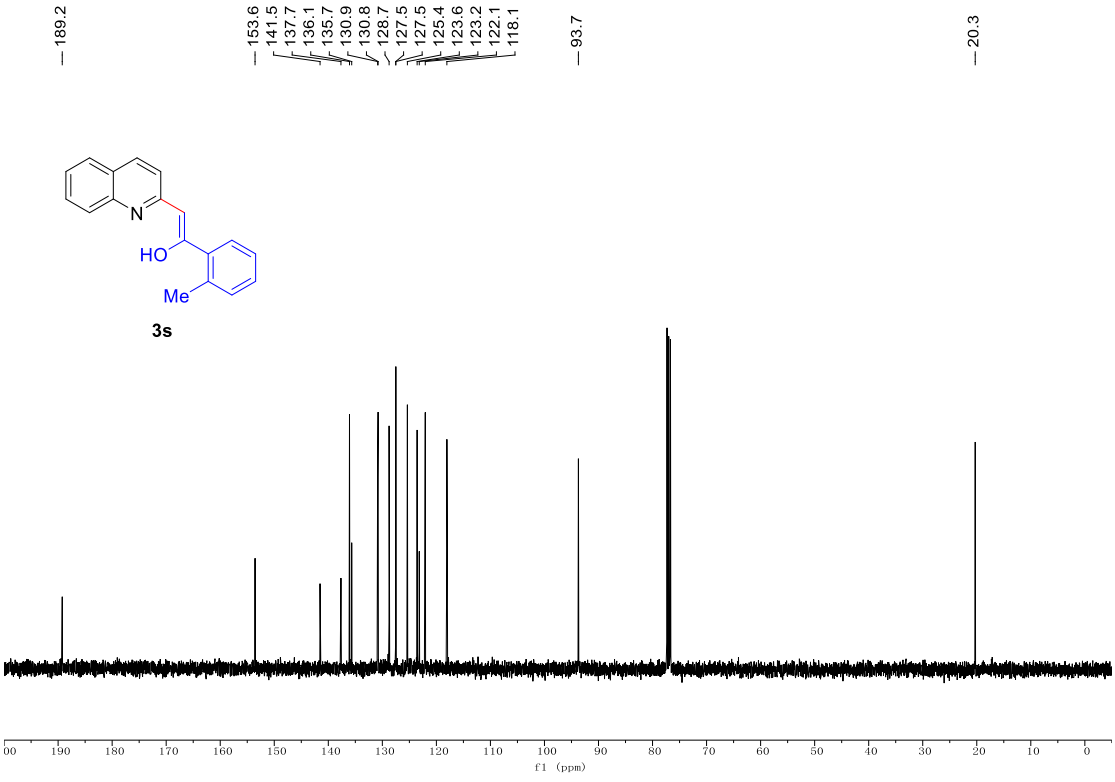
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3r**:



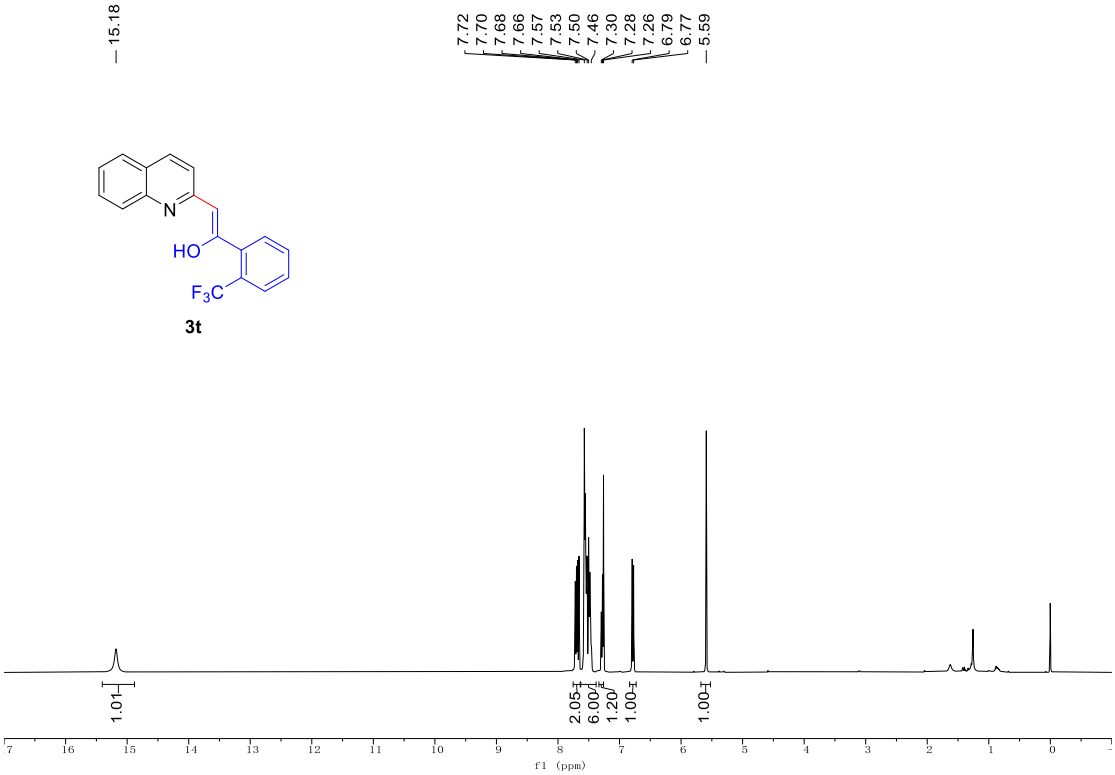
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3s**:



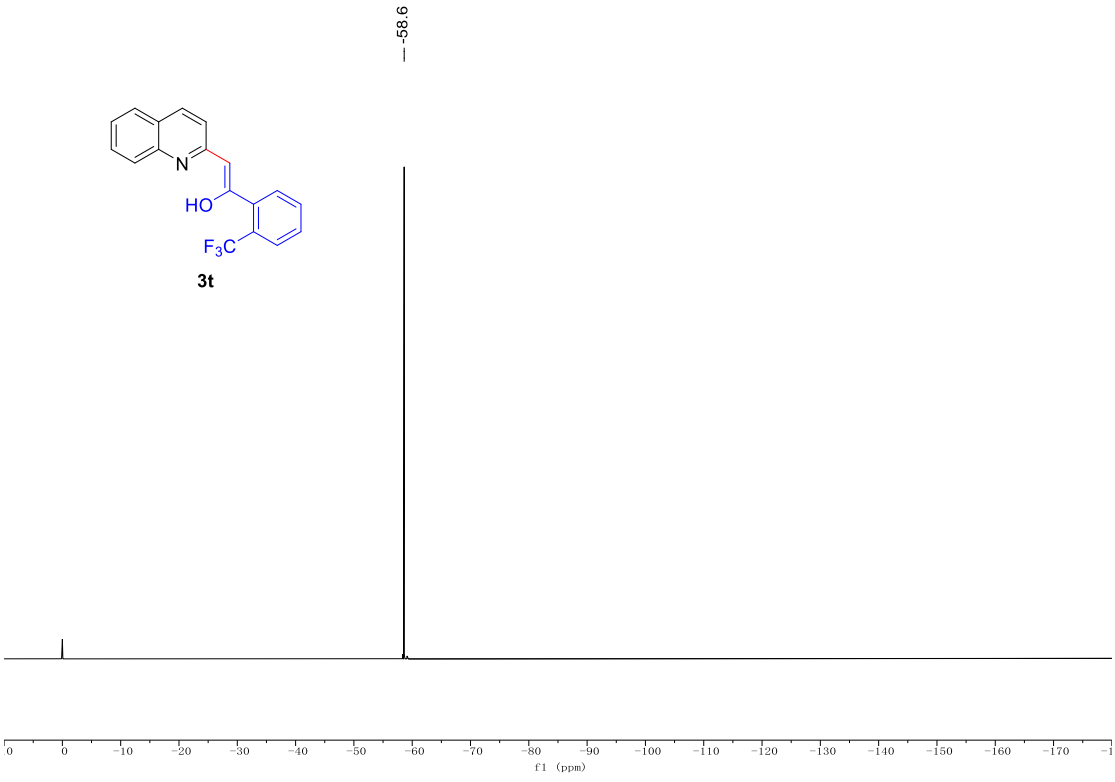
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3s**:



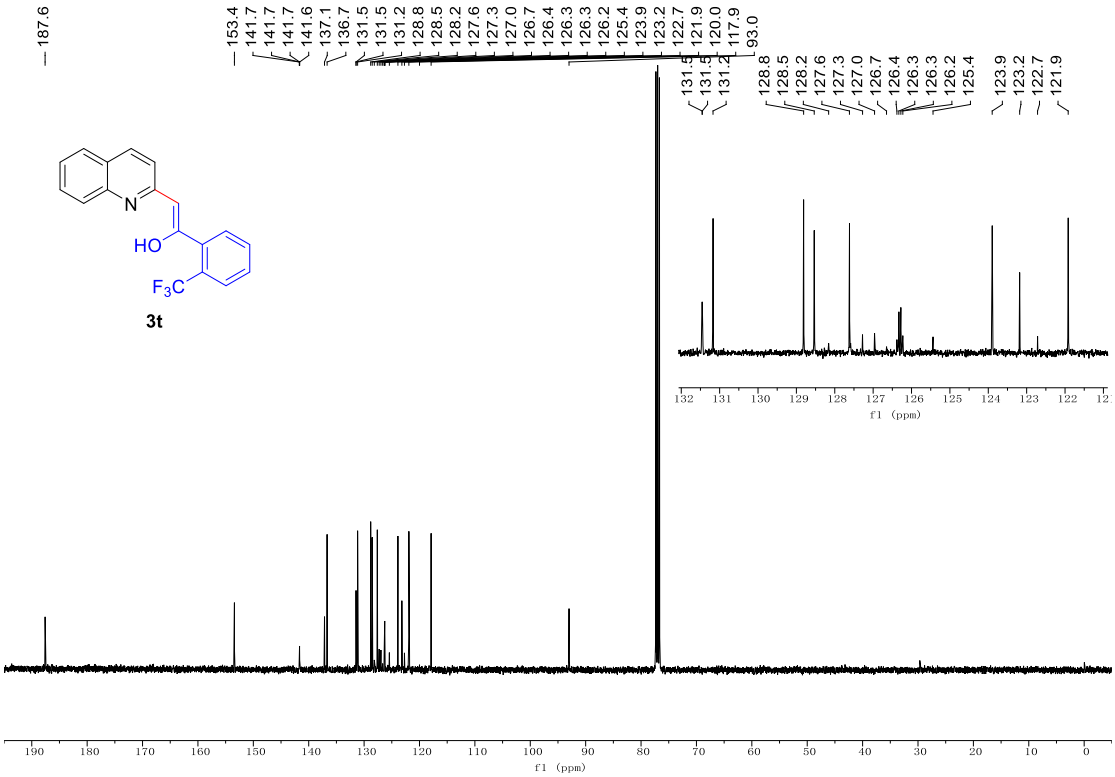
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3t**:



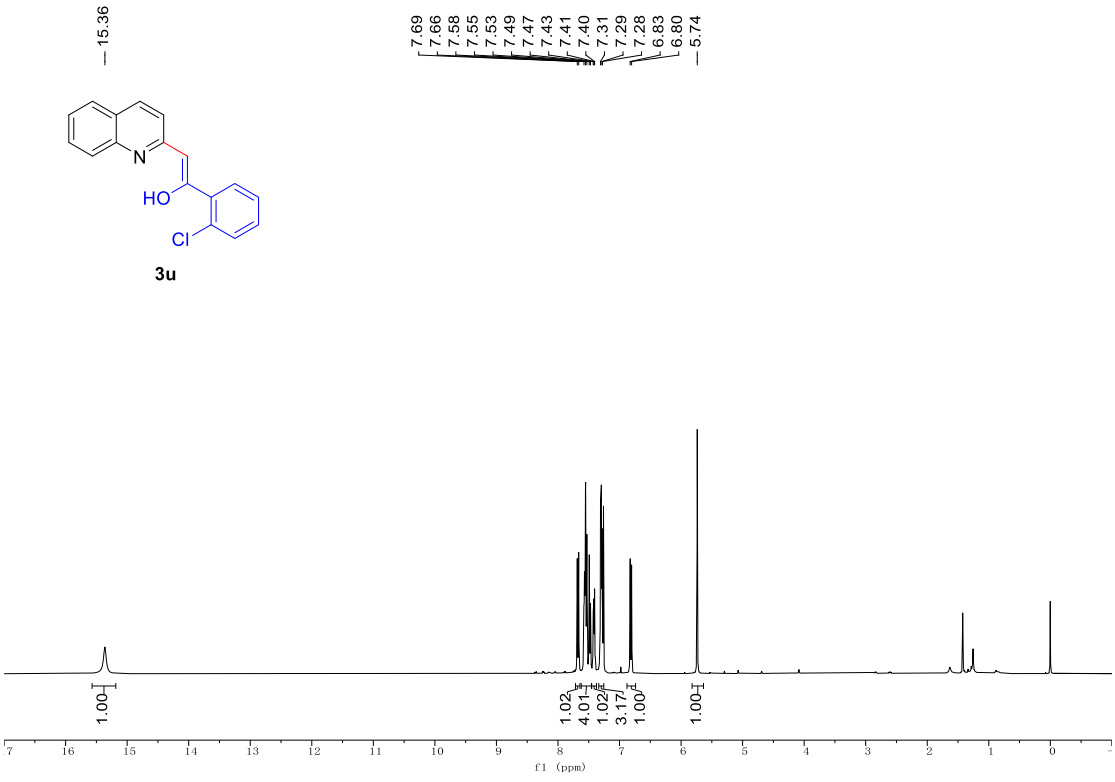
^{19}F{^{1}H} NMR (376 MHz, $CDCl_3$) spectra copy of compound **3t**:



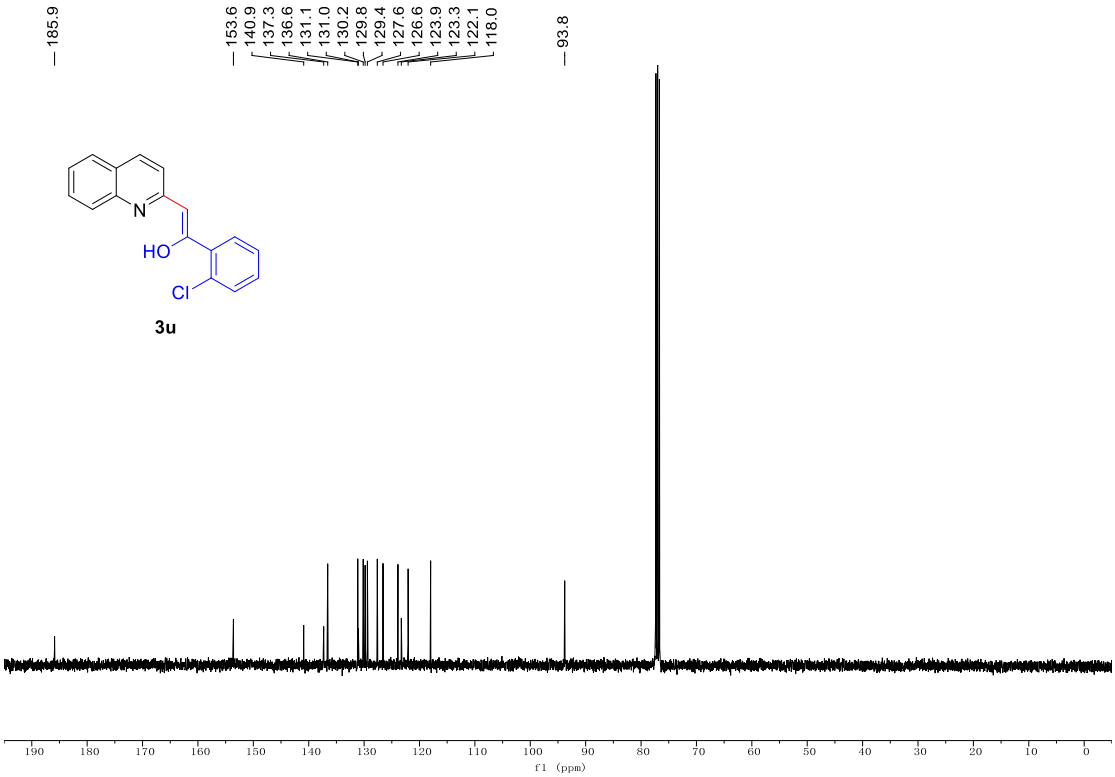
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3t**:



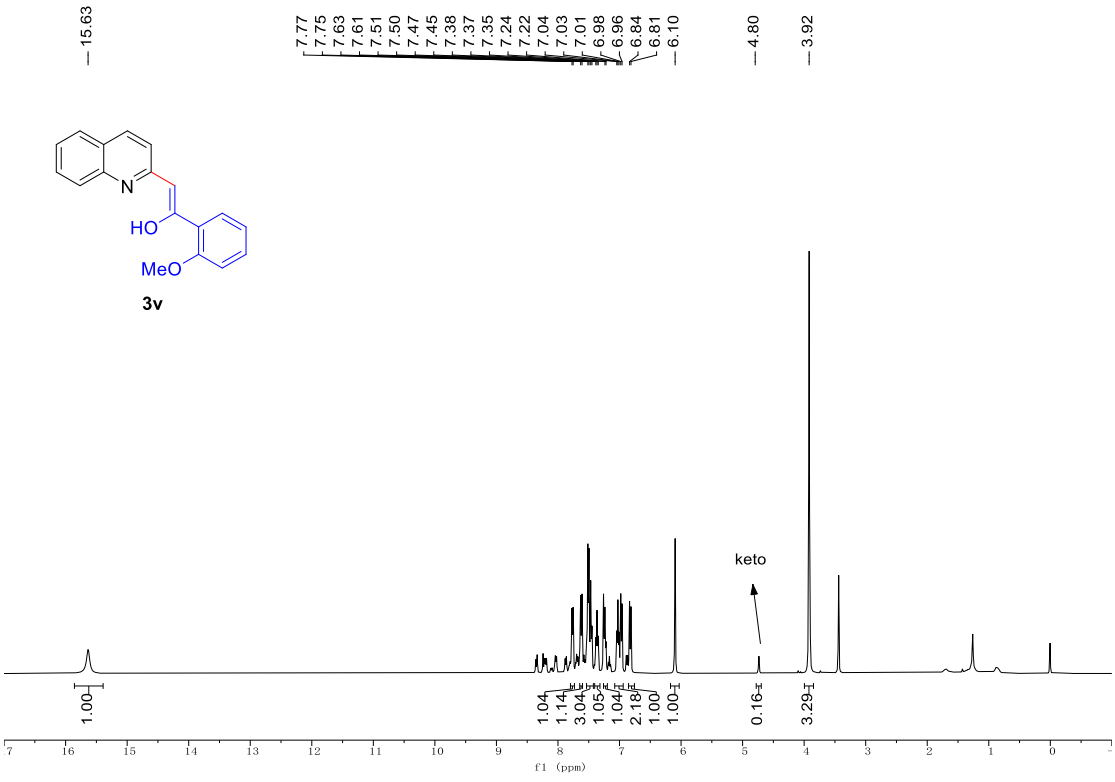
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3u**:



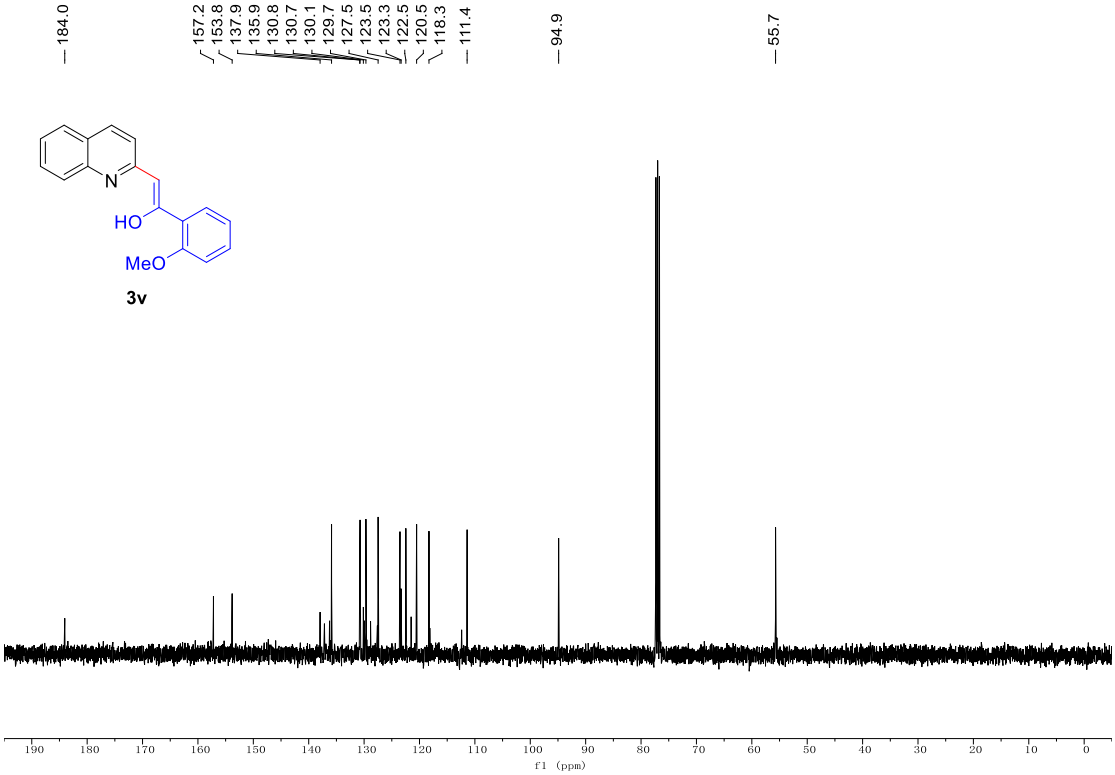
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3u**:



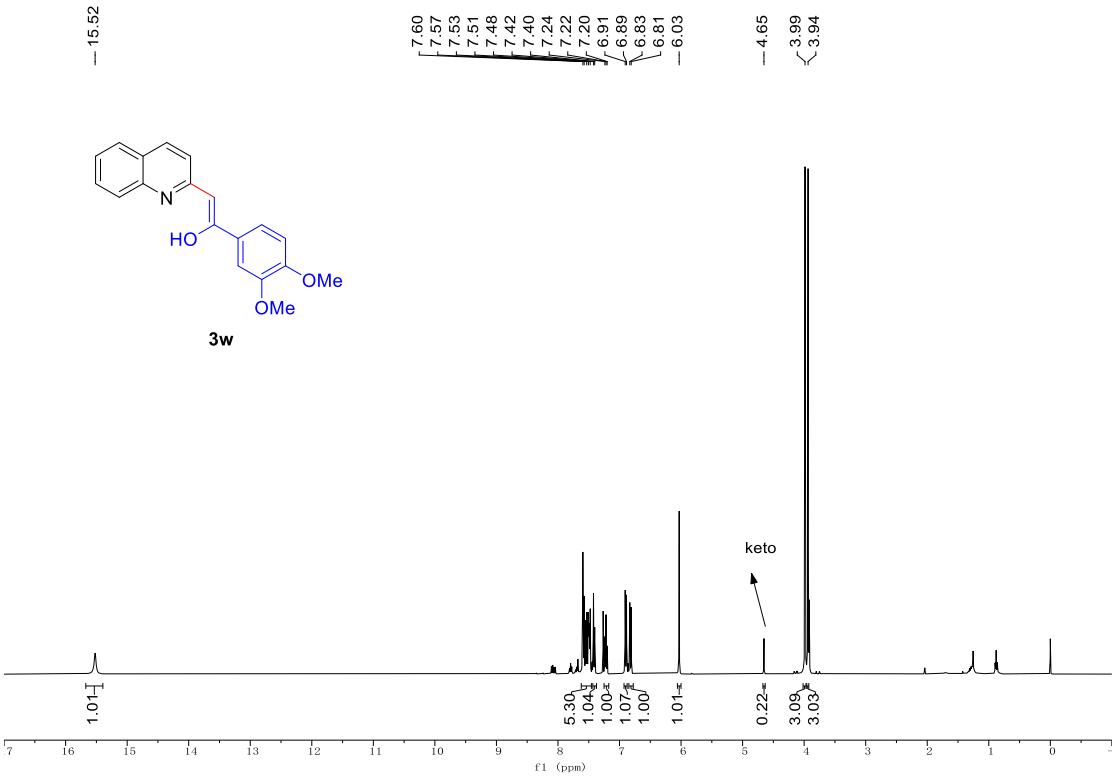
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3v**:



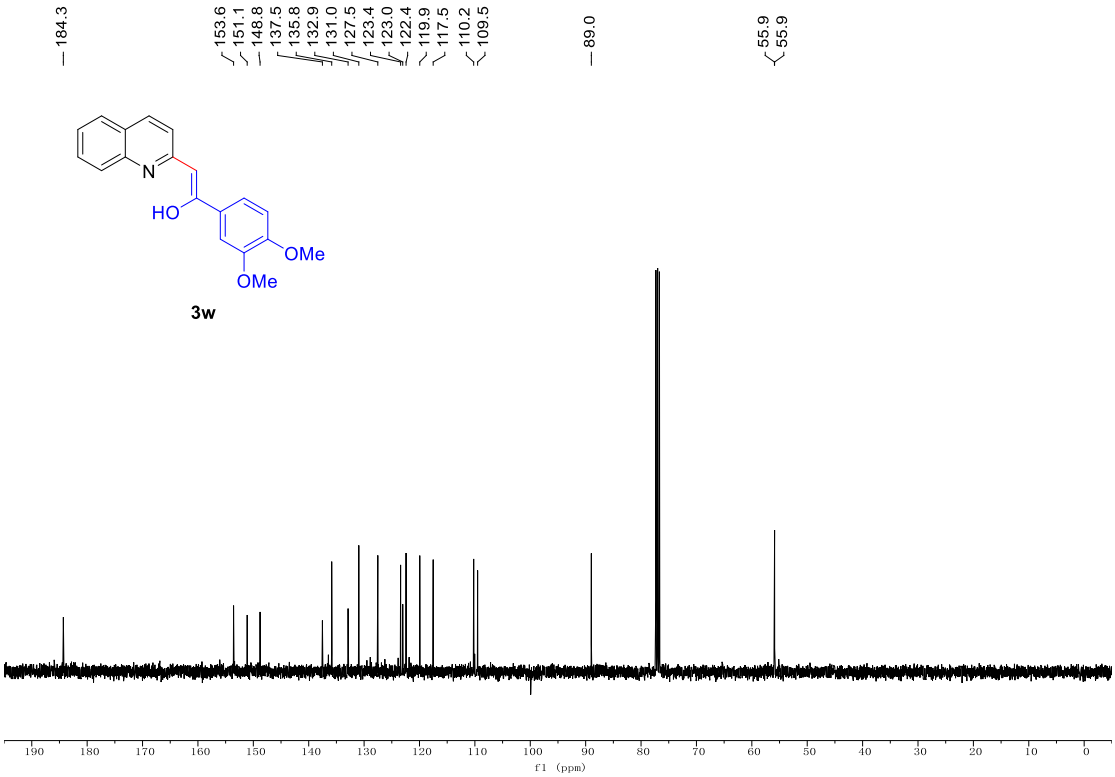
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3v**:



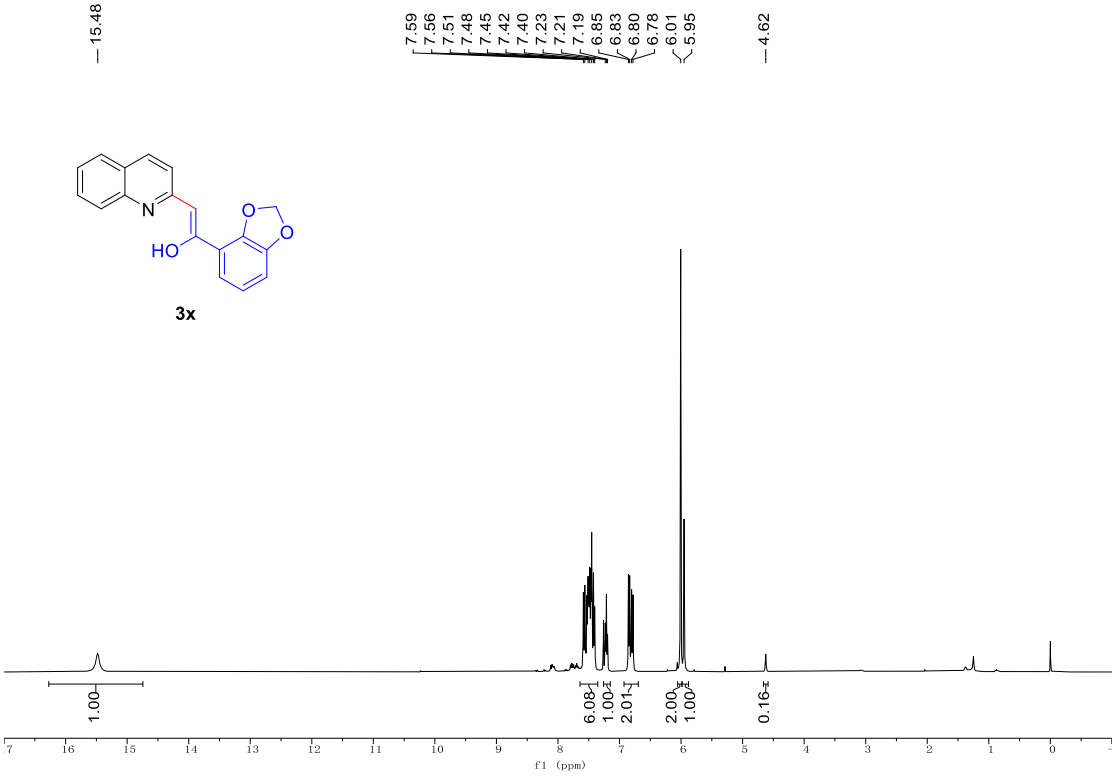
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3w**:



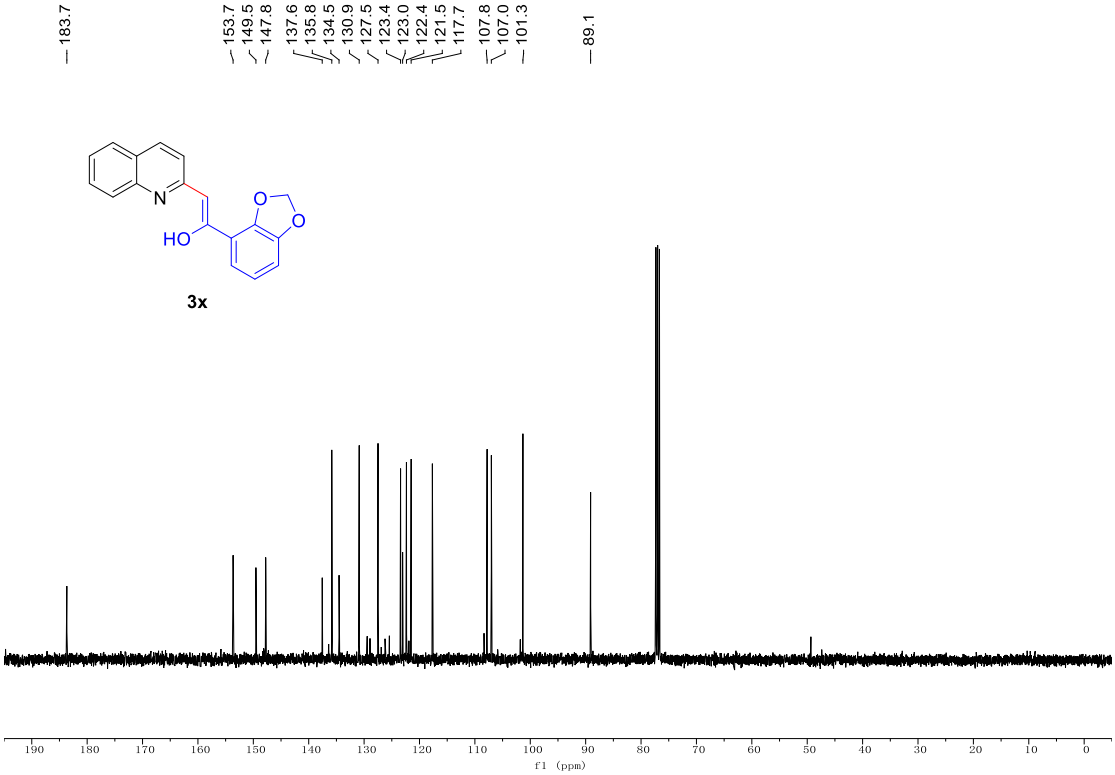
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3w**:



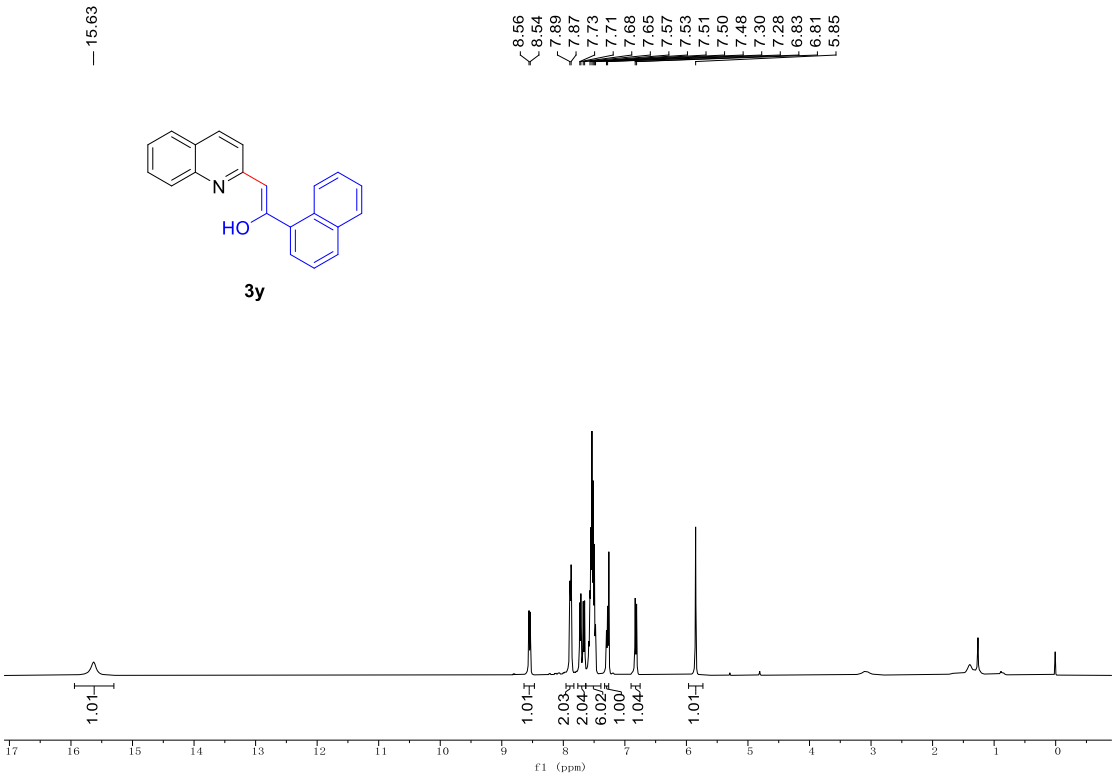
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3x**:



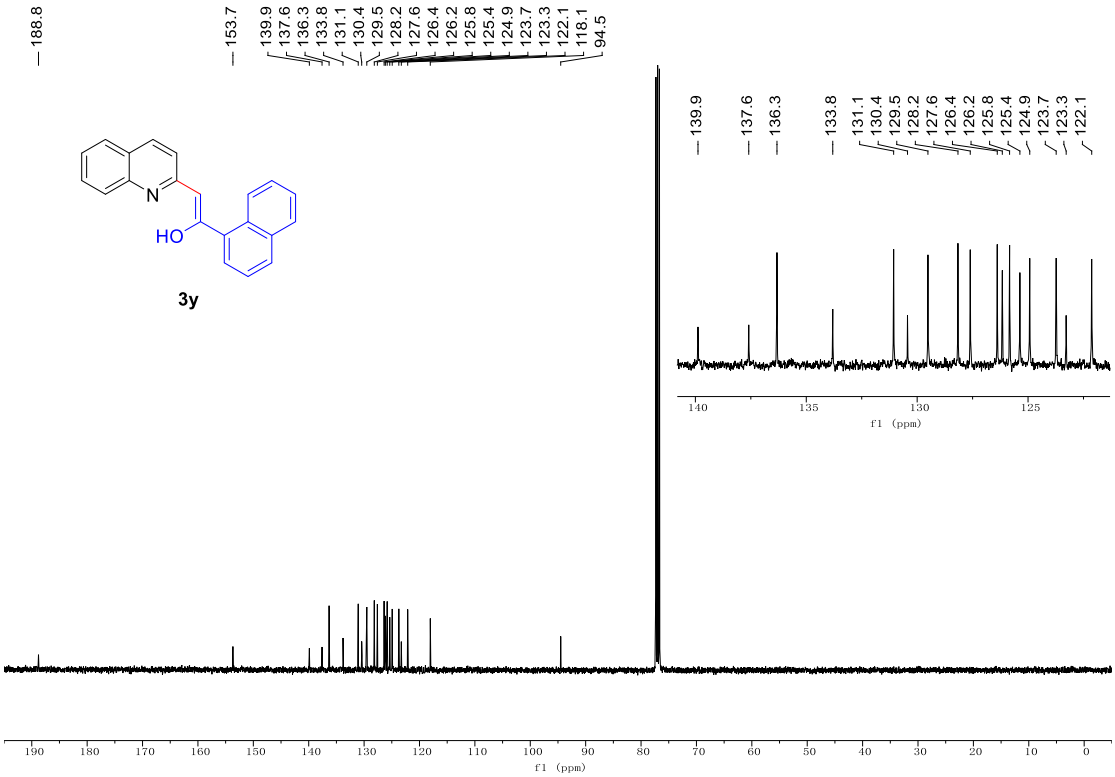
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3x**:



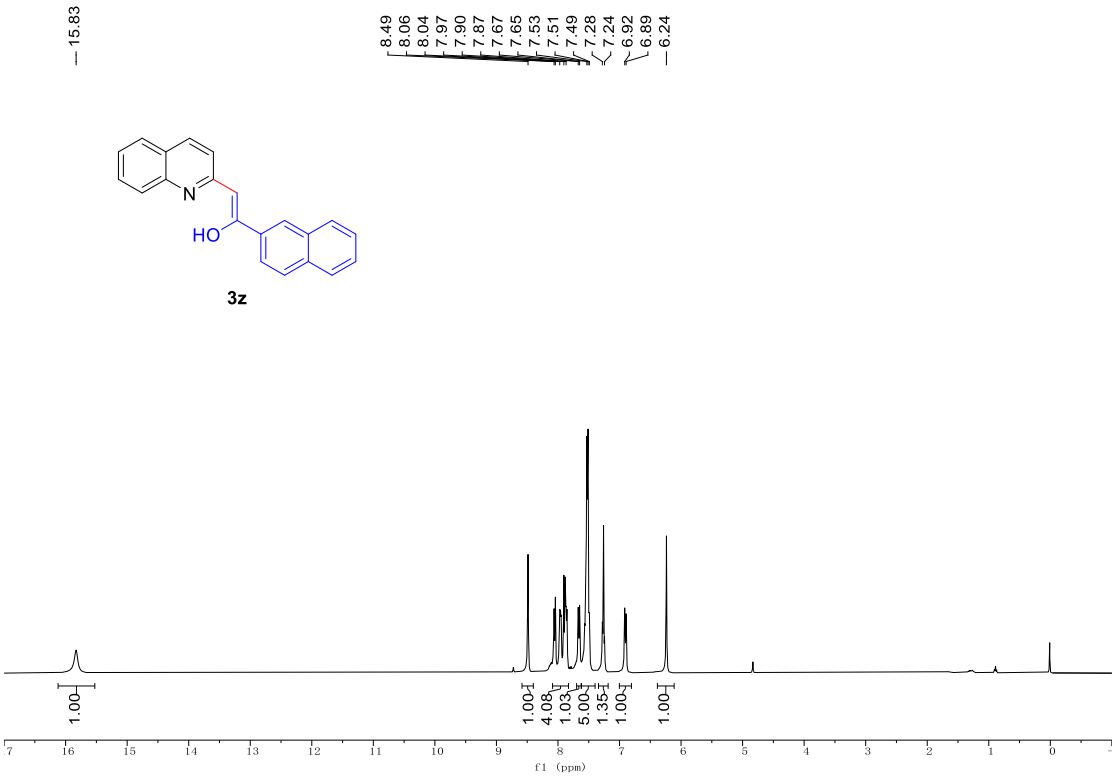
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3y**:



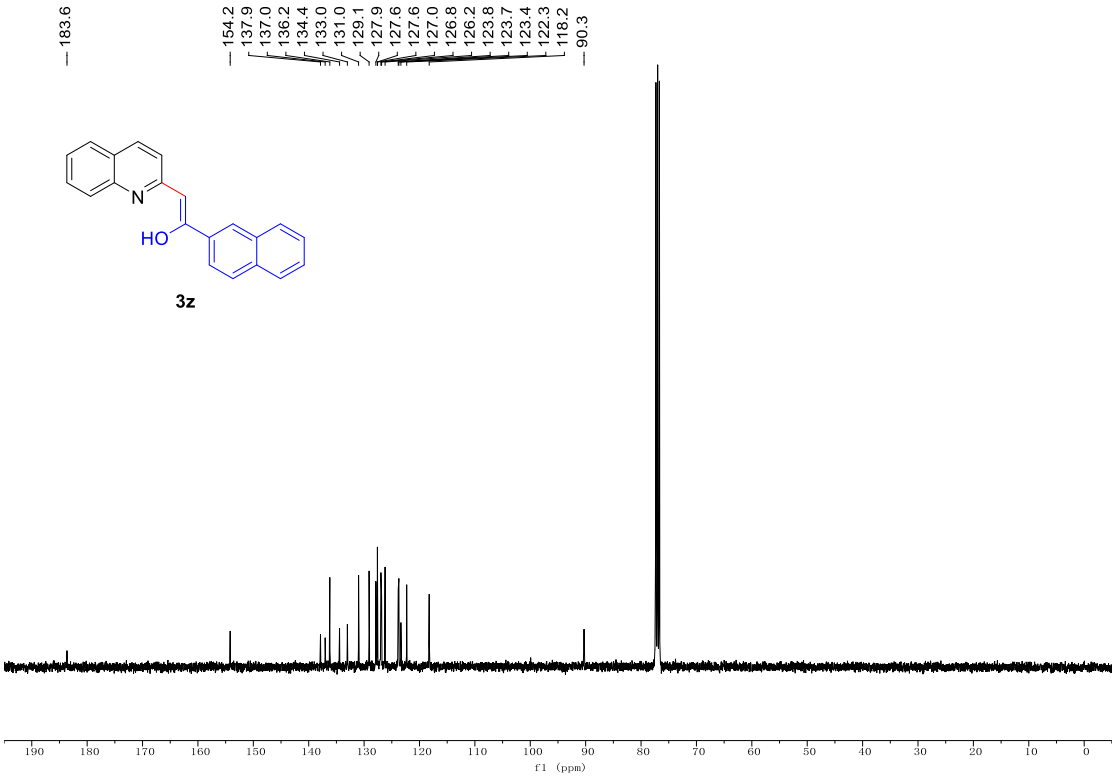
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3y**:



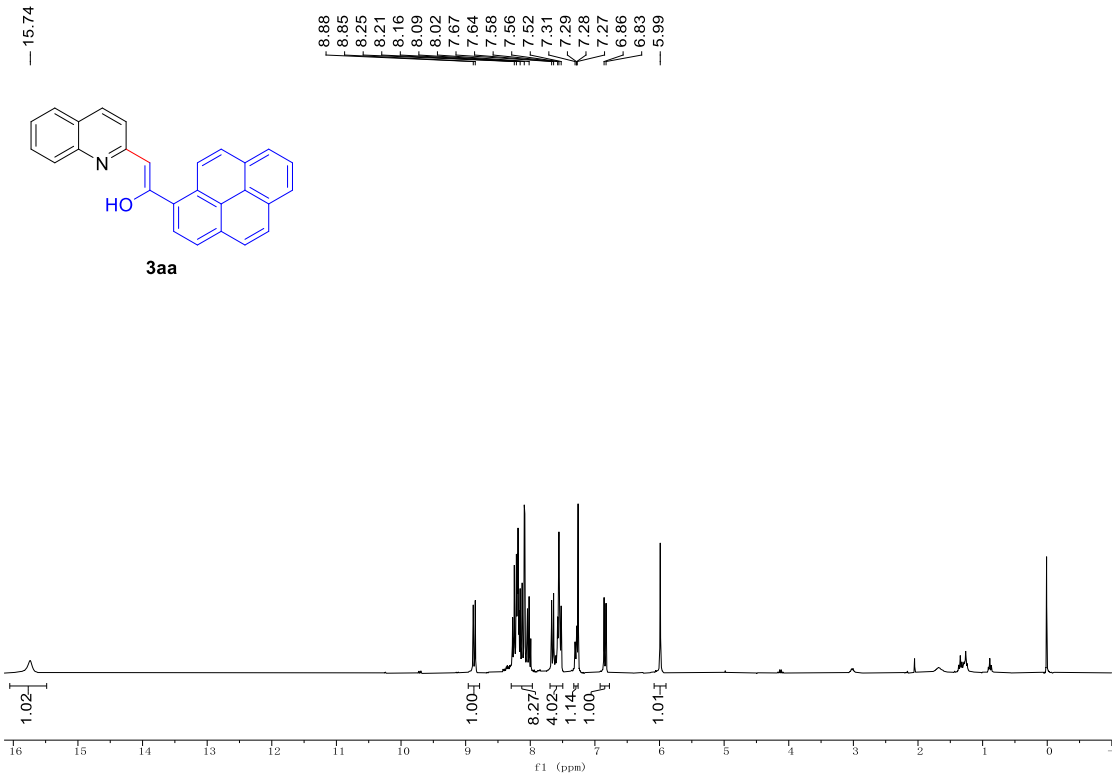
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3z**:



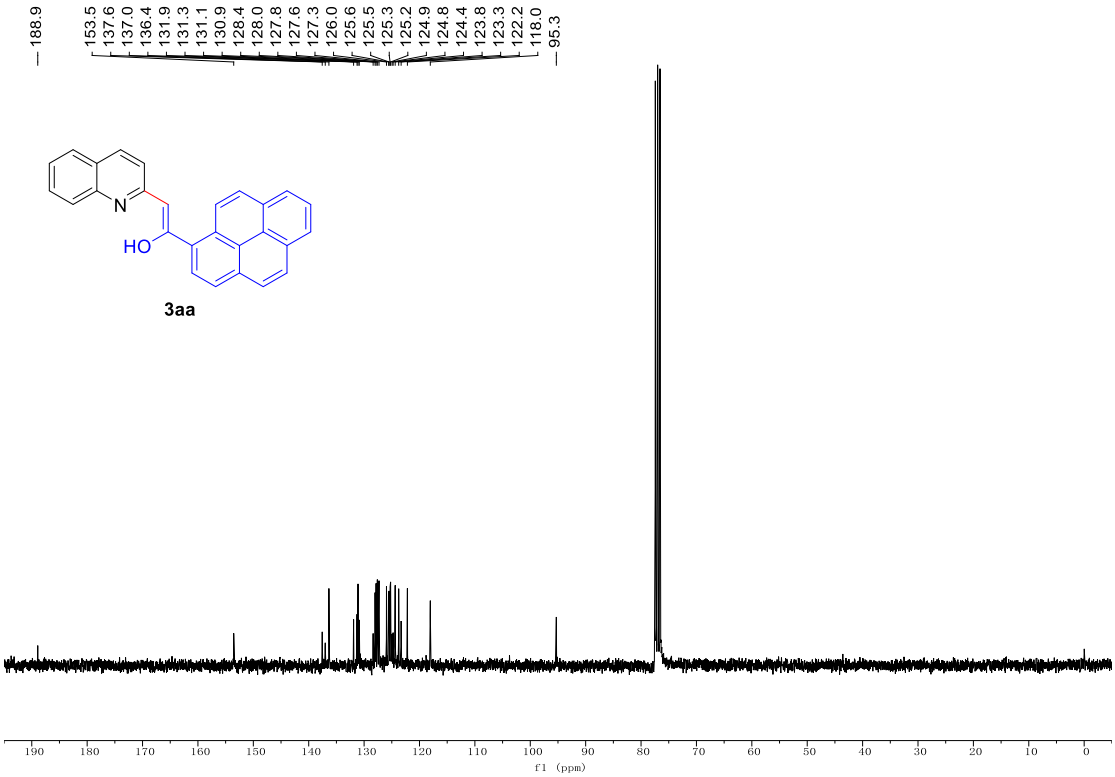
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3z**:



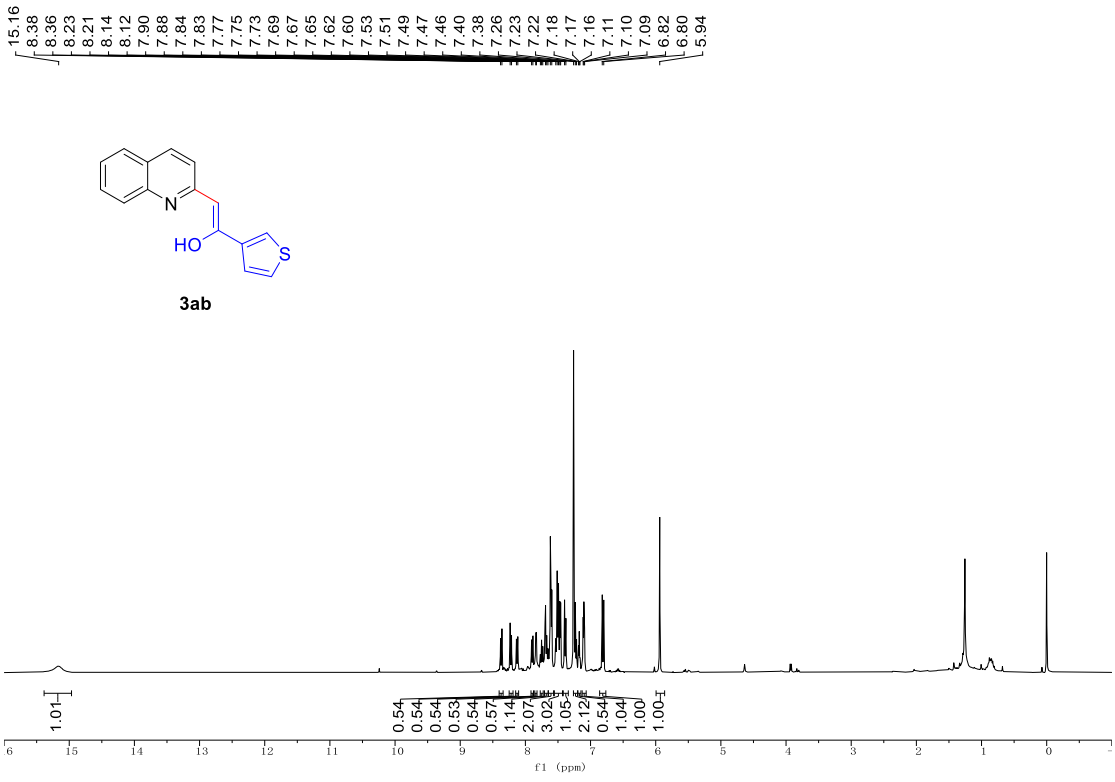
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3aa**:



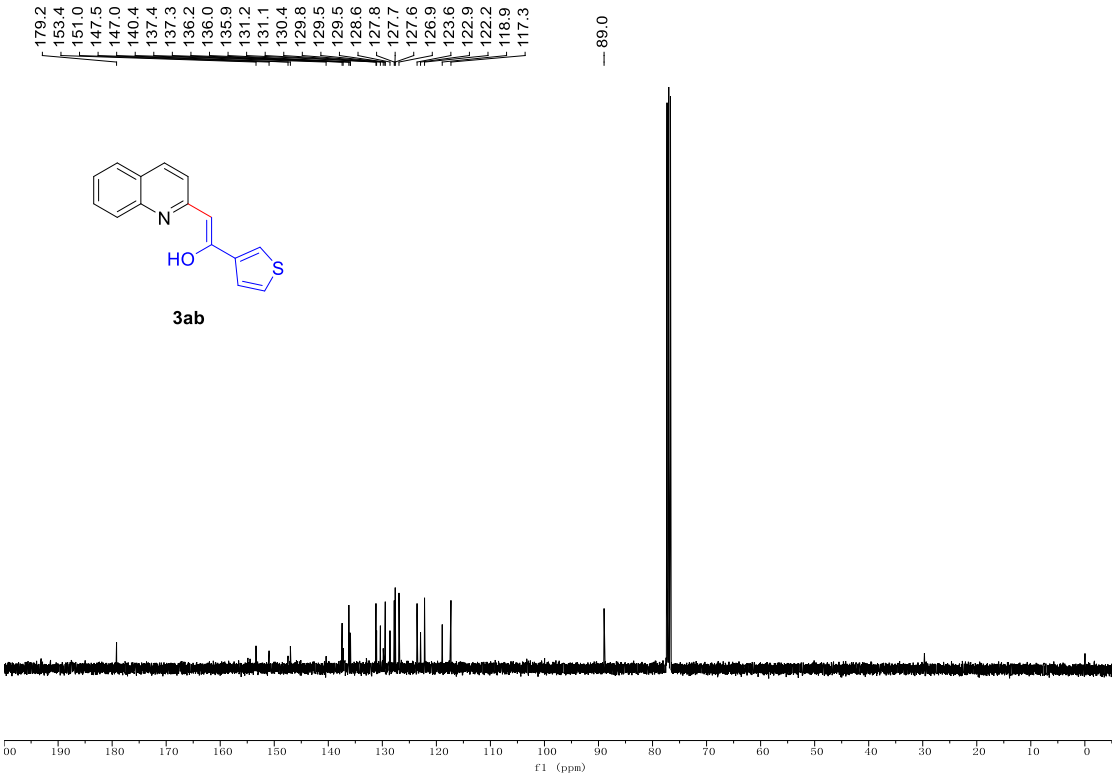
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3aa**:



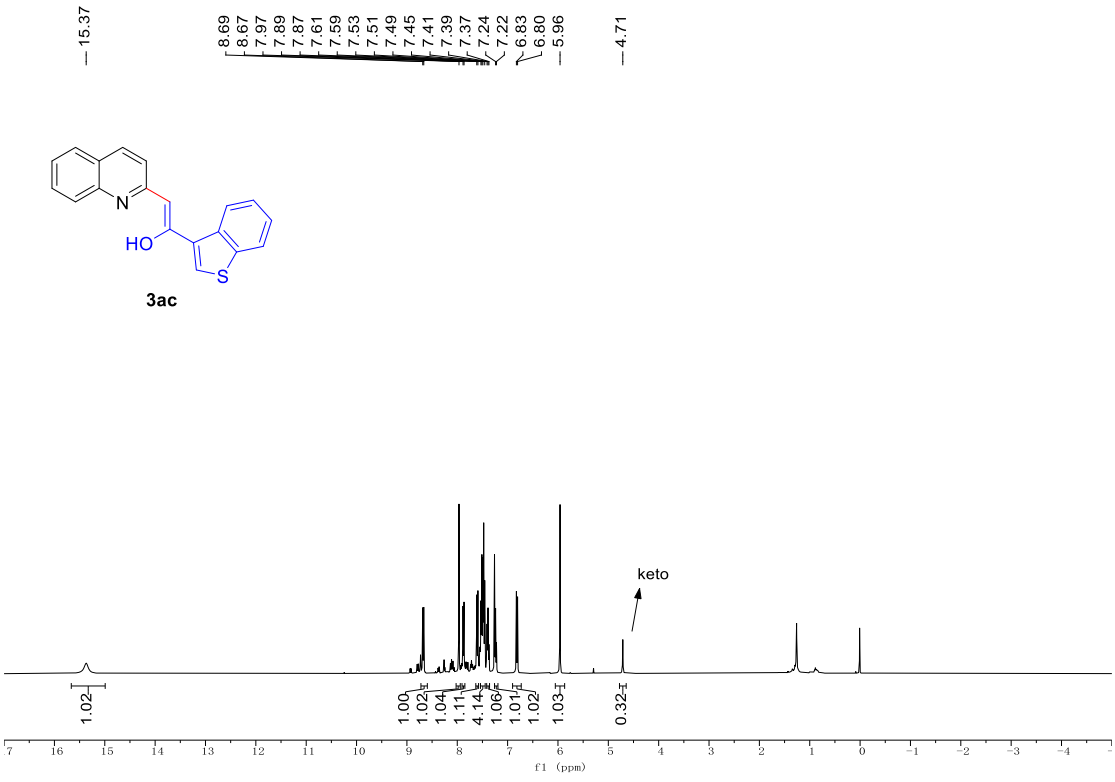
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ab**:



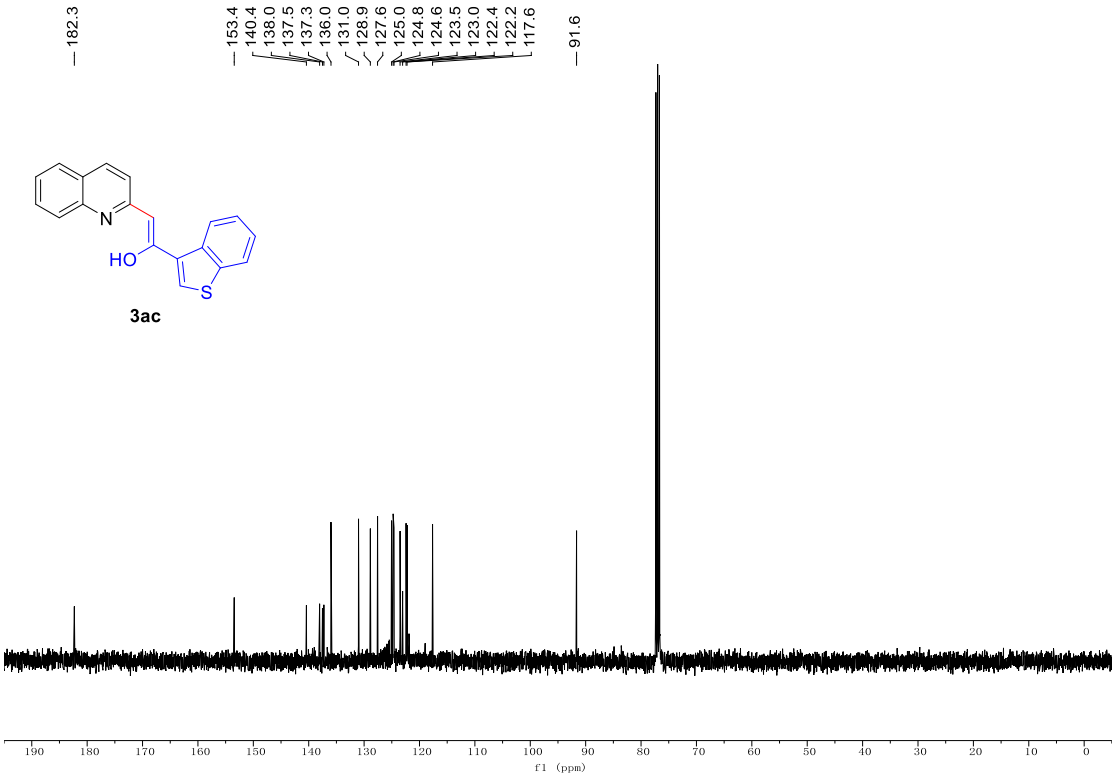
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ab**:



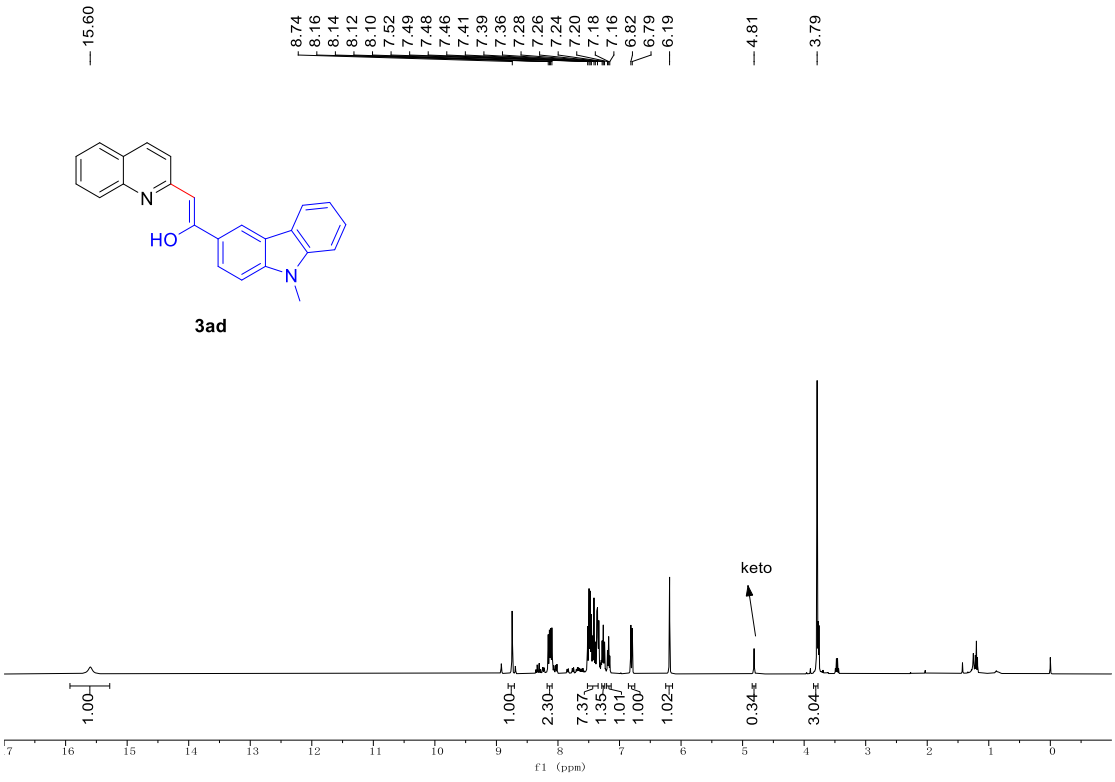
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ac**:



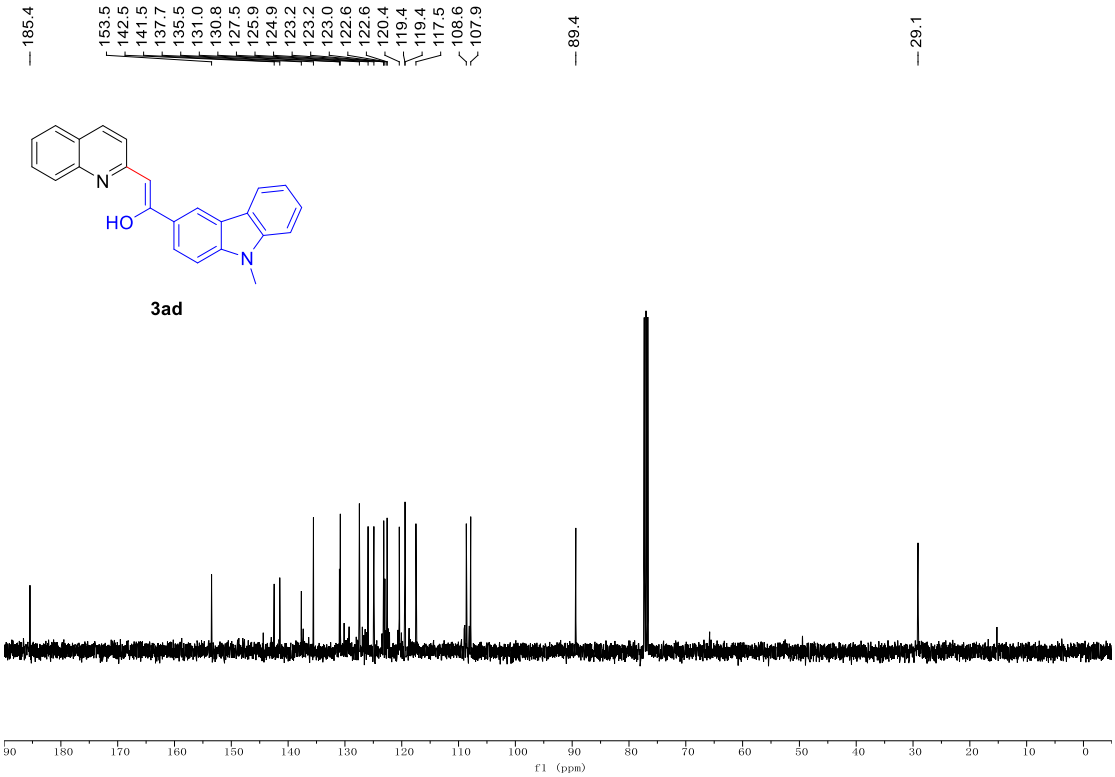
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ac**:



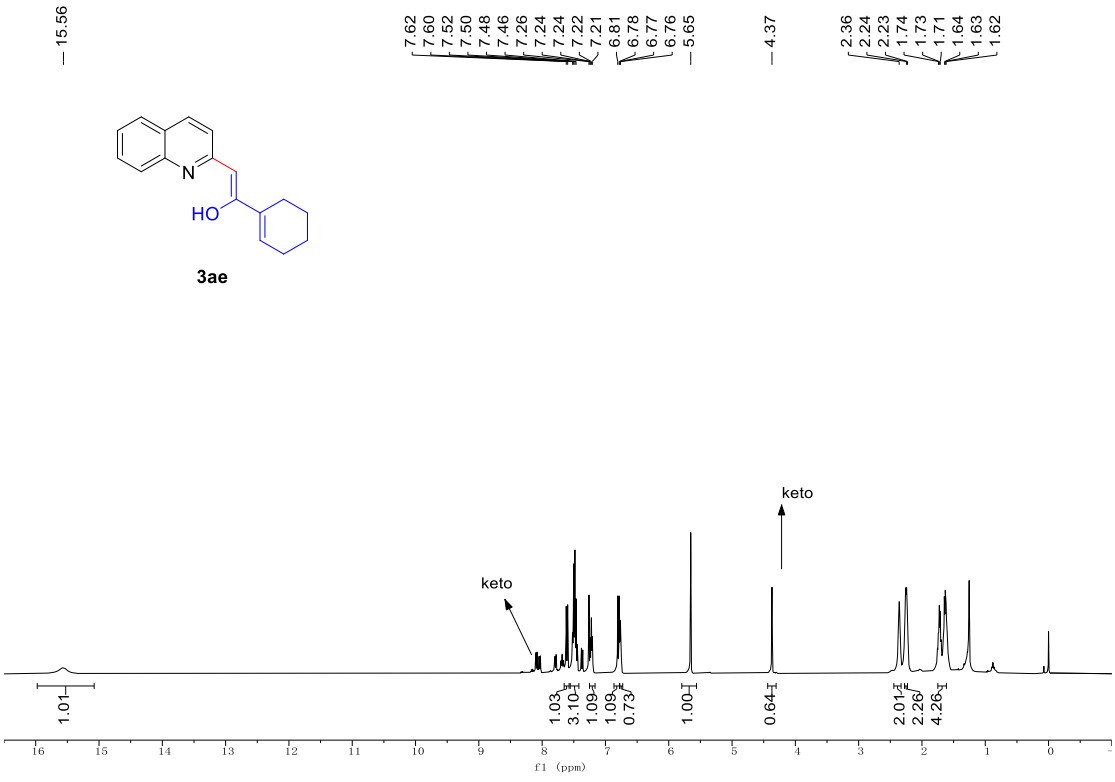
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ad**:



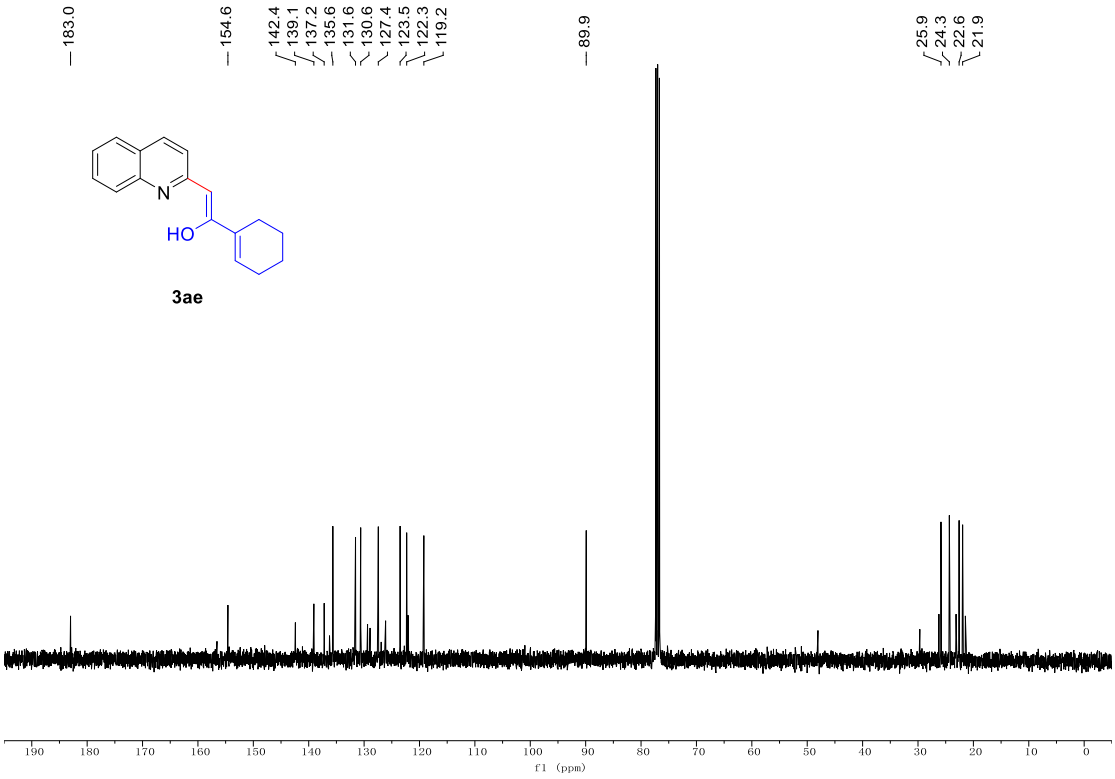
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ad**:



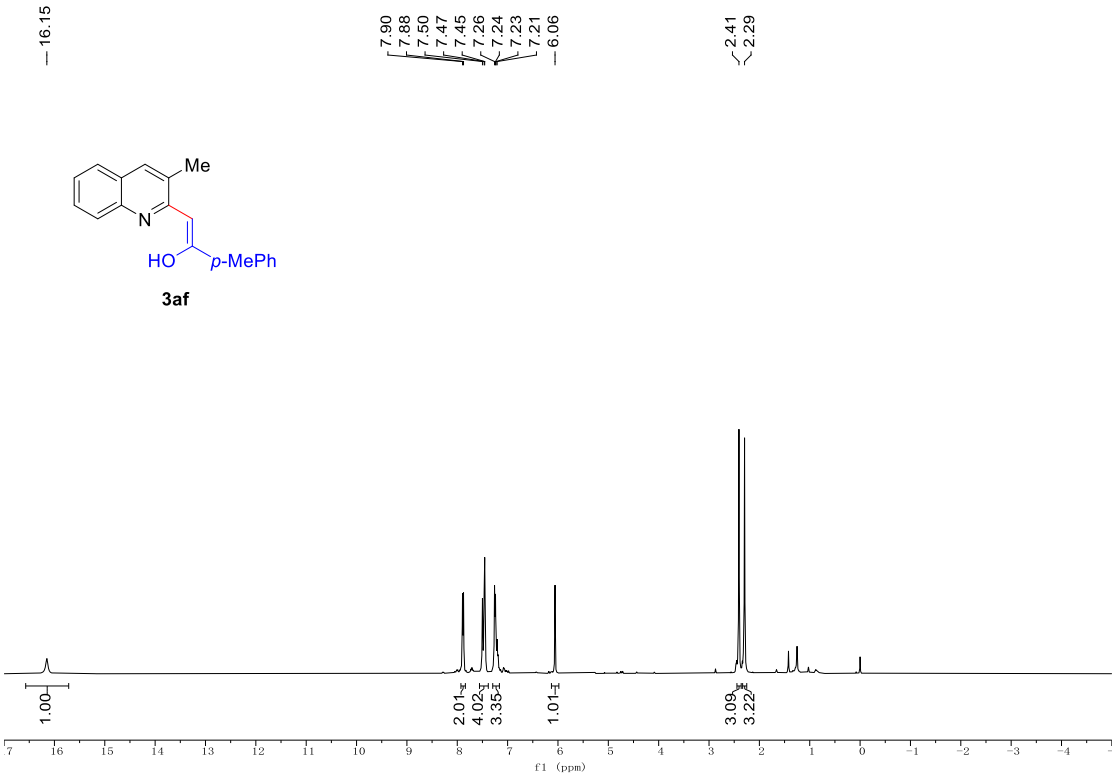
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ae**:



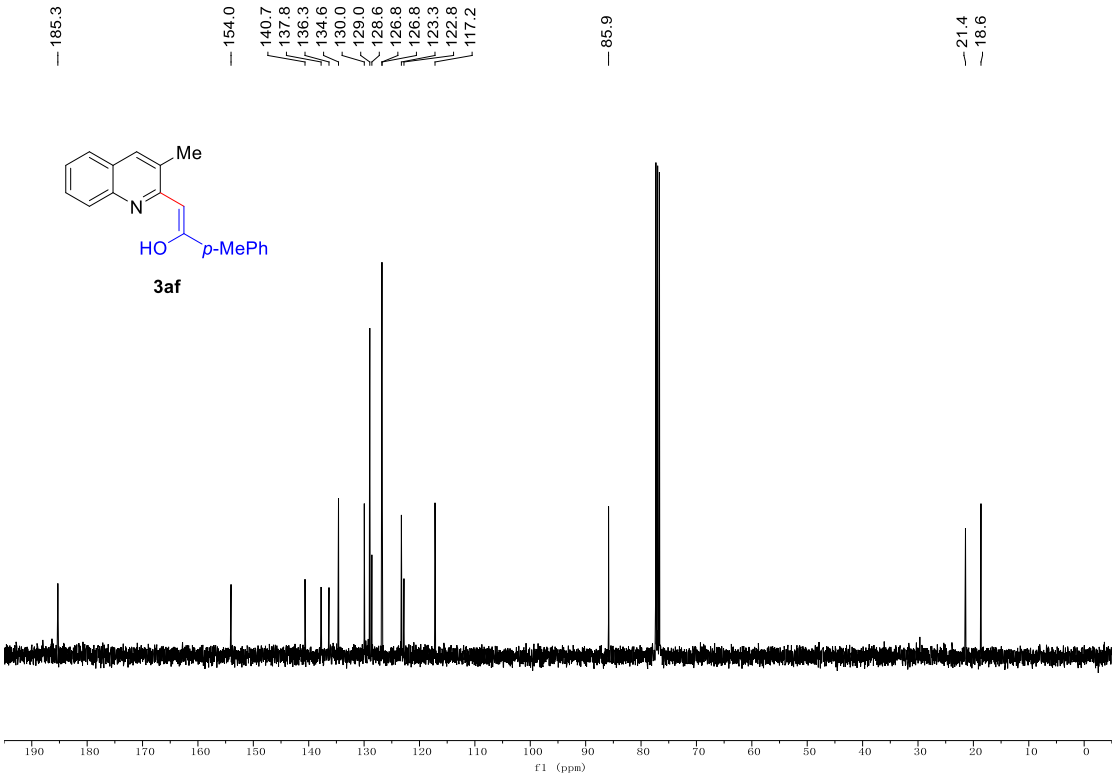
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ae**:



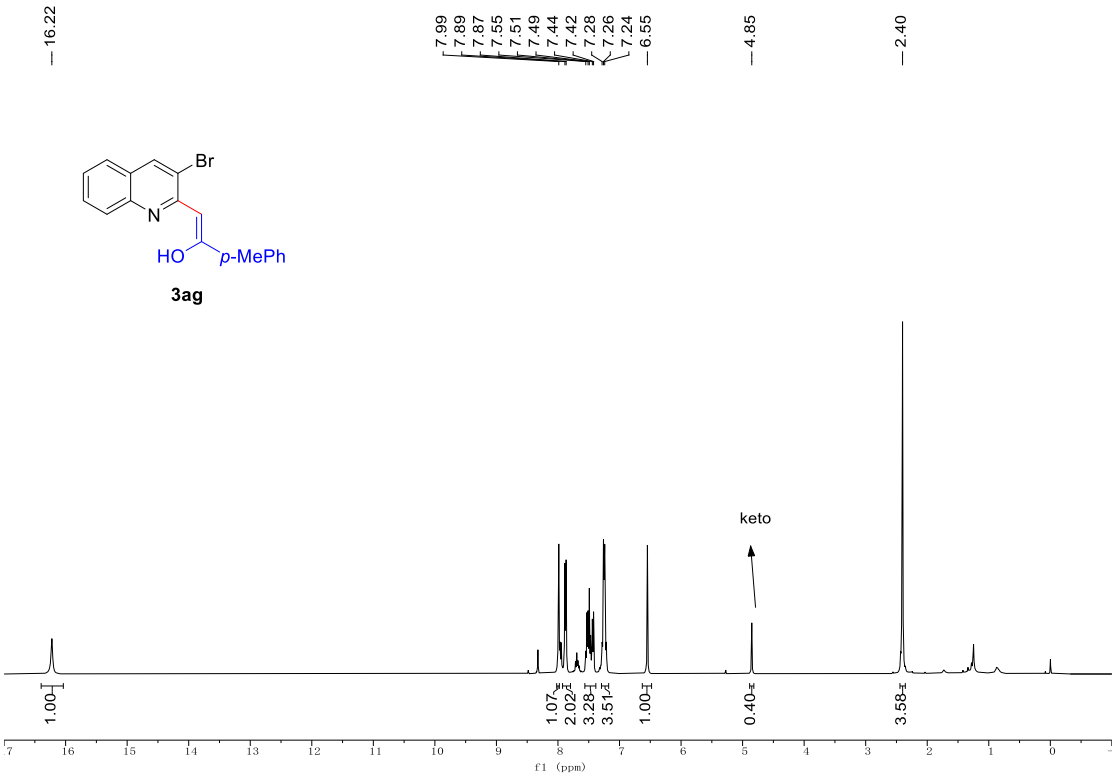
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3af**:



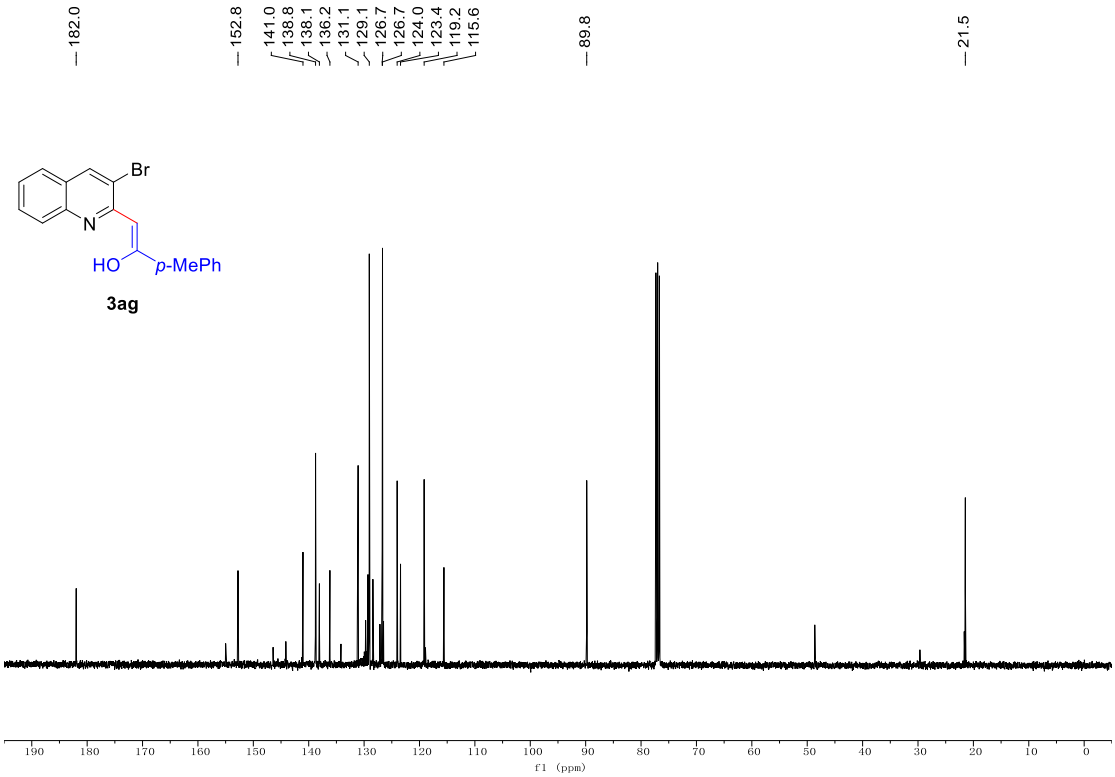
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3af**:



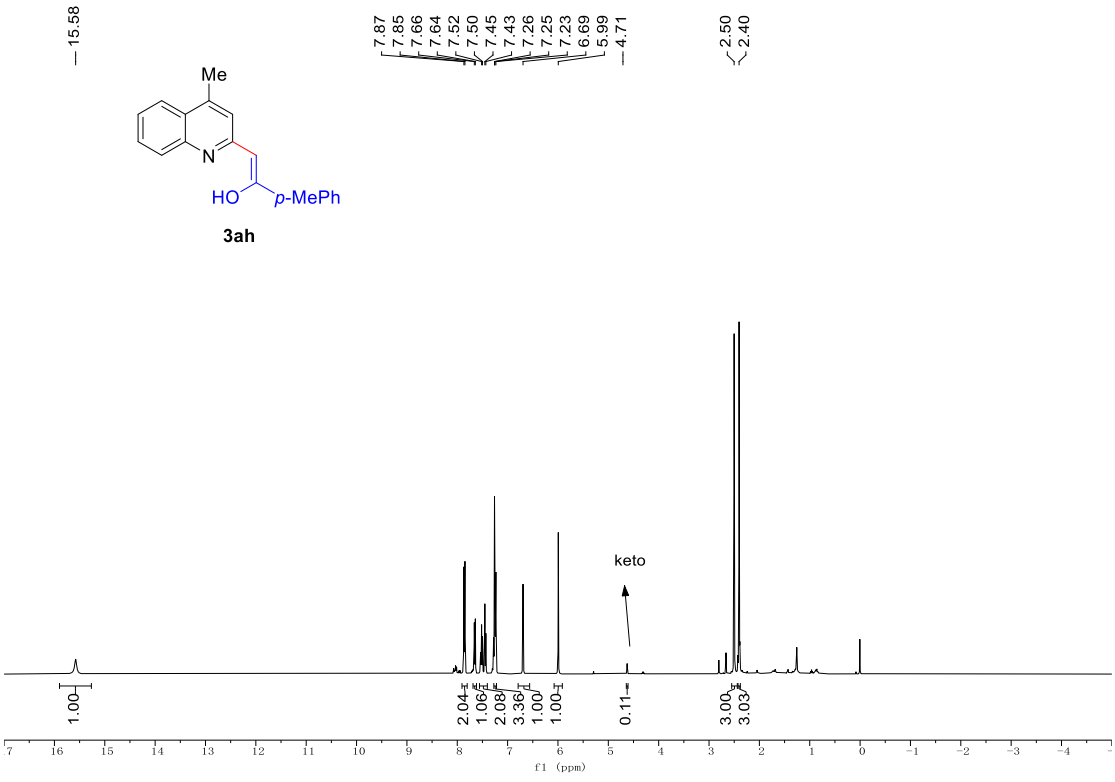
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ag**:



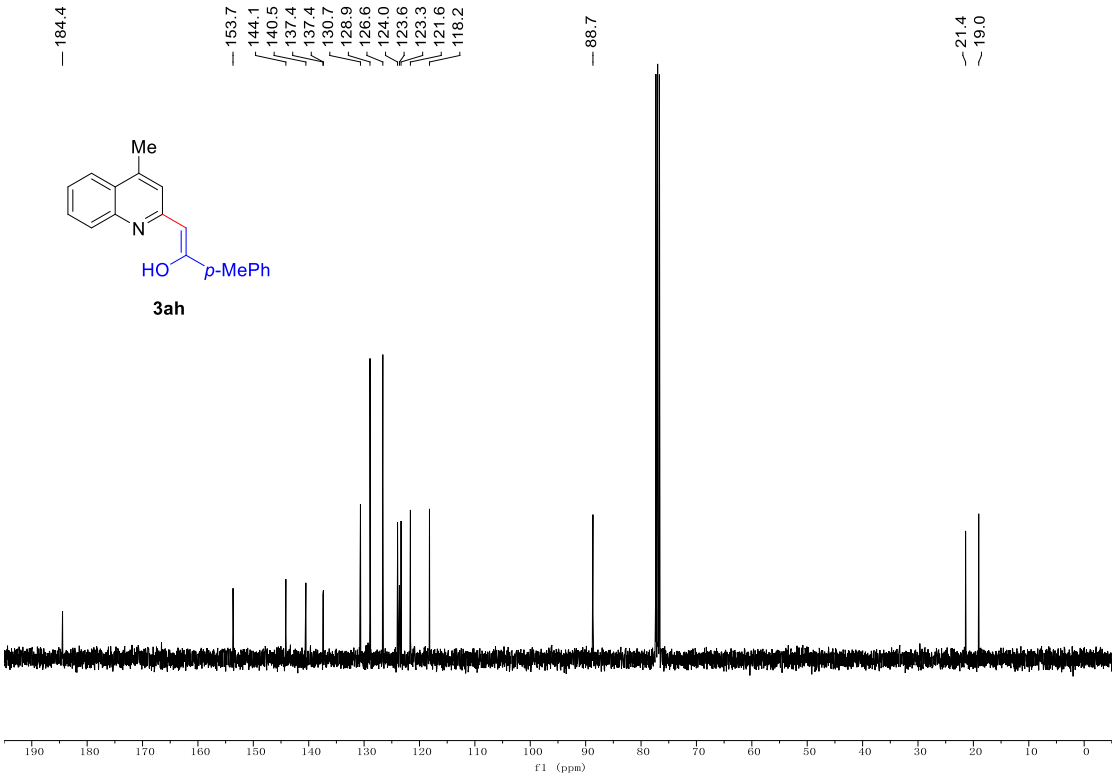
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ag**:



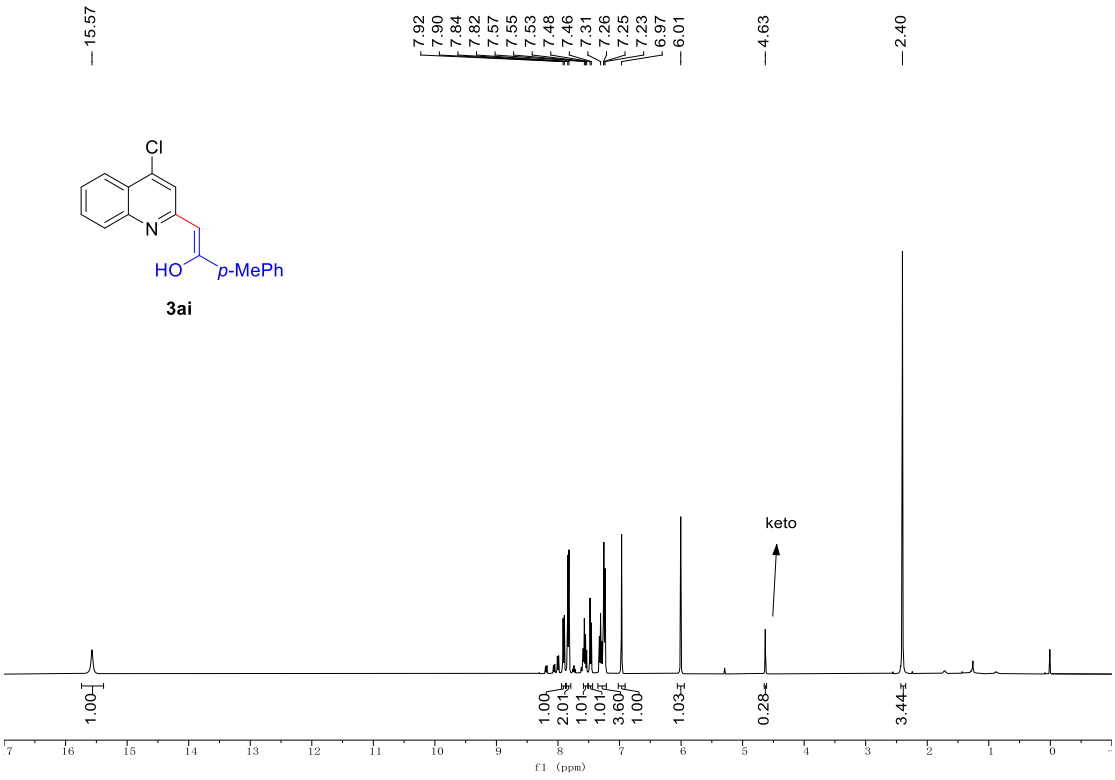
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ah**:



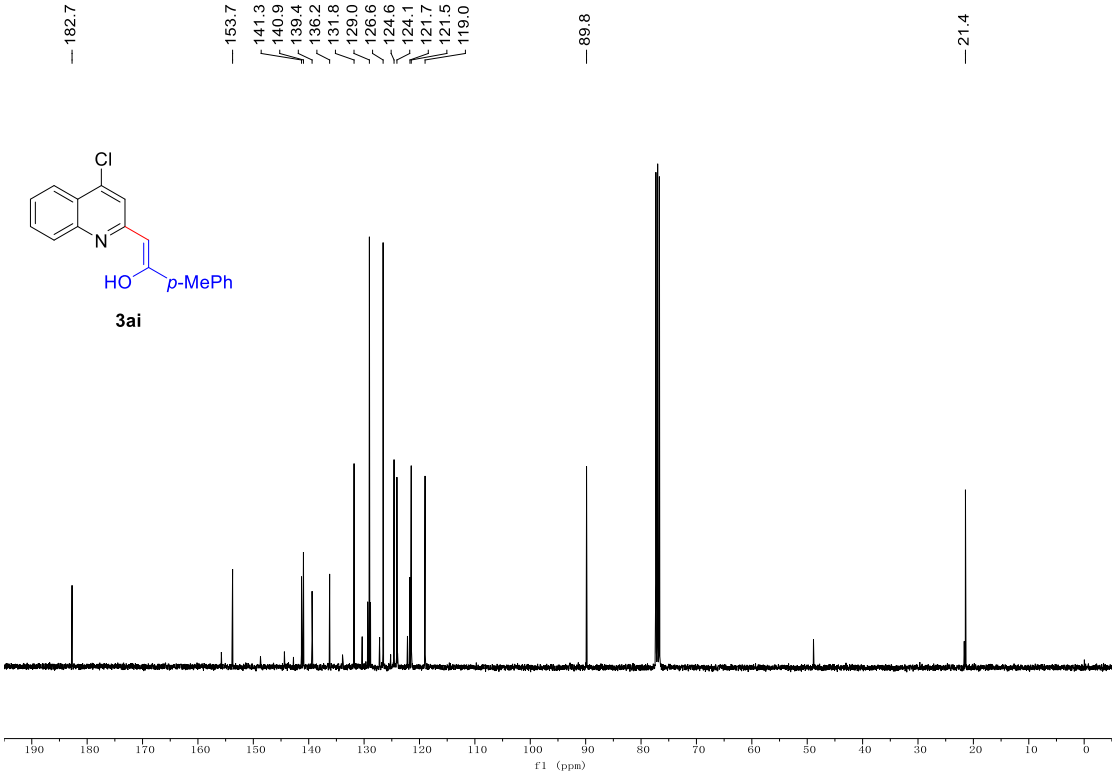
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ah**:



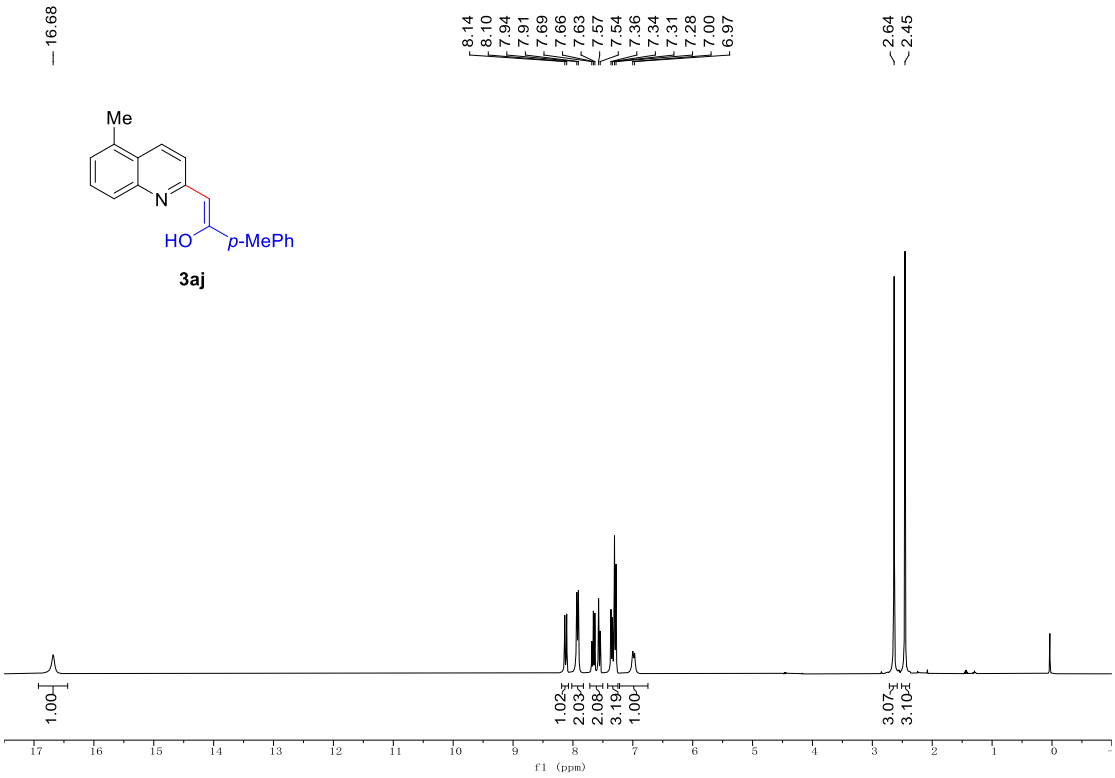
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ai**:



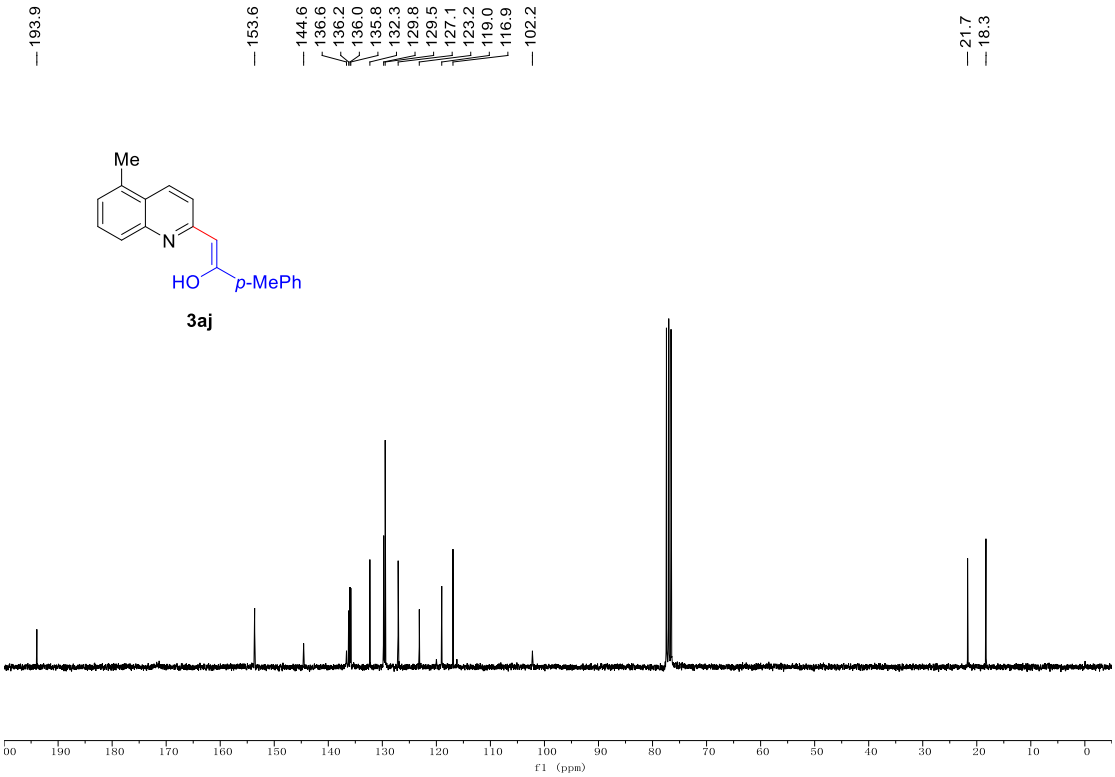
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ai**:



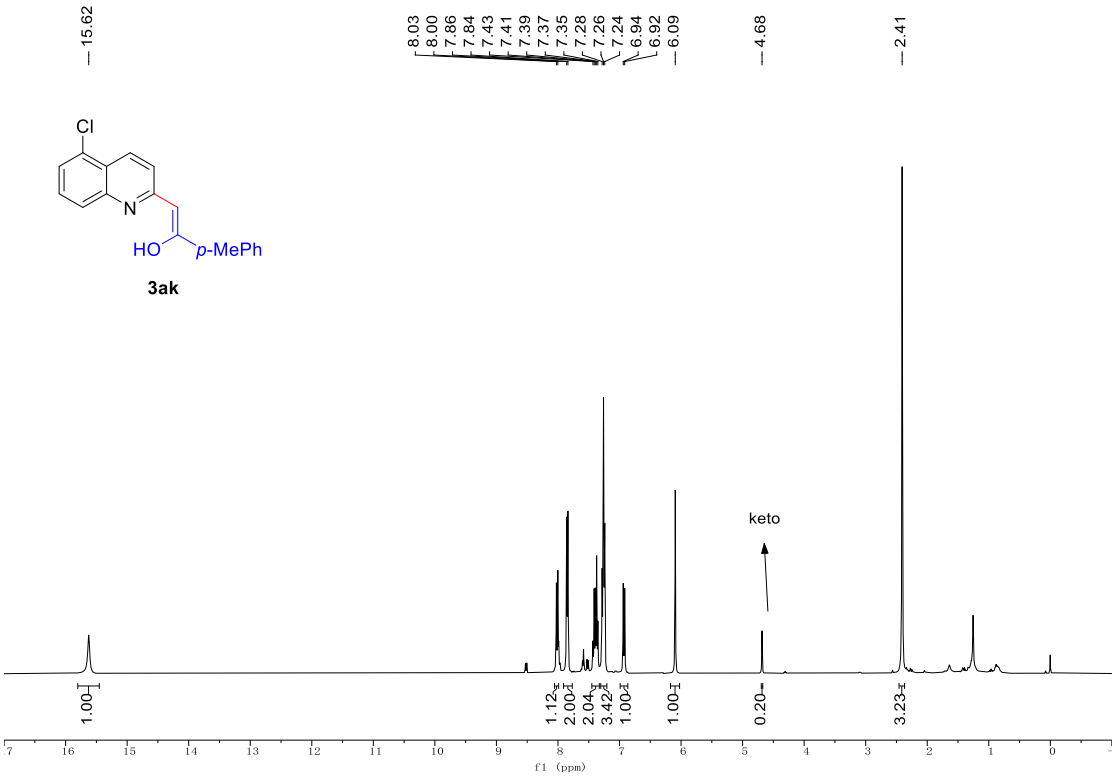
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **3aj**:



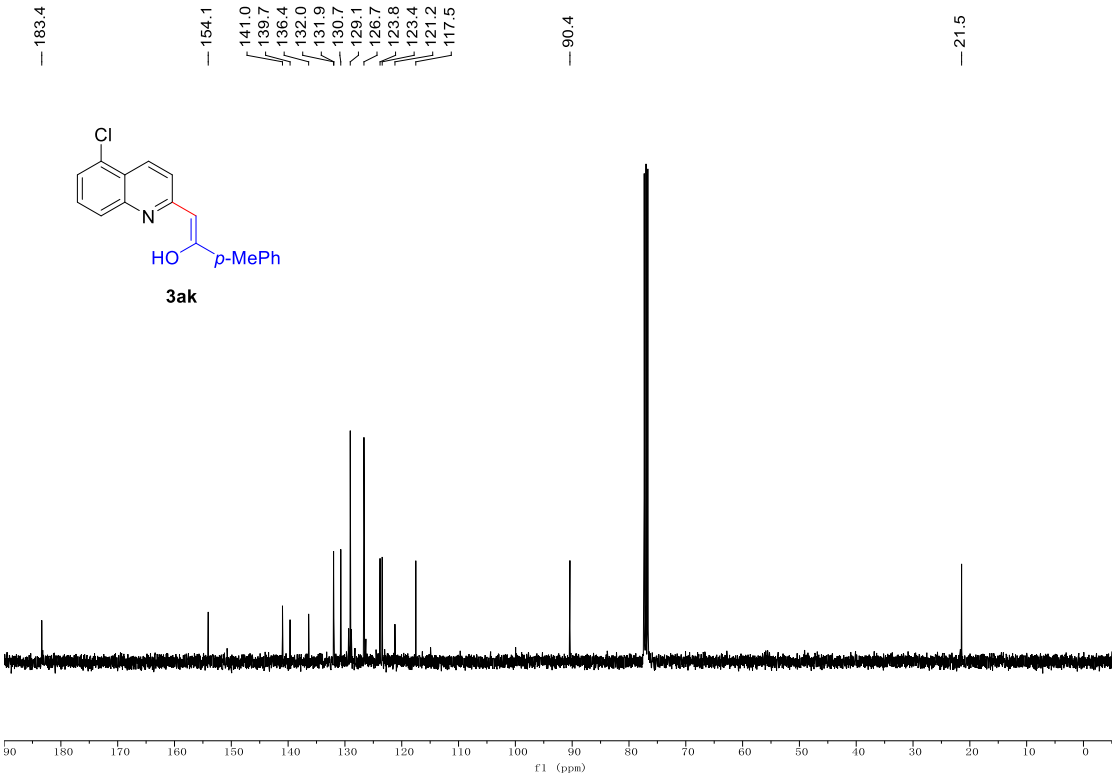
$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **3aj**:



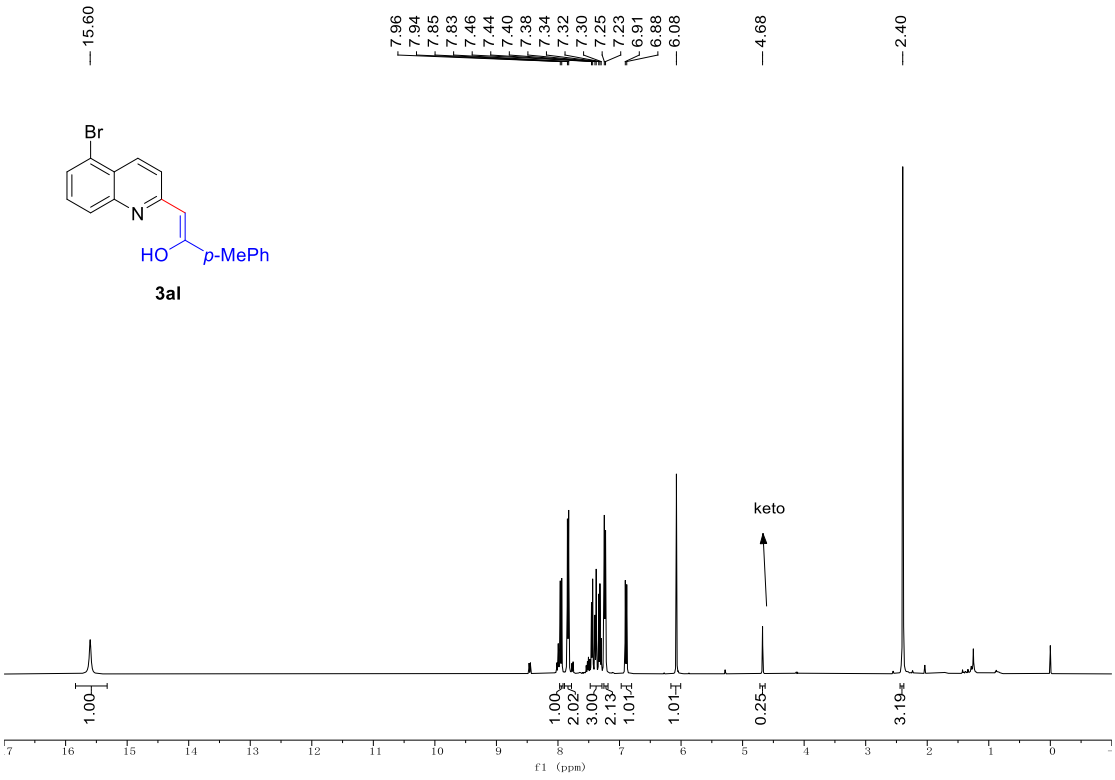
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ak**:



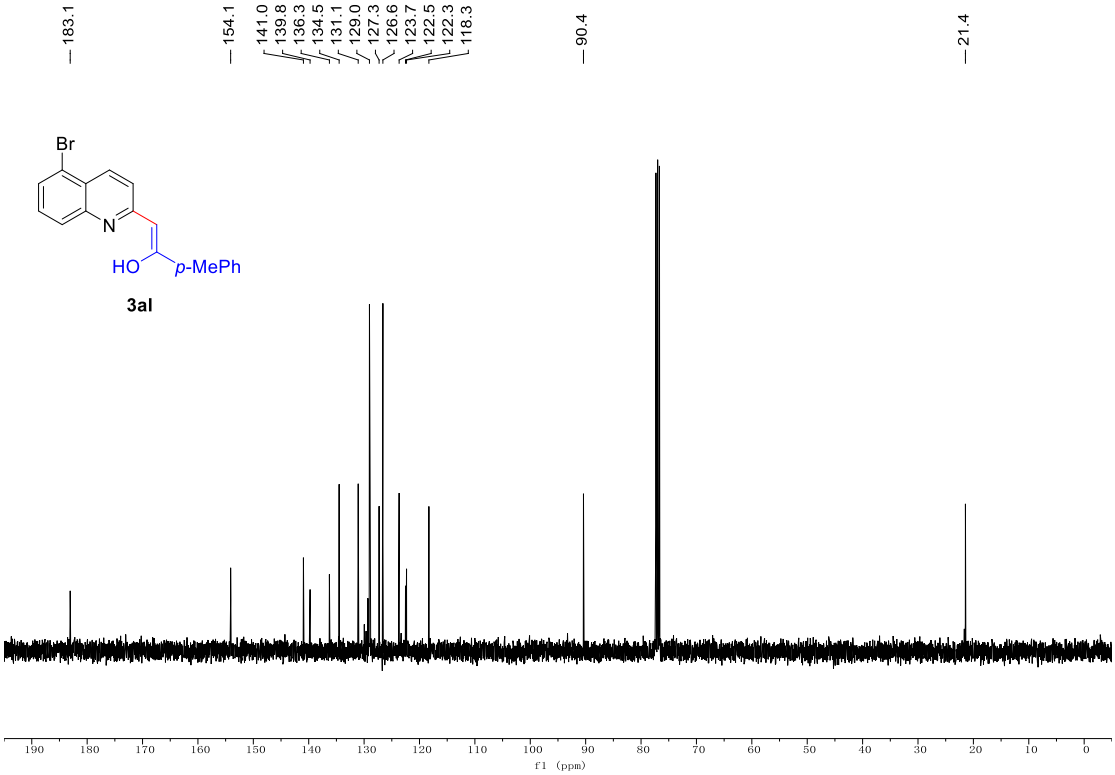
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ak**:



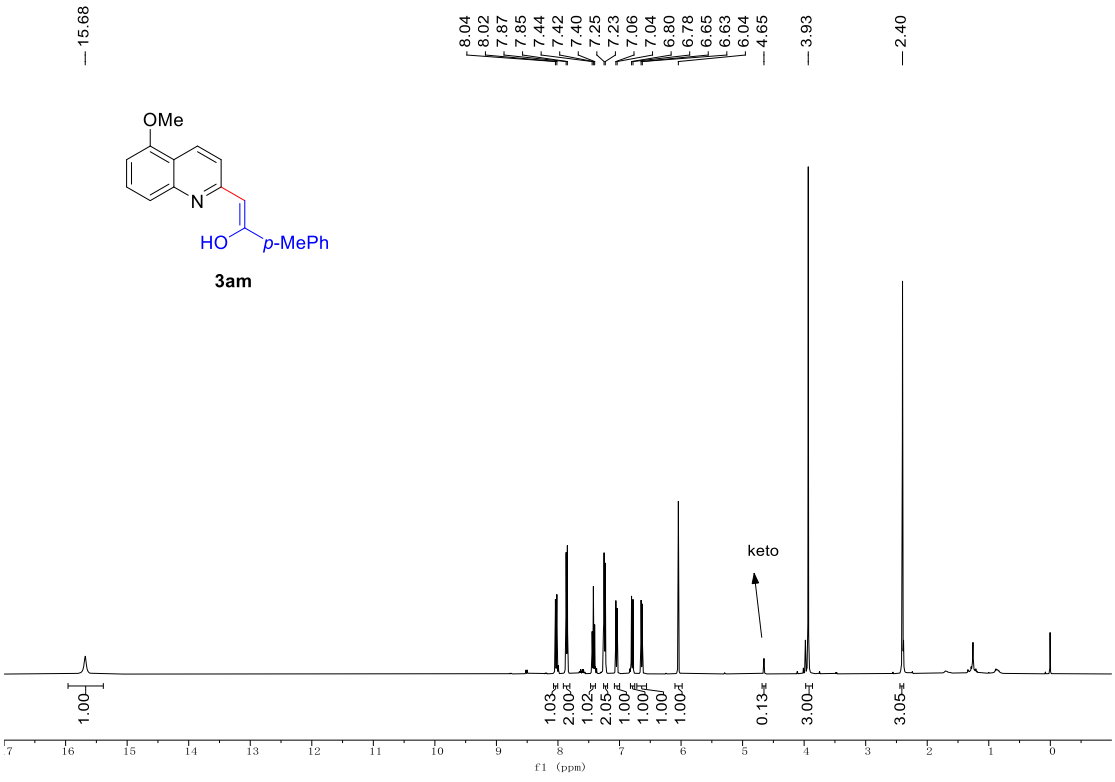
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3al**:



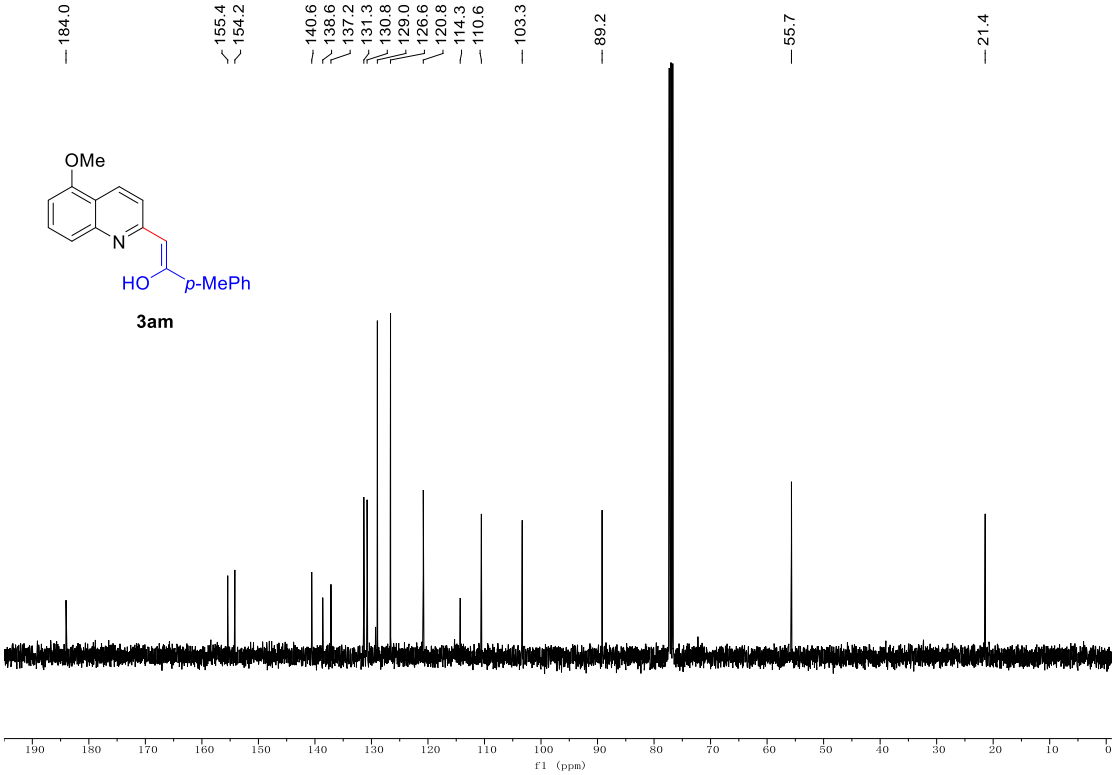
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3al**:



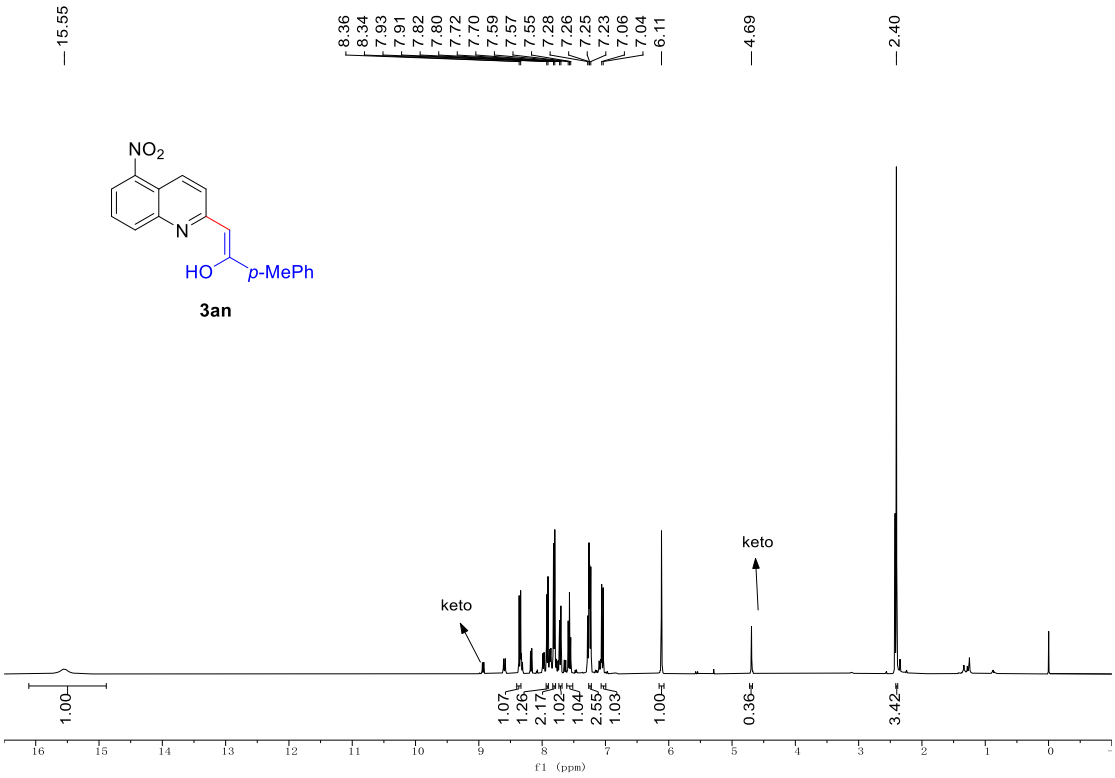
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3am**:



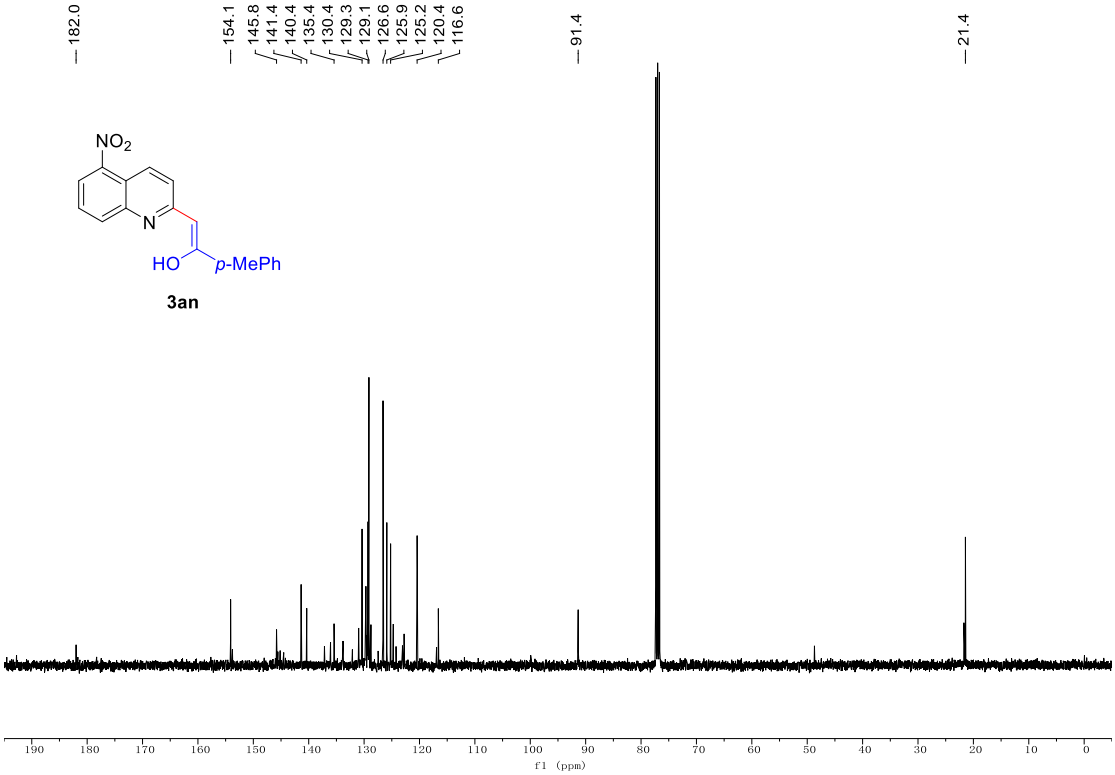
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3am**:



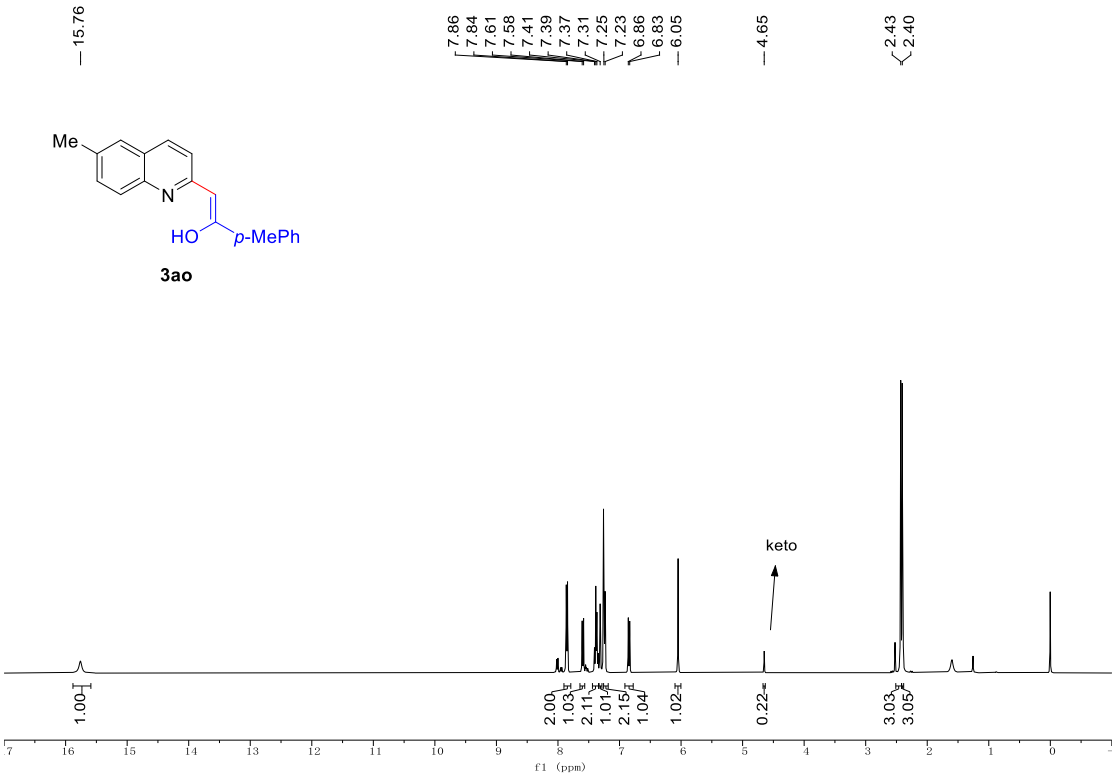
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3an**:



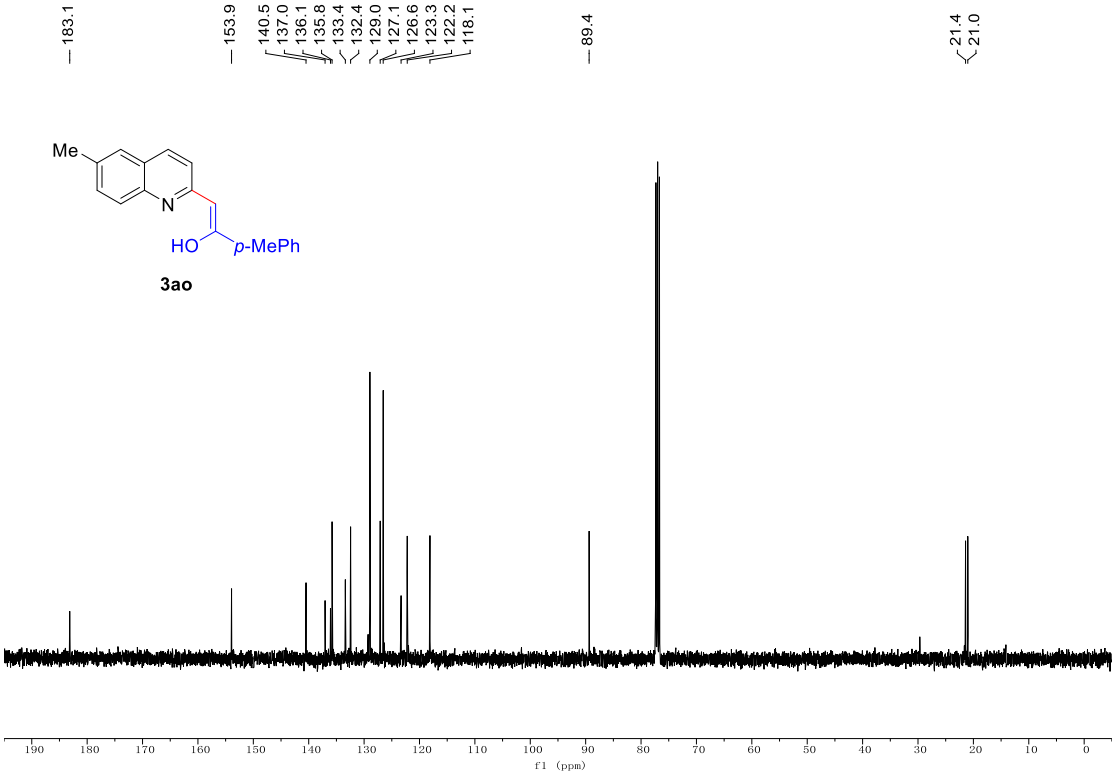
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3an**:



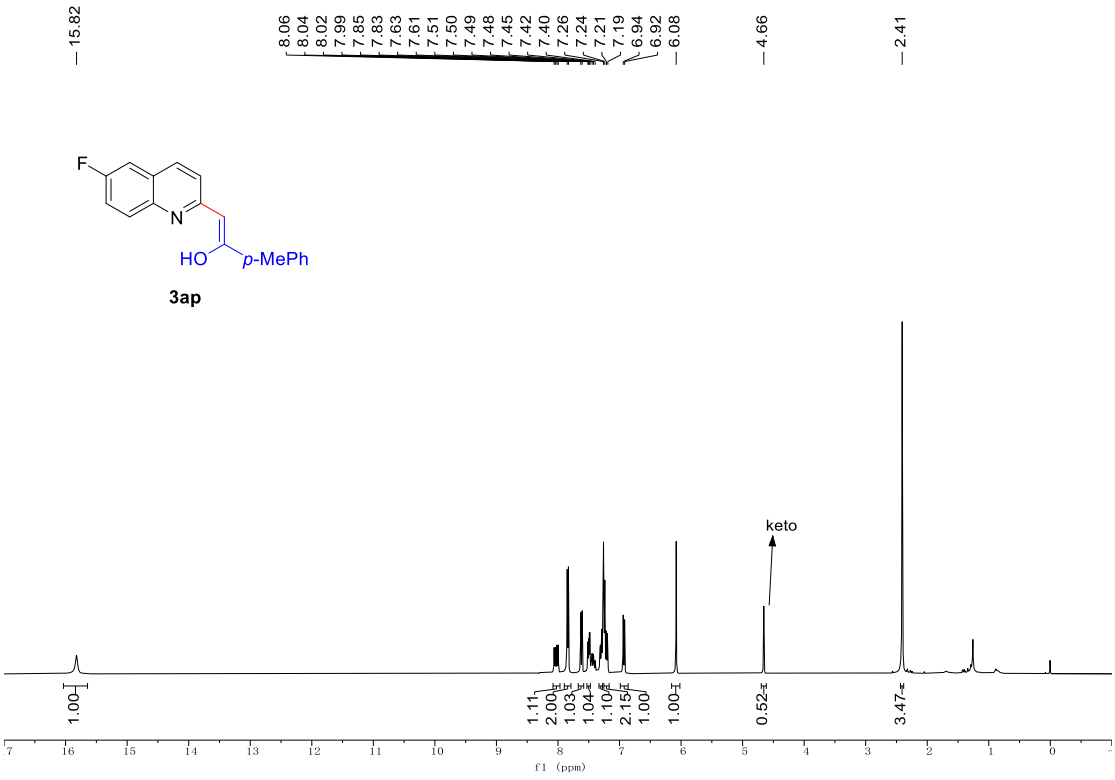
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ao**:



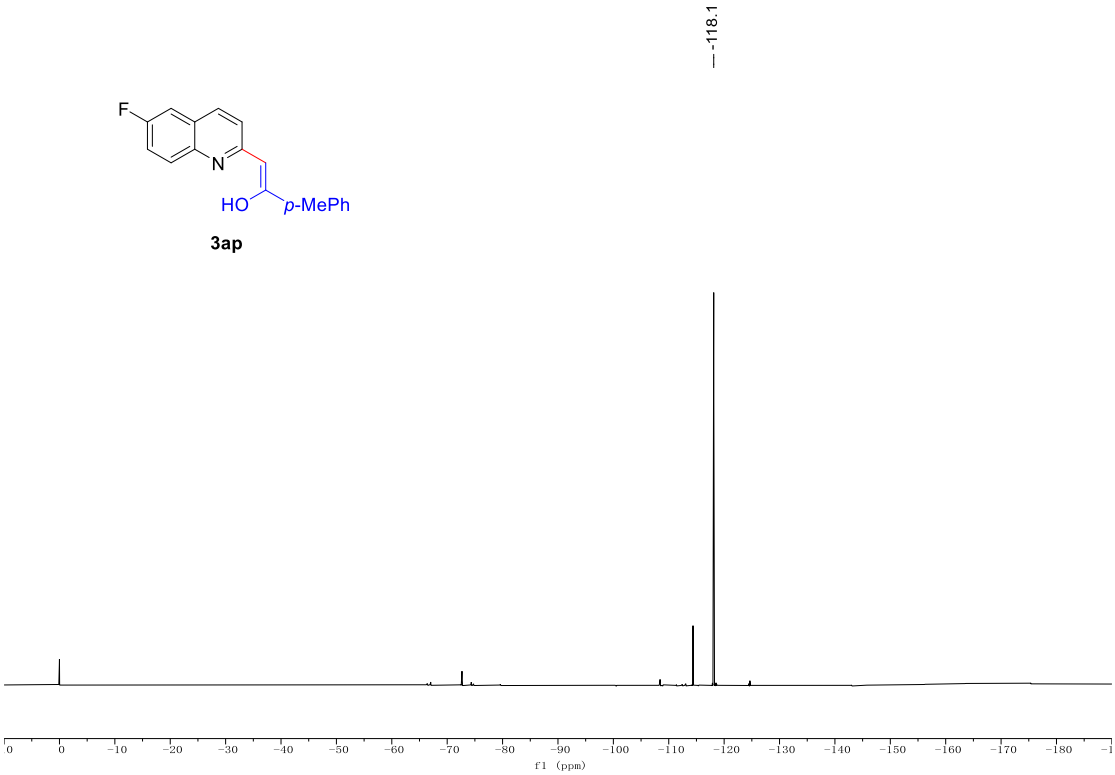
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ao**:



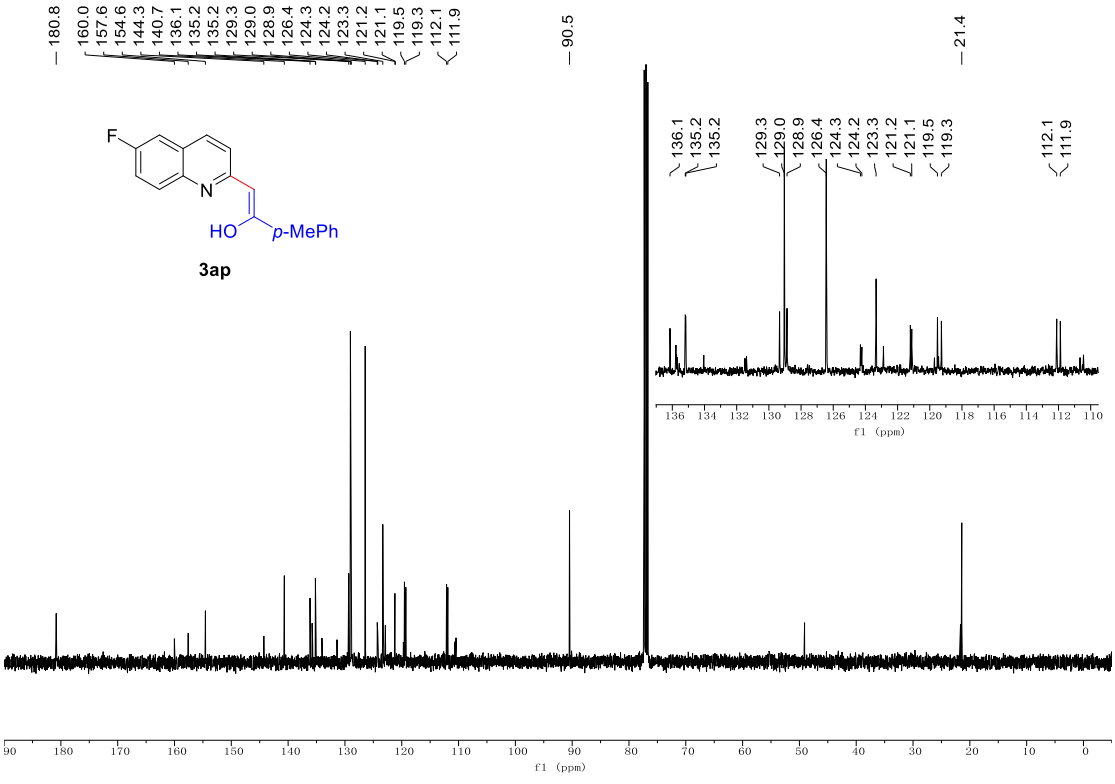
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ap**:



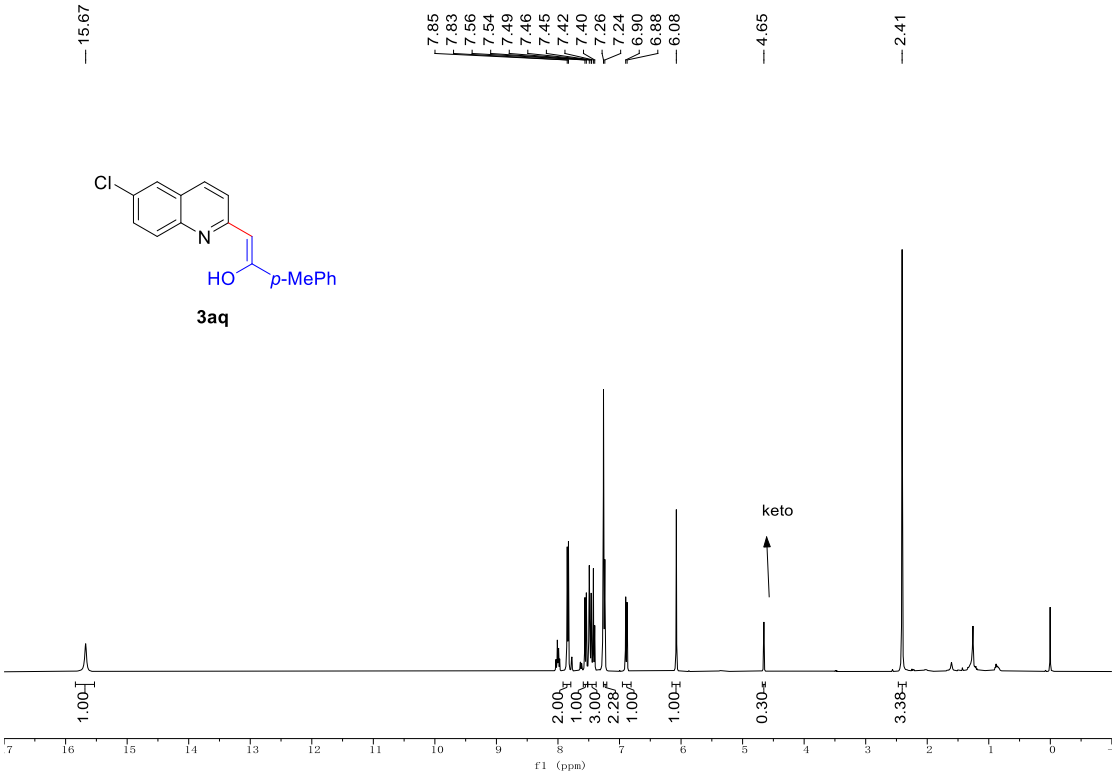
$^{19}F\{^{1}H\}$ NMR (376 MHz, $CDCl_3$) spectra copy of compound **3ap**:



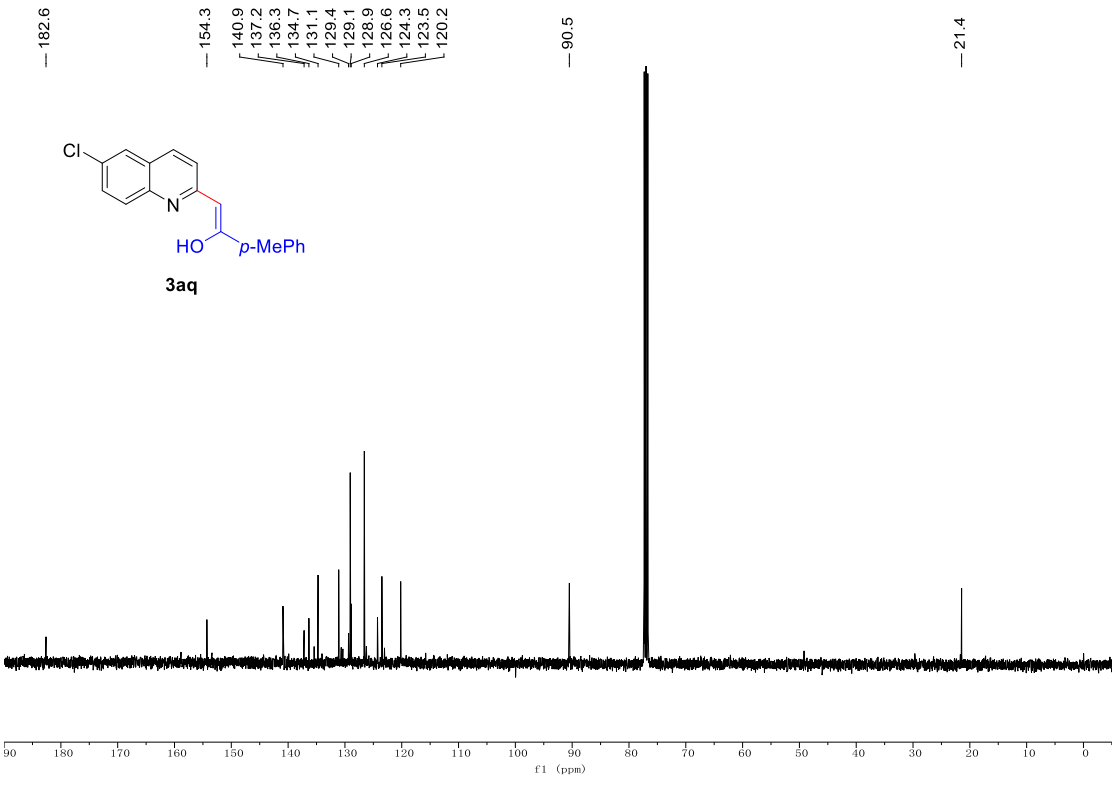
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ap**:



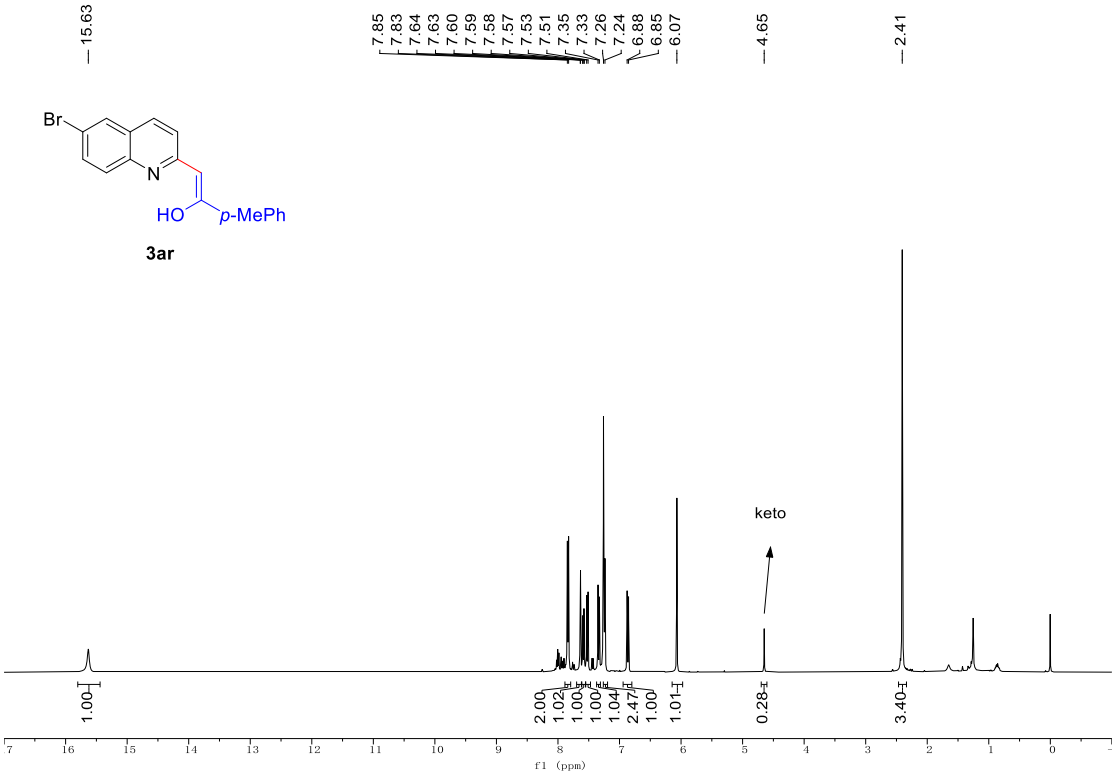
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3aq**:



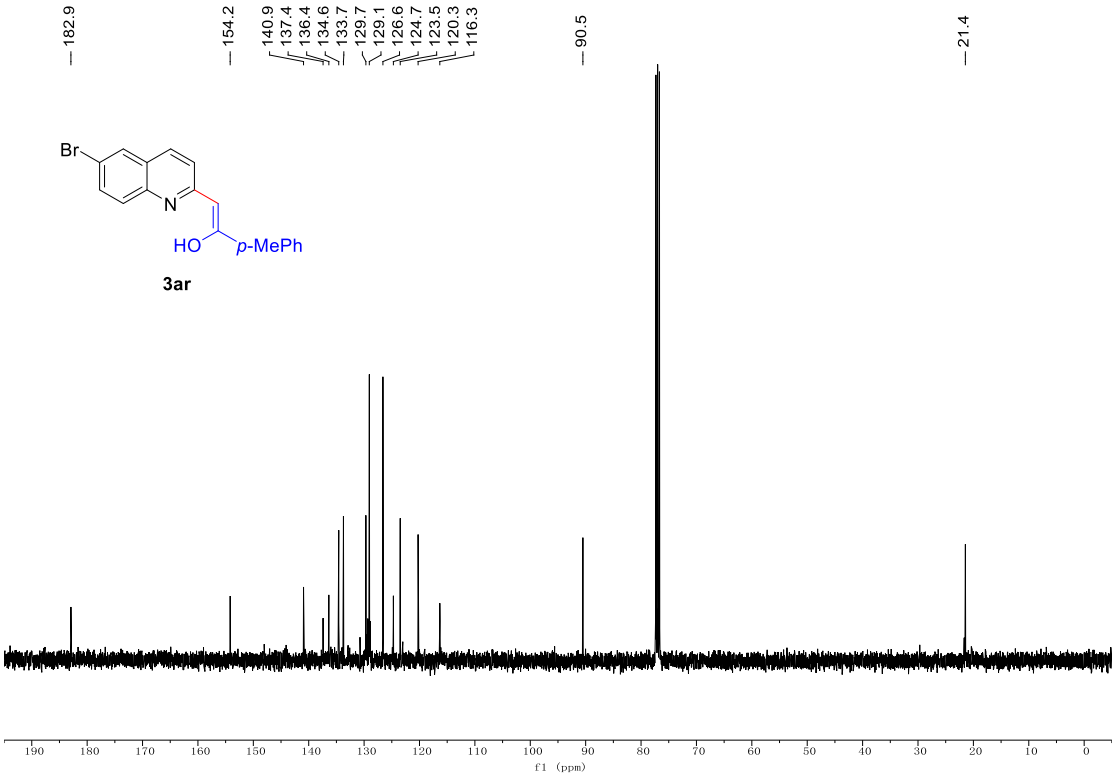
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3aq**:



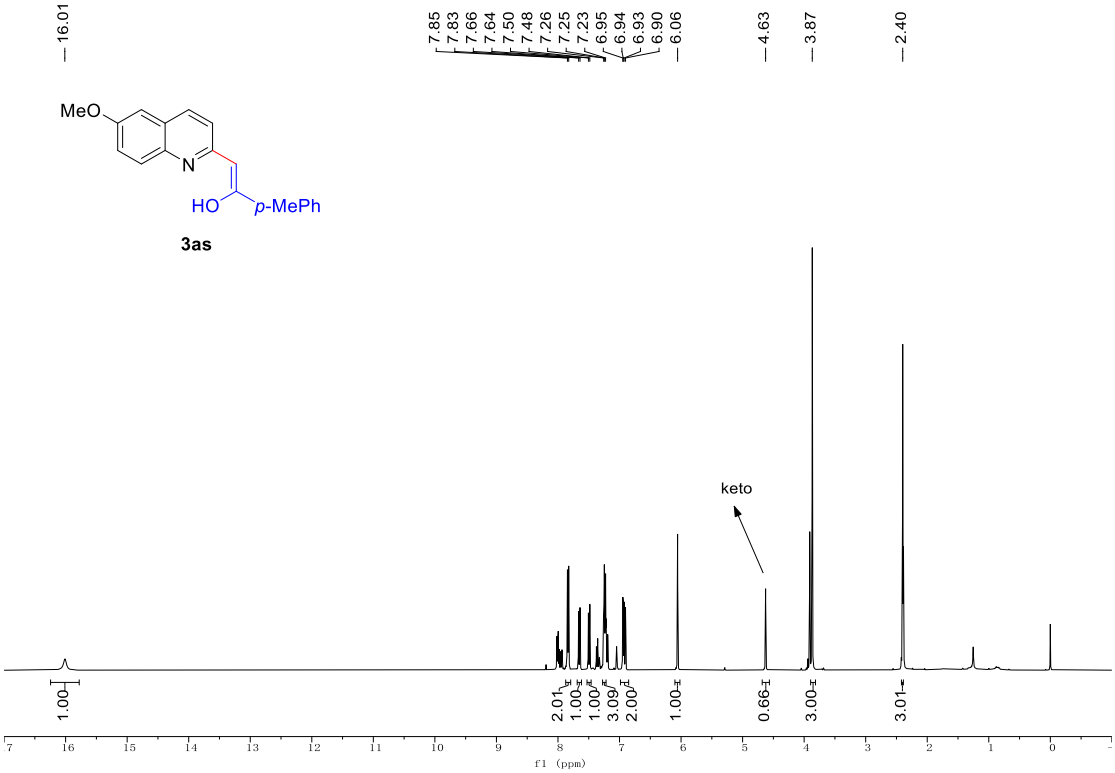
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ar**:



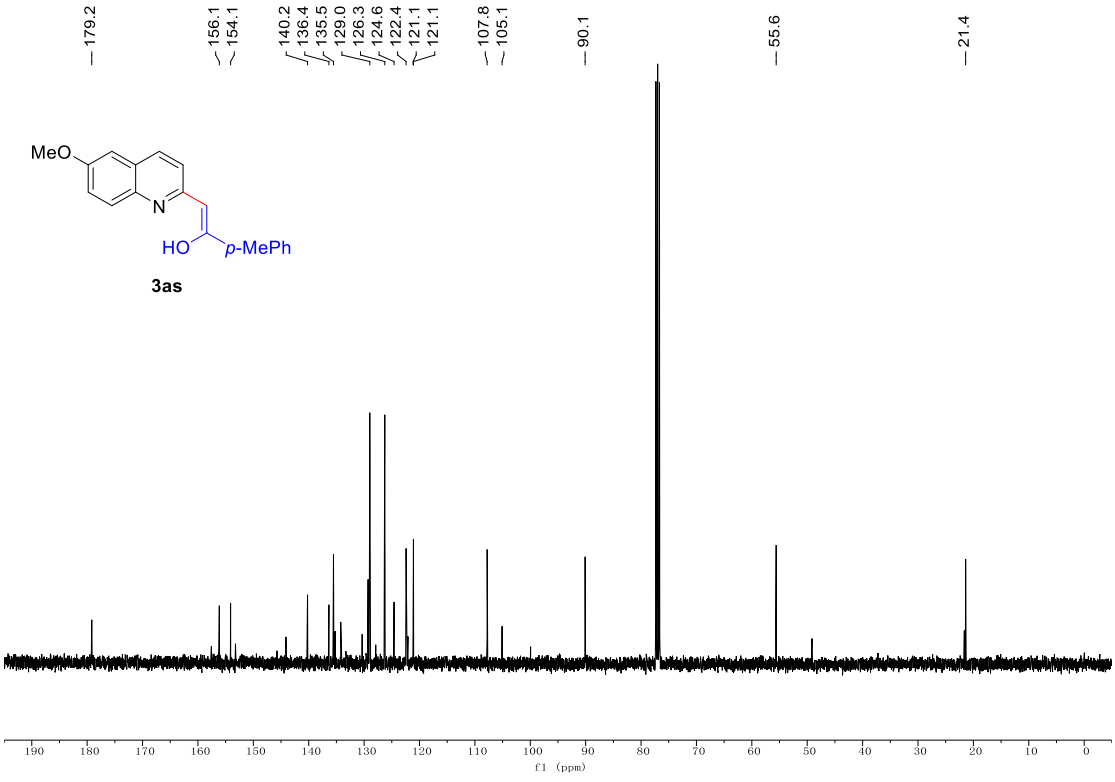
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ar**:



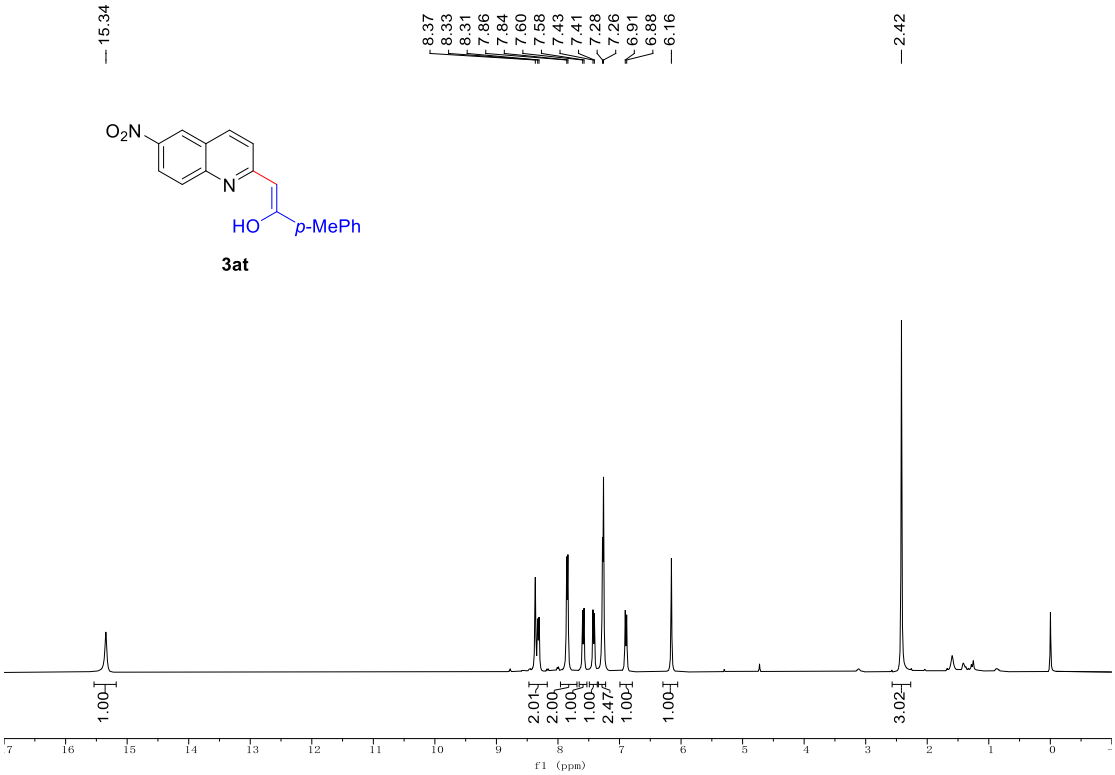
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3as**:



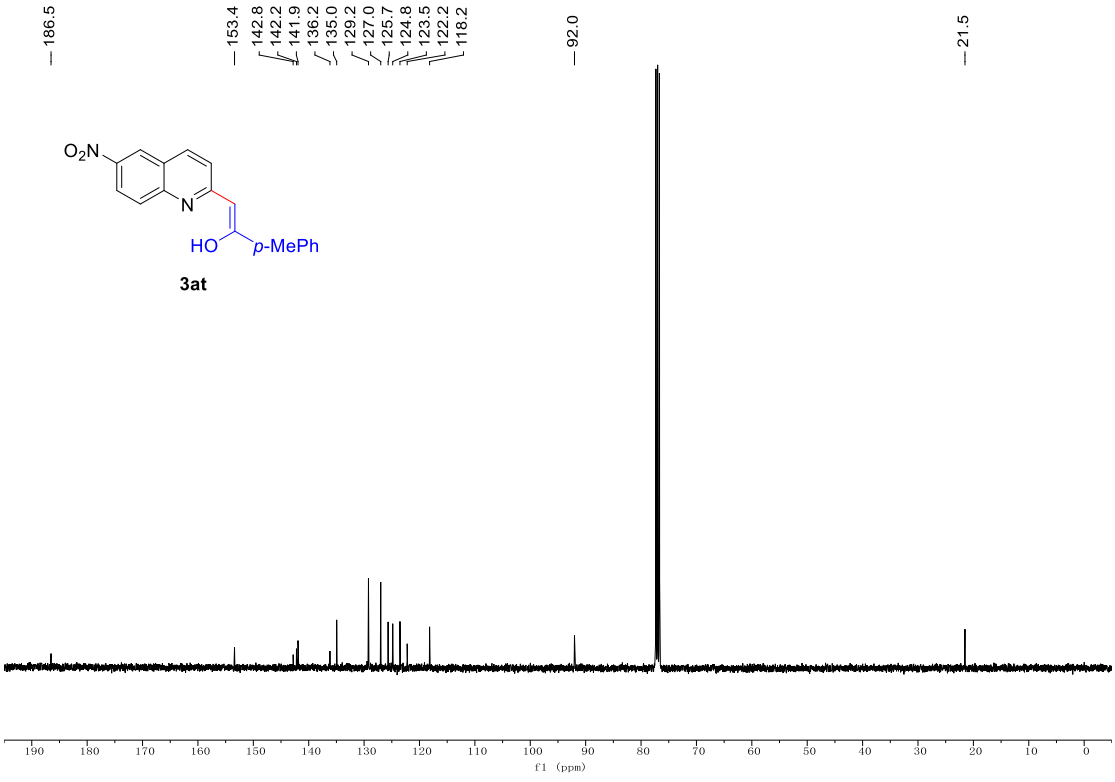
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3as**:



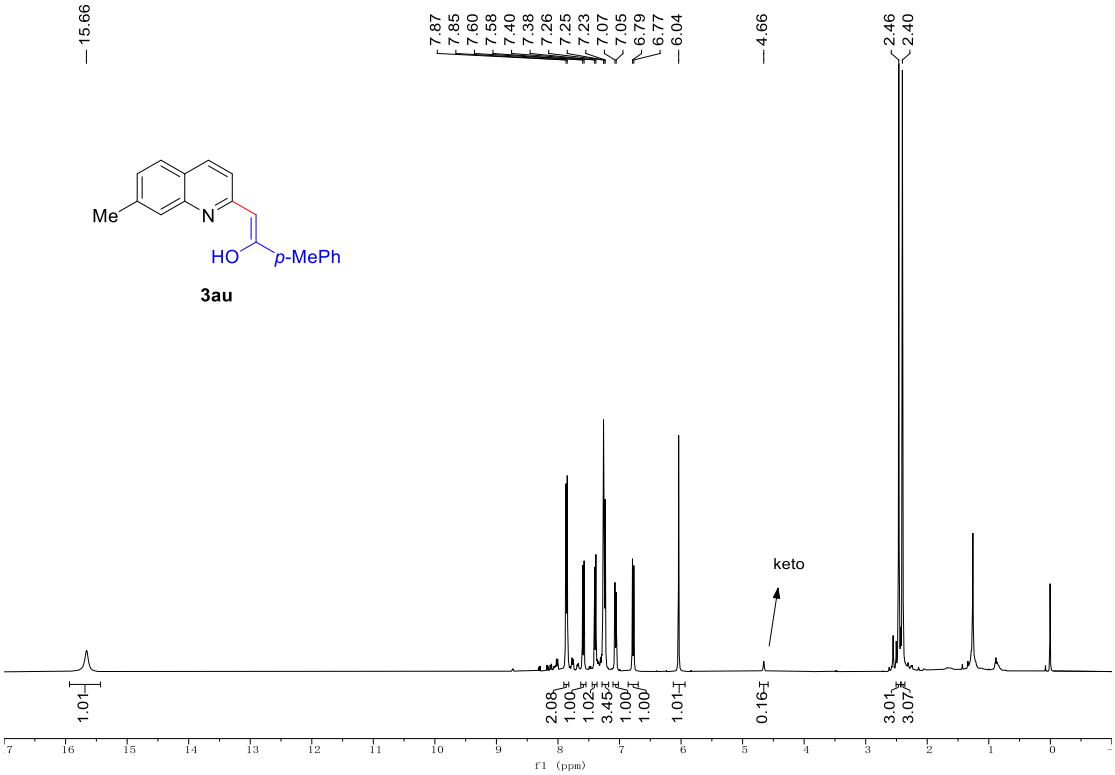
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3at**:



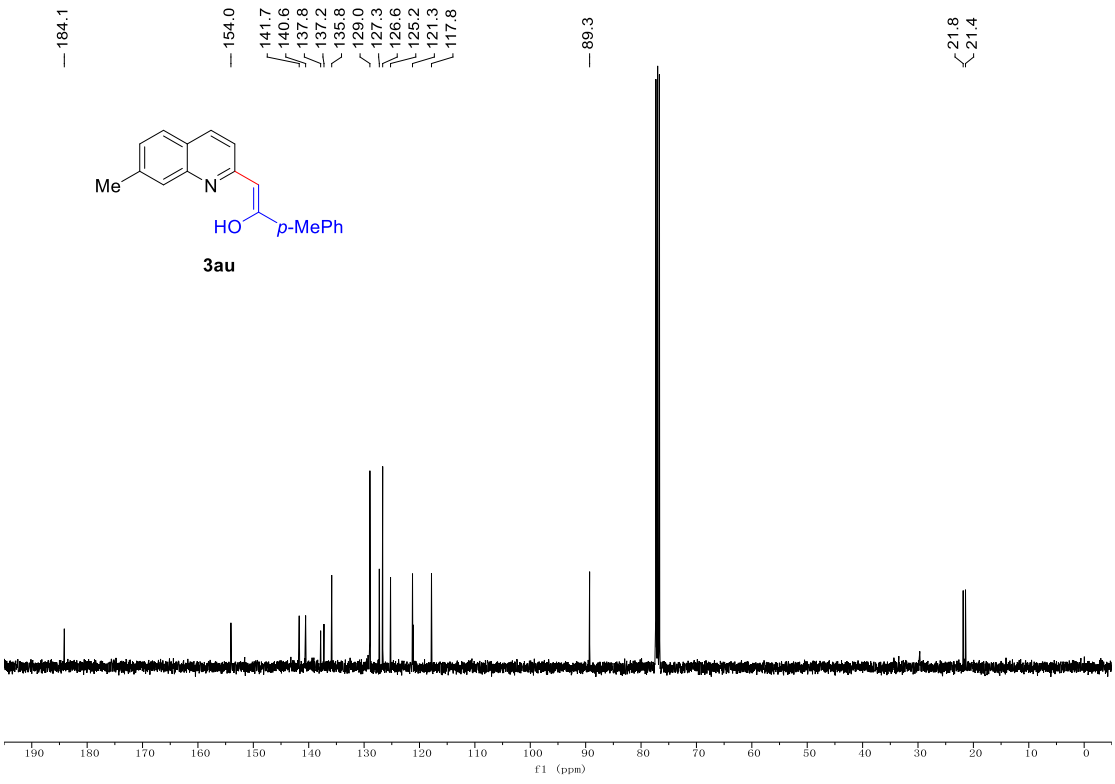
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3at**:



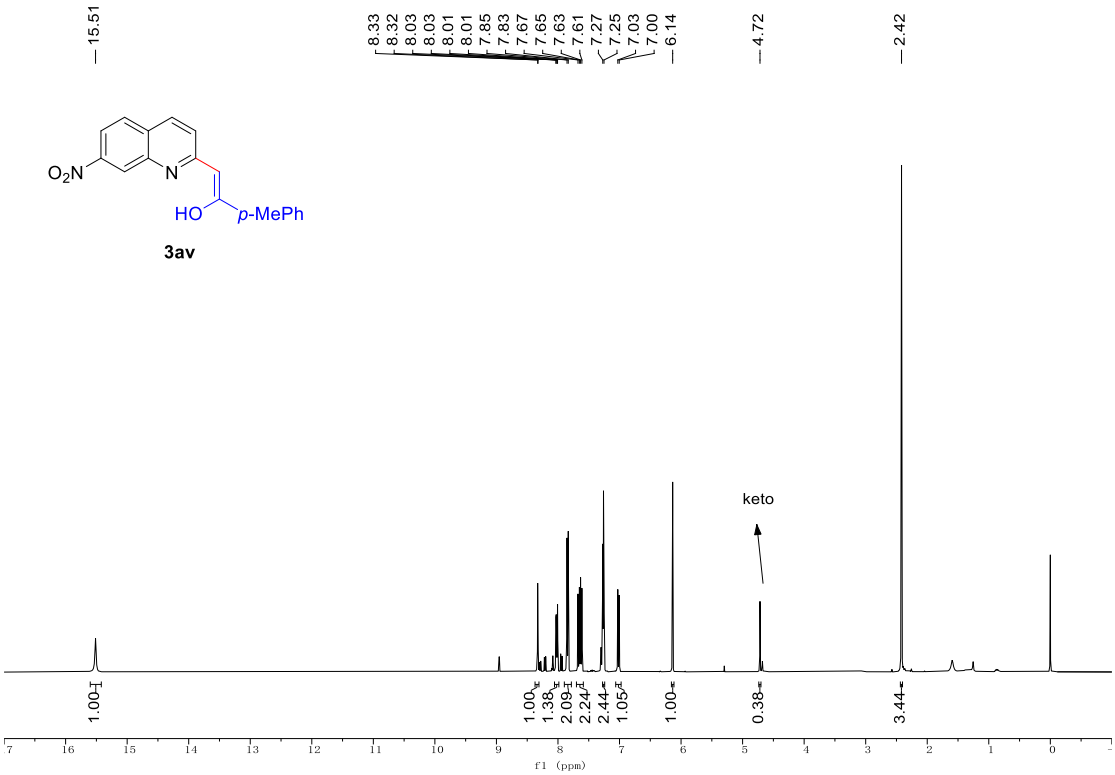
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3au**:



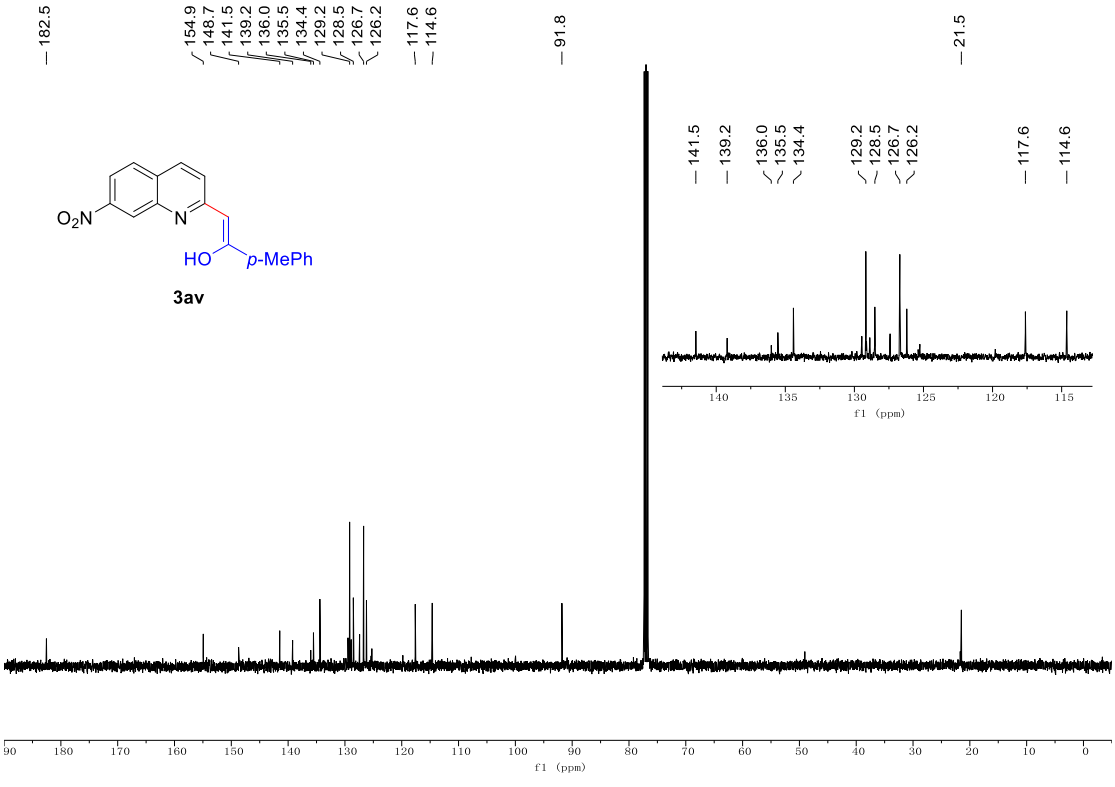
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3au**:



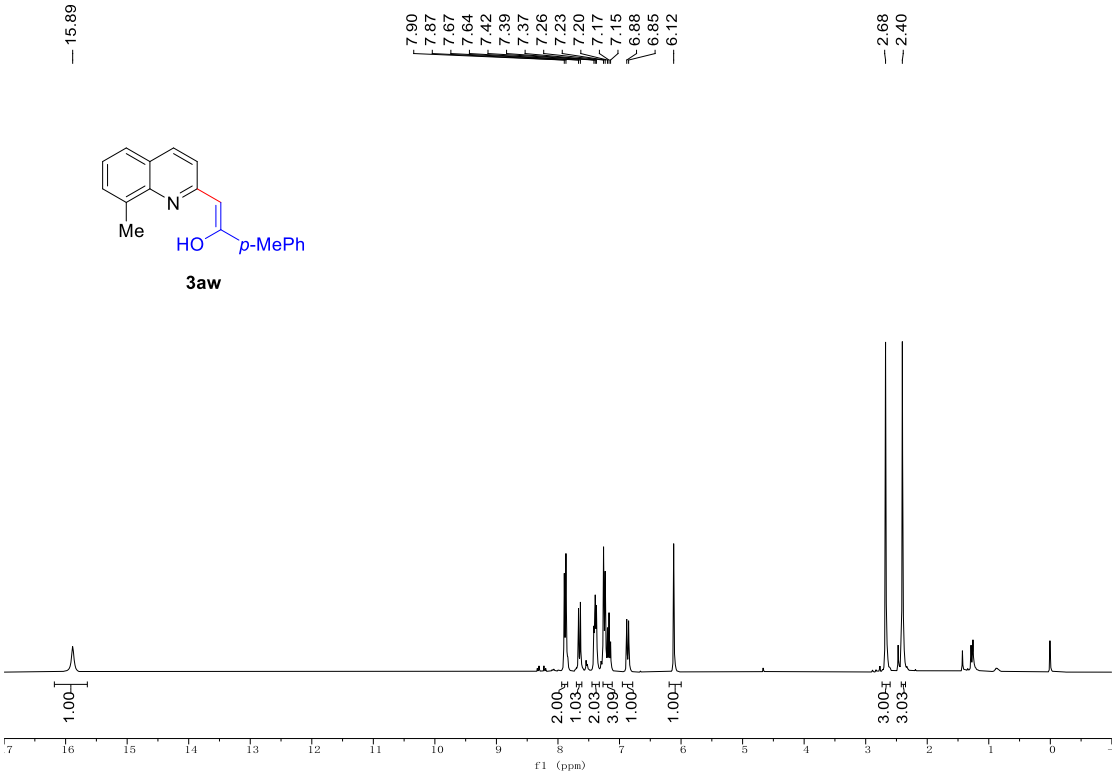
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3av**:



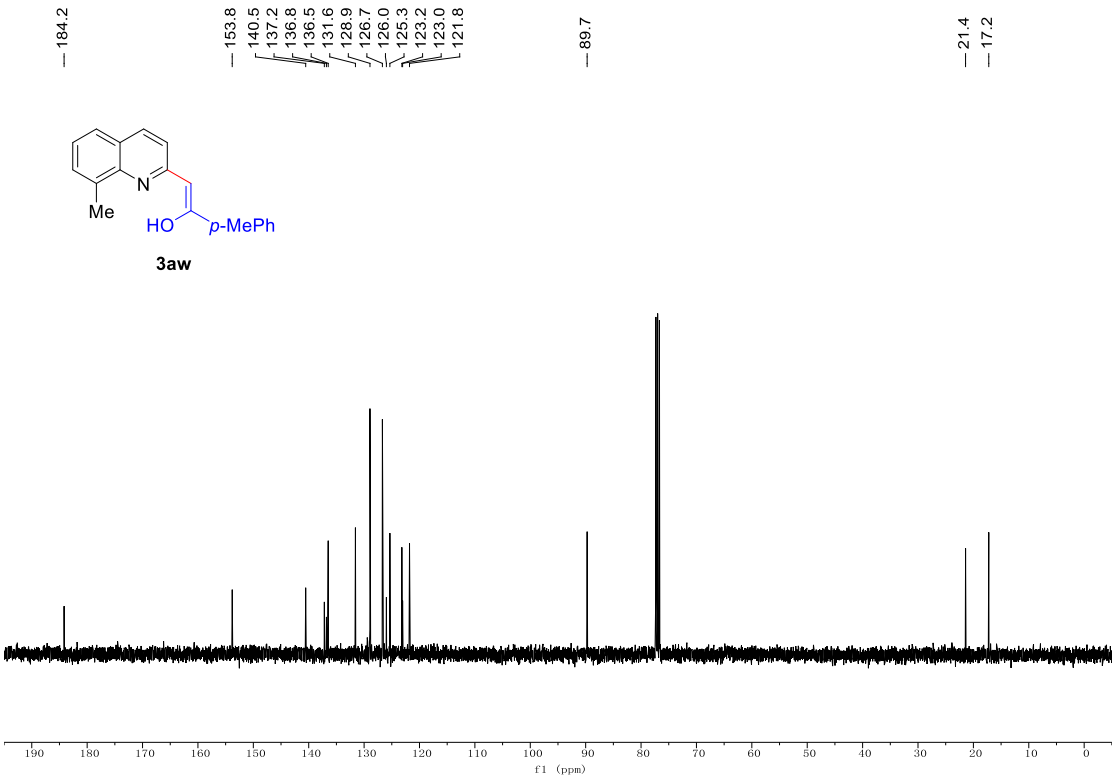
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3av**:



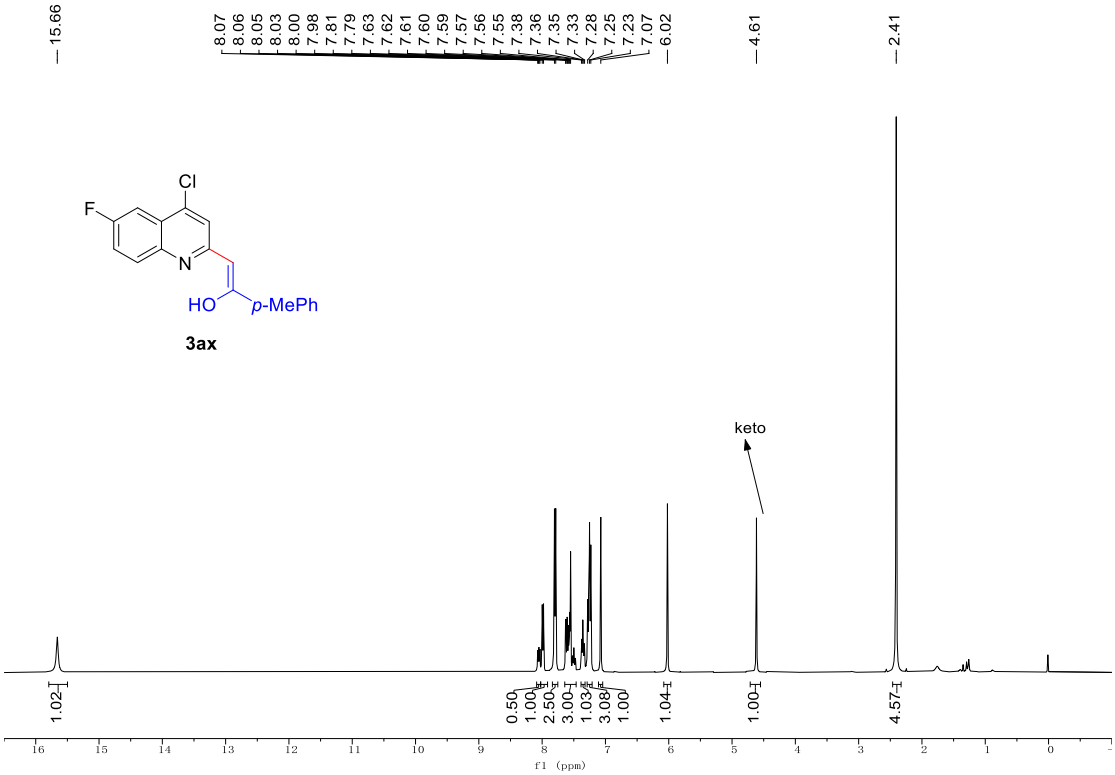
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **3aw**:



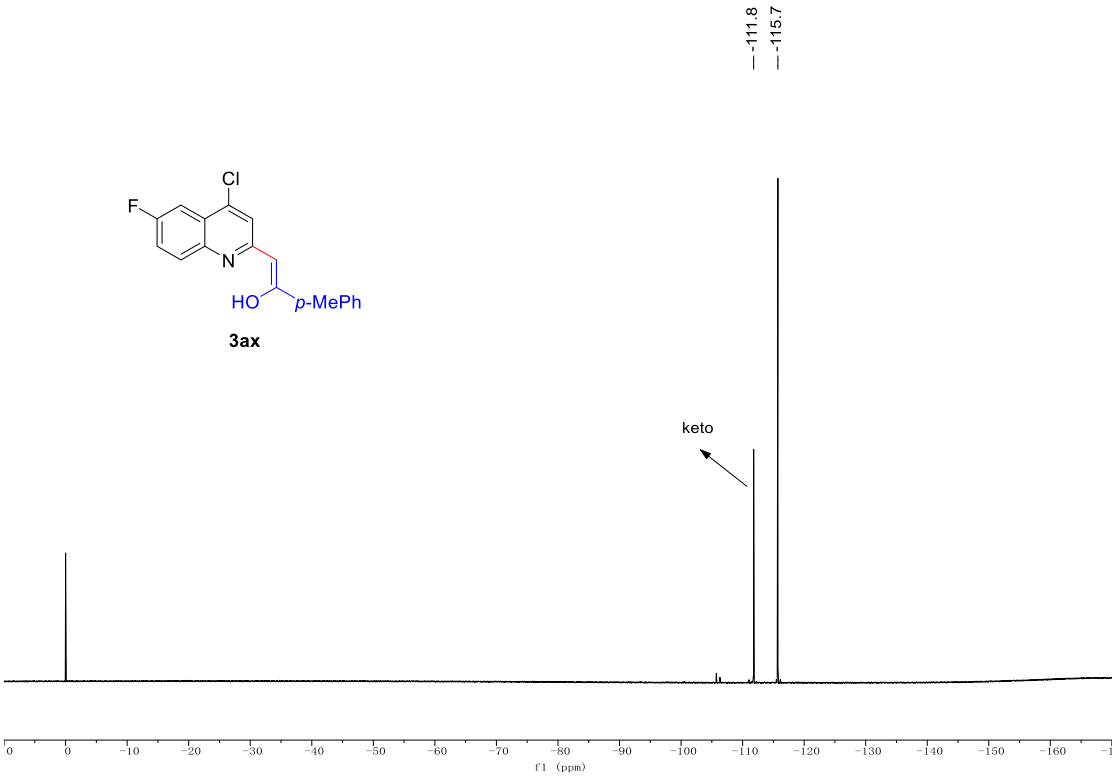
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra copy of compound **3aw**:



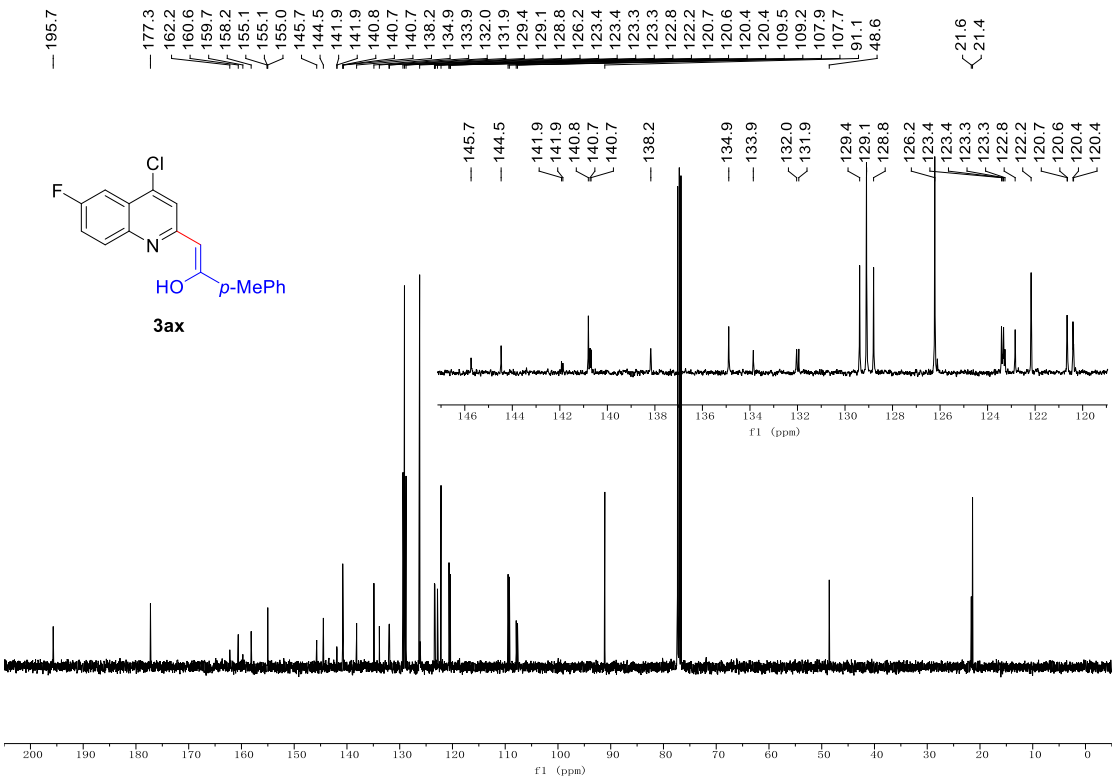
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ax**:



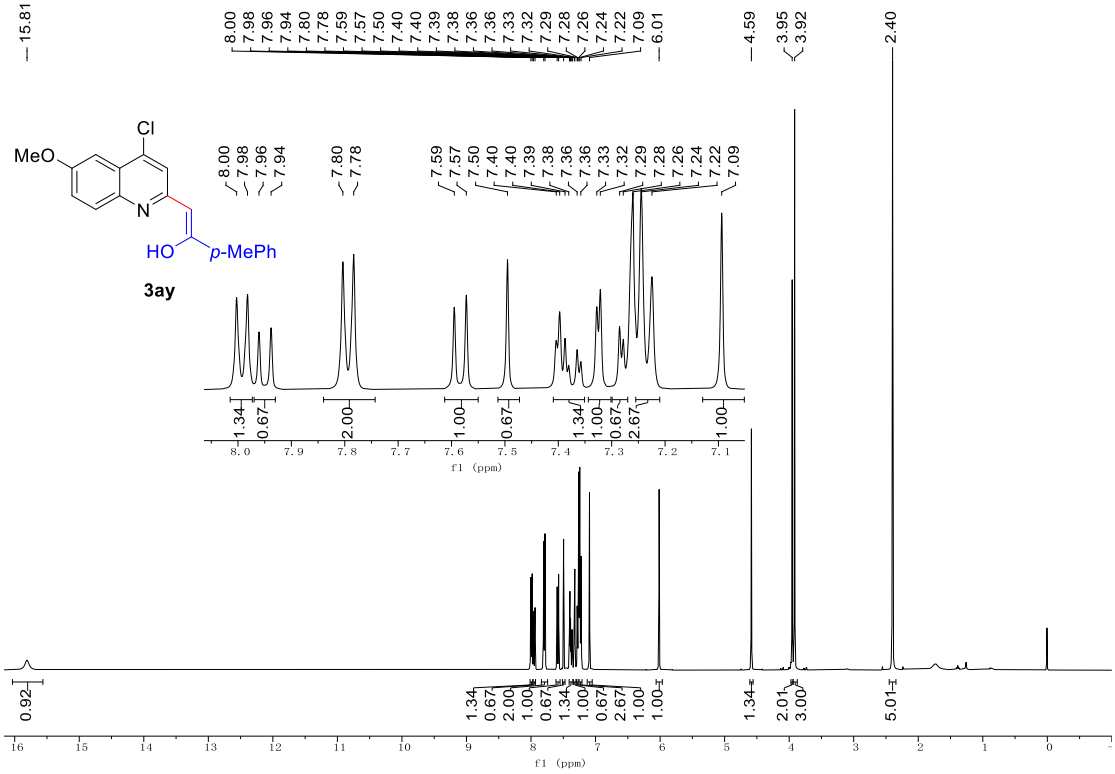
^{19}F{^{1}H} NMR (376 MHz, $CDCl_3$) spectra copy of compound **3ax**:



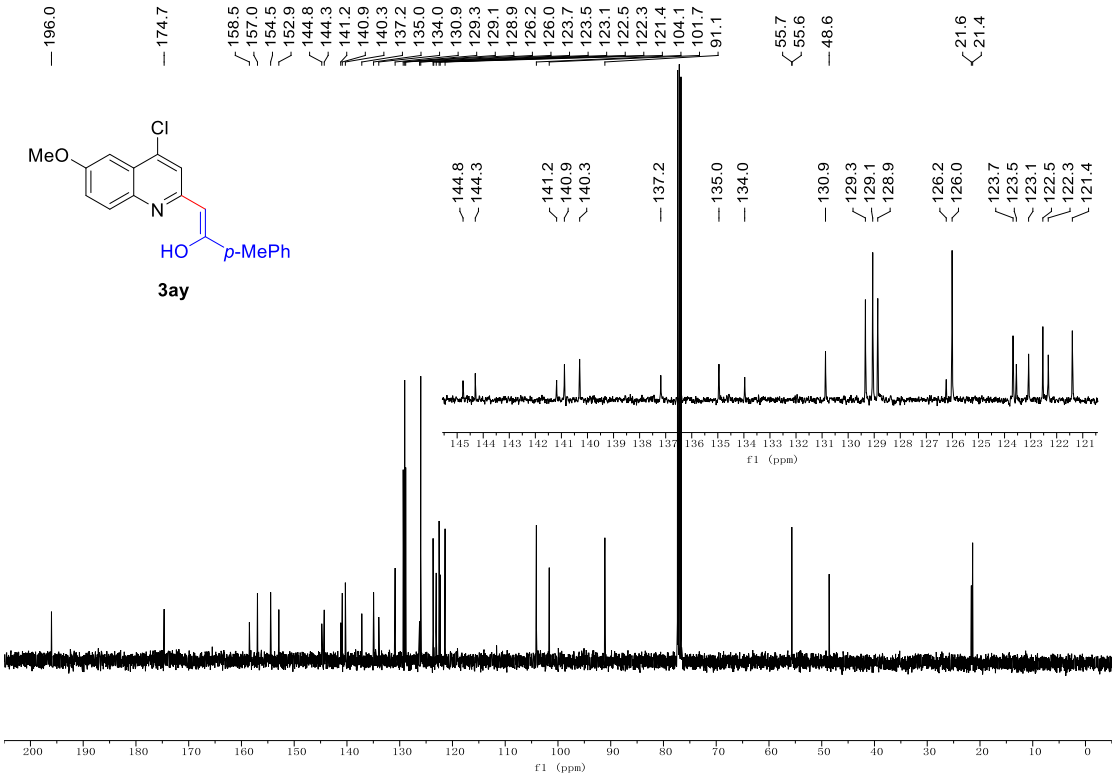
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ax**:



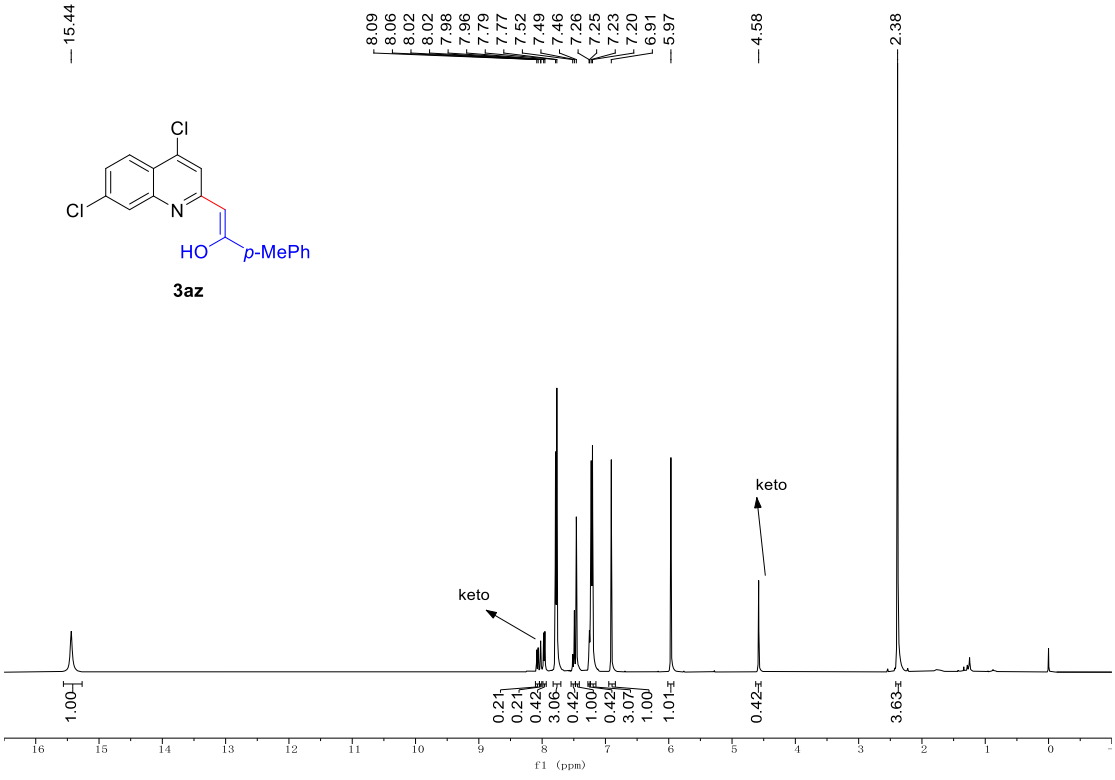
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ay**:



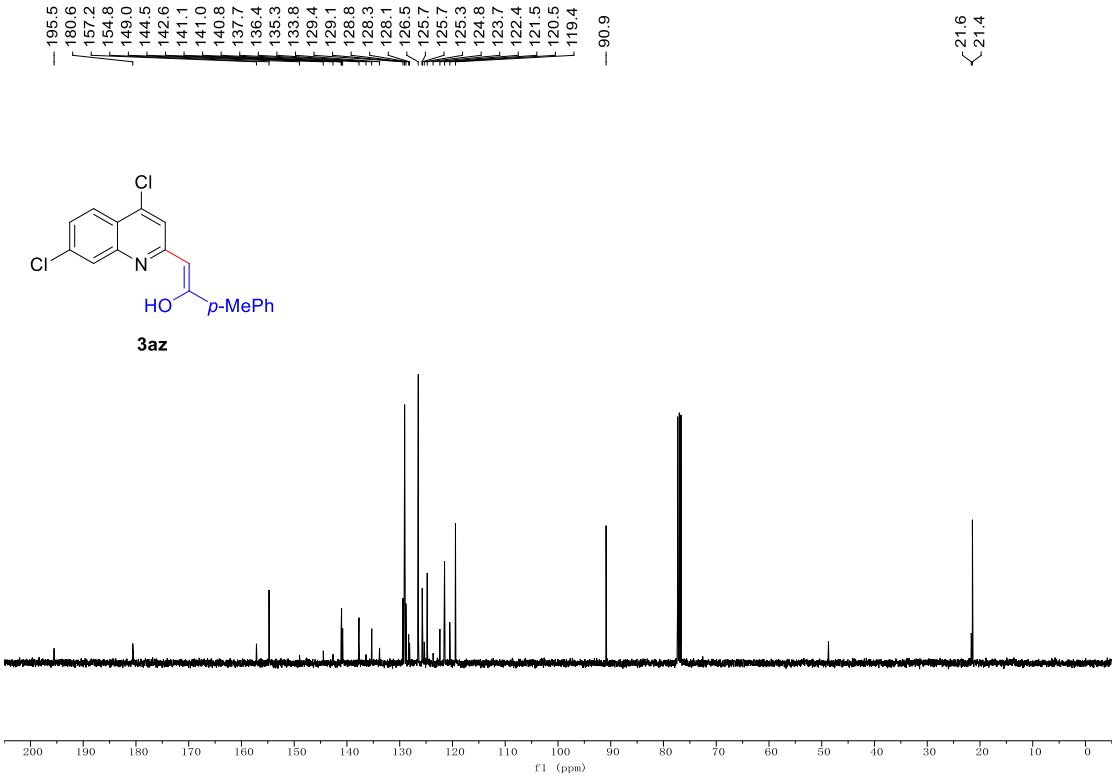
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ay**:



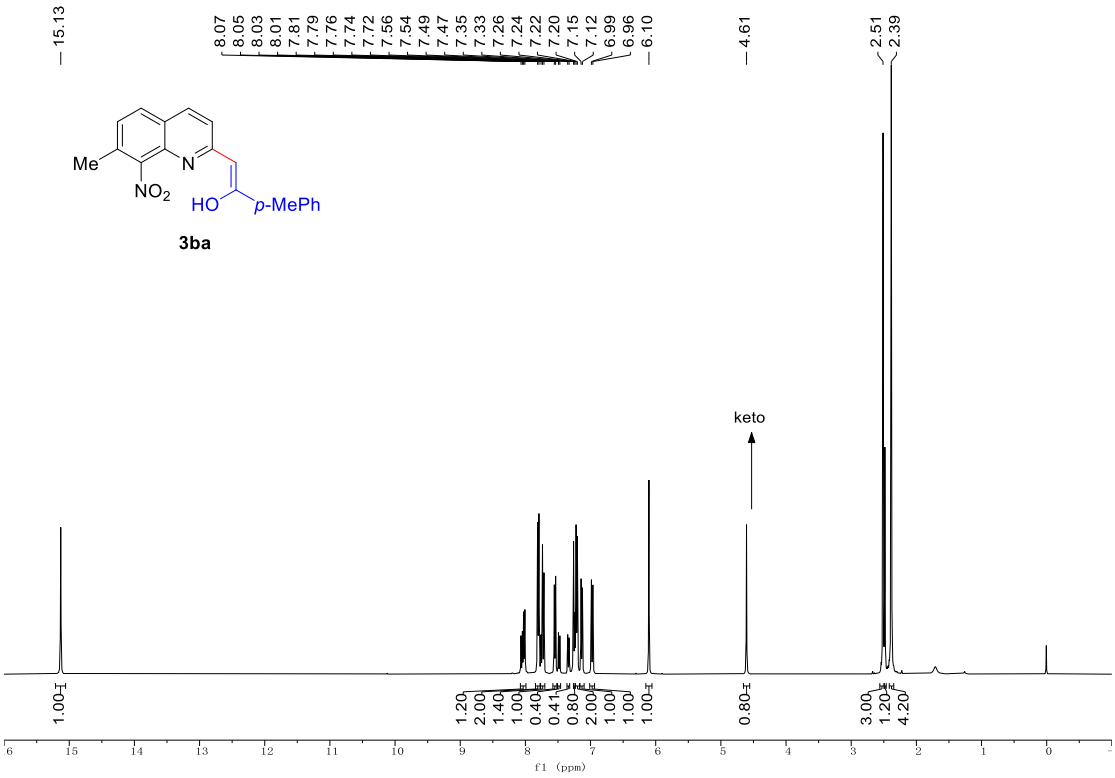
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3az**:



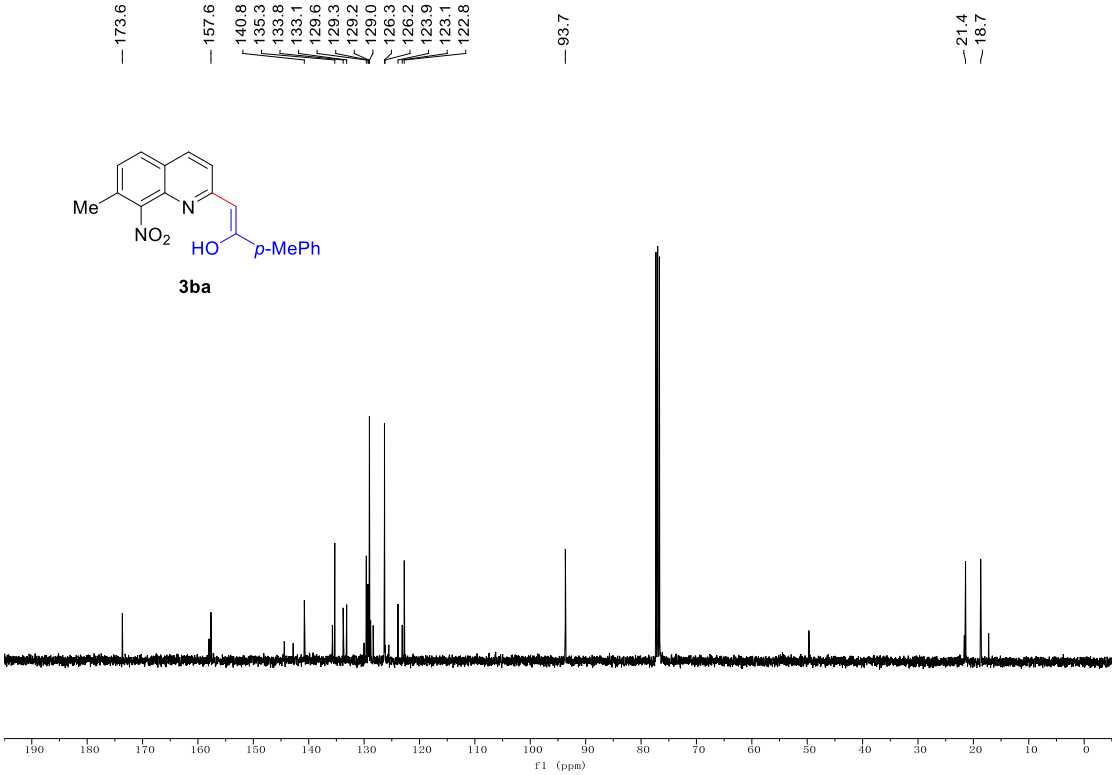
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3az**:



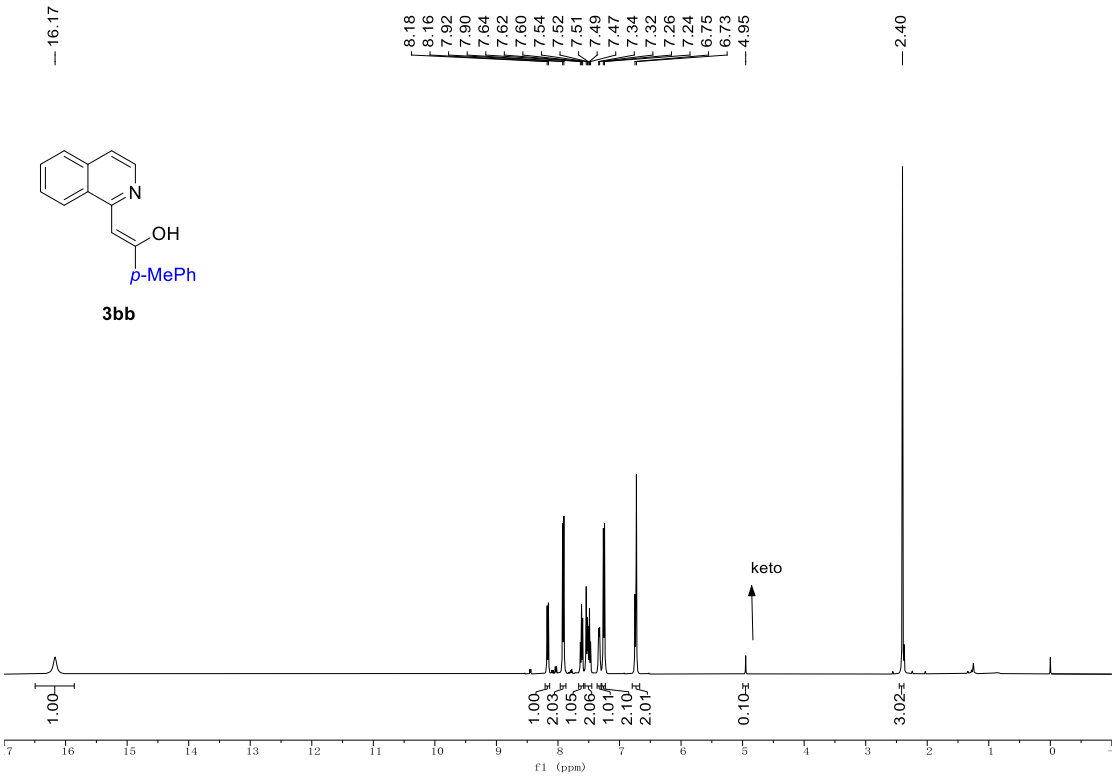
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3ba**:



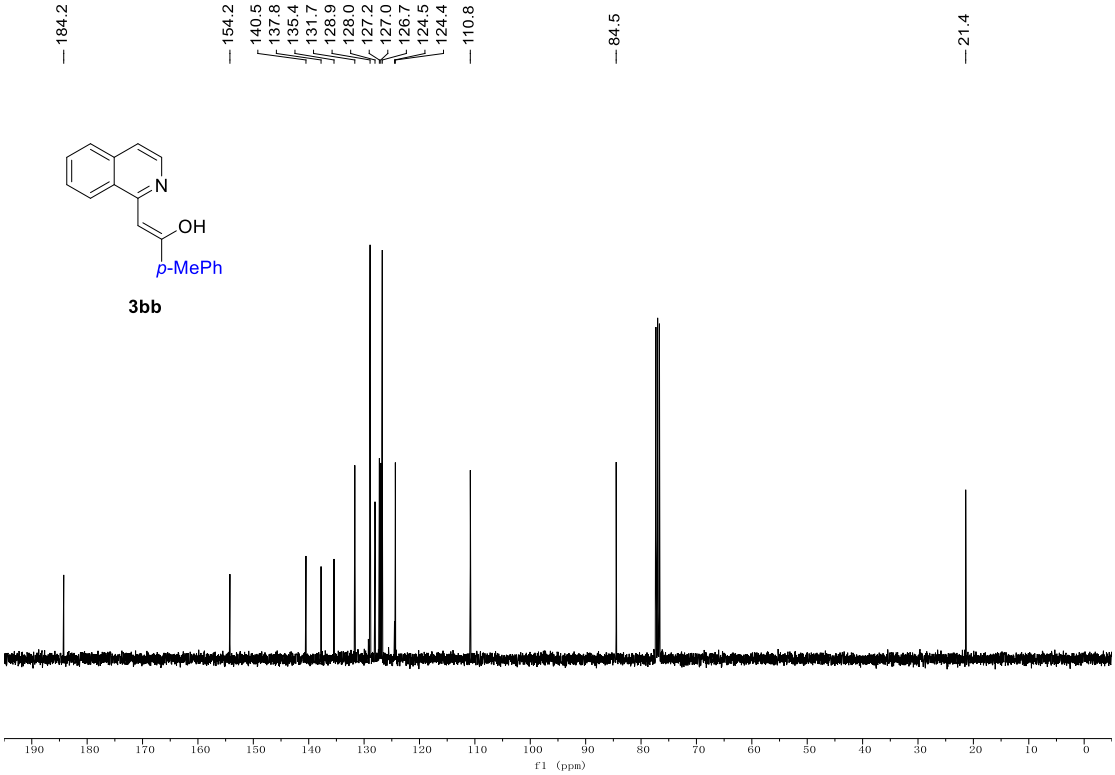
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3ba**:



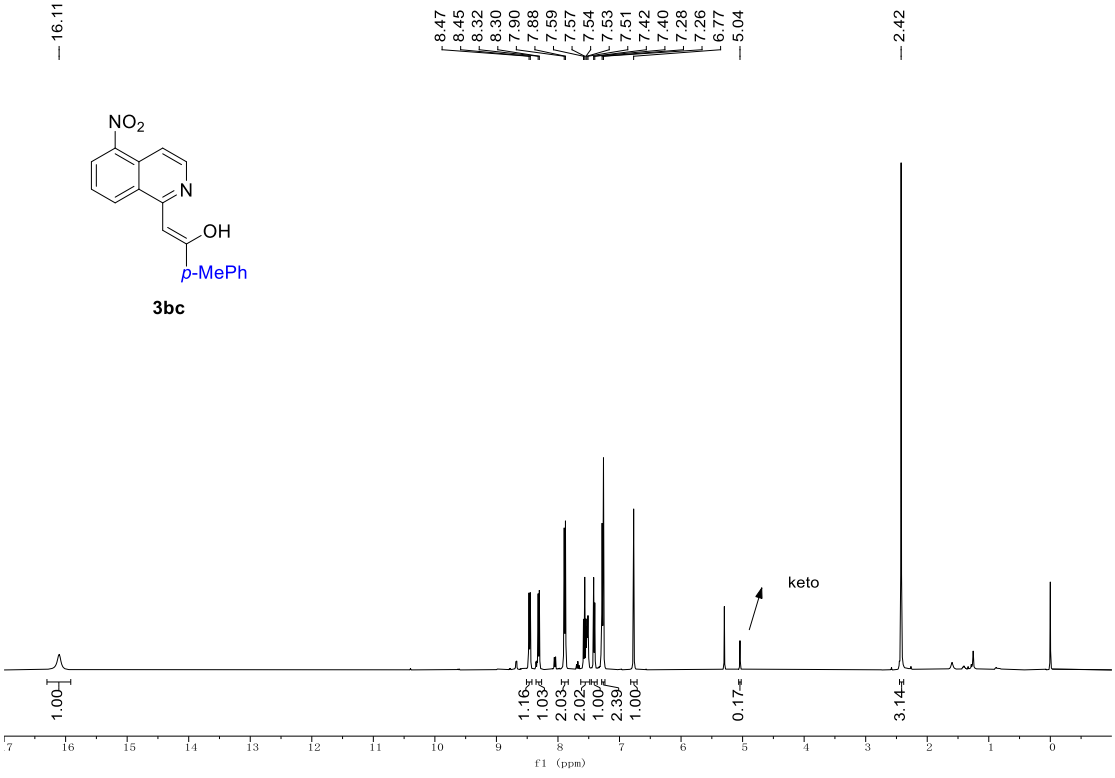
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bb**:



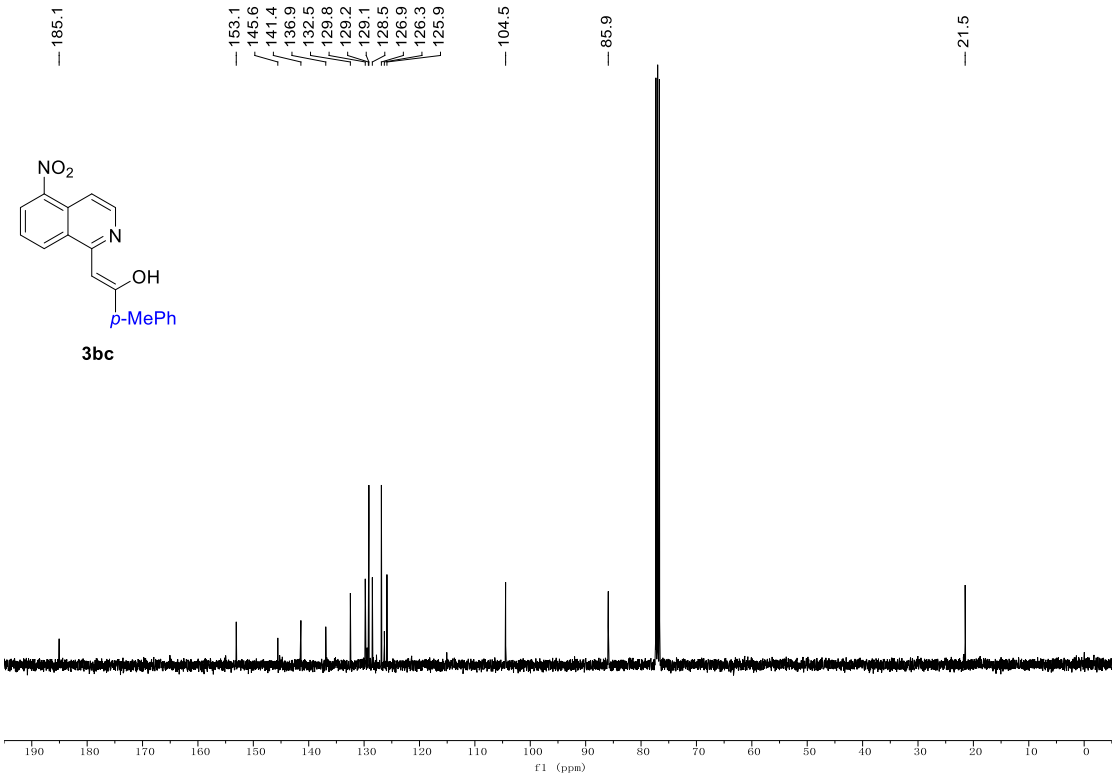
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bb**:



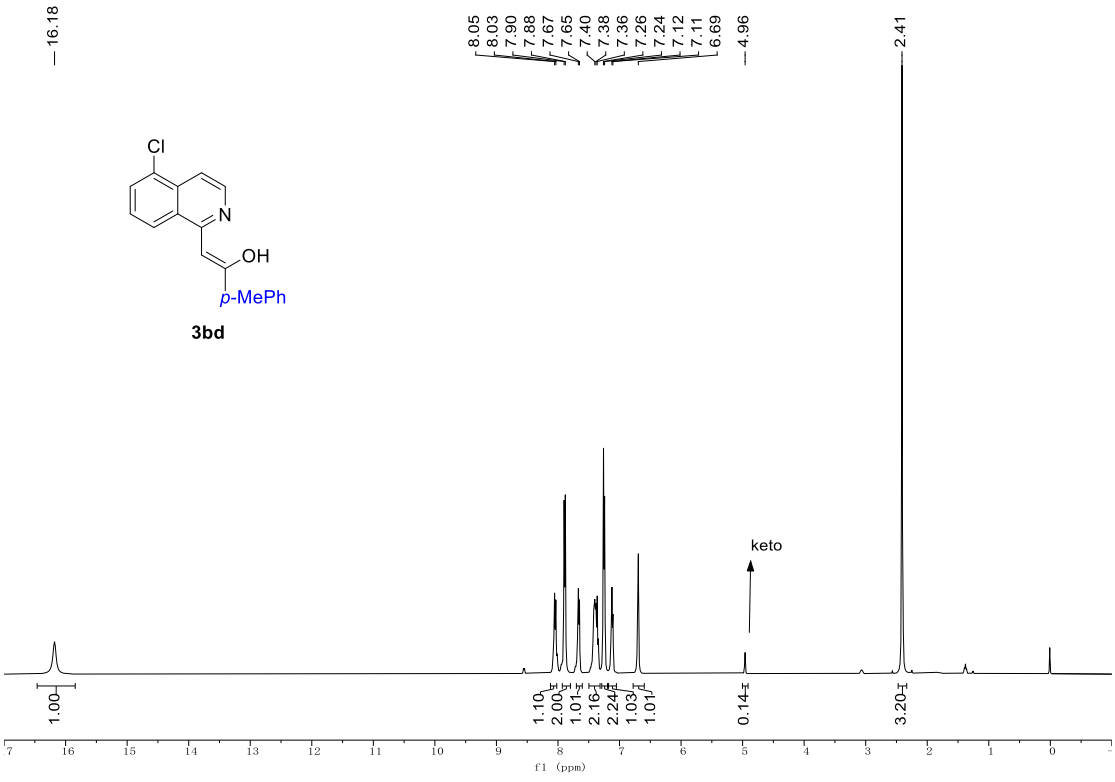
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bc**:



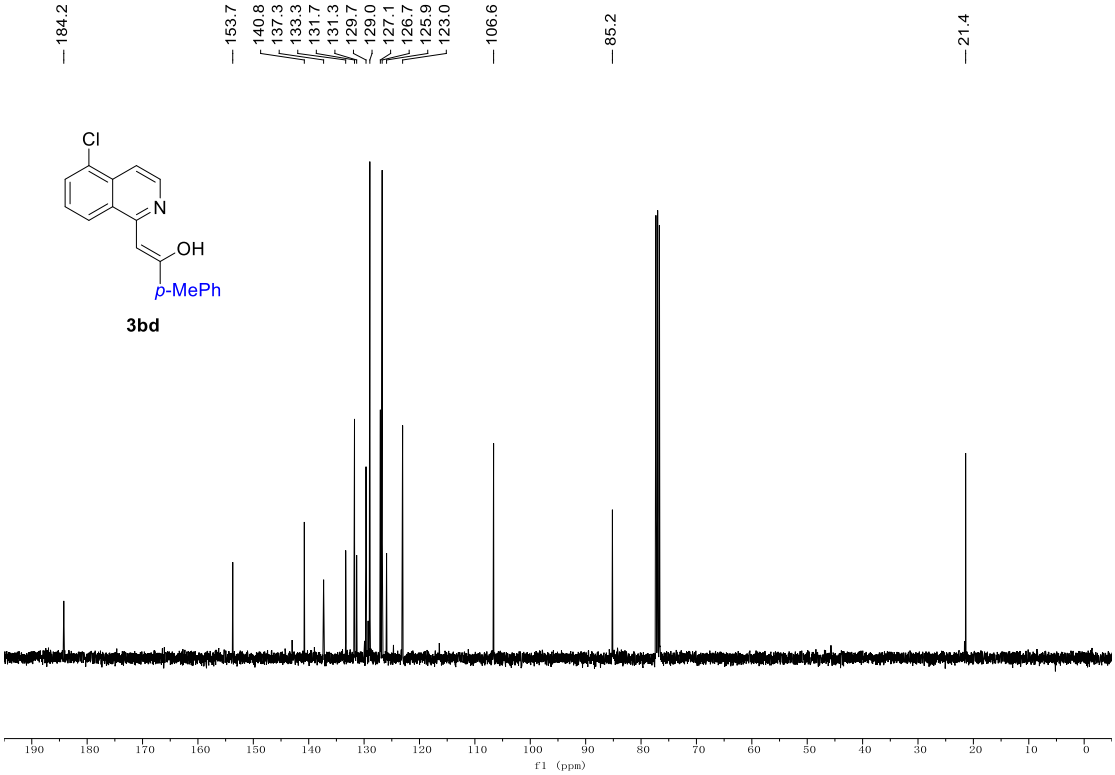
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bc**:



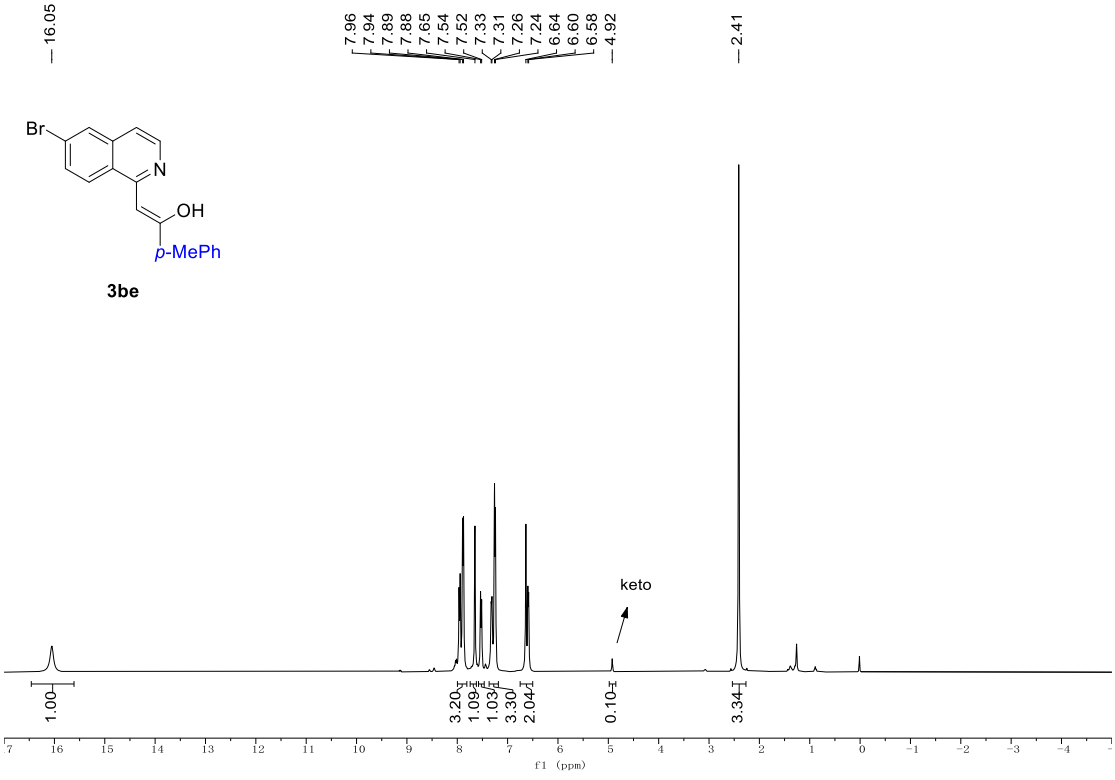
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bd**:



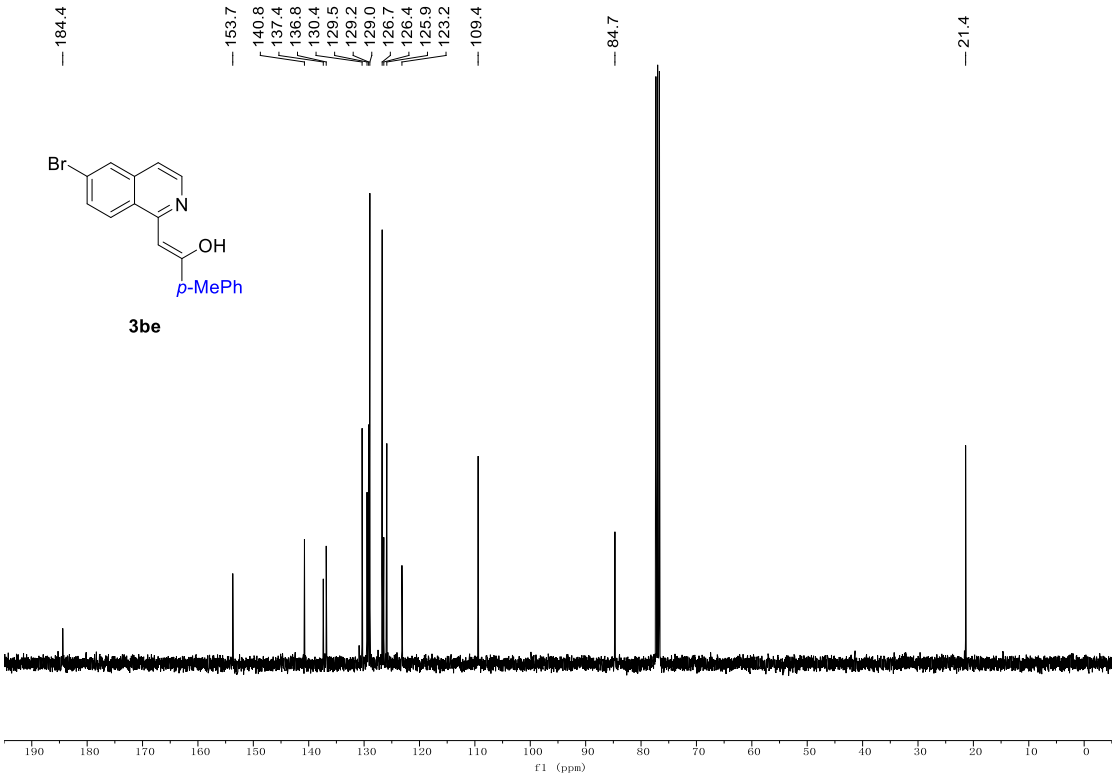
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bd**:



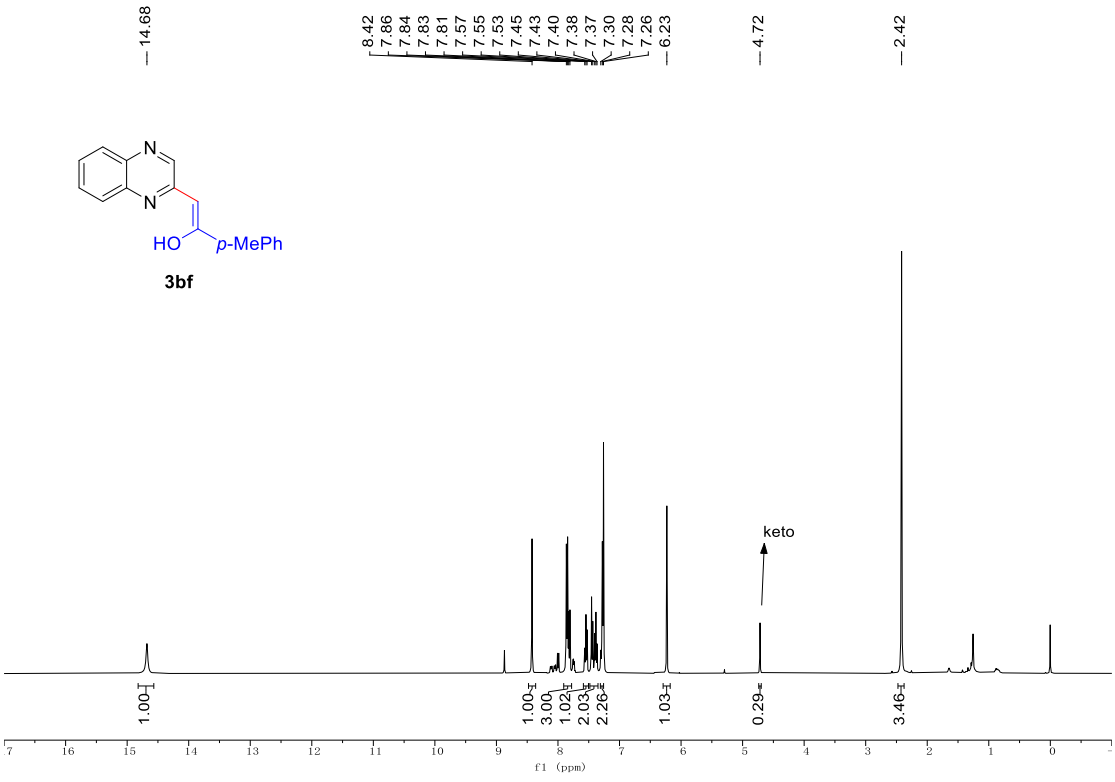
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3be**:



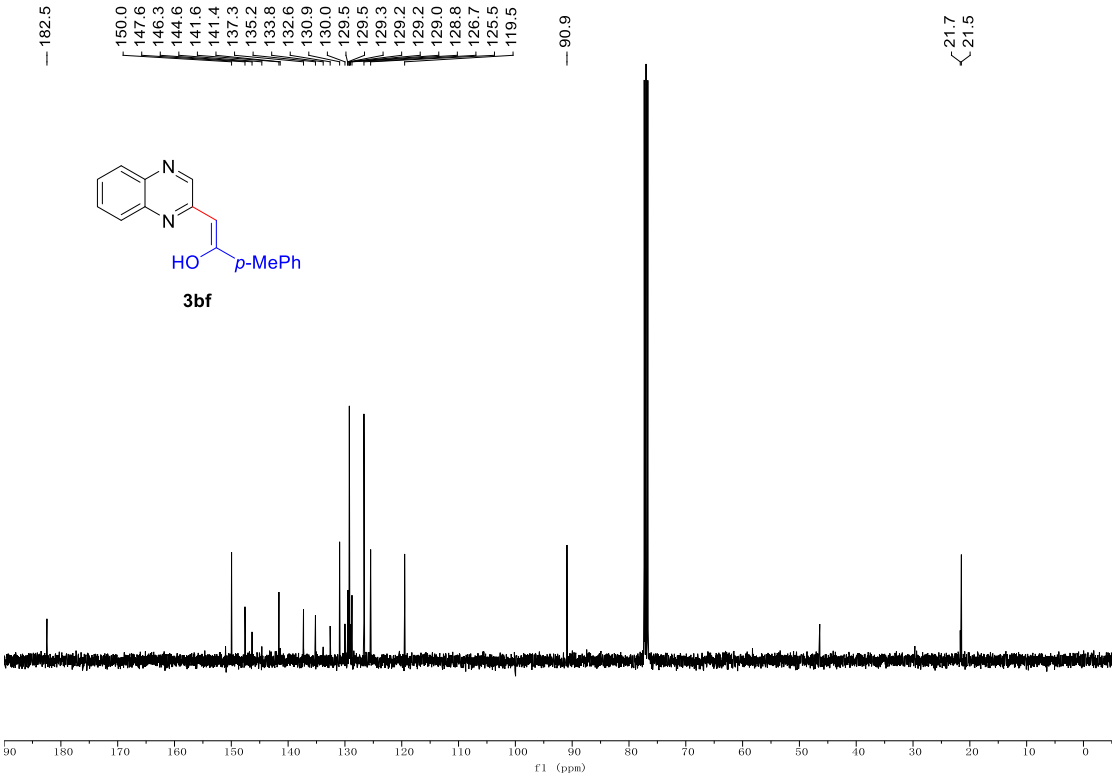
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3be**:



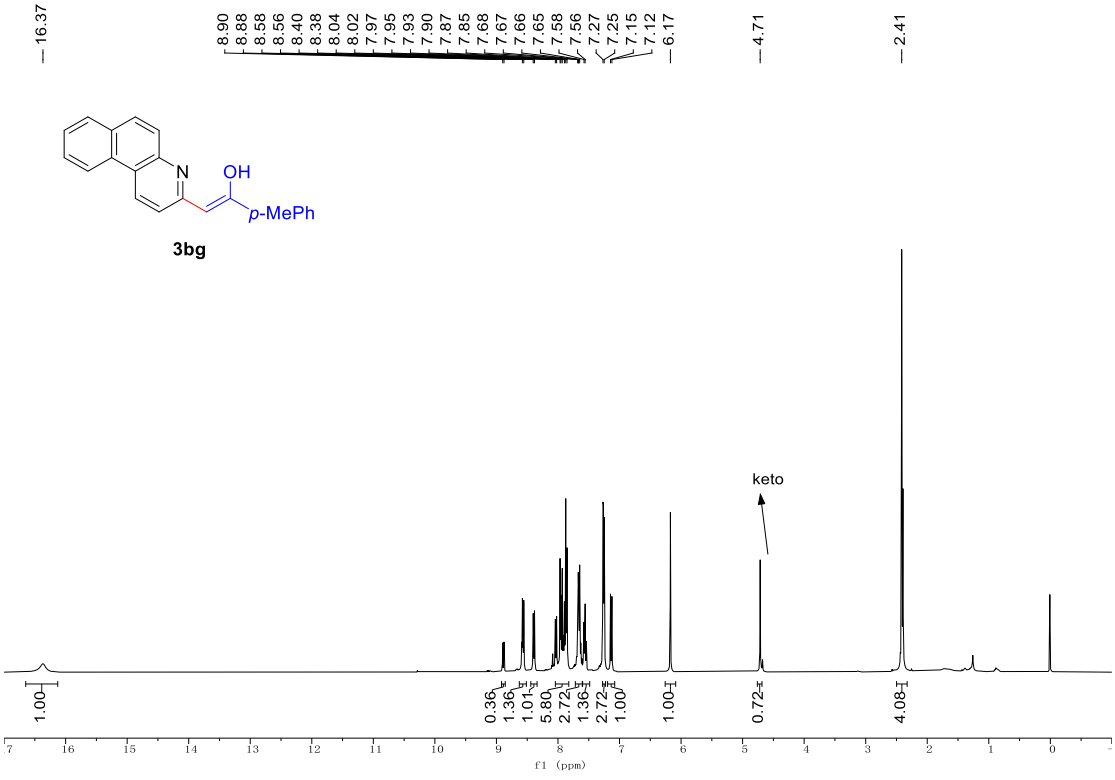
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bf**:



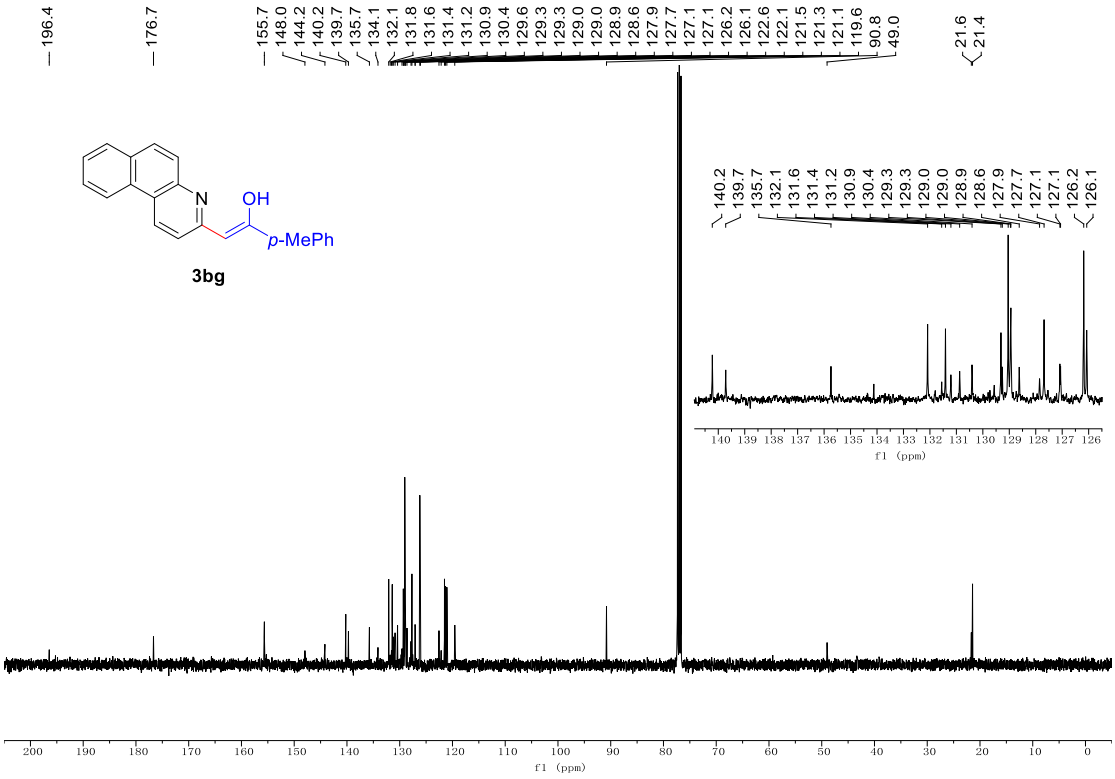
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bf**:



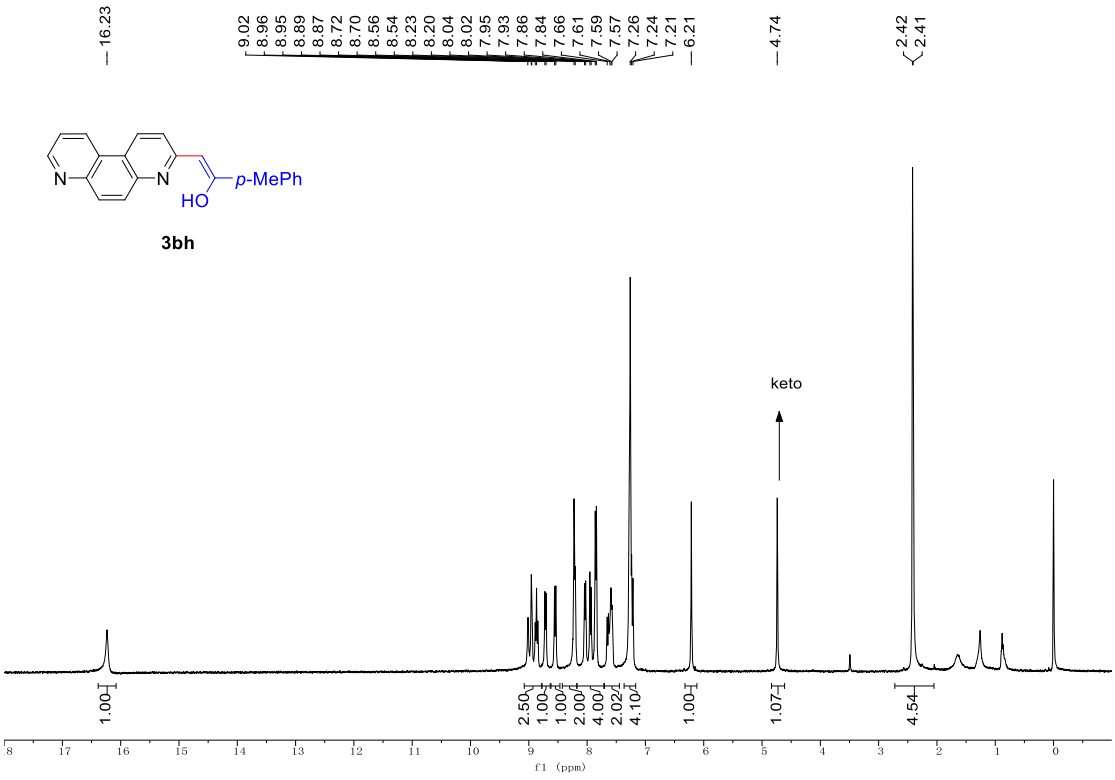
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bg**:



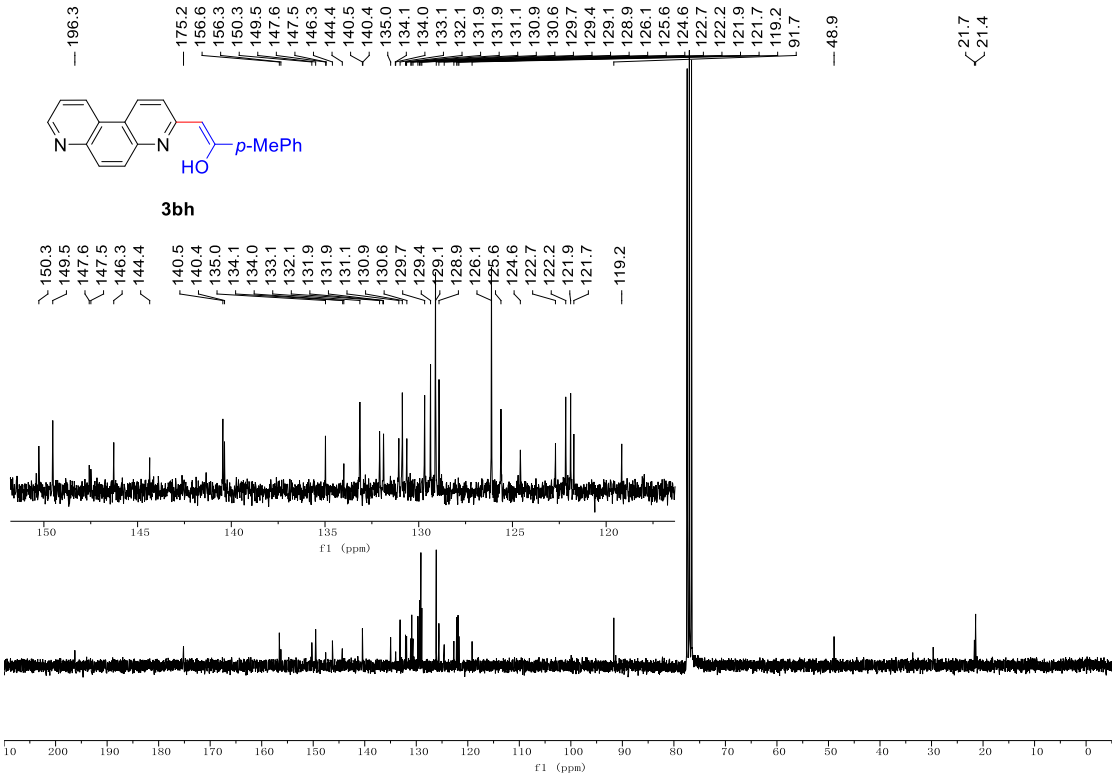
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bg**:



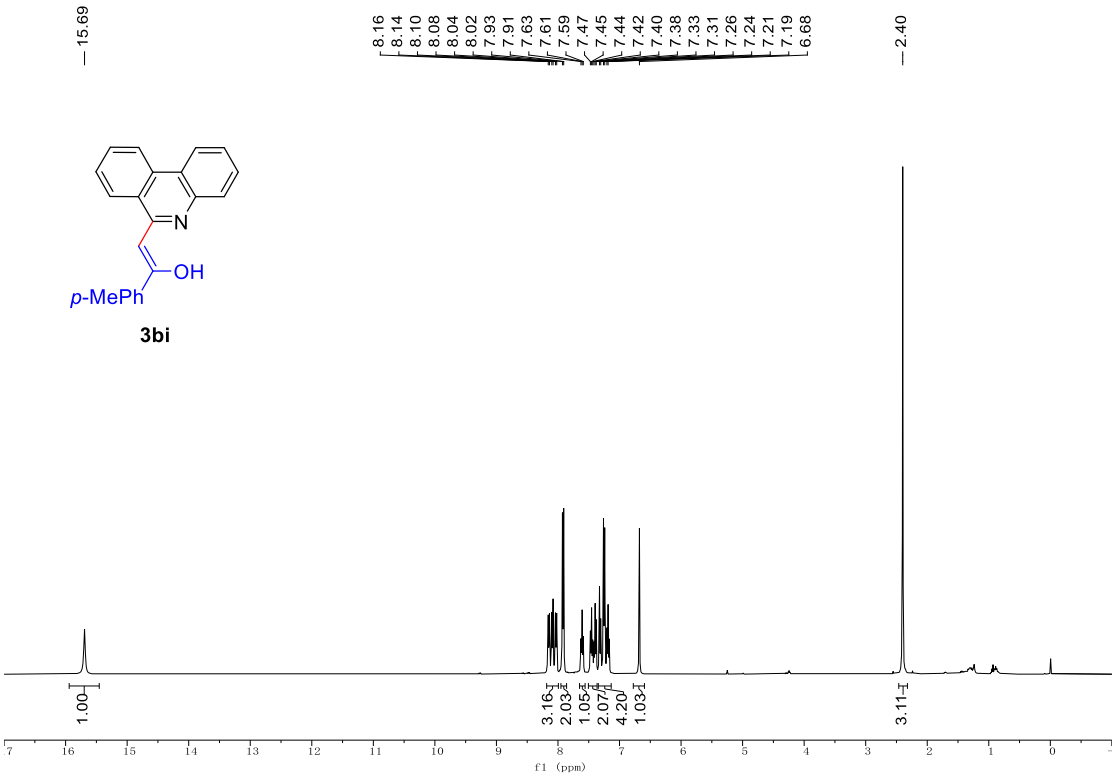
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **3bh**:



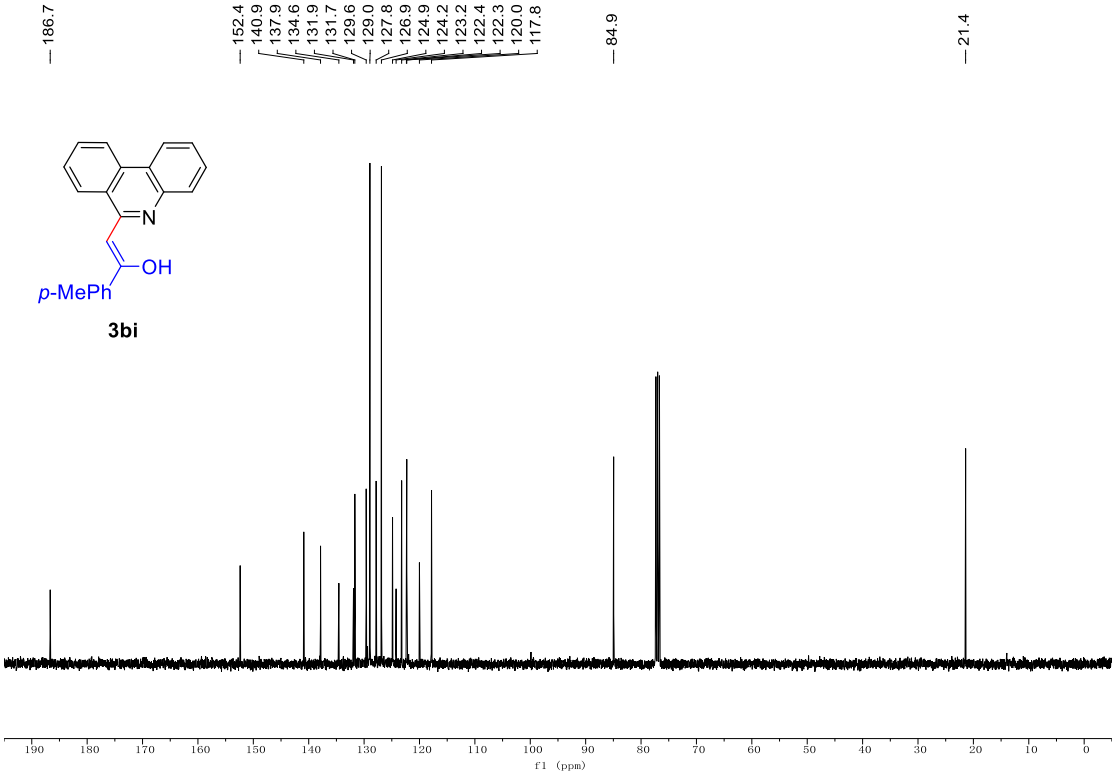
$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **3bh**:



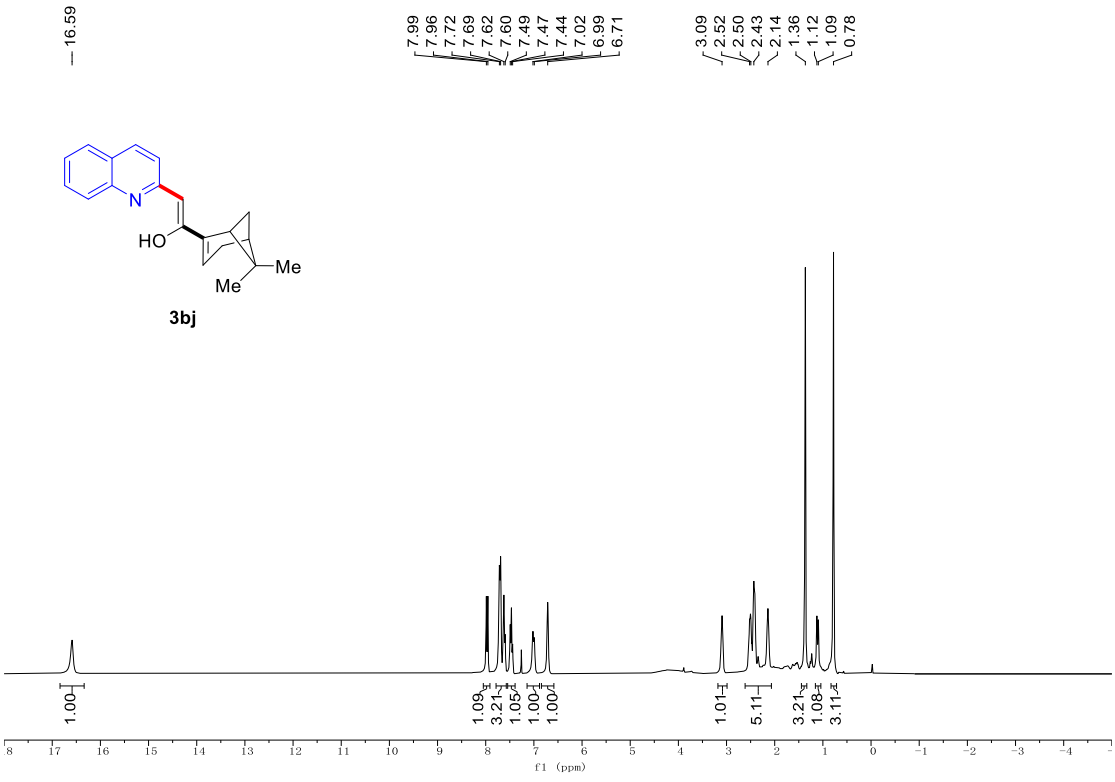
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bi**:



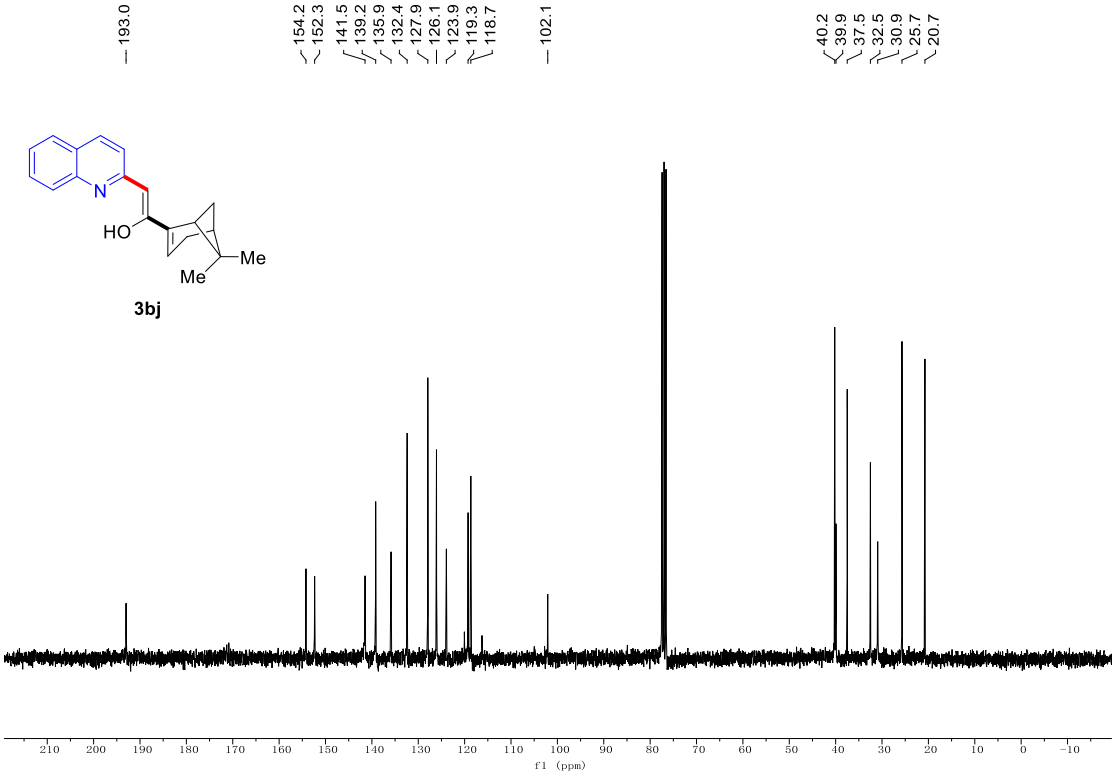
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bi**:



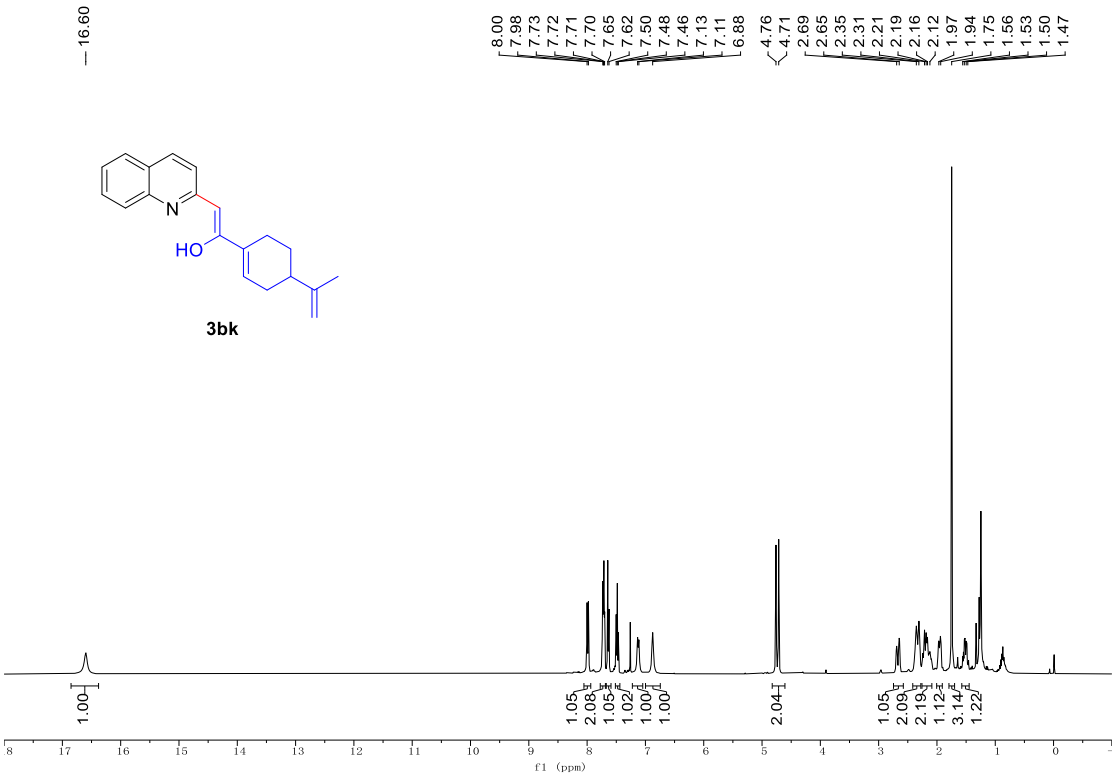
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **3bj**:



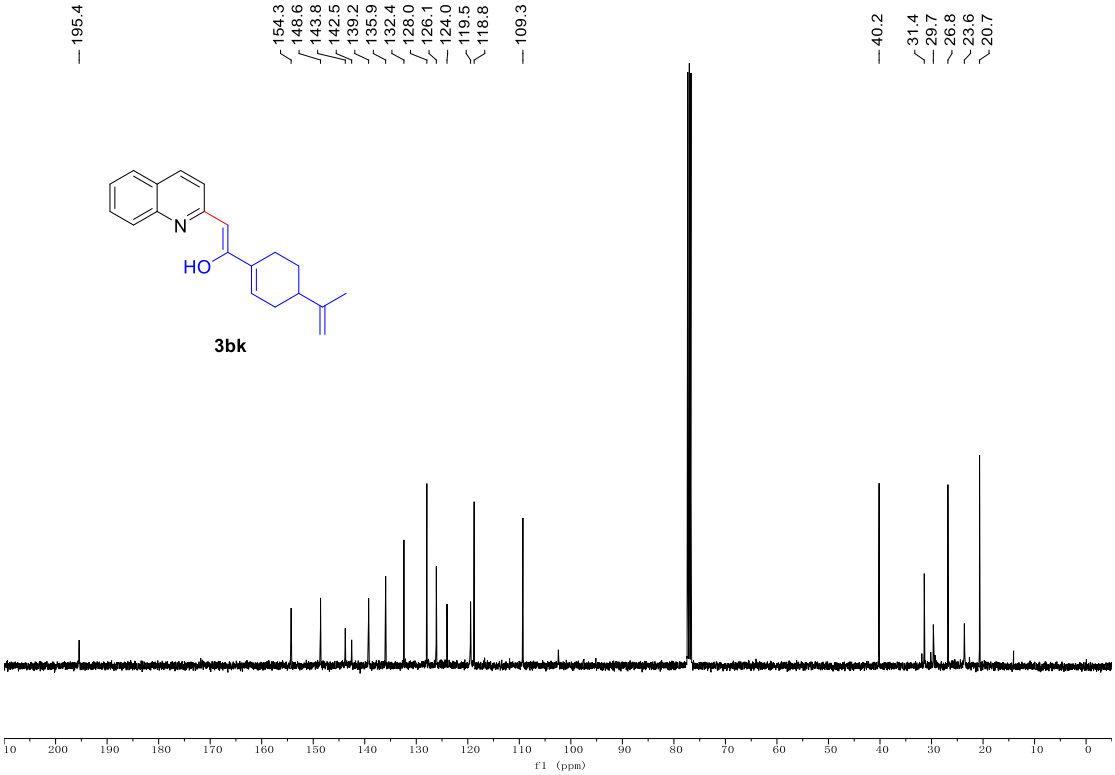
$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **3bj**:



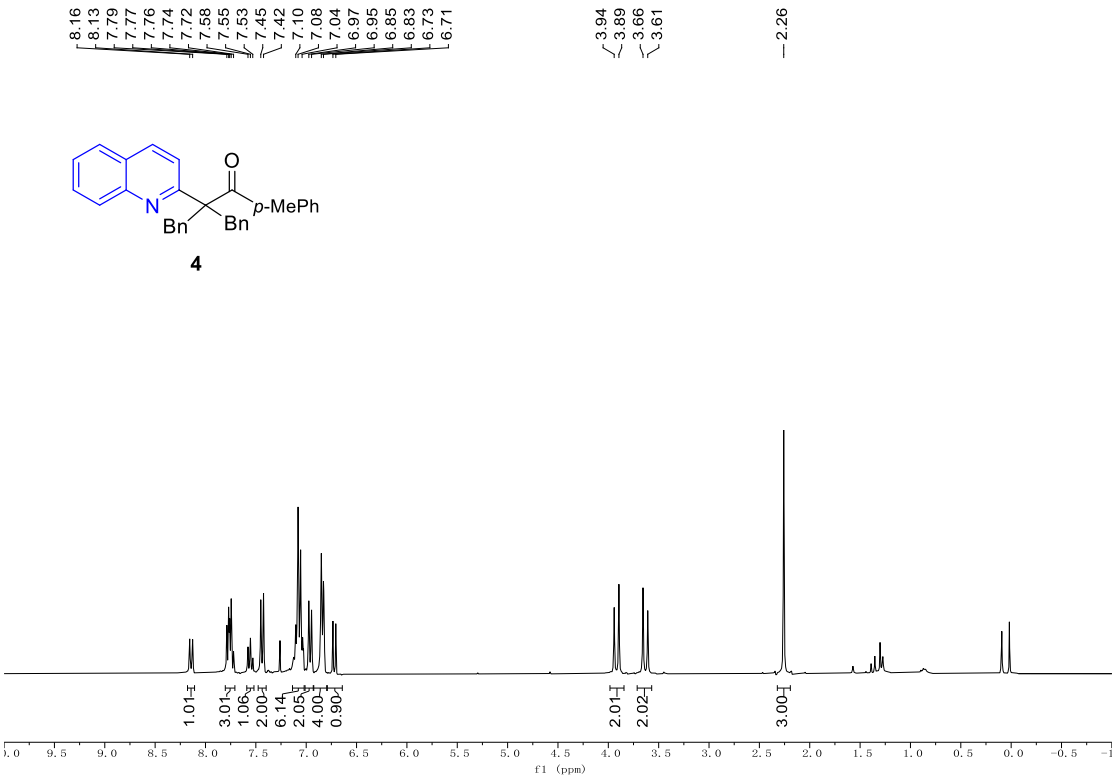
^{1}H NMR (400 MHz, $CDCl_3$) spectra copy of compound **3bk**:



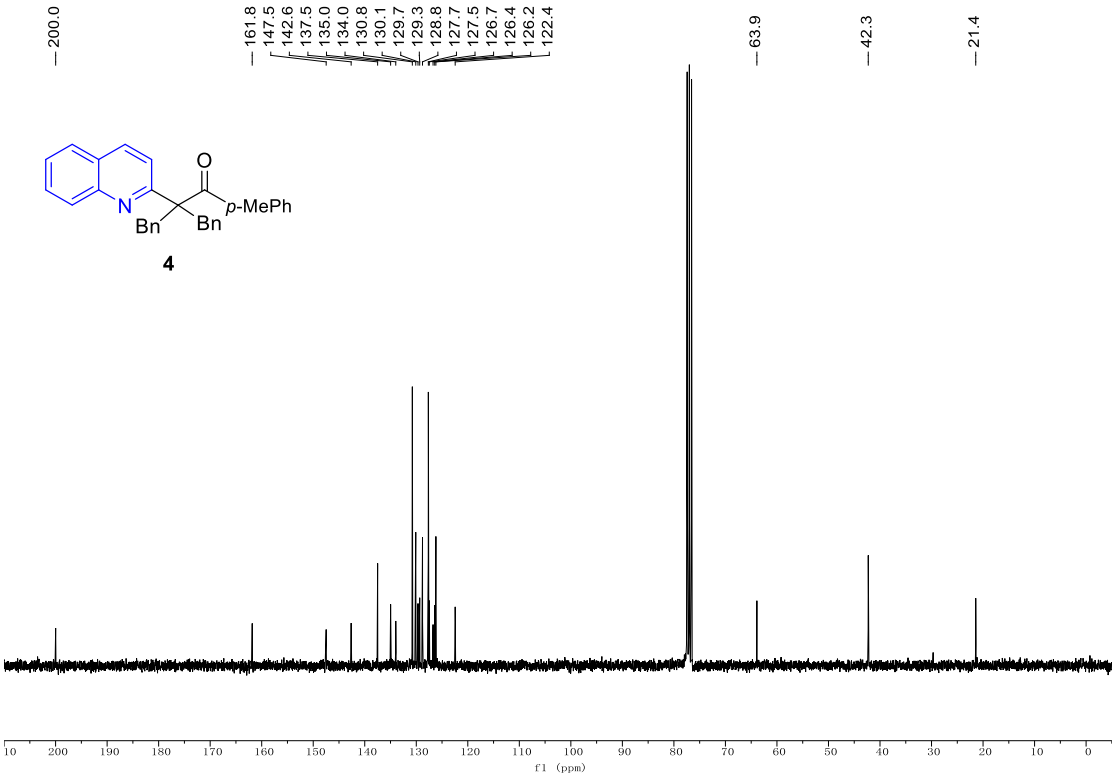
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra copy of compound **3bk**:



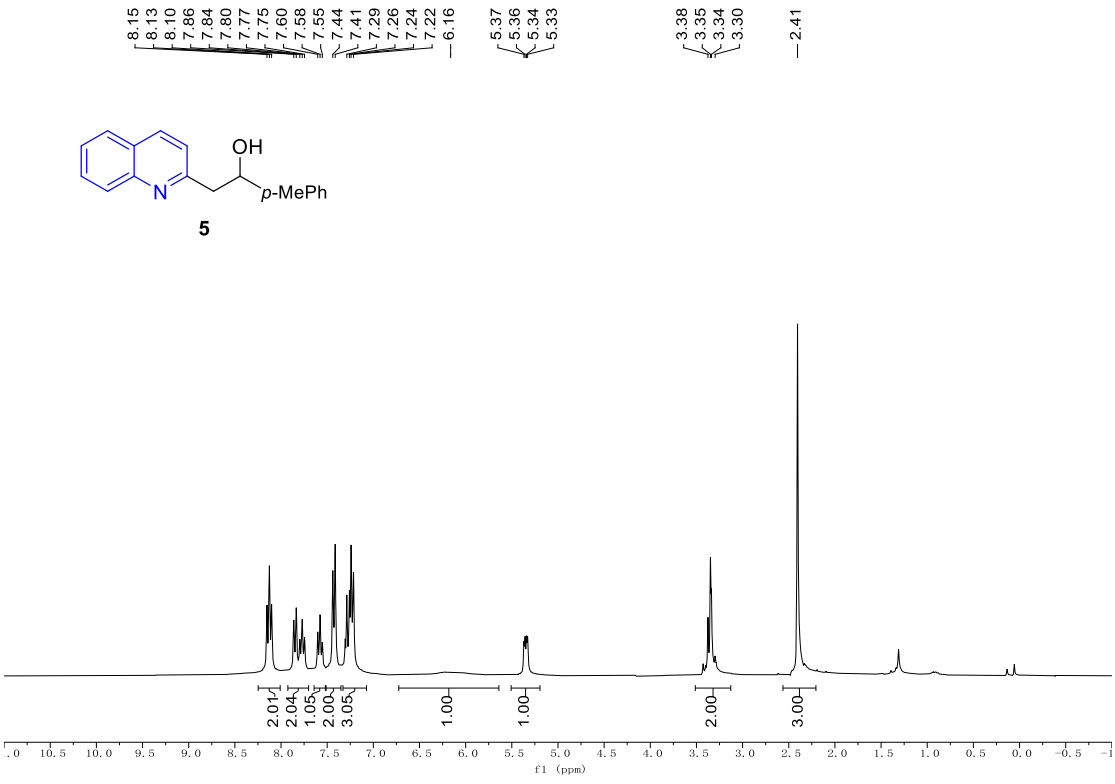
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **4**:



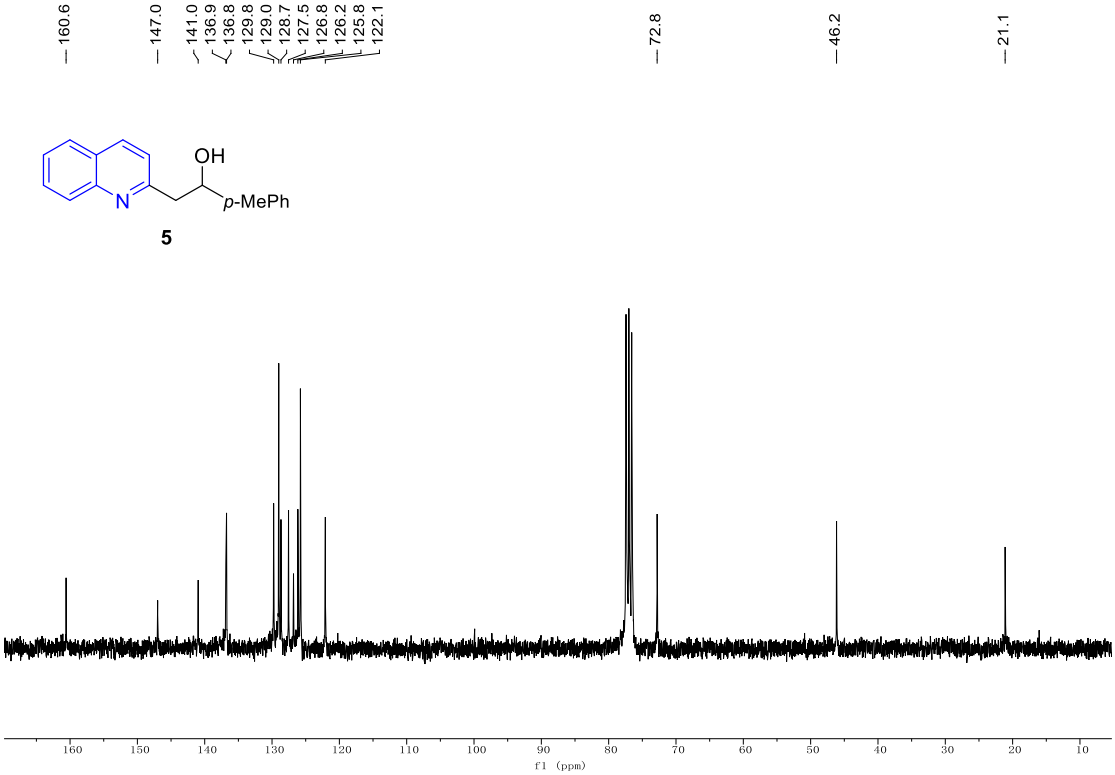
$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **4**:



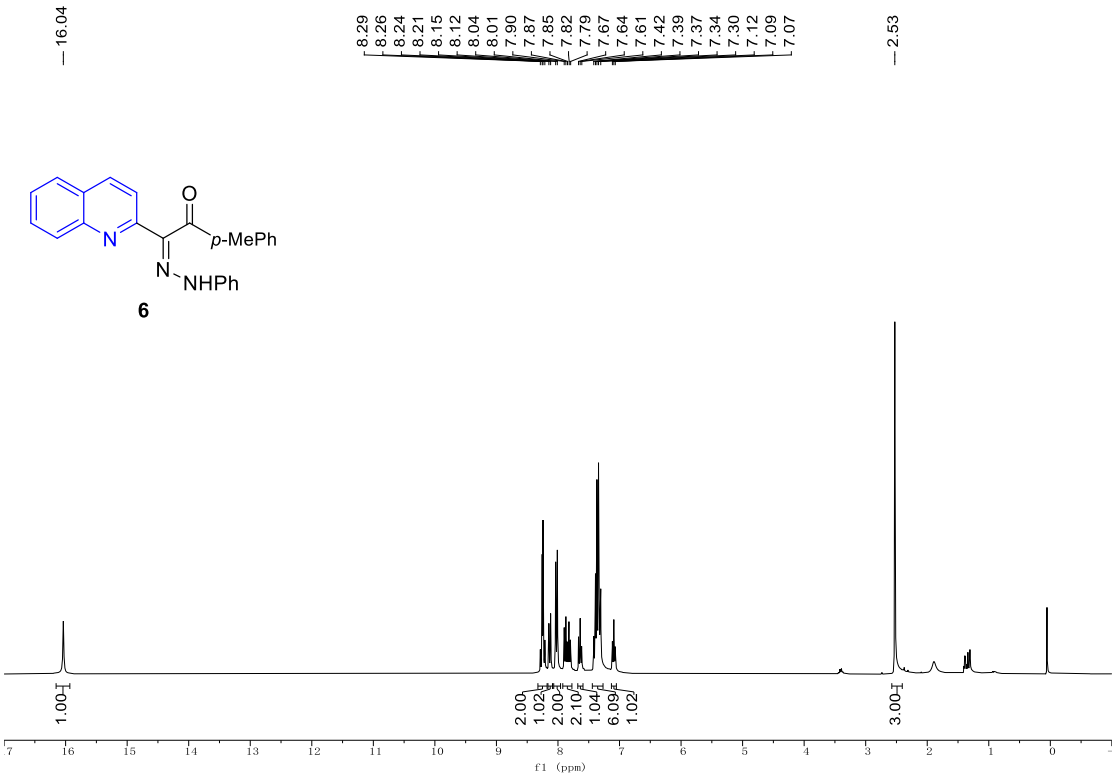
^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **5**:



$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **5**:



^{1}H NMR (300 MHz, $CDCl_3$) spectra copy of compound **6**:



$^{13}C\{^{1}H\}$ NMR (75 MHz, $CDCl_3$) spectra copy of compound **6**: