Electronic Supplementary Information

Ag-Promoted α C-H Arylation of Alcohols

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Content

Experiment Procedures and Product Characterization

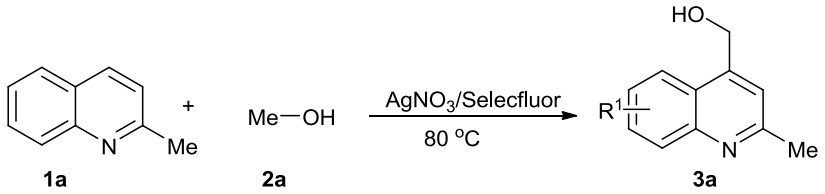
Commercial reagents and solvents were used as received, unless otherwise stated. Organic solution was concentrated under reduced pressure on an Eyela rotary evaporator. Analytical thin layer chromatography (TLC) was performed on 0.25 mm silica gel plates (Qingdao Haiyang Chemical China), and the compounds were visualized with a UV light at 254 nm. Flash chromatography was performed on silica gel 200–300 mesh (purchased from Qingdao Haiyang Chemical China) with commercial solvents. The ^{1}H and ^{13}C NMR spectra were recorded on a Bruker AM 600 Spectrometer (600, 151, 565 MHz for ^{1}H ,^{13}C and ^{19}F NMR, respectively) and are internally referenced to residual solvent signals (note: $CDCl_3$ referenced at 7.26 and 77.00 ppm in ^{1}H and ^{13}C NMR, respectively; DMSO-d_6 referenced at 2.50 and 39.52 ppm in ^{1}H and ^{13}C NMR, respectively). Multiplicities were given as s (singlet), d (doublet), t (triplet), dd (double of doublet), and m (multiplets). Coupling constants were reported in Hertz (Hz). High-resolution mass spectrometry (HRMS) was recorded on Agilent Q-TOF spectrometer.

General procedure for α C-H Arylation of Alcohols

To a 35 mL Schlenk tube equipped with a magnetic stir bar was charged a substituted 2-methylquinoline (1.0 mmol, 1.0 equiv.), selectfluor (4.0 mmol, 4.0 equiv.), $AgNO_3$ (1.0 mmol, 1.0 equiv.), 1.0 mL H_2O and 9.0 mL alcohol. After stirring at 80 ℃ for the indicated time, the reaction mixture was diluted with 10 mL of saturated solution $NaHCO_3$, and extracted with EtOAc (20 mL × 3). The combined organic extracts were washed with brine (20 mL × 2), dried over anhydrous Na_2SO_4, and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel using the indicated solvent system afforded the desired product.

Screening of reaction conditions

Table S1 Screening of conditions.[a]

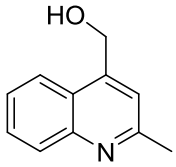


1a **2a** **3a**

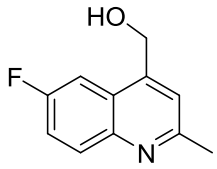
Entry	$AgNO_3$ (eq)	Selectfluor (eq)	Time (h)	MeOH:H_2O[b]	Yield[c] (%)
1	$AgNO_3$ (0.5)	0.25	4	3:1	trace
2	$AgNO_3$ (0.5)	0.5	4	3:1	7
3	$AgNO_3$ (0.5)	1	4	3:1	24
4	$AgNO_3$ (0.5)	2	4	3:1	53
5	$AgNO_3$ (0.5)	4	4	3:1	76
6	$AgNO_3$ (0.25)	4	4	3:1	66
7	$AgNO_3$ (0.5)	4	4	3:1	76
8	$AgNO_3$ (1)	4	4	3:1	88
9	$AgNO_3$ (2)	4	4	3:1	89
10	$AgNO_3$ (4)	4	4	3:1	87
11	$AgNO_3$ (1)	4	4	1:1	88
12	$AgNO_3$ (1)	4	4	1:3	87
13	$AgNO_3$ (1)	4	4	1:9	79
14	$AgNO_3$ (1)	4	4	9:1	96
15	Ag_2CO_3 (1)	4	4	9:1	74
16	AgF (1)	4	4	9:1	88
17	$AgBF_4$ (1)	4	4	9:1	93
18	AgOTf (1)	4	4	9:1	93
19	CF_3COOAg (1)	4	4	9:1	93
20	$AgNO_3$ (1)	4	2	9:1	93
21	$AgNO_3$ (1)	4	6	9:1	93
22[d]	$AgNO_3$ (1)	4	4	9:1	90
23[e]	$AgNO_3$ (1)	4	4	9:1	85

[a] Conditions: 0.2 mmol **1a**, $AgNO_3$, Selectfluor, and 2 mL solvent were added in a sealed tube. The system was heated under the indicated temperature. [b]The ratio of volume. [c] Isolated yields. [d] Reaction temperature was 60 °C. [e] Reaction temperature was 100 °C.

Characterization data of product

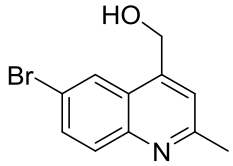


(2-methylquinolin-4-yl)methanol(**3a**)[1,2]: According to the general procedure, methanol(9.0 mL), 2-methylquinoline (143 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (60% ethyl acetate/petroleum ether) to provide the title compound as a white solid (161 mg, 93% yield). ^{1}H NMR (600MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.2 Hz, 1H), 7.65 – 7.63 (m, 1H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.40 (s, 1H), 5.18 (s, 2H),4.14 (s, 1H), 2.63 (s, 3H).; ^{13}C NMR (151 MHz, $CDCl_3$) δ 158.92, 147.08, 146.74, 129.35, 128.64, 125.82, 124.03, 122.62, 119.03, 61.14, 25.06.; HRMS(ESI) Calcd. for $C_{11}H_{12}NO$ [$(M+H)^+$] 174.0913, found 174.0914.

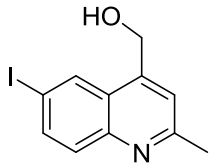


(6-fluoro-2-methylquinolin-4-yl)methanol(**3b**)[1,2]: According to the general procedure, methanol(9.0 mL), 6-fluoro-2-methylquinoline (161 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (55% ethyl acetate/petroleum ether) to provide the title compound as a white solid (183 mg, 96% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 7.98 (dd, *J* = 9.2, 5.7 Hz, 1H), 7.72 (dd, *J* = 10.3, 2.7 Hz, 1H), 7.59 (td, *J* = 8.8, 2.8 Hz, 1H), 7.48 (s, 1H), 5.59 (t, *J* = 5.5 Hz, 1H), 4.93 (d, *J* = 5.4 Hz, 2H), 2.63 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.11 (d, J = 243.6 Hz), 158.03 (d, J = 2.5 Hz), 147.35 (d, *J* = 5.4 Hz), 144.34, 131.38 (d, *J* = 9.3 Hz), 124.55 (d, *J* = 9.8 Hz), 119.47, 118.73 (d, *J* = 25.4 Hz), 107.22 (d, *J* =

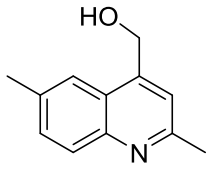
22.3 Hz), 59.75, 24.85.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -111.61 – -118.30 (m); HRMS(ESI) Calcd. for $C_{11}H_{11}FNO$ [$(M+H)^+$] 192.0819, found 192.0819.



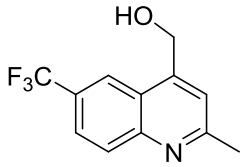
(6-bromo-2-methylquinolin-4-yl)methanol(**3c**)[1,2]: According to the general procedure, methanol(9.0 mL), 6-bromo-2-methylquinoline (220 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (236 mg, 94% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.18 (d, J = 1.9 Hz, 1H), 7.85 (d, J = 8.9 Hz, 1H), 7.80 (dd, J = 8.9, 2.0 Hz, 1H), 7.48 (s, 1H), 5.59 (t, J = 5.4 Hz, 1H), 4.94 (d, J = 5.0 Hz, 2H), 2.63 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.31, 146.97, 145.82, 132.03, 130.93, 125.81, 125.39, 119.80, 118.53, 59.69, 24.99.; HRMS(ESI) Calcd. for $C_{11}H_{11}BrNO$ [$(M+H)^+$] 252.0019, found 252.0017.



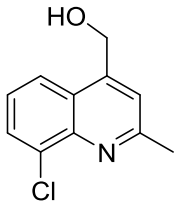
(6-iodo-2-methylquinolin-4-yl)methanol(**3d**): According to the general procedure, methanol(9.0 mL), 6-iodo-2-methylquinoline (269 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (272 mg, 91% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.36 (d, J = 1.8 Hz, 1H), 7.94 (dd, J = 8.8, 1.7 Hz, 1H), 7.69 (d, J = 8.8 Hz, 1H), 7.45 (s, 1H), 5.58 (t, J = 5.4 Hz, 1H), 4.94 (d, J = 5.3 Hz, 2H), 2.62 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.32, 146.61, 146.09, 137.36, 132.11, 130.75, 125.96, 119.61, 91.63, 59.69, 25.02.; HRMS(ESI) Calcd. for $C_{11}H_{11}BrNO$ [$(M+H)^+$] 299.9880, found 299.9881.



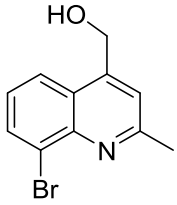
(2,6-dimethylquinolin-4-yl)methanol(**3e**)[1]: According to the general procedure, methanol(9.0 mL), 2,6-dimethylquinoline (157 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (50% ethyl acetate/petroleum ether) to provide the title compound as a white solid (148 mg, 79% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 7.81 (d, J = 8.5 Hz, 1H), 7.73 (s, 1H), 7.51 (dd, J = 8.5, 1.8 Hz, 1H), 7.41 (s, 1H), 5.52 (t, J = 5.5 Hz, 1H), 4.97 (d, J = 5.0 Hz, 2H), 2.62 (s, 3H), 2.48 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 157.40, 146.80, 145.66, 134.68, 130.94, 128.52, 123.78, 122.10, 118.59, 59.67, 24.89, 21.30.; HRMS(ESI) Calcd. for $C_{12}H_{14}NO$ [$(M+H)^+$] 188.1070, found 188.1072.



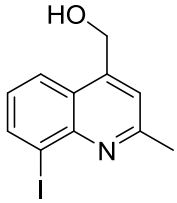
(2-methyl-6-(trifluoromethyl)quinolin-4-yl)methanol(**3f**)[1]: According to the general procedure, methanol(9.0 mL), 2-methyl-6-(trifluoromethyl)quinoline (211 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (224 mg, 93% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.38 (s, 1H), 8.10 (d, J = 8.8 Hz, 1H), 7.93 (dd, J = 8.8, 2.0 Hz, 1H), 7.57 (s, 1H), 5.67 (t, J = 5.4 Hz, 1H), 5.04 (d, J = 5.0 Hz, 2H), 2.69 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 161.59, 148.67, 148.41, 130.29, 125.48(q, J = 31.7 Hz), 124.38 (q, J = 3.0 Hz), 124.33 (q, J = 272.2 Hz), 123.27, 121.85 (q, J = 4.4 Hz), 120.43, 59.77, 25.14.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -60.43.; HRMS(ESI) Calcd. for $C_{12}H_{11}F_3NO$ [$(M+H)^+$] 242.0787, found 242.0789.



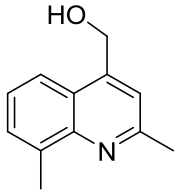
(8-chloro-2-methylquinolin-4-yl)methanol(**3g**): According to the general procedure, methanol(9.0 mL), 8-chloro-2-methylquinoline (177 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (184 mg, 89% yield). ^{1}H NMR (600 MHz, DMSO-d_6) δ 7.95 (dd, J = 8.4, 1.1 Hz, 1H), 7.87 (dd, J = 7.5, 1.1 Hz, 1H), 7.56 (s, 1H), 7.49 (t, J = 6.0 Hz, 1H), 5.62 (t, J = 5.5 Hz, 1H), 5.01 (dd, J = 5.4, 0.6 Hz, 2H), 2.70 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.59, 148.41, 143.00, 132.25, 129.21, 125.59, 125.35, 122.75, 119.66, 59.69, 25.31.; HRMS(ESI) Calcd. for $C_{11}H_{11}ClNO$ [$(M+H)^+$] 208.0524, found 208.0524.



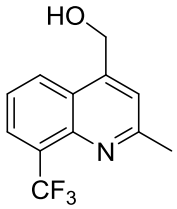
(8-bromo-2-methylquinolin-4-yl)methanol(**3h**): According to the general procedure, methanol(9.0 mL), 8-bromo-2-methylquinoline (220 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (212 mg, 85% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.07 (dd, J = 7.4, 1.0 Hz, 1H), 8.00 (dd, J = 8.3, 0.9 Hz, 1H), 7.55 (s, 1H), 7.43 (t, J = 7.9 Hz, 1H), 5.63 (t, J = 5.5 Hz, 1H), 5.02 (d, J = 5.4 Hz, 1H), 2.70 (s, 1H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.81, 148.49, 143.76, 132.72, 126.17, 125.34, 124.08, 123.46, 119.68, 59.62, 25.32.; HRMS(ESI) Calcd. for $C_{11}H_{11}BrNO$ [$(M+H)^+$] 252.0019, found 252.0022.



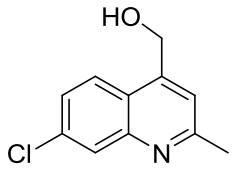
(8-iodo-2-methylquinolin-4-yl)methanol(**3i**): According to the general procedure, methanol(9.0 mL), 8-iodo-2-methylquinoline (269 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (250 mg, 84% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.33 (dd, J = 7.3, 1.8 Hz, 1H), 8.01 (dd, J = 8.3, 1.0 Hz, 1H), 7.53 (s, 1H), 7.28 (t, J = 9.0 Hz, 1H), 5.62 (t, J = 5.4 Hz, 1H), 5.00 (d, J = 5.0 Hz, 2H), 2.70 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.85, 148.58, 145.53, 139.43, 126.95, 124.46, 124.20, 119.64, 103.93, 59.42, 25.25.; HRMS(ESI) Calcd. for $C_{11}H_{11}INO$ [$(M+H)^+$] 299.9880, found 299.9880.



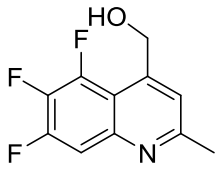
(2,8-dimethylquinolin-4-yl)methanol(**3j**): According to the general procedure, methanol(9.0 mL), 2,8-dimethylquinoline (157 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (142 mg, 76% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 7.81 (d, J = 8.2 Hz, 1H), 7.73 (s, 1H), 7.52 (dd, J = 8.5, 1.7 Hz, 1H), 7.41 (s, 1H), 5.52 (t, J = 5.0 Hz, 1H), 4.97 (d, J = 4.9 Hz, 1H), 2.62 (s, 3H), 2.48 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 157.40, 146.80, 145.65, 134.68, 130.95, 128.52, 123.78, 122.10, 118.59, 59.67, 24.89, 21.30.; HRMS(ESI) Calcd. for $C_{12}H_{14}NO$ [$(M+H)^+$] 188.1070, found 188.1071.



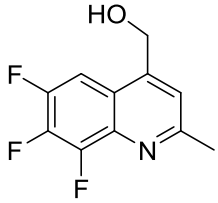
(2-methyl-8-(trifluoromethyl)quinolin-4-yl)methanol(**3k**): According to the general procedure, methanol(9.0 mL), 2-methyl-8-(trifluoromethyl)quinoline (211 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (30% ethyl acetate/petroleum ether) to provide the title compound as a white solid (201 mg, 83% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.28 (d, *J* =8.0 Hz, 1H), 8.10 (d, *J* = 7.2 Hz, 1H), 7.64 (t, *J* = 7.8 Hz, 1H), 7.59 (s, 1H), 5.65 (t, *J* = 5.5 Hz, 1H), 5.03 (d, *J* = 5.0 Hz, 2H), 2.69 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.89, 148.17, 143.44, 138.53, 127.53 (q, *J* = 5.5 Hz), 125.82 (q, *J* = 28.4 Hz), 124.46, 124.33, 124.32 (q, *J* = 273.5 Hz), 119.68, 59.71, 25.56.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -58.32.;HRMS(ESI) Calcd. for $C_{12}H_{11}F_3NO$ [$(M+H)^+$] 242.0787, found 242.0789.



(7-chloro-2-methylquinolin-4-yl)methanol(**3l**)[1,2]: According to the general procedure, methanol(9.0 mL), 7-chloro-2-methylquinoline (177 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (194 mg, 94% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ 8.01 (d, *J* = 8.9 Hz, 1H), 7.95 (d, *J* = 2.2 Hz, 1H), 7.54 (dd, *J* = 8.9, 2.2 Hz, 1H), 7.48 (s, 1H), 5.59 (t, *J* = 5.5 Hz, 1H), 4.97 (d, *J* = 5.3 Hz, 2H), 2.65 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 160.19, 147.90, 147.72, 133.53, 127.34, 125.90, 125.55, 122.56, 119.22, 59.62, 25.02.; HRMS(ESI) Calcd. for $C_{11}H_{11}ClNO$ [$(M+H)^+$] 208.0524, found 208.0525.

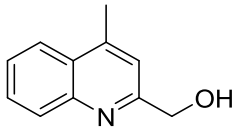


(5,6,7-trifluoro-2-methylquinolin-4-yl)methanol(**3m**): According to the general procedure, methanol(9.0 mL), 5,6,7-difluoro-2-methylquinoline (197 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (45% ethyl acetate/petroleum ether) to provide the title compound as a white solid (206 mg, 91% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ7.76 (ddd, *J* = 11.2, 7.3, 1.9 Hz, 1H), 7.62 (s, 1H), 5.69 (t, *J* = 5.3 Hz, 1H), 5.00 (t, *J* = 4.0 Hz, 2H), 2.63 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ160.48, 150.61 (ddd, *J* = 249.3, 12.1, 4.1 Hz), 147.76 (t, *J* = 5.3 Hz), 146.28 (ddd, *J* = 257.0, 11.3, 4.0 Hz), 142.97 (dd, *J* = 12.5, 3.2 Hz), 137.26 (dt, *J* = 34.2, 17.3 Hz), 119.07, 111.83 (d, *J* = 10.2 Hz), 110.51 (dd, *J* = 16.4, 3.9 Hz), 60.42 (d, *J* = 14.5 Hz), 24.84.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -133.42 (ddd, *J* = 23.0, 12.1, 6.3 Hz, 1F), -135.54 (d, *J* = 23.8 Hz, 1F), -161.90 – -163.75 (m, 1F).; HRMS(ESI) Calcd. for $C_{11}H_9F_3NO$ [$(M+H)^+$] 228.0631, found 228.0632.

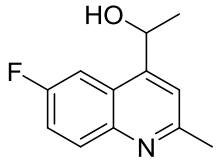


(6,7,8-trifluoro-2-methylquinolin-4-yl)methanol(**3n**): According to the general procedure, methanol(9.0 mL), 6,7,8-difluoro-2-methylquinoline (197 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (45% ethyl acetate/petroleum ether) to provide the title compound as a white solid (203 mg, 90% yield).^{1}H NMR (600 MHz, DMSO-d_6) δ7.89-7.79 (m, 1H), 7.56 (s, 1H), 5.67 (t, *J* = 5.5 Hz, 1H), 4.91 (d, *J* = 5.3 Hz, 2H), 2.67 (s, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.95, 148.07(ddd, *J* = 247.6, 12.1, 1.5 Hz), 147.88 (t, *J* = 3.0 Hz), 144.87 (ddd, *J* = 255.4, 8.9, 4.5 Hz), 139.44 (ddd, *J* = 250.8, 18.5, 13.5 Hz), 135.02 (d, *J*

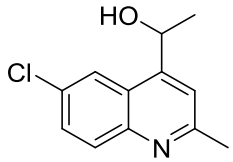
= 7.7 Hz), 120.19, 104.69 (dd, *J* = 18.1, 4.7 Hz), 59.64, 25.03.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -135.65 (ddd, *J* = 21.9, 11.8, 4.4 Hz, 1F), -146.71 (dd, *J* = 18.6, 1.9 Hz, 1F), -158.30 (ddd, *J* = 21.8, 19.7, 8.1 Hz, 1F).; HRMS(ESI) Calcd. for $C_{11}H_9F_3NO$ [$(M+H)^+$] 228.0631, found 228.0632.



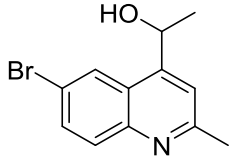
(4-methylquinolin-2-yl)methanol (**3o**)[3]: According to the general procedure, methanol (9.0 mL), 4-methylquinoline (143 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (35% ethyl acetate/petroleum ether) to provide the title compound as a white solid (147 mg, 85% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.06 (dd, *J* = 8.3, 0.8 Hz, 1H), 7.98 – 7.90 (m, 1H), 7.72 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 7.57 (ddd, *J* = 8.1, 6.9, 1.2 Hz, 1H), 7.51 (s, 1H), 5.53 (t, *J* = 5.9 Hz, 1H), 4.69 (d, *J* = 5.9 Hz, 2H), 2.69 (d, *J* = 0.7 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ161.96, 146.69, 144.39, 129.22, 128.92, 126.87, 125.79, 124.13, 119.48, 64.79, 18.37.; HRMS(ESI) Calcd. for $C_{11}H_{12}NO$ [$(M+H)^+$] 174.0193, found 174.0193.



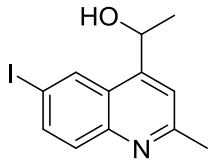
1-(6-fluoro-2-methylquinolin-4-yl)ethan-1-ol(**4a**): According to the general procedure, ethanol (9.0 mL), 6-fluoro-2-methylquinoline (161 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (50% ethyl acetate/petroleum ether) to provide the title compound as a white solid (186 mg, 91% yield). ^{1}H NMR (600MHz, $CDCl_3$) δ 7.95 (dd, *J* = 9.2, 5.6 Hz, 1H), 7.51 (dd, *J* = 10.2, 2.8 Hz, 1H), 7.43 (s, 1H), 7.39 (ddd, *J* = 9.2, 8.1, 2.8 Hz, 1H), 5.45 (q, *J* = 6.5 Hz, 1H), 3.54 (s, 1H), 2.62 (s, 3H), 1.58 (d, *J* = 6.6 Hz, 3H).; ^{13}C NMR (151 MHz, $CDCl_3$) δ 159.76 (d, *J* = 246.6 Hz), 158.24 (d, *J* = 2.6 Hz), 150.94 (d, *J* = 5.6 Hz), 144.67, 131.29 (d, *J* = 9.2 Hz), 124.18 (d, *J* = 9.4 Hz), 119.02 (d, *J* = 25.4 Hz), 118.29, 106.69 (d, *J* = 22.8 Hz), 66.02, 25.04, 24.35.; HRMS(ESI) Calcd. for $C_{12}H_{13}FNO$ [$(M+H)^+$] 206.0976, found 206.0977.



1-(6-chloro-2-methylquinolin-4-yl)ethan-1-ol(**4b**): According to the general procedure, ethanol (9.0 mL), 6-chloro-2-methylquinoline (177 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (198 mg, 90% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.20 (d, J = 2.3 Hz, 1H), 7.94 (d, J = 8.9 Hz, 1H), 7.69 (dd, J = 8.9, 2.3 Hz, 1H), 7.53 (s, 1H), 5.59 (d, J = 4.2 Hz, 1H), 5.41 (dd, J = 6.2, 4.5 Hz, 1H), 2.64 (s, 3H), 1.45 (d, J = 6.5 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.24, 151.83, 146.04, 131.03, 129.90, 129.33, 124.44, 122.81, 118.74, 64.51, 24.96, 24.82.; HRMS(ESI) Calcd. for $C_{12}H_{13}ClNO$ [$(M+H)^+$] 222.0680, found 222.0680.

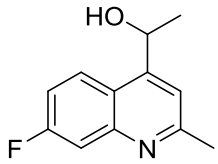


1-(6-bromo-2-methylquinolin-4-yl)ethan-1-ol(**4c**): According to the general procedure, ethanol (9.0 mL), 6-bromo-2-methylquinoline (220 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (233 mg, 88% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.35 (d, J = 2.1 Hz, 1H), 7.86 (d, J = 8.9 Hz, 1H), 7.80 (dd, J = 8.9, 2.1 Hz, 1H), 7.52 (s, 1H), 5.60 (d, J = 4.2 Hz, 1H), 5.42-5.38 (m, 1H), 3.50 (s, 1H), 2.63 (s, 3H), 1.45 (d, J = 6.5 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.35, 151.68, 146.22, 131.90, 131.16, 126.02, 125.01, 118.74, 118.50, 64.53, 25.00, 24.81.; HRMS(ESI) Calcd. for $C_{12}H_{13}BrNO$ [$(M+H)^+$] 266.0175, found 266.0178.

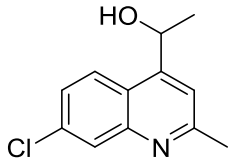


1-(6-iodo-2-methylquinolin-4-yl)ethan-1-ol(**4d**): According to the general procedure, ethanol (9.0 mL), 6-iodo-2-methylquinoline (268 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0

equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (35% ethyl acetate/petroleum ether) to provide the title compound as a white solid (266 mg, 85% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.52 (d, *J* = 1.8 Hz, 1H), 7.93 (dd, *J* = 8.8, 1.9 Hz, 1H), 7.70 (d, *J* = 8.8 Hz, 1H), 7.50 (s, 1H), 5.58 (d, *J* = 4.3 Hz, 1H), 5.45-5.33 (m, 1H), 3.15 (s, 1H), 2.62 (s, 3H), 1.44 (d, *J* = 6.5 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.35, 151.34, 146.40, 137.22, 132.34, 130.99, 125.58, 118.53, 91.56, 64.47, 25.03, 24.82.; HRMS(ESI) Calcd. for $C_{12}H_{13}INO$ [$(M+H)^+$] 314.0036, found 314.0037.

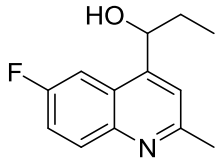


1-(7-fluoro-2-methylquinolin-4-yl)ethan-1-ol(**4e**): According to the general procedure, ethanol (9.0 mL), 7-fluoro-2-methylquinoline (161 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (50% ethyl acetate/petroleum ether) to provide the title compound as a white solid (177 mg, 86% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.21 (dd, *J* = 9.3, 6.3 Hz, 1H), 7.64 (dd, *J* = 10.6, 2.7 Hz, 1H), 7.49 (s, 1H), 7.43 (td, *J* = 8.9, 2.7 Hz, 1H), 5.58 (d, *J* = 4.2 Hz, 1H), 5.49-5.39 (m, 1H), 2.64 (s, 3H), 1.45 (d, *J* = 6.5 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 161.94 (d, *J* = 246.6 Hz), 160.10, 152.81 (d, *J* = 0.7 Hz), 148.71 (d, *J* = 12.4 Hz), 126.43 (d, *J* = 10.0 Hz), 120.75 (d, *J* = 0.7 Hz), 117.26 (d, *J* = 2.1 Hz), 115.23 (d, *J* = 24.6 Hz), 112.24 (d, *J* = 19.4 Hz), , 64.57, 25.00.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -111.55 (dd, *J* = 16.7, 8.5 Hz).; HRMS(ESI) Calcd. for $C_{12}H_{13}FNO$ [$(M+H)^+$] 206.0976, found 206.0978.

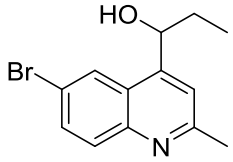


1-(7-chloro-2-methylquinolin-4-yl)ethan-1-ol(**4f**): According to the general procedure, ethanol (9.0 mL), 7-chloro-2-methylquinoline (177 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure

and purified by flash chromatography (40% ethyl acetate/petroleum ether) to provide the title compound as a white solid (181 mg, 82% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.16 (d, J = 9.0 Hz, 1H), 7.95 (d, J = 2.2 Hz, 1H), 7.54 (dd, J = 9.0, 2.2 Hz, 1H), 7.52 (s, 1H), 5.61 (s, 1H), 5.42 (q, J = 6.5 Hz, 1H), 2.64 (s, 3H), 1.44 (d, J = 6.6 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 160.21, 152.77, 148.11, 133.40, 127.52, 125.86, 125.85, 122.20, 118.17, 64.48, 25.04, 24.97.; HRMS(ESI) Calcd. for $C_{12}H_{13}ClNO$ [$(M+H)^+$] 222.0680, found 222.0680.

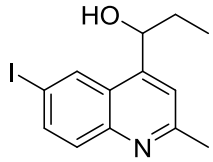


1-(6-fluoro-2-methylquinolin-4-yl)propan-1-ol(**4g**): According to the general procedure, propan-1-ol (9.0 mL), 6-fluoro-2-methylquinoline (161 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (50% ethyl acetate/petroleum ether) to provide the title compound as a colorless oil (195 mg, 89% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 7.98 (dd, J = 9.2, 5.8 Hz, 1H), 7.88 (dd, J = 10.8, 2.8 Hz, 1H), 7.58 (td, J = 8.8, 2.8 Hz, 1H), 7.49 (s, 1H), 5.56 (d, J = 4.4 Hz, 1H), 5.18-5.07 (m, 1H), 4.06 (s, 1H), 2.63 (s, 3H), 1.78 (ddd, J = 13.7, 7.3, 4.6 Hz, 1H), 1.70-1.60 (m, 1H), 0.91 (t, J = 7.4 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.03 (d, J = 243.2 Hz), 157.81 (d, J = 2.4 Hz), 151.01 (d, J = 5.5 Hz), 144.80 (s), 131.59 (d, J = 9.3 Hz), 124.41 (d, J = 9.6 Hz), 119.32 (s), 118.63 (d, J = 25.5 Hz), 107.51 (d, J = 22.6 Hz), 69.66, 30.84, 34.83, 10.15.; ^{19}F NMR (565 MHz, DMSO-d_6) δ -114.30 (dd, J = 16.0, 8.7 Hz).;HRMS(ESI) Calcd. for $C_{13}H_{15}FNO$ [$(M+H)^+$] 220.1132, found 220.1132.



1-(6-bromo-2-methylquinolin-4-yl)propan-1-ol(**4h**): According to the general procedure, propan-1-ol(9.0 mL), 6-bromo-2-methylquinoline (220 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (40% ethyl acetate/petroleum ether) to

provide the title compound as a white solid (238 mg, 85% yield). ^{1}H NMR (600MHz, DMSO-d_6) δ 8.35 (d, *J* = 2.1 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.79 (dd, *J* = 8.9, 2.1 Hz, 1H), 7.49 (s, 1H), 5.58 (d, *J* = 4.4 Hz, 1H), 5.18 – 5.10 (m, 1H), 2.63 (s, 3H), 1.78 (ddd, *J* = 13.5, 7.3, 4.8 Hz, 1H), 1.72 – 1.63 (m, 1H), 0.91 (t, *J* = 7.4 Hz, 3H).; ^{13}C NMR (151 MHz, DMSO-d_6) δ 159.12, 150.50, 146.28, 131.88, 131.19, 126.08, 125.23, 119.65, 118.43, 69.77, 30.89, 24.97, 10.18.; HRMS(ESI) Calcd. for $C_{13}H_{15}BrNO$ [$(M+H)^+$] 280.0332, found 280.0332.

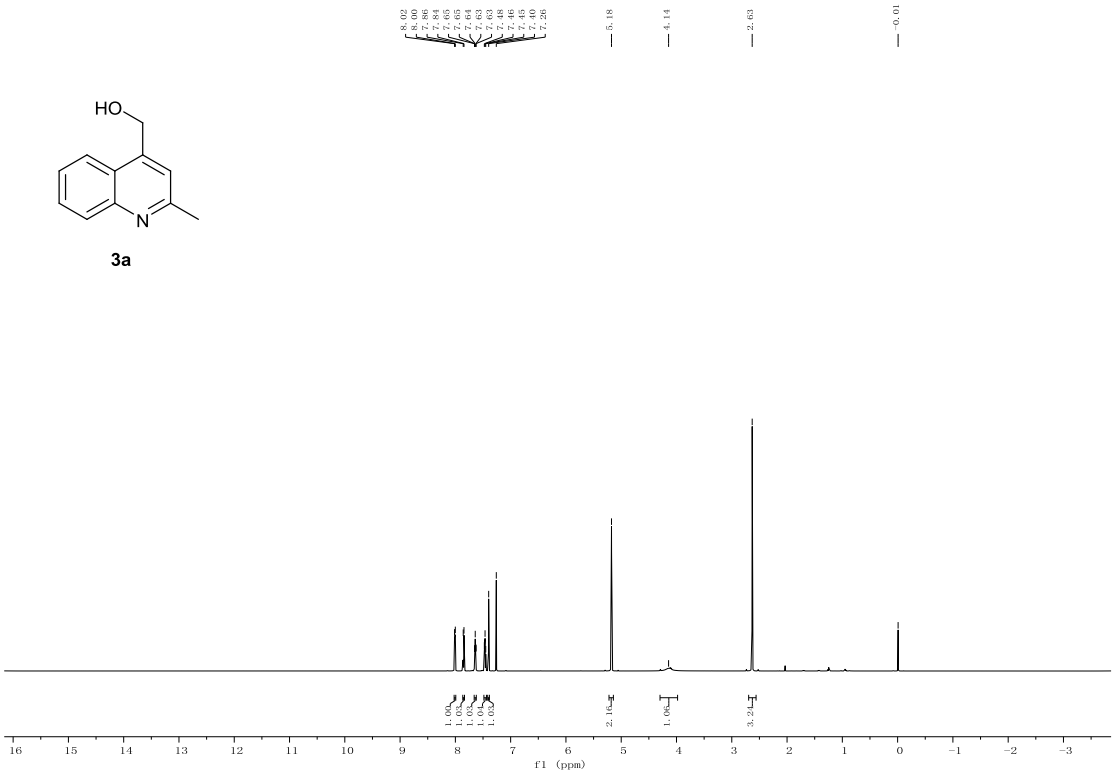


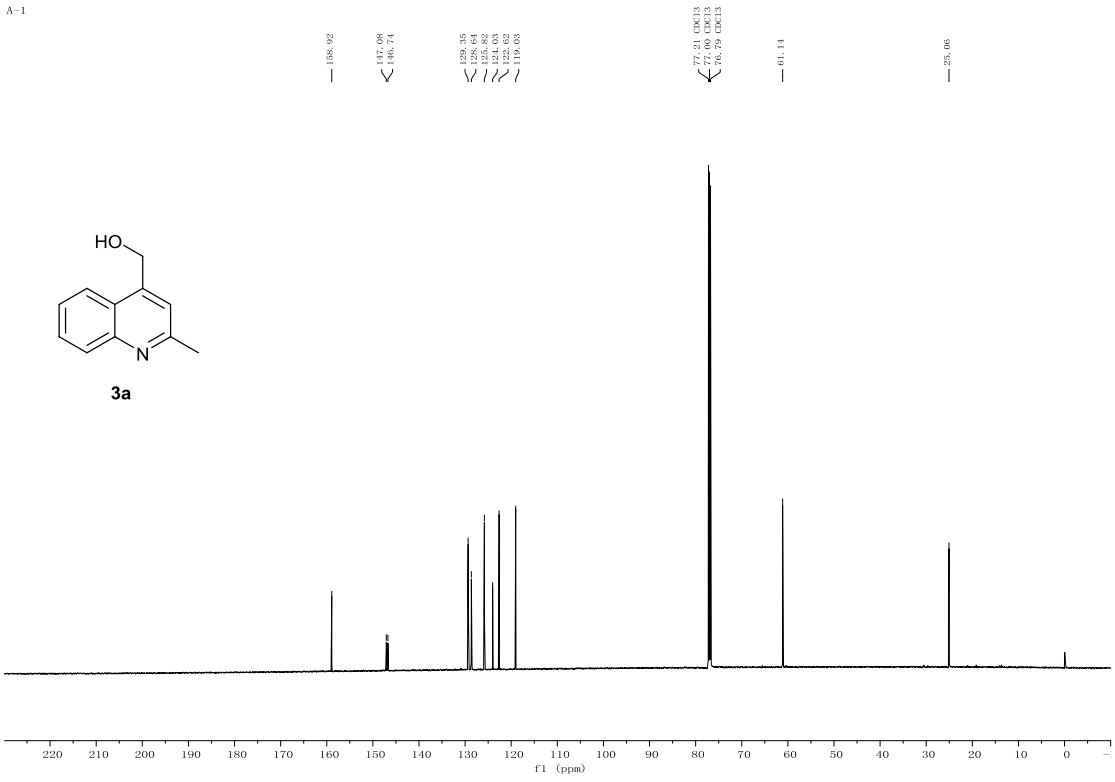
1-(6-iodo-2-methylquinolin-4-yl)propan-1-ol(**4i**): According to the general procedure, propan-1-ol (9.0 mL), 6-iodo-2-methylquinoline (268 mg, 1.0 mmol, 1.0 equiv.), selectfluor (1.40 g, 4.0 mmol, 4.0 equiv.), $AgNO_3$ (170 mg, 1.0 mmol, 1.0 equiv.), and 1.0 mL of H_2O were used. After 4 hours, the reaction mixture was subjected to the workup protocol outlined in the general procedure and purified by flash chromatography (35% ethyl acetate/petroleum ether) to provide the title compound as a white solid (262 mg, 80% yield). ^{1}H NMR (600MHz, $CDCl_3$) δ 8.24 (d, *J* = 1.8 Hz, 1H), 7.82 (dd, *J* = 8.8, 1.9 Hz, 1H), 7.63 (d, *J* = 8.8 Hz, 1H), 7.33 (s, 1H), 5.19 (dd, *J* = 7.6, 4.6 Hz, 1H), 3.71 (s, 1H), 2.29 (s, 3H), 1.92-1.89 (m, 1H), 1.81 (dt, *J* = 14.7, 7.4 Hz, 1H), 1.00 (t, *J* = 7.4 Hz, 3H).; ^{13}C NMR (151 MHz, $CDCl_3$) δ 159.37, 149.34, 146.44, 137.68, 132.02, 130.55, 125.61, 119.14, 91.31, 70.95, 31.04, 25.20, 10.22.; HRMS(ESI) Calcd. for $C_{13}H_{15}INO$ [$(M+H)^+$] 328.0193, found 328.0193.

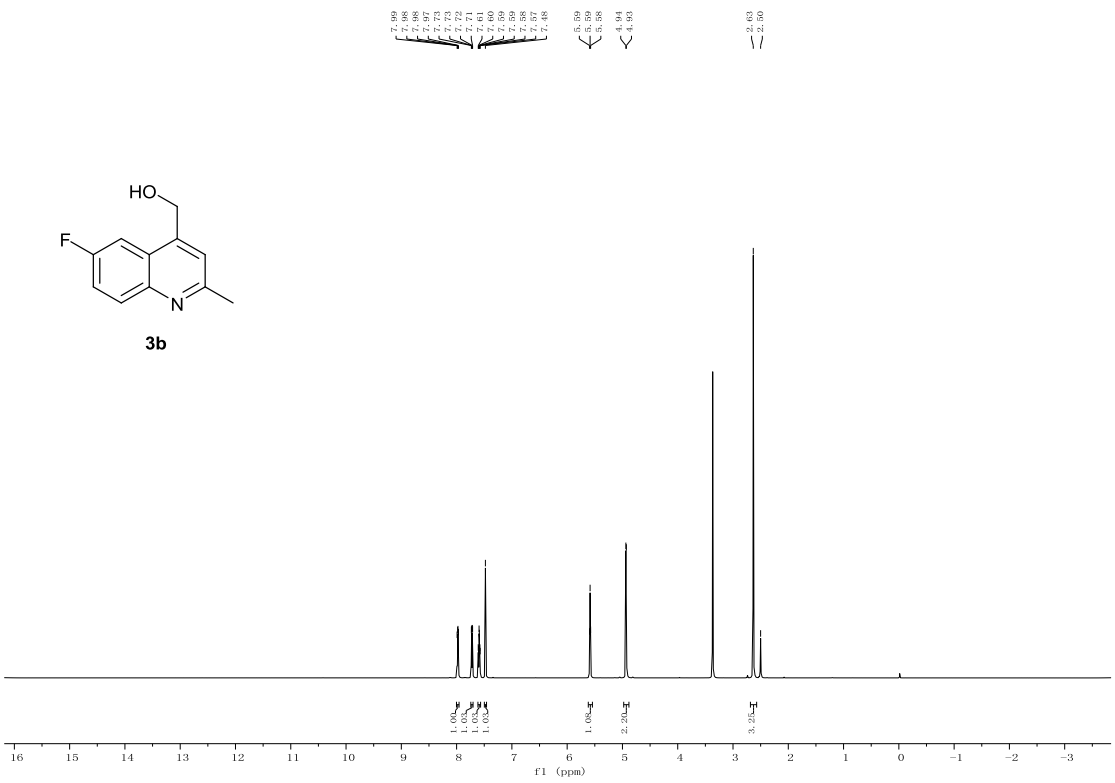
References

[1] L. Zhou, N. Okugawa, H. Togo. *Eur. J. Org. Chem.*, **2017**, *41*, 6239-6245.
[2] E. D. Nacsa, D. W. C. MacMillan. *J. Am. Chem. Soc.*, **2018**, *140*, 3322-3330.
[3] C. A. Huff, R. D. Cohen, K. D. Dykstra, E. Streckfuss, D. A. DiRocco, S. W. Krska. *J. Org. Chem.*, **2016**, *81*, 6980-6987.

Copies of NMR spectra data



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7.71
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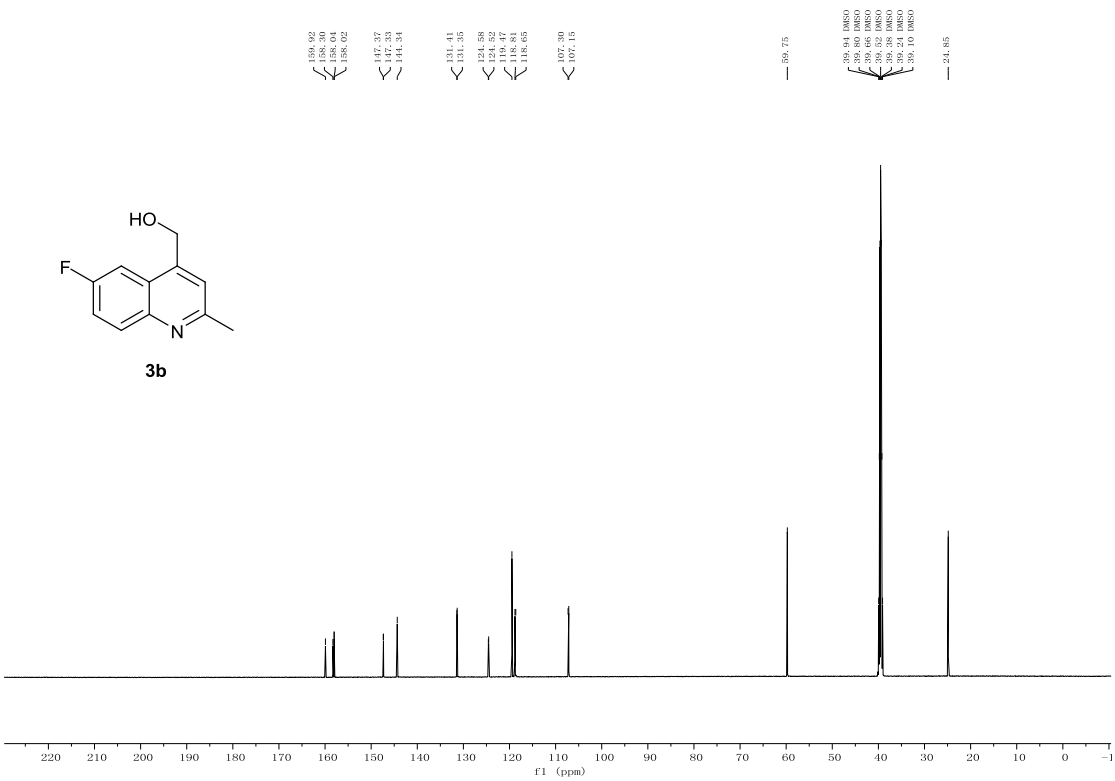
7.60
7.59
7.58
7.57
7.48
5.59
5.59
5.58
4.94
4.93
2.63
2.50
HO
F
N
3b
1.00
1.03
1.03
1.03
1.08
2.20
3.25
f1 (ppm)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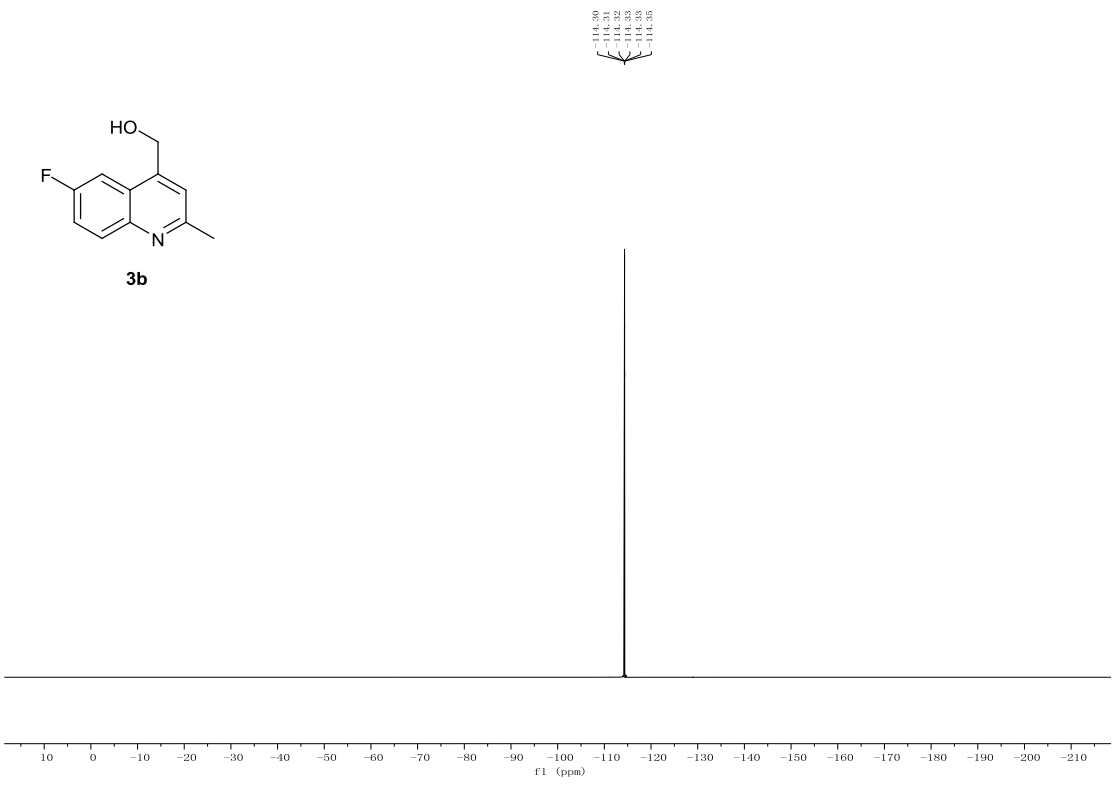
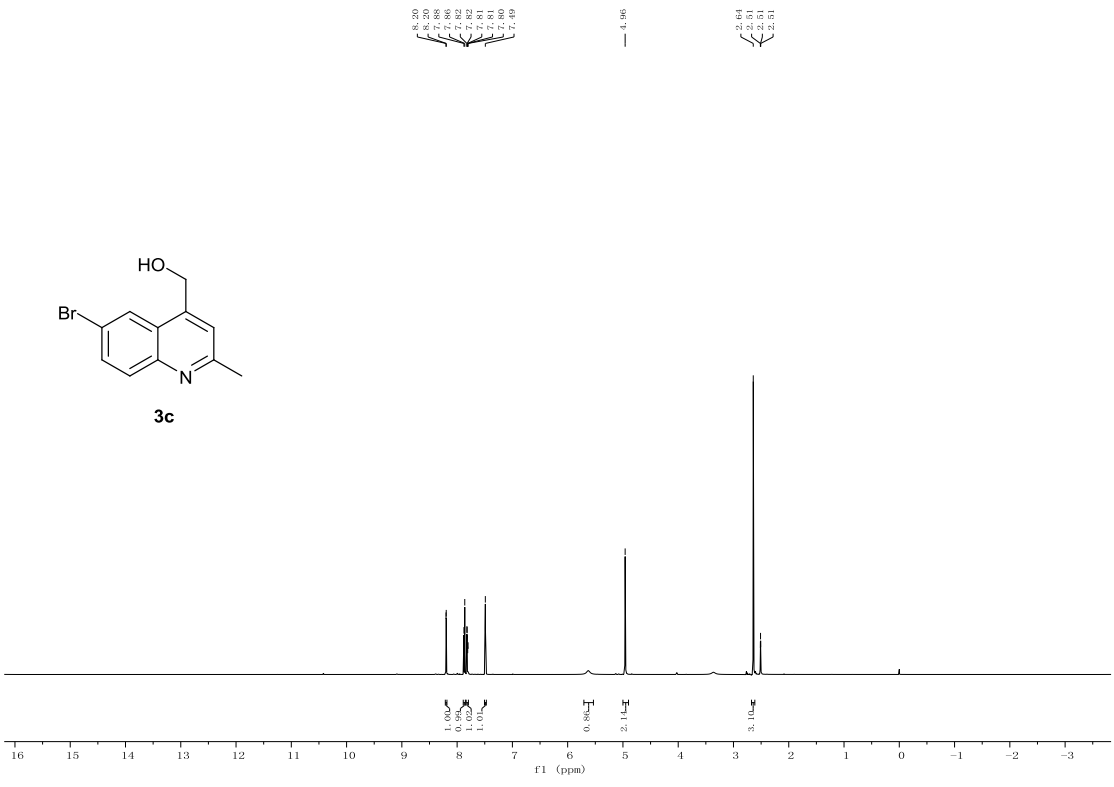
147.33
144.34
131.41
131.35
124.58
124.52
119.47
118.81
118.65
107.30
107.15
59.75
39.94 DMSO
39.80 DMSO
39.65 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
24.85
HO
F
N
3b
f1 (ppm)


-114.30
-114.31
-114.32
-114.33
-114.33
-114.35
HO
F
N
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)

3b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8.20
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7.82
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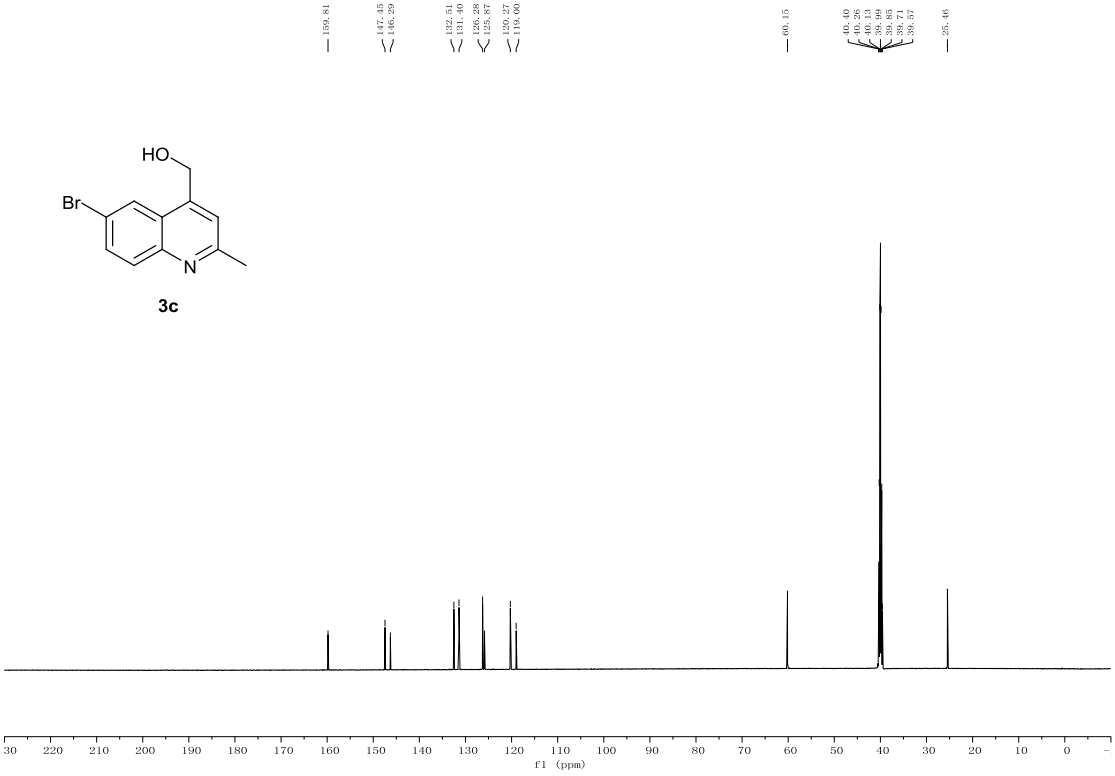
7.81
7.81
7.80
7.49
4.96
2.64
2.51
2.51
2.51
HO
Br
N
1.00
0.95
1.02
1.01
0.88
2.14
3.10
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 −1 −2 −3
f1 (ppm)

3c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147.45
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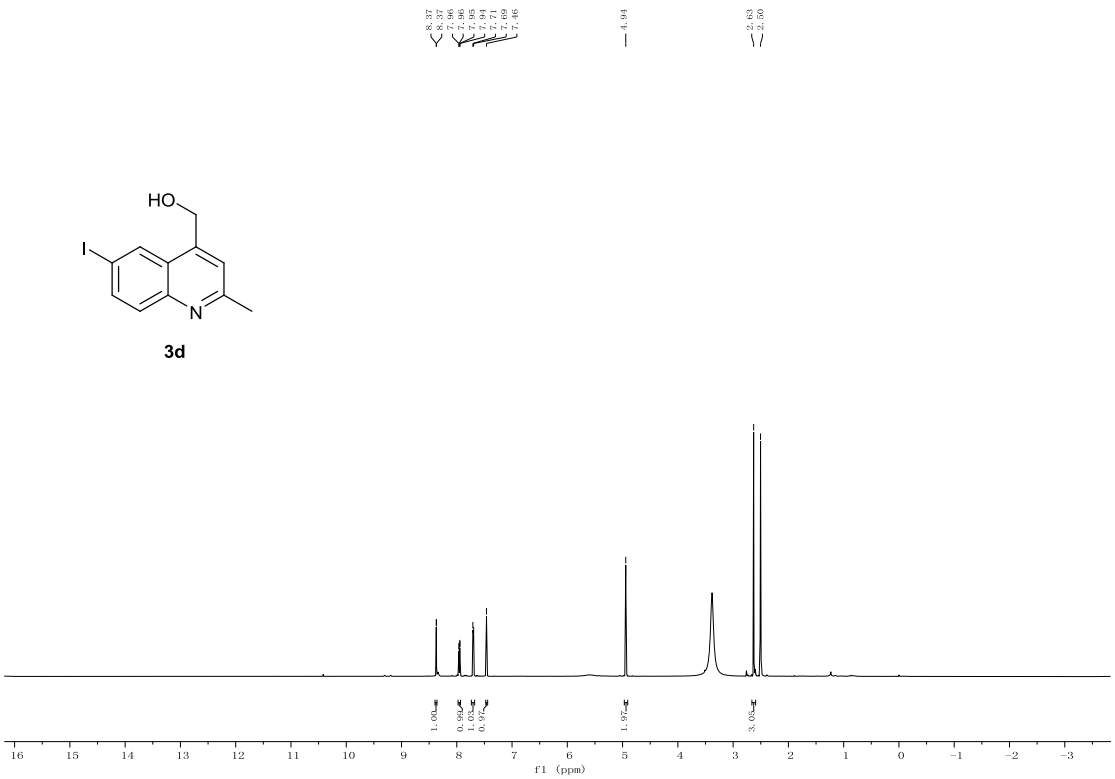
132.51
131.40
126.28
125.87
120.27
119.60
60.16
40.40
40.19
39.99
39.85
39.71
39.57
25.46
HO
Br
N
f1 (ppm)

3c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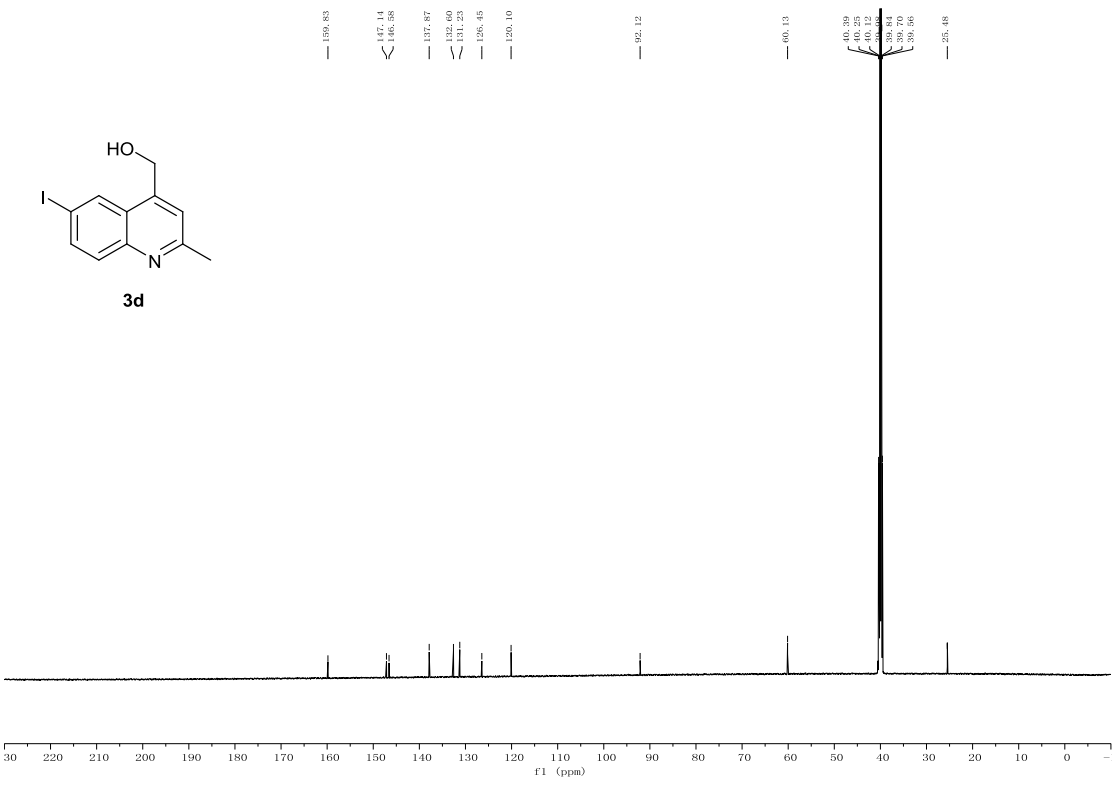
8.37
7.96
7.96
7.95
7.94
7.71
7.69
7.46
4.94
2.63
2.50
HO
I
N
1.00
0.99
1.03
0.97
1.97
3.03
f1 (ppm)

3d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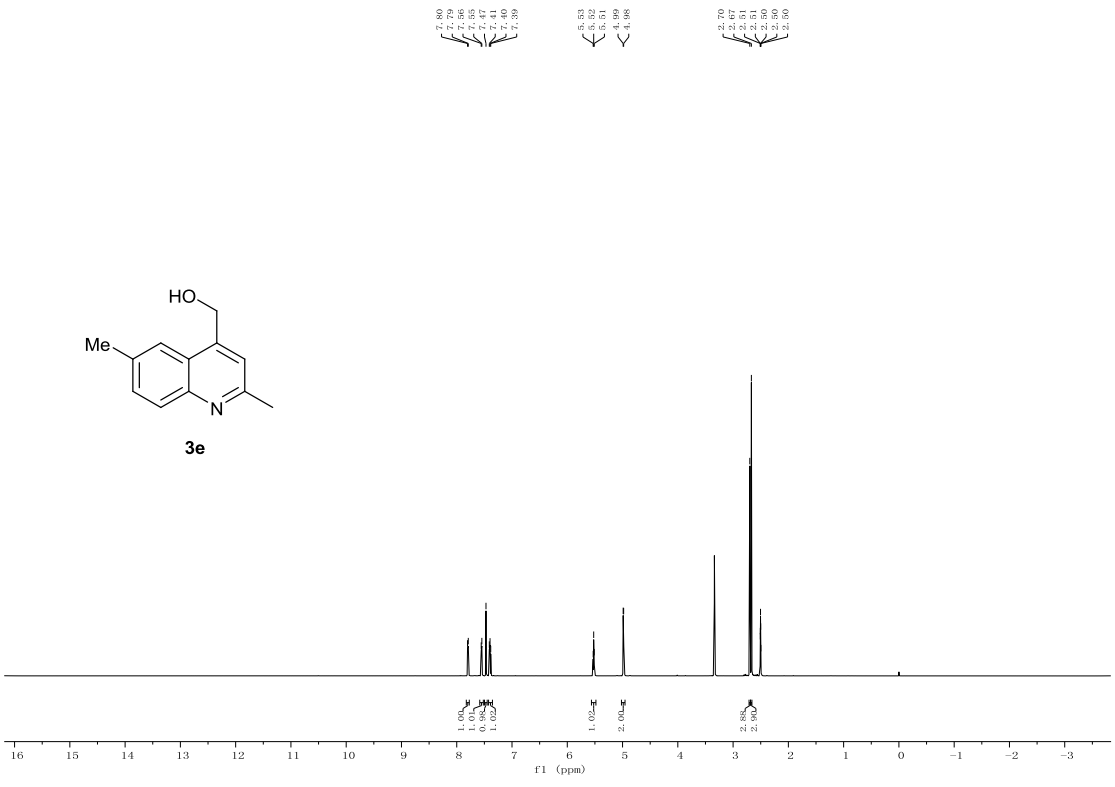
147.14
146.58
137.87
132.60
131.23
126.45
120.10
92.12
60.13
40.39
40.25
40.12
39.84
39.70
39.56
25.48
HO
I
N
f1 (ppm)

3d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7.79
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7.41
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7.39
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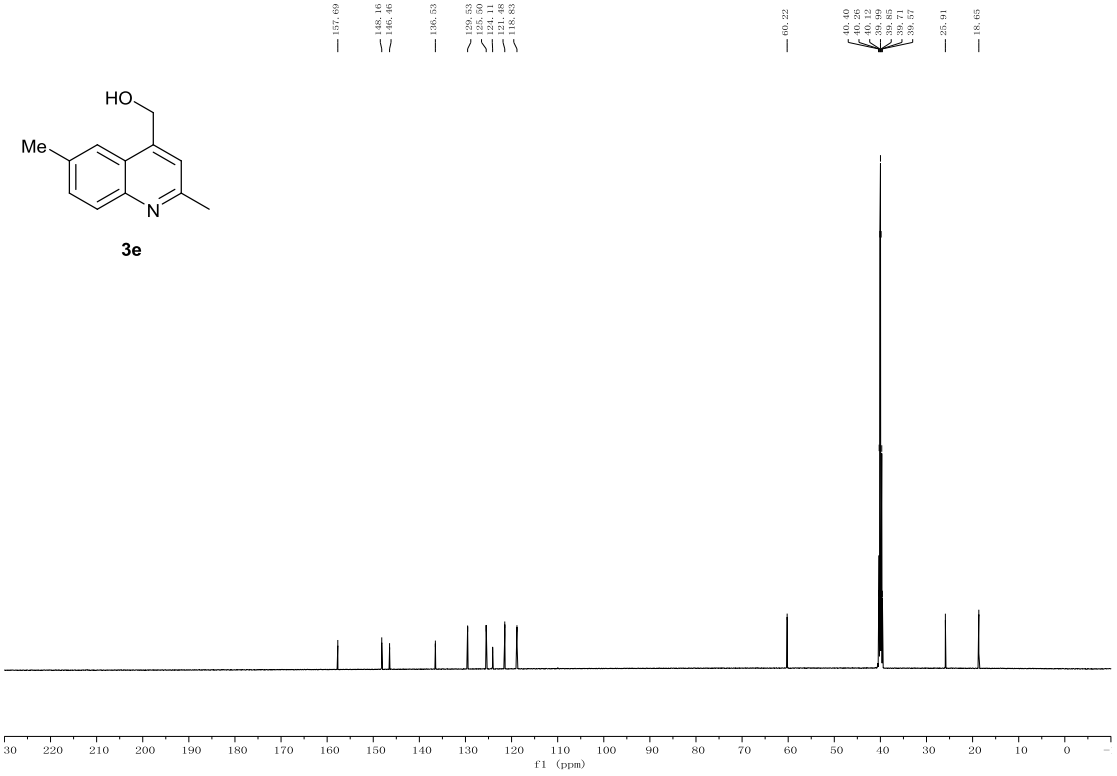
5.52
5.51
4.99
4.98
2.70
2.67
2.51
2.51
2.50
2.50
2.50
HO
Me
N
1.00
1.01
0.98
1.02
1.02
2.00
2.88
2.90
f1 (ppm)

3e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129.53
125.50
124.11
121.48
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40.40
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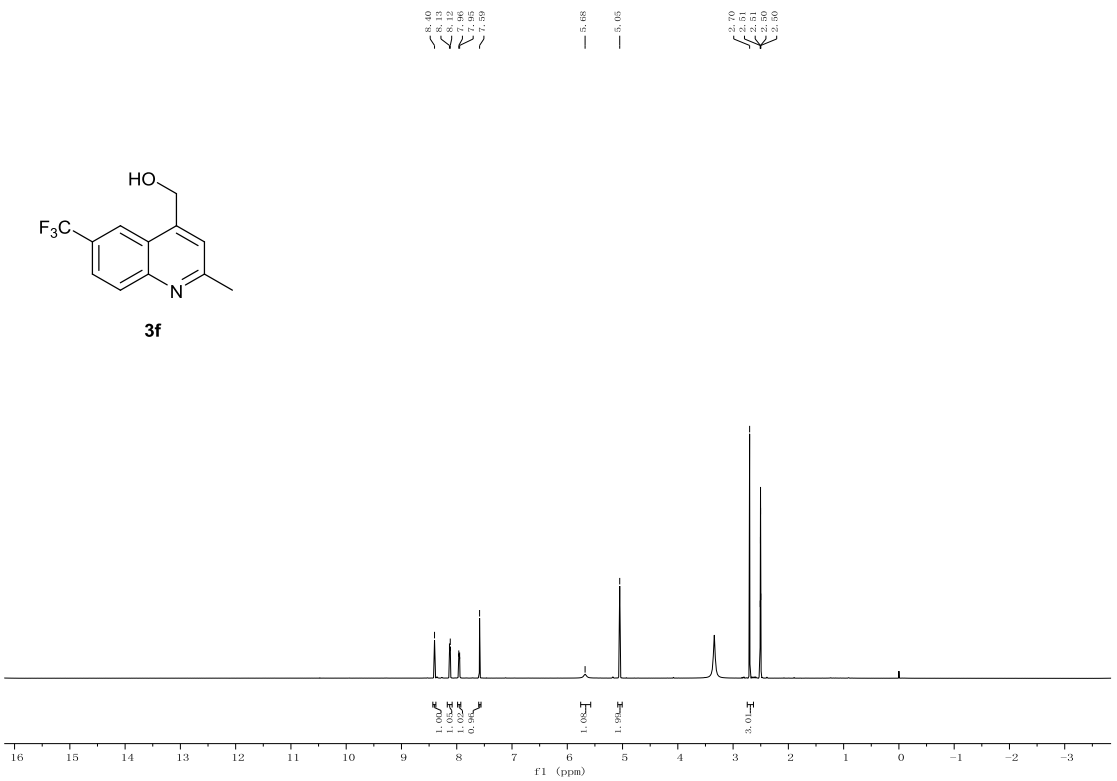
39.99
39.85
39.71
39.57
25.91
18.65
HO
Me
N
f1 (ppm)

3e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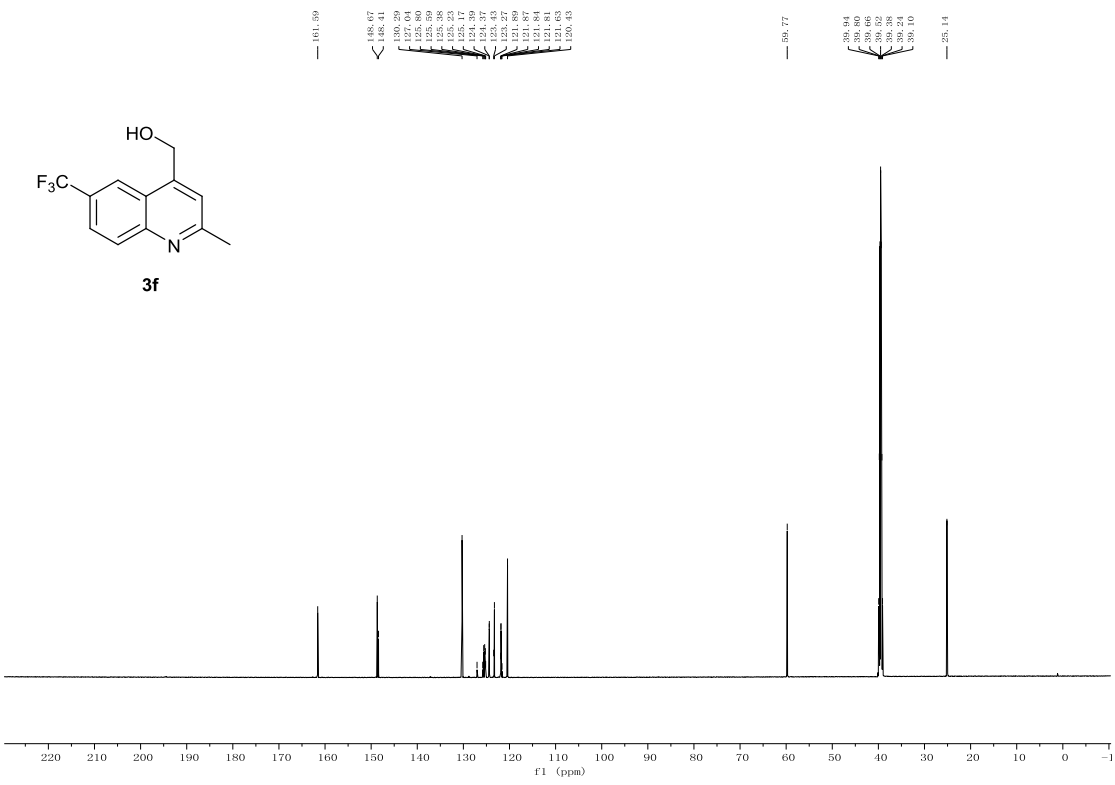
8.13
8.12
7.96
7.95
7.59
5.68
5.05
2.70
2.51
2.51
2.50
2.50
HO
F3C
N
1.04
1.05
1.02
0.96
1.08
1.94
3.01
f1 (ppm)

3f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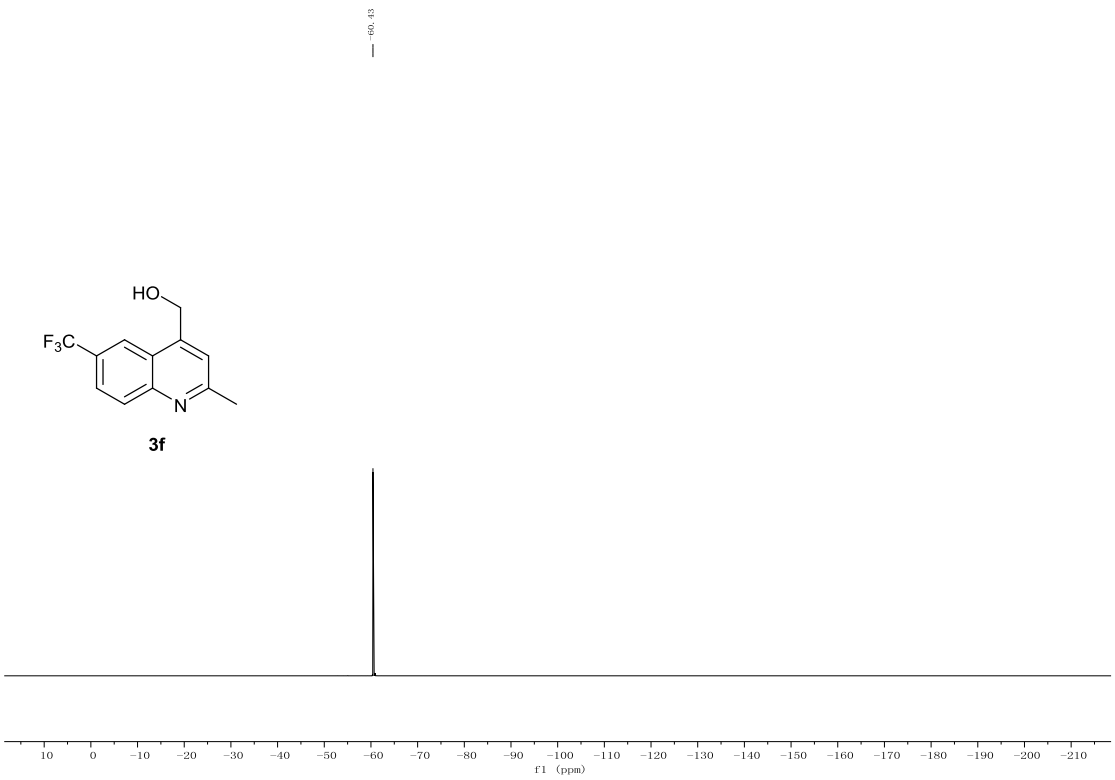
148.67
148.41
130.29
127.04
125.80
125.59
125.38
125.23
125.17
124.39
124.37
123.43
123.27
121.89
121.87
121.84
121.81
121.63
120.43
59.77
39.94
39.80
39.66
39.52
39.38
39.24
39.10
25.14
HO
F3C
N
3f
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −1
f1 (ppm)


-60.43
HO
F3C
N
3f
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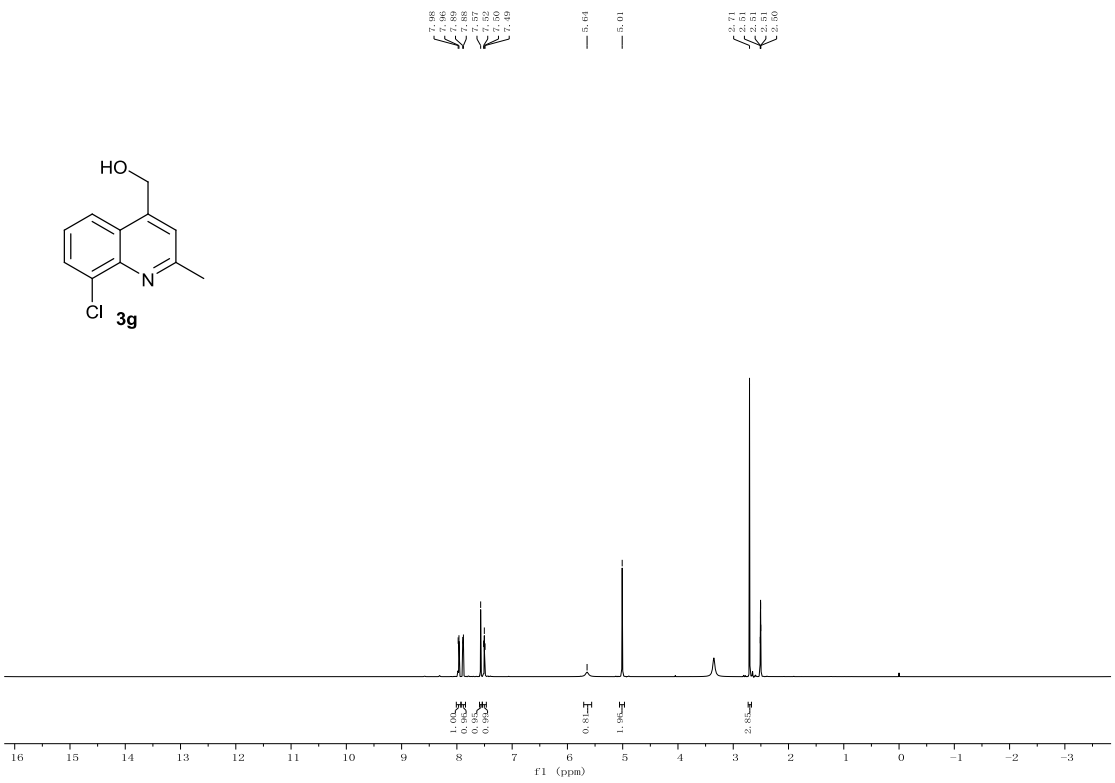
f1 (ppm)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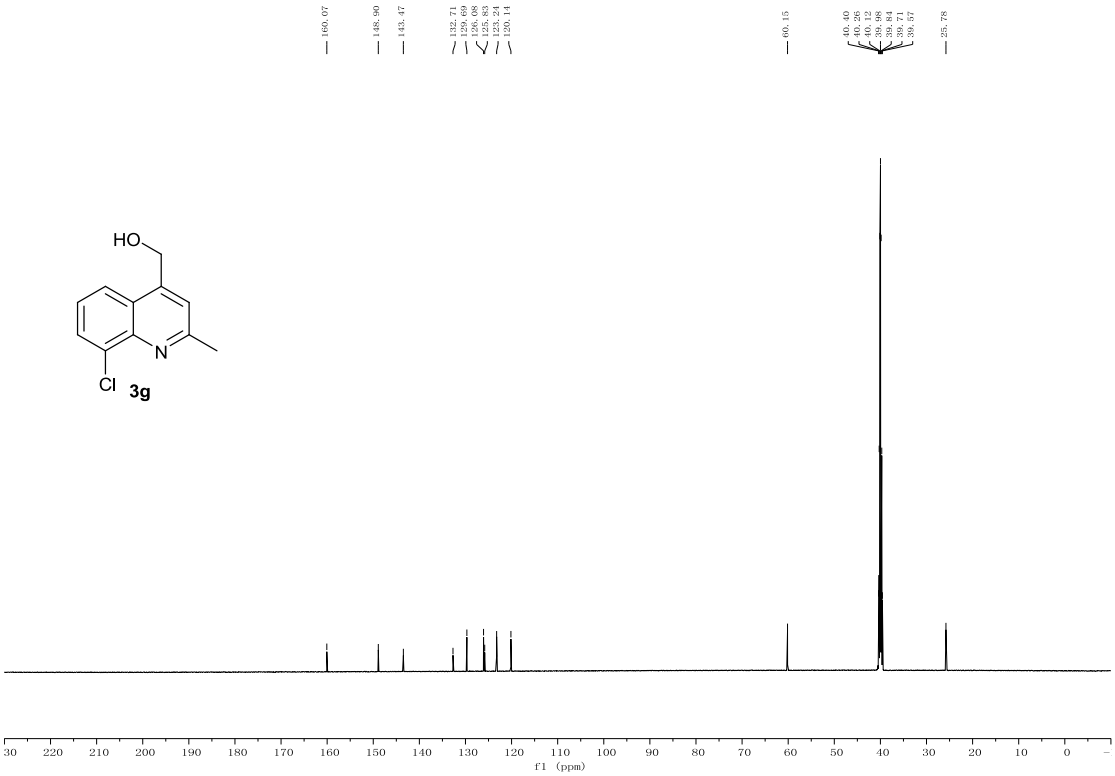
7.96
7.89
7.88
7.53
7.52
7.50
7.49
5.64
5.01
2.71
2.70
2.51
2.51
2.50
HO
N
Cl
3g
1.00
0.98
0.98
0.99
0.81
1.98
2.85
f1 (ppm)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143.47
132.71
130.60
126.08
125.83
123.24
120.14
60.15
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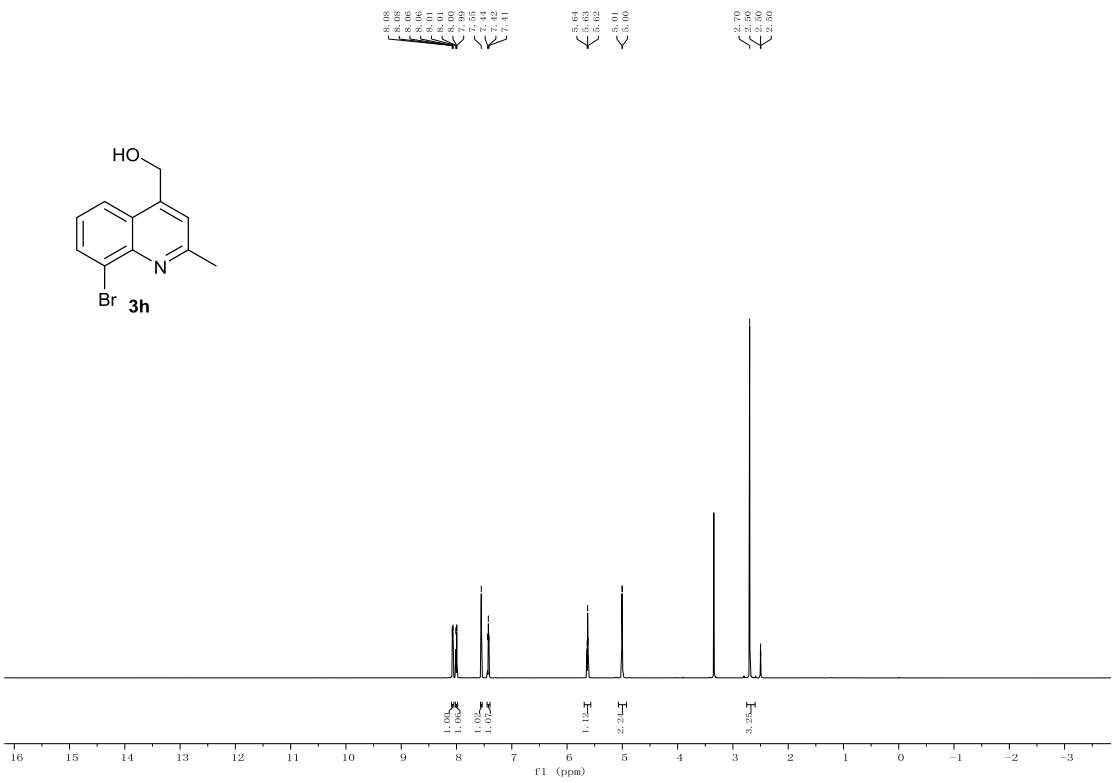
40.12
39.98
39.84
39.71
39.57
25.78
HO
N
Cl
3g
f1 (ppm)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8.01
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7.41
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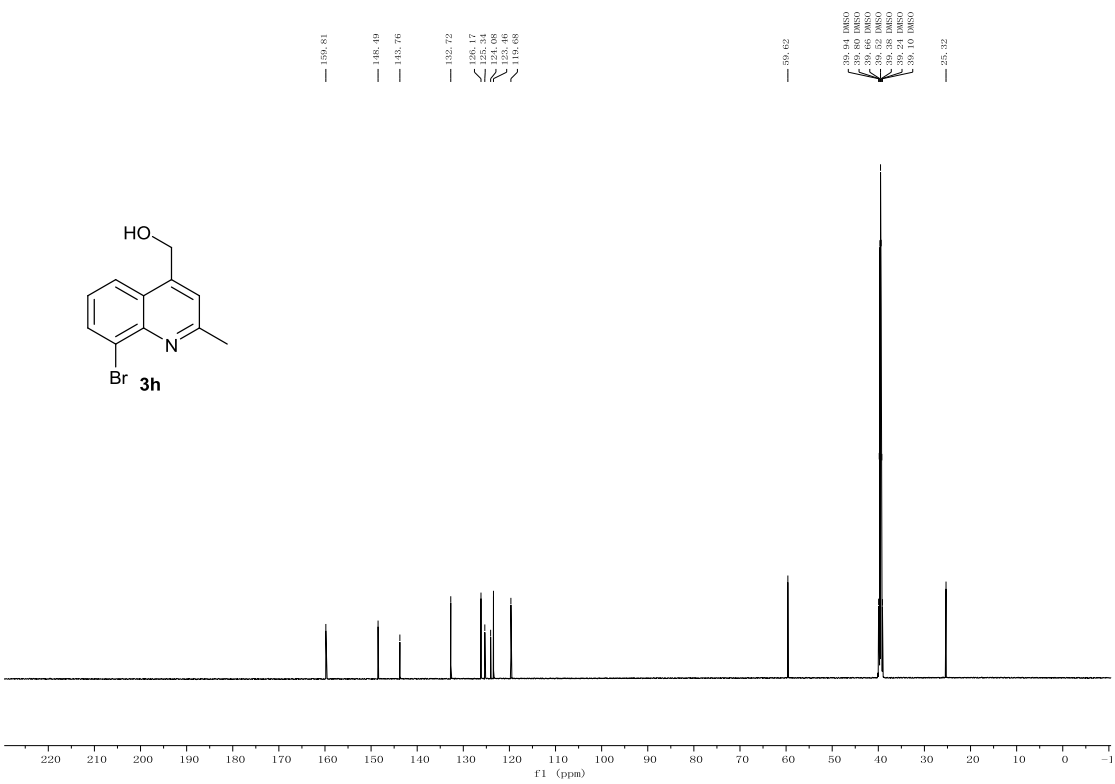
5.63
5.62
5.01
5.00
2.70
2.50
2.50
2.50
HO
N
Br
3h
1.00
1.06
1.02
1.07
1.13
2.24
3.25
f1 (ppm)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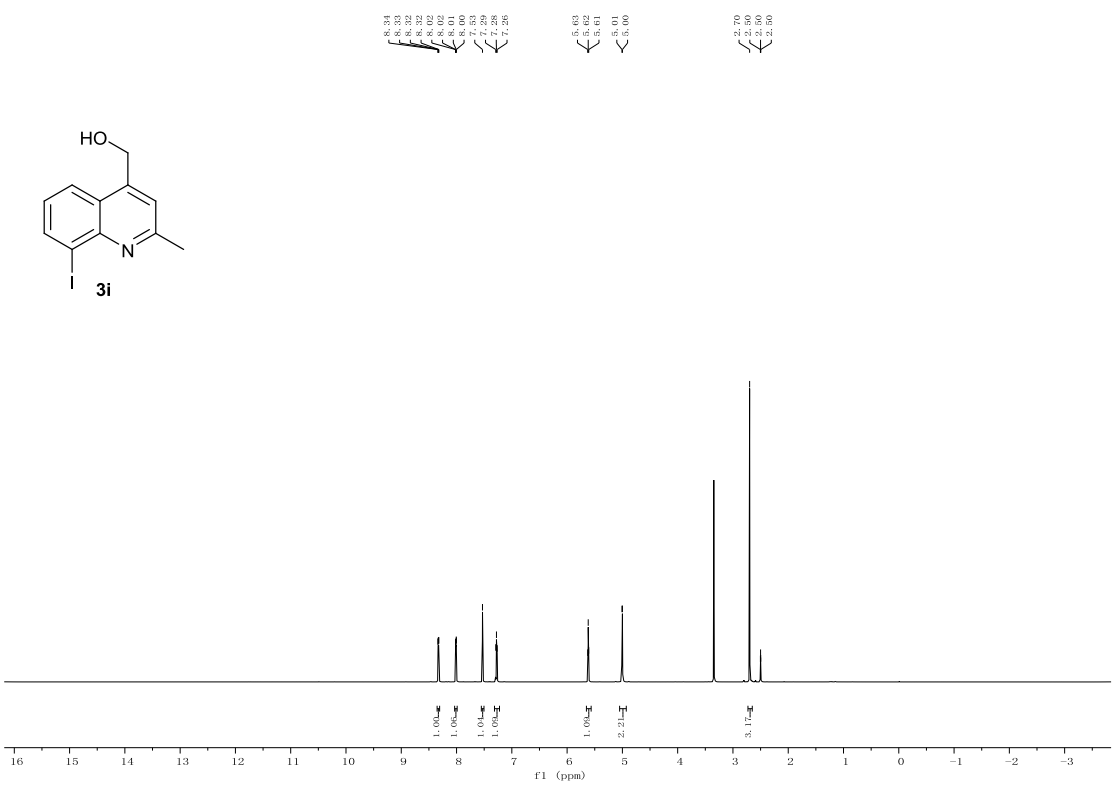
148.49
143.76
132.72
126.17
125.34
124.08
123.46
119.68
59.62
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.32
HO
N
Br
3h
f1 (ppm)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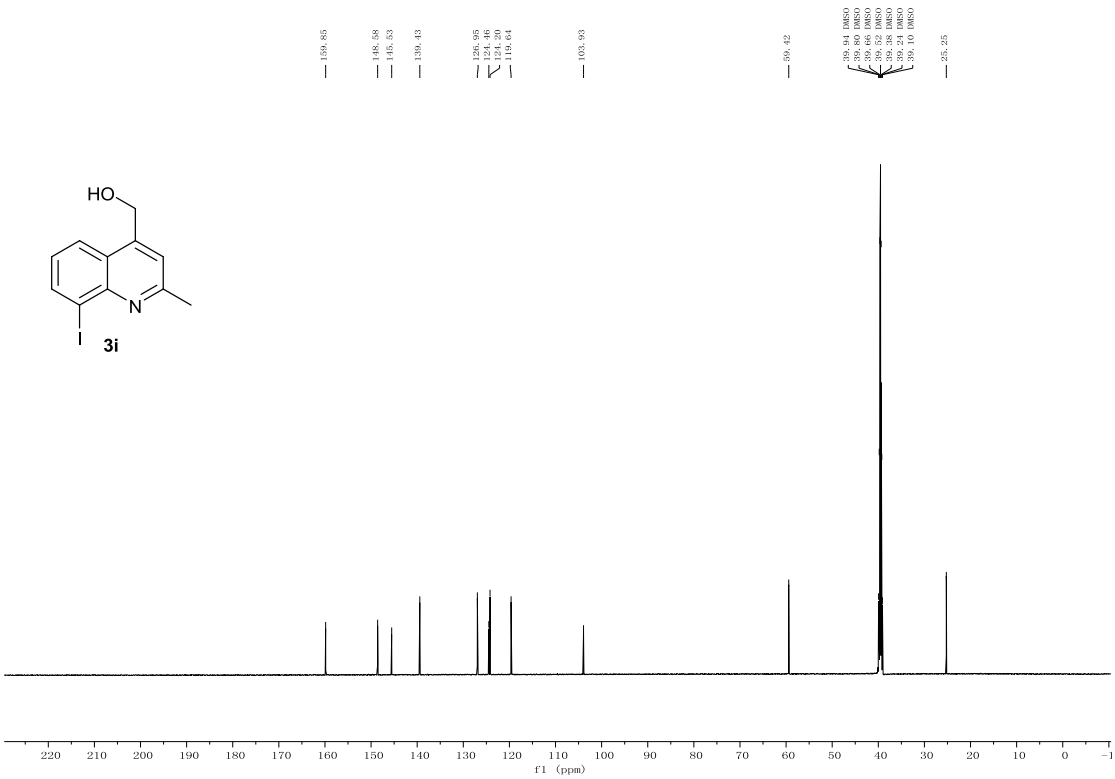
8.33
8.32
8.32
8.02
8.01
8.00
7.53
7.29
7.28
7.26
5.63
5.62
5.61
5.01
5.00
2.70
2.59
2.59
2.59
HO
N
I
3i
1.00
1.06
1.04
1.06
1.00
2.21
3.17
f1 (ppm)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145.53
139.43
126.95
124.46
124.20
119.64
103.93
59.42
39.94 DMSO
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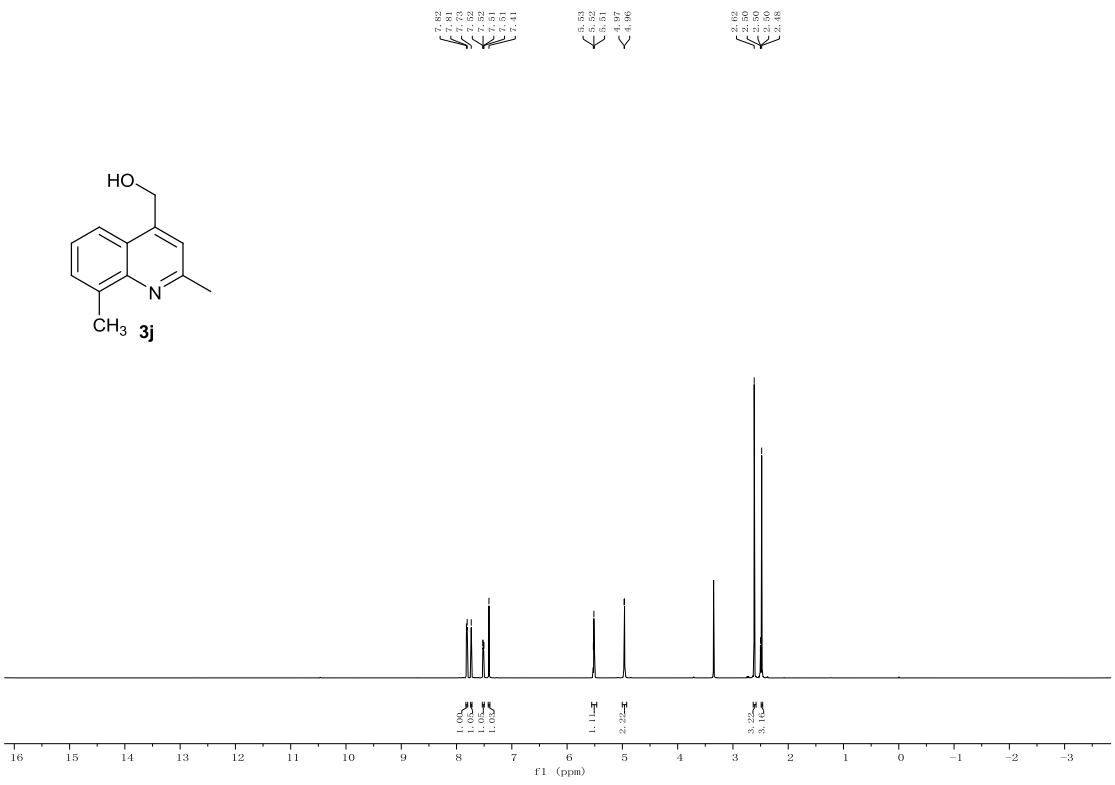
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.25
HO
N
I
3i
f1 (ppm)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7.51
7.51
7.41
5.53
5.52
5.51
4.97
4.96
2.62
2.59
2.56
2.50
2.48
HO
N
CH3
3j
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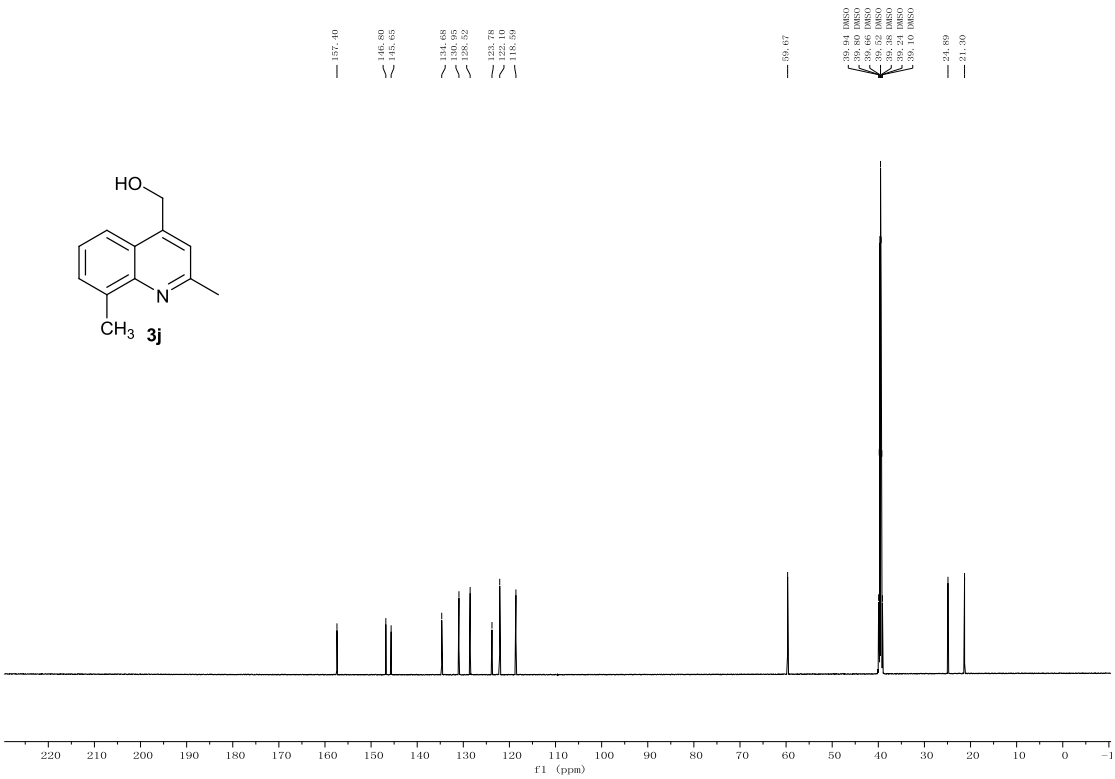
1.05
1.05
1.05
1.11
2.22
3.22
3.16
f1 (ppm)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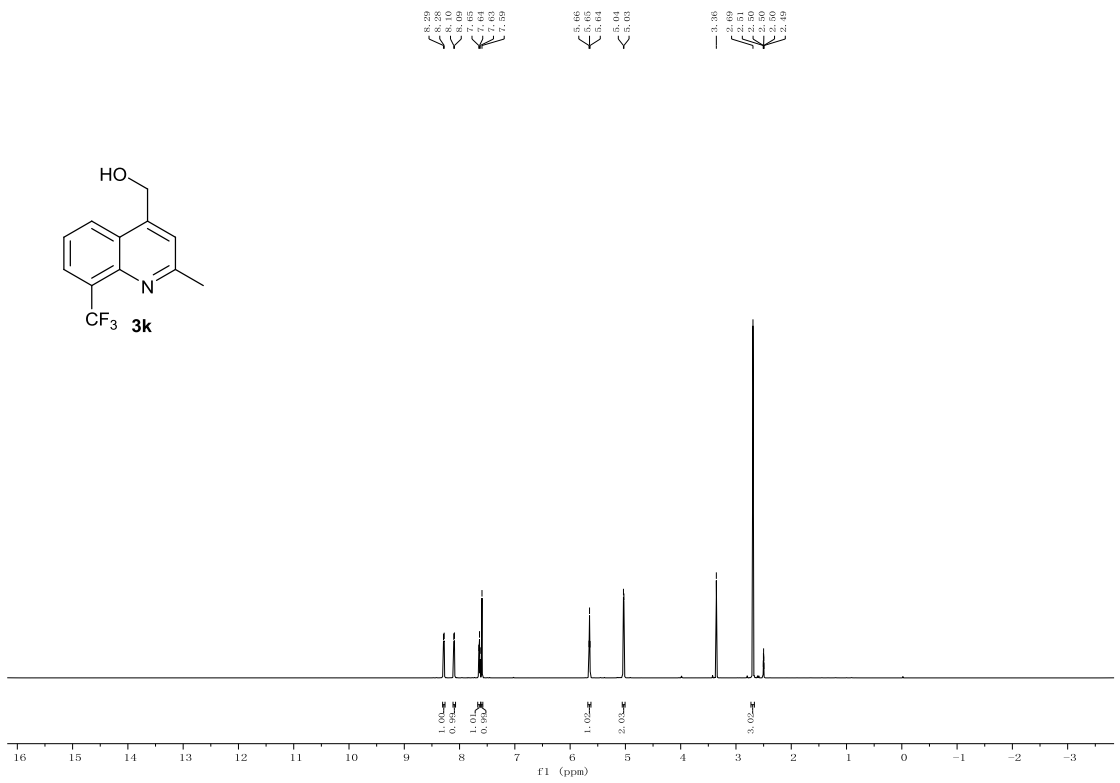
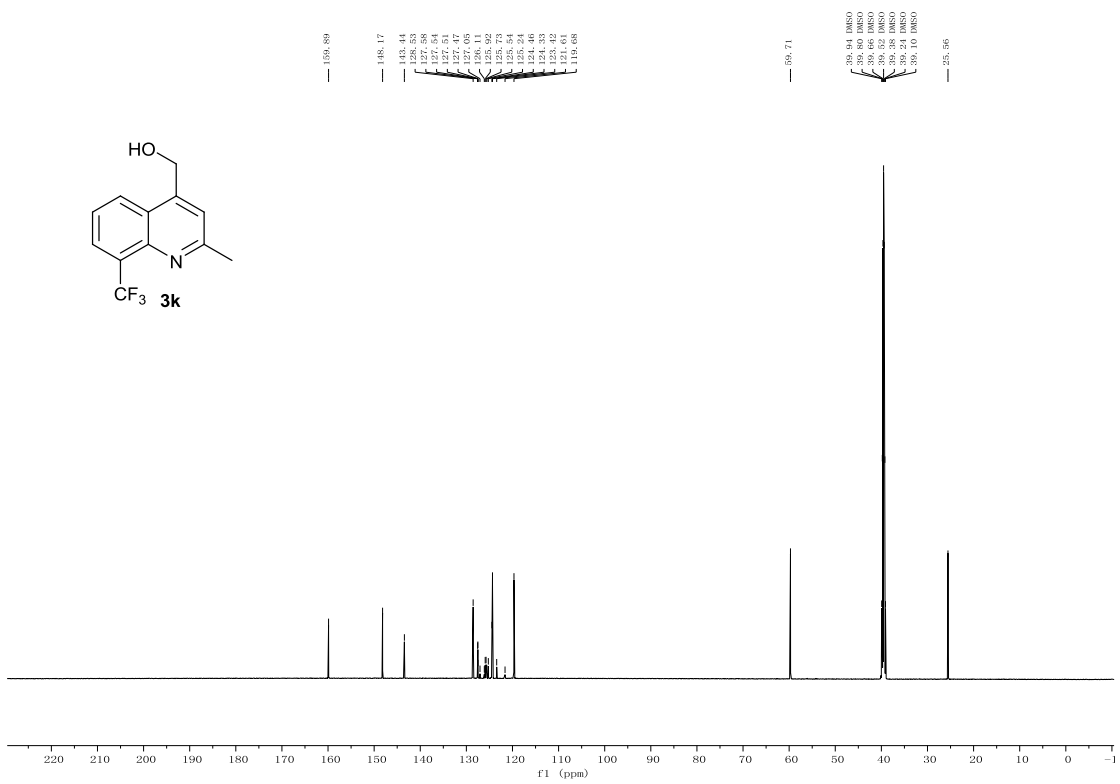
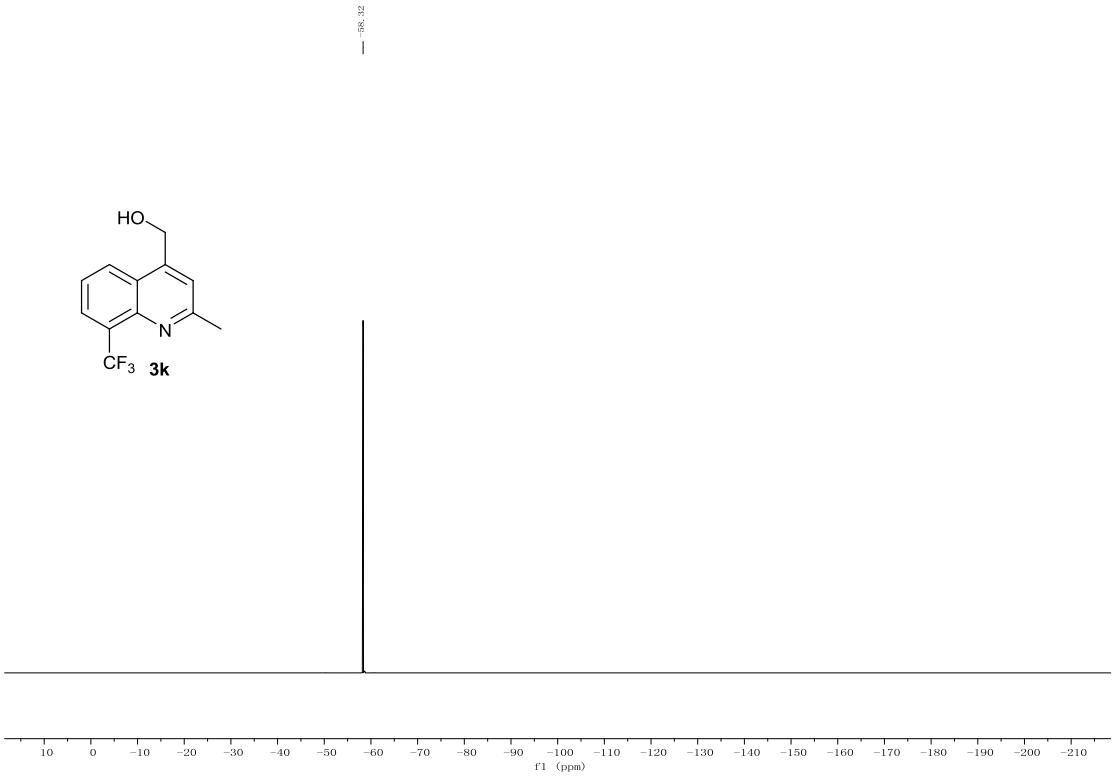
134.68
130.95
128.52
123.78
122.10
118.59
59.67
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
24.89
21.30
HO
N
CH3
3j
f1 (ppm)


HO
N
CF3
3k
f1 (ppm)


HO
N
CF3
3k
f1 (ppm)


-58.32
HO
N
CF3
3k
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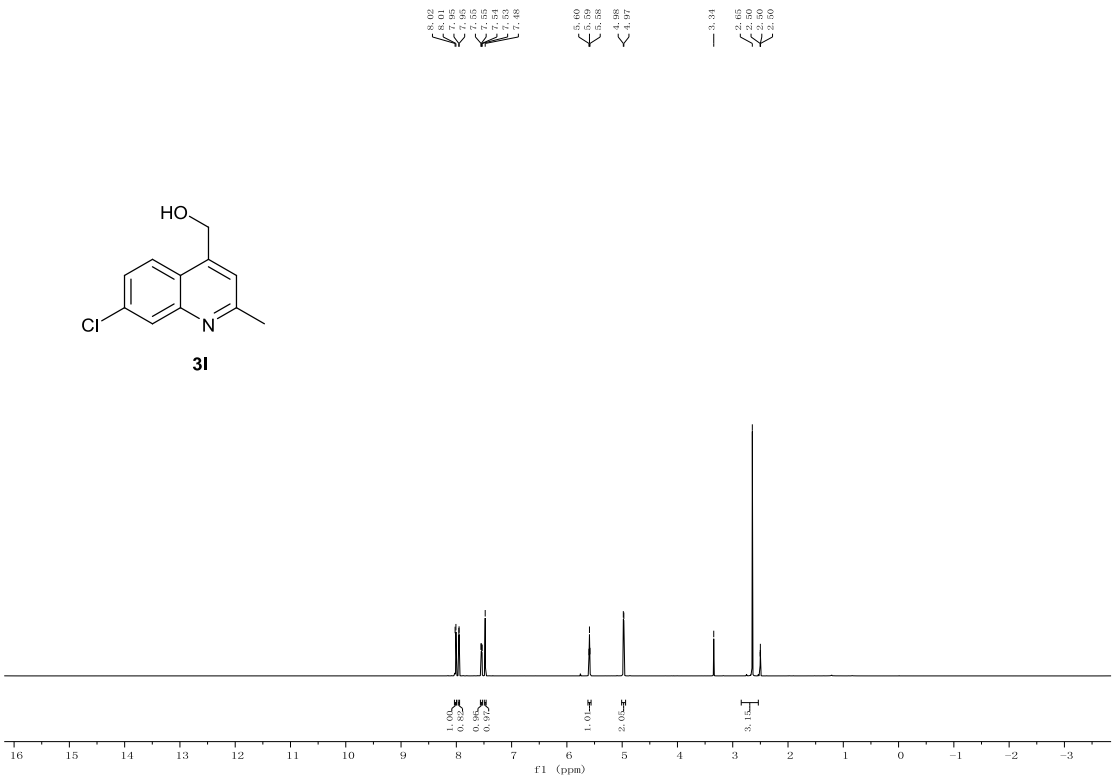
f1 (ppm)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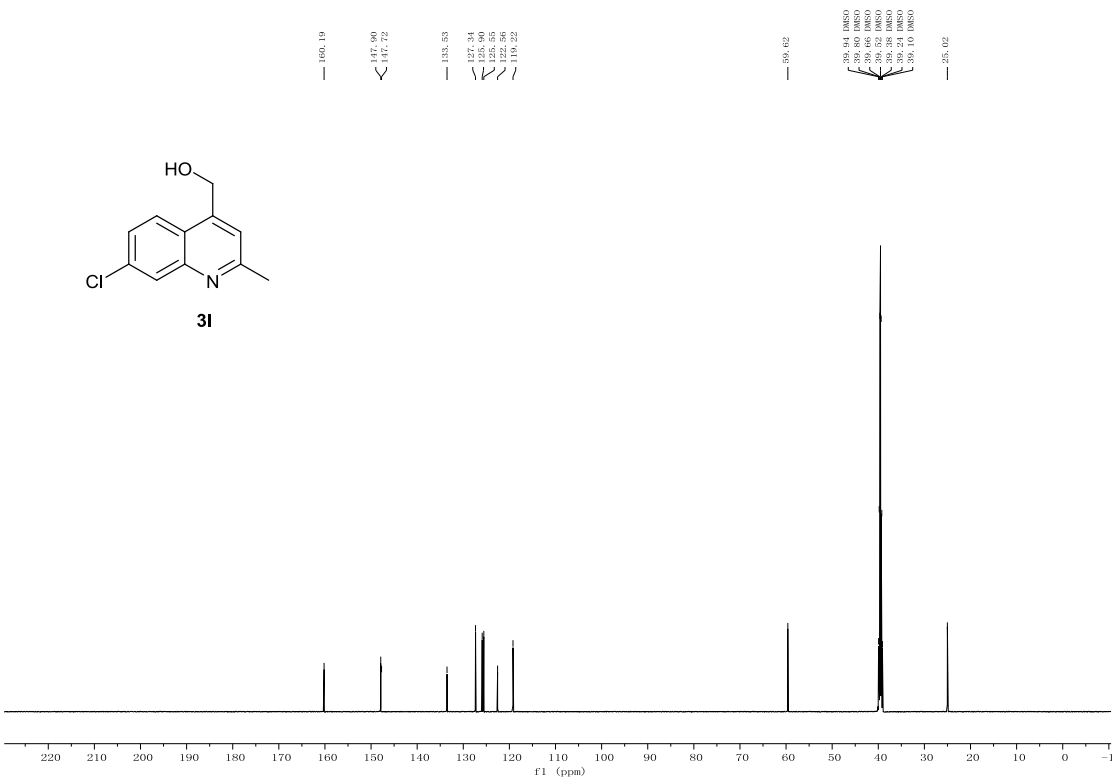
7.55
7.54
7.53
7.48
5.60
5.59
5.58
4.98
4.97
3.34
2.65
2.50
2.50
2.09
HO
Cl
N
3l
1.00
0.82
0.98
0.97
1.01
2.00
3.15
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 −1 −2 −3
f1 (ppm)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133.53
127.34
125.90
125.55
122.56
119.22
59.62
39.94 DMSO
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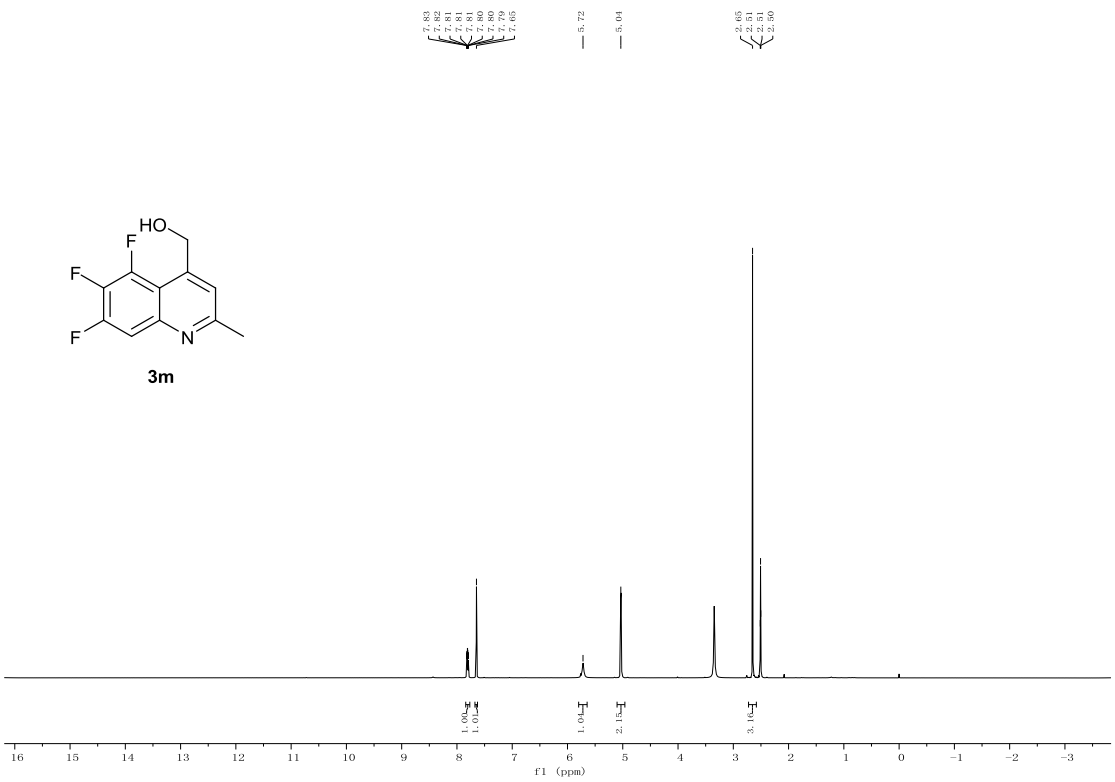
39.45 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.02
HO
Cl
N
f1 (ppm)

3l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7.82
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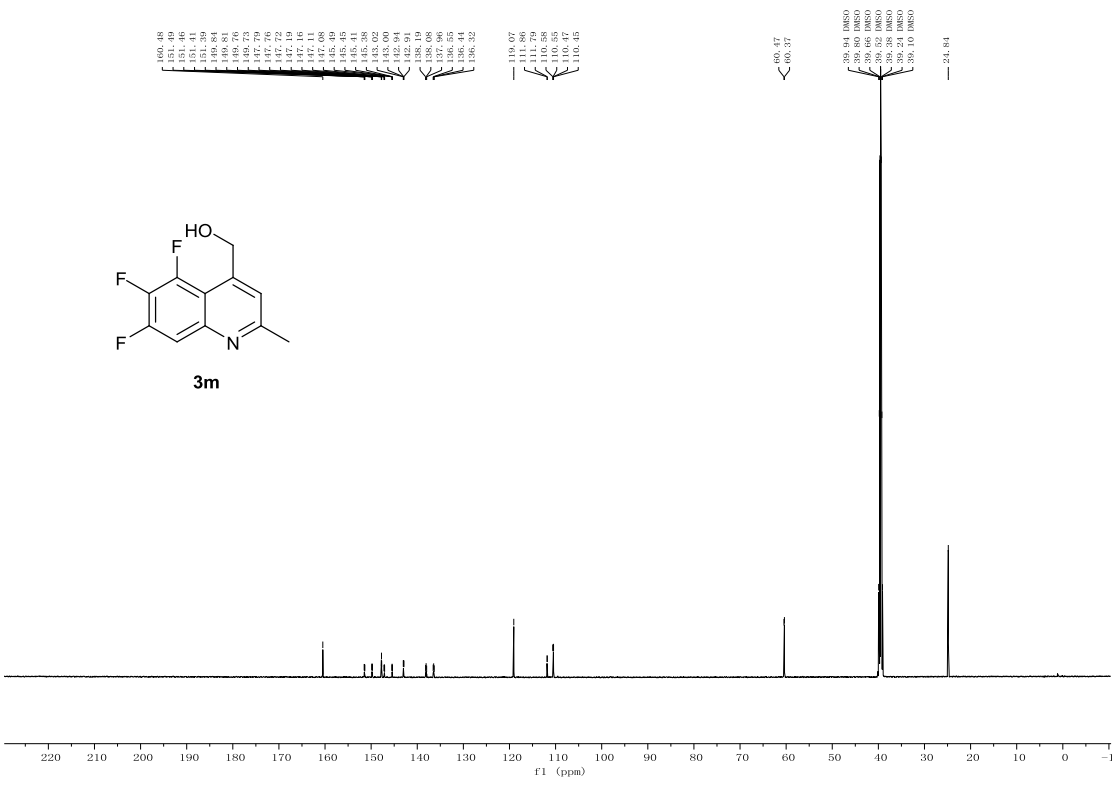
7.81
7.81
7.80
7.80
7.79
7.65
5.72
5.04
2.65
2.51
2.51
2.50
HO
F
F
F
N
1.00
1.01
1.04
2.15
3.16
f1 (ppm)

3m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147.79
147.76
147.72
147.19
147.16
147.11
147.08
145.49
145.45
145.41
145.38
143.02
142.94
142.91
138.19
138.08
137.96
136.55
136.44
136.32
119.07
111.86
111.79
110.58
110.55
110.47
110.45
60.47
60.37
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
24.84
HO
F
F
F
N
3m
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−1
f1 (ppm)


−133.39
−133.40
−133.41
−133.42
−133.43
−133.44
−133.45
−133.46
−135.52
−135.56
−162.98
−163.00
−163.02
−163.04
−163.06
−163.07
HO
F
F
F
N
3m
1.07
1.13
1.00
10
0
−10
−20
−30
−40
−50
−60
−70
−80
−90
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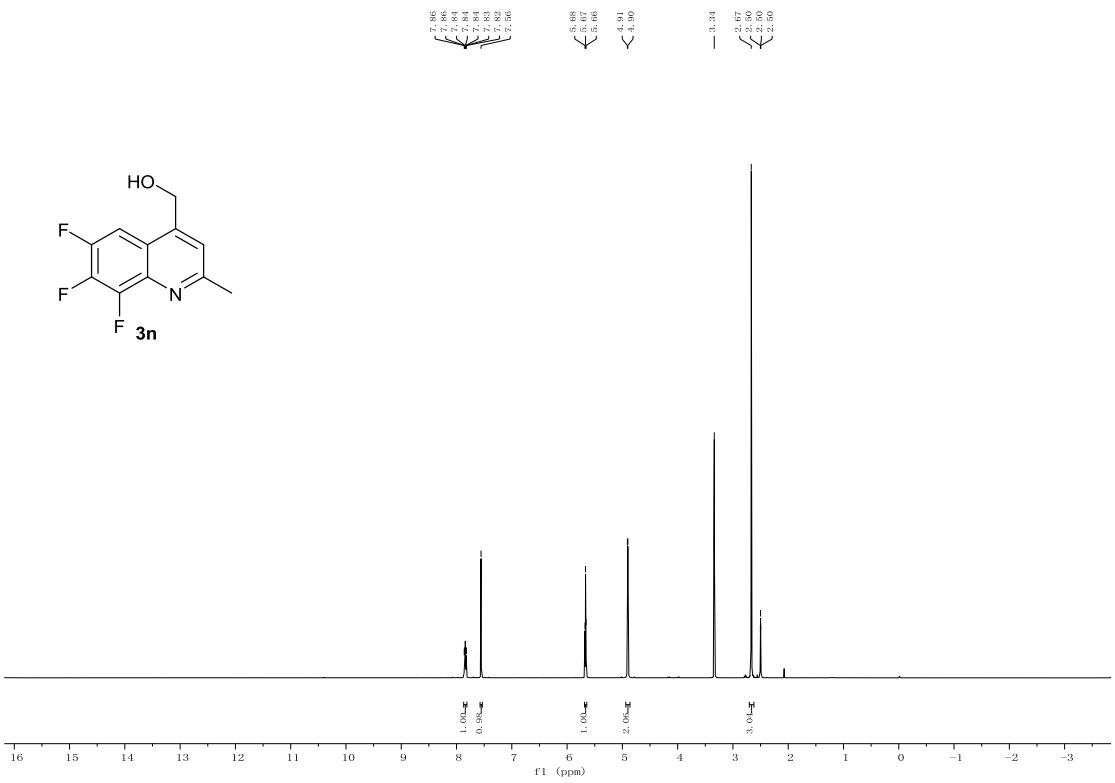
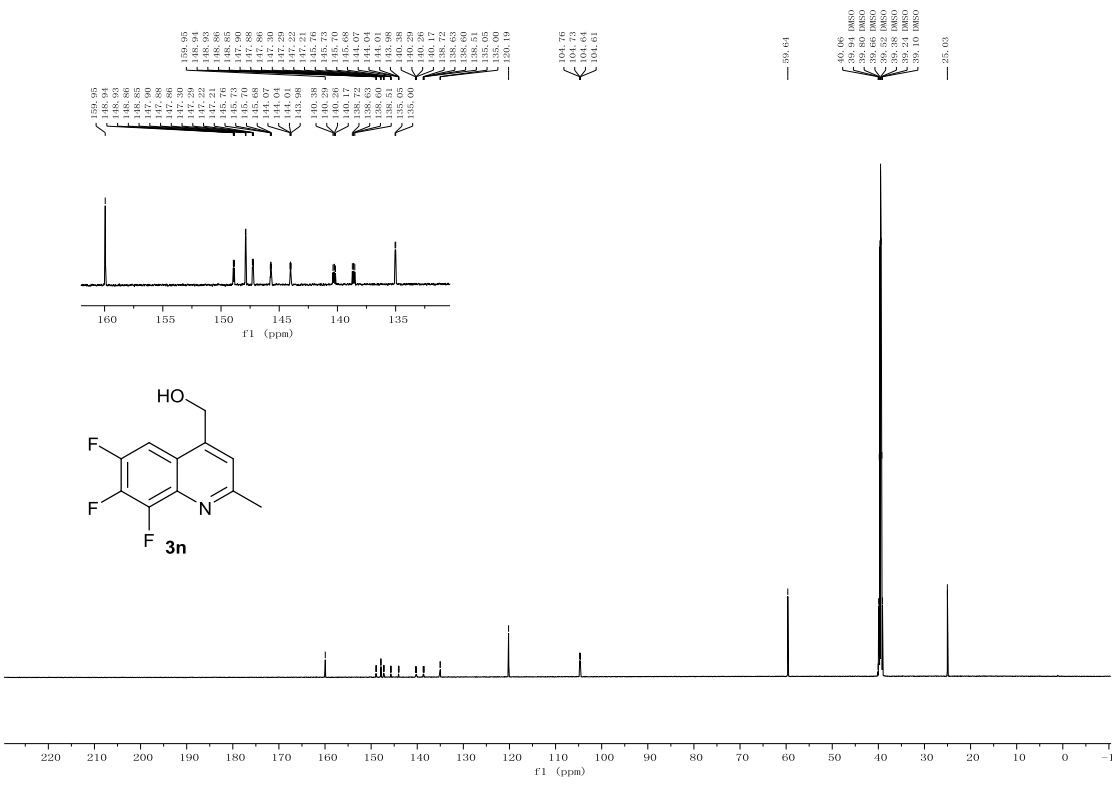
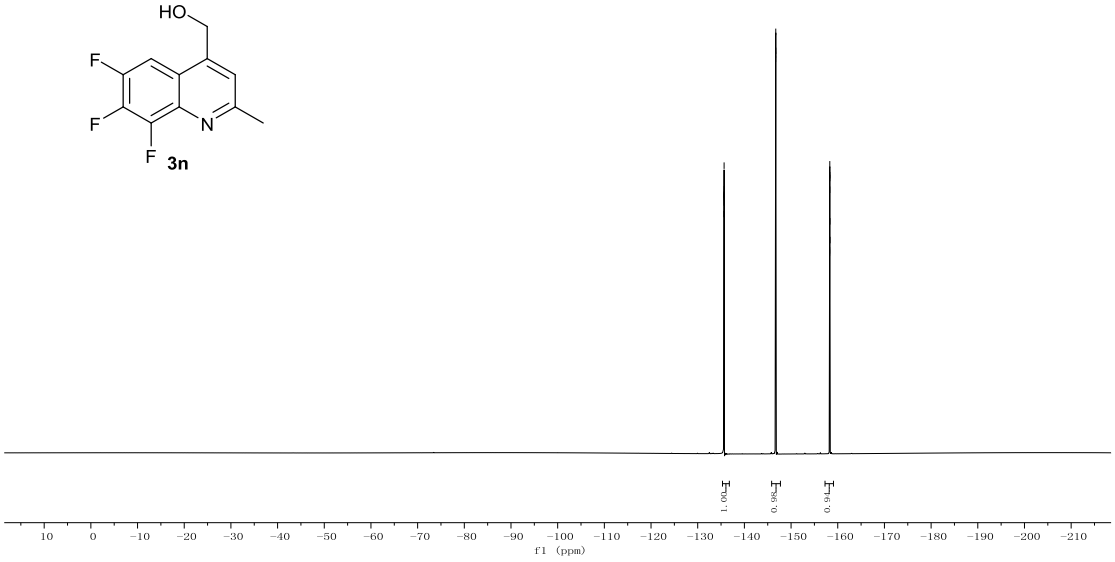
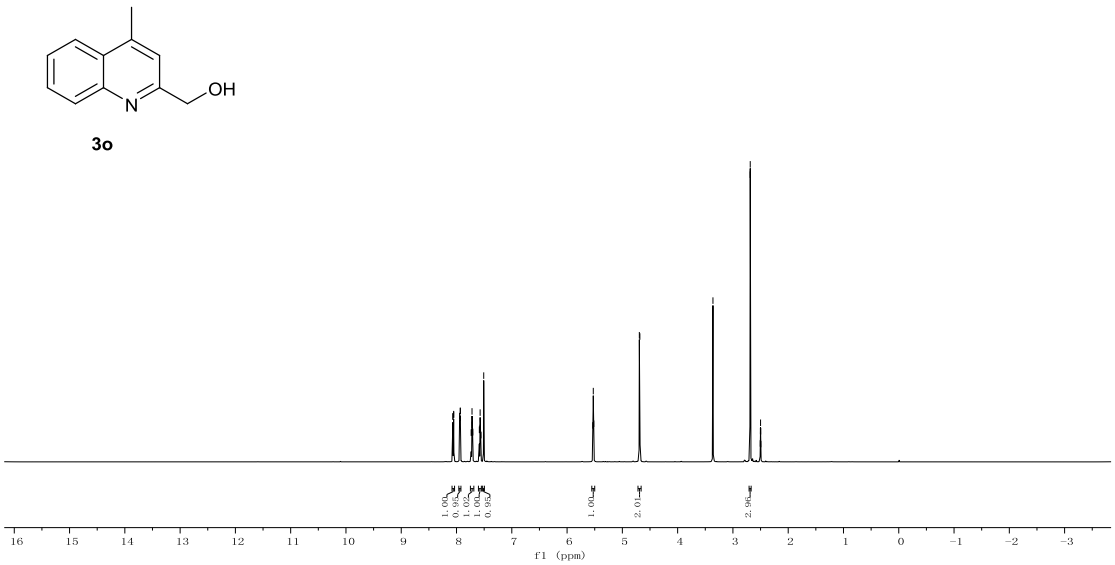
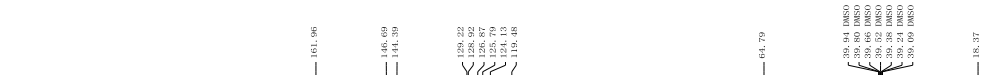
−120
−130
−140
−150
−160
−170
−180
−190
−200
−210
f1 (ppm)

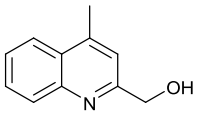

HO
F
F
F
N
3n
f1 (ppm)


HO
F
F
F
N
3n
f1 (ppm)


HO
F
F
F
N
3n
f1 (ppm)

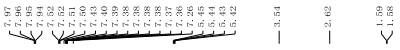

OH
N
3o
f1 (ppm)

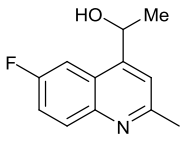

161.96
146.69
144.39
129.22
128.92
125.87
125.79
124.15
119.48
64.79
39.94 DMSO
39.69 DMSO
39.45 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.09 DMSO
18.37


OH
N

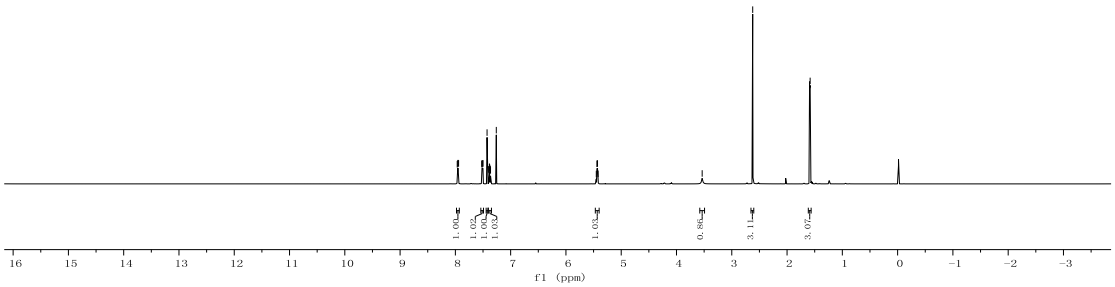
3o

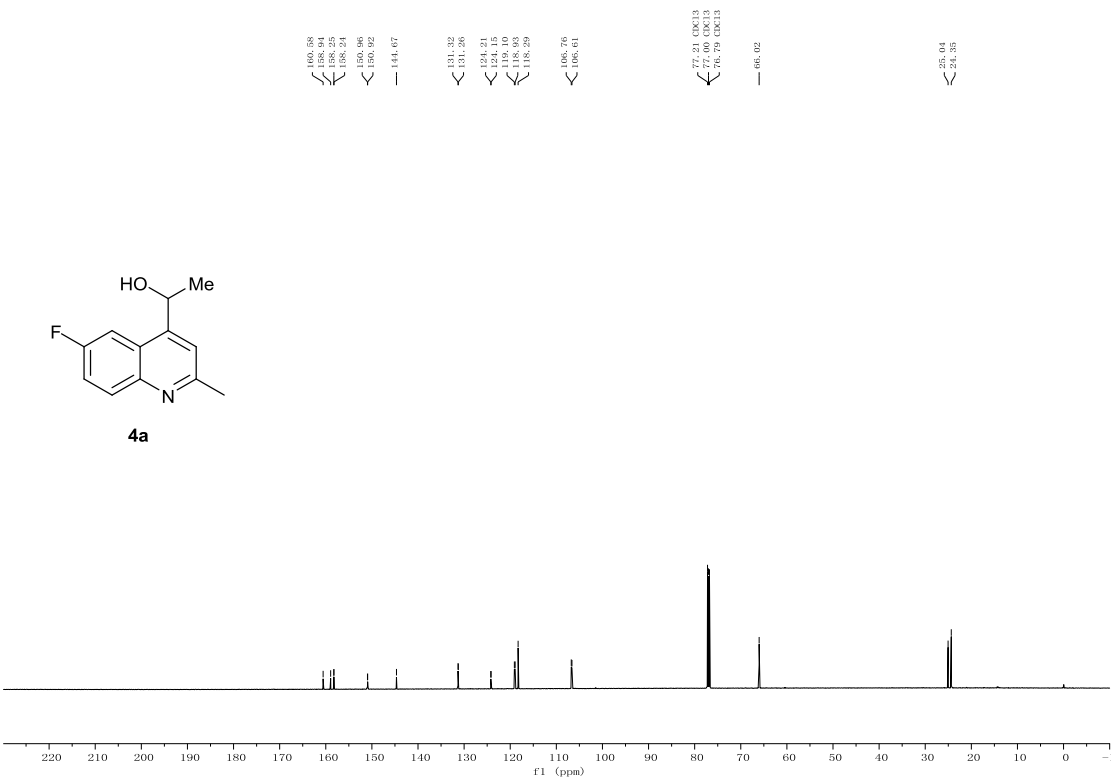
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −1
f1 (ppm)


7.97
7.96
7.95
7.94
7.52
7.52
7.51
7.50
7.43
7.40
7.39
7.38
7.38
7.38
7.37
7.36
7.26
5.45
5.44
5.43
5.42
3.54
2.62
1.59
1.58


HO
Me
F
N

4a


1.05
1.02
1.04
1.03
1.03
0.88
3.11
3.07
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 −1 −2 −3
f1 (ppm)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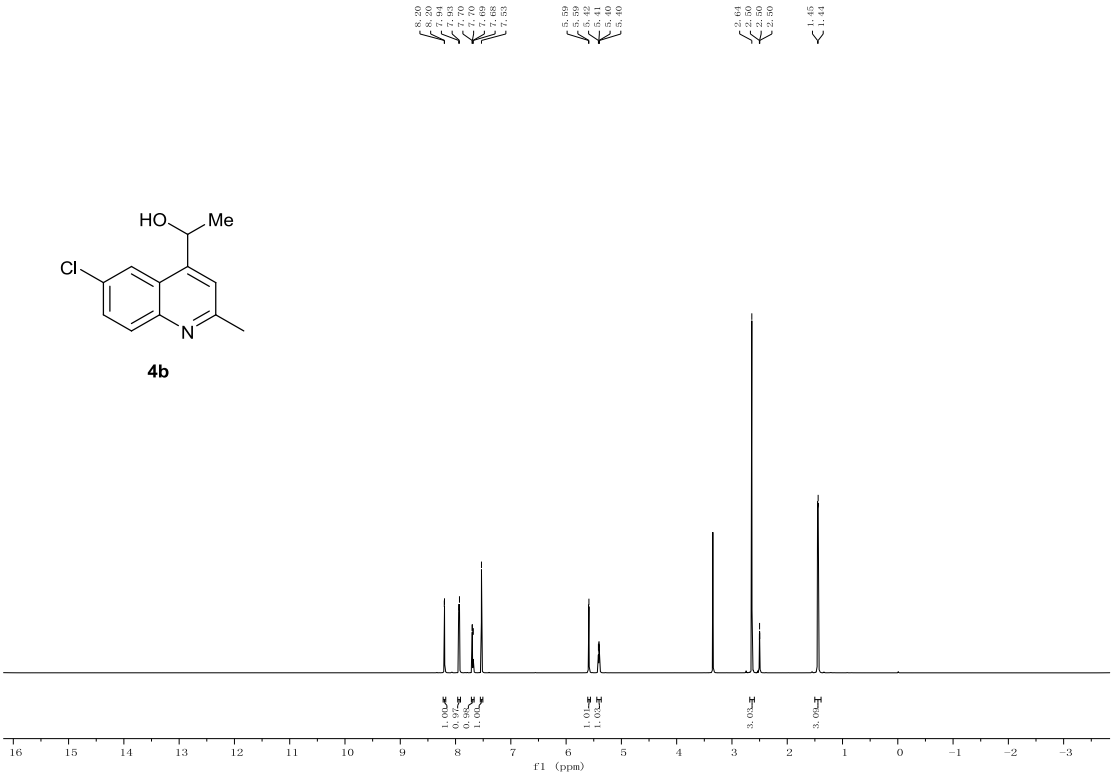
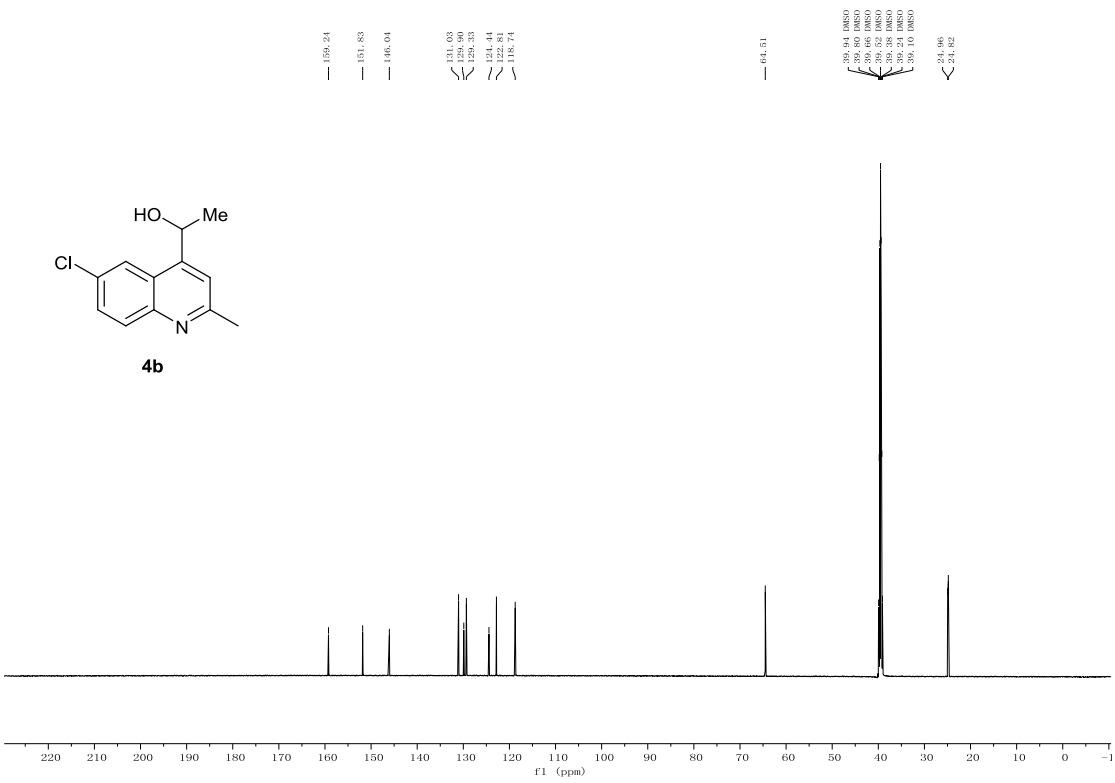
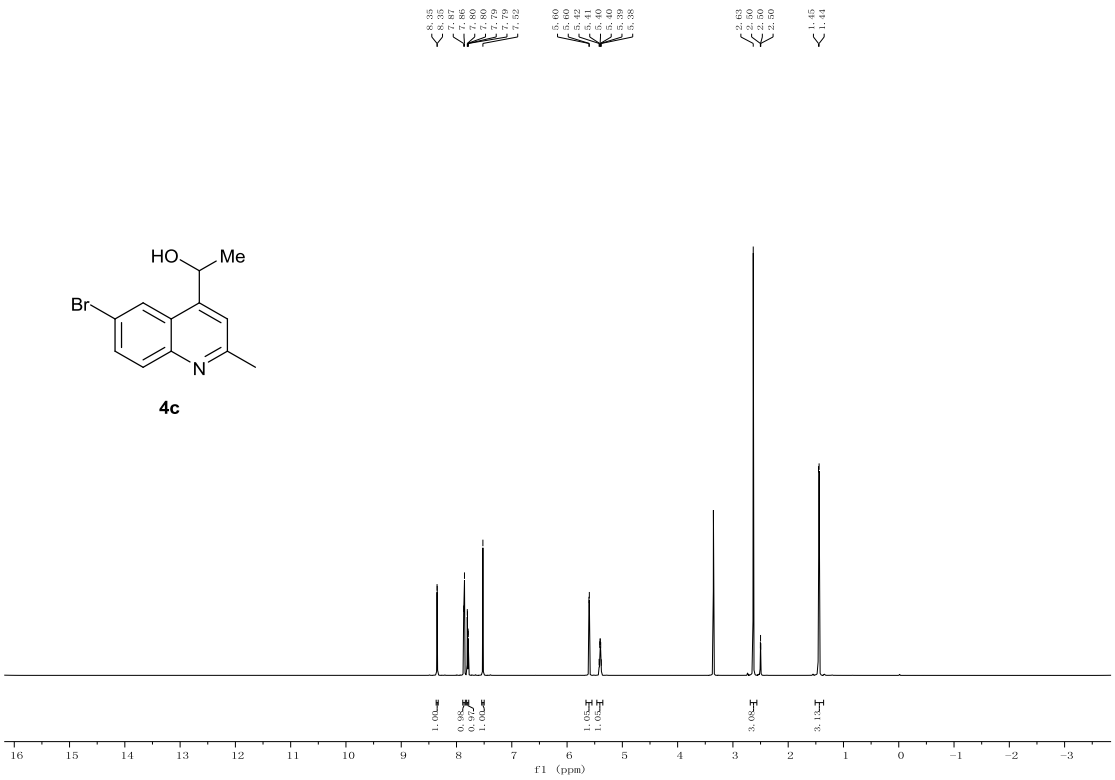
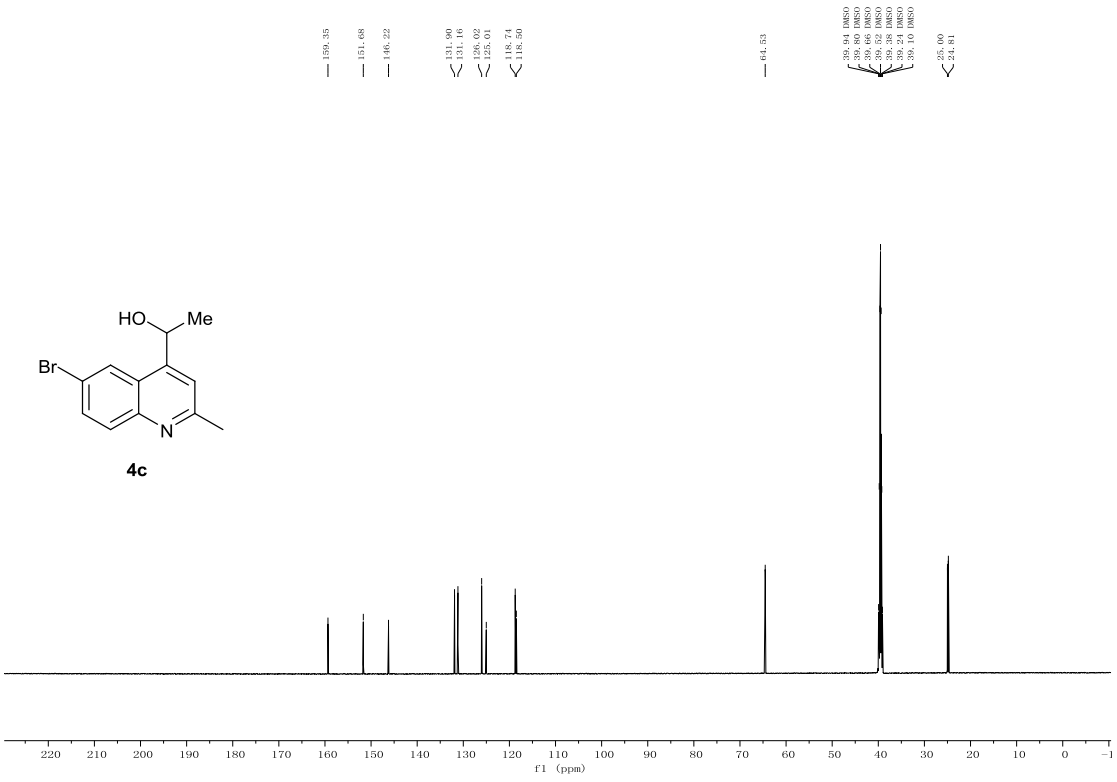
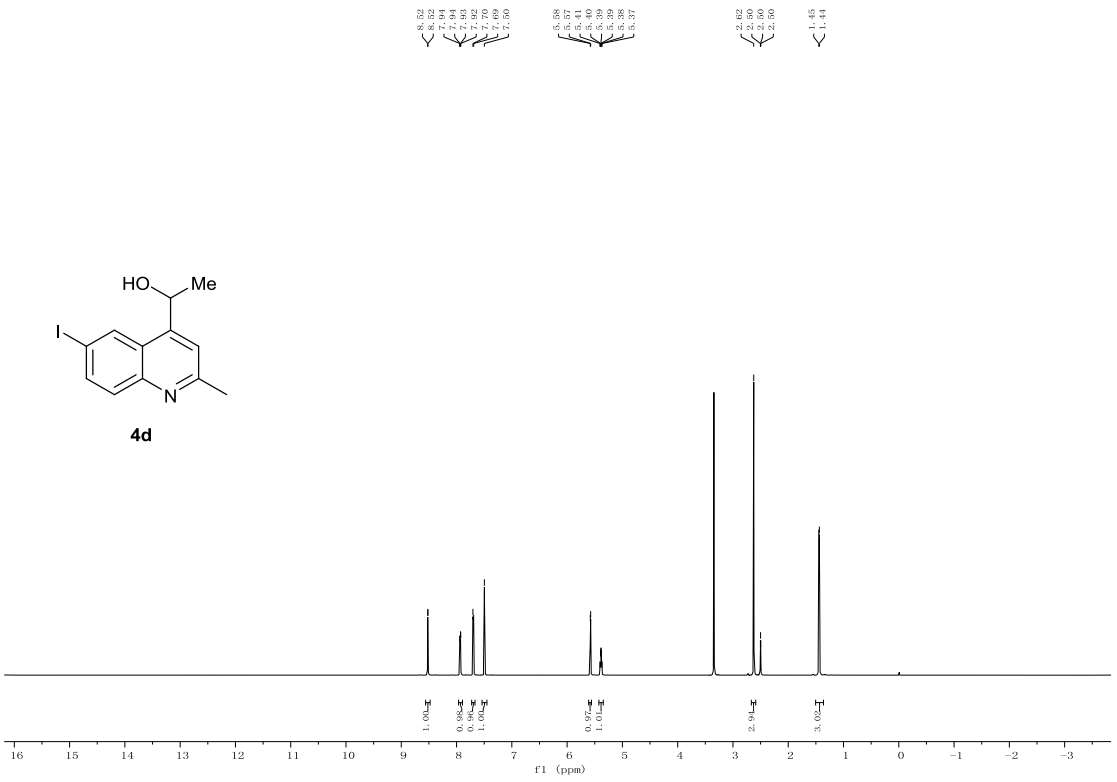
158.94
158.25
158.24
150.96
150.92
144.67
131.32
131.26
124.21
124.15
119.10
118.93
118.29
106.76
106.61
77.21 CDCl3
77.00 CDCl3
76.79 CDCl3
66.02
25.04
24.35
HO
Me
F
N
4a
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

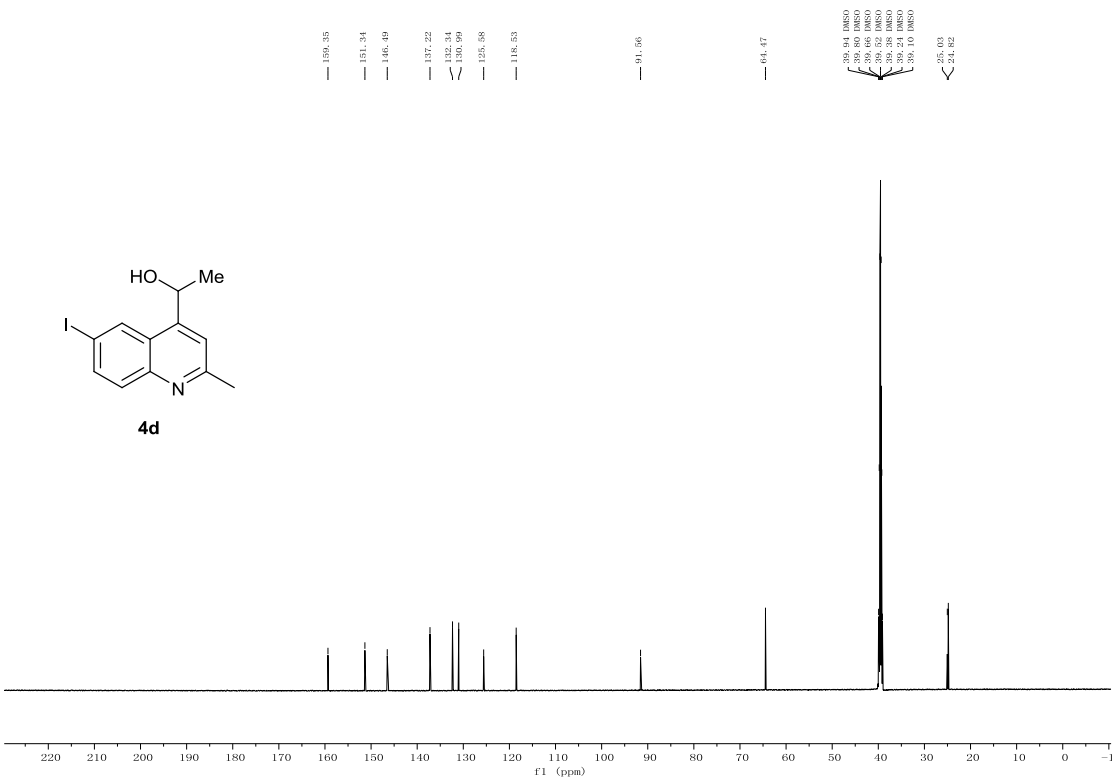

8.20
8.20
7.94
7.93
7.70
7.70
7.69
7.68
7.53
5.59
5.59
5.42
5.41
5.40
5.40
2.64
2.56
2.50
2.50
1.45
1.44
HO
Me
Cl
N
4b
1.00
0.97
0.98
1.00
1.01
1.03
3.03
3.09
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 −1 −2 −3
f1 (ppm)

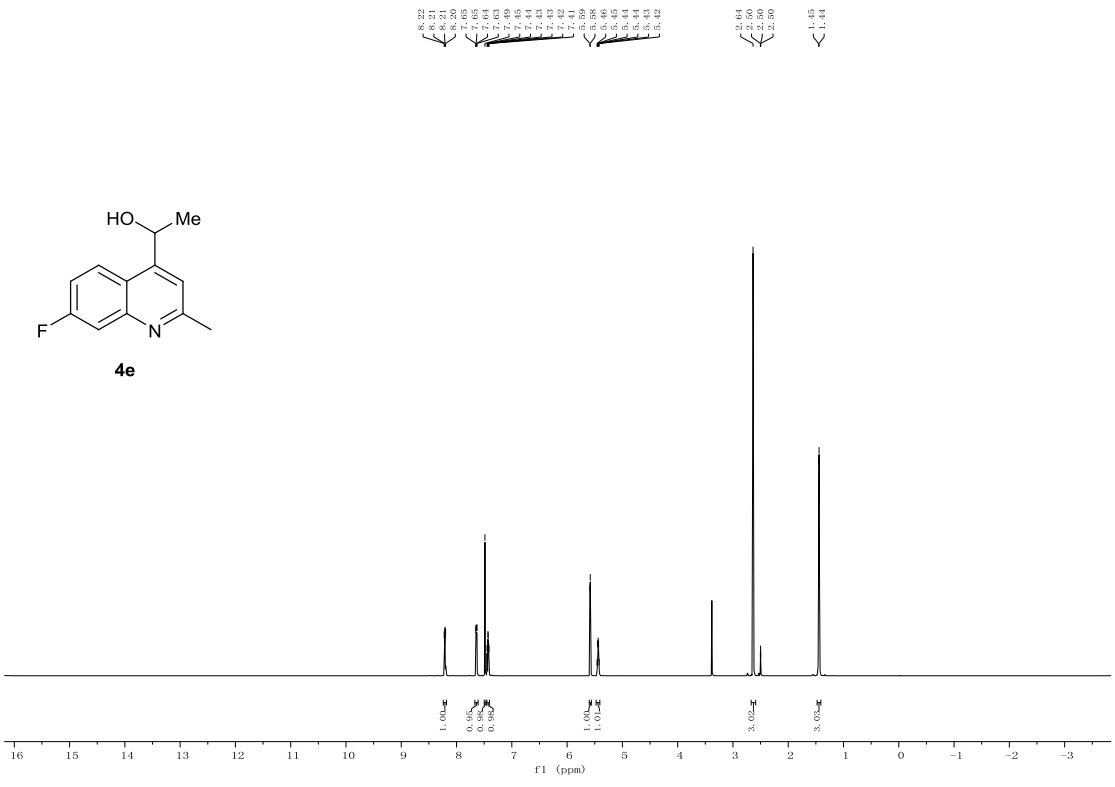

159.24
151.83
146.04
131.03
129.90
129.33
124.44
122.81
118.74
64.61
39.94 DMSO
39.69 DMSO
39.45 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
24.96
24.82
HO
Me
Cl
N
4b
f1 (ppm)

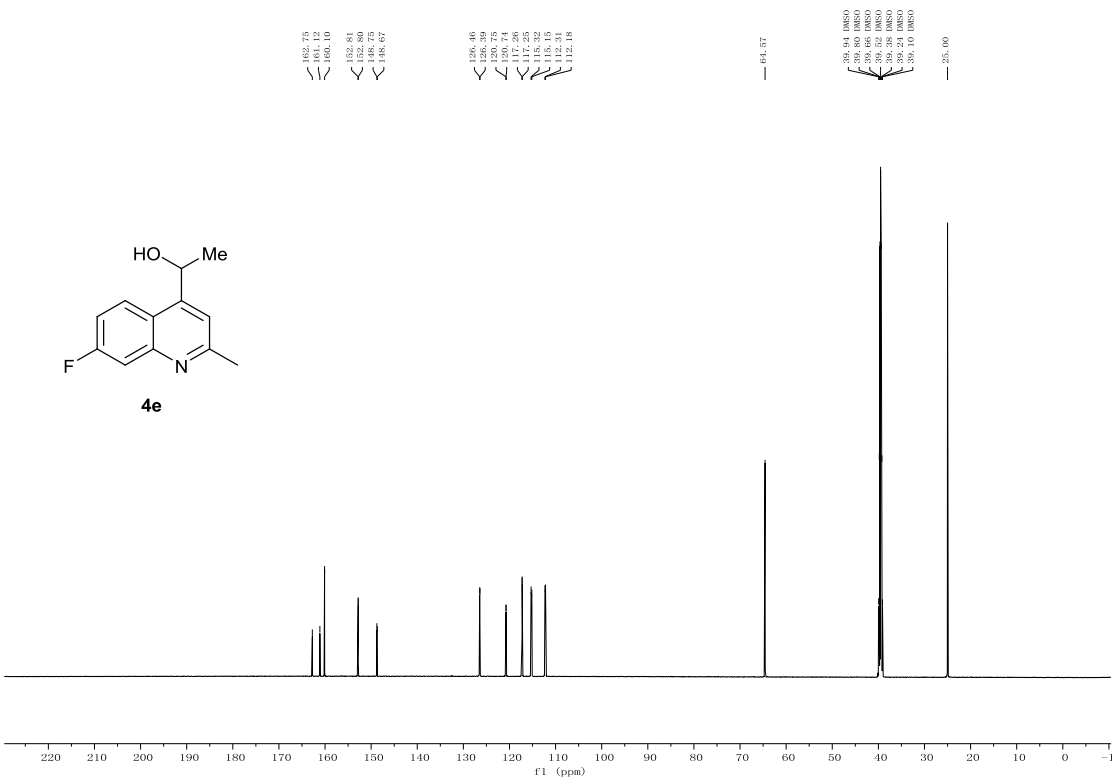

8.35
8.35
7.87
7.86
7.80
7.80
7.79
7.79
7.52
5.60
5.60
5.42
5.41
5.40
5.40
5.39
5.38
2.63
2.59
2.59
2.59
1.45
1.44
1.05
0.98
0.97
1.05
1.05
3.08
3.13
HO
Me
Br
N
4c
f1 (ppm)

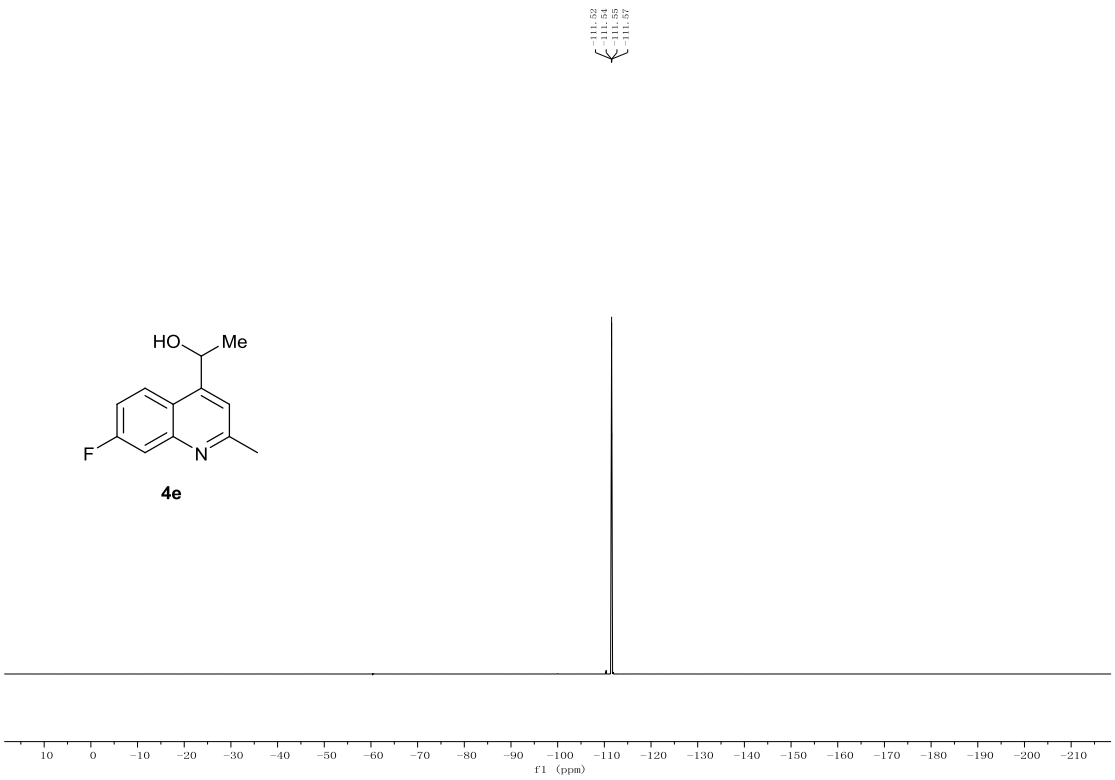

159.35
151.68
146.22
131.90
131.16
126.02
125.01
118.74
118.50
64.53
39.94 DMSO
39.69 DMSO
39.45 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.09
21.81
HO
Me
Br
N
4c
f1 (ppm)

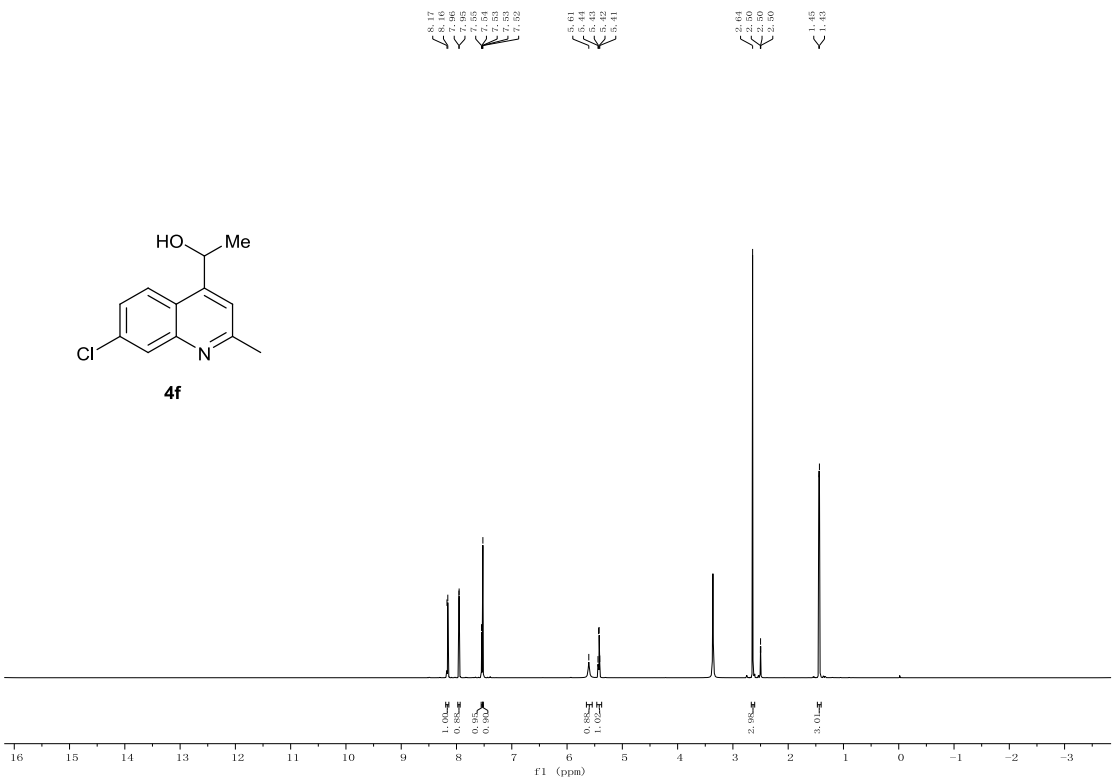

8.52
8.52
7.94
7.94
7.93
7.92
7.70
7.69
7.69
5.58
5.57
5.41
5.40
5.39
5.38
5.37
2.62
2.59
2.59
2.58
1.45
1.44
HO
Me
I
N
4d
1.00
0.98
0.98
1.00
0.97
1.01
2.94
3.02
f1 (ppm)


HO
Me
I
N
4d
f1 (ppm)

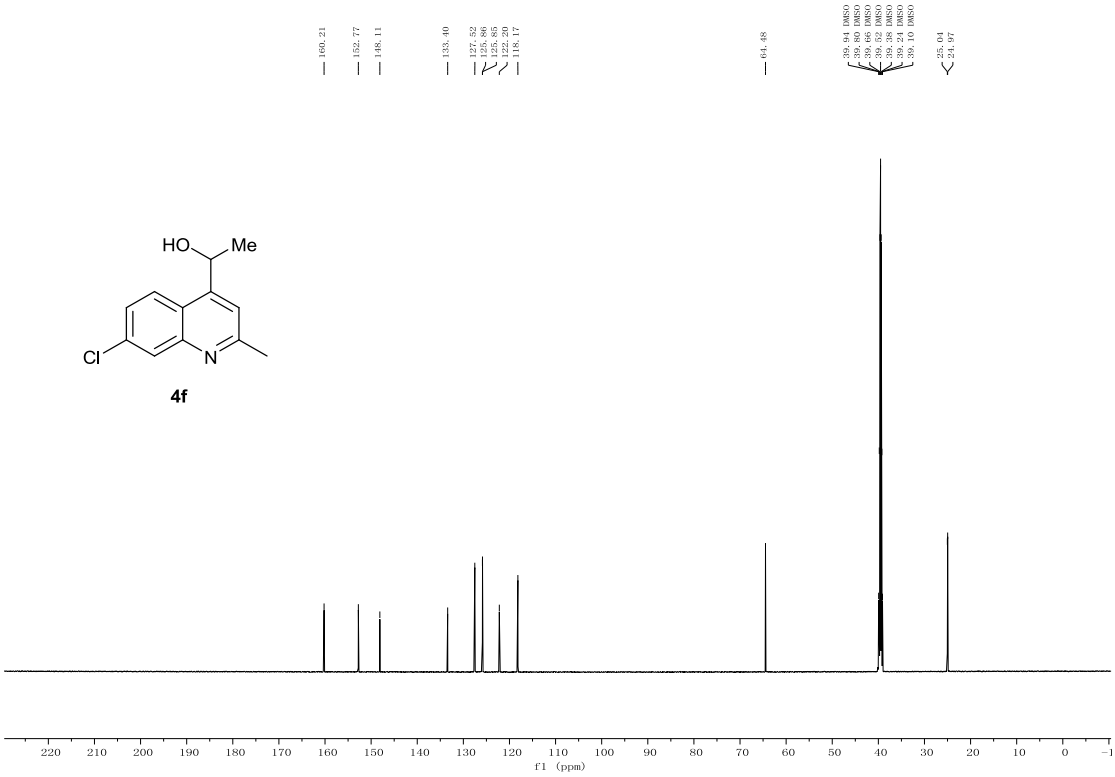

HO
Me
F
N
4e
f1 (ppm)


162.75
161.12
160.10
152.81
152.80
148.75
148.67
126.46
126.39
120.75
120.74
117.26
117.25
115.32
115.15
112.31
112.18
64.57
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.00
HO
Me
F
N
4e
f1 (ppm)

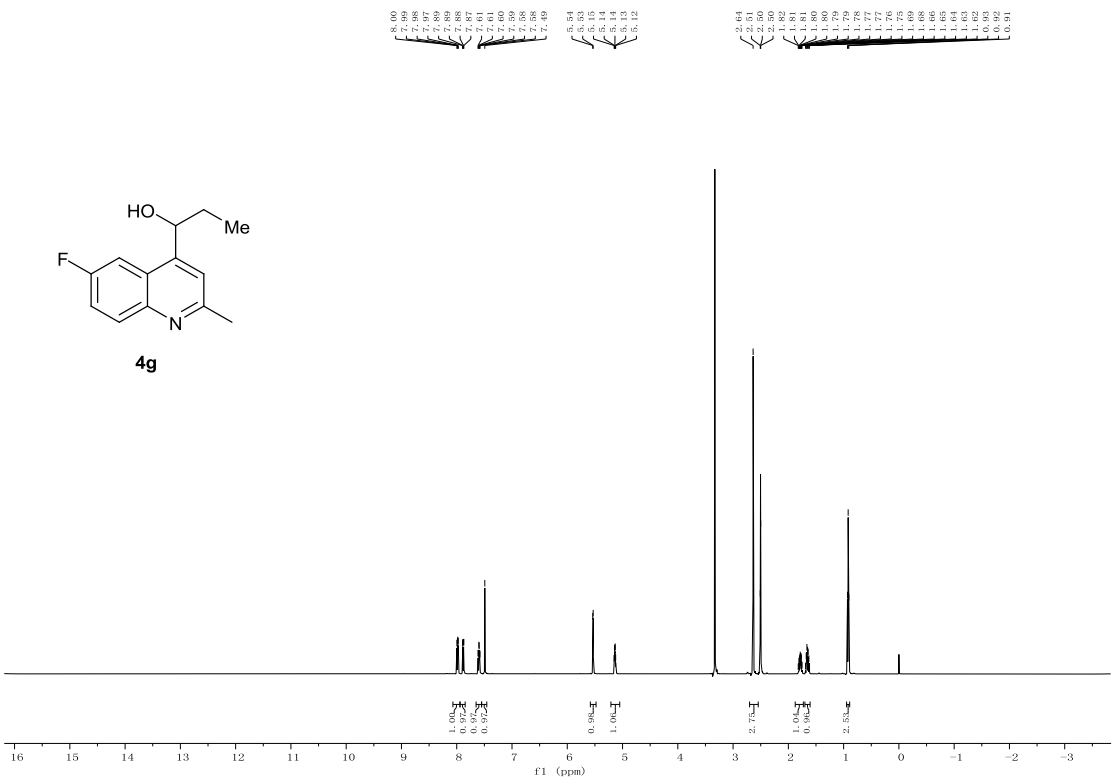

-111.52
-111.54
-111.55
-111.57
HO
Me
F
N
4e
f1 (ppm)

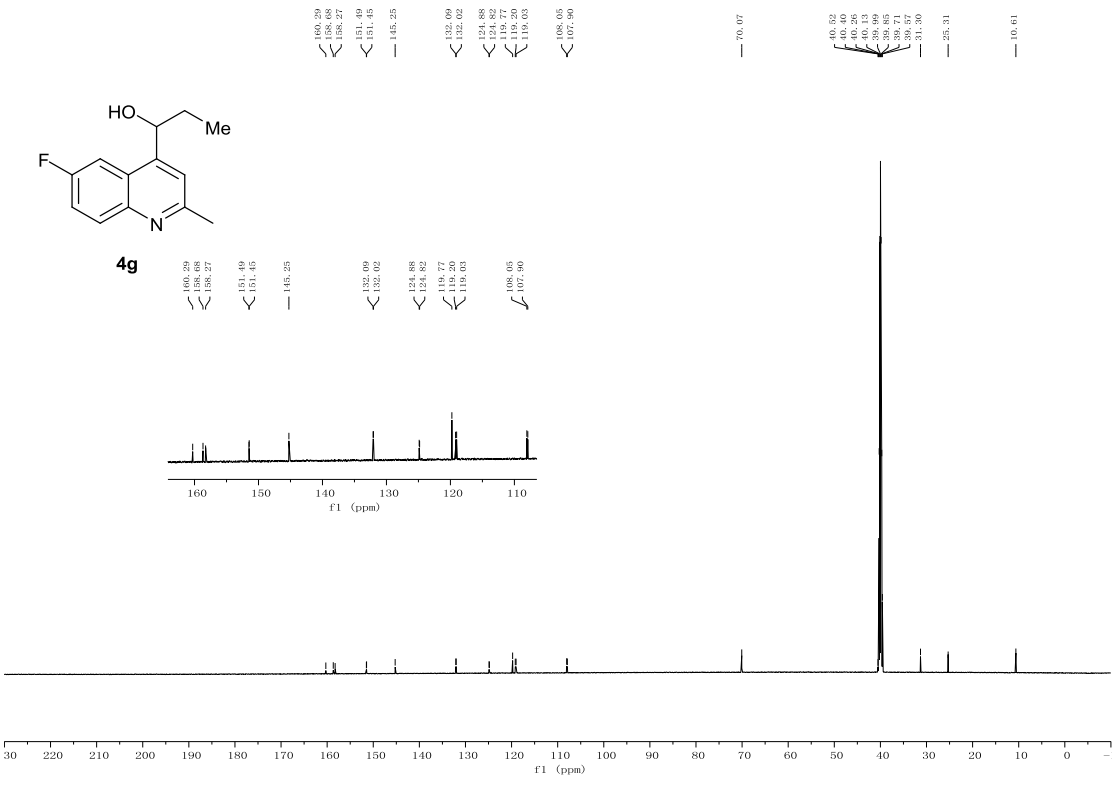

8.17
8.16
7.96
7.95
7.65
7.64
7.53
7.53
7.52
5.61
5.44
5.43
5.42
5.41
2.64
2.59
2.59
2.59
1.45
1.43
HO
Me
Cl
N
1.00
0.88
0.95
0.90
0.88
1.05
2.98
3.01
f1 (ppm)

4f

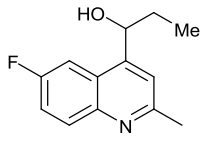

160.21
152.77
148.11
133.40
127.52
125.86
125.85
122.30
118.17
64.48
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
25.04
24.97
HO
Me
Cl
N
f1 (ppm)

4f


HO
Me
F
N
4g
f1 (ppm)


HO
Me
F
N
4g
f1 (ppm)

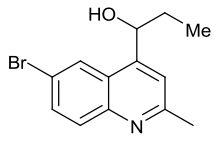

-114.28
-114.29
-114.30
-114.32


HO
Me
F
N

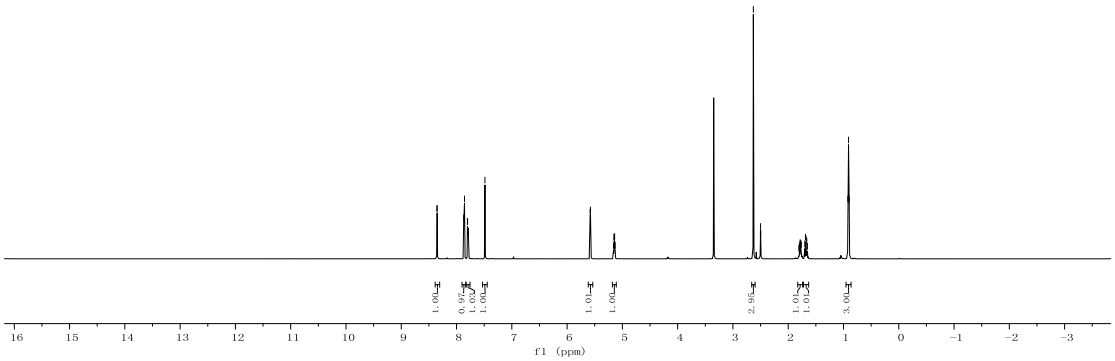
4g

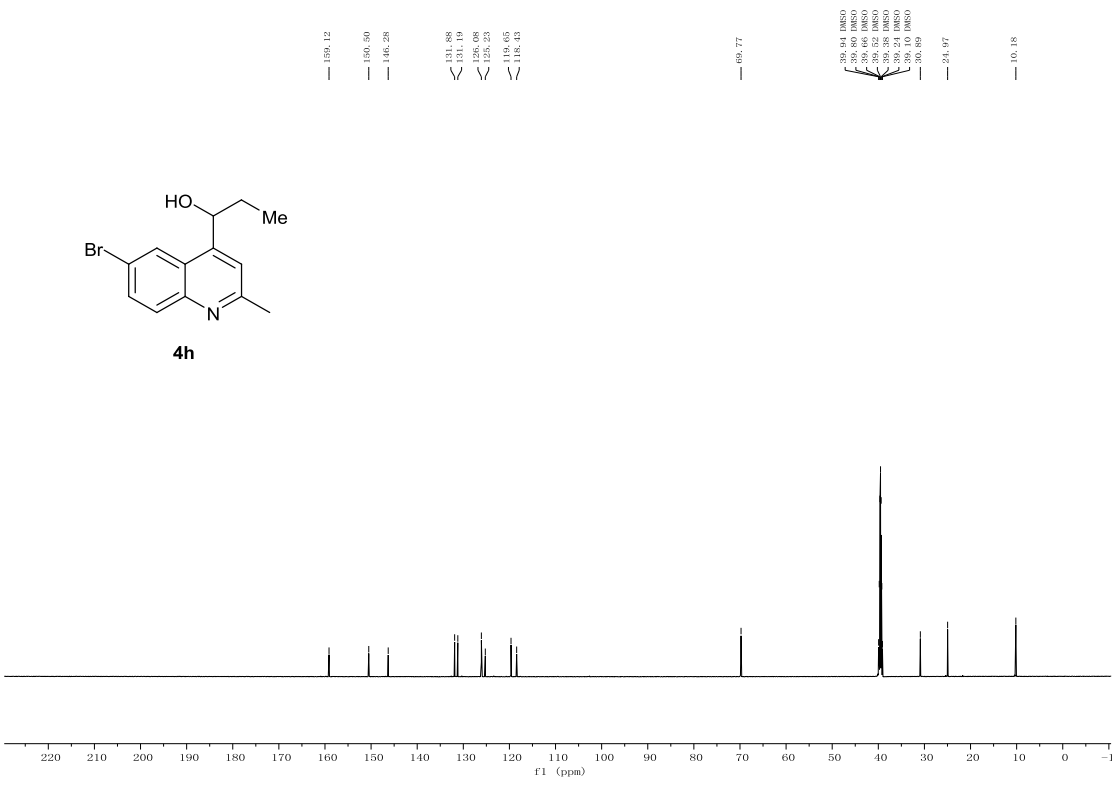
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)


8.35
8.35
7.87
7.86
7.80
7.80
7.79
7.78
7.78
7.49
5.58
5.08
5.16
5.15
5.15
5.14
5.13
2.63
2.60
2.60
2.59
1.81
1.80
1.79
1.79
1.78
1.78
1.77
1.76
1.70
1.69
1.68
1.66
1.65
0.92
0.91
0.90


HO
Me
Br
N

4h

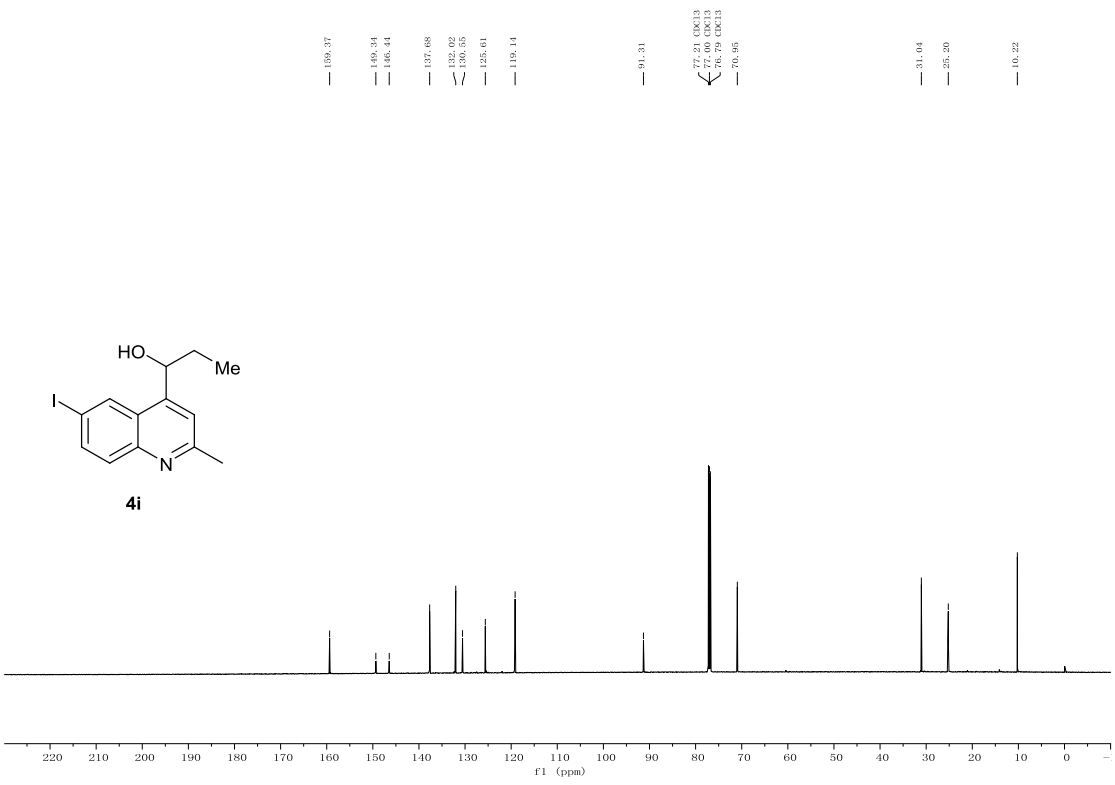

1.00
0.97
1.02
1.00
1.01
1.00
2.95
1.01
1.01
3.00
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 −1 −2 −3
f1 (ppm)


139.12
150.50
146.28
131.88
131.19
126.08
125.23
119.65
118.43
69.77
39.94 DMSO
39.80 DMSO
39.66 DMSO
39.52 DMSO
39.38 DMSO
39.24 DMSO
39.10 DMSO
30.89
24.97
10.18
HO
Me
Br
N
f1 (ppm)

4h


8.24
8.24
7.83
7.82
7.81
7.81
7.64
7.62
7.33
7.33
5.20
5.19
5.18
5.18
3.71
2.59
1.93
1.93
1.92
1.91
1.91
1.90
1.90
1.89
1.89
1.88
1.87
1.87
1.84
1.83
1.82
1.80
1.79
1.78
1.77
1.01
1.00
0.99
HO
Me
I
N
1.00
0.97
0.94
1.02
1.04
0.87
3.04
1.05
1.02
3.07
f1 (ppm)

4i


159.37
149.34
146.44
137.68
132.02
130.58
125.61
119.14
91.31
77.21 CDCl3
77.00 CDCl3
76.79 CDCl3
70.95
31.04
25.20
10.22
HO
Me
I
N
4i
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)