Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

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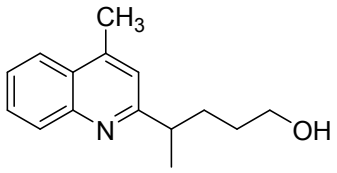
General methods

The reagents and solvents were purchased from commercial suppliers and used without further purification unless noted. All reactions were monitored by TLC with silica gel-coated plates. The oil bath was used for the reactions that require heating. ^{1}H (400 MHz) NMR and ^{13}C (101 MHz) NMR spectra were recorded on a Varian spectrometer in $CDCl_3$ or DMSO-d_6 using tetramethylsilane (TMS) as internal standards. Data are reported as follows: Chemical shift (number of protons, multiplicity, coupling constants). Coupling constants were quoted to the nearest 0.1 Hz and multiplicity

reported according to the following convention: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, dt = doublet of triplets, td = triplet of doublets, ddd = doublet of doublet of doublets, br s = broad singlet. Mass spectra were measured with a HRMS-APCI instrument using ESI ionization.

General procedure for the remote C(sp^3)-H bond heteroarylation. A mixture of Heteroarylation **1** (0.3 mmol, 1.0 equiv.), alcohol **2** (0.9 mmol, 3.0 equiv.), $AgNO_3$ (0.06mmol, 20 mol%), $K_2S_2O_8$ (0.6 mmol, 2.0 equiv.) in a 10 mL Schlenk tube was added the Acetone/H_2O （1 mL/ 1mL） under N_2 atmosphere. The mixture was stirred at 50℃ for 24 h. The reaction mixture was quenched by $NaHCO_3$ and then extracted with ethyl acetate (3 × 10 mL) . The combined organic extracts were washed by brine, dried over Na_2SO_4, filtered, concentrated under reduced pressure and purified by column chromatography (petroleum ether/ethyl acetate = 6/1 – 1/2, or methylene chloride/methanol = 30/1 – 20/1) on silica get to give the products **3a**-**3ai**.

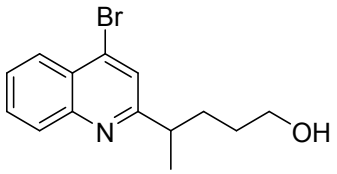
Characterization of the products



3a

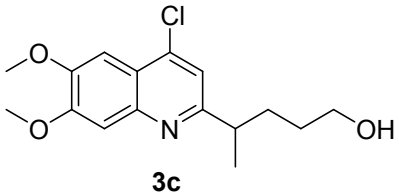
4-(4-Methylquinolin-2-yl)pentan-1-ol (**3a**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 54.3 mg, 79% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.13 (s, 1H), 3.65 – 3.56 (m, 2H), 3.26 (br s, 1H), 3.13 – 3.04 (m, 1H), 2.66 (s, 3H), 1.96 – 1.86 (m, 1H), 1.79 – 1.70 (m, 1H), 1.67 – 1.56 (m, 1H), 1.51 – 1.41 (m, 1H), 1.34 (d, *J* = 7.2 Hz, 3H).; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.3, 147.2, 144.8, 129.2, 129.2, 127.0, 125.6, 123.6, 120.2, 62.4, 42.2, 33.0, 30.7, 21.0, 18.9. **HRMS**: Calcd for $C_{15}H_{20}NO$

[M+H$^+$]: 230.1539, Found: 230.1532



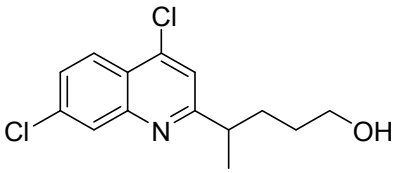
3b

4-(4-Bromoquinolin-2-yl)pentan-1-ol (**3b**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 53.8 mg, 61% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.12 (d, *J* = 8.4 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.70 (t, *J* = 7.2 Hz, 1H), 7.60 (s, 1H), 7.56 (t, *J* = 7.6 Hz, 1H), 3.66 – 3.57 (m, 2H), 3.13 – 3.04 (m, 1H), 2.60 (br s, 1H), 1.95 – 1.86 (m, 1H), 1.79 – 1.71 (m, 1H), 1.67 – 1.56 (m, 1H), 1.52 – 1.43 (m, 1H), 1.36 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.7, 148.2, 134.7, 130.5, 129.2, 127.2, 126.7, 126.6, 123.8, 62.6, 42.2, 33.0, 30.7, 20.9. **HRMS**: Calcd for $C_{14}H_{17}BrNO$ [M+H$^+$]: 294.0488, Found: 294.0478



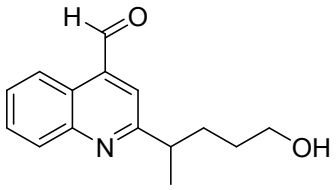
3c

4-(4-Chloro-6,7-dimethoxyquinolin-2-yl)pentan-1-ol (**3c**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 47.5 mg, 51% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.34 (s, 2H), 7.24 (s, 1H), 4.02 (d, *J* = 7.6 Hz, 6H), 3.66 – 3.56 (m, 2H), 3.07 – 2.99 (m, 1H), 2.43 (br s, 1H), 1.92 – 1.82 (m, 1H), 1.78 – 1.69 (m, 1H), 1.64 – 1.55 (m, 1H), 1.52 – 1.43 (m, 1H), 1.34 (d, *J* = 7.2Hz, 3H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 153.1, 150.2, 145.5, 141.0, 120.5, 118.0, 107.9, 101.8, 62.7, 56.4, 56.3, 42.1, 33.3, 30.8, 21.1. **HRMS**: Calcd. for $C_{16}H_{21}ClNO$ [M+H$^+$]: 310.1204, Found: 310.1196



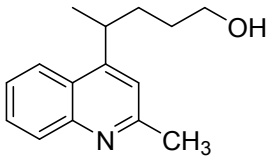
3d

4-(4,7-Dichloroquinolin-2-yl)pentan-1-ol (**3d**): petroleum ether/ethyl acetate = 6/1 as an eluent; yellow oil; 47.7 mg, 56% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.8 Hz, 1H), 8.05 (d, *J* = 2.0 Hz, 1H), 7.52 (dd, *J* = 8.9, 2.1 Hz, 1H), 7.38 (s, 1H), 3.67 – 3.58 (m, 2H), 3.12 – 3.04 (m, 1H), 2.11 (br s, 1H), 1.95 – 1.86 (m, 1H), 1.81 – 1.71 (m, 1H), 1.66 – 1.55 (m, 1H), 1.52 – 1.43 (m, 1H), 1.36 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 168.1, 149.0, 143.0, 136.5, 128.3, 127.9, 125.5, 123.8, 120.3, 62.7, 42.4, 32.9, 30.7, 20.9. **HRMS**: Calcd for $C_{14}H_{16}Cl_2NO$ [M+H$^+$]: 284.0603, Found: 284.0601



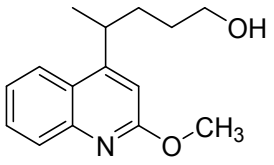
3e

2-(5-Hydroxypentan-2-yl)quinoline-4-carbaldehyde (**3e**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 30.7 mg, 42% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.49 (s, 1H), 8.93 (d, *J* = 8.4 Hz, 1H), 8.13 (d, *J* = 8.4 Hz, 1H), 7.76 (t, *J* = 7.8 Hz, 1H), 7.69 (s, 1H), 7.65 (t, *J* = 7.8 Hz, 1H), 3.68 – 3.59 (m, 2H), 3.28 – 3.19(m, 1H), 2.39 (br s, 1H), 2.03 – 1.92 (m, 1H), 1.87 – 1.78 (m, 1H), 1.69 – 1.58 (m, 1H), 1.54 – 1.46 (m, 1H), 1.43 (d, *J* = 6.8 Hz, 3H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.2, 166.9, 148.9, 137.6, 130.2, 129.5, 128.5, 125.1, 124.3, 122.8, 62.7, 42.4, 33.0, 30.7, 20.9. **HRMS**: Calcd for $C_{15}H_{18}NO_2$ [M+H$^+$]: 244.1332, Found: 244.1324



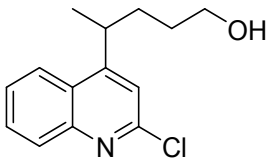
3f

4-(2-Methylquinolin-4-yl)pentan-1-ol (**3f**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 59.2 mg, 86% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.01 (dd, *J* = 8.4, 1.6 Hz, 2H), 7.62 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 7.46 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.14 (s, 1H), 3.63 (t, *J* = 6.6 Hz, 2H), 3.60 – 3.52 (m, 1H), 2.68 (s, 3H), 2.55 (br s, 1H), 1.90 – 1.71 (m, 2H), 1.66 – 1.46 (m, 2H), 1.35 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.7, 153.6, 148.1, 129.4, 129.1, 125.6, 122.9, 118.6, 62.6, 33.6, 33.3, 30.8, 25.4, 21.3. **HRMS**: Calcd for $C_{15}H_{20}NO$ [M+H$^+$]: 230.1539, Found: 230.1539



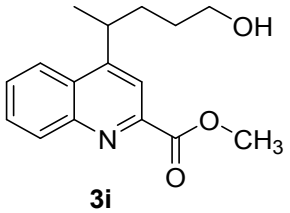
3g

4-(2-Methoxyquinolin-4-yl)pentan-1-ol (**3g**): petroleum ether/ethyl acetate = 4/1 as an eluent; yellow oil; 47.8 mg, 65% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.0 Hz, 1H), 7.88 (dd, *J* = 8.4, 0.8 Hz, 1H), 7.59 (ddd, *J* = 8.3, 6.9, 1.4 Hz, 1H), 7.37 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 6.79 (s, 1H), 4.06 (s, 3H), 3.58 (t, *J* = 6.4 Hz, 2H), 3.53 – 3.45 (m, 1H), 2.01 (br s, 1H), 1.88 – 1.79 (m, 1H), 1.76 – 1.67 (m, 1H), 1.63 – 1.48 (m, 2H), 1.34 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.7, 156.0, 147.3, 129.2, 128.3, 124.4, 123.9, 123.0, 109.3, 62.8, 53.3, 33.3, 33.3, 30.7, 21.2. **HRMS**: Calcd for $C_{15}H_{20}NO_2$ [M+H$^+$]: 246.1489, Found: 246.1440



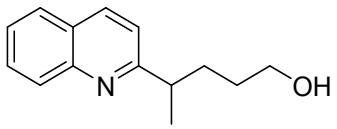
3h

4-(2-Chloroquinolin-4-yl)pentan-1-ol (**3h**): petroleum ether/ethyl acetate = 3/1 as an eluent; yellow oil; 42.7 mg, 57% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.03 (dd, *J* = 14.0, 8.4 Hz, 2H), 7.70 (t, *J* = 7.4 Hz, 1H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.27 (s, 1H), 3.64 (t, *J* = 6.4 Hz, 2H), 3.61 – 3.54 (m, 1H), 1.96 (br s, 1H), 1.91 – 1.73 (m, 2H), 1.68 – 1.48 (m, 2H), 1.38 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 157.2, 151.0, 148.3, 130.2, 129.5, 126.8, 126.0, 123.2, 118.9, 62.6, 33.6, 33.4, 30.6, 21.1. **HRMS**: Calcd for $C_{14}H_{17}ClNO$ [M+H$^+$]: 250.0993, Found: 250.0991



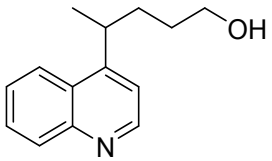
3i

Methyl 4-(5-hydroxypentan-2-yl)quinoline-2-carboxylate (**3i**): Petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 68.1 mg, 83% yield; **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.32 (d, *J* = 8.0 Hz, 1H), 8.17 (dd, *J* = 8.8, 1.2 Hz, 1H), 7.99 (s, 1H), 7.86 (ddd, *J* = 8.3, 6.8, 1.3 Hz, 1H), 7.76 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 4.39 (t, *J* = 5.2 Hz, 1H), 3.96 (s, 3H), 3.77 – 3.68 (m, 1H), 3.39 – 3.36 (m, 2H), 1.84 – 1.67 (m, 2H), 1.49 – 1.36 (m, 2H), 1.34 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 165.7, 155.3, 147.5, 147.3, 130.8, 130.2, 128.6, 127.7, 123.6, 117.0, 60.6, 52.6, 33.3, 32.7, 30.4, 21.0. **HRMS**: Calcd for $C_{16}H_{20}NO_3$ [M+H$^+$]: 274.1438, Found: 274.1436



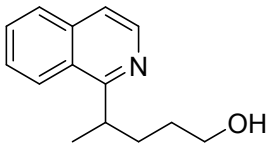
3j-o

4-(Quinolin-2-yl)pentan-1-ol (**3j-o**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 20.0 mg, 31% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.4 Hz, 1H), 8.04 (d, *J* = 8.8 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.70 – 7.65 (m, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.32 (d, *J* = 8.4 Hz, 1H), 3.66 – 3.56 (m, 2H), 3.20 – 3.11 (m, 1H), 2.34 (br s, 1H), 2.00 – 1.90 (m, 1H), 1.82 – 1.74 (m, 1H), 1.68 – 1.57 (m, 1H), 1.53 – 1.44 (m, 1H), 1.38 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.7, 147.6, 136.8, 129.6, 128.8, 127.6, 127.1, 126.0, 119.8, 62.7, 42.4, 33.1, 30.8, 21.1. **HRMS**: Calcd for $C_{14}H_{18}NO$ [M+H$^+$]: 216.1383, Found: 216.1378



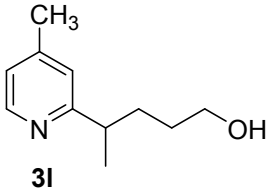
3j-p

4-(Quinolin-4-yl)pentan-1-ol (**3j-p**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 20.0mg, 31% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.79 (d, *J* = 4.8 Hz, 1H), 8.11 (t, *J* = 7.8 Hz, 2H), 7.69 (t, *J* = 7.8 Hz, 1H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 4.8 Hz, 1H), 3.67 – 3.58 (m, 3H), 3.09 (br s, 1H), 1.93 – 1.74 (m, 2H), 1.66 – 1.48 (m, 2H), 1.38 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 153.7, 150.3, 148.4, 130.4, 129.1, 127.4, 126.5, 123.1, 117.8, 62.8, 33.6, 33.4, 30.8, 21.4. **HRMS**: Calcd for $C_{14}H_{18}NO$ [M+H$^+$]: 216.1383, Found: 216.1378



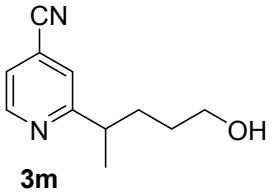
3k

4-(Isoquinolin-1-yl)pentan-1-ol (**3k**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 30.4 mg, 47% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 5.6 Hz, 1H), 8.21 (d, *J* = 8.4 Hz, 1H), 7.80 (d, *J* = 8.4 Hz, 1H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.48 (d, *J* = 5.6 Hz, 1H), 3.86 – 3.78 (m, 1H), 3.62 – 3.51 (m, 2H), 2.32 (br s, 1H), 2.20 – 2.11 (m, 1H), 1.86 – 1.77 (m, 1H), 1.69 – 1.58 (m, 1H), 1.53 – 1.44 (m, 1H), 1.40 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.6, 141.8, 136.6, 129.8, 127.7, 127.1, 126.9, 124.8, 119.2, 62.8, 36.2, 32.2, 31.1, 21.3. **HRMS**: Calcd for $C_{14}H_{18}NO$ [M+H$^+$]: 216.1383, Found: 216.1382



3l

4-(4-Methylpyridin-2-yl)pentan-1-ol (**3l**): methylene chloride/methanol = 30/1 as an eluent; yellow oil; 25.3 mg, 47% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 5.2 Hz, 1H), 6.94 (s, 1H), 6.91 – 6.89 (m, 1H), 3.57 (t, *J* = 6.4 Hz, 2H), 2.92 (br s, 1H), 2.88 – 2.81 (m, 1H), 2.30 (s, 3H), 1.83 – 1.74 (m, 1H), 1.66 – 1.57 (m, 1H), 1.56 – 1.48 (m, 1H), 1.46 – 1.36 (m, 1H), 1.25 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.0, 148.6, 147.8, 122.5, 122.4, 62.6, 41.3, 33.3, 30.7, 21.2, 20.9. **HRMS**: Calcd for $C_{11}H_{18}NO$ [M+H$^+$]: 180.1383, Found: 180.1376



3m

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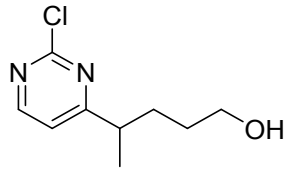
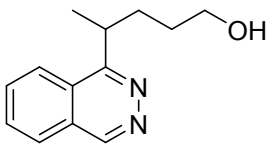
2-(5-Hydroxypentan-2-yl)isonicotinonitrile (**3m**): petroleum ether/ethyl acetate = 1/2 as an eluent; yellow oil; 26.3 mg, 46% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.70 (d, *J* = 5.2 Hz, 1H), 7.37 (s, 1H), 7.34 (dd, *J* = 5.2, 1.6 Hz, 1H), 3.61 (t, *J* = 6.6 Hz, 2H), 3.02 – 2.94 (m, 1H), 1.88 (br s, 1H), 1.85 – 1.77 (m, 1H), 1.73 – 1.64 (m, 1H), 1.60 – 1.49 (m, 1H), 1.46 – 1.35 (m, 1H), 1.30 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 168.1, 150.3, 123.5, 122.8, 120.8, 116.9, 62.7, 41.8, 33.0, 30.6, 20.7. **HRMS**: Calcd for $C_{11}H_{15}N_2O$ [M+H$^+$]: 191.1179, Found: 191.1169



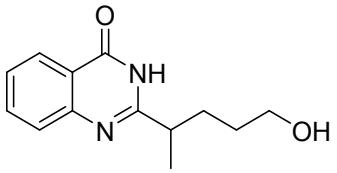
3n

4-(2-Chloropyrimidin-4-yl)pentan-1-ol (**3n**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 36.1 mg, 60% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.49 (d, *J* = 4.8 Hz, 1H), 7.11 (d, *J* = 5.2 Hz, 1H), 3.62 (t, *J* = 6.4 Hz, 2H), 2.91 – 2.83 (m, 1H), 1.86 – 1.77 (m, 2H), 1.71 – 1.61 (m, 1H), 1.60 – 1.51 (m, 1H), 1.49 – 1.38 (m, 1H), 1.29 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 178.8, 161.4, 159.4, 117.7, 62.6, 41.4, 32.4, 30.5, 20.0. **HRMS**: Calcd for $C_9H_{13}ClN_2NaO$ [M+Na$^+$]: 223.0609, Found: 223.0598



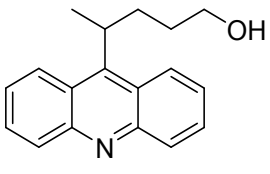
3o

4-(Phthalazin-1-yl)pentan-1-ol (**3o**): methylene chloride/methanol = 20/1 as an eluent; yellow oil; 34.4 mg, 53% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.36 (s, 1H), 8.17 (d, *J* = 8.0 Hz, 1H), 7.95 – 7.84 (m, 3H), 3.81 – 3.73 (m, 1H), 3.66 – 3.57 (m, 2H), 2.41 (br s, 1H), 2.30 – 2.20 (m, 1H), 1.93 – 1.84 (m, 1H), 1.71 – 1.60 (m, 1H), 1.58 – 1.51 (m, 1H), 1.48 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.6, 150.3, 132.6, 132.0, 127.3, 126.7, 125.5, 123.6, 62.8, 35.6, 32.1, 31.0, 20.9. **HRMS**: Calcd for $C_{13}H_{17}N_2O$ [M+H$^+$]: 217.1335, Found: 217.1327



3p

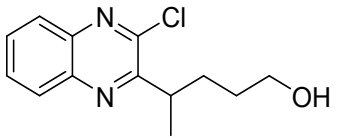
2-(5-Hydroxypentan-2-yl)quinazolin-4(3H)-one (**3p**): methylene chloride/methanol = 30/1 as an eluent; white solid, m.p. 116-117℃; 49.5 mg, 71% yield; **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.12 (s, 1H), 8.09 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77 (ddd, *J* = 8.5, 7.1, 1.6 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.46 (ddd, *J* = 8.1, 7.1, 1.2 Hz, 1H), 4.40 (t, *J* = 5.2 Hz, 1H), 3.37 – 3.36 (m, 2H), 2.80 – 2.72 (m, 1H), 1.84 – 1.75 (m, 1H), 1.62 – 1.53 (m, 1H), 1.49 – 1.31 (m, 3H), 1.25 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 162.0, 161.2, 149.0, 134.3, 127.0, 125.9, 125.7, 120.9, 60.6, 38.7, 31.1, 30.3, 18.7. **HRMS**: Calcd for $C_{13}H_{17}N_2O_2$ [M+H$^+$]: 233.1285, Found: 233.1273



3q

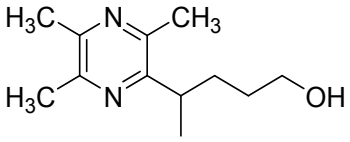
4-(4-Bromoquinolin-2-yl)pentan-1-ol (**3q**): petroleum ether/ethyl acetate = 2/1 as an

eluent; yellow solid, m.p. 156-157℃; 50.2 mg, 63% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 31.2 Hz, 2H), 8.21 (d, *J* = 8.8 Hz, 2H), 7.70 (t, *J* = 7.6 Hz, 2H), 7.47 (s, 2H), 4.37 – 4.28 (m, 1H), 3.56 (t, *J* = 6.4 Hz, 2H), 2.52 (br s, 1H), 2.34 – 2.19 (m, 2H), 1.71 (d, *J* = 7.6 Hz, 3H), 1.67 – 1.58 (m, 1H), 1.37 – 1.27 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 151.1, 149.0, 148.7, 130.8, 130.4, 129.6, 126.2, 126.0, 125.7, 125.5, 124.7, 124.6, 123.7, 62.6, 34.1, 33.7, 32.1, 21.4. **HRMS**: Calcd for $C_{18}H_{20}NO$ [M+H$^+$]: 266.1539, Found: 266.1531



3r

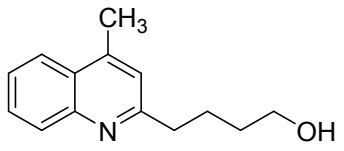
4-(3-Chloroquinoxalin-2-yl)pentan-1-ol (**3r**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 60.9 mg, 81% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.04 – 8.00 (m, 1H), 7.96 – 7.93 (m, 1H), 7.73 – 7.67 (m, 2H), 3.67 – 3.55 (m, 3H), 2.11 – 2.05 (m, H), 2.04 (br s 1H), 1.79 – 1.70 (m, 1H), 1.68 – 1.59 (m, 1H), 1.57 – 1.46 (m, 1H), 1.36 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.2, 147.6, 141.1, 140.7, 130.1, 130.1, 128.8, 128.1, 62.8, 37.5, 31.5, 30.7, 19.7. **HRMS**: Calcd for $C_{13}H_{16}ClN_2O$ [M+H$^+$]: 251.0946, Found: 251.0937



3s

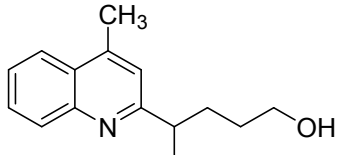
4-(3,5,6-Trimethylpyrazin-2-yl)pentan-1-ol (**3s**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 50.6 mg, 81% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 3.59 – 3.49 (m, 2H), 3.05 – 2.97 (m, 1H), 2.47 – 2.43 (m, 9H), 2.13 (br s, 1H), 1.94 – 1.84 (m, 1H), 1.67 – 1.50 (m, 2H), 1.41 – 1.31 (m, 1H), 1.19 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 155.2, 148.8, 147.8, 147.2, 62.7, 36.1, 31.7, 30.9, 21.6, 21.4, 20.9, 20.4.

HRMS: Calcd for $C_{12}H_{21}N_2O$ [M+H$^+$]: 209.1648, Found: 209.1641



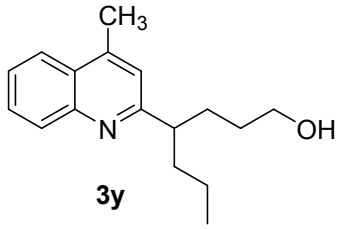
3w

4-(4-Methylquinolin-2-yl)butan-1-ol (**3w**): petroleum ether/ethyl acetate = 1/2 as an eluent; yellow oil; 39.4 mg, 61% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.4 Hz, 1H), 7.97 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.69 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.53 (ddd, *J* = 8.2, 6.9, 1.3 Hz, 1H), 7.17 (s, 1H), 3.72 (t, *J* = 6.2 Hz, 2H), 3.01 (t, *J* = 7.4 Hz, 2H), 2.70 (s, 3H), 2.60 (br s, 1H), 2.00 – 1.93 (m, 2H), 1.75 – 1.69 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.3, 147.4, 144.8, 129.4, 129.1, 126.9, 125.8, 123.7, 122.4, 62.3, 38.1, 32.4, 25.6, 18.8. **HRMS**: Calcd for $C_{14}H_{18}NO$ [M+H$^+$]: 216.1383, Found: 216.1372



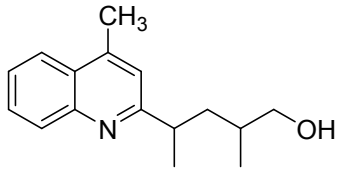
3x

4-(4-Methylquinolin-2-yl)hexan-1-ol (**3x**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 53.3 mg, 73% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 8.4 Hz, 1H), 7.93 (d, *J* = 8.4 Hz, 1H),, 7.67 – 7.63 (m, 1H), 7.51 – 7.47 (m, 1H), 7.11 (s, 1H), 3.62 – 3.53 (m, 2H), 2.95 (br s, 1H), 2.90 – 2.83 (m, 1H), 2.67 (s, 3H), 1.89 – 1.68 (m, 4H), 1.60 – 1.49 (m, 1H), 1.45 – 1.33 (m, 1H), 0.82 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.3, 147.4, 144.7, 129.3, 129.2, 127.1, 125.7, 123.7, 120.8, 62.7, 49.8, 31.5, 30.8, 28.8, 19.0, 12.3. **HRMS**: Calcd for $C_{16}H_{22}NO$ [M+H$^+$]: 244.1696, Found: 244.1687



3y

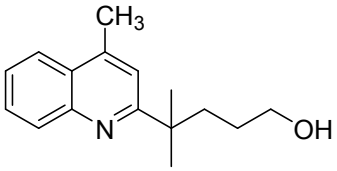
4-(4-Methylquinolin-2-yl)heptan-1-ol (**3y**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 59.5 mg, 77% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 8.8 Hz, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.11 (s, 1H), 3.63 – 3.53 (m, 2H), 3.00 – 2.92 (m, 1H), 2.74 (br s, 1H), 2.67 (s, 3H), 1.91 – 1.80 (m, 2H), 1.78 – 1.64 (m, 2H), 1.60 – 1.50 (m, 1H), 1.45 – 1.33 (m, 1H), 1.31 – 1.23 (m, 1H), 1.22 – 1.09 (m, 1H), 0.85 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.6, 147.4, 144.6, 129.4, 129.2, 127.1, 125.6, 123.7, 120.8, 62.8, 48.0, 38.2, 31.8, 30.8, 20.9, 19.0, 14.3. **HRMS**: Calcd for $C_{17}H_{24}NO$ [M+H$^+$]: 258.1852, Found: 258.1841



3z

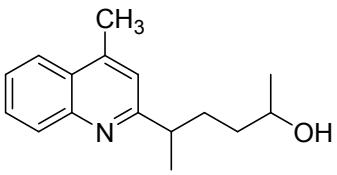
2-Methyl-4-(4-methylquinolin-2-yl)pentan-1-ol (**3z**): (*d.r.* = 1:1), petroleum ether/ethyl acetate = 3/1 as an eluent; yellow oil; 65.0 mg, 89% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 8.4 Hz, 2H), 7.94 (d, *J* = 8.0 Hz, 2H, two isomers), 7.69 – 7.64 (m, 2H, two isomers), 7.53 – 7.48 (m, 2H, two isomers), 7.17 (d, *J* = 8.0 Hz, 2H, two isomers), 3.52 – 3.42 (m, 2H, two isomers), 3.39 (d, *J* = 5.6 Hz, 2H, two isomers), 3.35 – 3.26 (m, 1H, one isomer), 3.23 – 3.14 (m, 1H, one isomer), 2.84 (br s, 2H, two isomers), 2.69 (s, 3H, one isomer), 2.68 (s, 3H, one isomer), 2.25 – 2.18 (m, 1H, one isomer), 1.82 – 1.74 (m, 2H, two isomers), 1.72 – 1.64 (m, 1H, one isomer), 1.58 – 1.50

(m, 1H, one isomer), 1.44 – 1.38 (m, 1H, one isomer), 1.35 (t, *J* = 6.8 Hz, 6H, two isomers), 0.97 (d, *J* = 6.8 Hz, 3H, one isomer), 0.87 (d, *J* = 6.4 Hz, 3H, one isomer). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.6 & 166.2 (two isomers), 147.3 & 147.1 (two isomers), 145.2 &145.0 (two isomers), 129.4 & 129.4 (two isomers), 129.3 & 129.2 (two isomers), 127.1 & 127.1 (two isomers), 125.8 (two isomers), 123.7 (two isomers), 121.1 & 120.2 (two isomers), 68.4 & 67.8 (two isomers), 41.3 & 40.4 (two isomers), 39.6 & 39.6 (two isomers), 34.6 & 33.7 (two isomers), 22.7 & 21.2 (two isomers), 19.0 & 19.0 (two isomers), 17.7 & 17.6 (two isomers). **HRMS**: Calcd for $C_{16}H_{22}NO$ [M+H$^+$]: 244.1696, Found: 244.1688



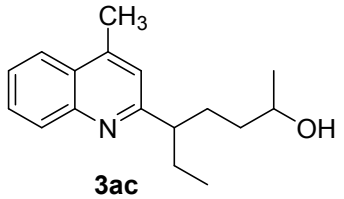
3aa

4-Methyl-4-(4-methylquinolin-2-yl)pentan-1-ol (**3aa**): petroleum ether/ethyl acetate = 5/1 as an eluent; yellow oil; 47.5 mg, 65% yield; **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.05 (d, *J* = 8.4 Hz, 1H), 7.93 (dd, *J* = 8.4, 0.8 Hz, 1H), 7.66 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.50 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.32 (s, 1H), 3.55 (t, *J* = 6.2 Hz, 2H), 2.69 (s, 3H), 2.52 (br s, 1H), 2.00 – 1.95 (m, 2H), 1.53 – 1.46 (m, 2H), 1.43 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 167.8, 147.1, 144.3, 129.6, 129.1, 126.6, 125.7, 123.5, 119.6, 63.1, 40.8, 37.9, 28.6, 28.3, 19.1. **HRMS**: Calcd for $C_{16}H_{22}NO$ [M+H$^+$]: 244.1696, Found: 244.1691



3ab

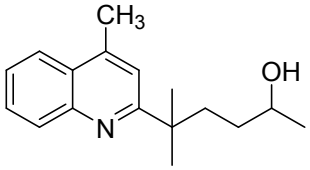
5-(4-Methylquinolin-2-yl)hexan-2-ol (**3ab**): (*d.r.* = 1:1), petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 60.6 mg, 83% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 8.8 Hz, 2H, two isomers), 7.94 (d, *J* = 8.4 Hz, 2H, two isomers), 7.69 – 7.64 (m, 2H, two isomers), 7.53 – 7.48 (m, two isomers), 7.15 (d, *J* = 2.8 Hz, 2H, two isomers), 3.85 – 3.77 (m, 1H, one isomer), 3.76 – 3.68 (m, 1H, one isomer), 3.14 – 3.04 (m, 2H, two isomers), 2.68 (d, *J* = 0.8 Hz, 6H, two isomers), 2.31 (br s, 2H, two isomers), 2.03 – 1.91 (m, 2H, two isomers), 1.84 – 1.71 (m, 2H, two isomers), 1.57 – 1.47 (m, 2H, two isomers), 1.42 – 1.38 (m, 1H, one isomer), 1.37 (s, 3H, one isomer), 1.35 (s, 3H, one isomer), 1.33 – 1.28 (m, 1H, one isomer), 1.14 (d, *J* = 3.2 Hz, 3H, one isomer), 1.13 (d, *J* = 3.2 Hz, 3H, one isomer). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.3 & 166.3 (two isomers), 147.4 & 147.3(two isomers), 144.9 & 144.8 (two isomers), 129.4 & 129.4 (two isomers), 129.3 & 129.2 (two isomers), 127.2 (two isomers), 125.8 & 125.7 (two isomers), 123.7 (two isomers), 120.6 & 120.5 (two isomers), 68.2 & 67.7(two isomers), 42.6 & 42.4 (two isomers), 37.4& 37.2 (two isomers), 32.7 & 32.6 (two isomers), 23.7 & 23.6 (two isomers), 21.4 & 21.3 (two isomers), 19.0 (two isomers). **HRMS**: Calcd for $C_{16}H_{22}NO$ [M+H$^+$]: 244.1696, Found: 244.1686



3ac

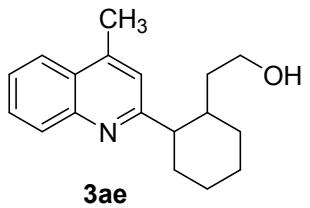
5-(4-Methylquinolin-2-yl)heptan-2-ol (**3ac**): (*d.r.* = 1:1), petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 54.8 mg, 71% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.4 Hz, 2H, two isomers), 7.94 (d, *J* = 8.4 Hz, 2H, two isomers), 7.66 (t, *J* = 7.6 Hz, 2H, two isomers), 7.50 (t, *J* = 7.6 Hz, 2H, two isomers), 7.11 (s, 2H, two isomers), 3.81 – 3.76 (m, 1H, one isomer), 3.71 – 3.66 (m, 1H, one isomer), 2.89 – 2.82 (m, 2H, two isomers), 2.68 (s, 6H, two isomers), 2.49 (br s, 2H, two isomers), 1.93 –

1.74 (m, 8H, two isomers), 1.50 – 1.41 (m, 2H, two isomers), 1.35 – 1.28 (m, 2H, two isomers), 1.13 – 1.09 (m, 6H, two isomers), 0.83 (t, J = 7.6 Hz, 6H, two isomers). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.4 & 165.3 (two isomers), 147.5 & 147.4 (two isomers), 144.6 & 144.5 (two isomers), 129.5 & 129.5 (two isomers), 129.2 & 129.1 (two isomers), 127.2 (two isomers), 125.7 & 125.7 (two isomers), 123.7 & 123.7 (two isomers), 121.0 (two isomers), 68.2 & 67.8 (two isomers), 50.1 & 49.9 (two isomers), 37.4 & 37.2 (two isomers), 31.1 & 31.0 (two isomers), 29.0 & 29.0 (two isomers), 23.6 & 23.6 (two isomers), 19.0 (two isomers), 12.3 & 12.2 (two isomers). **HRMS**: Calcd for $C_{17}H_{24}NO$ [M+H$^+$]: 258.1852, Found: 258.1842



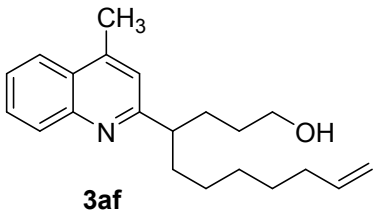
3ad

5-Methyl-5-(4-methylquinolin-2-yl)hexan-2-ol (**3ad**): petroleum ether/ethyl acetate = 8/1 as an eluent; yellow oil; 61.8 mg, 80% yield; **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.02 (d, J = 8.4 Hz, 1H), 7.93 (d, J = 8.4 Hz, 1H), 7.69 (t, J = 7.4 Hz, 1H), 7.55 (t, J = 7.6 Hz, 1H), 7.47 (s, 1H), 4.25 (br s, 1H), 3.48 – 3.44 (m, 1H), 2.67 (s, 3H), 1.90 – 1.82 (m, 1H), 1.72 – 1.65 (m, 1H), 1.37 (s, 6H), 1.13 – 1.01 (m, 2H), 0.96 (d, J = 6.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 167.8, 147.0, 144.4, 129.5, 129.2, 126.7, 125.8, 123.6, 119.8, 68.1, 40.9, 37.2, 34.7, 28.9, 28.6, 23.5, 19.2. **HRMS**: Calcd for $C_{17}H_{24}NO$ [M+H$^+$]: 258.1852, Found: 258.1844



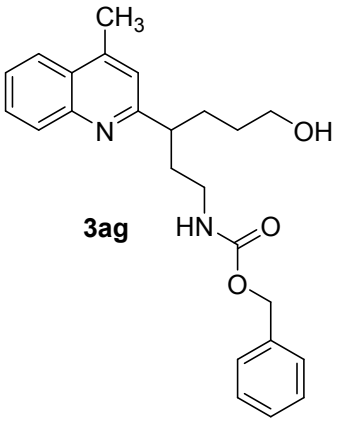
3ae

2-(2-(4-Methylquinolin-2-yl)cyclohexyl)ethan-1-ol (**3ae**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 68.7 mg, 85% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.03 (d, *J* = 8.4 Hz, 1H), 7.94 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.67 (ddd, *J* = 8.4, 6.8, 1.5 Hz, 1H), 7.51 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.15 (s, 1H), 3.57 – 3.47 (m, 2H), 2.73 – 2.69 (m, 1H), 2.68 (s, 3H), 2.52 (br s, 1H), 2.15 – 2.06 (m, 1H), 1.99 – 1.93 (m, 2H), 1.85 – 1.82 (m, 2H), 1.57 – 1.47 (m, 1H), 1.46 – 1.31 (m, 4H), 1.24 – 1.17 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.7, 147.2, 145.1, 129.5, 129.1, 127.1, 125.8, 123.8, 121.6, 60.7, 52.6, 37.8, 37.5, 35.0, 33.0, 26.7, 26.4, 19.0. **HRMS**: Calcd for $C_{18}H_{24}NO$ [M+H$^+$]: 270.1852, Found: 270.1845

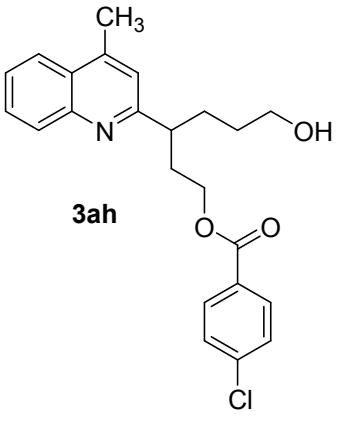


3af

4-(4-Methylquinolin-2-yl)undec-10-en-1-ol (**3af**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 33.6 mg, 36% yield;**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.4 Hz, 1H), 7.95 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.67 (ddd, *J* = 8.4, 6.8, 1.5 Hz, 1H), 7.51 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.11 (s, 1H), 5.80 – 5.70 (m, 1H), 4.96 – 4.86 (m, 2H), 3.65 – 3.53 (m, 2H), 3.00 – 2.92 (m, 1H), 2.69 (s, 3H), 2.30 (br s, 1H), 2.00 – 1.94 (m, 2H), 1.90 – 1.80 (m, 2H), 1.79 – 1.67 (m, 2H), 1.58 – 1.51 (m, 1H), 1.44 – 1.37 (m, 1H), 1.33 – 1.27 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.5, 147.4, 144.7, 139.2, 129.4, 129.2, 127.2, 125.7, 123.7, 120.8, 114.3, 62.9, 48.2, 35.9, 33.8, 31.9, 30.8, 29.4, 28.9, 27.6, 19.0. **HRMS**: Calcd for $C_{21}H_{30}NO$ [M+H$^+$]: 312.2322, Found: 312.2309

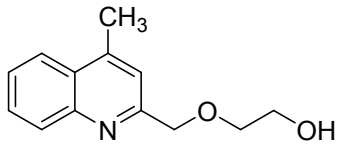


Benzyl (6-hydroxy-3-(4-methylquinolin-2-yl)hexyl)carbamate (**3ag**): petroleum ether/ethyl acetate = 1/1 as an eluent; yellow oil; 69.5 mg, 59% yield; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.03 (t, *J* = 8.4 Hz, 1H), 7.94 (t, *J* = 8.2 Hz, 1H)., 7.69 – 7.63 (m, 1H), 7.55 – 7.49 (m, 1H), 7.37 – 7.247 (m, 5H), 7.12 (d, *J* = 7.6 Hz, 1H), 5.40 (s, 1H), 5.04 (d, *J* = 7.6 Hz, 2H), 3.62 – 3.55 (m, 2H), 3.25 – 3.18 (m, 1H), 3.07 – 2.96 (m, 2H), 2.66 (s, 3H), 2.40 (br s, 1H), 2.02 – 1.96 (m, 2H), 1.90 – 1.82 (m, 2H), 1.58 – 1.49 (m, 1H) 1.46 – 1.37 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 156.6, 147.4, 145.2, 136.8, 129.4, 129.3, 128.6, 128.1, 127.2, 126.0, 123.8, 120.8, 66.6, 62.5, 45.5, 39.2, 35.5, 31.5, 30.6, 19.0. **HRMS**: Calcd for $C_{24}H_{29}N_2O_3$ [M+H$^+$]: 393.2173, Found: 393.2166



6-Hydroxy-3-(4-methylquinolin-2-yl)hexyl 4-chlorobenzoate (**3ah**): petroleum ether/ethyl acetate = 2/1 as an eluent; yellow oil; 59.7 mg, 50% yield; **^{1}H NMR** (400

MHz, $CDCl_3$) δ 8.02 – 8.00 (m, 1H), 7.89 – 7.87 (m, 1H), 7.69 – 7.65 (m, 3H), 7.52 – 7.48 (m, 1H), 7.23 – 7.19 (m, 2H), 7.10 (s, 1H), 4.28 (t, *J* = 6.4 Hz, 2H), 3.63 – 3.53 (m, 2H), 3.17 – 3.10 (m, 1H), 2.60 (s, 3H), 2.43 – 2.38 (m, 1H), 2.36 – 2.34 (m, 1H), 2.22 – 2.13 (m, 1H), 2.01 – 1.92 (m, 1H), 1.91 – 1.82 (m, 1H), 1.62 – 1.52 (m, 1H), 1.47 – 1.36 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.7, 164.0, 147.7, 144.9, 139.2, 130.9, 129.5, 129.3, 128.6, 128.6, 127.2, 125.8, 123.7, 121.2, 64.1, 62.7, 45.6, 34.4, 32.1, 30.6, 18.9. **HRMS**: Calcd for $C_{23}H_{25}ClNO_3$ [M+H$^+$]: 398.1517, Found: 398.1517

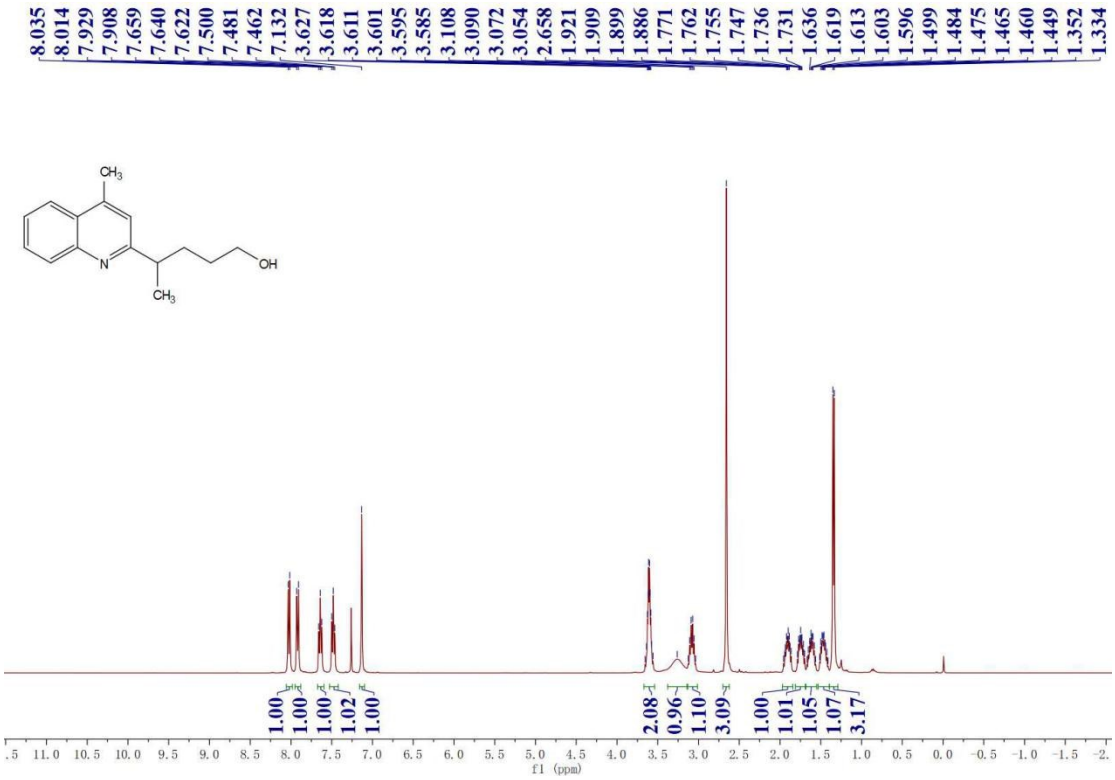


3ai

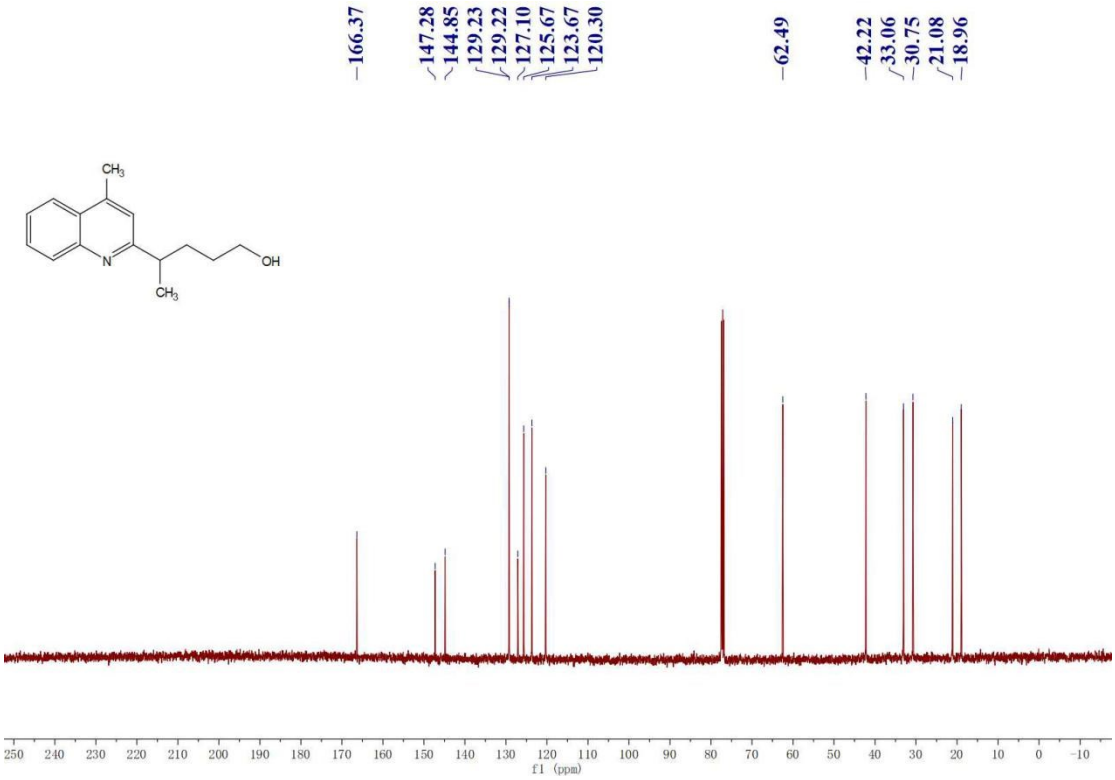
2-((4-Methylquinolin-2-yl)methoxy)ethan-1-ol (**3ai**): methylene chloride/methanol = 30/1 as an eluent; yellow oil; 29.3 mg, 45% yield;**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.4 Hz, 1H), 7.96 – 7.94 (m, 1H), 7.68 (ddd, *J* = 8.4, 6.8, 1.5 Hz, 1H), 7.53 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.27 (s, 1H), 4.82 (s, 2H), 3.84 – 3.82 (m, 2H), 3.79 – 3.76 (m, 2H), 3.45 (br s, 1H), 2.68 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.4, 147.2, 145.5, 129.6, 129.3, 127.6, 126.4, 123.8, 120.0, 73.9, 73.2, 61.9, 18.9. **HRMS**: Calcd for $C_{13}H_{16}NO_2$ [M+H$^+$]: 218.1176, Found: 218.1165

NMR spectra

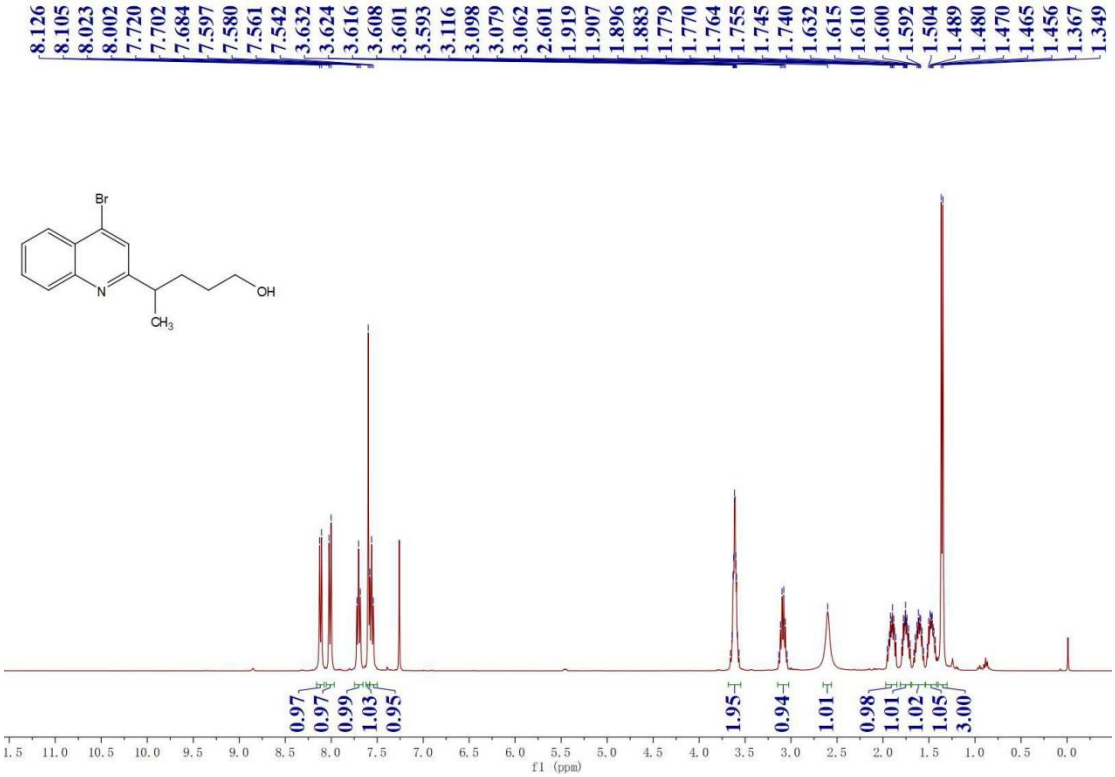
^{1}H NMR of 3a



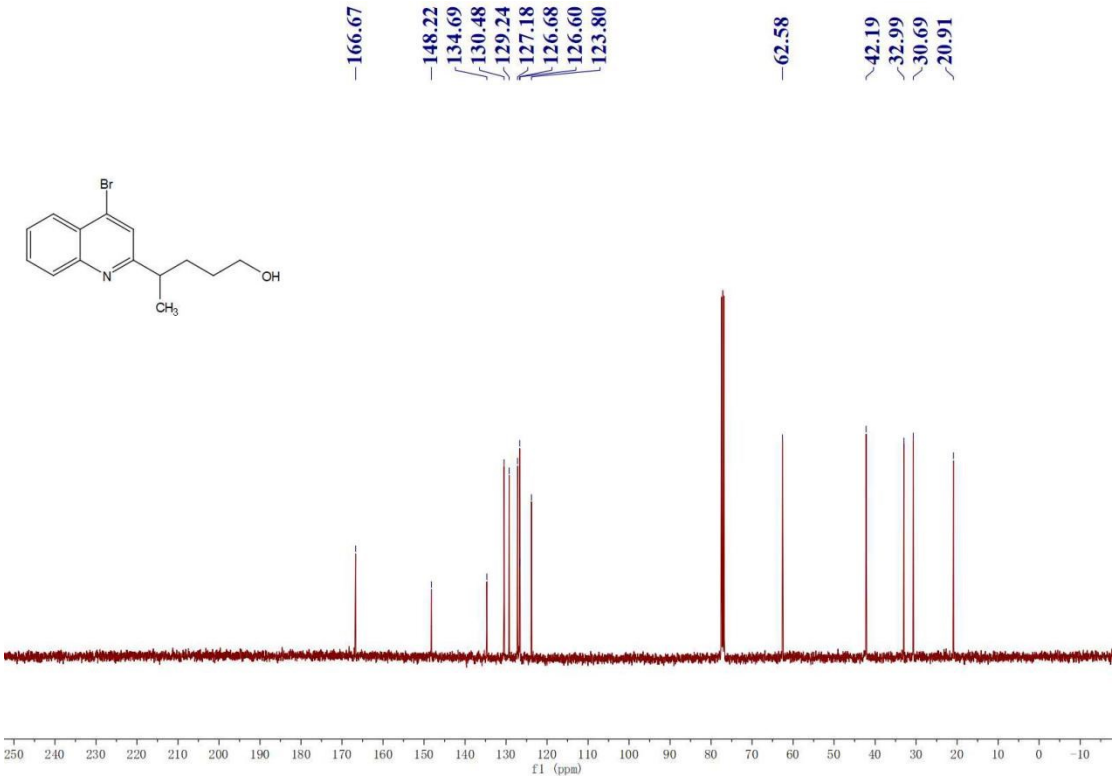
^{13}C NMR of 3a


166.37
147.28
144.85
129.23
129.22
127.10
125.67
123.67
120.30
62.49
42.22
33.06
30.75
21.08
18.96
CH3
N
OH
CH3
f1 (ppm)

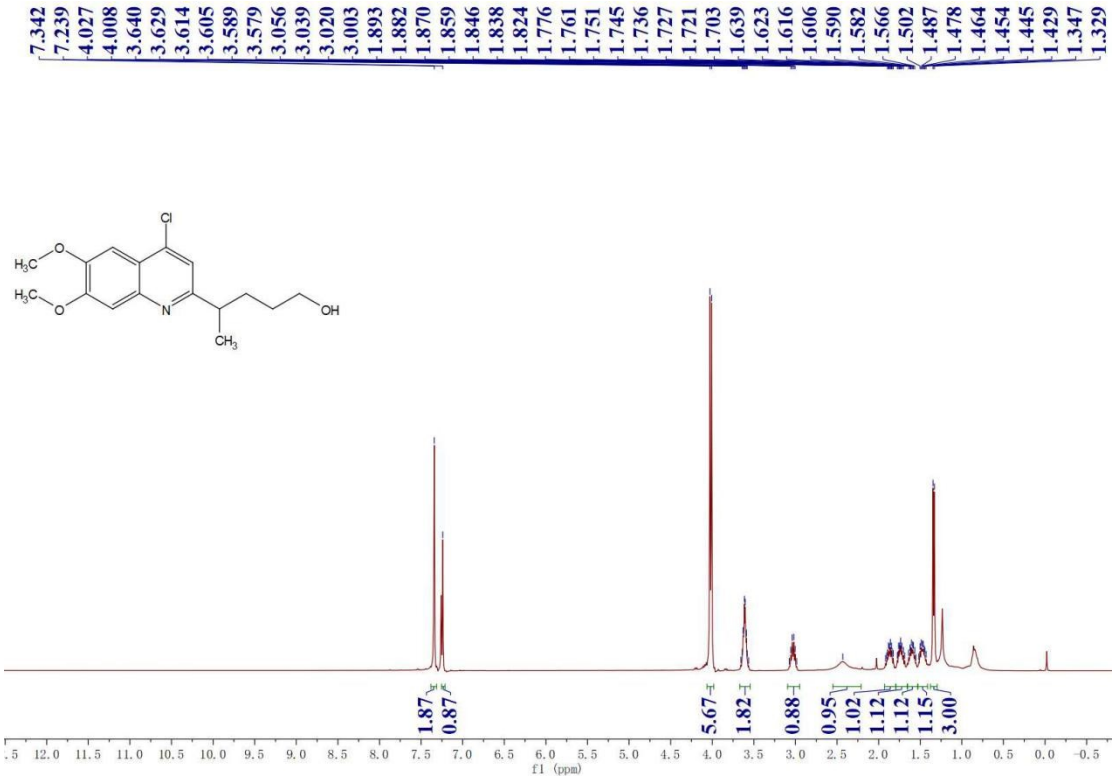
^{1}H NMR of 3b


8.126
8.105
8.023
8.002
7.720
7.702
7.684
7.597
7.580
7.561
7.542
3.632
3.624
3.616
3.608
3.601
3.593
3.116
3.098
3.079
3.062
2.601
1.919
1.907
1.896
1.883
1.779
1.770
1.764
1.755
1.745
1.740
1.632
1.615
1.610
1.600
1.592
1.504
1.489
1.480
1.470
1.465
1.456
1.367
1.349
Br
N
OH
CH3
0.97
0.97
0.99
1.03
0.95
1.95
0.94
1.01
0.98
1.01
1.02
1.05
3.00
f1 (ppm)

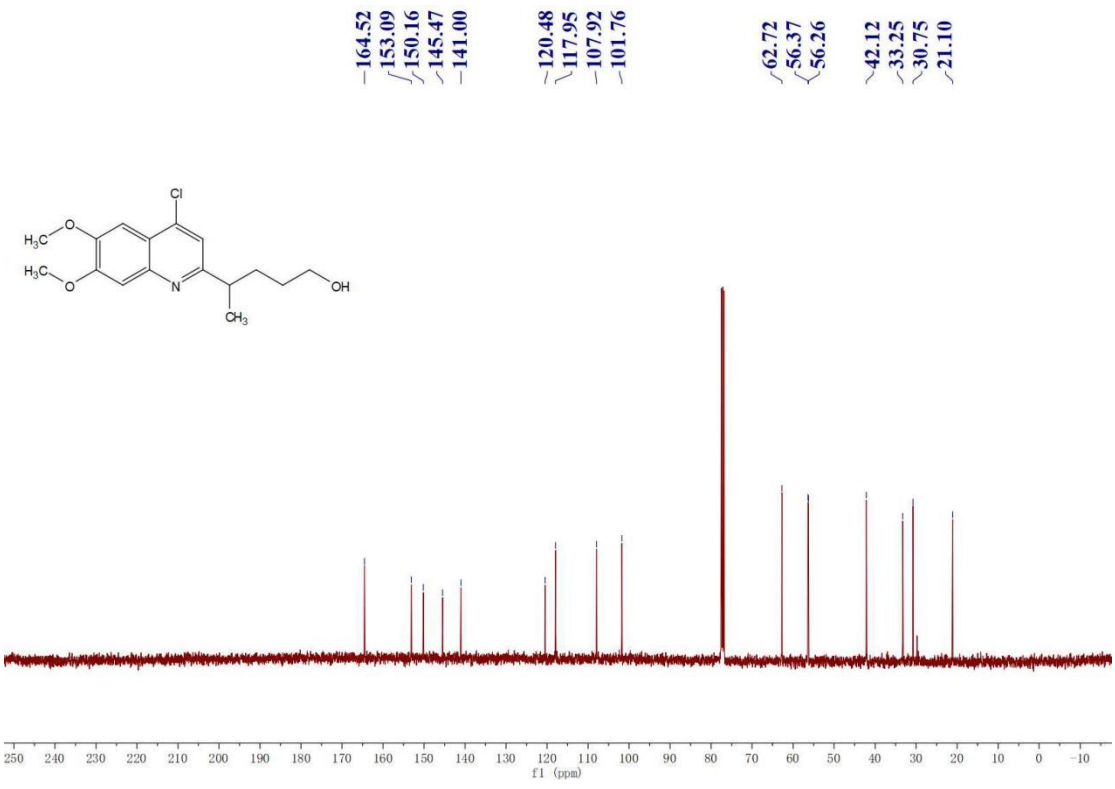
^{13}C NMR of 3b



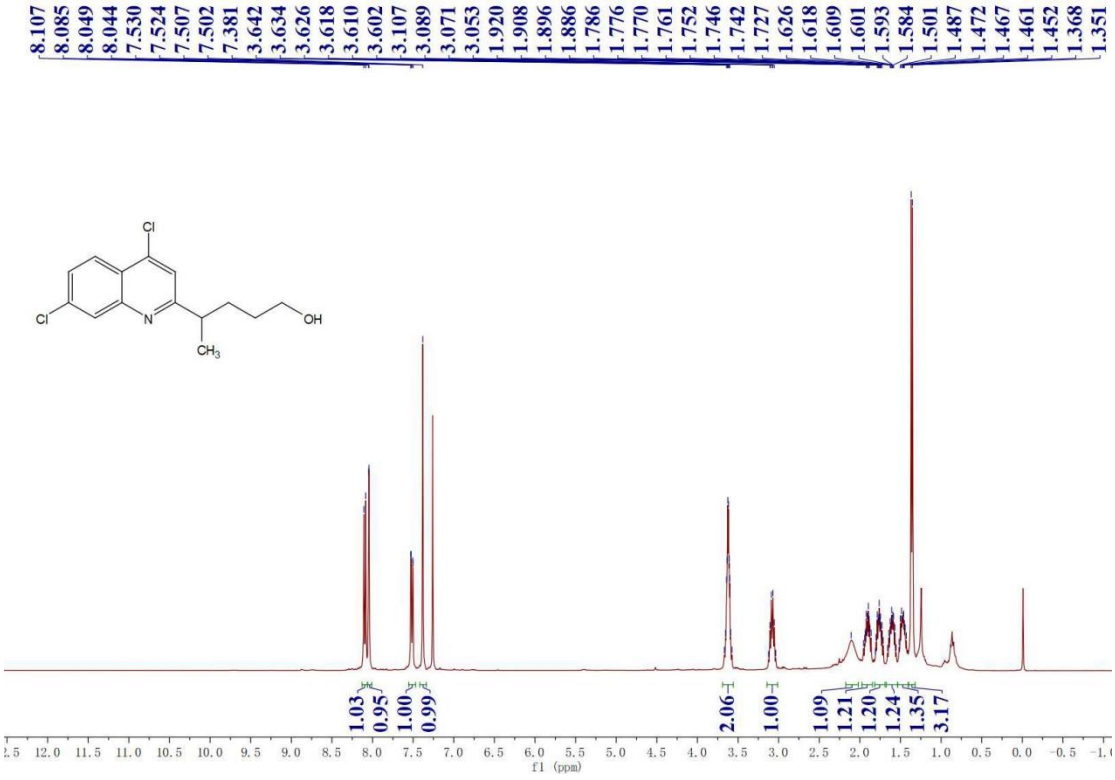
^{1}H NMR of 3c


7.342
7.239
4.027
4.008
3.640
3.629
3.614
3.605
3.589
3.579
3.056
3.039
3.020
3.003
1.893
1.882
1.870
1.859
1.846
1.838
1.824
1.776
1.761
1.751
1.745
1.736
1.727
1.721
1.703
1.639
1.623
1.616
1.606
1.590
1.582
1.566
1.502
1.487
1.478
1.464
1.454
1.445
1.429
1.347
1.329
Cl
O
H3C
H3C
O
N
OH
CH3
1.87
0.87
5.67
1.82
0.88
0.95
1.02
1.12
1.12
1.15
3.00
f1 (ppm)

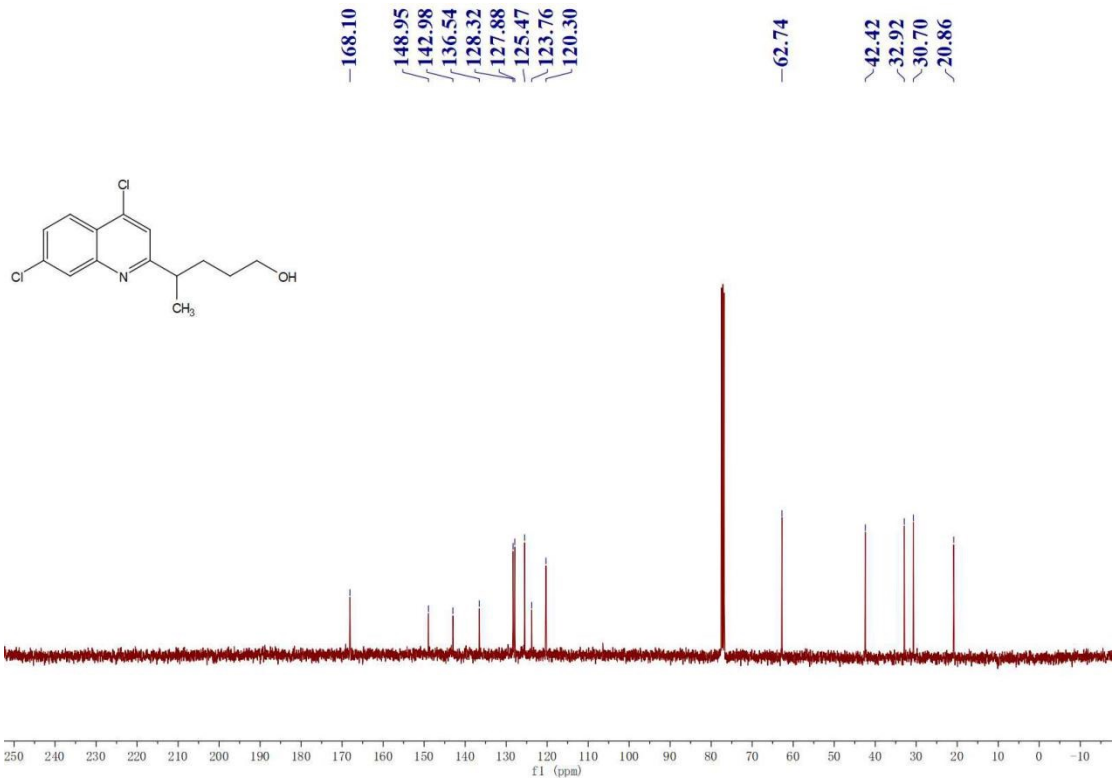
^{13}C NMR of 3c


164.52
153.09
150.16
145.47
141.00
120.48
117.95
107.92
101.76
62.72
56.37
56.26
42.12
33.25
30.75
21.10
Cl
O
H3C
H3C
O
N
OH
CH3
f1 (ppm)

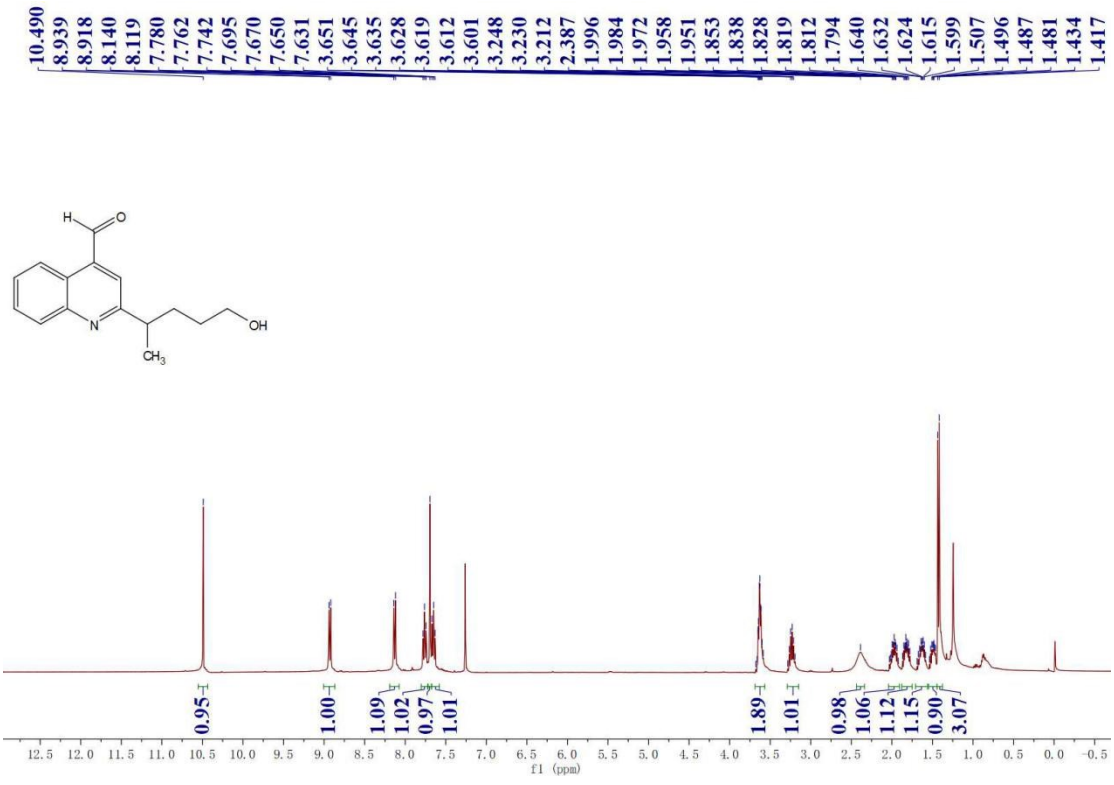
^{1}H NMR of 3d



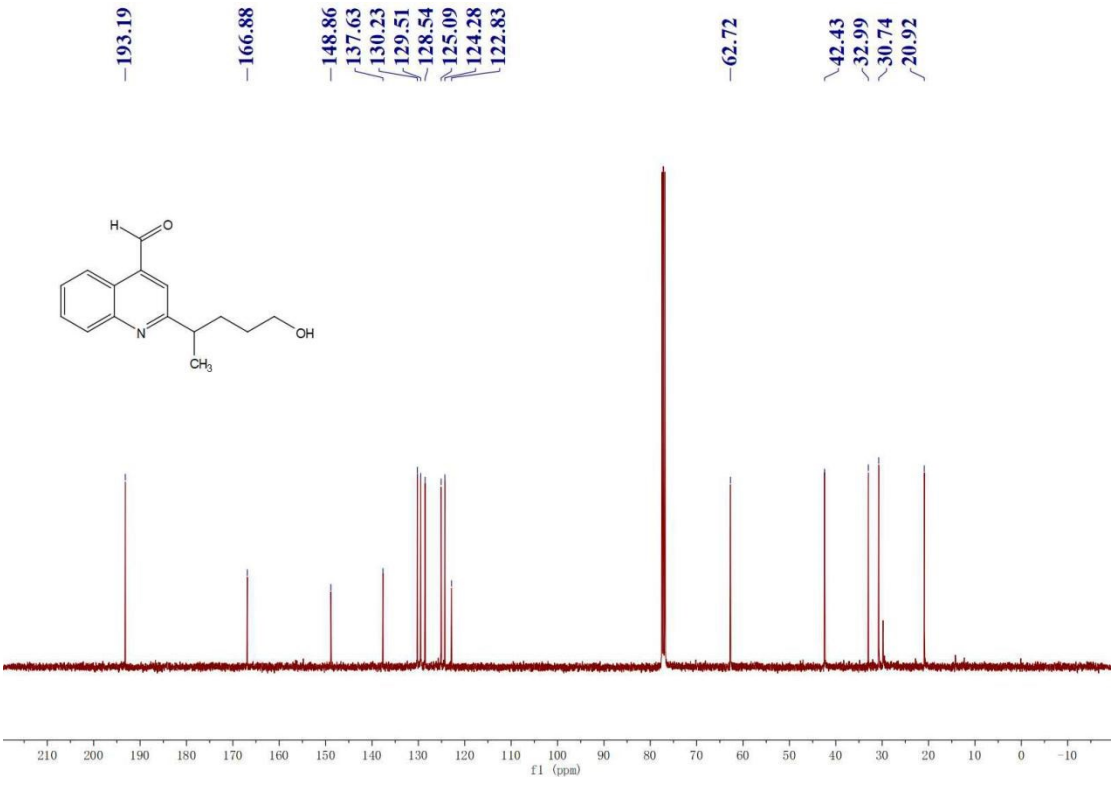
^{13}C NMR of 3d


168.10
148.95
142.98
136.54
128.32
127.88
125.47
123.76
120.30
62.74
42.42
32.92
30.70
20.86
Cl
Cl
N
OH
CH3
250 240 230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

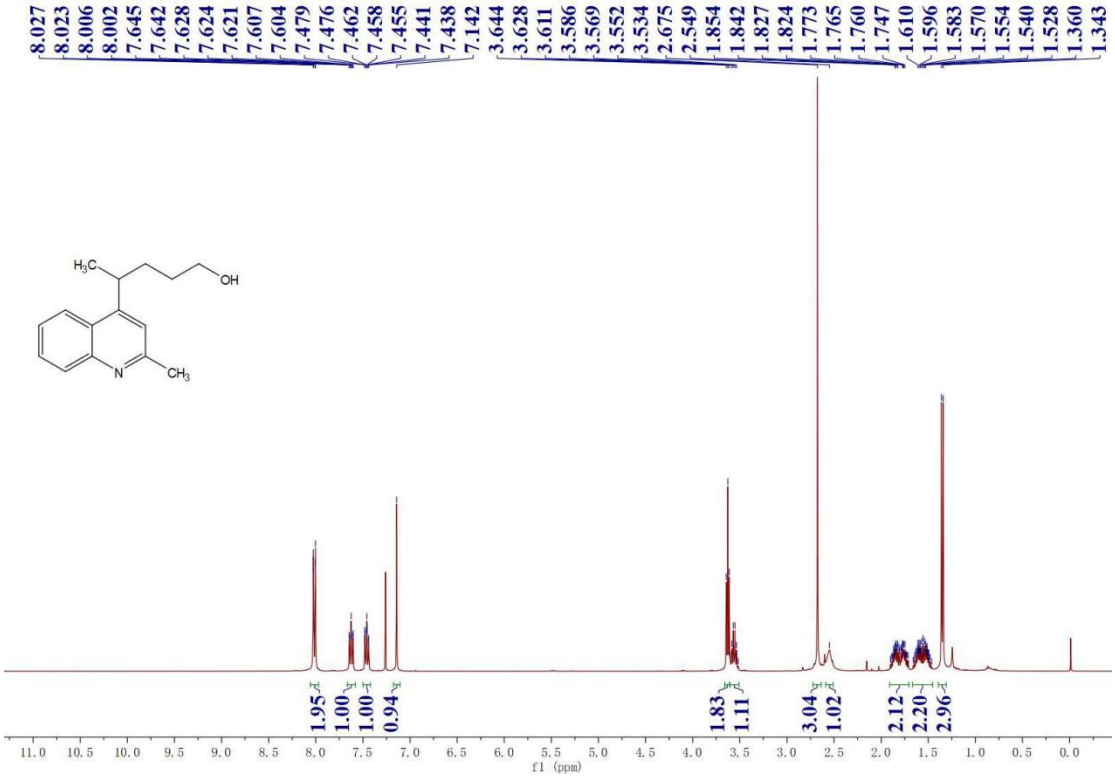
^{1}H NMR of 3e


10.490
8.939
8.918
8.140
8.119
7.780
7.762
7.742
7.695
7.670
7.650
7.631
3.651
3.645
3.635
3.628
3.619
3.612
3.601
3.248
3.230
3.212
2.387
1.996
1.984
1.972
1.958
1.951
1.853
1.838
1.828
1.819
1.812
1.794
1.640
1.632
1.624
1.615
1.599
1.507
1.496
1.487
1.481
1.434
1.417
H
O
N
OH
CH3
0.95
1.00
1.09
1.02
0.97
1.01
1.89
1.01
0.98
1.06
1.12
1.15
0.90
3.07
f1 (ppm)

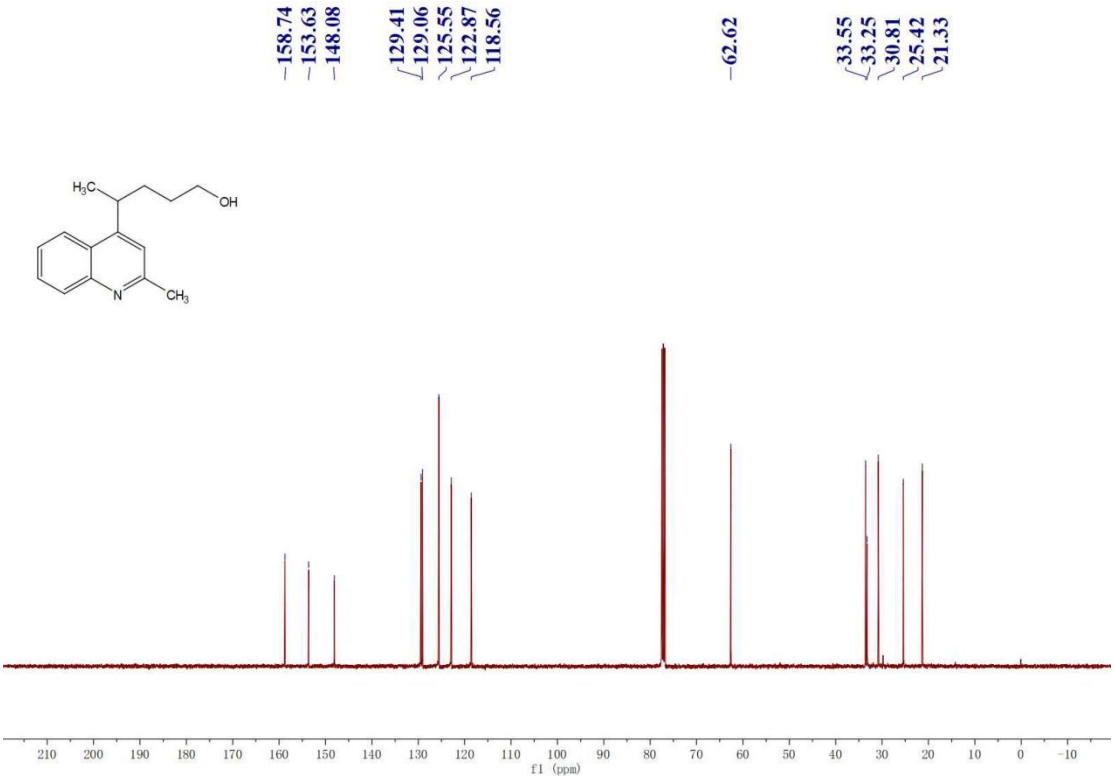
^{13}C NMR of 3e


193.19
166.88
148.86
137.63
130.23
129.51
128.54
125.09
124.28
122.83
62.72
42.43
32.99
30.74
20.92
H
O
N
OH
CH3
f1 (ppm)

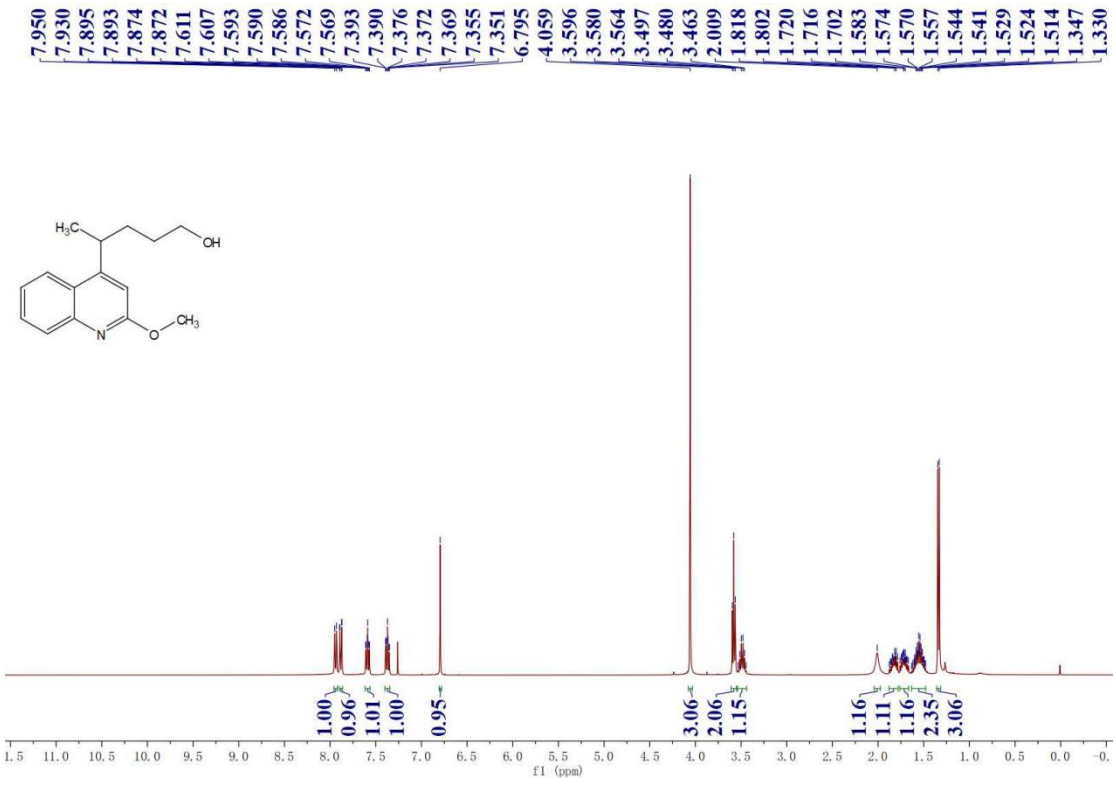
^{1}H NMR of 3f



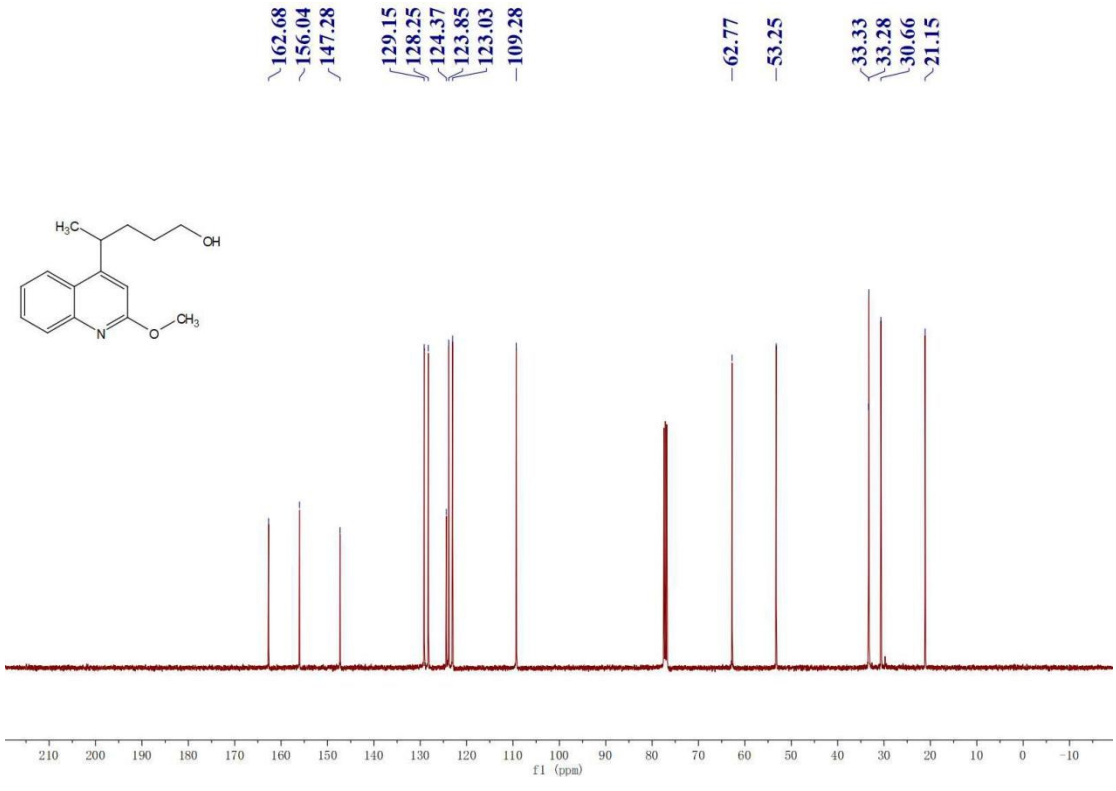
^{13}C NMR of 3f


158.74
153.63
148.08
129.41
129.06
125.55
122.87
118.56
62.62
33.55
33.25
30.81
25.42
21.33
H3C
OH
N
CH3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

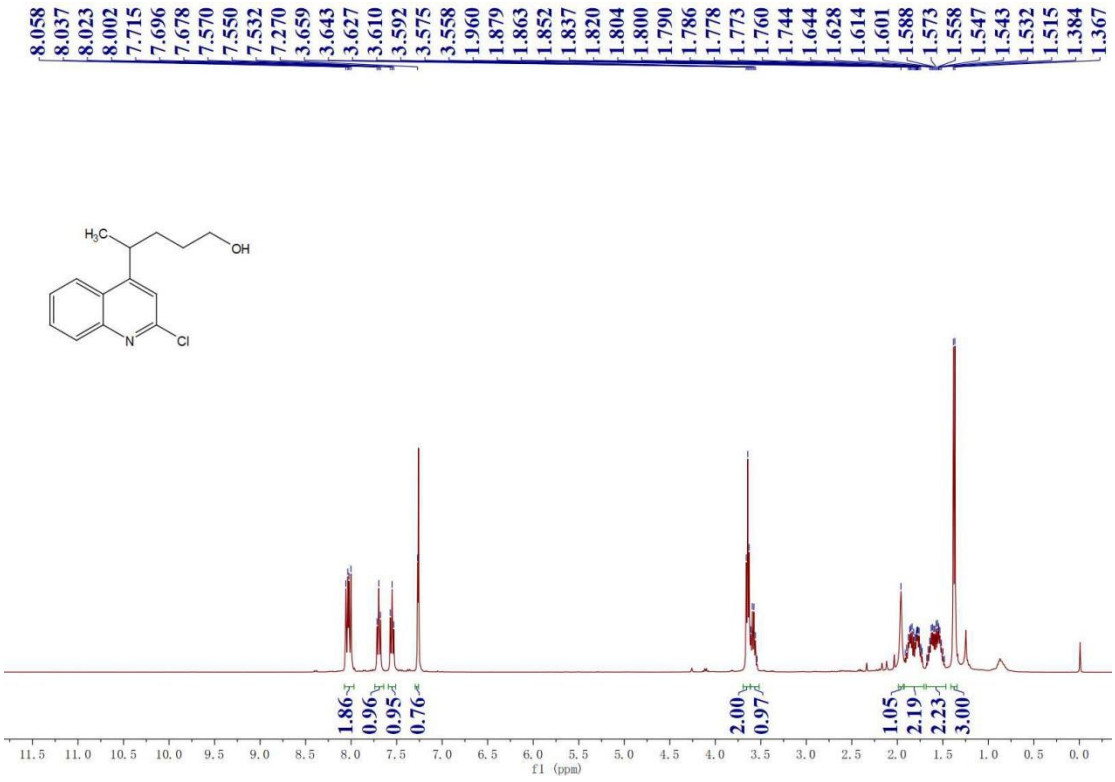
^{1}H NMR of 3g


7.950
7.930
7.895
7.893
7.874
7.872
7.611
7.607
7.593
7.590
7.586
7.572
7.569
7.393
7.390
7.376
7.372
7.369
7.355
7.351
6.795
4.059
3.596
3.580
3.564
3.497
3.480
3.463
2.009
1.818
1.802
1.720
1.716
1.702
1.583
1.574
1.570
1.557
1.544
1.541
1.529
1.524
1.514
1.347
1.330
H3C
OH
N
O
CH3
1.00
0.96
1.01
1.00
0.95
3.06
2.06
1.15
1.16
1.11
1.16
2.35
3.06
f1 (ppm)

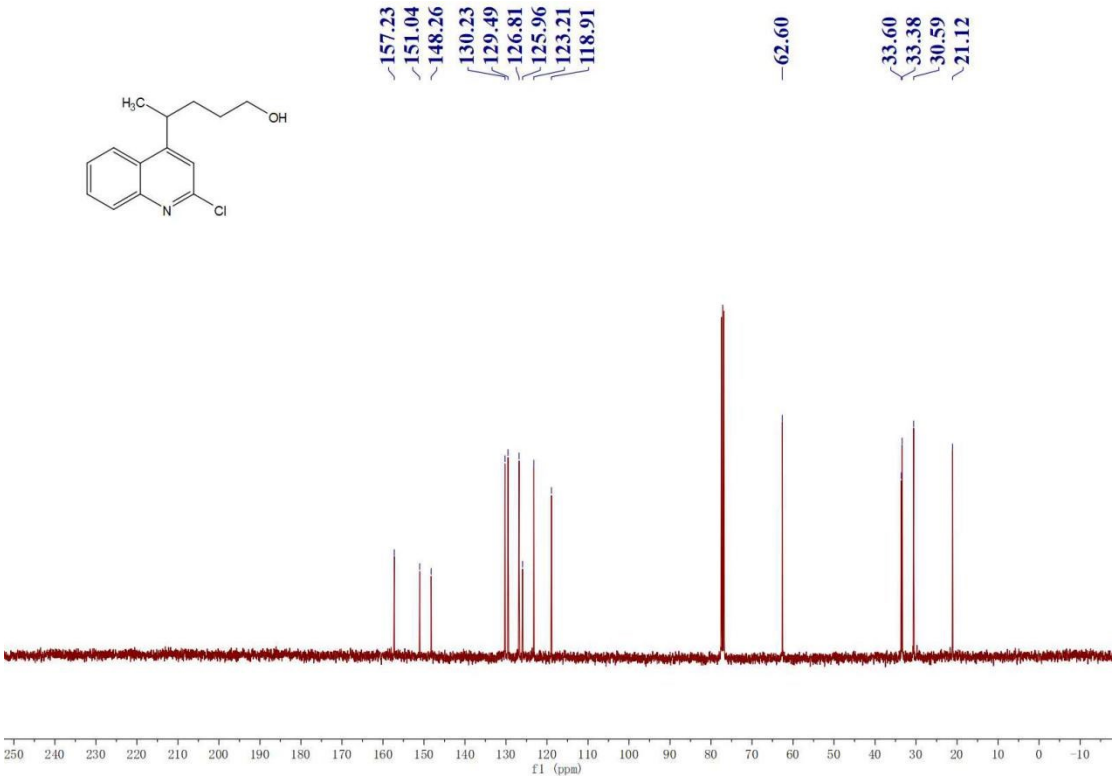
^{13}C NMR of 3g


162.68
156.04
147.28
129.15
128.25
124.37
123.85
123.03
109.28
62.77
53.25
33.33
33.28
30.66
21.15
H3C
OH
N
O
CH3
f1 (ppm)

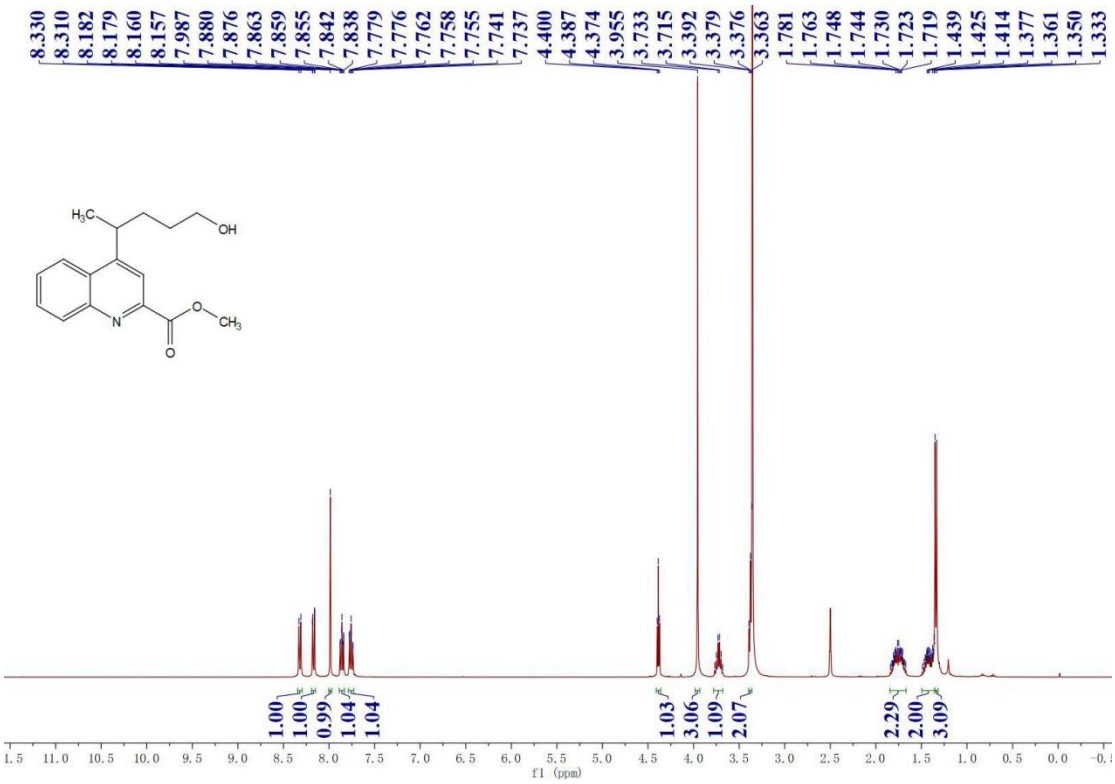
^{1}H NMR of 3h



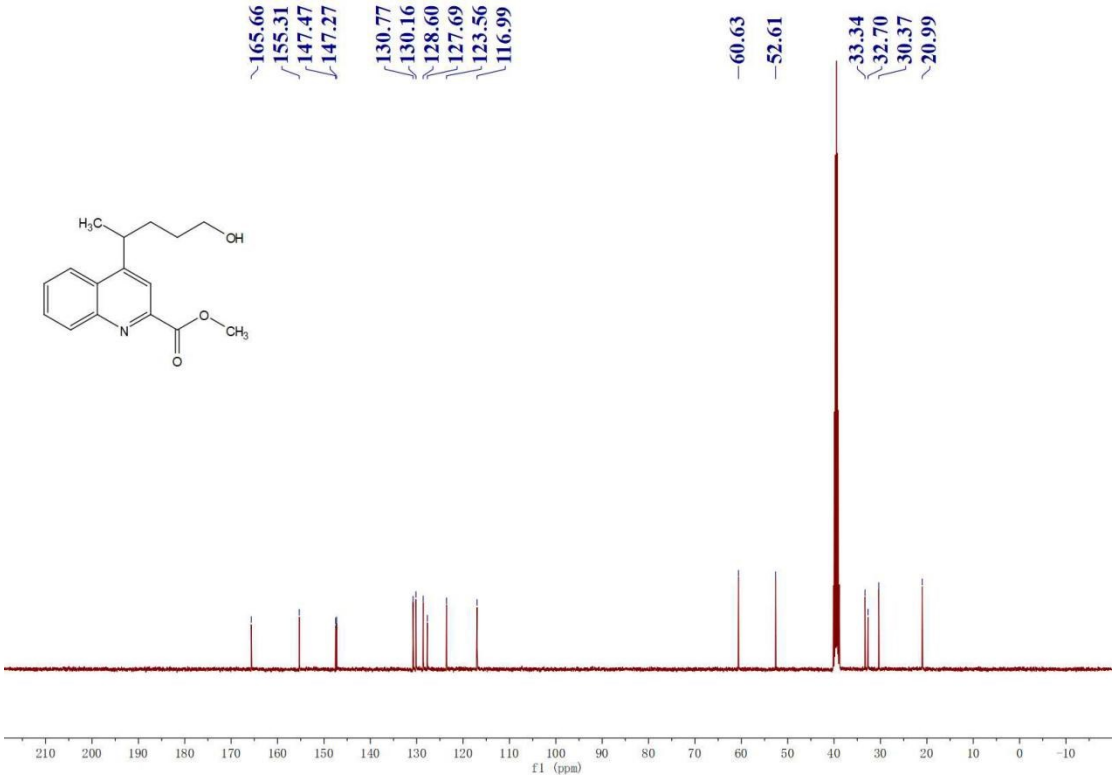
^{13}C NMR of 3h


157.23
151.04
148.26
130.23
129.49
126.81
125.96
123.21
118.91
62.60
33.60
33.38
30.59
21.12
H3C
OH
N
Cl
250 240 230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

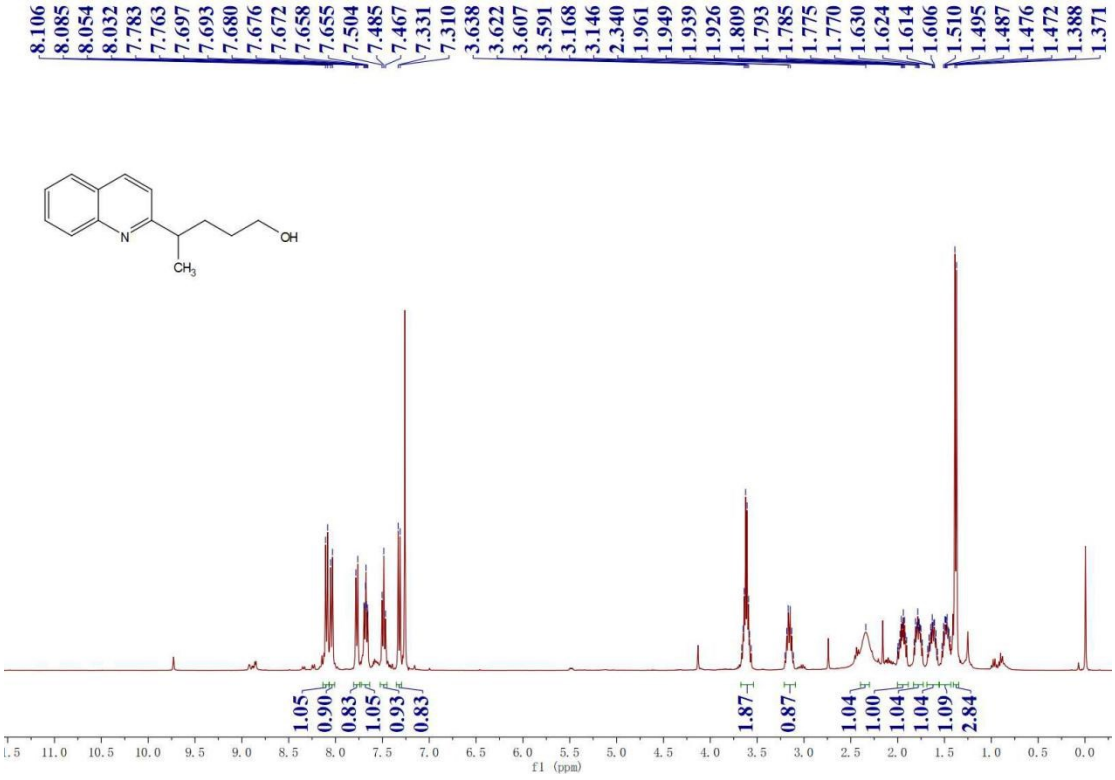
^{1}H NMR of 3i


8.330
8.310
8.182
8.179
8.160
8.157
7.987
7.880
7.876
7.863
7.859
7.855
7.842
7.838
7.779
7.776
7.762
7.758
7.755
7.741
7.737
4.400
4.387
4.374
3.955
3.733
3.715
3.392
3.379
3.376
3.363
1.781
1.763
1.748
1.744
1.730
1.723
1.719
1.439
1.425
1.414
1.377
1.361
1.350
1.333
H3C
OH
N
O
CH3
O
1.00
1.00
0.99
1.04
1.04
1.03
3.06
1.09
2.07
2.29
2.00
3.09
f1 (ppm)

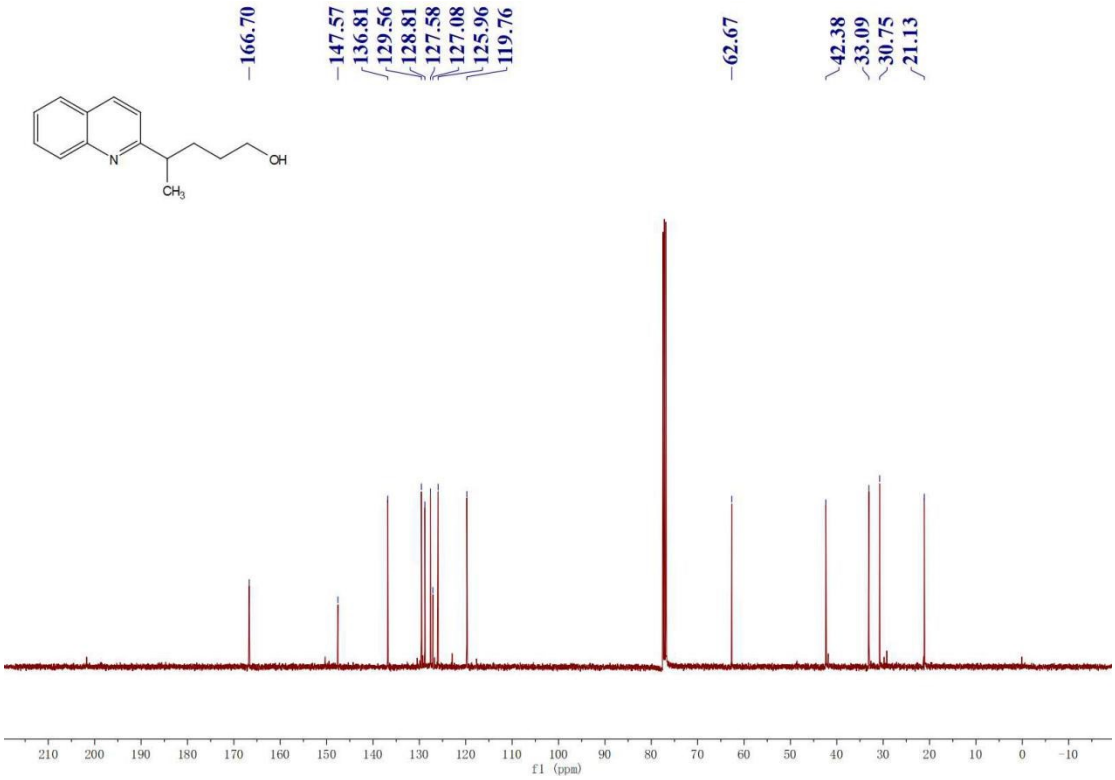
^{13}C NMR of 3i


165.66
155.31
147.47
147.27
130.77
130.16
128.60
127.69
123.56
116.99
60.63
52.61
33.34
32.70
30.37
20.99
H3C
OH
N
O
CH3
O
f1 (ppm)

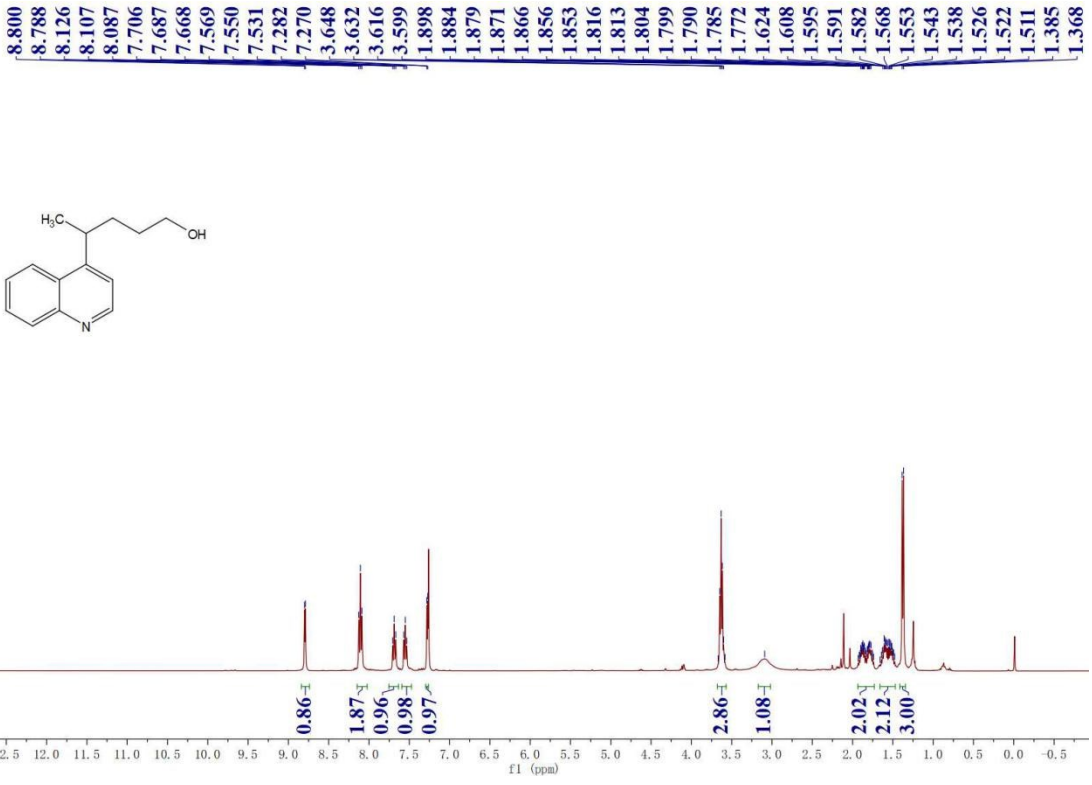
^{1}H NMR of 3j-o



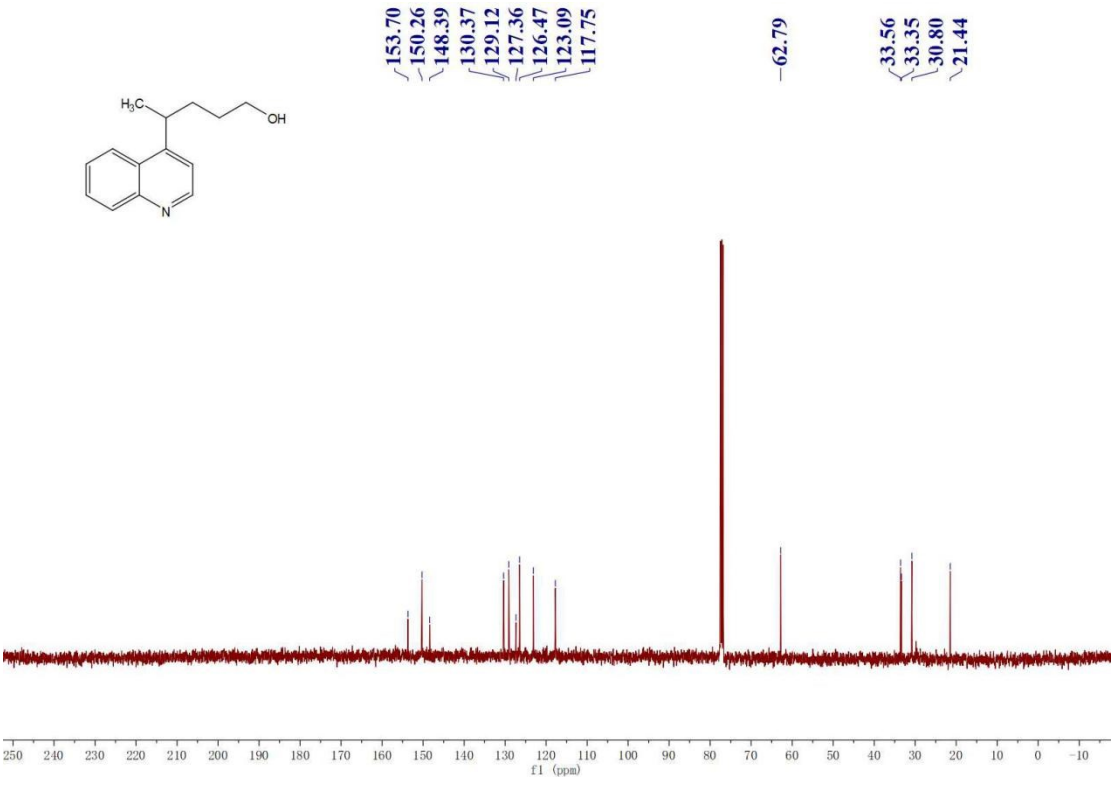
^{13}C NMR of 3j-o


166.70
147.57
136.81
129.56
128.81
127.58
127.08
125.96
119.76
62.67
42.38
33.09
30.75
21.13
N
OH
CH3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

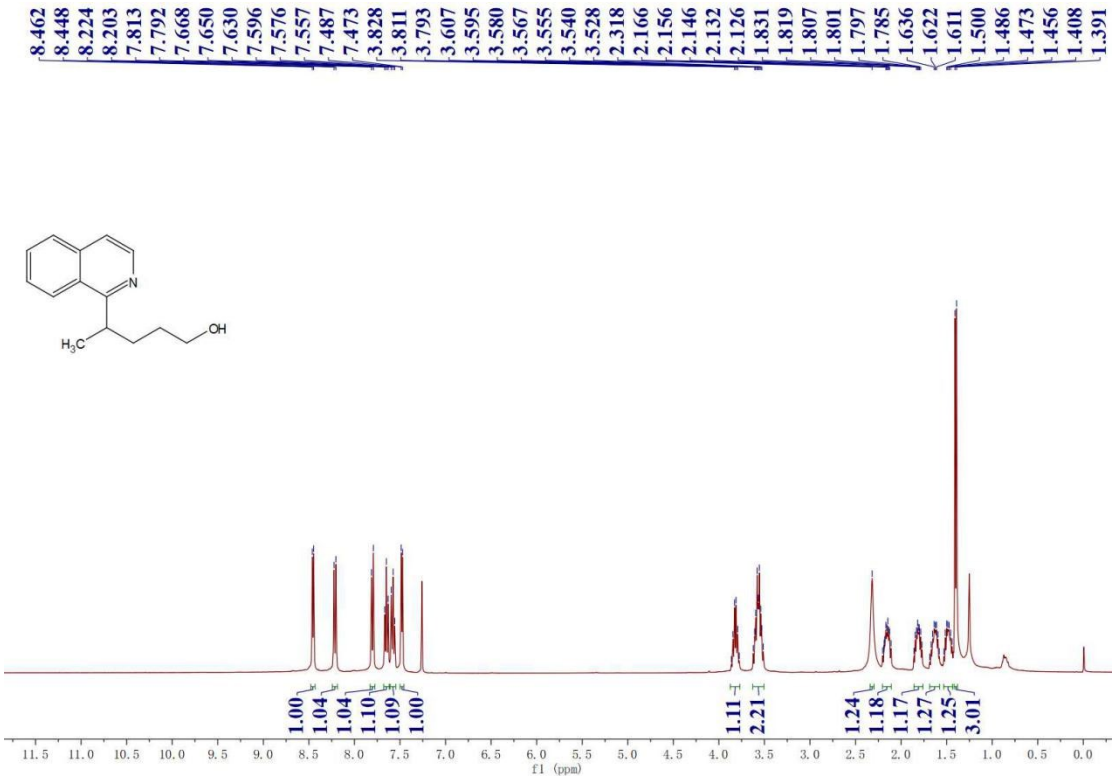
^{1}H NMR of 3j-p



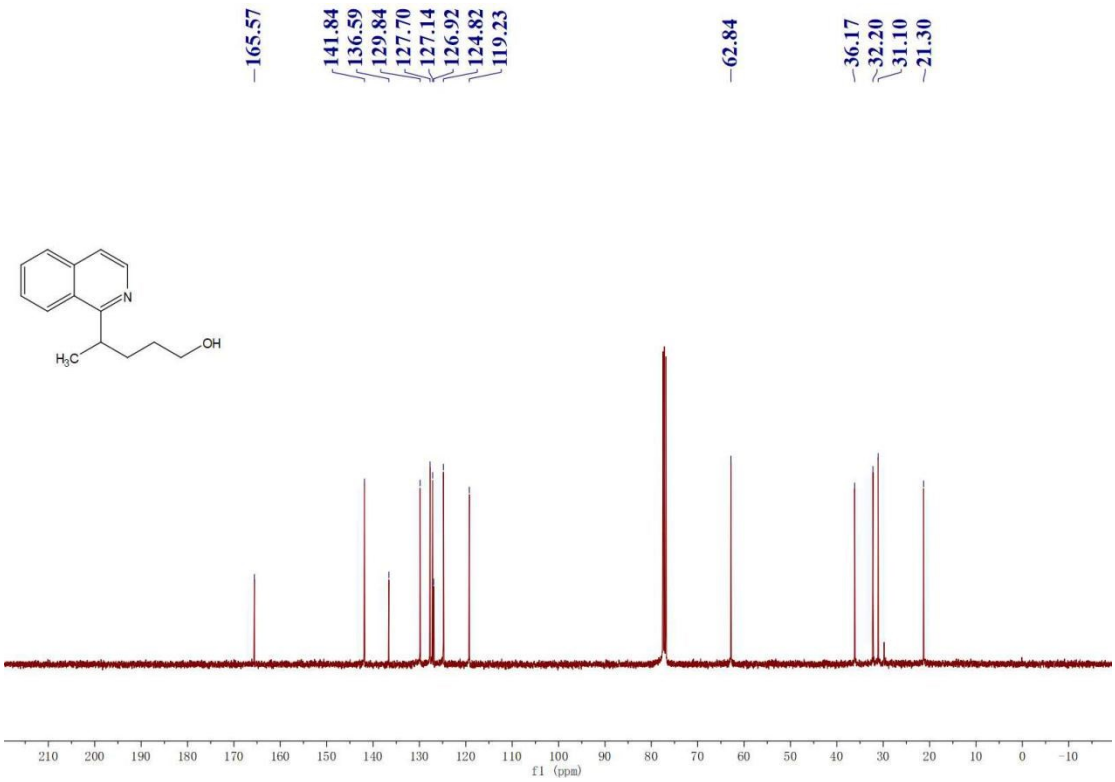
^{13}C NMR of 3j-p



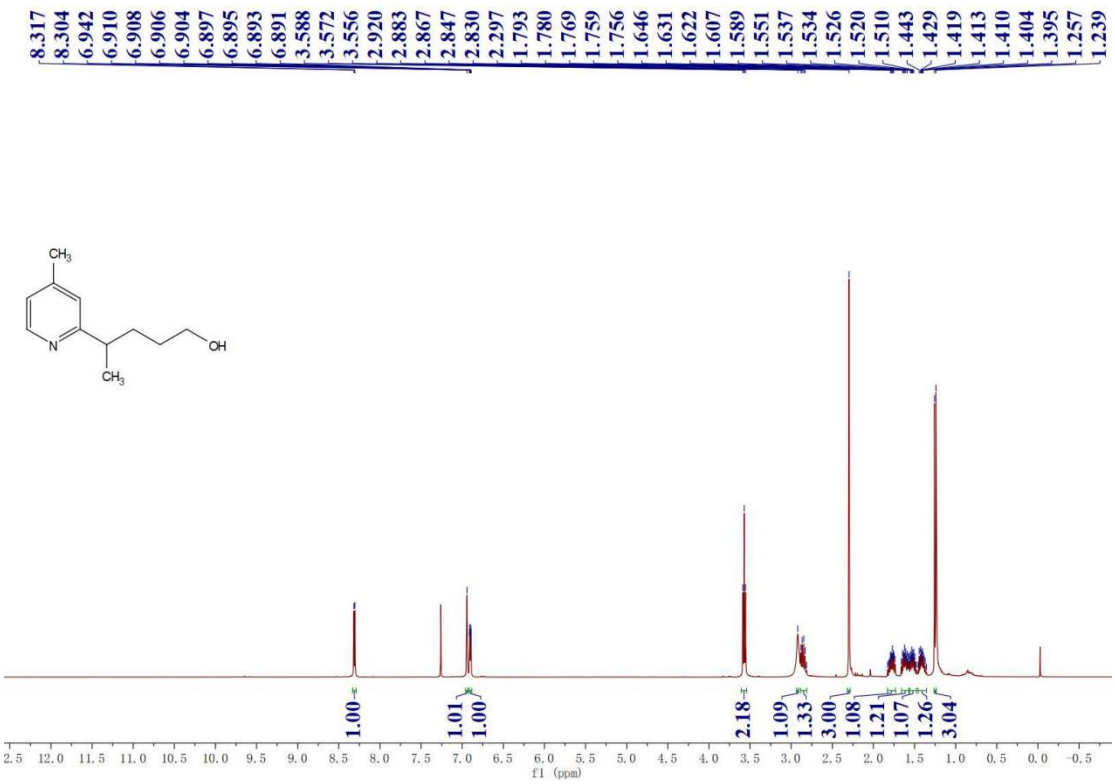
^{1}H NMR of 3k



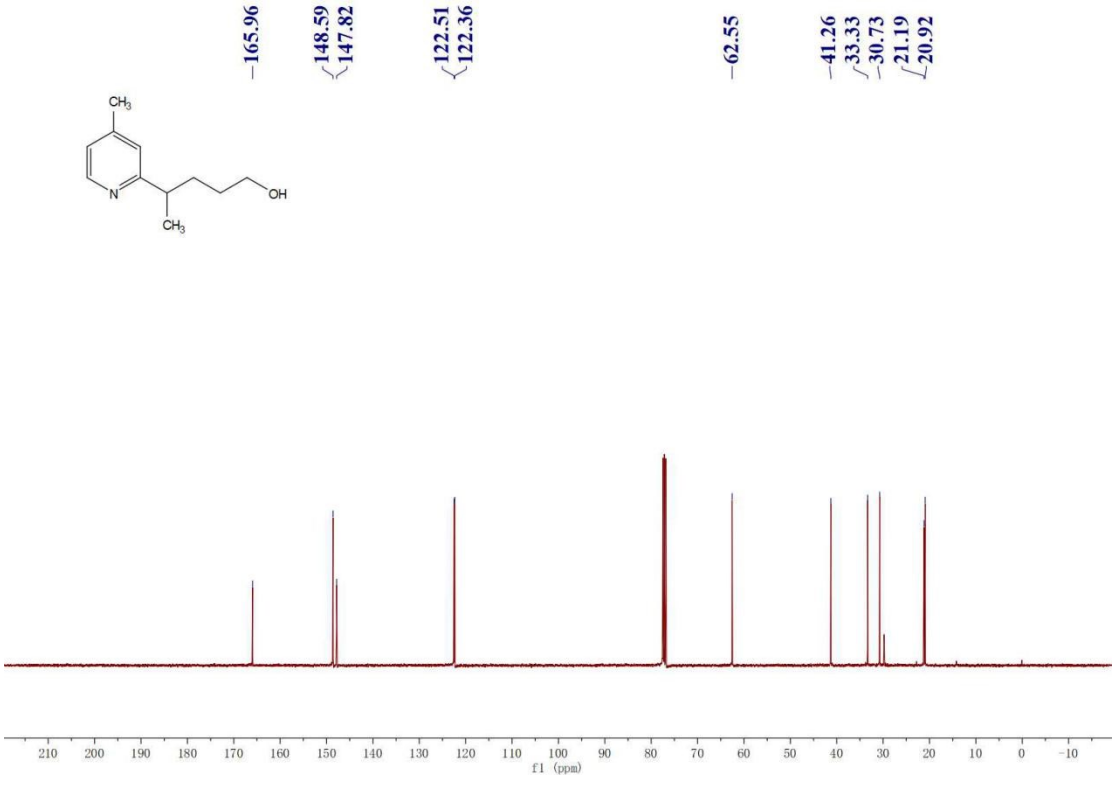
^{13}C NMR of 3k


165.57
141.84
136.59
129.84
127.70
127.14
126.92
124.82
119.23
62.84
36.17
32.20
31.10
21.30
N
OH
H3C
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

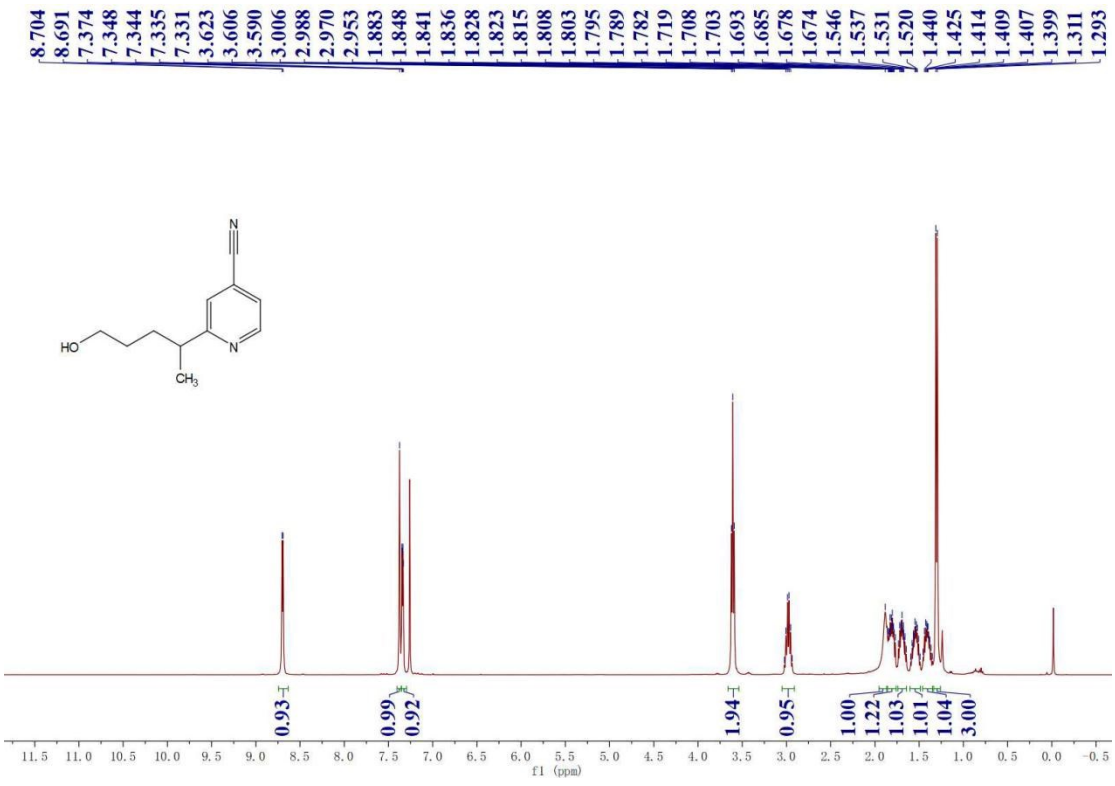
^{1}H NMR of 3l


8.317
8.304
6.942
6.910
6.908
6.906
6.904
6.897
6.895
6.893
6.891
3.588
3.572
3.556
2.920
2.883
2.867
2.847
2.830
2.297
1.793
1.780
1.769
1.759
1.756
1.646
1.631
1.622
1.607
1.589
1.551
1.537
1.534
1.526
1.520
1.510
1.443
1.429
1.419
1.413
1.410
1.404
1.395
1.257
1.239
CH3
N
OH
CH3
1.00
1.01
1.00
2.18
1.09
1.33
3.00
1.08
1.21
1.07
1.26
3.04
f1 (ppm)

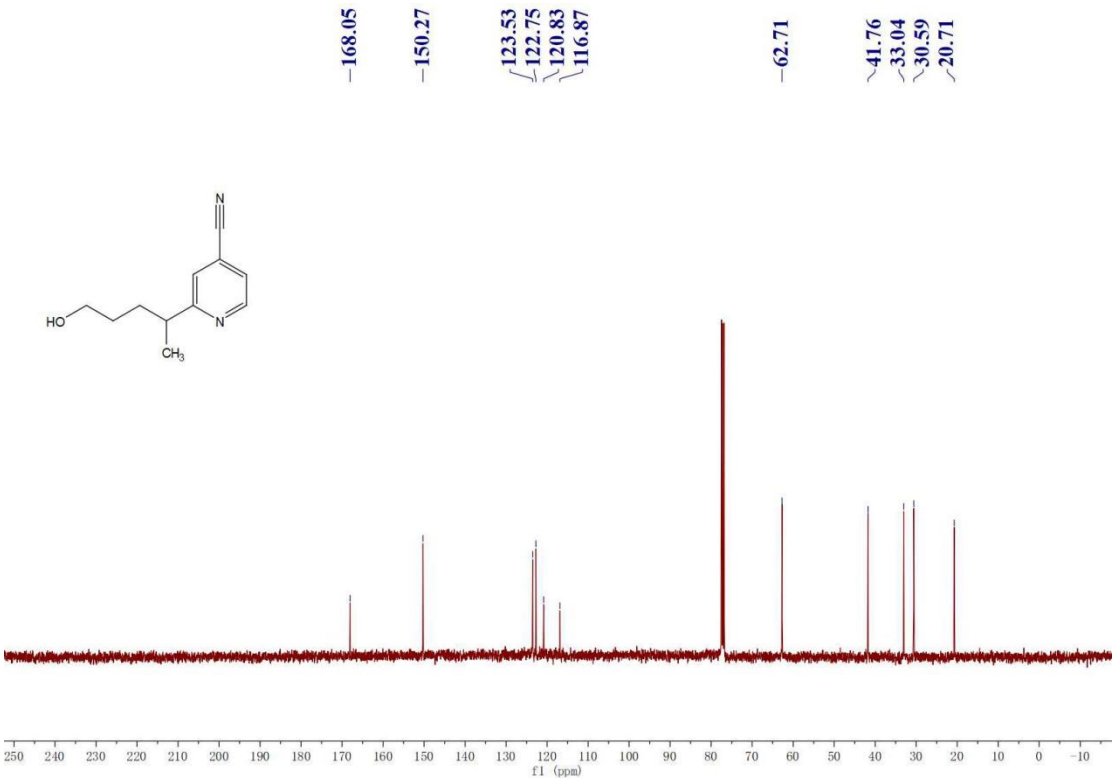
^{13}C NMR of 3l


165.96
148.59
147.82
122.51
122.36
62.55
41.26
33.33
30.73
21.19
20.92
CH3
N
OH
CH3
f1 (ppm)

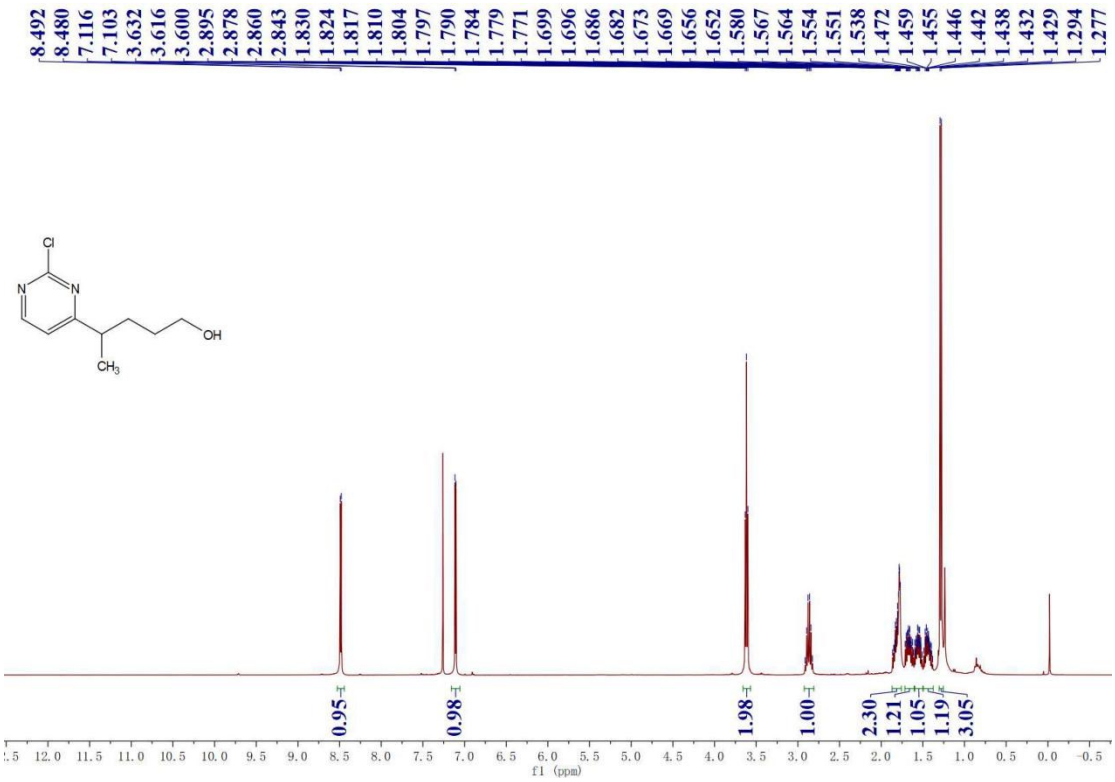
^{1}H NMR of 3m



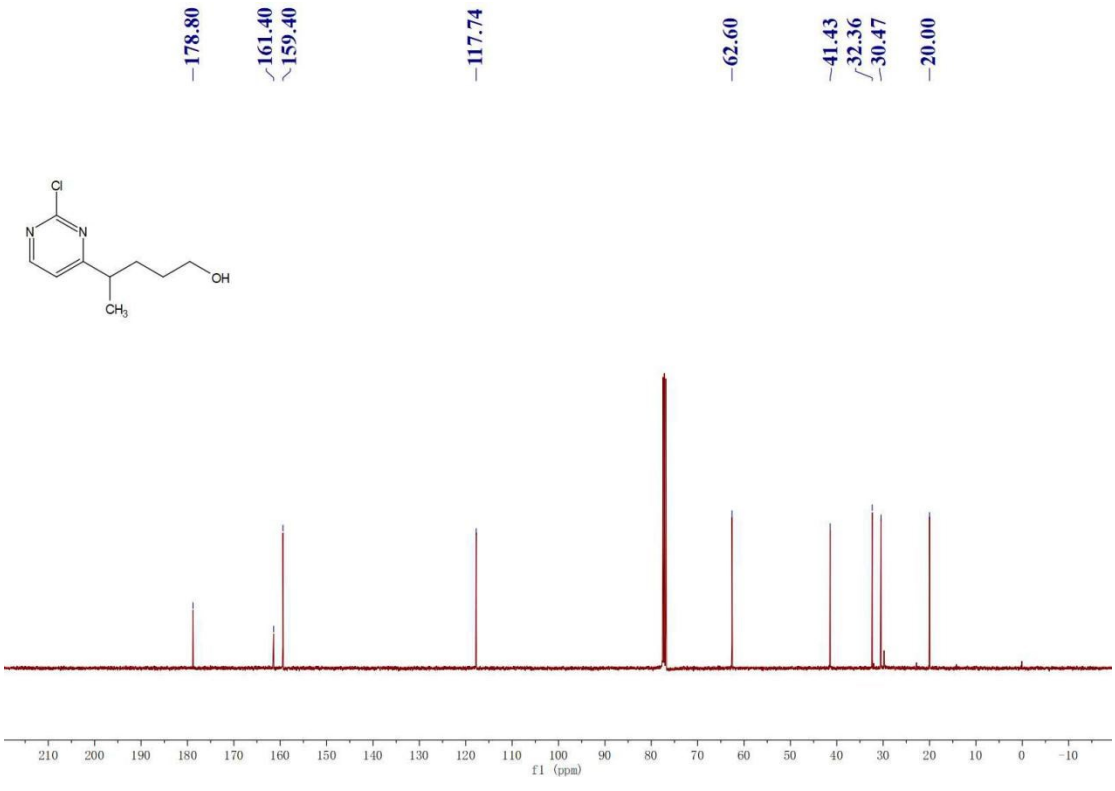
^{13}C NMR of 3m


168.05
150.27
123.53
122.75
120.83
116.87
62.71
41.76
33.04
30.59
20.71
N
HO
N
CH3
250 240 230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

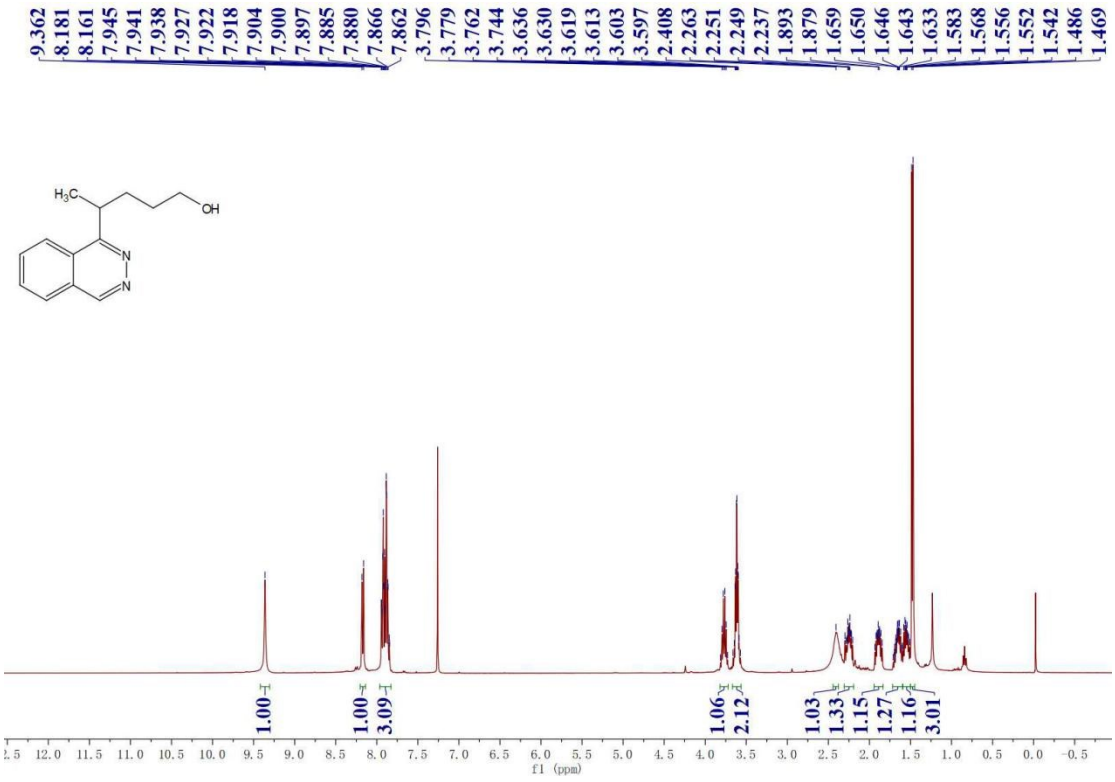
^{1}H NMR of 3n


8.492
8.480
7.116
7.103
3.632
3.616
3.600
2.895
2.878
2.860
2.843
1.830
1.824
1.817
1.810
1.804
1.797
1.790
1.784
1.779
1.771
1.699
1.696
1.686
1.682
1.673
1.669
1.656
1.652
1.580
1.567
1.564
1.554
1.551
1.538
1.472
1.459
1.455
1.446
1.442
1.438
1.432
1.429
1.294
1.277
Cl
N
N
OH
CH3
0.95
0.98
1.98
1.00
2.30
1.21
1.05
1.19
3.05
f1 (ppm)

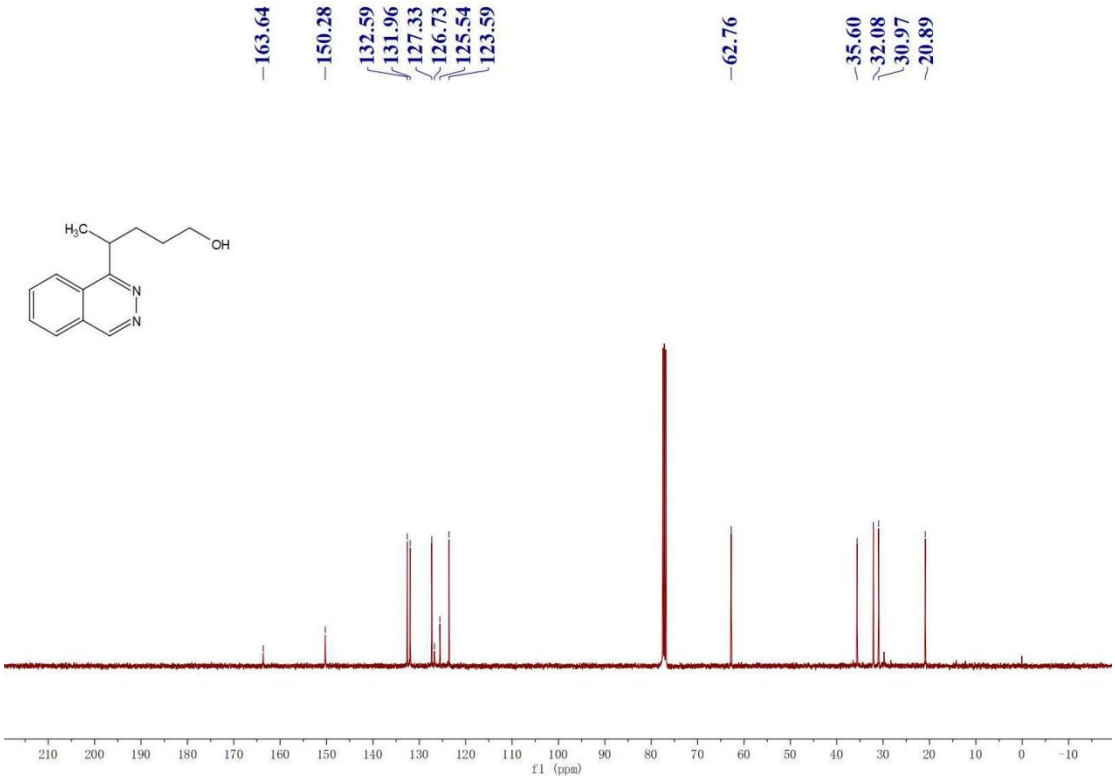
^{13}C NMR of 3n


178.80
161.40
159.40
117.74
62.60
41.43
32.36
30.47
20.00
Cl
N
N
OH
CH3
f1 (ppm)

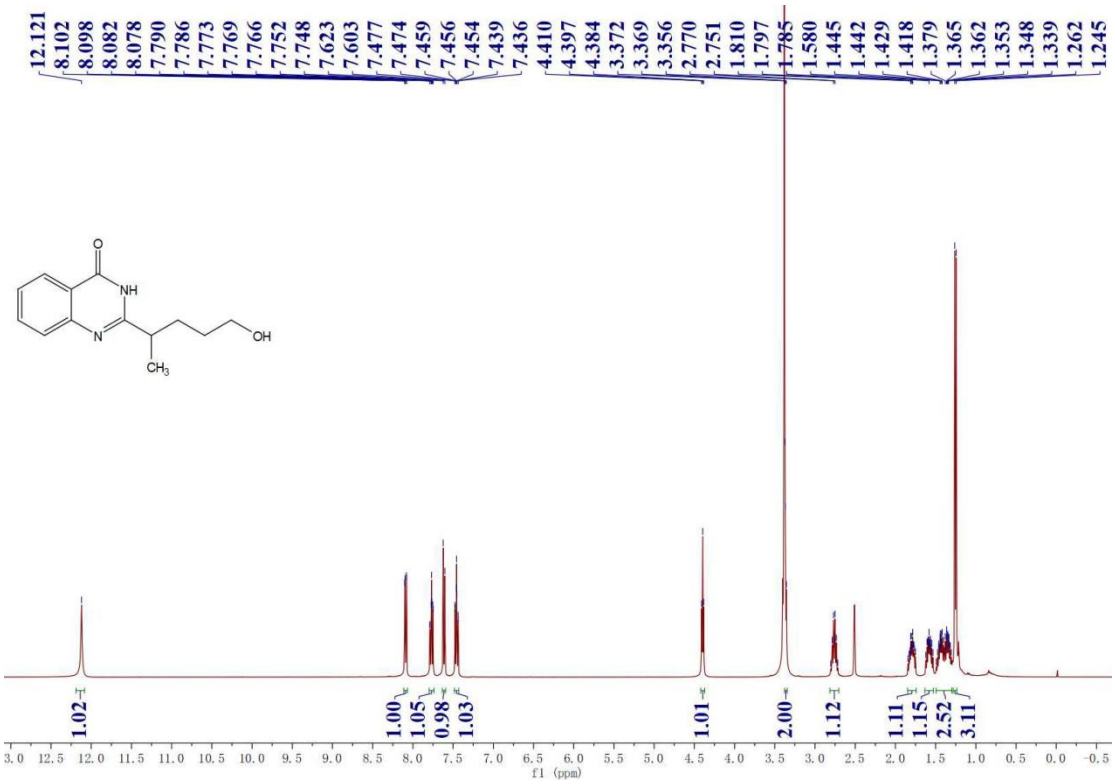
^{1}H NMR of 3o



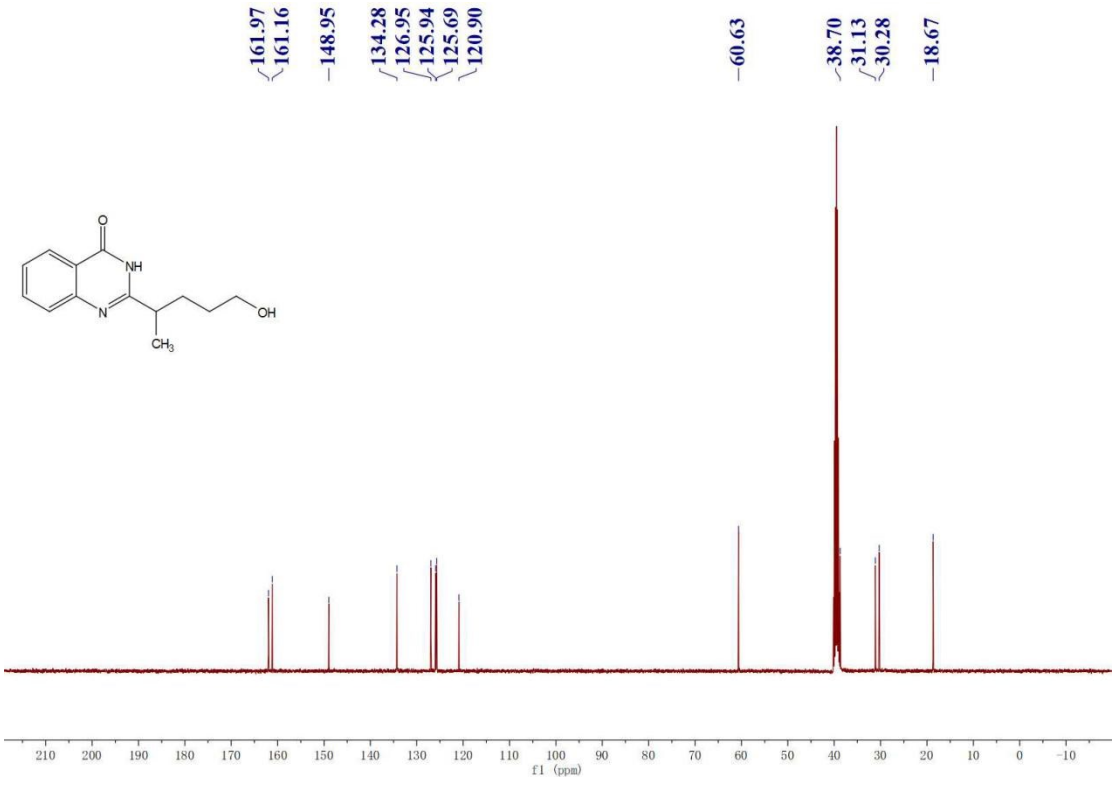
^{13}C NMR of 3o


163.64
150.28
132.59
131.96
127.33
126.73
125.54
123.59
62.76
35.60
32.08
30.97
20.89
H3C
OH
N
N
f1 (ppm)

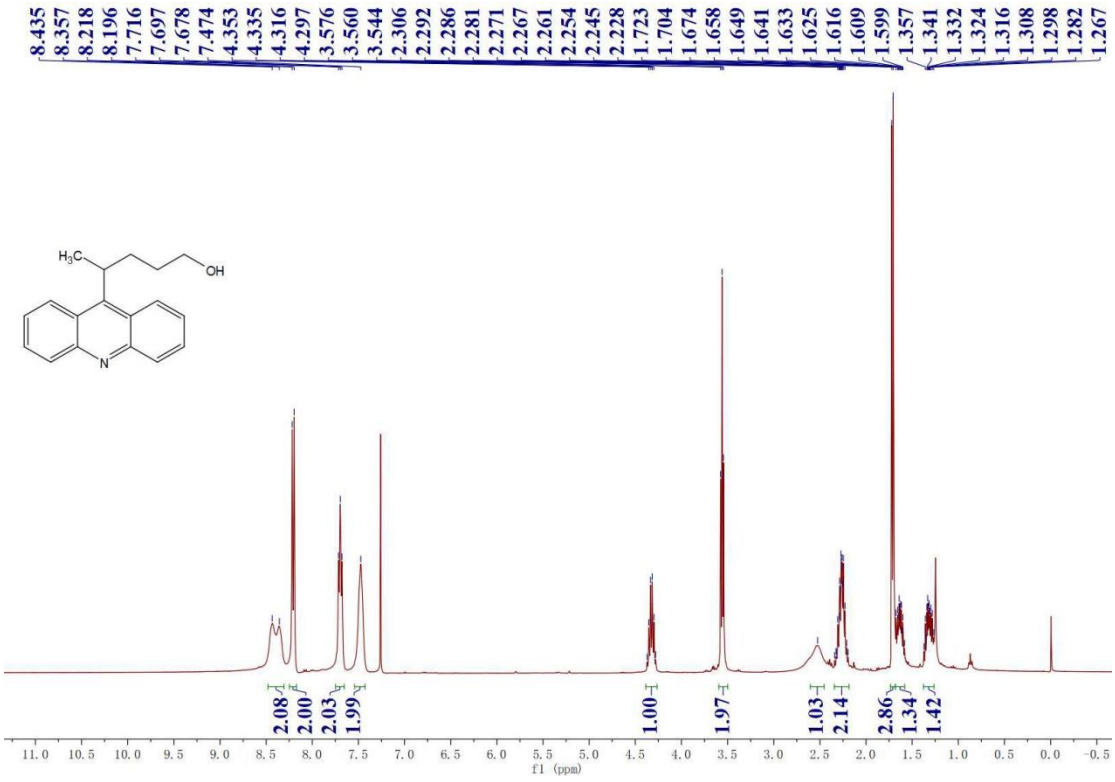
^{1}H NMR of 3p


12.121
8.102
8.098
8.082
8.078
7.790
7.786
7.773
7.769
7.766
7.752
7.748
7.623
7.603
7.477
7.474
7.459
7.456
7.454
7.439
7.436
4.410
4.397
4.384
3.372
3.369
3.356
2.770
2.751
1.810
1.797
1.785
1.580
1.445
1.442
1.429
1.418
1.379
1.365
1.362
1.353
1.348
1.339
1.262
1.245
O
NH
N
OH
CH3
1.02
1.00
1.05
0.98
1.03
1.01
2.00
1.12
1.11
1.15
2.52
3.11
f1 (ppm)

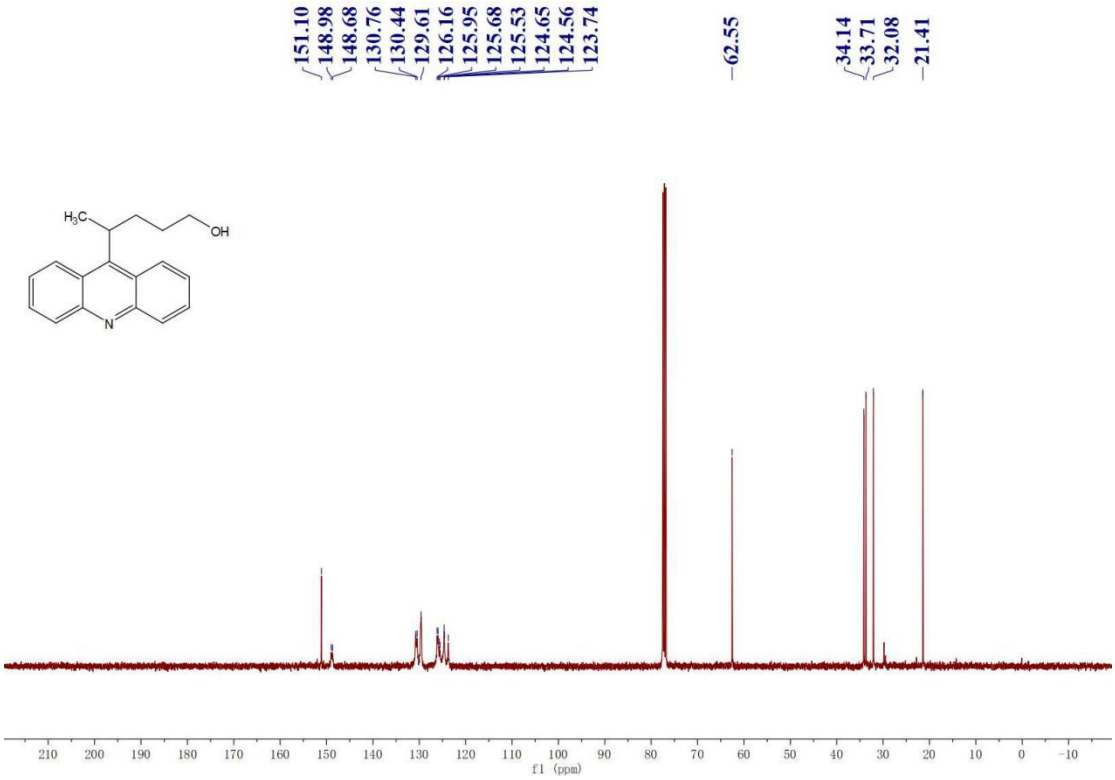
^{13}C NMR of 3p


161.97
161.16
148.95
134.28
126.95
125.94
125.69
120.90
60.63
38.70
31.13
30.28
18.67
O
NH
N
OH
CH3
f1 (ppm)

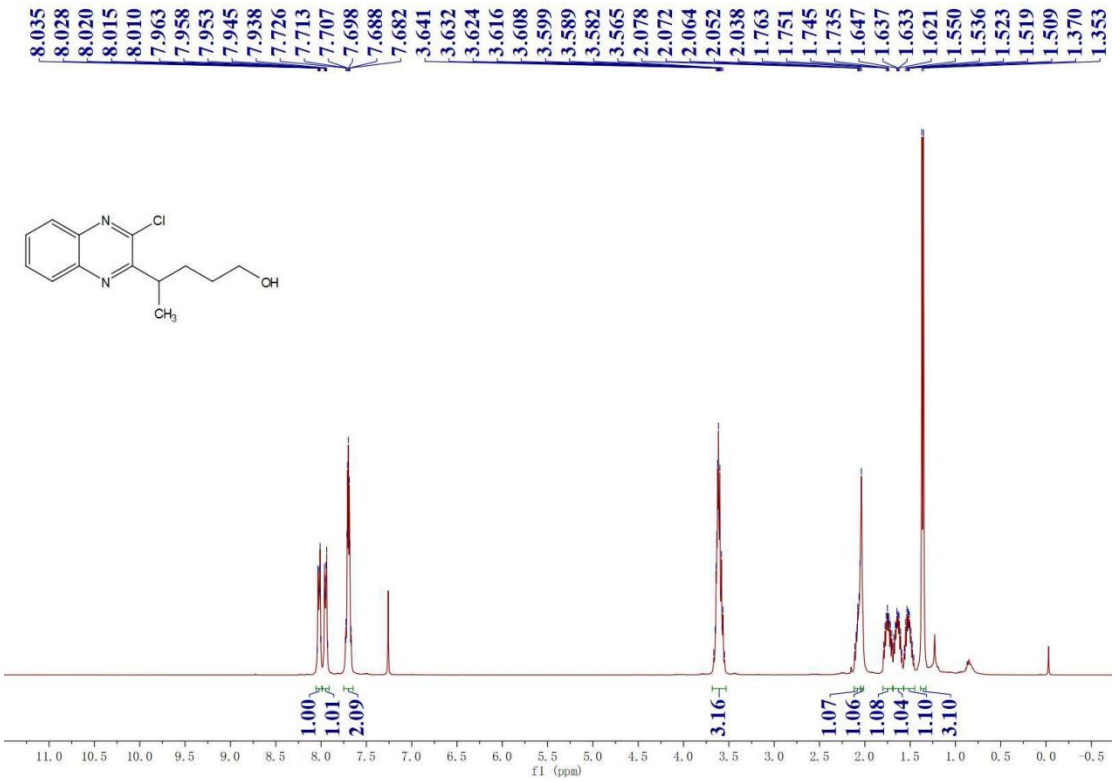
^{1}H NMR of 3q



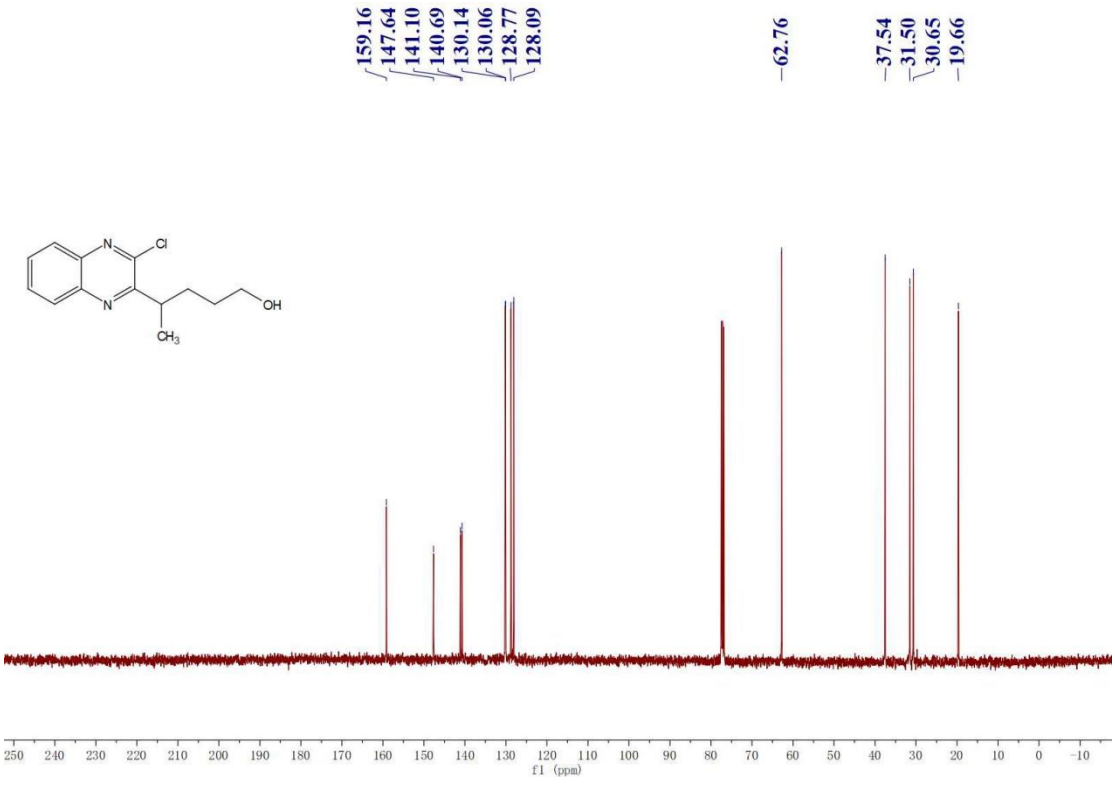
^{13}C NMR of 3q


151.10
148.98
148.68
130.76
130.44
129.61
126.16
125.95
125.68
125.53
124.65
124.56
123.74
62.55
34.14
33.71
32.08
21.41
H3C
OH
N
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

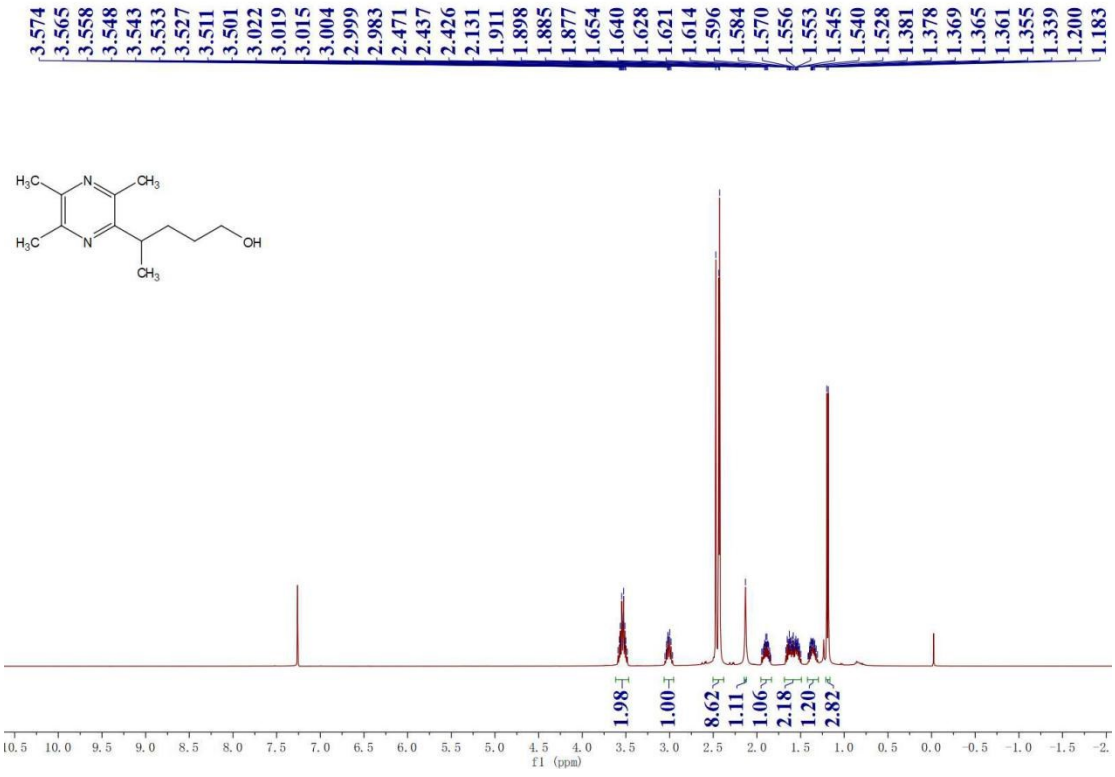
^{1}H NMR of 3r


8.035
8.028
8.020
8.015
8.010
7.963
7.958
7.953
7.945
7.938
7.726
7.713
7.707
7.698
7.688
7.682
3.641
3.632
3.624
3.616
3.608
3.599
3.589
3.582
3.565
2.078
2.072
2.064
2.052
2.038
1.763
1.751
1.745
1.735
1.647
1.637
1.633
1.621
1.550
1.536
1.523
1.519
1.509
1.370
1.353
N
Cl
N
OH
CH3
1.00
1.01
2.09
3.16
1.07
1.06
1.08
1.04
1.10
3.10
f1 (ppm)

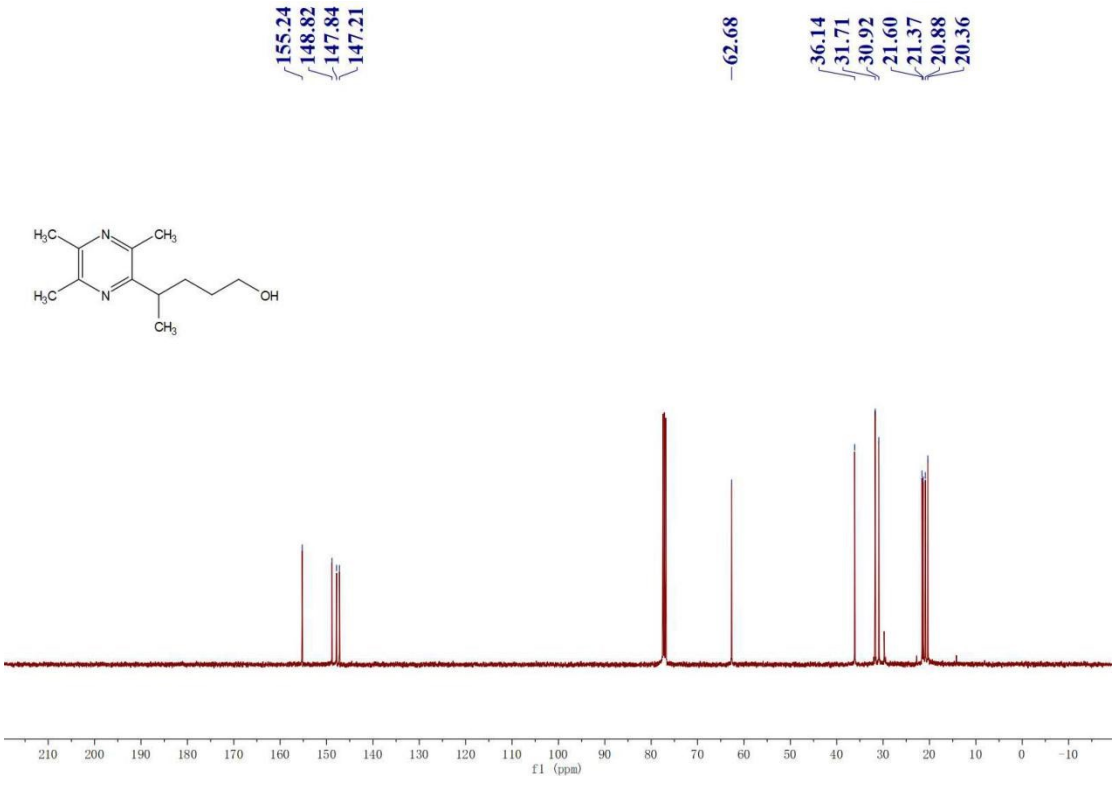
^{13}C NMR of 3r


159.16
147.64
141.10
140.69
130.14
130.06
128.77
128.09
62.76
37.54
31.50
30.65
19.66
N
Cl
N
OH
CH3
f1 (ppm)

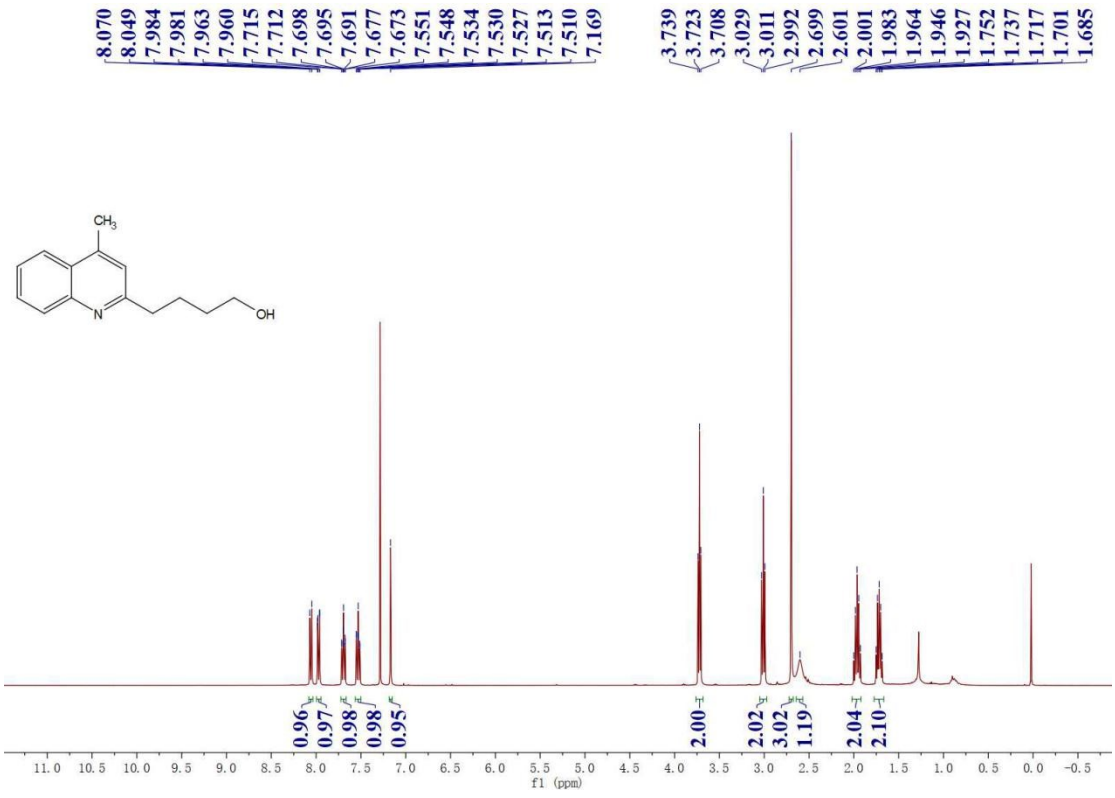
^{1}H NMR of 3s



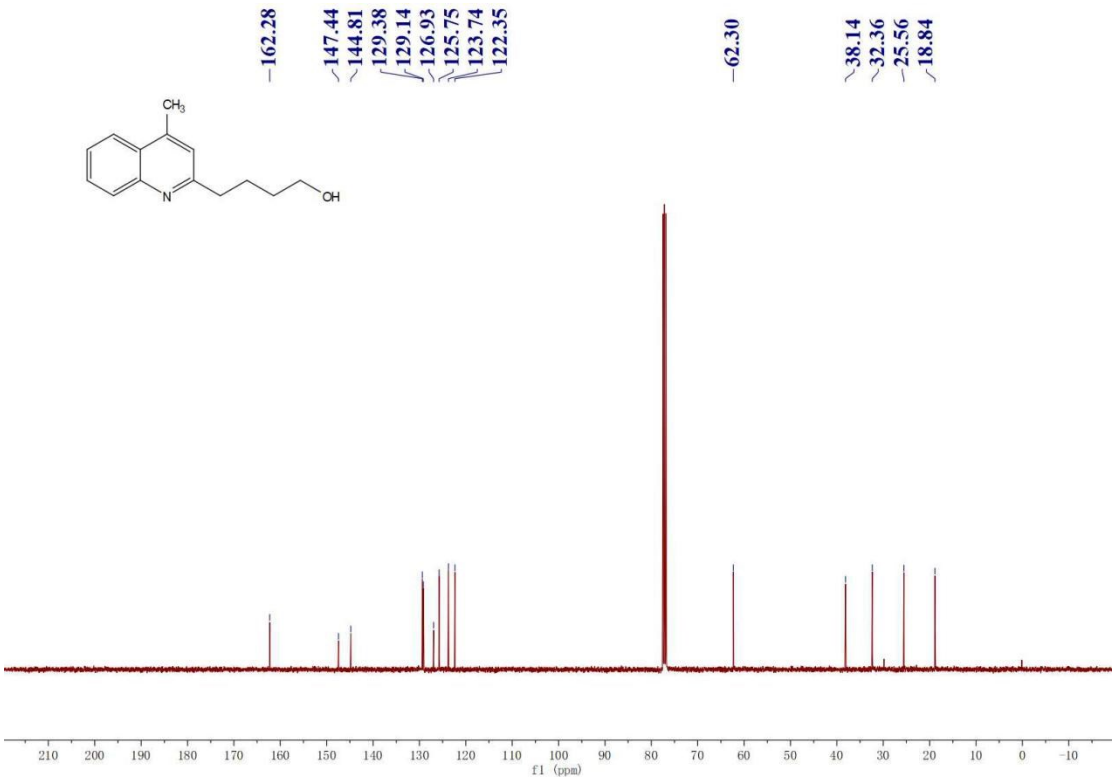
^{13}C NMR of 3s


155.24
148.82
147.84
147.21
62.68
36.14
31.71
30.92
21.60
21.37
20.88
20.36
OH
f1 (ppm)

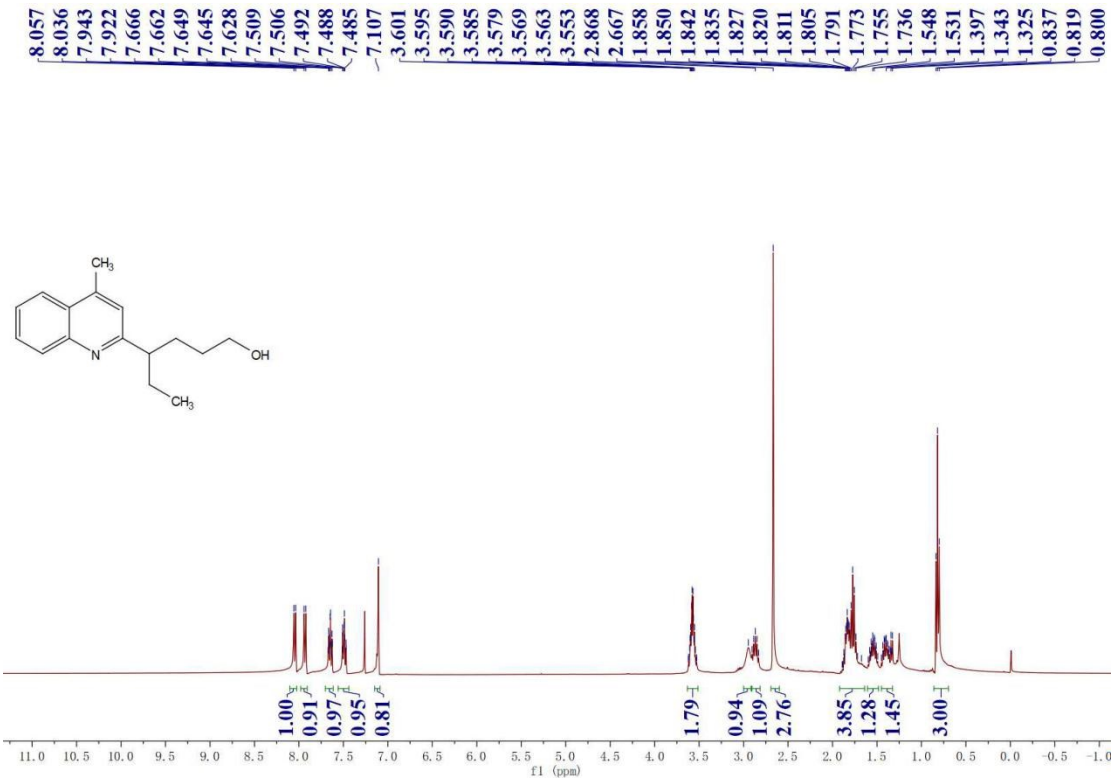
^{1}H NMR of 3w


8.070
8.049
7.984
7.981
7.963
7.960
7.715
7.712
7.698
7.695
7.691
7.677
7.673
7.551
7.548
7.534
7.530
7.527
7.513
7.510
7.169
3.739
3.723
3.708
3.029
3.011
2.992
2.699
2.601
2.001
1.983
1.964
1.946
1.927
1.752
1.737
1.717
1.701
1.685
CH3
OH
0.96
0.97
0.98
0.98
0.95
2.00
2.02
3.02
1.19
2.04
2.10
f1 (ppm)

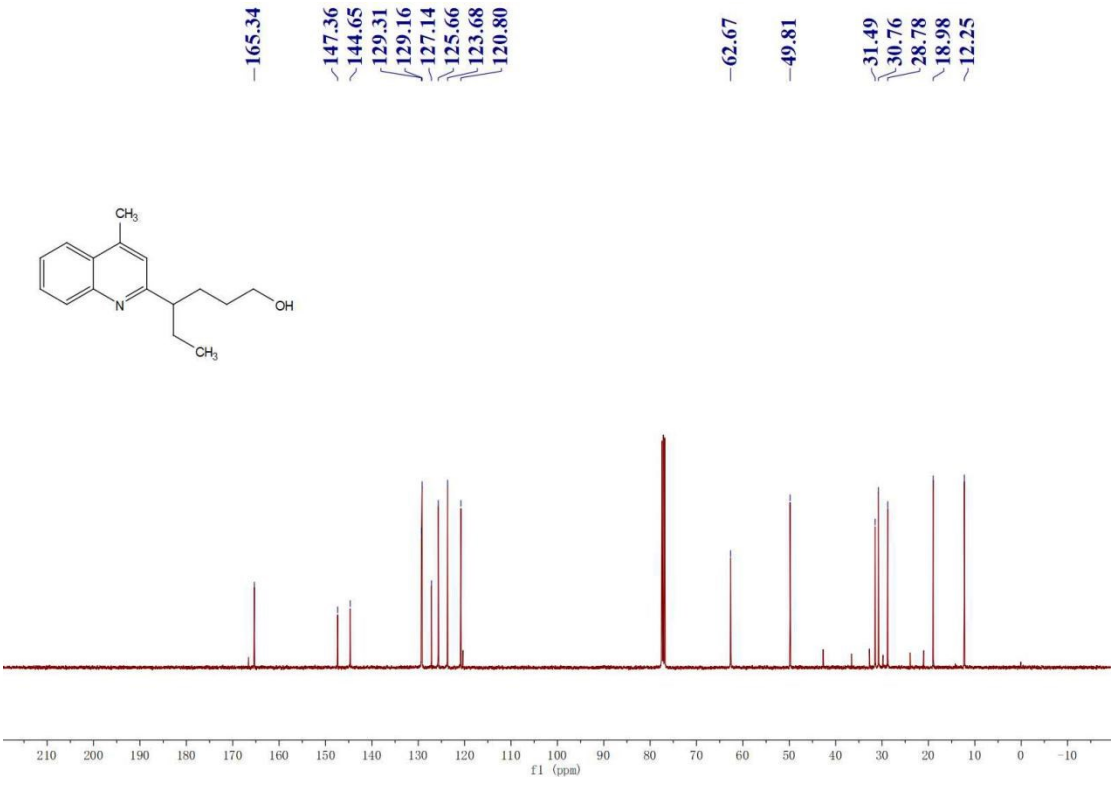
^{13}C NMR of 3w



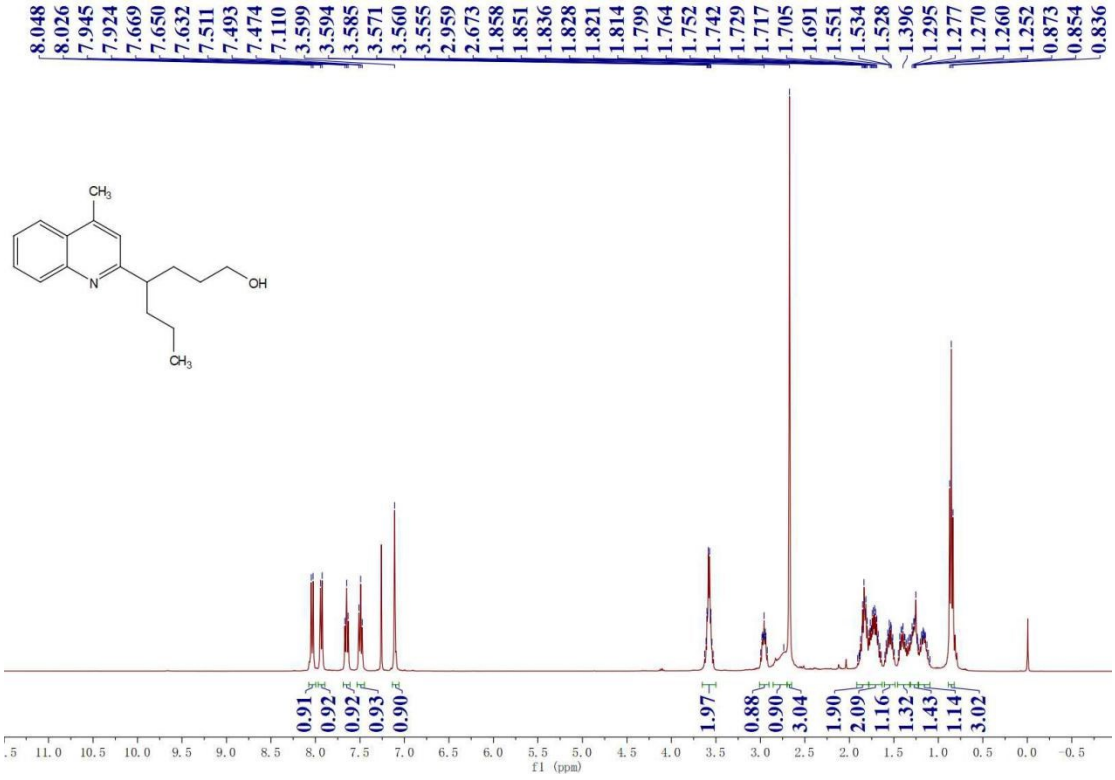
^{1}H NMR of 3x


8.057
8.036
7.943
7.922
7.666
7.662
7.649
7.645
7.628
7.509
7.506
7.492
7.488
7.485
7.107
3.601
3.595
3.590
3.585
3.579
3.569
3.563
3.553
2.868
2.667
1.858
1.850
1.842
1.835
1.827
1.820
1.811
1.805
1.791
1.773
1.755
1.736
1.548
1.531
1.397
1.343
1.325
0.837
0.819
0.800
CH3
N
OH
CH3
1.00
0.91
0.97
0.95
0.81
1.79
0.94
1.09
2.76
3.85
1.28
1.45
3.00
f1 (ppm)

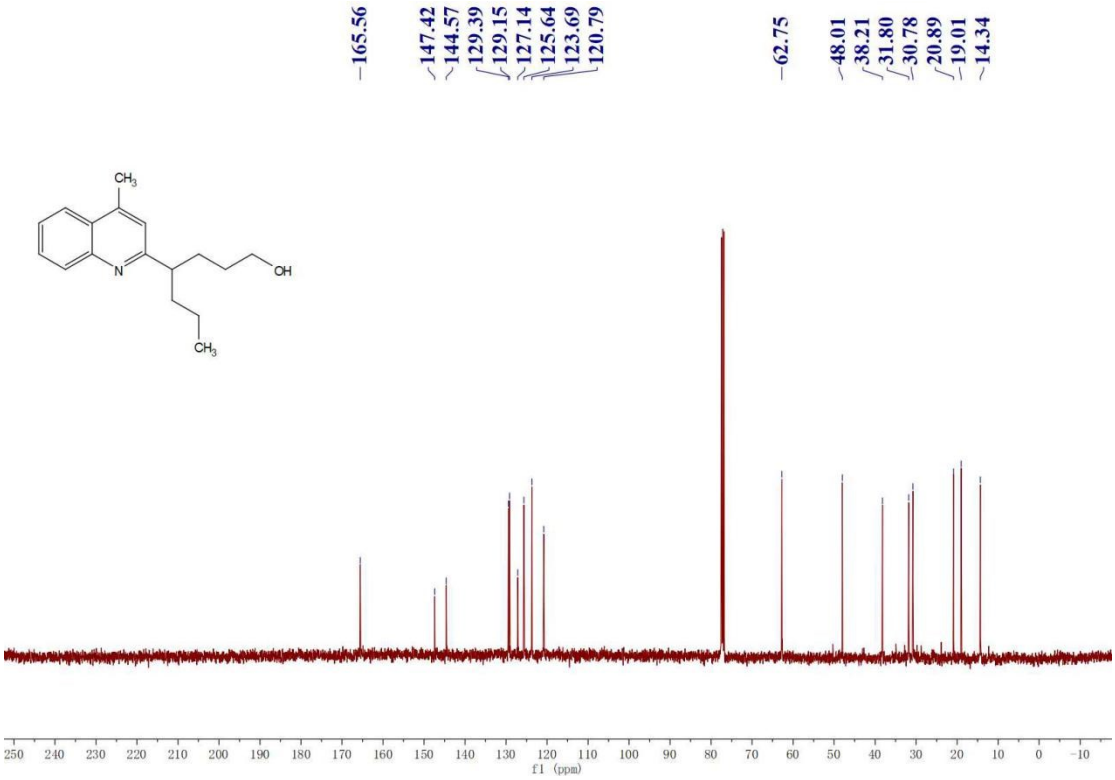
^{13}C NMR of 3x


165.34
147.36
144.65
129.31
129.16
127.14
125.66
123.68
120.80
62.67
49.81
31.49
30.76
28.78
18.98
12.25
CH3
N
OH
CH3
f1 (ppm)

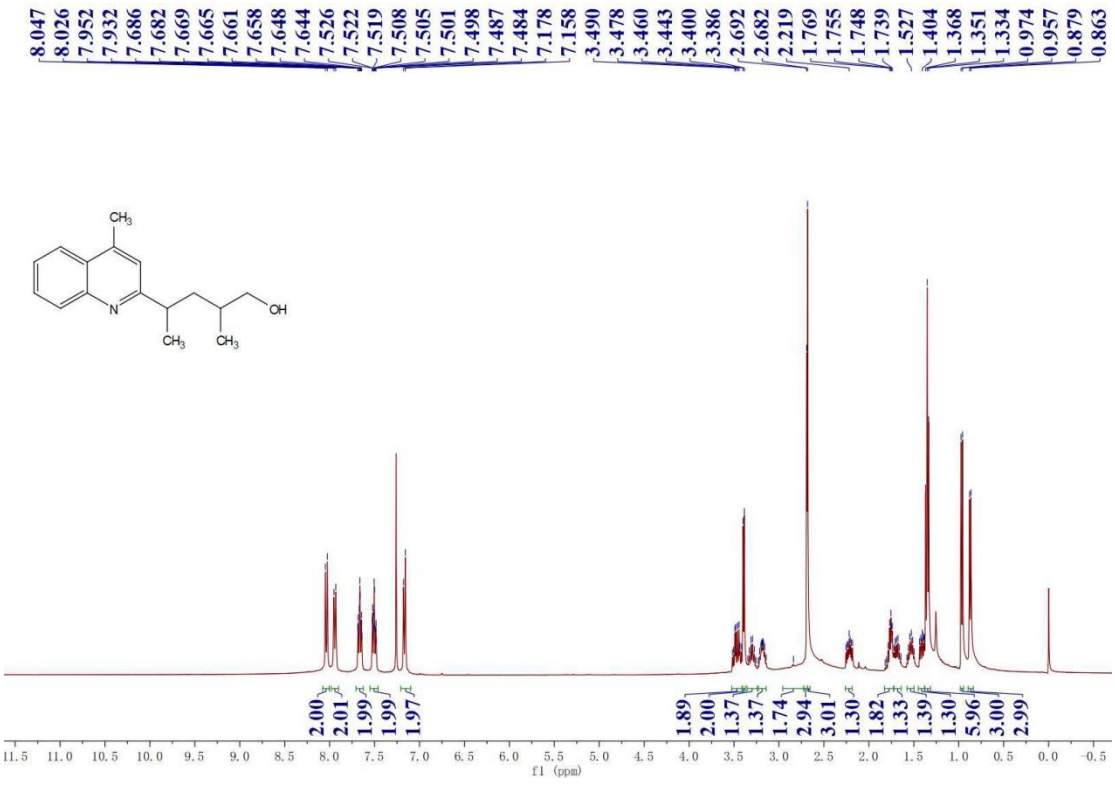
^{1}H NMR of 3y



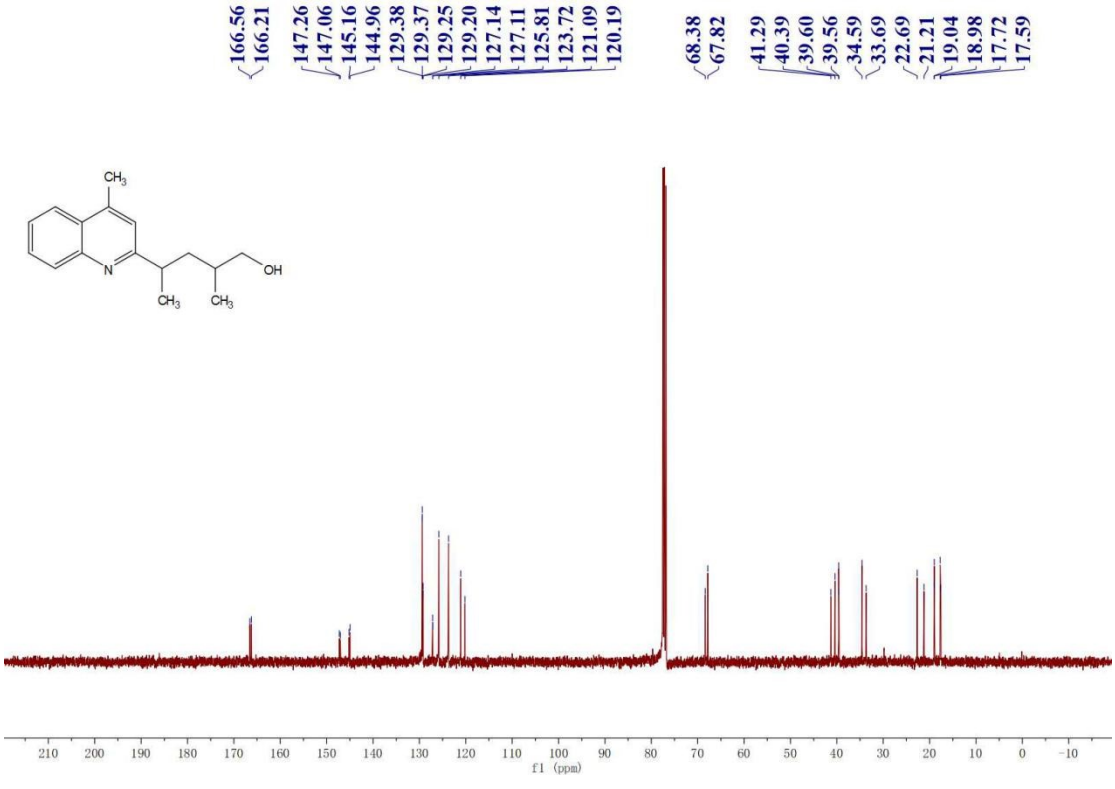
^{13}C NMR of 3y


165.56
147.42
144.57
129.39
129.15
127.14
125.64
123.69
120.79
62.75
48.01
38.21
31.80
30.78
20.89
19.01
14.34
CH3
N
OH
CH3
250 240 230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

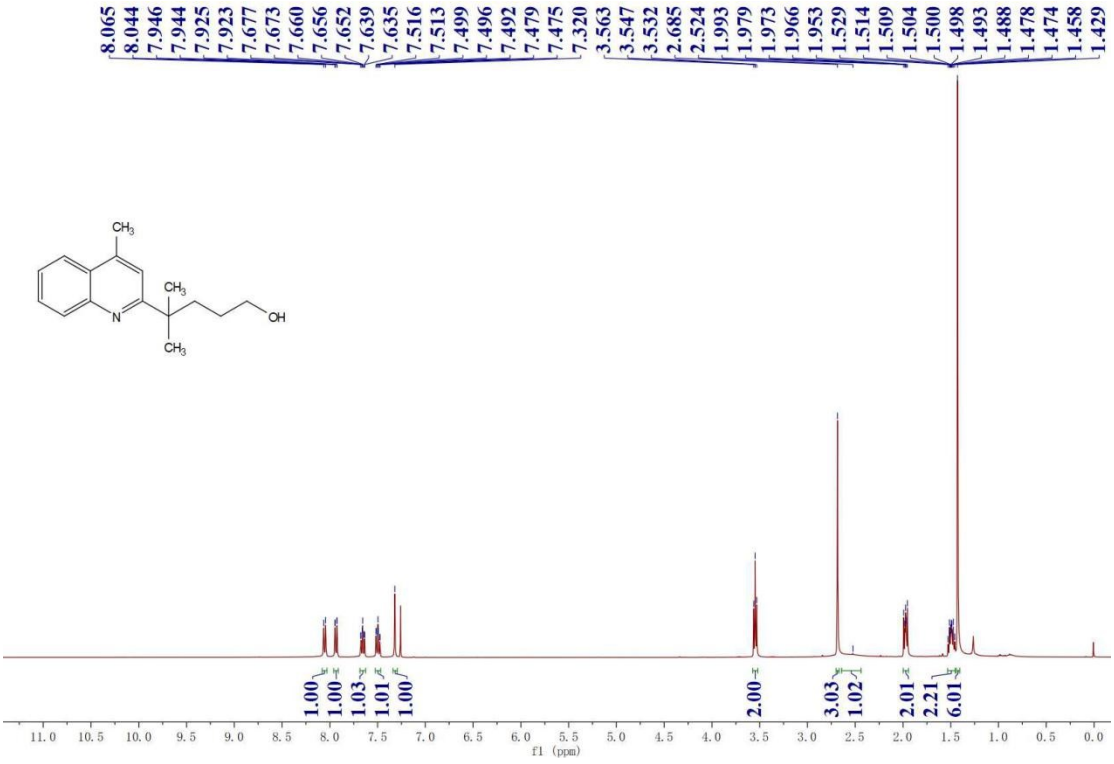
^{1}H NMR of 3z


8.047
8.026
7.952
7.932
7.686
7.682
7.669
7.665
7.661
7.658
7.648
7.644
7.526
7.522
7.519
7.508
7.505
7.501
7.498
7.487
7.484
7.178
7.158
3.490
3.478
3.460
3.443
3.400
3.386
2.692
2.682
2.219
1.769
1.755
1.748
1.739
1.527
1.404
1.368
1.351
1.334
0.974
0.957
0.879
0.863
CH3
N
OH
CH3
CH3
2.00
2.01
1.99
1.99
1.97
1.89
2.00
1.37
1.37
1.74
2.94
3.01
1.30
1.82
1.33
1.39
1.30
5.96
3.00
2.99
f1 (ppm)

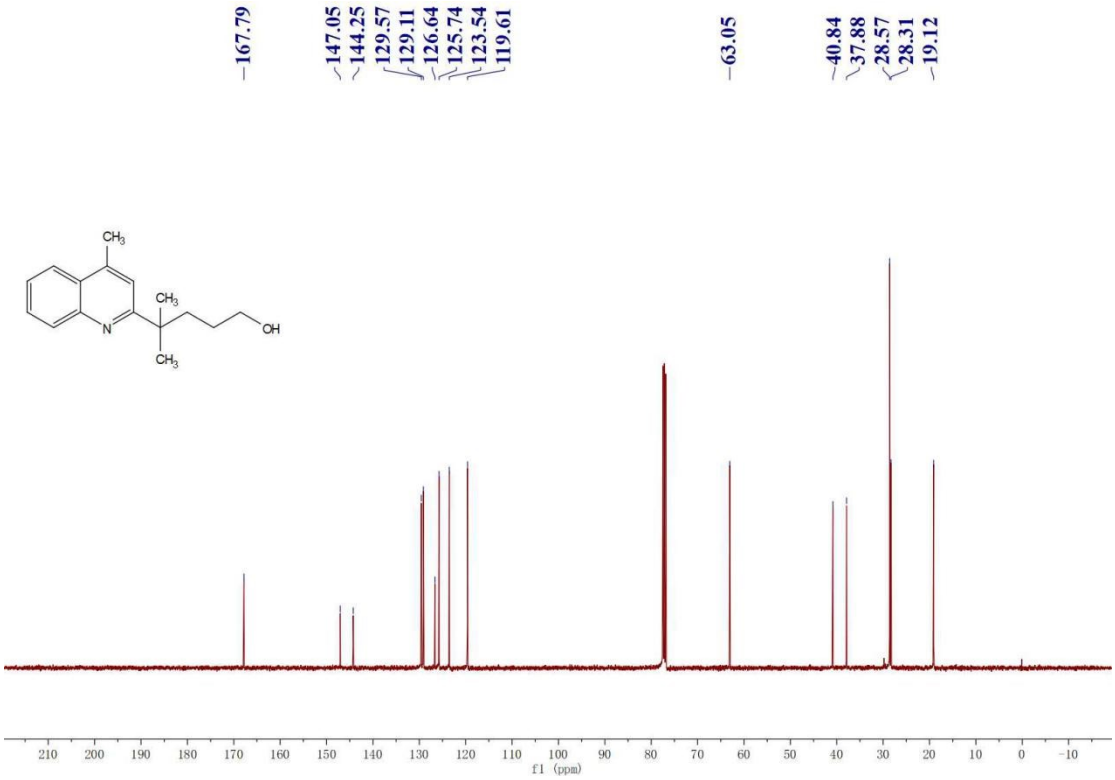
^{13}C NMR of 3z


166.56
166.21
147.26
147.06
145.16
144.96
129.38
129.37
129.25
129.20
127.14
127.11
125.81
123.72
121.09
120.19
68.38
67.82
41.29
40.39
39.60
39.56
34.59
33.69
22.69
21.21
19.04
18.98
17.72
17.59
CH3
N
OH
CH3
CH3
f1 (ppm)

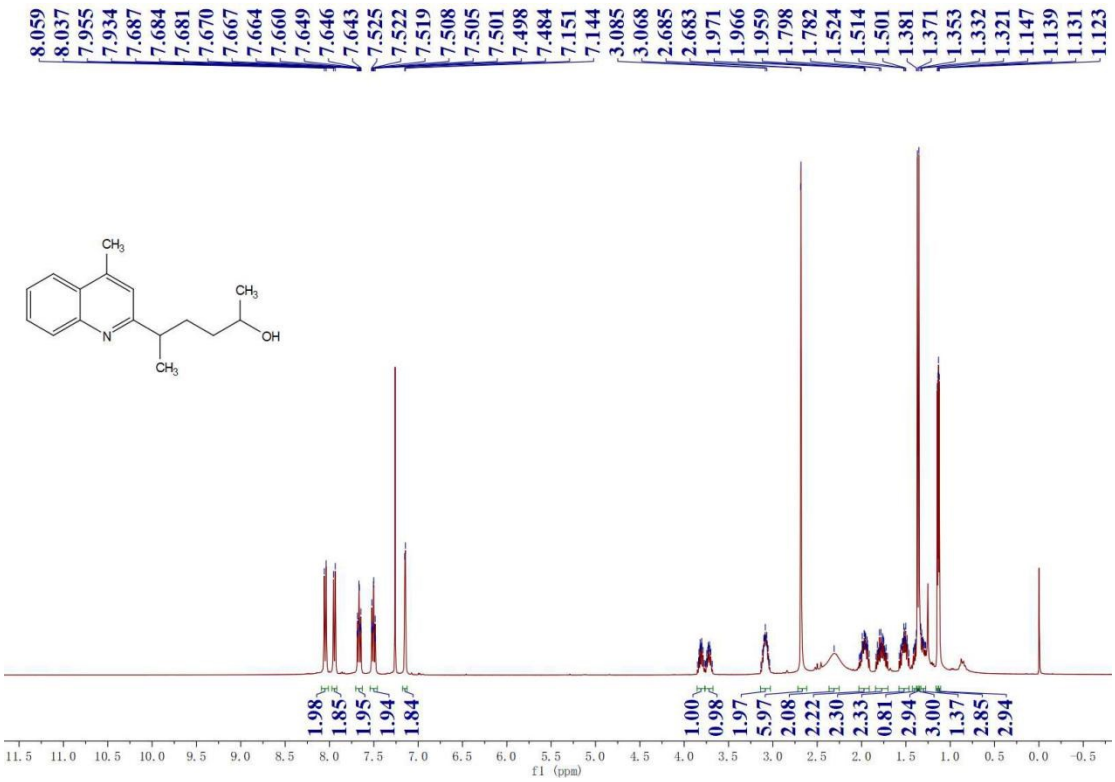
^{1}H NMR of 3aa



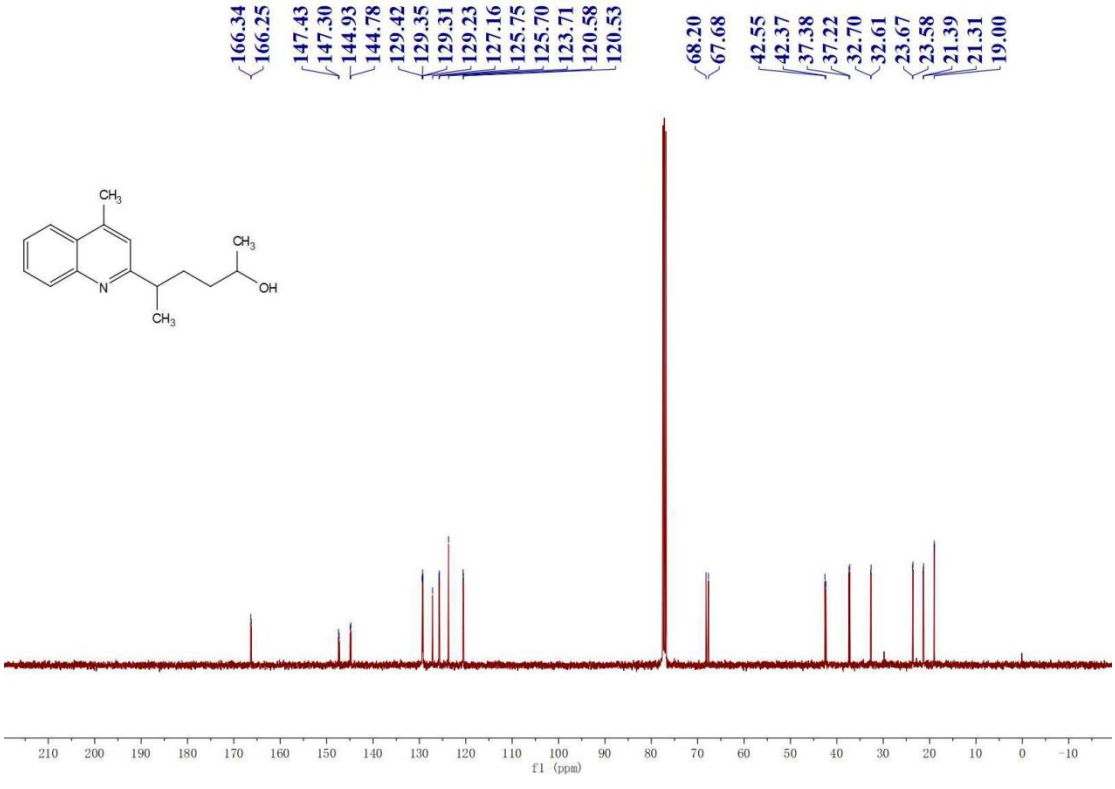
^{13}C NMR of 3aa


167.79
147.05
144.25
129.57
129.11
126.64
125.74
123.54
119.61
63.05
40.84
37.88
28.57
28.31
19.12
CH3
CH3
CH3
N
OH
f1 (ppm)

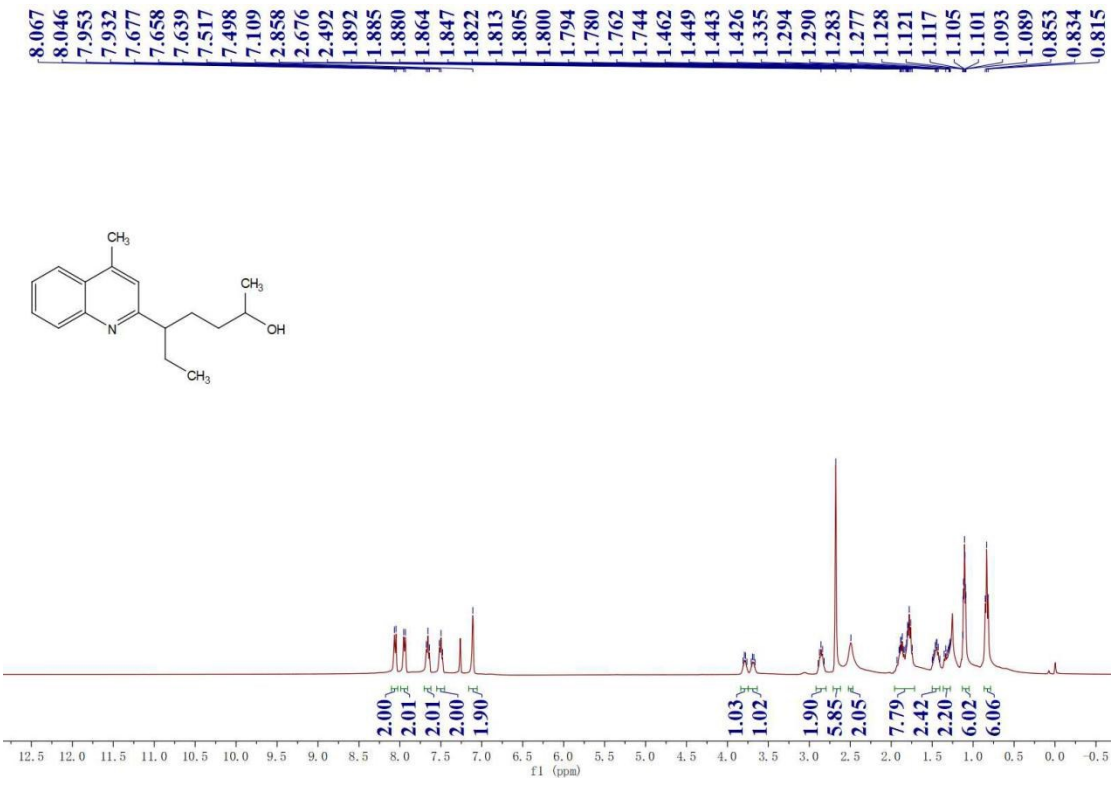
^{1}H NMR of 3ab



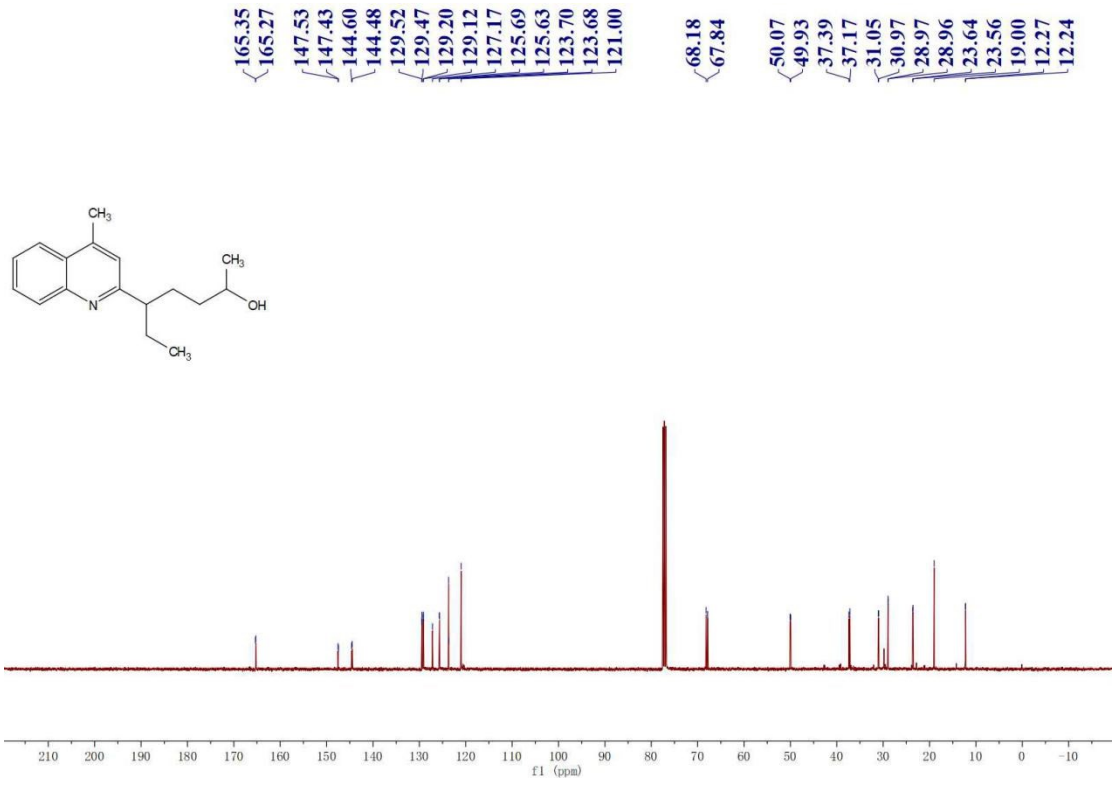
^{13}C NMR of 3ab



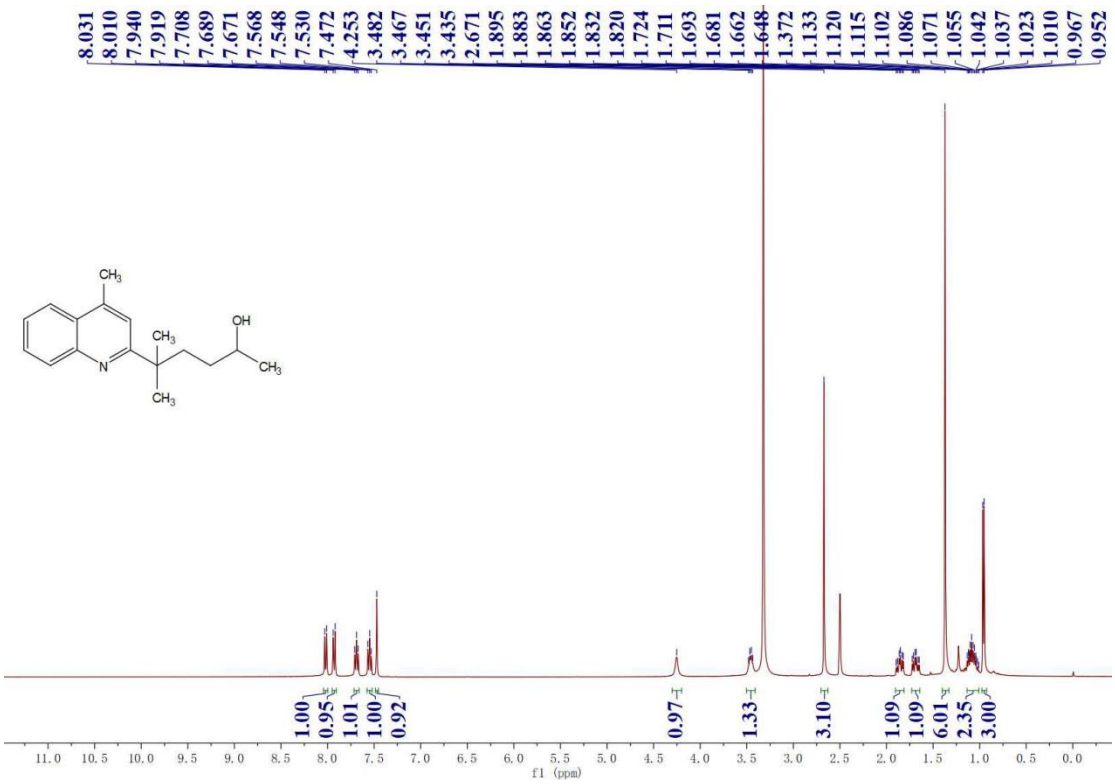
^{1}H NMR of 3ac



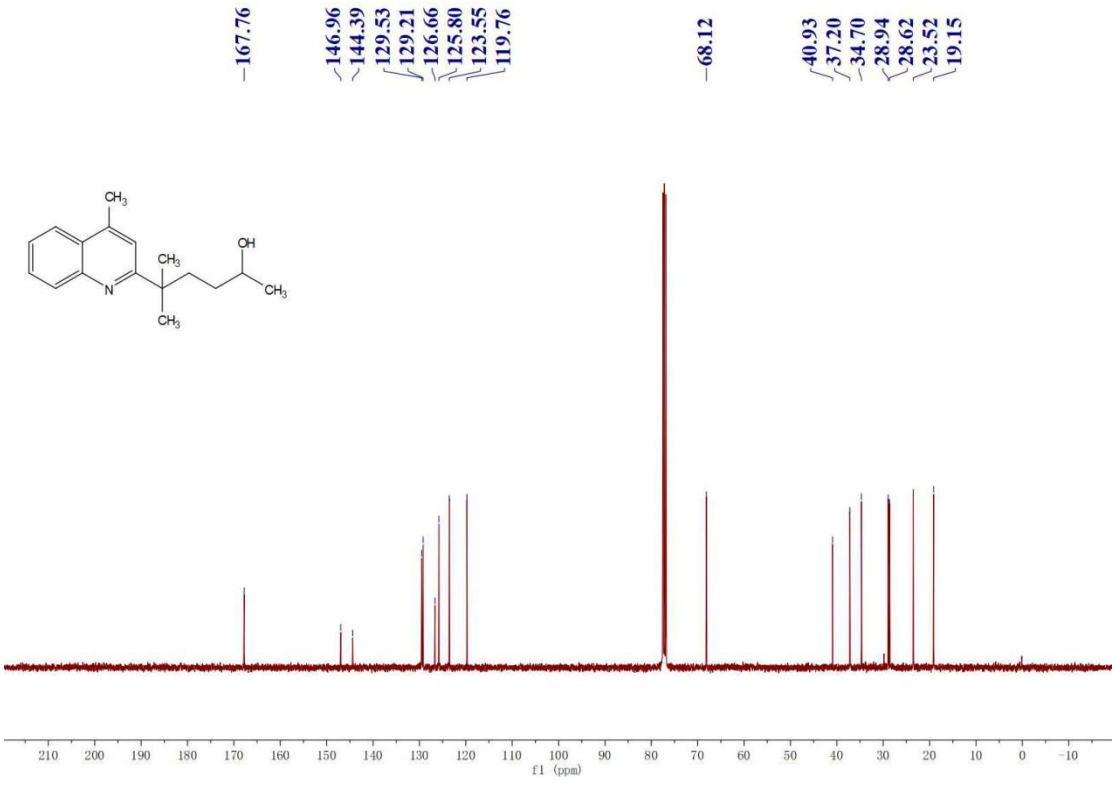
^{13}C NMR of 3ac


165.35
165.27
147.53
147.43
144.60
144.48
129.52
129.47
129.20
129.12
127.17
125.69
125.63
123.70
123.68
121.00
68.18
67.84
50.07
49.93
37.39
37.17
31.05
30.97
28.97
28.96
23.64
23.56
19.00
12.27
12.24
CH3
CH3
N
OH
CH3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

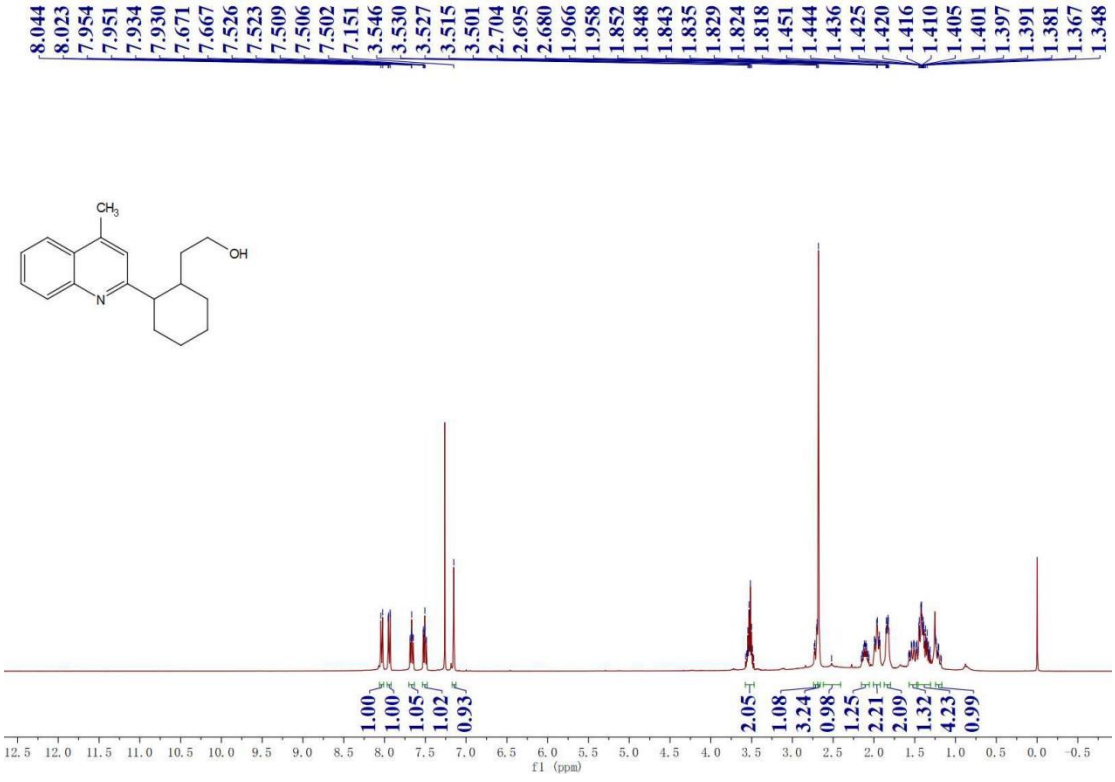
^{1}H NMR of 3ad



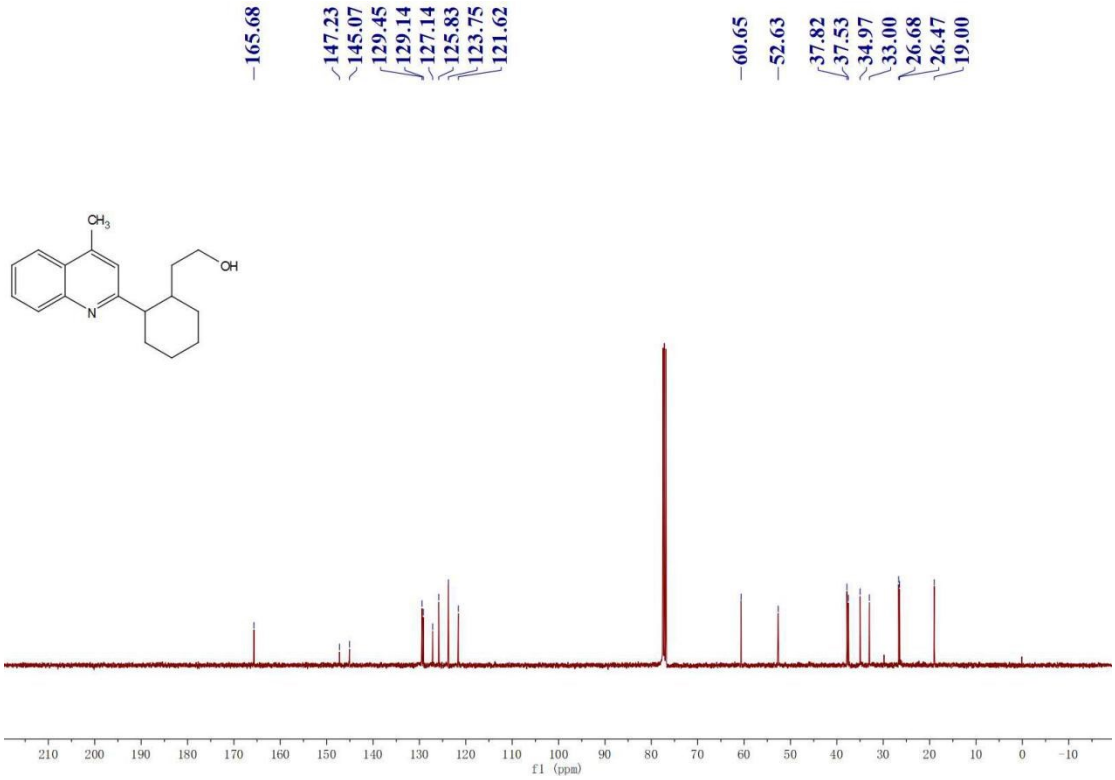
^{13}C NMR of 3ad



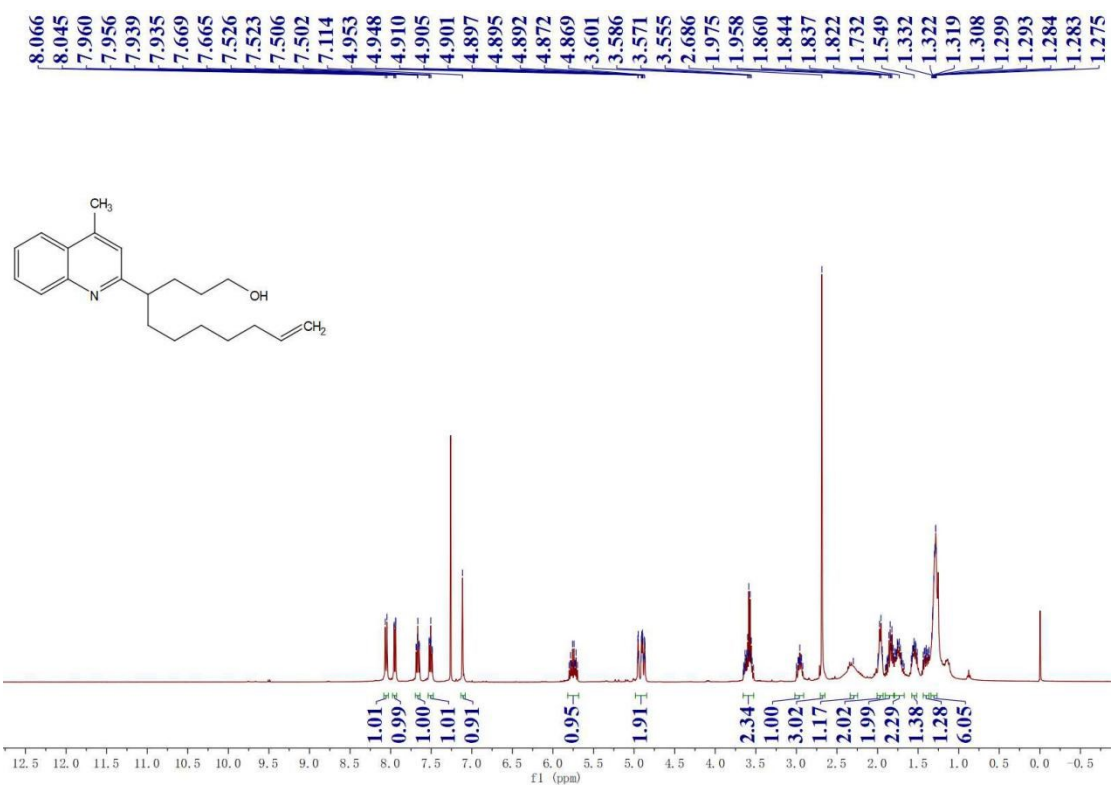
^{1}H NMR of 3ae



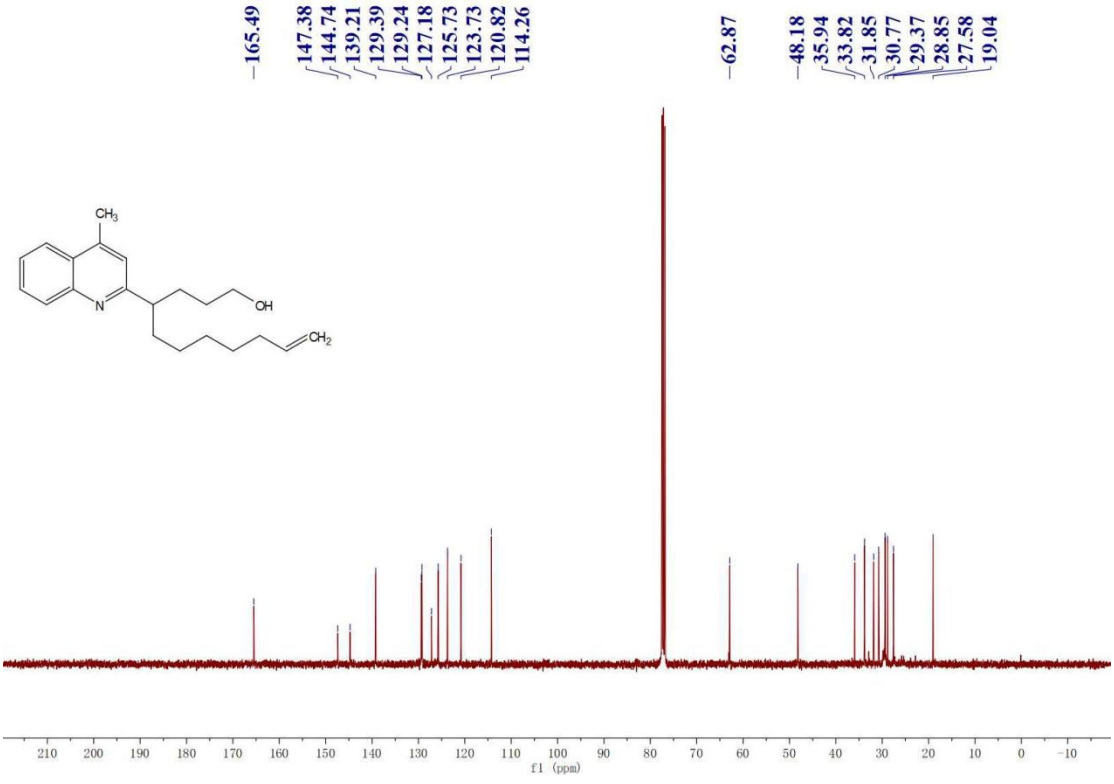
^{13}C NMR of 3ae


165.68
147.23
145.07
129.45
129.14
127.14
125.83
123.75
121.62
60.65
52.63
37.82
37.53
34.97
33.00
26.68
26.47
19.00
CH3
OH
N
f1 (ppm)

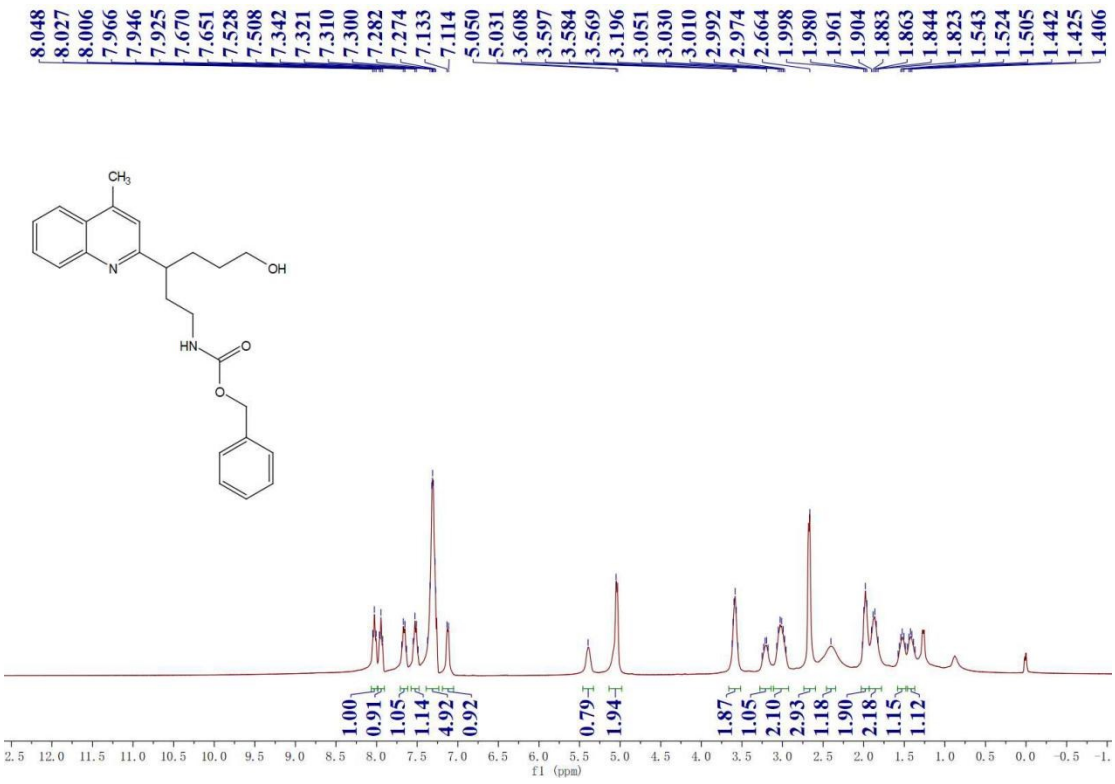
^{1}H NMR of 3af



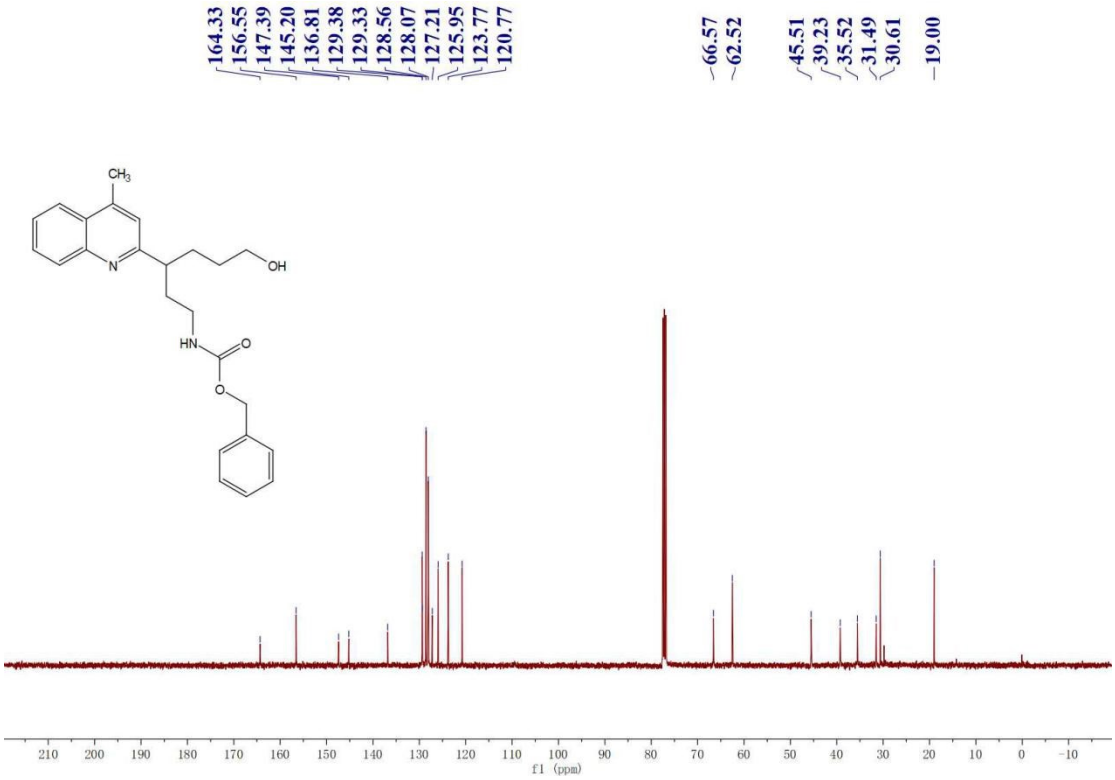
^{13}C NMR of 3af



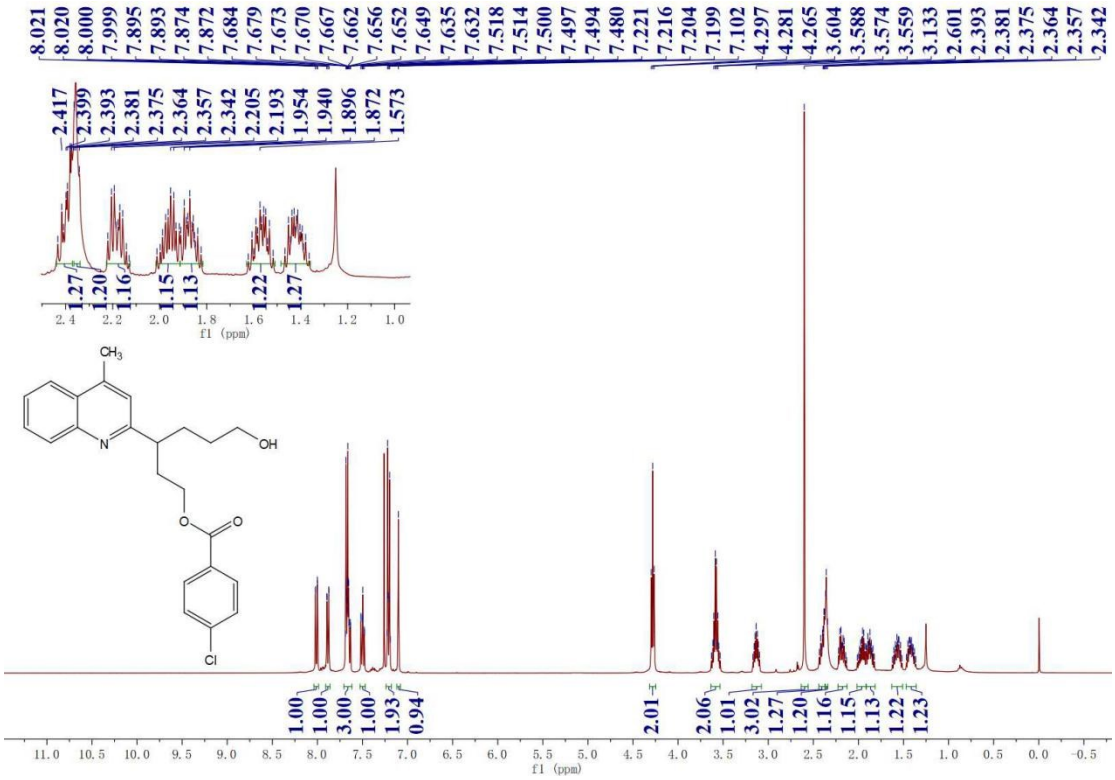
^{1}H NMR of 3ag



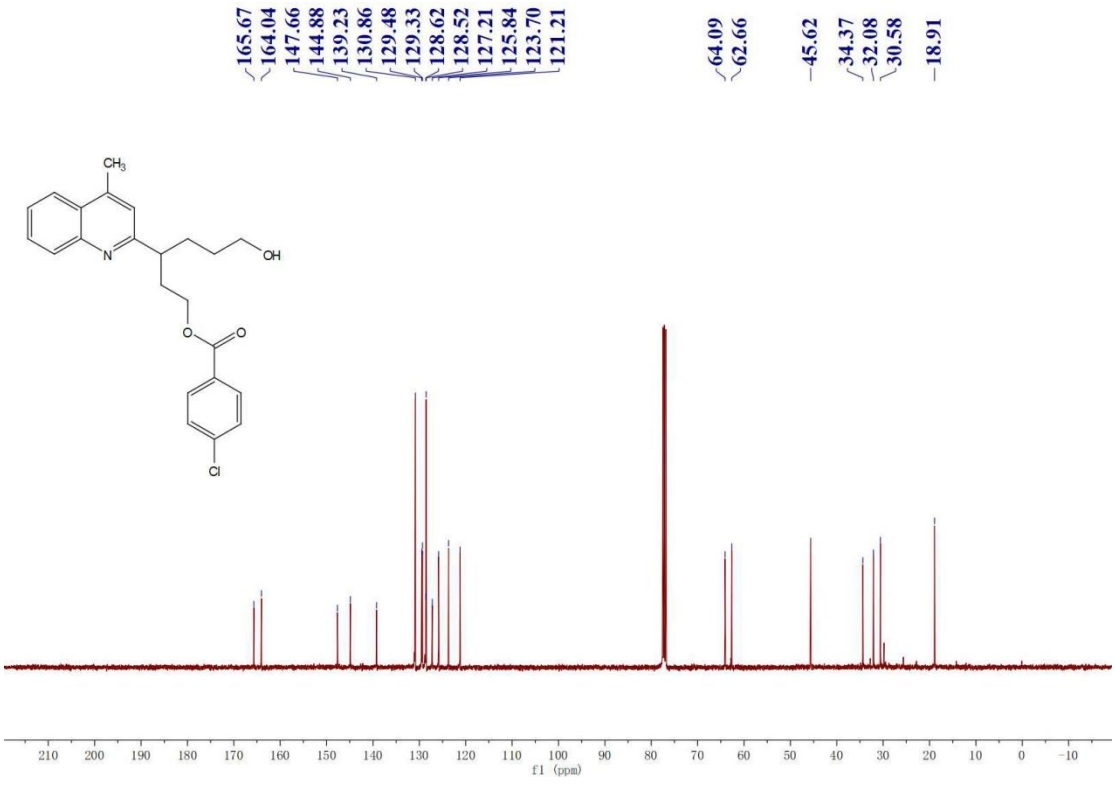
^{13}C NMR of 3ag


164.33
156.55
147.39
145.20
136.81
129.38
129.33
128.56
128.07
127.21
125.95
123.77
120.77
66.57
62.52
45.51
39.23
35.52
31.49
30.61
19.00
CH3
N
OH
HN
O
O
f1 (ppm)

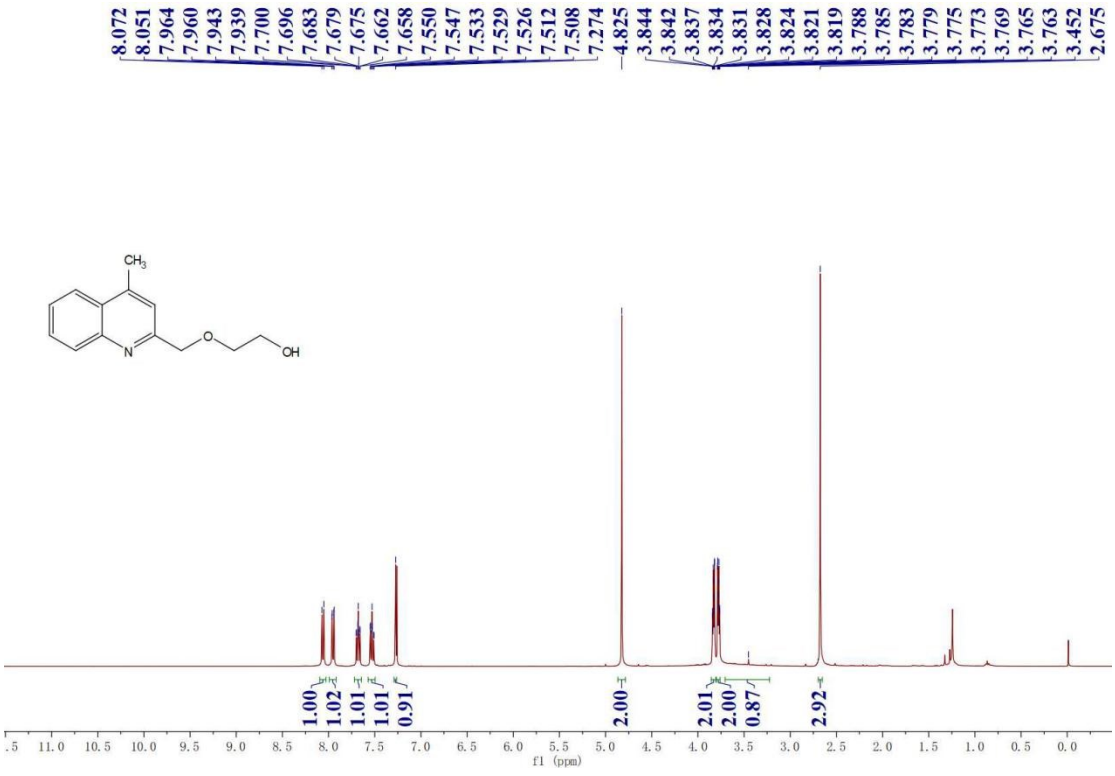
^{1}H NMR of 3ah



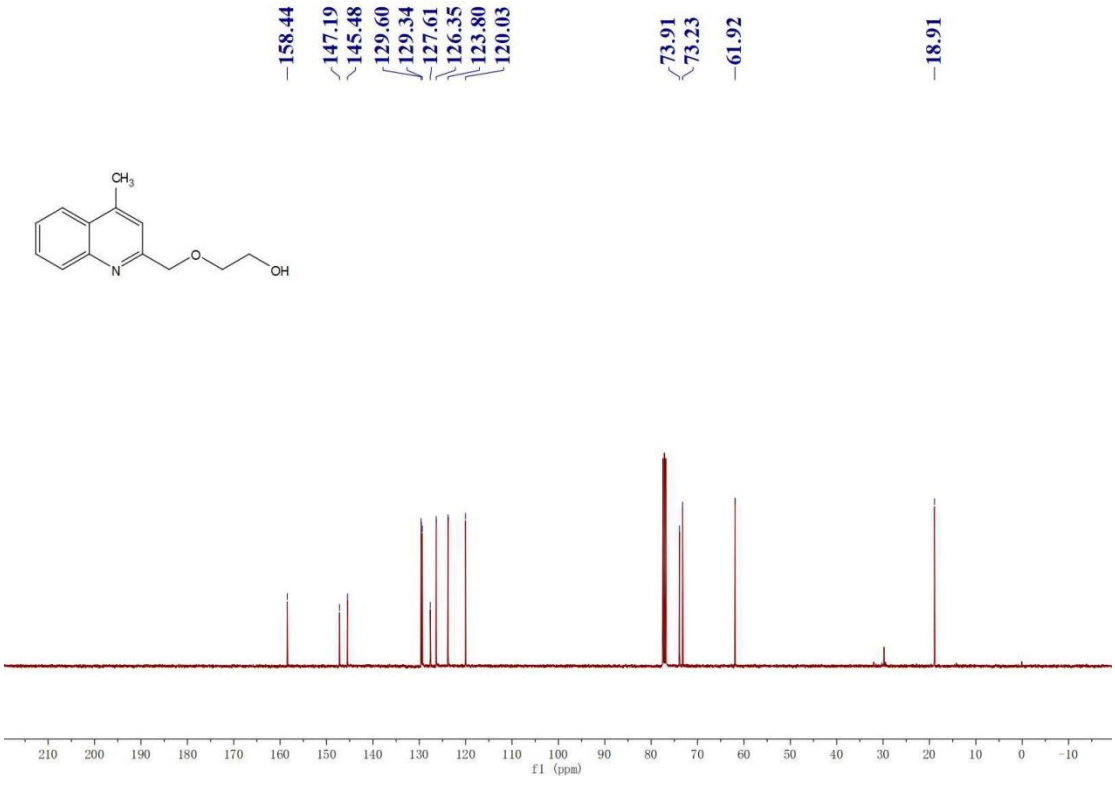
^{13}C NMR of 3ah



^{1}H NMR of 3ai



^{13}C NMR of 3ai


158.44
147.19
145.48
129.60
129.34
127.61
126.35
123.80
120.03
73.91
73.23
61.92
18.91
CH3
N
O
OH
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)