Supporting Information

Synthesis of Quinol-type Heterobiaryls via a Acid-Catalyzed Heteroannulation of Alkynes and *o*-Aminobenzaldehydes

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1. General considerations

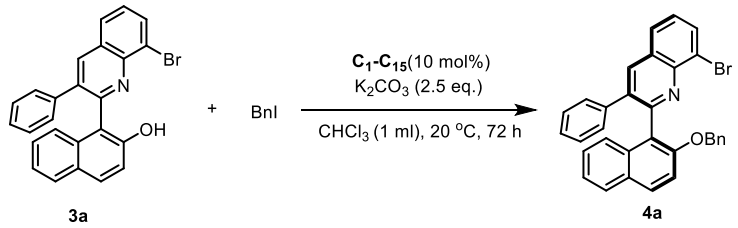
Unless otherwise noted, all the anhydrous reactions were carried with standard procedures under nitrogen atmosphere. All reagents were purchased from commercial suppliers and used without purification. The solvents were dried by distillation over the appropriate drying reagents. ^{1}H and ^{13}C NMR spectra were recorded on Varian (400 MHz and 600 MHz) spectrometer. Chemical shifts (δ) are reported in ppm relative to TMS (δ 0.00) for the ^{1}H NMR and to chloroform (δ 77.00, the middle peak) and dimethylsulfoxide (δ 39.52) for the ^{13}C NMR measurements. High resolution mass spectra were obtained on a UltiMate 3000 spectrometer. Infrared spectra were recorded on a TENSOR 27 FT-IR spectrophotometer and reported in wave numbers (cm^{-1}). Reactions were followed with TLC (0.254mm silica gel 60-F plates). Visualization was accomplished with UV light. Flash chromatography separations were performed on 200-300 mesh silica gel.

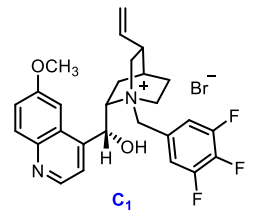
2. Preparation of substrates

Compounds **1** and **2** were synthesized according to literature known procedures.[1-2]

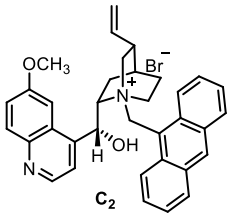
3. Optimization of the dynamic kinetic reaction conditions

Scheme 1. Screening of catalysts

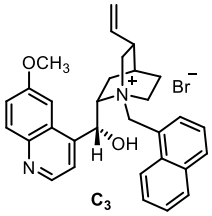




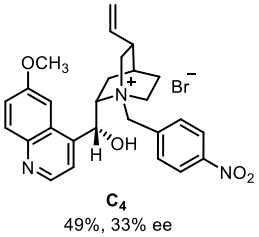
C1
55%, 63% ee



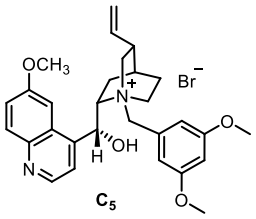
C2
55%, 60% ee



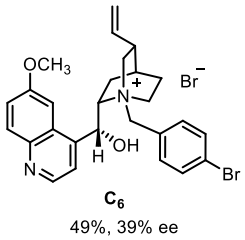
C3
52%, 49% ee



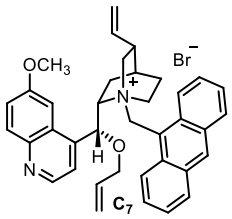
C4
49%, 33% ee



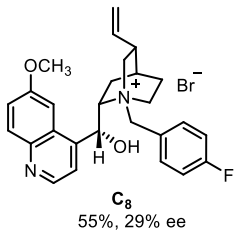
C5
51%, 31% ee



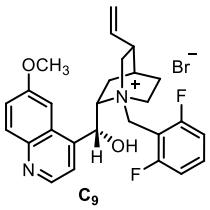
C6
49%, 39% ee



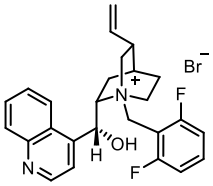
C7
51%, 27% ee



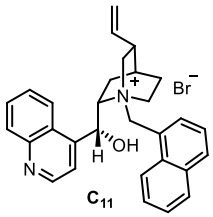
C8
55%, 29% ee



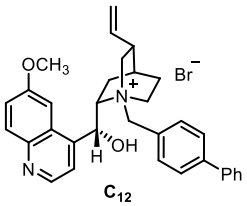
C9
49%, 25% ee



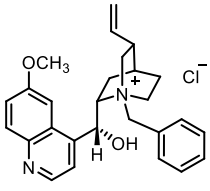
C10
55%, 60% ee



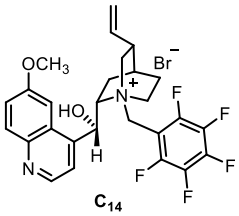
C11
57%, 53% ee



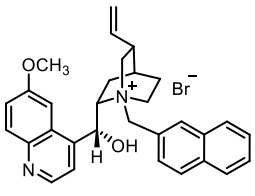
C12
57%, 30% ee



C13
54%, 25% ee

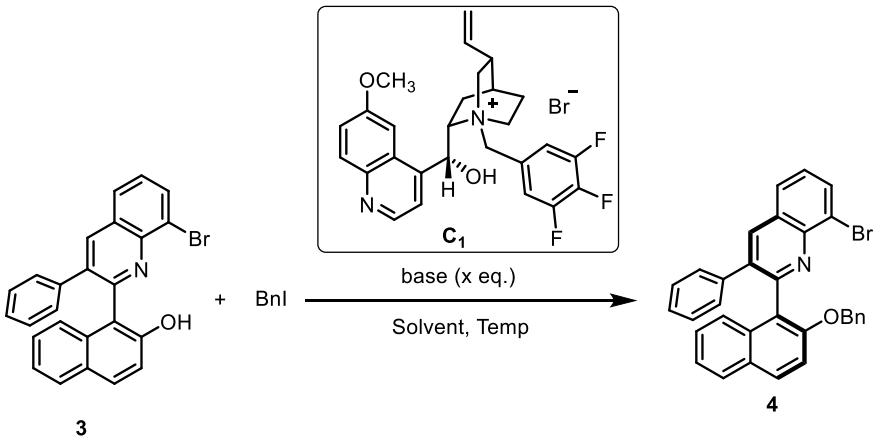


C14
68%, 22% ee



C15
60%, 38% ee

Table 1. Screening of other conditions [a]



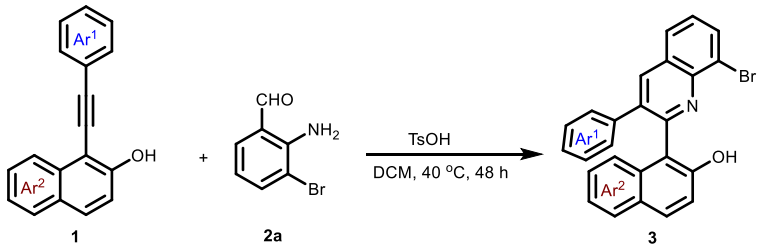
3 4

Entry	Cat.(eq.)	Base (eq.)	Solvent	T/ °C	Yield (%)[b]	ee (%)[c]
1	**C₁** (0.1)	K_2CO_3(solid, 2.5)	$CHCl_3$	20	55	63
2	**C₁** (0.1)	K_2CO_3(50% aq, 2.5)	$CHCl_3$	20	47	60
3	**C₁** (0.1)	K_2CO_3(solid, 10)	$CHCl_3$	20	65	67
4	**C₁** (0.1)	K_2CO_3(solid, 12)	$CHCl_3$	20	67	66
5	**C₁** (0.2)	K_2CO_3(solid, 10)	$CHCl_3$	20	**65**	**73**
6	**C₁** (0.2)	$LiOH·H_2O$(solid, 10)	$CHCl_3$	20	67	52
7	**C₁** (0.2)	KOH(solid, 10)	$CHCl_3$	20	77	50
8	**C₁** (0.2)	K_3PO_4(solid, 10)	$CHCl_3$	20	60	70
9	**C₁** (0.2)	K_2CO_3(solid, 10)	Toluene	20	65	44
10	**C₁** (0.2)	K_2CO_3(solid, 10)	CH_2Cl_2	20	52	51
11	**C₁** (0.2)	K_2CO_3(solid, 10)	Et_2O	20	45	55
12	**C₁** (0.2)	K_2CO_3(solid, 10)	THF	20	21	34
13	**C₁** (0.2)	K_2CO_3(solid, 10)	$CHCl_3$	25	69	71
14	**C₁** (0.2)	K_2CO_3(solid, 10)	$CHCl_3$	15	55	70

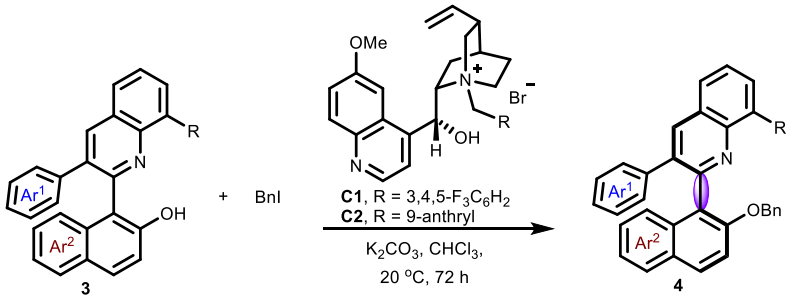
[a] Reactions were carried out with **3** (0.05 mmol), BnI (0.15 mmol), **C₁** (0.005-0.01 mmol) in solvent (1.0 mL) at 15-25 ℃ for 72 h. [b] Isolated yield. [c] The ee values were determined by HPLC analysis.

4. General procedures and Characterization data

4.1 Characterization data

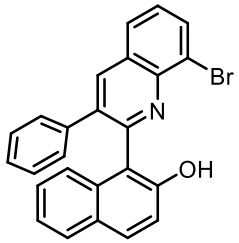


Under a nitrogen atmosphere, dry DCM (1.0 mL) was added to a mixture of **1** (0.12 mmol), **2** (0.1 mmol) and TsOH (0.02 mmol) in dry flask, then the mixture was stirred at 40 °C for 48 h. The reaction mixture was monitored by TLC, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE: EA = 15:1) to yield the corresponding product **3**



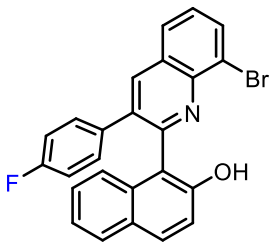
A 10 mL Schlenk tube was charged with substrate **3** (0.05 mmol) and catalyst (0.01 mmol). The tube was evacuated and filled with N_2 three times. Next, benzyl Iodine (0.015 mmol), K_2CO_3 (0.5 mmol) and $CHCl_3$ (1.0 mL) were added into the tube quickly. Then the mixture was stirred at 20 °C for 72 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE: EA = 30:1) to yield the corresponding product **4** as a yellow solid.

4.2 Characterization data



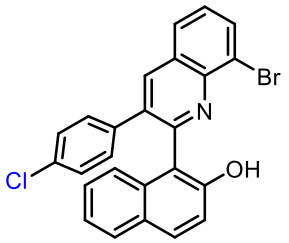
1-(8-Bromo-3-phenylquinolin-2-yl)naphthalen-2-ol (3a)

Yellow solid, yield 94% (39.9 mg); mp:173-174 ℃; IR (KBr): 3357, 3058, 1655, 1620, 960, 895 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.97 (s, 1H), 8.32 (s, 1H), 8.11 – 8.03 (m, 1H), 7.88 (d, J = 7.6 Hz, 1H), 7.76 (d, J = 8.9 Hz, 1H), 7.54 (d, J = 8.0 Hz, 1H), 7.45 (t, J = 7.9 Hz, 1H), 7.36 (d, J = 8.8 Hz, 1H), 7.23 (d, J = 8.5 Hz, 1H), 7.14 – 7.07 (m, 2H), 7.06 – 6.96 (m, 4H), 6.94 – 6.88 (m, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.3, 155.0, 142.8, 139.3, 138.9, 137.2, 133.4, 131.9, 130.7, 128.5, 128.4, 128.2, 128.0, 127.6, 127.4, 127.4, 125.8, 124.6, 123.7, 122.9, 119.0, 116.1; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{25}H_{17}BrNO$ 426.0488; Found 426.0494.



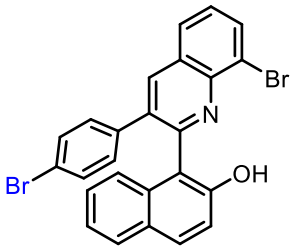
1-(8-Bromo-3-(4-fluorophenyl)quinolin-2-yl)naphthalen-2-ol (3b)

Yellow solid, yield 83% (36.7 mg); mp:167-168 ℃; IR (KBr): 3367, 2968, 1679, 1563, 742, 678 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.86 (s, 1H), 8.26 (s, 1H), 8.06 (d, J = 7.6 Hz, 1H), 7.85 (d, J = 8.3 Hz, 1H), 7.76 (d, J = 8.9 Hz, 1H), 7.56 (d, J = 8.1 Hz, 1H), 7.47 – 7.39 (m, 1H), 7.35 (d, J = 8.8 Hz, 1H), 7.18 (d, J = 8.4 Hz, 1H), 7.09 – 7.01 (m, 3H), 6.97 – 6.90 (m, 1H), 6.67 (t, J = 8.5 Hz, 2H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ161.99 (d, J = 247.9 Hz).156.2, 155. 0, 142.9, 139.1, 136.1, 134.9 (d, J = 3.5 Hz).133.5, 132.0, 130.6, 130.1 (d, J = 8.2 Hz), 128.2 (d, J = 3.9 Hz), 127.7 (d, J = 7.3 Hz), 127.4, 125.9, 124.5, 123.7, 123.1, 118.0, 115.9, 115.1, 114.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H1_5BrFNONa$ 466.0213; Found 466.0201.



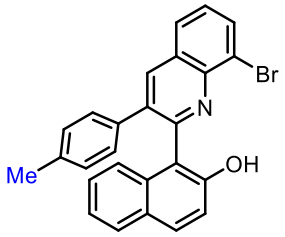
1-(8-Bromo-3-(4-chlorophenyl)quinolin-2-yl)naphthalen-2-ol (3c)

Yellow solid, yield 87% (39.9 mg); mp:155-156 ℃; IR (KBr): 3365, 3059, 1749, 1655, 765, 749 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.83 (s, 1H), 8.26 (s, 1H), 8.09 – 8.04 (m, 1H), 7.88 – 7.83 (m, 1H), 7.76 (d, J = 8.9 Hz, 1H), 7.57 (d, J = 8.1 Hz, 1H), 7.44 (t, J = 7.8 Hz, 1H), 7.34 (d, J = 8.9 Hz, 1H), 7.18 (d, J = 8.4 Hz, 1H), 7.09 – 7.00 (m, 3H), 6.95 (d, J = 8.6 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.1, 155.0, 142.9, 139.1, 137.4, 135.9, 133.6, 133.5, 132.0, 130.6, 129.6, 128.2, 127.8, 127.7, 127.4, 126.1, 124.4, 123.7, 123.1, 119.0, 115.8; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}BrClNONa$ 481.9918; Found 481.9919.



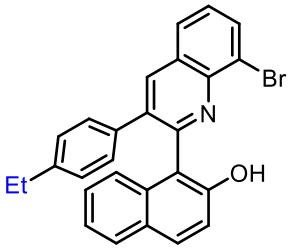
1-(8-Bromo-3-(4-bromophenyl)quinolin-2-yl)naphthalen-2-ol (3d)

Yellow solid, yield 88% (44.2 mg); mp:158-159 ℃; IR (KBr): 2921, 2851, 1748, 1655, 895, 822 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.82 (s, 1H), 8.30 (s, 1H), 8.10 (d, *J* = 7.5 Hz, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.78 (d, *J* = 8.9 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 1H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.20 (d, *J* = 8.5 Hz, 1H), 7.13 (d, *J* = 8.4 Hz, 2H), 7.08 (t, *J* = 7.7 Hz, 1H), 6.99 – 6.92 (m, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.0, 155.0, 143.0, 139.1, 137.9, 136.0, 133.7, 132.0, 131.2, 130.6, 129.9, 128.2, 127.8, 127.7, 127.4, 126.1, 124.3, 123.7, 123.1, 121.7, 119.0, 115.8; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{25}H_{17}Br_2NO$ 503.9593; Found 503.9595.



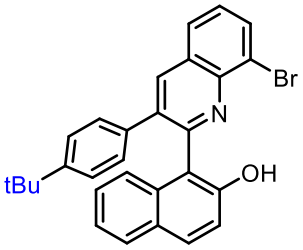
1-(8-Bromo-3-(p-tolyl)quinolin-2-yl)naphthalen-2-ol (3e)

Yellow solid, yield 77% (33.8 mg); mp:169-170 ℃; IR (KBr): 3055, 2921, 1750, 1619, 765, 749 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.87 (s, 1H), 8.31 (s, 1H), 8.07 (dd, *J* = 7.5, 1.1 Hz, 1H), 7.88 (dd, *J* = 8.2, 1.1 Hz, 1H), 7.77 (d, *J* = 8.9 Hz, 1H), 7.56 (d, *J* = 8.1 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 7.25 (d, *J* = 8.4 Hz, 1H), 7.06 – 6.97 (m, 3H), 6.92 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 6.81 (d, *J* = 7.9 Hz, 2H), 2.13 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.4, 154.9, 142.8, 139.1, 137.3, 137.2, 135.9, 133.3, 131.8, 130.8, 128.7, 128.4, 128.3, 128.2, 127.6, 127.5, 127.4, 125.8, 124.7, 123.7, 122.9, 119.0, 116.4, 21.0; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{26}H_{19}BrNO$ 440.0645; Found 440.0644.



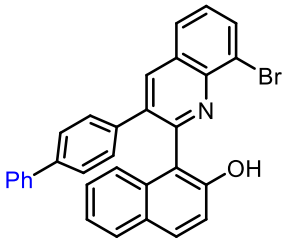
1-(8-Bromo-3-(4-ethylphenyl)quinolin-2-yl)naphthalen-2-ol (3f)

Yellow solid, yield 91% (40.3 mg); mp:145-146 ℃; IR (KBr): 2962, 2926, 1749, 1620, 834, 819 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.00 (s, 1H), 8.33 (s, 1H), 8.08 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.89 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.55 (dt, *J* = 8.1, 0.9 Hz, 1H), 7.46 (dd, *J* = 8.1, 7.5 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 7.22 (dt, *J* = 8.5, 0.9 Hz, 1H), 7.05 – 6.98 (m, 3H), 6.90 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 6.86 – 6.78 (m, 2H), 2.42 (q, *J* = 7.6 Hz, 2H), 1.04 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.5, 155.0, 143.6, 142.7, 139.0, 137.3, 136.1, 133.2, 131.8, 130.7, 129.4, 128.4, 128.4, 128.2, 127.5, 127.4, 125.8, 124.7, 123.6, 122.8, 118.9, 116.2, 28.3, 15.4; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{27}H_{20}BrNONa$ 476.0620; Found 476.0624.



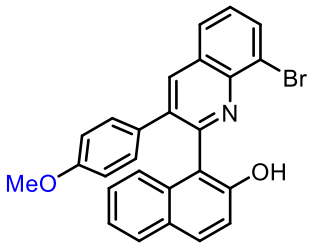
1-(8-bromo-3-(4-(tert-butyl)phenyl)quinolin-2-yl)naphthalen-2-ol (3g)

Yellow solid, yield 67% (32.3 mg); mp:98-99 ℃; IR (KBr): 2958, 1619, 1230, 1180, 973, 732, 563 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.28 (s, 1H), 8.35 (s, 1H), 8.08 (d, *J* = 7.3 Hz, 1H), 7.89 (d, *J* = 8.1 Hz, 1H), 7.78 (d, *J* = 9.0 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.37 (d, *J* = 9.1 Hz, 1H), 7.17 (d, *J* = 8.3 Hz, 1H), 6.99 (s, 5H), 6.91 – 6.81 (m, 1H), 1.13 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.6, 155.2, 150.4, 142.7, 138.9, 137.2, 135.8, 133.3, 131.9, 130.7, 128.4, 128.3, 128.1, 127.5, 127.4, 125.7, 124.8, 123.6, 122.7, 118.9, 115.9, 34.3, 31.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{29}H_{24}BrNONa$ 504.0933; Found 504.0930.



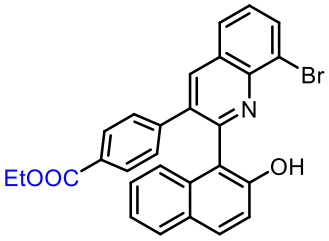
1-(3-([1,1'-Biphenyl]-4-yl)-8-bromoquinolin-2-yl)naphthalen-2-ol (3h)

Yellow solid, yield 82% (39.3 mg); mp:177-178 ℃; IR (KBr): 3029, 2852, 1620, 1597, 820, 750 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.01 (s, 1H), 8.29 (d, J = 2.1 Hz, 1H), 8.02 (dd, J = 7.5, 1.3 Hz, 1H), 7.80 (dd, J = 8.2, 1.2 Hz, 1H), 7.74 (d, J = 8.8 Hz, 1H), 7.51 (dd, J = 8.1, 1.3 Hz, 1H), 7.41 – 7.29 (m, 6H), 7.28 – 7.16 (m, 4H), 7.12 (d, J = 8.4 Hz, 2H), 7.00 – 6.93 (m, 1H), 6.89 (ddd, J = 8.3, 6.8, 1.4 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.3, 155.0, 142.7, 140.1, 139.9, 139.0, 137.8, 136.7, 133.4, 131.9, 130.7, 128.8, 128.6, 128.3, 128.2, 127.6, 127.5, 127.4, 127.3, 126.8, 126.6, 125.9, 124.6, 123.6, 122.9, 119.0, 116.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{31}H_{20}BrNONa$ 524.0620; Found 524.0615.



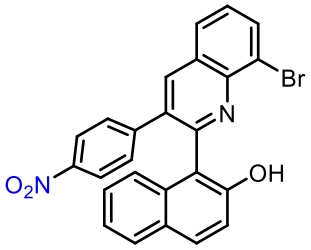
1-(8-Bromo-3-(4-methoxyphenyl)quinolin-2-yl)naphthalen-2-ol (3i)

Yellow solid, yield 90% (40.9 mg); mp:152-153 ℃; IR (KBr): 3360, 2955, 1647, 1610, 895, 820 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.88 (s, 1H), 8.30 (s, 1H), 8.07 (dd, *J* = 7.5, 1.1 Hz, 1H), 7.89 (dd, *J* = 8.2, 1.0 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 7.24 (d, *J* = 8.5 Hz, 1H), 7.08 – 7.00 (m, 3H), 6.93 (dd, *J* = 6.9, 1.3 Hz, 1H), 6.54 (d, *J* = 8.8 Hz, 2H), 3.63 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.8, 156.3, 154.9, 142.6, 138.7, 136.8, 133.1, 131.7, 131.2, 130.6, 129.6, 128.4, 128.2, 127.6, 127.4, 127.3, 125.8, 124.7, 123.6, 122.9, 119.9, 116.4, 113.5, 55.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNO_2Na$ 478.0413; Found 478.0407.



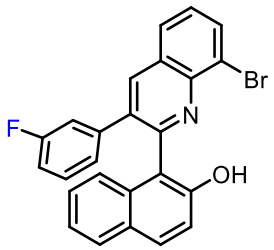
Ethyl 4-(8-Bromo-2-(2-hydroxynaphthalen-1-yl)quinolin-3-yl)benzoate (3j)

Yellow solid, yield 99% (49.2 mg); mp:195-196 ℃; IR (KBr): 2923, 2852, 1713, 1606, 1606, 858, 819 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.72 (s, 1H), 8.57 (s, 1H), 8.23 – 8.12 (m, 2H), 7.80 (d, *J* = 8.8 Hz, 2H), 7.68 (d, *J* = 7.9 Hz, 2H), 7.59 (t, *J* = 7.8 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 2H), 7.26 (p, *J* = 6.6 Hz, 2H), 7.18 (d, *J* = 7.9 Hz, 1H), 7.10 (d, *J* = 8.9 Hz, 1H), 4.22 (d, *J* = 7.0 Hz, 2H), 1.24 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.4, 156.8, 152.5, 143.9, 143.6, 137.5, 136.8, 133.5, 130.0, 128.9, 128.8, 128.5, 128.1, 127.8, 127.6, 126.8, 124.0, 123.8, 122.8, 119.7, 118.1, 79.3, 60.8, 14.2; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{28}H_{20}BrNO_3Na$ 520.0519; Found 520.0523.



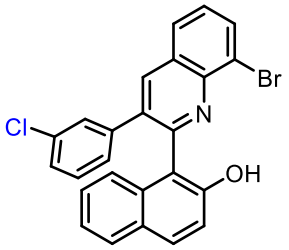
1-(8-Bromo-3-(4-nitrophenyl)quinolin-2-yl)naphthalen-2-ol (3k)

Yellow solid, yield 98% (46.0 mg); mp:223-224 ℃; IR (KBr): 2919, 2850, 2360, 1599, 1517, 973, 835 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 10.87 (s, 1H), 8.37 (s, 1H), 8.16 (dd, *J* = 7.4, 0.9 Hz, 1H), 7.98 – 7.92 (m, 1H), 7.86 (d, *J* = 8.9 Hz, 2H), 7.81 (d, *J* = 8.9 Hz, 1H), 7.59 – 7.50 (m, 2H), 7.38 (d, *J* = 8.8 Hz, 1H), 7.28 (d, *J* = 8.7 Hz, 2H), 7.18 (d, *J* = 8.4 Hz, 1H), 7.09 – 7.00 (m, 1H), 6.98 – 6.91 (m, 1H); ^{13}C NMR (101 MHz, Acetone-d_6) δ 157.3, 153.7, 148.1, 147.1, 145.6, 139.0, 137.3, 134.8, 134.4, 132.2, 131.6, 131.0, 129.4, 129.1, 128.8, 127.7, 125.2, 124.1, 124.1, 123.7, 120.3, 119.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}BrN_2O_3Na$ 493.0158; Found 493.0163.



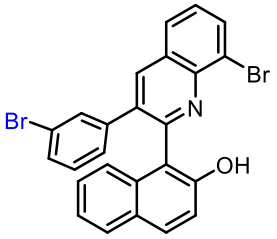
1-(8-Bromo-3-(3-fluorophenyl)quinolin-2-yl)naphthalen-2-ol (3l)

Yellow solid, yield 92% (40.8 mg); mp:167-168 ℃; IR (KBr): 2924, 2852, 1655, 1615, 652, 558 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 10.92 (s, 1H), 8.33 (s, 1H), 8.16 – 8.07 (m, 1H), 7.95 – 7.88 (m, 1H), 7.79 (d, *J* = 8.9 Hz, 1H), 7.57 (s, 1H), 7.48 (t, *J* = 7.9 Hz, 1H), 7.37 (d, *J* = 9.0 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 1H), 7.06 (t, *J* = 7.2 Hz, 1H), 6.99 – 6.90 (m, 2H), 6.89 – 6.79 (m, 2H), 6.68 (dd, *J* = 8.7, 2.2 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.3 (d, *J* = 245.9 Hz), 156.1, 155.1, 143.0, 141.0 (d, *J* = 8.0 Hz), 139.3, 135.9, 133.7, 132.1, 130.7, 129.5 (d, *J* = 8.5 Hz), 128.3, 128.2, 127.7 (d, *J* = 2.7 Hz), 127.5, 126.0, 124.3, 124.3, 124.2, 123.7, 123.0, 119.0, 115.7, 115.4 (d, *J* = 22.5 Hz), 114.2 (d, *J* = 21.1 Hz); HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}BrFNONa$ 466.0213; Found 466.0197.



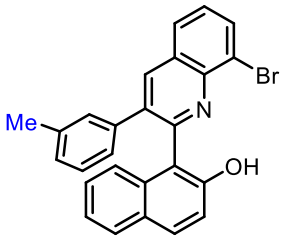
1-(8-Bromo-3-(3-chlorophenyl)quinolin-2-yl)naphthalen-2-ol (3m)

Yellow solid, yield 86% (39.4 mg); mp:145-146 ℃; IR (KBr): 3358, 2922, 1655, 1622, 661, 528 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.94 (s, 1H), 8.33 (s, 1H), 8.12 (dd, *J* = 7.5, 0.9 Hz, 1H), 7.95 – 7.87 (m, 1H), 7.80 (d, *J* = 8.9 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.07 (t, *J* = 7.0 Hz, 1H), 7.01 – 6.92 (m, 2H), 6.89 – 6.82 (m, 2H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.0, 155.0, 142.9, 140.6, 139.2, 135.6, 133.8, 133.7, 132.1, 130.6, 129.0, 128.5, 128.2, 128.1, 127.7, 127.5, 127.3, 126.5, 125.9, 124.3, 123.6, 123.1, 119.0, 115.5; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}BrClNONa$ 481.9918; Found 481.9902.



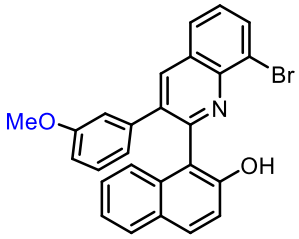
1-(8-Bromo-3-(3-bromophenyl)quinolin-2-yl)naphthalen-2-ol (3n)

Yellow solid, yield 92% (46.1 mg); mp:170-171 ℃; IR (KBr): 2923, 2852, 1749, 1654, 881, 819 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.95 (s, 1H), 8.32 (s, 1H), 8.11 (dd, *J* = 7.5, 1.1 Hz, 1H), 7.90 (dd, *J* = 8.1, 1.0 Hz, 1H), 7.79 (d, *J* = 8.9 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.52 – 7.44 (m, 1H), 7.41 – 7.33 (m, 2H), 7.19 (d, *J* = 8.4 Hz, 1H), 7.13 – 7.02 (m, 2H), 6.98 (ddd, *J* = 8.2, 6.9, 1.2 Hz, 1H), 6.92 – 6.87 (m, 1H), 6.78 (t, *J* = 7.9 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.1, 155.1, 143.1, 140.9, 139.3, 135.6, 133.8, 132.2, 131.5, 130.6, 130.3, 129.3, 128.3, 128.2, 127.8, 127.7, 127.5, 127.0, 126.0, 124.4, 123.7, 123.1, 122.0, 119.0, 115.5; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}Br_2NONa$ 525.9413; Found 525.9419.



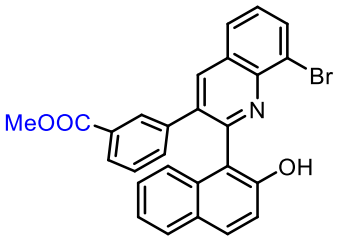
1-(8-Bromo-3-(m-tolyl)quinolin-2-yl)naphthalen-2-ol (3o)

Yellow solid, yield 90% (39.5 mg); mp:165-166 ℃; IR (KBr): 3376, 3056, 1749, 1598, 759, 731 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.98 (s, 1H), 8.30 (s, 1H), 8.08 – 8.02 (m, 1H), 7.88 – 7.82 (m, 1H), 7.75 (d, *J* = 8.8 Hz, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.41 (t, *J* = 7.8 Hz, 1H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.21 (d, *J* = 8.5 Hz, 1H), 7.05 – 6.98 (m, 1H), 6.93 – 6.83 (m, 4H), 6.77 (d, *J* = 6.3 Hz, 1H), 2.05 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.4, 154.9, 142.7, 139.1, 138.7, 137.5, 137.3, 133.3, 131.8, 130.8, 129.3, 128.3, 128.2, 128.0, 127.8, 127.5, 127.4, 127.4, 125.6, 125.5, 124.6, 123.6, 122.9, 118.9, 116.2, 21.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNONa$ 462.0464; Found 462.0463.



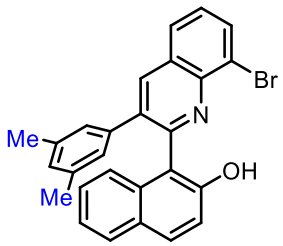
1-(8-Bromo-3-(3-methoxyphenyl)quinolin-2-yl)naphthalen-2-ol (3p)

Yellow solid, yield 85% (38.6 mg); mp:151-152 ℃; IR (KBr): 3057, 2926, 1598, 1541, 820, 786 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.92 (s, 1H), 8.37 (s, 1H), 8.10 (d, *J* = 7.3 Hz, 1H), 7.91 (d, *J* = 7.9 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 1H), 7.37 (d, *J* = 8.8 Hz, 1H), 7.26 (d, *J* = 5.7 Hz, 1H), 7.06 (t, *J* = 7.2 Hz, 1H), 6.96 (dt, *J* = 14.2, 7.5 Hz, 2H), 6.83 (d, *J* = 7.3 Hz, 1H), 6.54 (d, *J* = 9.6 Hz, 2H), 3.41 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.9, 156.3, 154.9, 142.8, 140.0, 139.1, 136.9, 133.4, 131.8, 130.9, 129.1, 128.3, 128.1, 127.5, 127.4, 125.9, 124.5, 123.6, 123.0, 121.0, 118.9, 116.2, 113.9, 113.4, 55.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNO_2Na$ 478.0413; Found 478.0406.



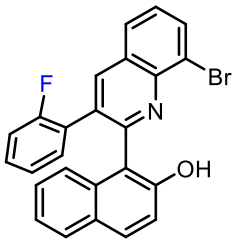
Methyl 3-(8-bromo-2-(2-hydroxynaphthalen-1-yl)quinolin-3-yl)benzoate (3q)

Yellow solid, yield 94% (45.4 mg); mp:165-166 ℃; IR (KBr): 3365, 2924, 1719, 1620 660, 528 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.89 (s, 1H), 8.33 (s, 1H), 8.06 (dd, J = 7.5, 1.2 Hz, 1H), 8.01 (t, J = 1.9 Hz, 1H), 7.85 (dd, J = 8.2, 1.3 Hz, 1H), 7.74 (d, J = 8.8 Hz, 1H), 7.64 (dt, J = 7.7, 1.4 Hz, 1H), 7.51 (dd, J = 8.1, 1.3 Hz, 1H), 7.43 (t, J = 7.8 Hz, 1H), 7.34 (d, J = 8.9 Hz, 1H), 7.20 – 7.13 (m, 1H), 7.05 (dt, J = 7.8, 1.5 Hz, 1H), 6.99 (ddd, J = 8.1, 6.8, 1.2 Hz, 1H), 6.91 (t, J = 7.8 Hz, 2H), 3.85 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.5, 156.1, 155.1, 143.0, 139.3, 139.1, 136.0, 133.7, 132.6, 132.0, 130.5, 130.0, 129.6, 128.4, 128.2, 128.2, 127.8, 127.6, 127.5, 126.0, 124.4, 123.6, 123.0, 118.9, 115.6, 52.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{27}H_{18}BrNO_3Na$ 506.0362; Found 506.0360.



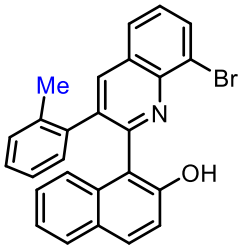
1-(8-Bromo-3-(3,5-dimethylphenyl)quinolin-2-yl)naphthalen-2-ol (3r)

Yellow solid, yield 83% (38.0 mg); mp:168-169 ℃; IR (KBr): 2919, 2855, 1750, 1620, 894, 851 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.99 (s, 1H), 8.32 (s, 1H), 8.06 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.87 (dd, *J* = 8.2, 1.0 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.40 (m, 1H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.21 (d, *J* = 8.5 Hz, 1H), 7.02 (ddd, *J* = 8.1, 6.8, 1.2 Hz, 1H), 6.90 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 6.68 (s, 2H), 6.60 (s, 1H), 2.01 (s, 6H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.5, 154.9, 142.7, 138.9, 138.5, 137.4, 137.3, 133.2, 131.7, 130.8, 128.8, 128.3, 128.2, 127.4, 127.4, 127.3, 126.4, 125.5, 124.6, 123.6, 122.9, 118.9, 116.3, 20.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{27}H_{20}BrNONa$ 476.0620; Found 476.0625.



1-(8-Bromo-3-(2-fluorophenyl)quinolin-2-yl)naphthalen-2-ol (3s)

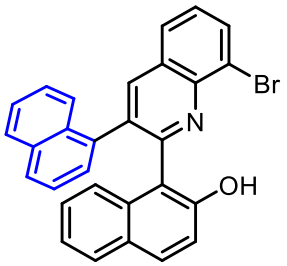
Yellow solid, yield 72% (32.9 mg); mp:135-136 ℃; IR (KBr): 2954, 1596, 1518, 1229, 1034, 786 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.01 (s, 1H), 8.40 (s, 1H), 8.11 (d, *J* = 7.6 Hz, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.75 (d, *J* = 9.0 Hz, 1H), 7.55 (dd, *J* = 7.2, 2.3 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.36 (dd, *J* = 8.3, 2.8 Hz, 2H), 7.09 – 6.85 (m, 4H), 6.76 (t, *J* = 7.8 Hz, 1H), 6.65 (t, *J* = 7.4 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.2 (d, *J* = 247.1 Hz), 156.7, 155.1, 143.1, 140.7, 133.8, 131.9, 131.1, 131.0, 131.0, 129.6 (d, *J* = 8.6 Hz), 128.1, 127.9, 127.6 (d, *J* = 6.5 Hz), 127.6, 126.5 (d, *J* = 13.5 Hz), 125.9, 123.9, 123.7, 123.4 (d, *J* = 101.5 Hz), 118.9, 115.8, 115.6 (d, *J* = 22.1 Hz); HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{25}H_{16}BrFNO$ 444.0394; Found 444.0384.



1-(8-Bromo-3-(o-tolyl)quinolin-2-yl)naphthalen-2-ol (3t)

Yellow solid, yield 75% (33.0 mg); mp:140-141 ℃; IR (KBr): 2954, 1619, 1518, 1178, 862, 734 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.00 (d, *J* = 162.4 Hz, 1H), 8.26 (d, *J* = 17.8 Hz, 1H), 8.12 (d, *J* = 7.5 Hz, 1H), 7.89 (t, *J* = 9.1 Hz, 1H), 7.74 (t, *J* = 9.8 Hz, 1H), 7.63 – 7.22 (m, 5H), 7.19 – 6.63 (m, 4H), 6.61 – 6.35 (m, 1H), 2.06 (d, *J* = 498.9 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.4*, 157.2, 155.0*, 154.8, 143.0, 142.8*, 140.8, 140.2*, 138.6*, 137.8, 136.2, 134.4*, 133.5, 132.1*, 131.6*, 131.3, 130.7, 130.2*, 129.9*, 129.7, 128.0, 127.7*, 127.5*, 127.3, 125.7*, 125.4, 125.2, 124.8*, 124.1, 123.7*, 122.9, 119.0, 118.9*, 117.1, 116.3*, 20.2*, 18.7; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNONa$ 462.0464; Found 462.0452.

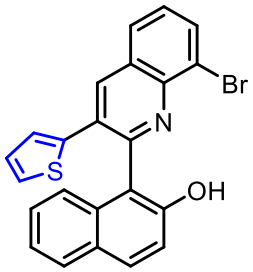
* Peaks from the diastereomer.



1-(8-Bromo-3-(naphthalen-1-yl)quinolin-2-yl)naphthalen-2-ol (3u)

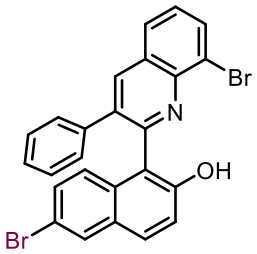
Yellow solid, yield 52% (24.8 mg); mp:166-167 ℃; IR (KBr): 2953, 1732, 1509, 1193, 957, 732 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.99 (d, *J* = 161.8 Hz, 1H), 8.50 (s, 1H), 8.48 – 7.95 (m, 2H), 7.94 – 7.64 (m, 3H), 7.60 – 7.35 (m, 5H), 7.34 – 7.28 (m, 1H), 7.20 – 6.85 (m, 3H), 6.83 – 6.23 (m, 2H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.8*, 157.7, 155.4, 154.2*, 143.3*, 142.9, 141.4, 141.0*, 136.9*, 136.7, 135.4*, 135.0, 133.8*, 133.6, 133.5, 131.6, 131.2, 131.0*, 130.2, 130.1*, 128.8*, 128.7, 128.4, 128.4*, 127.9, 127.8, 127.7*, 127.6, 127.5*, 127.4, 127.3, 127.0*, 126.7, 125.5, 125.4*, 125.3, 125.2*, 125.1, 125.0*, 124.6*, 124.4, 123.8*, 123.7, 122.8, 122.6*, 118.9, 118.6*, 117.5*, 115.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{29}H_{18}BrNONa$ 498.0464; Found 498.0458

* Peaks from the diastereomer.



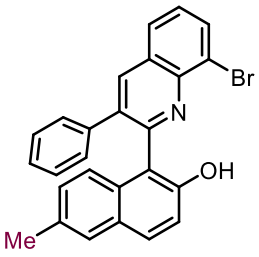
1-(8-Bromo-3-(thiophen-2-yl)quinolin-2-yl)naphthalen-2-ol (3v)

Yellow solid, yield 87% (40.9mg); mp:187-188 ℃; IR (KBr): 3463, 3361, 2920, 1748, 1079, 1048 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.45 (s, 1H), 8.39 (s, 1H), 8.09 – 8.01 (m, 1H), 7.88 – 7.77 (m, 2H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.30 (m, 3H), 7.15 – 7.08 (m, 1H), 7.05 – 6.98 (m, 2H), 6.58 (d, *J* = 4.8 Hz, 2H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.8, 154.9, 142.8, 140.0, 138.6, 133.5, 132.0, 131.4, 130.5, 128.2, 127.8, 127.4, 127.4, 127.1, 126.5, 126.2, 123.9, 123.8, 123.1, 119.1, 116.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{14}BrNOSNa$ 453.9872; Found 453.9865.



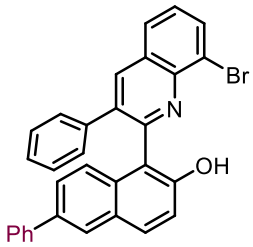
6-Bromo-1-(8-bromo-3-phenylquinolin-2-yl)naphthalen-2-ol (3w)

Yellow solid, yield 81% (40.7 mg); mp:172-173 ℃; IR (KBr): 3058, 2924, 1613, 1590, 750, 699 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.96 (s, 1H), 8.32 (s, 1H), 8.07 (dd, *J* = 7.4, 1.0 Hz, 1H), 7.87 (dd, *J* = 8.0, 0.8 Hz, 1H), 7.70 – 7.62 (m, 2H), 7.44 (d, *J* = 7.8 Hz, 1H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.14 – 7.00 (m, 6H), 6.96 (dd, *J* = 9.0, 2.0 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.7, 155.3, 142.8, 139.4, 138.5, 137.0, 133.6, 130.8, 129.5, 129.3, 128.8, 128.4, 128.2, 127.7, 127.6, 127.5, 126.3, 123.6, 120.2, 116.4, 116.2; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}Br_2NONa$ 525.9413; Found 525.9402.



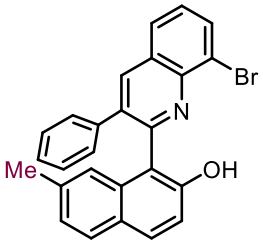
1-(8-Bromo-3-phenylquinolin-2-yl)-6-methylnaphthalen-2-ol (3x)

Yellow solid, yield 80% (35.1 mg); mp:172-173 ℃; IR (KBr): 3358, 2919, 1656, 1632, 798, 764 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.77 (s, 1H), 8.29 (s, 1H), 8.05 (dd, *J* = 7.4, 1.0 Hz, 1H), 7.85 (dd, *J* = 8.0, 0.9 Hz, 1H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.45 – 7.38 (m, 1H), 7.32 (d, *J* = 9.1 Hz, 2H), 7.14 – 7.07 (m, 3H), 6.99 (dd, *J* = 5.0, 1.9 Hz, 3H), 6.74 (dd, *J* = 8.6, 1.6 Hz, 1H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.5, 154.2, 142.8, 139.2, 138.9, 137.2, 133.4, 132.1, 131.2, 128.9, 128.5, 128.4, 128.3, 128.0, 127.5, 127.4, 127.3, 126.7, 124.5, 123.7, 118.9, 116.2, 21.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNONa$ 462.0464; Found 462.0470.



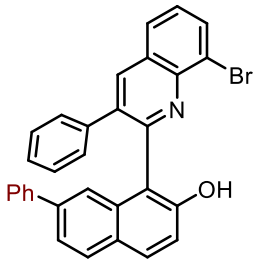
1-(8-Bromo-3-phenylquinolin-2-yl)-6-phenylnaphthalen-2-ol (3y)

Yellow solid, yield 96% (48.0 mg); mp:170-171 ℃; IR (KBr): 3057, 2924, 1749, 1595, 1595, 813, 754 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.08 (s, 1H), 8.31 (s, 1H), 8.05 (dd, J = 7.4, 1.0 Hz, 1H), 7.86 – 7.77 (m, 2H), 7.75 (d, J = 1.7 Hz, 1H), 7.54 – 7.49 (m, 2H), 7.45 – 7.35 (m, 4H), 7.28 (dd, J = 8.0, 3.7 Hz, 2H), 7.17 (dd, J = 8.8, 1.9 Hz, 1H), 7.11 (dd, J = 7.8, 1.5 Hz, 2H), 6.98 (q, J = 6.8, 6.4 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.3, 155.2, 142.8, 140.7, 139.3, 138.8, 137.2, 135.2, 133.4, 132.2, 130.0, 128.7, 128.5, 128.4, 128.3, 128.1, 127.6, 127.4, 126.9, 126.9, 125.4, 125.2, 125.2, 123.6, 119.4, 116.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{31}H_{20}BrNONa$ 524.0620; Found 524.0597.



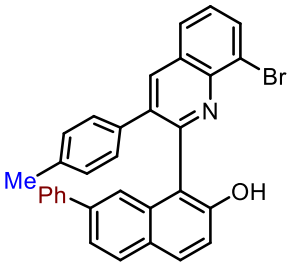
1-(8-Bromo-3-phenylquinolin-2-yl)-7-methylnaphthalen-2-ol (3z)

Yellow solid, yield 89% (38.1 mg); mp:171-172 ℃; IR (KBr): 2922, 2360, 1625, 1510, 832, 750 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.25 (s, 1H), 8.26 (s, 1H), 8.05 – 7.97 (m, 1H), 7.82 (d, J = 7.8 Hz, 1H), 7.69 (d, J = 8.8 Hz, 1H), 7.44 – 7.35 (m, 2H), 7.28 (d, J = 8.8 Hz, 1H), 7.10 – 7.04 (m, 2H), 6.98 (dd, J = 9.4, 3.2 Hz, 4H), 6.84 – 6.78 (m, 1H), 2.09 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.6, 155.4, 142.7, 139.2, 137.1, 135.2, 133.3, 131.7, 130.7, 128.2, 128.2, 127.8, 127.4, 127.3, 126.4, 124.8, 124.8, 124.2, 123.5, 117.9, 115.2, 21.5; HRMS (ESI) m/z: $[M + H]^+$ calcd for$C_{26}H_{19}BrNO$ 440.0645; Found 440.0639.



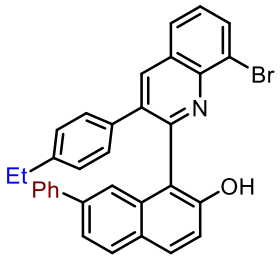
1-(8-Bromo-3-phenylquinolin-2-yl)-7-phenylnaphthalen-2-ol (3aa)

Yellow solid, yield 72% (36.0 mg); mp:160-161 ℃; IR (KBr): 3057, 2923, 1749, 1661, 697, 670 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.05 (s, 1H), 8.33 (s, 1H), 8.10 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.90 (dd, *J* = 8.1, 1.2 Hz, 1H), 7.80 (d, *J* = 8.8 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.42 – 7.32 (m, 6H), 7.27 (d, *J* = 1.6 Hz, 2H), 7.06 – 7.02 (m, 2H), 6.99 – 6.84 (m, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.4, 155.5, 142.9, 141.3, 139.3, 138.7, 138.4, 137.2, 133.5, 131.6, 131.0, 128.4, 128.2, 128.2, 127.9, 127.6, 127.5, 127.4, 127.1, 126.9, 123.7, 123.2, 122.6, 119.0, 116.3; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{31}H_{20}BrNONa$ 524.0620; Found 524.0623.



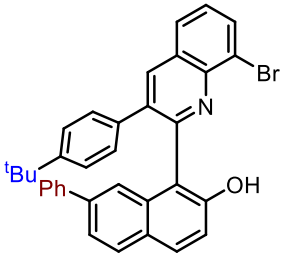
1-(8-Bromo-3-(p-tolyl)quinolin-2-yl)-7-phenylnaphthalen-2-ol (3ab)

Yellow solid, yield 79% (40.5 mg); mp:166-167 ℃; IR (KBr): 3055, 1427, 1265, 899, 733, 699 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.98 (s, 1H), 8.24 (s, 1H), 8.01 (d, *J* = 7.4 Hz, 1H), 7.78 (dd, *J* = 13.1, 8.5 Hz, 2H), 7.60 (d, *J* = 8.3 Hz, 1H), 7.36 (dd, *J* = 14.5, 6.0 Hz, 7H), 7.31 – 7.26 (m, 1H), 7.24 (d, *J* = 8.4 Hz, 1H), 6.92 (d, *J* = 7.8 Hz, 2H), 6.68 (t, *J* = 7.4 Hz, 2H), 2.06 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.3, 155.4, 142.7, 141.5, 139.1, 138.4, 137.2, 137.1, 135.8, 133.3, 131.5, 131.0, 128.7, 128.4, 128.2, 128.1, 127.5, 127.4, 127.4, 127.1, 127.0, 126.9, 123.6, 123.2, 122.6, 119.0, 116.6, 20.9;HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{32}H_{23}BrNO$ 516.0958; Found 516.0960.



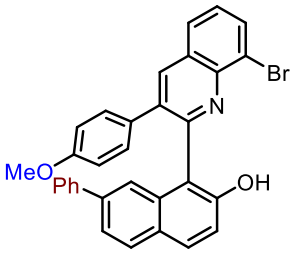
1-(8-Bromo-3-(4-ethylphenyl)quinolin-2-yl)-7-phenylnaphthalen-2-ol (3ac)

Yellow solid, yield 76% (40.2 mg); mp:169-171 ℃; IR (KBr): 3055, 1427, 1265, 896, 730, 705 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.13 (s, 1H), 8.25 (s, 1H), 8.01 (d, *J* = 7.3 Hz, 1H), 7.78 (dd, *J* = 12.5, 8.5 Hz, 2H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.41 – 7.31 (m, 7H), 7.27 (dd, *J* = 5.9, 2.5 Hz, 1H), 7.25 – 7.19 (m, 1H), 6.91 (d, *J* = 8.1 Hz, 2H), 6.67 (d, *J* = 8.0 Hz, 2H), 2.35 (q, *J* = 7.5 Hz, 2H), 0.99 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.4, 155.5, 143.6, 142.7, 141.4, 139.1, 138.3, 137.2, 136.0, 133.3, 131.5, 130.9, 128.4, 128.3, 128.2, 128.1, 127.4, 127.4, 127.4, 127.2, 127.1, 126.9, 123.6, 123.3, 122.5, 119.0, 116.4, 28.3, 15.4; HRMS (ESI) m/z: $[M + K]^+$ calcd for $C_{33}H_{24}BrNOK$ 568.0673; Found 568.0672.



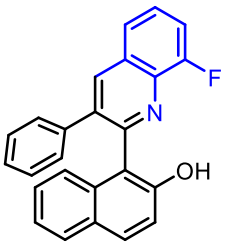
1-(8-Bromo-3-(4-(tert-butyl)phenyl)quinolin-2-yl)-7-phenylnaphthalen-2-ol (3ad)

Yellow solid, yield 74% (41.3 mg); mp:159-161 ℃; IR (KBr): 3055, 2966, 1268, 838, 733, 695 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.29 (s, 1H), 8.06 (d, *J* = 7.6 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 8.8 Hz, 1H), 7.59 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.8 Hz, 1H), 7.39 – 7.27 (m, 7H), 7.22 (dd, *J* = 8.6, 1.8 Hz, 1H), 6.88 (d, *J* = 8.4 Hz, 2H), 6.82 (d, *J* = 8.4 Hz, 2H), 1.08 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*)) δ 156.6, 155.8, 150.3, 142.7, 141.4, 139.1, 138.2, 137.2, 135.8, 133.3, 131.7, 130.9, 128.4, 128.4, 128.0, 127.5, 127.4, 127.3, 127.2, 127.1, 126.9, 124.7, 123.6, 123.5, 122.3, 119.0, 116.1, 34.3, 31.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{35}H_{28}BrNONa$ 580.1246; Found 580.1249.



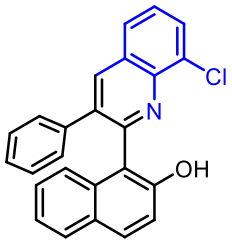
1-(8-Bromo-3-(4-methoxyphenyl)quinolin-2-yl)-7-phenylnaphthalen-2-ol (3ae)

Yellow solid, yield 78% (41.4 mg); mp:170-171 ℃; IR (KBr): 2982, 1516, 1248, 1181, 739, 699 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.02 (s, 1H), 8.28 (s, 1H), 8.06 (d, *J* = 7.4 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.80 (d, *J* = 8.8 Hz, 1H), 7.63 (d, *J* = 8.3 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.36 (d, *J* = 3.7 Hz, 6H), 7.29 (s, 1H), 7.27 – 7.23 (m, 1H), 7.01 – 6.91 (m, 2H), 6.46 – 6.36 (m, 2H), 3.59 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.9, 156.4, 155.5, 142.7, 141.5, 138.8, 138.4, 136.9, 133.2, 131.6, 131.2, 130.9, 129.4, 128.5, 128.4, 128.4, 128.2, 127.5, 127.3, 127.2, 126.9, 123.7, 123.4, 122.7, 119.1, 116.5, 113.5, 55.1; HRMS (ESI) m/z: $[M + K]^+$ calcd for $C_{32}H_{22}BrNO_2K$ 570.0465; Found 570.0459.



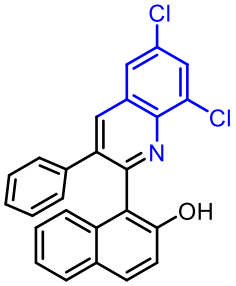
1-(8-Fluoro-3-phenylquinolin-2-yl)naphthalen-2-ol (3af)

Yellow solid, yield 73% (26.6 mg); mp:141-142 ℃; IR (KBr): 3058, 2920, 1655, 1621, 748, 699 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.42 (s, 1H), 8.31 (d, *J* = 1.7 Hz, 1H), 7.66 (t, *J* = 8.3 Hz, 2H), 7.58 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.51 (td, *J* = 8.0, 4.8 Hz, 1H), 7.42 (ddd, *J* = 10.4, 7.8, 1.3 Hz, 1H), 7.20 (dd, *J* = 8.4, 1.1 Hz, 1H), 7.15 – 6.98 (m, 8H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.5 (d, *J* = 245.8 Hz), 156.1, 153.7, 138.8, 138.1, 138.1, 136.4 (d, J = 11.7 Hz), 131.5, 131.3, 129.0 (d, J = 1.9 Hz), 128.6, 128.4, 127.9, 127.7, 127.4, 127.1 (d, J = 7.8 Hz), 126.1, 124.4, 123.3 (d, J = 4.8 Hz), 123.0, 119.0, 117.9, 113.9 (d, J = 18.5 Hz); HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{16}FNONa$ 388.1108; Found 388.1103.



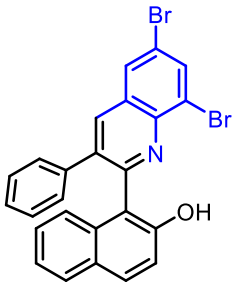
1-(8-Chloro-3-phenylquinolin-2-yl)naphthalen-2-ol (3ag)

Yellow solid, yield 90% (34.3 mg); mp:138-139 ℃; IR (KBr): 2920, 2850, 2360, 1338, 1275, 982 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.74 (s, 1H), 8.29 (s, 1H), 7.85 – 7.77 (m, 2H), 7.73 (d, *J* = 8.9 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.32 (d, *J* = 9.0 Hz, 1H), 7.25 – 7.19 (m, 1H), 7.11 – 7.05 (m, 2H), 7.04 – 6.95 (m, 4H), 6.95 – 6.88 (m, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.2, 154.8, 142.0, 139.1, 138.8, 137.3, 132.5, 131.8, 130.8, 129.9, 128.4, 128.2, 127.9, 127.6, 127.3, 127.0, 126.6, 125.8, 124.6, 122.9, 118.9, 116.4; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{16}ClNONa$ 404.0813; Found 404.0803.



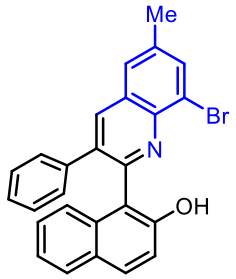
1-(6,8-Dichloro-3-phenylquinolin-2-yl)naphthalen-2-ol (3ah)

Yellow solid, yield 86% (35.7 mg); mp:157-158 ℃; IR (KBr): 2924, 2342, 1542, 1350, 986, 925 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.40 (s, 1H), 8.21 (s, 1H), 7.88 – 7.78 (m, 2H), 7.74 (d, *J* = 8.9 Hz, 1H), 7.55 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.30 (d, *J* = 8.8 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 7.06 (ddd, *J* = 11.5, 6.4, 1.8 Hz, 3H), 7.03 – 6.97 (m, 3H), 6.96 – 6.89 (m, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.5, 154.7, 140.7, 138.4, 138.3, 138.0, 133.7, 132.2, 132.0, 130.7, 130.4, 128.5, 128.4, 128.2, 128.0, 127.6, 127.6, 126.0, 125.3, 124.5, 123.0, 118.9, 116.3; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{25}H_{16}Cl_2NO$ 416.0603; Found 416.0597.



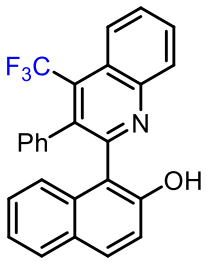
1-(6,8-Dibromo-3-phenylquinolin-2-yl)naphthalen-2-ol (3ai)

Yellow solid, yield 88% (44.3 mg); mp:157-158 ℃; IR (KBr): 3359, 3060, 1620, 1351, 533, 518 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.66 (s, 1H), 8.18 – 8.10 (m, 2H), 7.98 (dd, *J* = 9.1, 4.2 Hz, 1H), 7.74 (d, *J* = 8.8 Hz, 1H), 7.53 (d, *J* = 8.1 Hz, 1H), 7.33 (d, *J* = 8.9 Hz, 1H), 7.16 (d, *J* = 8.5 Hz, 1H), 7.08 – 6.86 (m, 7H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.7, 154.9, 141.6, 138.4, 138.1, 138.0, 136.0, 132.1, 130.6, 129.5, 129.3, 128.8, 128.4, 128.2, 127.6, 127.6, 125.9, 124.6, 124.5, 123.0, 120.2, 118.9, 115.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{25}H_{15}Br_2NONa$ 525.9413; Found 525.9395.



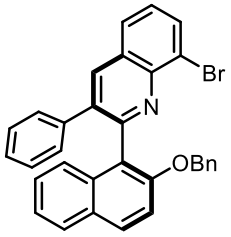
1-(8-Bromo-6-methyl-3-phenylquinolin-2-yl)naphthalen-2-ol (3aj)

Yellow solid, yield 91% (40.2 mg); mp:163-164 ℃; IR (KBr): 3058, 2922, 1619, 1597, 818, 764 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.94 (s, 1H), 8.16 (s, 1H), 7.89 (d, *J* = 1.2 Hz, 1H), 7.72 (d, *J* = 8.9 Hz, 1H), 7.57 (s, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.8 Hz, 1H), 7.20 (d, *J* = 10.2 Hz, 1H), 7.06 (dd, *J* = 6.4, 2.8 Hz, 2H), 7.02 – 6.93 (m, 4H), 6.88 (t, *J* = 7.6 Hz, 1H), 2.51 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.3, 154.9, 141.4, 139.0, 138.6, 137.9, 137.1, 135.4, 131.6, 130.7, 129.4, 128.4, 128.2, 127.9, 127.5, 127.2, 126.3, 125.7, 124.7, 123.1, 122.8, 118.9, 116.2, 21.4; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{26}H_{18}BrNONa$ 462,0464; Found 462,0468.



1-(3-phenyl-4-(trifluoromethyl)quinolin-2-yl)naphthalen-2-ol (8)

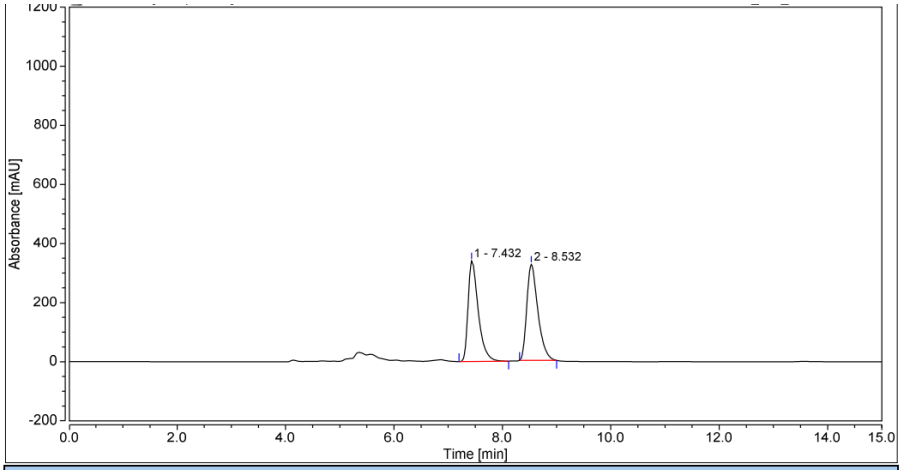
^{1}H NMR (400 MHz, Chloroform-d) δ 9.30 (s, 1H), 8.06 (dd, J = 8.2, 2.8 Hz, 1H), 7.79 (d, J = 8.1 Hz, 1H), 7.63 – 7.52 (m, 3H), 7.48 (d, J = 7.7 Hz, 1H), 7.25 – 7.20 (m, 3H), 7.07 (t, J = 7.6 Hz, 1H), 6.97 (t, J = 7.7 Hz, 2H), 6.70 (dt, J = 16.0, 7.8 Hz, 2H), 6.06 (d, J = 8.6 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-d) δ 158.30, 151.13, 146.28, 136.14, 135.50, 132.90, 132.82, 132.61, 130.24, 130.12, 128.46, 128.40, 127.86, 127.75, 127.64, 127.53, 126.80, 126.43, 126.31, 125.05, 124.80, 124.75, 123.76, 123.12, 122.84, 122.27, 120.95, 119.60.



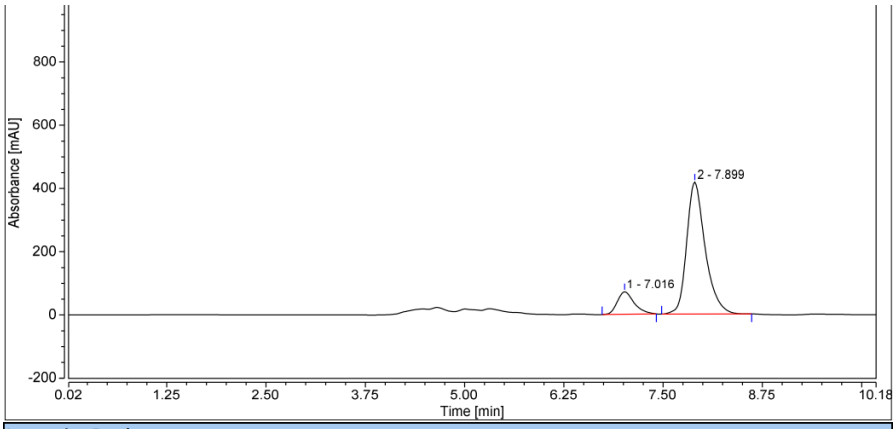
(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-phenylquinoline (4a)

Yellow solid, yield 65% (16.6 mg); $[\alpha]_D^{21}$ = -26.1 (c 0.1, $CHCl_3$, 86:13 er); mp:145-146 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 8.08 (dd, *J* = 7.4, 1.0 Hz, 1H), 7.94 – 7.85 (m, 1H), 7.80 – 7.72 (m, 2H), 7.65 – 7.56 (m, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.39 – 7.30 (m, 2H), 7.22 – 7.16 (m, 3H), 7.16 – 7.10 (m, 1H), 7.05 (dd, *J* = 8.8, 4.1 Hz, 7H), 4.97 (d, *J* = 12.8 Hz, 1H), 4.73 (d, *J* = 12.7 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.9, 157.0, 153.4, 144.3, 143.1, 139.0, 138.2, 137.4, 136.6, 133.7, 132.8, 130.2, 129.2, 128.8, 128.2, 127.9, 127.6, 127.5, 127.3, 127.2, 127.0, 126.7, 126.5, 125.1, 125.0, 123.7, 114.9, 71.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{32}H_{22}BrNONa$ 530.0777; Found 530.0776;

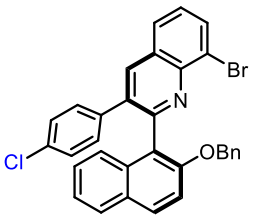
HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.0 min, t_2 (major) = 7.8 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.432	74.285	340.694	49.03	51.16	n.a.
2		8.532	77.213	325.308	50.97	48.84	n.a.
Total:			**151.498**	**666.002**	**100.00**	**100.00**	



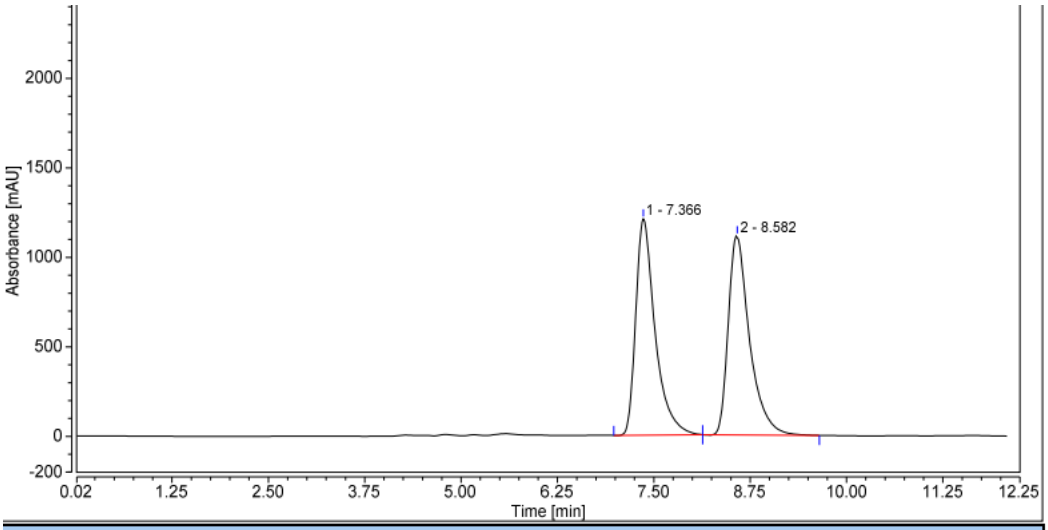
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.016	17.549	71.173	13.43	14.57	n.a.
2		7.899	113.156	417.376	86.57	85.43	n.a.
Total:			**130.705**	**488.549**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-chlorophenyl)quinoline (4c)

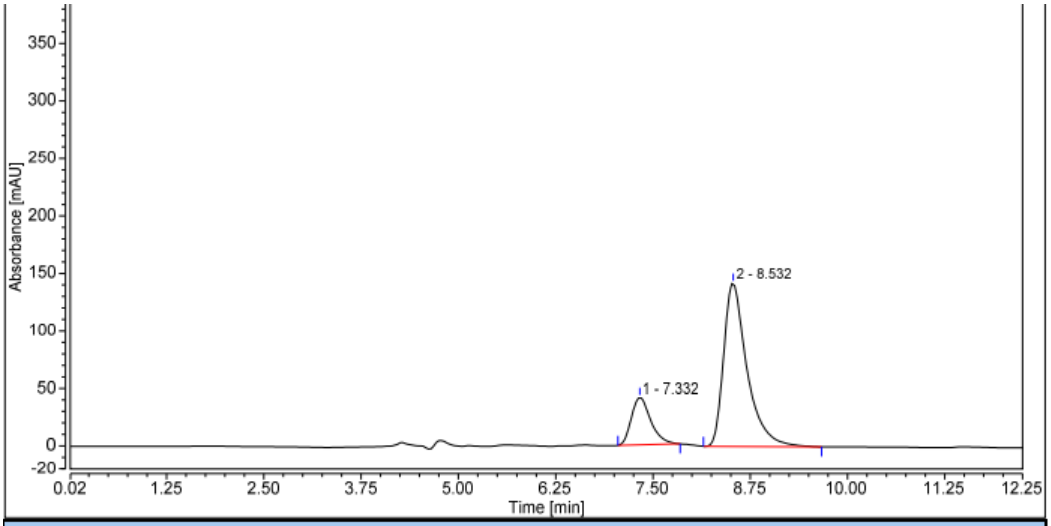
Yellow solid, yield 68% (18.6 mg); $[\alpha]_D^{21}$ = -25.1 (c 0.1, $CHCl_3$, 80:19 er); mp:114-115 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz,

Chloroform-*d*) δ 8.20 (s, 1H), 8.15 (d, *J* = 7.4 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 8.7 Hz, 2H), 7.81 (t, *J* = 7.3 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.50 (t, *J* = 7.9 Hz, 2H), 7.43 – 7.32 (m, 3H), 7.25 – 7.18 (m, 1H), 7.16 (d, *J* = 8.6 Hz, 4H), 7.08 (dd, *J* = 17.1, 6.3 Hz, 2H), 5.00 (d, *J* = 12.3 Hz, 1H), 4.78 (d, *J* = 12.3 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 153.4, 144.5, 137.5, 137.2, 137.1, 136.5, 133.6, 133.3, 133.1, 130.5, 130.1, 129.3, 128.6, 128.2, 128.0, 127.9, 127.5, 127.4, 127.2, 126.9, 126.6, 125.2, 124.7, 123.8, 123.7, 114.9, 71.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{32}H_{21}BrClNONa$ 556.0683; Found 556.0686; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.3 min, t_2 (major) = 8.5 min.

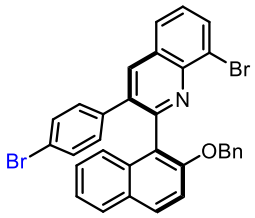


Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.366	351.340	1208.178	49.70	52.06	n.a.
2		8.582	355.536	1112.355	50.30	47.94	n.a.
Total:			**706.876**	**2320.533**	**100.00**	**100.00**	

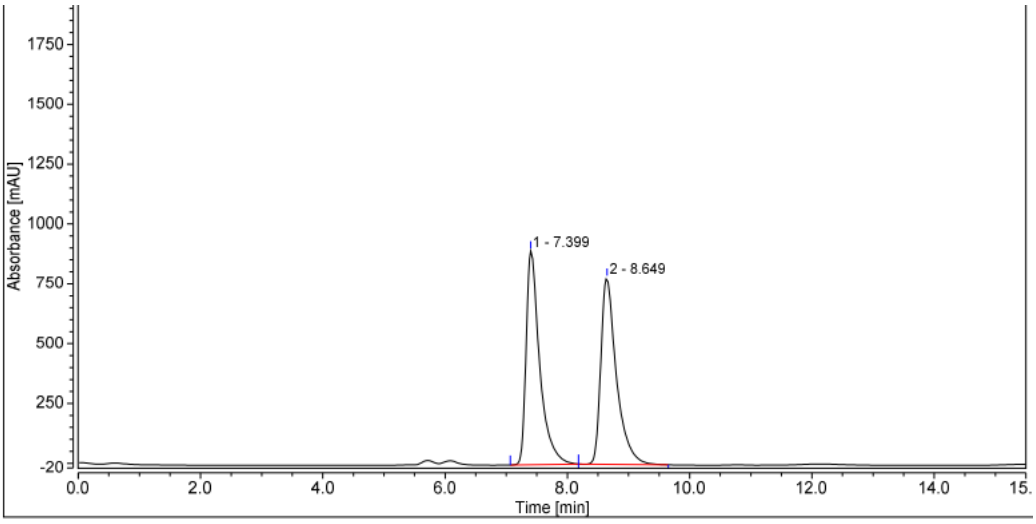


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.332	11.900	41.284	19.39	22.51	n.a.
2		8.532	49.480	142.090	80.61	77.49	n.a.
Total:			**61.380**	**183.374**	**100.00**	**100.00**	

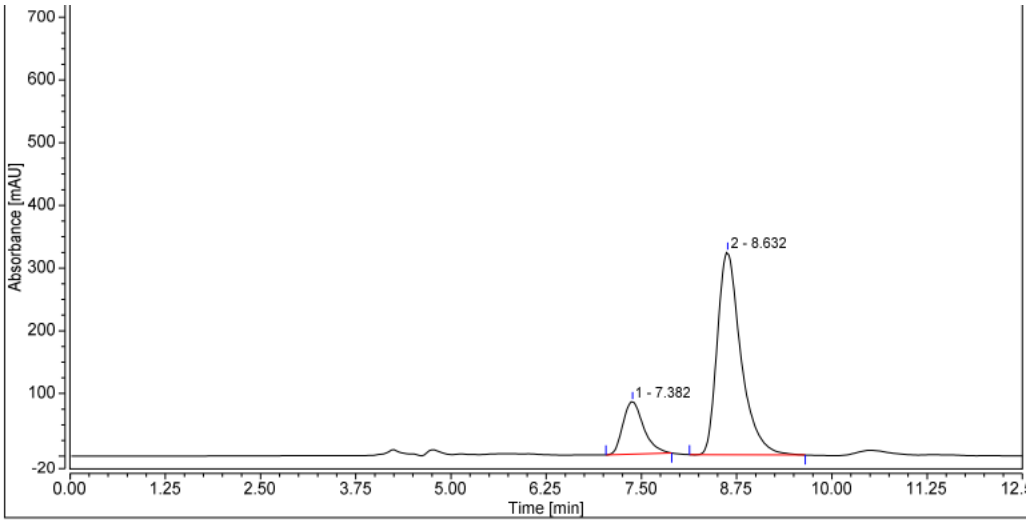


(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-bromophenyl)quinolin (4d)

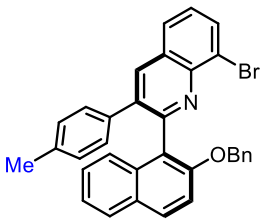
Yellow solid, yield 68% (20.1 mg); $[\alpha]_D^{21}$ = -24.9 (c 0.1, $CHCl_3$, 81:18 er); mp:122-124 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.16 (d, *J* = 4.5 Hz, 1H), 8.11 (dd, *J* = 6.0, 5.0 Hz, 1H), 7.88 (d, *J* = 8.1 Hz, 1H), 7.80 (t, *J* = 6.6 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 1H), 7.51 – 7.42 (m, 1H), 7.37 (ddd, *J* = 7.1, 5.8, 3.1 Hz, 2H), 7.23 (dd, *J* = 8.1, 3.1 Hz, 3H), 7.20 – 7.15 (m, 2H), 7.09 (dd, *J* = 9.0, 4.7 Hz, 1H), 7.06 – 6.99 (m, 2H), 6.92 (t, *J* = 6.4 Hz, 2H), 5.02 (d, *J* = 12.7 Hz, 1H), 4.80 (d, *J* = 12.7 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.6, 153.3, 144.4, 138.0, 137.2, 137.0, 136.5, 133.6, 133.0, 130.8, 130.5, 130.4, 129.2, 128.6, 128.2, 128.0, 127.5, 127.4, 127.2, 126.9, 126.5, 125.1, 124.7, 123.8, 123.5, 121.5, 114.7, 70.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{32}H_{21}Br_2NONa$ 615.9882; Found 615.9882; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.4 min, t_2 (major) = 8.6 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.399	229.794	893.596	50.01	53.46	n.a.
2		8.649	229.718	777.902	49.99	46.54	n.a.
Total:			**459.512**	**1671.498**	**100.00**	**100.00**	

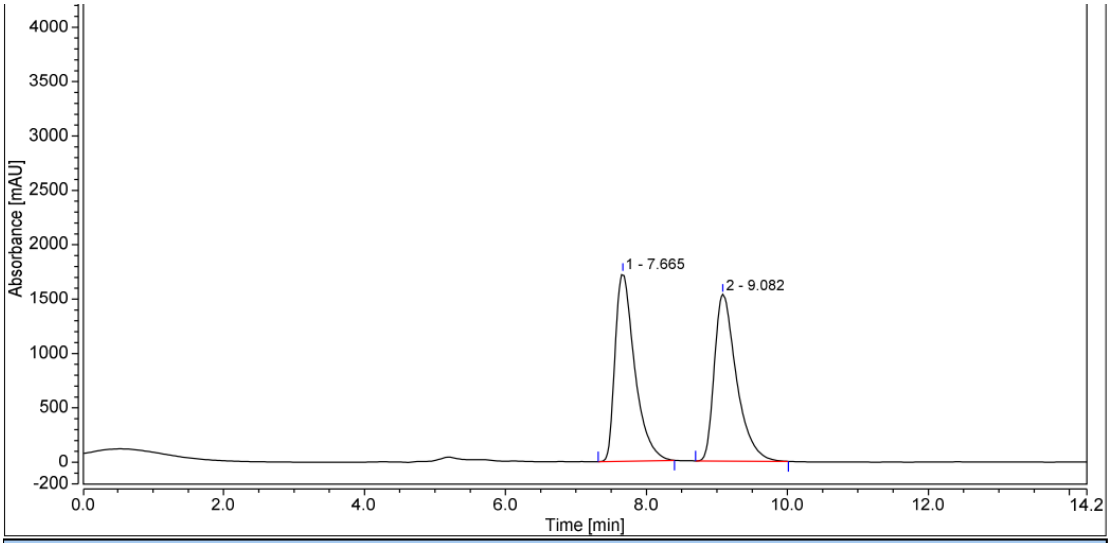


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.382	26.367	83.844	18.46	20.59	n.a.
2		8.632	116.445	323.268	81.54	79.41	n.a.
Total:			**142.812**	**407.112**	**100.00**	**100.00**	



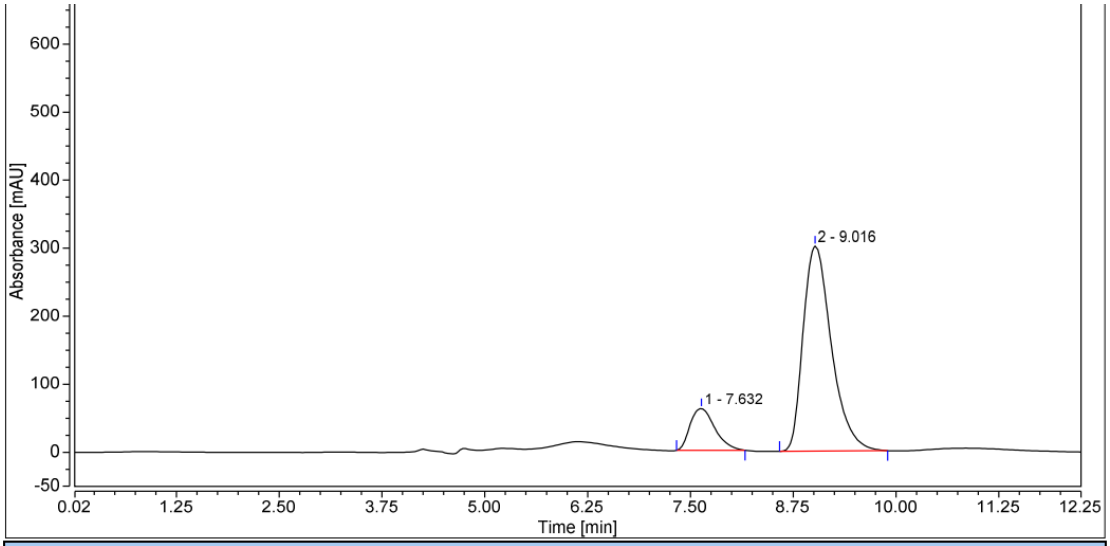
(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(p-tolyl)quinoline (4e)

Yellow solid, yield 68% (16.3 mg); $[\alpha]_D^{21}$ = -25.4 (c 0.1, $CHCl_3$, 85:15 er); mp:132-133 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.19 (d, *J* = 3.0 Hz, 1H), 8.07 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.82 – 7.75 (m, 2H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 1H), 7.39 – 7.32 (m, 2H), 7.25 – 7.18 (m, 3H), 7.08 (dd, *J* = 12.9, 4.0 Hz, 3H), 6.98 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 7.9 Hz, 2H), 5.01 (d, *J* = 12.8 Hz, 1H), 4.80 (d, *J* = 12.8 Hz, 1H), 2.23 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 153.4, 144.2, 138.2, 137.4, 136.8, 136.4, 136.0, 133.7, 132.6, 130.1, 129.2, 129.1, 128.7, 128.6, 128.4, 128.1, 127.9, 127.5, 127.3, 126.9, 126.6, 126.5, 125.0, 124.2, 123.6, 115.0, 71.0, 21.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{33}H_{24}BrNONa$ 552.0933; Found 552.0933; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.6 min, t_2 (major) = 9.1 min.

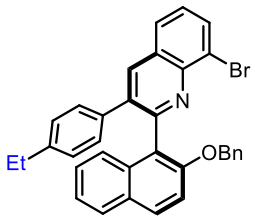


Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.665	556.665	1727.870	49.96	53.00	n.a.
2		9.082	557.599	1532.518	50.04	47.00	n.a.
Total:			**1114.264**	**3260.388**	**100.00**	**100.00**	

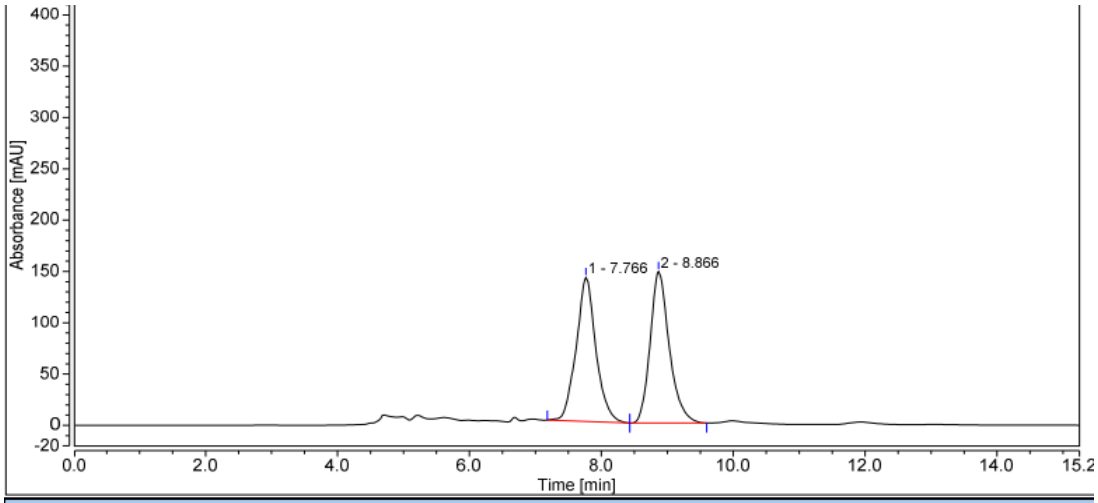


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.632	21.455	61.361	15.13	16.91	n.a.
2		9.016	120.332	301.450	84.87	83.09	n.a.
Total:			**141.786**	**362.811**	**100.00**	**100.00**	



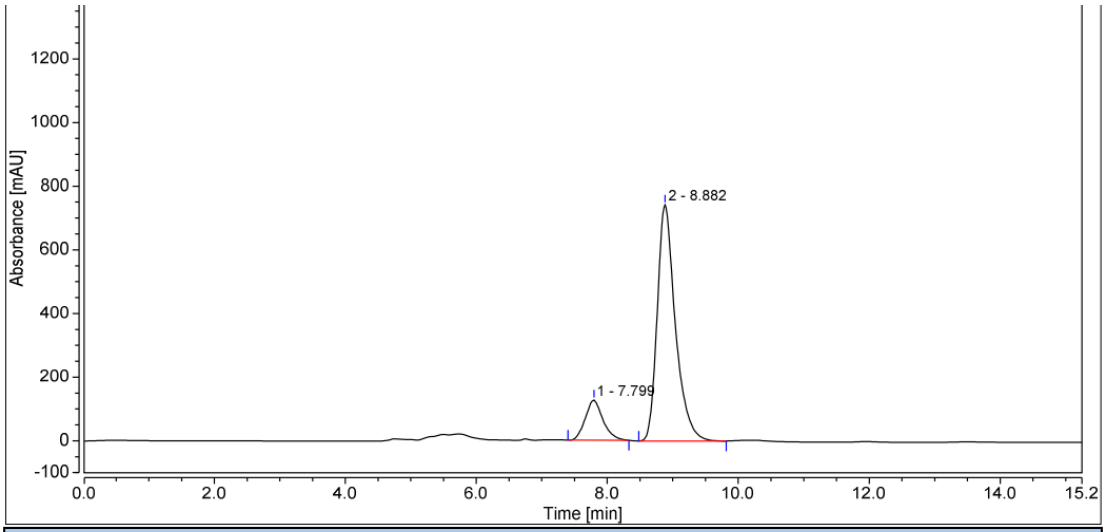
(*S*)-2-(2-(benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-ethylphenyl)quinoline (4f)

Yellow solid, yield 67% (18.2 mg); $[\alpha]_D^{21}$ = -22.7 (c 0.1, $CHCl_3$, 86:14 er); mp:116-118 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 8.10 – 8.04 (m, 1H), 7.91 – 7.86 (m, 1H), 7.82 – 7.74 (m, 2H), 7.64 – 7.59 (m, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.39 – 7.30 (m, 2H), 7.19 (dd, *J* = 6.4, 3.8 Hz, 3H), 7.05 (dd, *J* = 10.4, 5.6 Hz, 3H), 6.97 (d, *J* = 8.2 Hz, 2H), 6.89 (d, *J* = 8.2 Hz, 2H), 4.97 (d, *J* = 12.8 Hz, 1H), 4.73 (d, *J* = 12.8 Hz, 1H), 2.54 (q, *J* = 7.6 Hz, 2H), 1.15 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 153.4, 144.2, 138.2, 137.4, 136.8, 136.4, 136.0, 133.7, 132.6, 130.1, 129.2, 129.1, 128.7, 128.6, 128.4, 128.1, 127.9, 127.5, 127.3, 126.9, 126.6, 126.5, 125.0, 124.2, 123.6, 115.0, 71.0, 21.1; HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{34}H_{26}BrNONa$ 566.1090; Found 566.1092; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.8 min, t_2 (major) = 8.9 min.



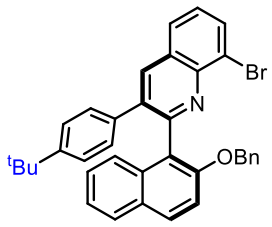
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.766	48.698	140.295	49.51	48.71	n.a.
2		8.866	49.659	147.752	50.49	51.29	n.a.
Total:			**98.358**	**288.047**	**100.00**	**100.00**	



Integration Results

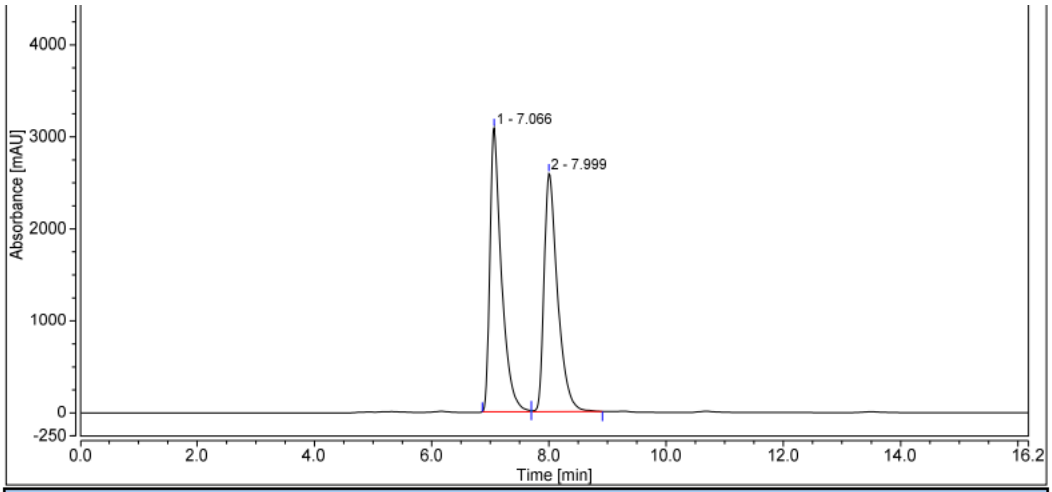
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.799	39.477	126.209	14.25	14.54	n.a.
2		8.882	237.613	741.716	85.75	85.46	n.a.
Total:			**277.090**	**867.926**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-(tert-butyl)phenyl)quinolin (4g)

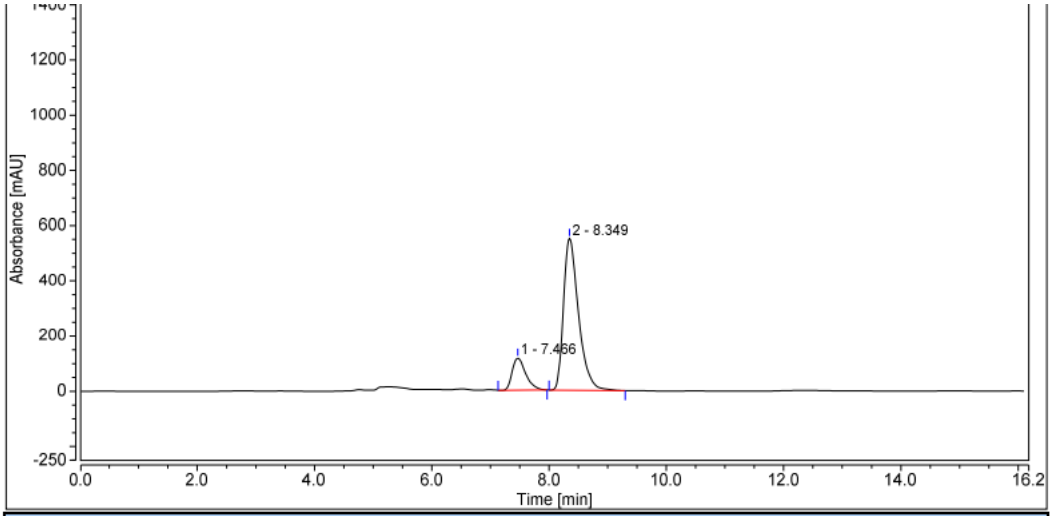
Yellow solid, yield 49% (14.3 mg); $[\alpha]_D^{21}$ = -25.4(c 0.1, $CHCl_3$, 84:16 er); mp:72-76 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-

d) δ 8.20 (s, 1H), 8.08 (d, *J* = 7.4 Hz, 1H), 7.88 (d, *J* = 8.2 Hz, 1H), 7.82 – 7.76 (m, 2H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.8 Hz, 1H), 7.39 – 7.32 (m, 2H), 7.22 (dd, *J* = 4.9, 1.8 Hz, 3H), 7.11 – 7.04 (m, 5H), 7.02 – 6.96 (m, 2H), 4.93 (d, *J* = 12.8 Hz, 1H), 4.65 (d, *J* = 12.8 Hz, 1H), 1.24 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 153.5, 150.1, 144.2, 138.1, 137.6, 136.5, 136.0, 133.8, 132.6, 130.2, 129.3, 128.8, 128.4, 128.2, 127.9, 127.5, 127.3, 126.9, 126.7, 126.7, 126.5, 125.1, 124.5, 124.2, 123.6, 115.0, 71.0, 34.4, 31.2; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{36}H_{30}BrNONa$ 594.1403; Found 594.1401; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.4 min, t_2 (major) = 8.3 min.

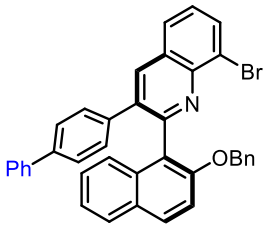


Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.066	705.345	3081.364	50.54	54.37	n.a.
2		7.999	690.272	2586.369	49.46	45.63	n.a.
Total:			**1395.617**	**5667.733**	**100.00**	**100.00**	

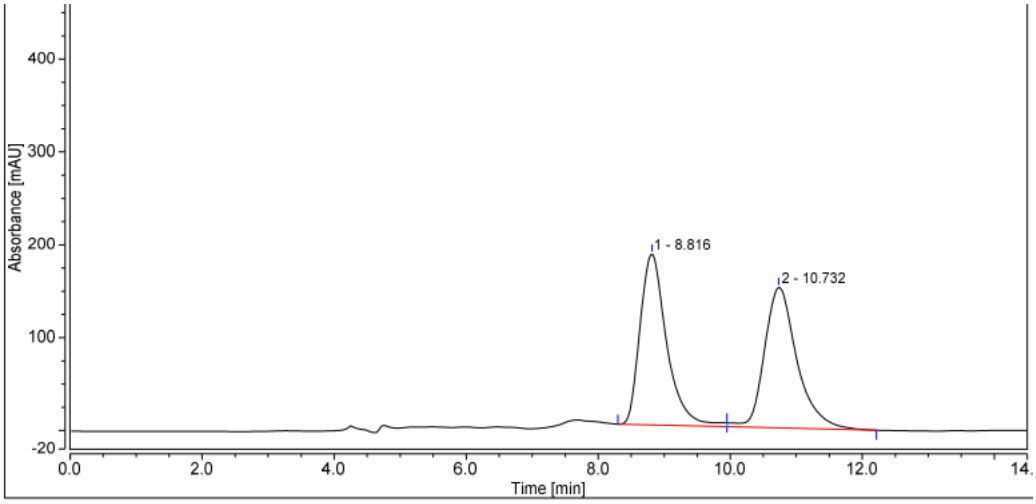


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.466	30.947	115.916	15.90	17.40	n.a.
2		8.349	163.691	550.220	84.10	82.60	n.a.
Total:			**194.638**	**666.136**	**100.00**	**100.00**	

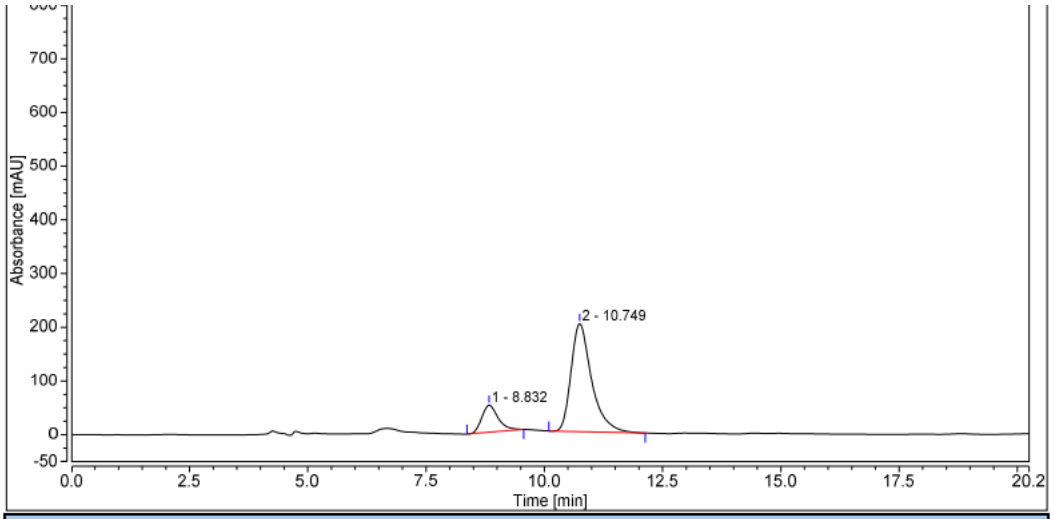


(*S*)-3-([1,1'-biphenyl]-4-yl)-2-(2-(benzyloxy)naphthalen-1-yl)-8-bromoquinoline (4h)

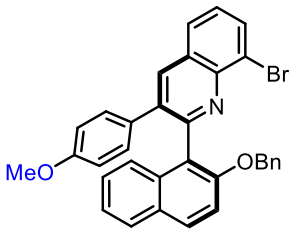
Yellow solid, yield 65% (19.2 mg); $[\alpha]_D^{21}$ = -24.8 (c 0.1, $CHCl_3$, 83:16 er); mp:141-142 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.22 (s, 1H), 8.11 – 8.05 (m, 1H), 7.91 – 7.86 (m, 1H), 7.79 – 7.73 (m, 2H), 7.64 (d, *J* = 7.8 Hz, 1H), 7.48 (d, *J* = 7.2 Hz, 2H), 7.44 (dd, *J* = 12.7, 5.0 Hz, 1H), 7.41 – 7.32 (m, 4H), 7.32 – 7.27 (m, 3H), 7.16 (dd, *J* = 6.2, 3.7 Hz, 3H), 7.14 – 7.08 (m, 2H), 7.03 (dd, *J* = 10.4, 6.4 Hz, 3H), 4.99 (d, *J* = 12.8 Hz, 1H), 4.75 (d, *J* = 12.8 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 153.4, 144.3, 140.3, 139.7, 137.8, 137.4, 136.5, 133.8, 133.4, 132.8, 130.3, 129.3, 129.2, 128.7, 128.5, 128.2, 128.0, 127.5, 127.3, 127.2, 127.1, 126.8, 126.8, 126.5, 126.3, 125.1, 125.0, 124.0, 123.7, 114.9, 71.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{38}H_{26}BrNONa$ 614.1090; Found 614.1093; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 8.8 min, t_2 (major) = 10.2 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.816	86.043	184.176	49.86	54.89	n.a.
2		10.732	86.526	151.337	50.14	45.11	n.a.
Total:			**172.569**	**335.514**	**100.00**	**100.00**	



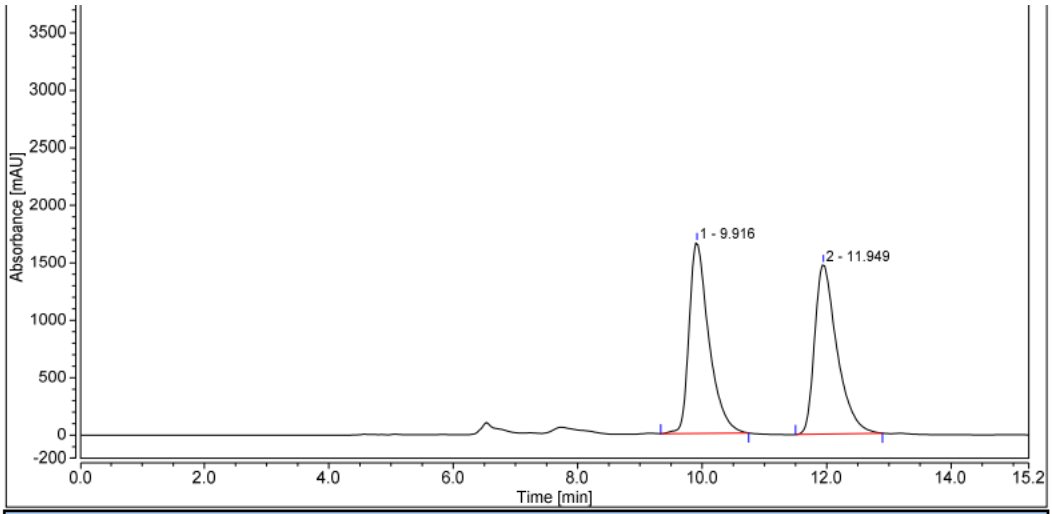
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.832	20.211	50.446	16.61	20.05	n.a.
2		10.749	101.452	201.203	83.39	79.95	n.a.
Total:			**121.663**	**251.649**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-methoxyphenyl)quinolin (4i)

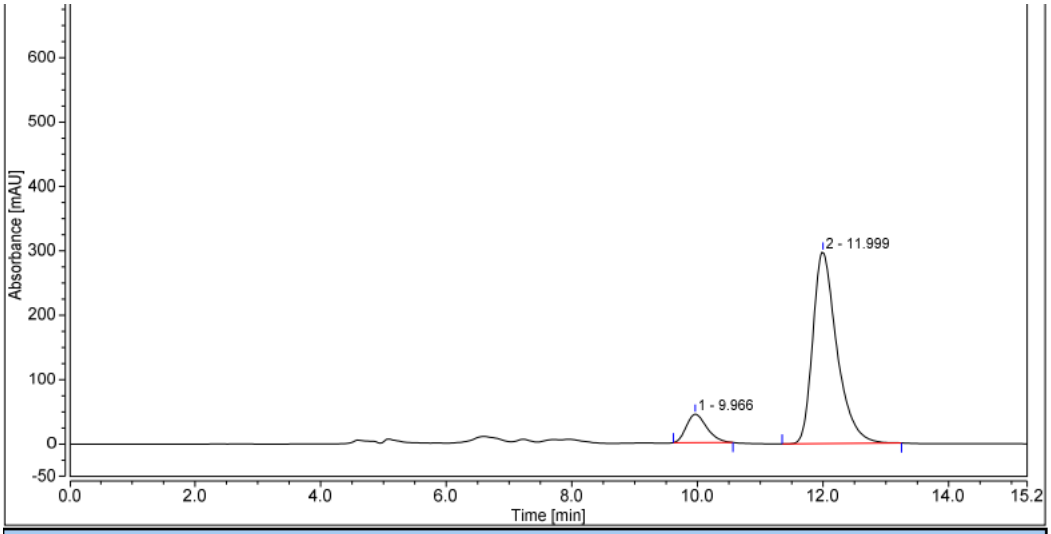
Yellow solid, yield 63% (17.1 mg); $[\alpha]_D^{21}$ = -25.9 (c 0.1, $CHCl_3$, 89:11 er); mp:114-116 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.16 (s, 1H), 8.07 (dd, *J* = 7.3, 1.0 Hz, 1H), 7.92 – 7.85 (m, 1H), 7.82

– 7.74 (m, 2H), 7.57 (d, *J* = 9.2 Hz, 1H), 7.46 – 7.41 (m, 1H), 7.35 (ddd, *J* = 6.5, 5.1, 3.4 Hz, 2H), 7.19 (dd, *J* = 6.3, 3.8 Hz, 3H), 7.11 – 7.03 (m, 3H), 7.01 – 6.95 (m, 2H), 6.63 – 6.55 (m, 2H), 5.02 (d, *J* = 12.8 Hz, 1H), 4.80 (d, *J* = 12.8 Hz, 1H), 3.70 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.8, 157.1, 153.4, 144.1, 137.8, 137.4, 136.2, 133.7, 132.6, 131.4, 130.1, 129.9, 129.2, 128.8, 128.2, 127.9, 127.4, 127.3, 127.0, 126.7, 126.5, 125.0, 124.2, 123.7, 115.0, 113.1, 71.9, 71.0, 55.1; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{33}H_{24}BrNO_2Na$ 568.0883; Found 568.0883; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 10.0 min, t_2 (major) = 12.0 min.



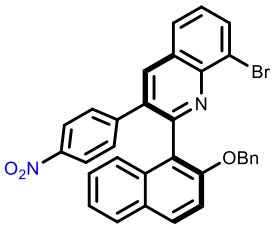
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.916	613.719	1662.287	49.95	52.95	n.a.
2		11.949	614.929	1476.822	50.05	47.05	n.a.
Total:			**1228.648**	**3139.109**	**100.00**	**100.00**	



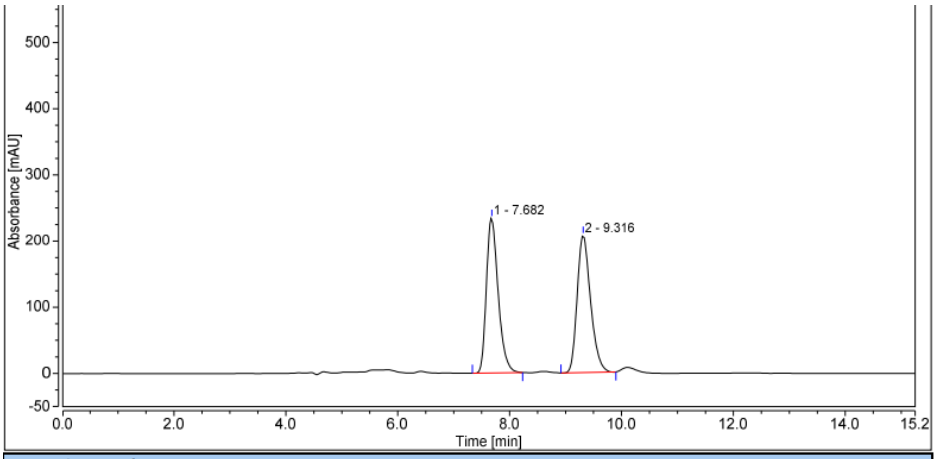
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.966	16.730	44.233	11.24	12.94	n.a.
2		11.999	132.181	297.631	88.76	87.06	n.a.
Total:			**148.911**	**341.865**	**100.00**	**100.00**	

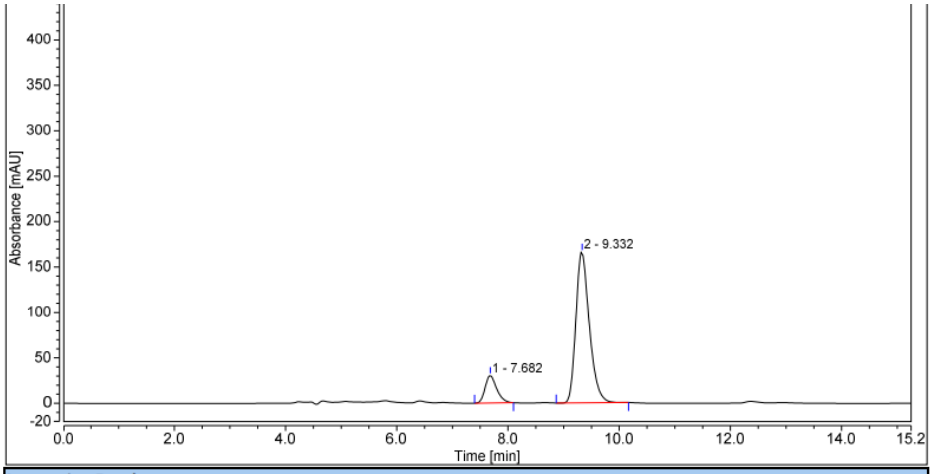


(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(4-nitrophenyl)quinolin (4k)

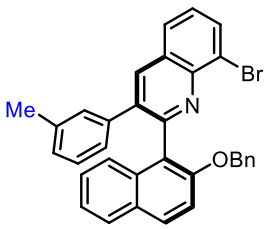
Yellow solid, yield 66% (18.4 mg); $[\alpha]_D^{21}$ = -25.2 (c 0.1, $CHCl_3$, 86:13 er); mp:119-121 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.20 (s, 1H), 8.15 (d, *J* = 7.4 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 8.7 Hz, 2H), 7.81 (t, *J* = 7.3 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.50 (t, *J* = 7.9 Hz, 1H), 7.43 – 7.32 (m, 2H), 7.25 – 7.18 (m, 3H), 7.16 (d, *J* = 8.6 Hz, 2H), 7.08 (dd, *J* = 17.1, 6.3 Hz, 3H), 5.00 (d, *J* = 12.3 Hz, 1H), 4.78 (d, *J* = 12.3 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.1, 153.3, 146.8, 145.8, 144.9, 136.9, 136.8, 136.1, 133.7, 133.4, 130.9, 129.6, 129.3, 128.3, 128.2, 127.7, 127.6, 127.6, 127.5, 127.2, 126.7, 125.2, 124.5, 124.0, 123.0, 122.8, 114.6, 71.0; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{32}H_{21}BrN_2O_3Na$ 583.0628; Found 583.0628; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.7 min, t_2 (major) = 9.3 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.682	57.144	233.997	49.84	52.98	n.a.
2		9.316	57.509	207.638	50.16	47.02	n.a.
Total:			**114.653**	**441.635**	**100.00**	**100.00**	



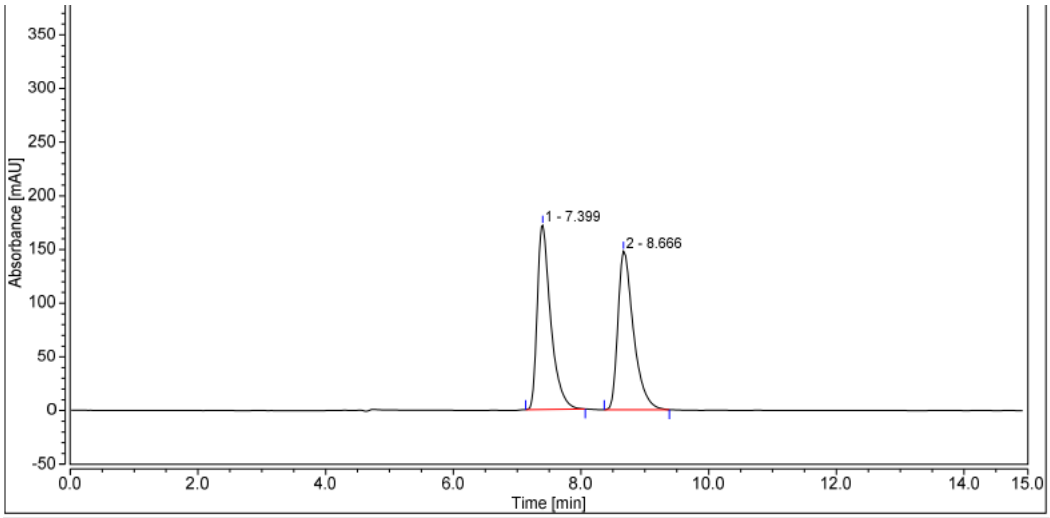
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.682	7.279	30.227	13.51	15.41	n.a.
2		9.332	46.589	165.940	86.49	84.59	n.a.
Total:			**53.869**	**196.167**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(m-tolyl)quinoline (4o)

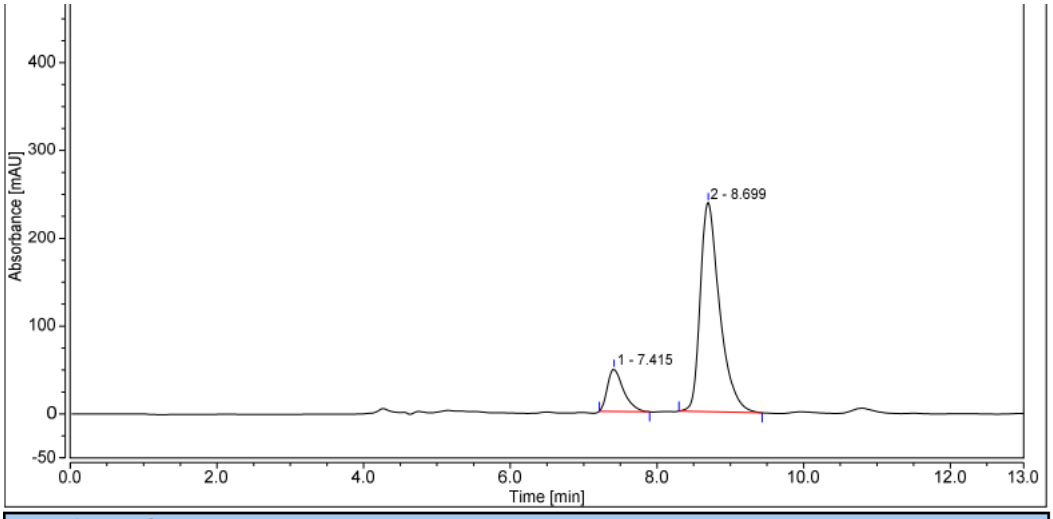
Yellow solid, yield 75% (19.8 mg); $[\alpha]_D^{21}$ = -24.2 (c 0.1, $CHCl_3$, 85:14 er); mp:122-124 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, *J* = 2.4 Hz, 1H), 8.11 – 8.04 (m, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.81 – 7.72 (m, 2H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.47 – 7.41 (m, 1H), 7.39 – 7.29 (m, 2H), 7.23 – 7.16 (m, 3H), 7.06 (dd, *J* = 12.8, 3.7 Hz, 3H), 6.96 – 6.85 (m, 3H), 6.76 (d, *J* =

7.2 Hz, 1H), 4.99 (d, *J* = 12.8 Hz, 1H), 4.71 (d, *J* = 12.7 Hz, 1H), 2.07 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 153.5, 144.2, 138.8, 138.3, 137.5, 137.2, 136.4, 133.8, 132.7, 130.2, 129.8, 129.2, 128.8, 128.2, 127.9, 127.8, 127.5, 127.4, 127.3, 127.0, 126.7, 126.4, 125.8, 125.0, 124.1, 123.6, 114.8, 70.9, 21.2; HRMS (ESI) m/z: $[M + K]^+$ calcd for $C_{33}H_{24}BrNOK$ 568.0673; Found 568.0680; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 7.4 min, t_2 (major) = 8.7 min.



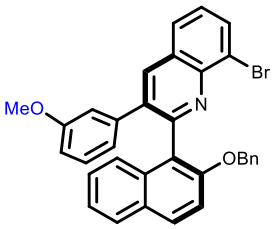
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.399	41.882	171.549	50.06	53.75	n.a.
2		8.666	41.784	147.626	49.94	46.25	n.a.
Total:			**83.666**	**319.175**	**100.00**	**100.00**	



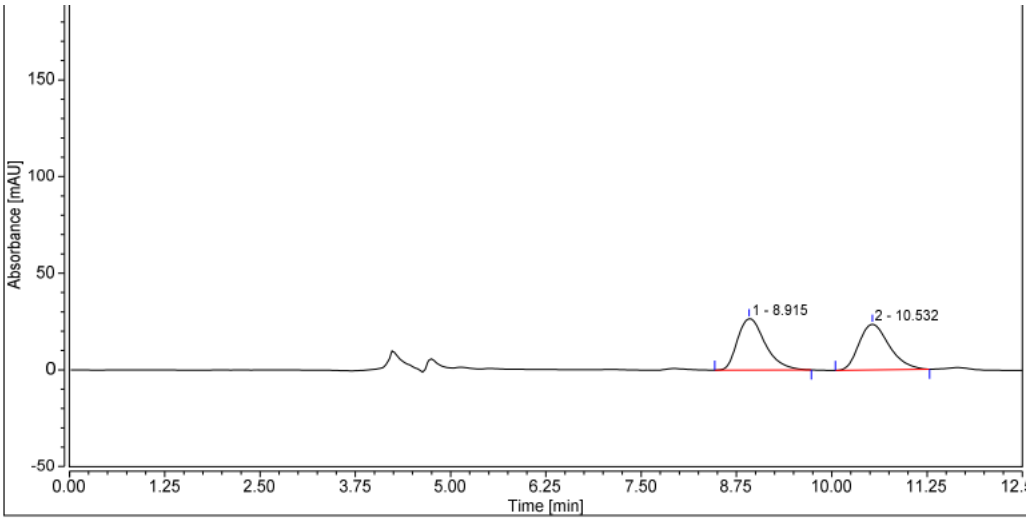
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.415	12.258	48.098	14.39	16.80	n.a.
2		8.699	72.919	238.252	85.61	83.20	n.a.
Total:			**85.177**	**286.351**	**100.00**	**100.00**	

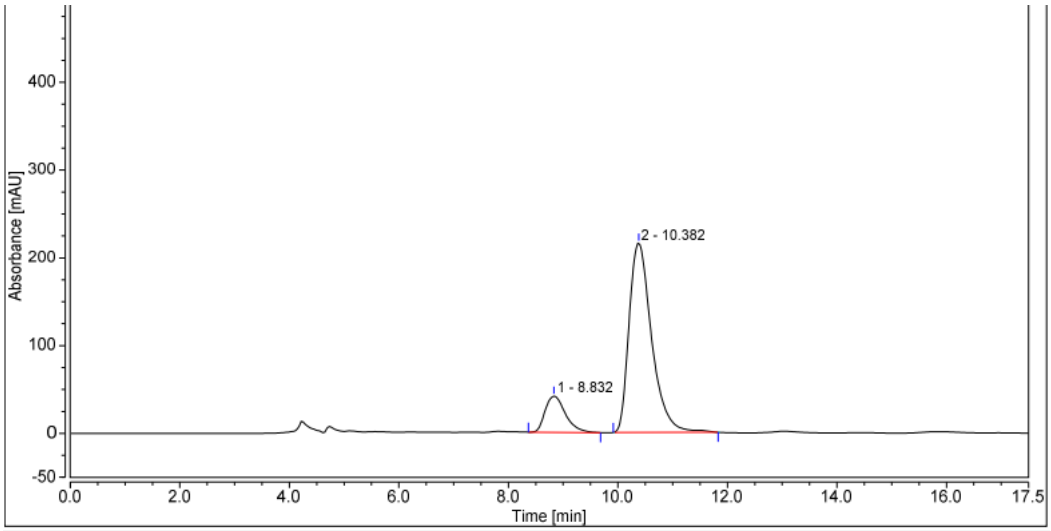


(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-bromo-3-(3-methoxyphenyl)quinolin (4p)

Yellow solid, yield 75% (20.4 mg); $[\alpha]_D^{21}$ = -25.1 (c 0.1, $CHCl_3$, 85:14 er); mp:115-117 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.22 (s, 1H), 8.12 – 8.05 (m, 1H), 7.90 (d, *J* = 8.0 Hz, 1H), 7.78 (d, *J* = 8.8 Hz, 2H), 7.59 (d, *J* = 8.3 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.35 (ddd, *J* = 17.5, 11.1, 6.3 Hz, 2H), 7.24 – 7.16 (m, 3H), 7.12 – 7.03 (m, 3H), 7.00 (t, *J* = 7.9 Hz, 1H), 6.74 – 6.63 (m, 2H), 6.55 (d, *J* = 1.6 Hz, 1H), 5.01 (d, *J* = 12.7 Hz, 1H), 4.76 (d, *J* = 12.7 Hz, 1H), 3.22 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.7, 157.0, 153.5, 144.5, 140.2, 138.0, 137.4, 136.4, 133.8, 132.9, 130.2, 129.2, 128.7, 128.2, 127.9, 127.6, 127.3, 127.1, 126.8, 126.5, 125.1, 124.9, 124.2, 123.7, 121.3, 115.0, 114.1, 113.3, 71.0, 54.7; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{33}H_{25}BrNO_2$ 546.1063; Found 546.1066; HPLC (Daicel Chiralpak IC, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 8.8 min, t_2 (major) = 10.4 min.

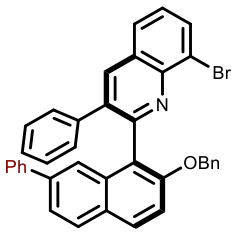


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.915	11.211	26.599	50.82	52.94	n.a.
2		10.532	10.849	23.643	49.18	47.06	n.a.
Total:			**22.060**	**50.243**	**100.00**	**100.00**	



Integration Results

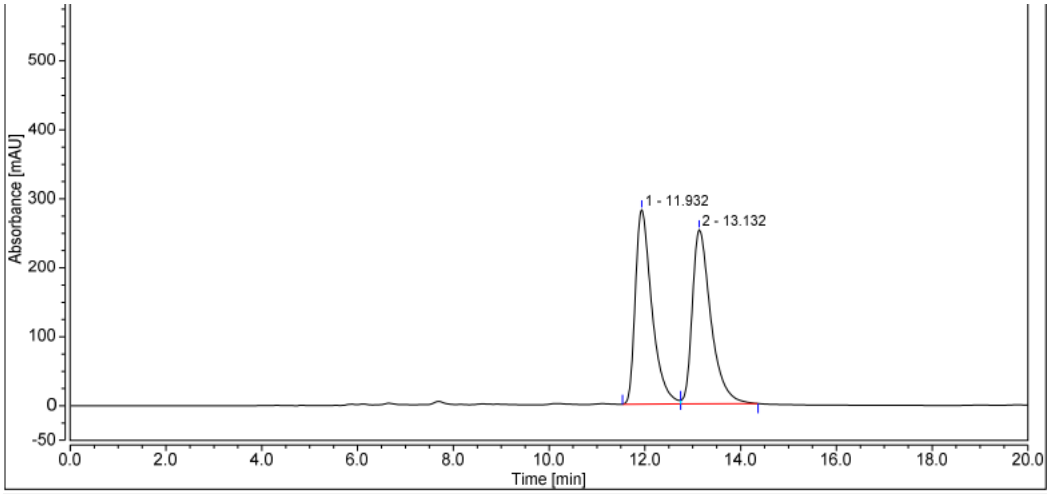
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.832	17.663	41.112	14.62	16.00	n.a.
2		10.382	103.180	215.788	85.38	84.00	n.a.
Total:			**120.843**	**256.900**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)-7-phenylnaphthalen-1-yl)-8-bromo-3-phenylquinoline (4aa)

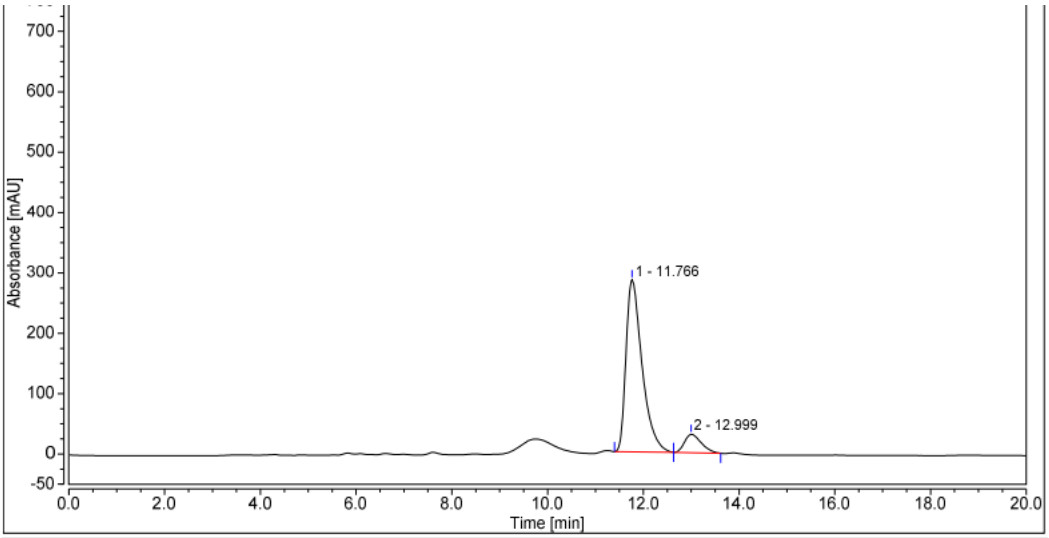
Yellow solid, yield 75% (22.3 mg); $[\alpha]_D^{21}$ = -28.4 (c 0.1, $CHCl_3$, 90:10 er); mp:127-129 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 8.10 (d, *J* = 7.3 Hz, 1H), 7.95 (s, 1H), 7.86 (dd, *J* = 14.9, 8.4 Hz, 2H), 7.78 (d, *J* = 9.1 Hz, 1H), 7.61 (dd, *J* = 13.0, 8.0 Hz, 3H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.29 (d, *J* = 7.4 Hz, 1H), 7.20 (d, *J* = 4.3 Hz, 3H), 7.13 (p, *J* = 4.3 Hz, 1H), 7.06 (dd, *J* = 9.9, 5.8 Hz, 7H), 4.95 (d, *J* = 12.5 Hz, 1H), 4.70 (d, *J* = 12.5 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 153.9, 144.3, 141.7, 139.4, 139.1, 138.3, 137.4, 136.6, 133.9, 132.8, 130.1, 128.8, 128.8, 128.7, 128.5, 128.4, 128.2, 128.2, 127.6, 127.6, 127.3, 127.2, 127.1, 127.1, 126.5, 125.1, 124.0, 123.6, 123.3,

114.8, 70.9; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{38}H_{26}BrNONa$ 614.1090; Found 614.1086; HPLC (Daicel Chiralpak IE, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (major) =11.8 min, t_2 (minor) = 13.0 min.



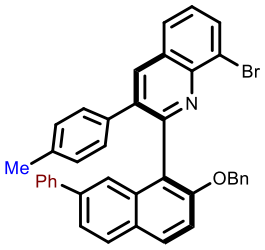
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.932	112.839	281.787	49.87	52.76	n.a.
2		13.132	113.442	252.271	50.13	47.24	n.a.
Total:			**226.281**	**534.058**	**100.00**	**100.00**	



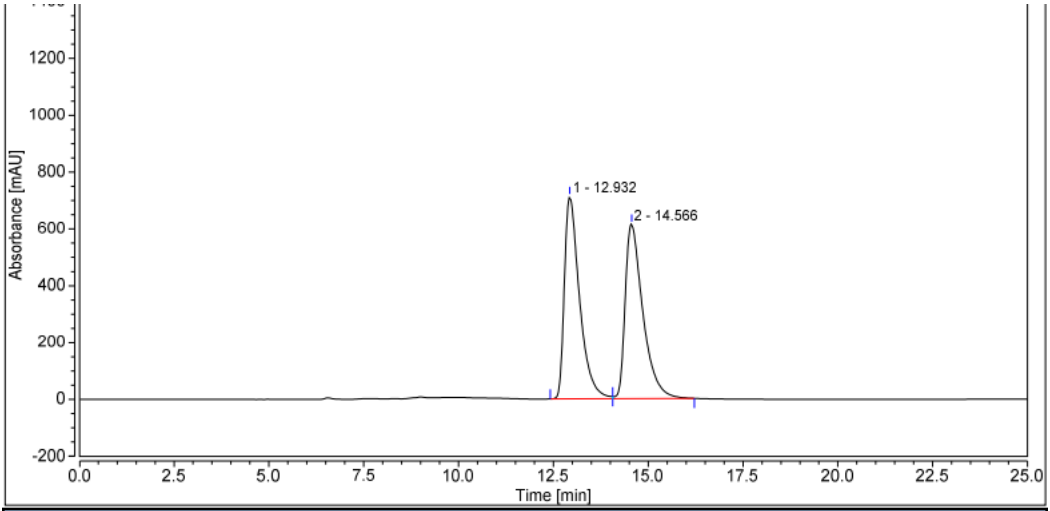
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.766	110.626	285.075	90.00	90.40	n.a.
2		12.999	12.289	30.287	10.00	9.60	n.a.
Total:			**122.915**	**315.361**	**100.00**	**100.00**	



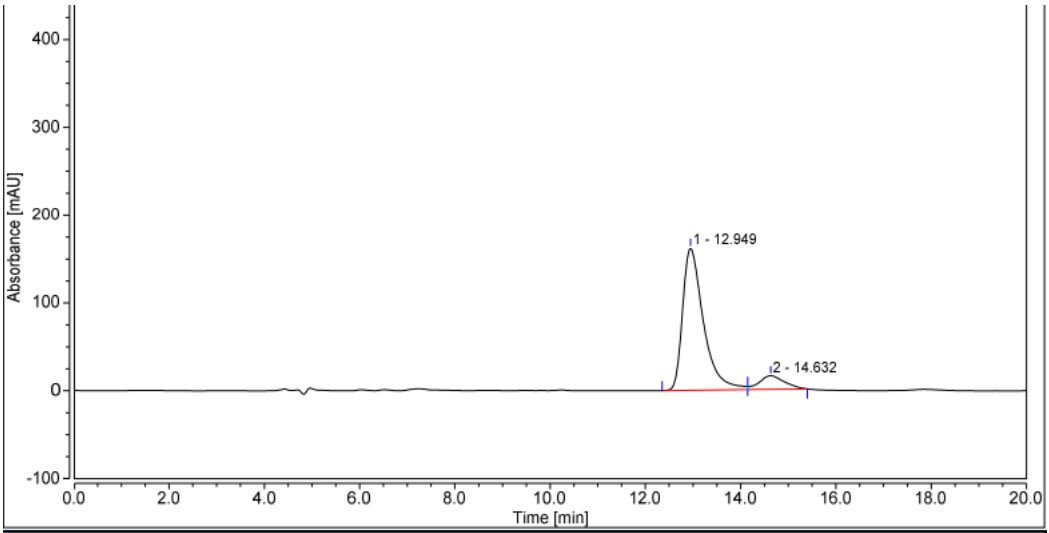
(*S*)-2-(2-(Benzyloxy)-7-phenylnaphthalen-1-yl)-8-bromo-3-(p-tolyl)quinoline (4ab)

Yellow solid, yield 77% (23.3 mg); $[\alpha]_D^{21}$ = -28.1 (c 0.1, $CHCl_3$, 90:10 er); mp:122-125 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 8.10 (dd, *J* = 4.3, 3.1 Hz, 1H), 7.96 (s, 1H), 7.91 – 7.84 (m, 2H), 7.81 (dd, *J* = 8.9, 3.3 Hz, 1H), 7.68 – 7.59 (m, 3H), 7.47 – 7.38 (m, 3H), 7.30 (s, 1H), 7.22 (dd, *J* = 4.5, 2.0 Hz, 3H), 7.08 (dd, *J* = 8.5, 4.7 Hz, 3H), 7.00 (dd, *J* = 7.8, 6.0 Hz, 2H), 6.90 (t, *J* = 6.0 Hz, 2H), 4.99 (d, *J* = 12.8 Hz, 1H), 4.77 (d, *J* = 12.8 Hz, 1H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 153.9, 144.2, 141.6, 139.3, 138.3, 137.4, 136.0, 136.5, 136.2, 133.8, 132.7, 130.0, 128.8, 128.8, 128.6, 128.5, 128.4, 128.4, 128.2, 127.6, 127.5, 127.3, 127.1, 127.0, 126.5, 125.0, 124.3, 123.6, 123.3, 115.0, 71.0, 21.1; HRMS (ESI) m/z: $[M + K]^+$ calcd for $C_{39}H_{28}BrNOK$ 644.0988; Found 644.0986; HPLC (Daicel Chiralpak IE, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (major) = 12.9 min, t_2 (minor) = 14.6 min.



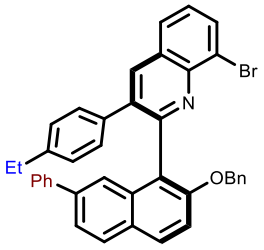
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.932	330.284	712.246	49.87	53.69	n.a.
2		14.566	331.962	614.242	50.13	46.31	n.a.
Total:			**662.246**	**1326.488**	**100.00**	**100.00**	



Integration Results

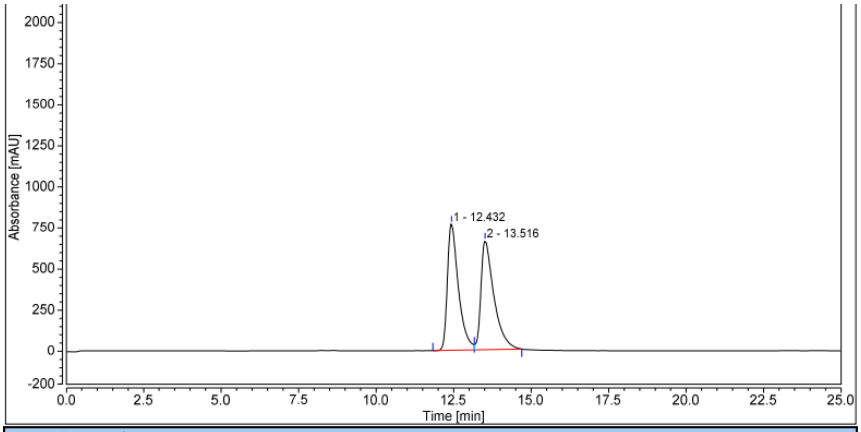
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.949	82.001	161.712	89.64	91.26	n.a.
2		14.632	9.482	15.485	10.36	8.74	n.a.
Total:			**91.483**	**177.196**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)-7-phenylnaphthalen-1-yl)-8-bromo-3-(4-ethylphenyl)quinoline (4ac)

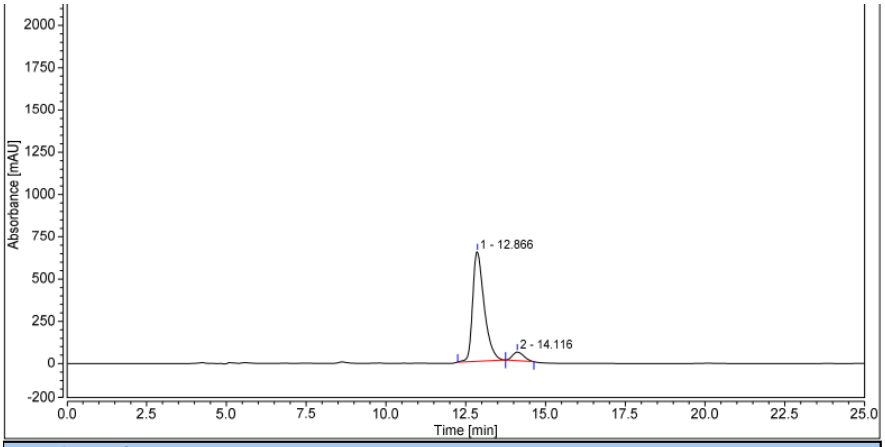
Yellow solid, yield 79% (24.3 mg); $[\alpha]_D^{21}$ = -29.1 (c 0.1, $CHCl_3$, 93:7 er); mp:119-122 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.16 (s, 1H), 8.05 (d, *J* = 7.4 Hz, 1H), 7.95 (s, 1H), 7.83 (dd, *J* = 8.0, 5.5 Hz, 2H), 7.76 (d, *J* = 9.0 Hz, 1H), 7.65 – 7.55 (m, 3H), 7.38 (dt, *J* = 10.9, 7.7 Hz, 3H), 7.27 (t, *J* = 7.3 Hz, 1H), 7.19 (dd, *J* = 9.3, 4.8 Hz, 3H), 7.07 – 6.96 (m, 5H), 6.88 (d, *J* = 7.9 Hz, 2H), 4.93 (d, *J* = 12.8 Hz, 1H), 4.69 (d, *J* = 12.8 Hz, 1H), 2.52 (q, *J* = 7.5 Hz, 2H), 1.13 (t, *J* = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 153.9, 144.2, 143.2, 141.7, 139.3, 138.3, 137.5, 136.5, 136.4, 133.8, 132.7, 130.0, 128.8, 128.7, 128.6, 128.5,

128.4, 128.2, 127.6, 127.5, 127.3, 127.2, 127.1, 127.0, 126.5, 125.0, 124.3, 123.6, 123.3, 114.9, 70.9, 28.4, 15.3; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{40}H_{30}BrNNa$ 642.1043; Found 642.1044; HPLC (Daicel Chiralpak IE, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (major) = 12.8 min, t_2 (minor) = 14.1 min.



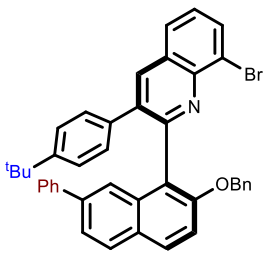
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.432	317.650	769.762	49.54	53.70	n.a.
2		13.516	323.515	663.593	50.46	46.30	n.a.
Total:			**641.165**	**1433.354**	**100.00**	**100.00**	



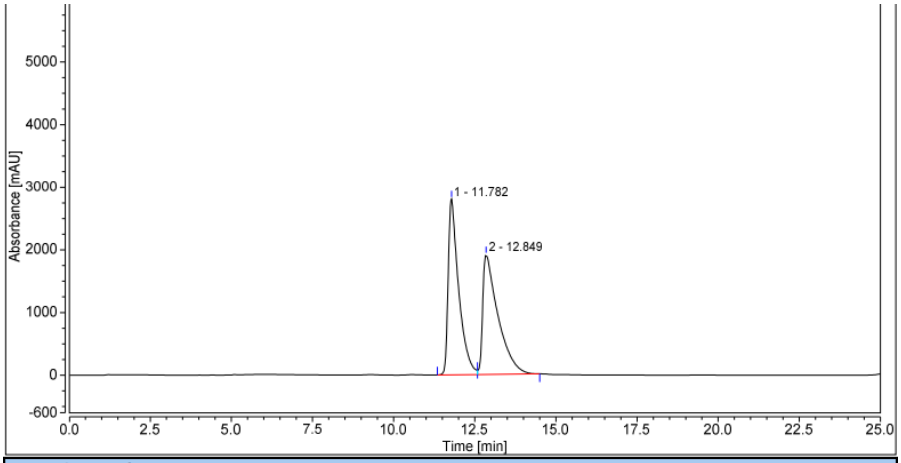
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.866	269.873	646.494	92.99	92.74	n.a.
2		14.116	20.348	50.604	7.01	7.26	n.a.
Total:			**290.221**	**697.098**	**100.00**	**100.00**	

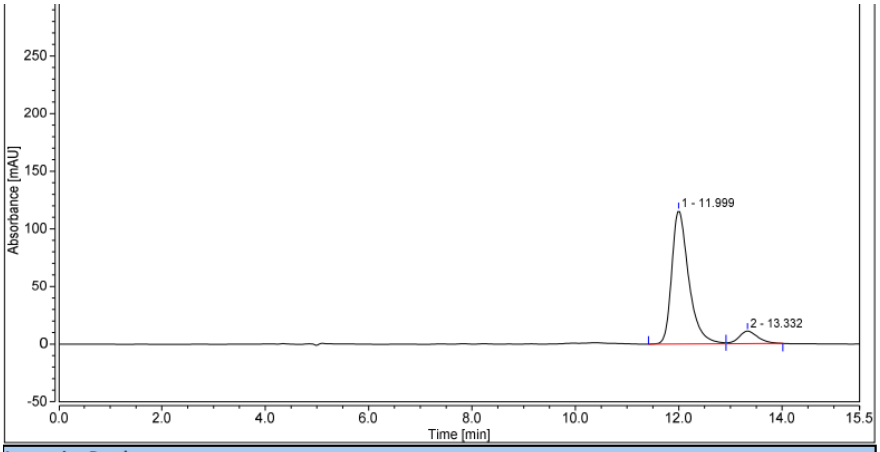


(*S*)-2-(2-(Benzyloxy)-7-phenylnaphthalen-1-yl)-8-bromo-3-(4-(tert-butyl)phenyl)quinoline(4ad)

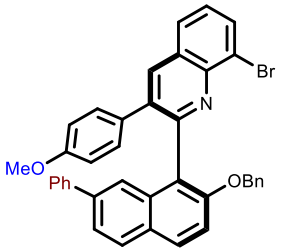
Yellow solid, yield 55% (17.8 mg); $[\alpha]_D^{21}$ = -28.0 (c 0.1, $CHCl_3$, 90:9 er); mp:128-131 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.17 (s, 1H), 8.06 (d, *J* = 7.3 Hz, 1H), 7.98 (s, 1H), 7.88 – 7.82 (m, 2H), 7.78 (d, *J* = 9.0 Hz, 1H), 7.66 – 7.56 (m, 3H), 7.38 (ddd, *J* = 12.2, 8.2, 3.9 Hz, 3H), 7.31 – 7.25 (m, 1H), 7.24 – 7.18 (m, 3H), 7.09 – 7.04 (m, 4H), 7.04 – 7.00 (m, 1H), 7.00 – 6.95 (m, 2H), 4.88 (d, *J* = 12.7 Hz, 1H), 4.59 (d, *J* = 12.7 Hz, 1H), 1.21 (s, 9H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 154.0, 150.1, 144.2, 141.7, 139.4, 138.2, 137.6, 136.5, 136.1, 133.9, 132.7, 130.1, 128.8, 128.6, 128.5, 128.4, 128.3, 128.2, 127.6, 127.5, 127.3, 127.1, 127.0, 126.4, 125.0, 124.5, 124.2, 123.6, 123.4, 114.9, 70.9, 34.4, 31.2; HRMS (ESI) m/z: $[M + Na]^+$ calcd for $C_{42}H_{34}BrNONa$ 670.1716; Found 670.1717; HPLC (Daicel Chiralpak IF, *i*-PrOH/hexane = 5/95, flow rate 0.8 mL/min, λ = 250 nm): t_1 (major) = 12.0 min, t_2 (minor) = 13.4 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.782	1049.471	2806.189	50.16	59.50	n.a.
2		12.849	1042.622	1910.474	49.84	40.50	n.a.
Total:			**2092.094**	**4716.663**	**100.00**	**100.00**	

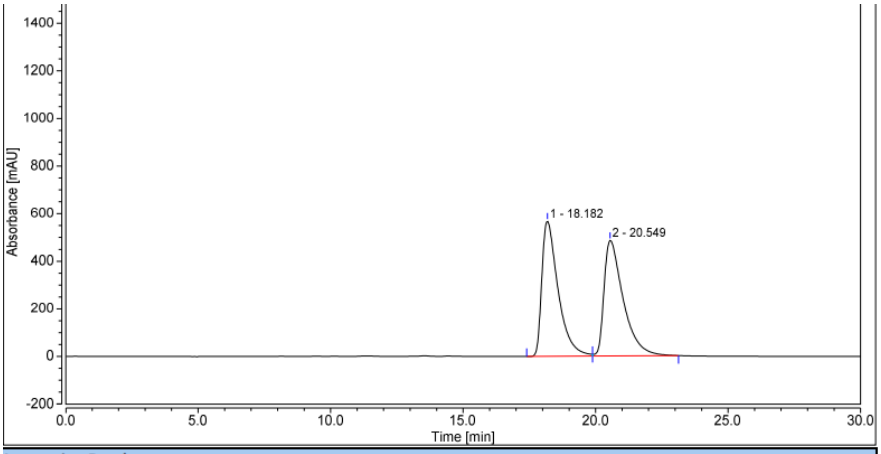


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.999	44.073	115.664	90.56	91.43	n.a.
2		13.332	4.595	10.839	9.44	8.57	n.a.
Total:			**48.669**	**126.503**	**100.00**	**100.00**	



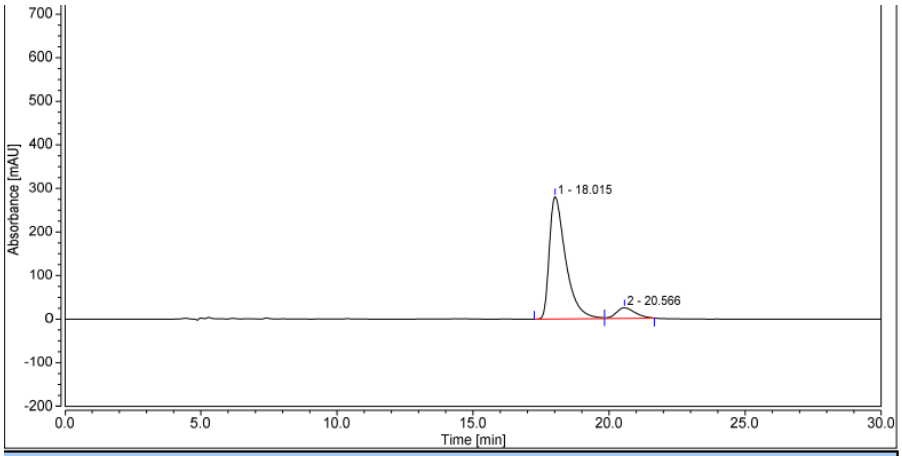
(*S*)-2-(2-(Benzyloxy)-7-phenylnaphthalen-1-yl)-8-bromo-3-(4-methoxyphenyl)quinoline (4ae)

Yellow solid, yield 75% (23.3 mg); $[\alpha]_D^{21}$ = -28.5 (c 0.1, $CHCl_3$, 91:9 er); mp:117-120 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.14 (s, 1H), 8.10 – 8.02 (m, 1H), 7.92 (s, 1H), 7.87 – 7.82 (m, 2H), 7.78 (dd, *J* = 8.9, 3.5 Hz, 1H), 7.60 (t, *J* = 4.9 Hz, 3H), 7.43 – 7.33 (m, 3H), 7.27 (t, *J* = 7.3 Hz, 1H), 7.23 – 7.16 (m, 3H), 7.05 (dd, *J* = 7.8, 3.6 Hz, 3H), 7.01 – 6.95 (m, 2H), 6.58 (d, *J* = 8.8 Hz, 2H), 4.98 (d, *J* = 12.7 Hz, 1H), 4.75 (d, *J* = 12.8 Hz, 1H), 3.68 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.8, 156.9, 153.9, 144.1, 141.6, 139.4, 137.9, 137.4, 136.2, 133.8, 132.6, 131.5, 130.0, 129.9, 128.8, 128.6, 128.5, 128.4, 128.2, 127.6, 127.4, 127.3, 127.1, 127.9, 126.5, 125.0, 124.3, 123.6, 123.3, 115.0, 113.2, 70.9, 55.1; HRMS (ESI) m/z: $[M + K]^+$ calcd for $C_{39}H_{28}BrNO_2K$ 660.0935; Found 660.0936; HPLC (Daicel Chiralpak IE, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (major) = 18.0 min, t_2 (minor) = 20.6 min.



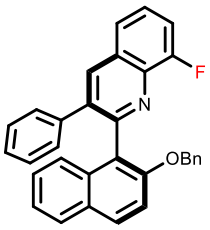
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		18.182	404.616	568.771	49.82	53.94	n.a.
2		20.549	407.536	485.716	50.18	46.06	n.a.
Total:			**812.152**	**1054.487**	**100.00**	**100.00**	



Integration Results

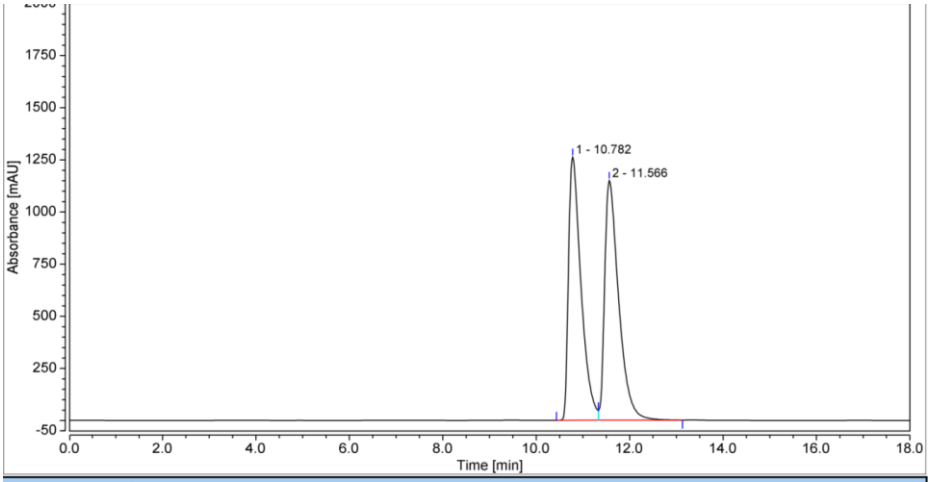
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		18.015	195.161	280.583	90.91	92.06	n.a.
2		20.566	19.519	24.202	9.09	7.94	n.a.
Total:			**214.680**	**304.785**	**100.00**	**100.00**	



(*S*)-2-(2-(Benzyloxy)naphthalen-1-yl)-8-fluoro-3-phenylquinoline (4af)

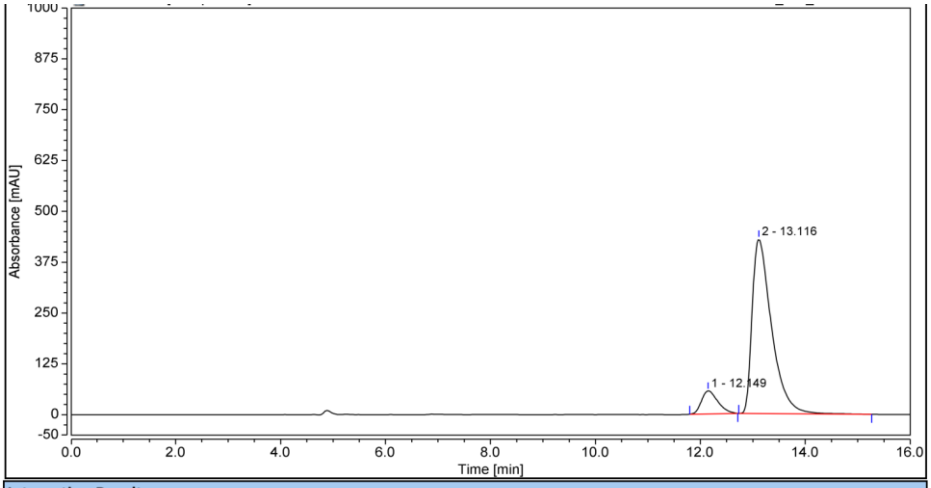
Yellow solid, yield 91% (21.1 mg); $[\alpha]_D^{21}$ = -28.2 (c 0.1, $CHCl_3$, 90:10 er); mp:112-113 ℃; IR (KBr): 3059, 2362, 1461, 1206, 1084, 777 cm^{-1}; ^1H NMR (400 MHz, Chloroform-*d*) δ 8.37 (d, *J* = 8.7 Hz, 1H), 8.04 (d, *J* = 8.7 Hz, 1H), 7.92 (d, *J* = 9.1 Hz, 2H), 7.60 – 7.27 (m, 13H), 6.99 (dt, *J* = 17.0, 9.8 Hz, 3H), 6.75 (t, *J* = 7.6 Hz, 1H), 6.63 (d, *J* = 7.7 Hz, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.3, 156.7, 156.5, 153.3, 138.9, 138.3, 137.3, 137.0, 135.9, 133.8, 130.2, 129.1 (d, *J* = 5.3 Hz), 128.7, 128.1,

127.9, 127.6, 127.2 (d, J = 7.8 Hz), 126.8, 126.4 (d, J = 7.8 Hz), 126.3, 124.7, 123.7, 123.5, 123.2 (d, J = 4.2 Hz), 114.4, 113.4, 113.2, 70.6; HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{32}H_{23}FNO$ 456.1758; Found 456.1745; HPLC (Daicel Chiralpak ID, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm): t_1 (minor) = 12.1 min, t_2 (major) = 13.1 min.



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		10.782	391.924	1262.327	49.48	52.35	n.a.
2		11.566	400.233	1149.111	50.52	47.65	n.a.
Total:			**792.158**	**2411.438**	**100.00**	**100.00**	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.149	20.558	56.732	10.03	11.67	n.a.
2		13.116	184.439	429.370	89.97	88.33	n.a.
Total:			**204.997**	**486.101**	**100.00**	**100.00**	

5. Enantiomerisation barrier determination for 4a and 4ad

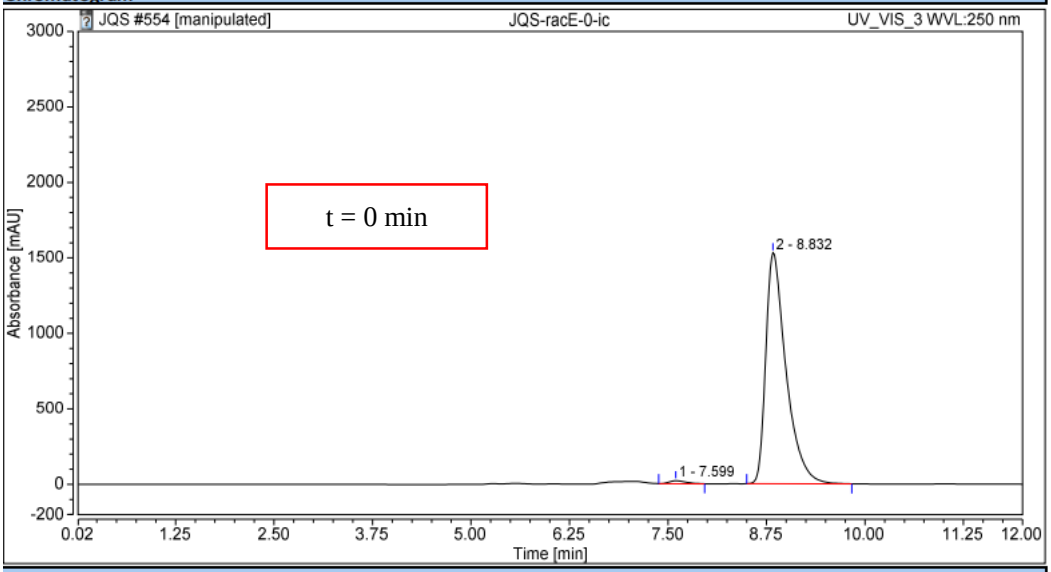
The enantiomerisation barrier, corresponding to barrier to rotation for the following atropisomers, was obtained by kinetic of racemisation of an enantiomer. The slope of the first-order kinetic line gives the racemisation constant ($k_{racemisation}$ = 2 x $k_{enantiomerisation}$). Eyring equation gives the enantiomerisation barrier ($\Delta G^{\neq}_{enantiomerisation}$) from enantiomerisation constant ($k_{enantiomerisation}$), R = 8.31454 $J{\cdot}K^{-1}$ mol^{-1} , h = 6.62608 10^{-34} $J \cdot s^{-1}$ and k_B =1.38066 10^{-23} $J \cdot K^{-1}$.

About 10 mg of enantio-enriched **4a(recrystallization)** was refluxed in 1 mL of toluene. Samples of 10 µL of this solution were injected on Daicel Chiralpak IC (*i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm) to monitor the percentage decrease of the second eluted enantiomer over time.

Solvent: dichloromethane.

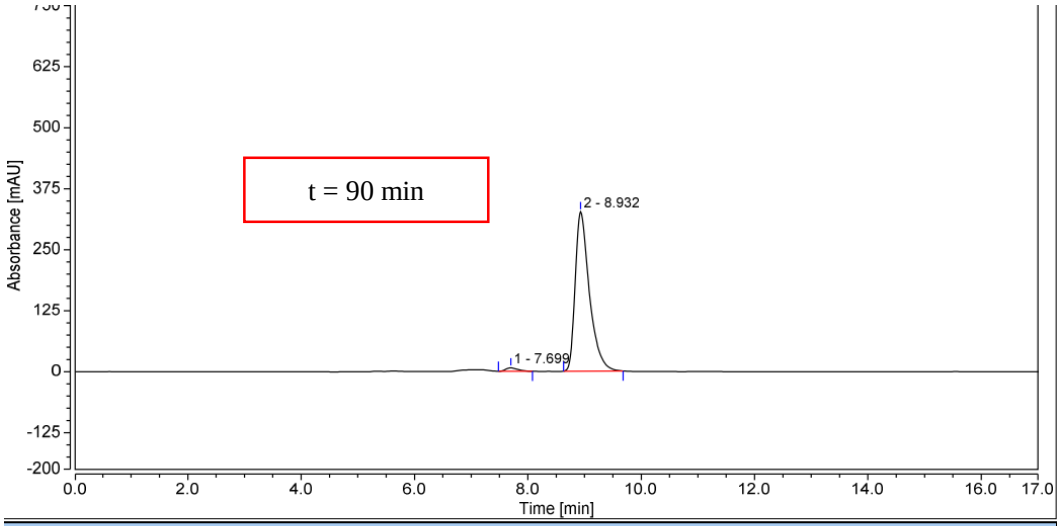
Temperature = 35 ℃.

Chromatogram



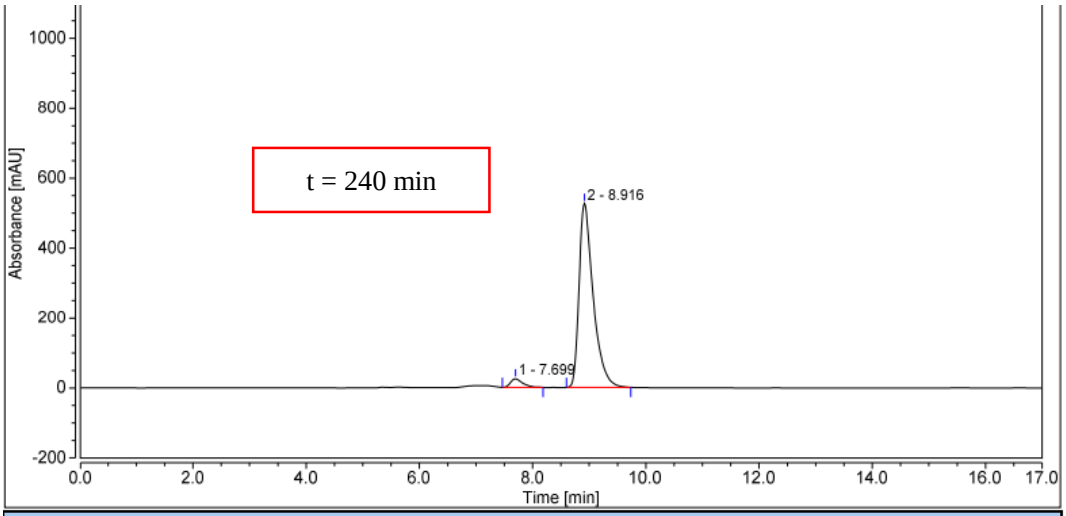
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.599	4.778	20.107	1.04	1.30	n.a.
2		8.832	455.778	1529.881	98.96	98.70	n.a.
Total:			**460.556**	**1549.988**	**100.00**	**100.00**	



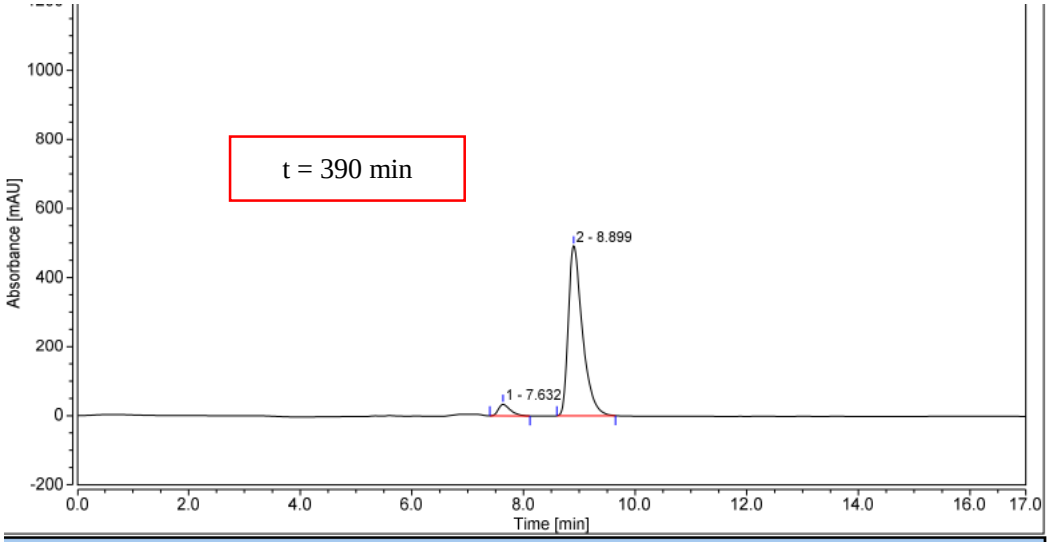
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.699	1.691	7.139	1.75	2.14	n.a.
2		8.932	94.748	327.178	98.25	97.86	n.a.
Total:			**96.439**	**334.317**	**100.00**	**100.00**	



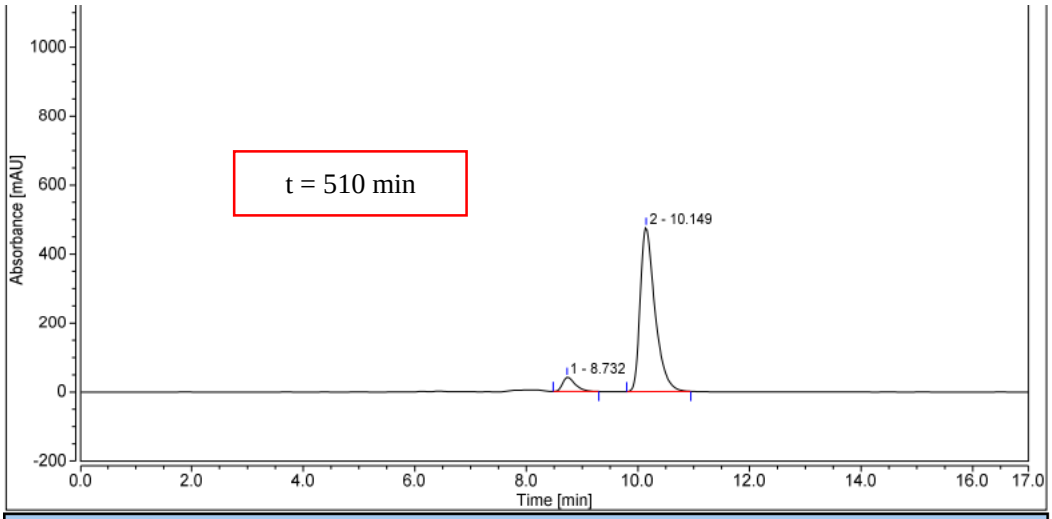
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.699	6.074	24.709	3.84	4.48	n.a.
2		8.916	151.992	526.364	96.16	95.52	n.a.
Total:			**158.066**	**551.073**	**100.00**	**100.00**	



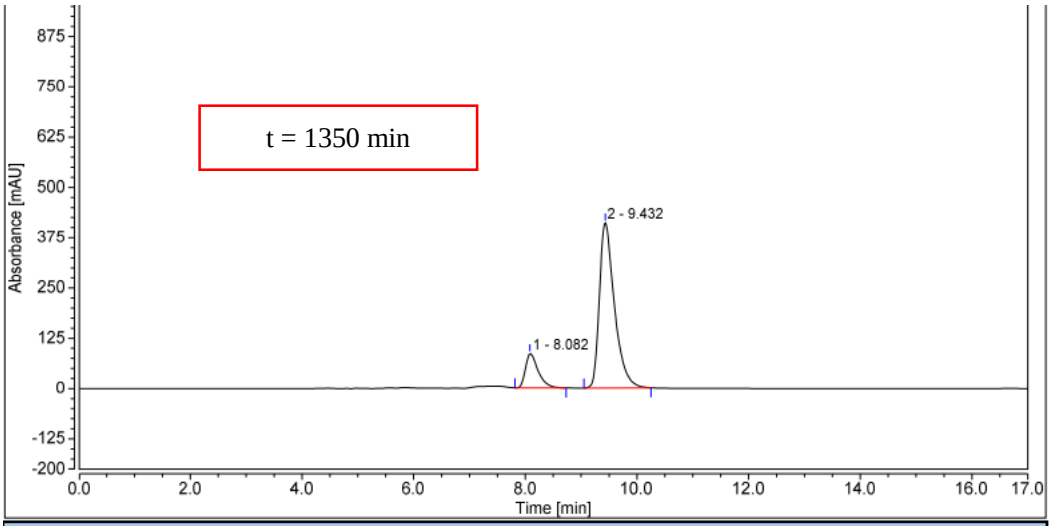
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.632	8.317	33.740	5.45	6.41	n.a.
2		8.899	144.317	492.404	94.55	93.59	n.a.
Total:			**152.634**	**526.143**	**100.00**	**100.00**	



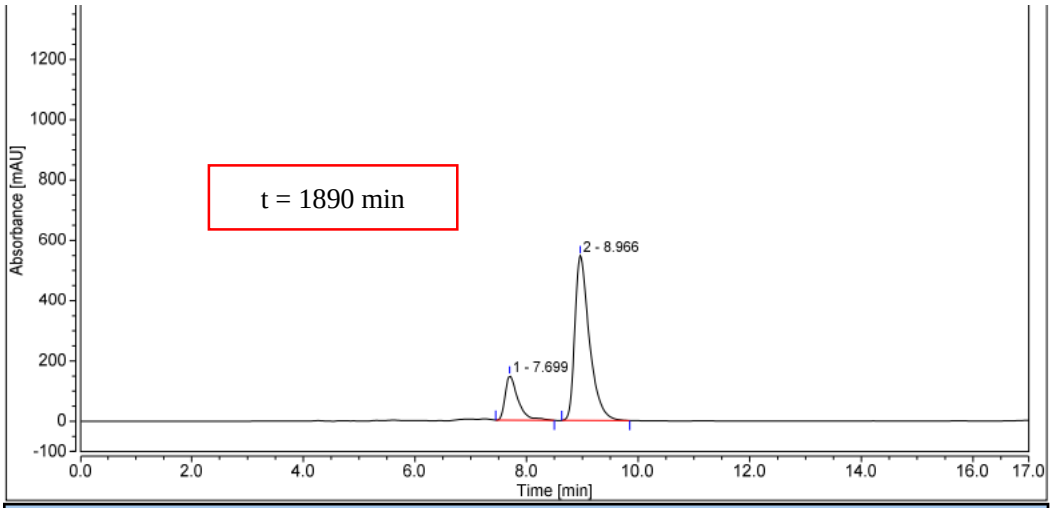
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.732	10.888	41.485	6.95	8.01	n.a.
2		10.149	145.828	476.651	93.05	91.99	n.a.
Total:			**156.716**	**518.136**	**100.00**	**100.00**	



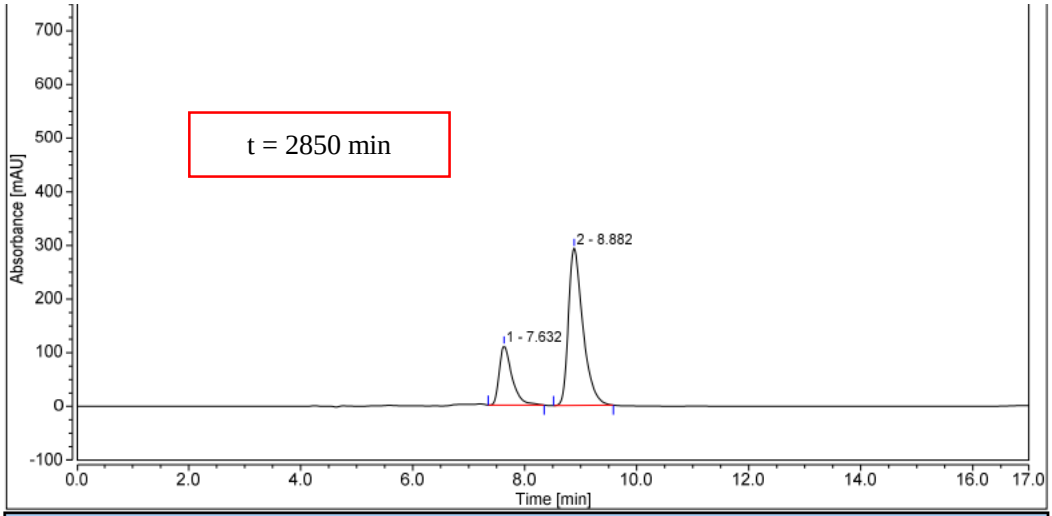
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.082	22.445	85.042	14.93	17.17	n.a.
2		9.432	127.939	410.268	85.07	82.83	n.a.
Total:			**150.384**	**495.309**	**100.00**	**100.00**	



Integration Results

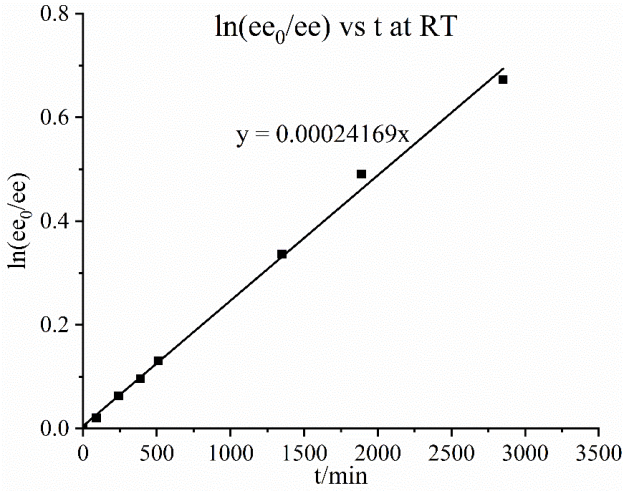
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.699	39.169	146.616	19.17	21.15	n.a.
2		8.966	165.130	546.748	80.83	78.85	n.a.
Total:			**204.299**	**693.364**	**100.00**	**100.00**	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.632	29.174	110.212	24.89	27.35	n.a.
2		8.882	88.014	292.783	75.11	72.65	n.a.
Total:			**117.188**	**402.994**	**100.00**	**100.00**	

Time (minutes)	Enantiomeric Excess (ee)	First Order Racemization (In[ee0/ee])
0	98	0
90	96	0.0206
240	92	0.0632
390	89	0.0963
510	86	0.1306
1350	70	0.3364
1890	60	0.4906
2850	50	0.6729



$k_{racemization}$(35 °C) = 4.0282 ×10-6 s^{-1}

$k_{enantiomerisation}$(35 °C) = 2.0141 ×10-6 s^{-1}

$\Delta G^{\neq}_{enantiomerisation}$ = 110.9606 $kJ \cdot mol^{-1}$

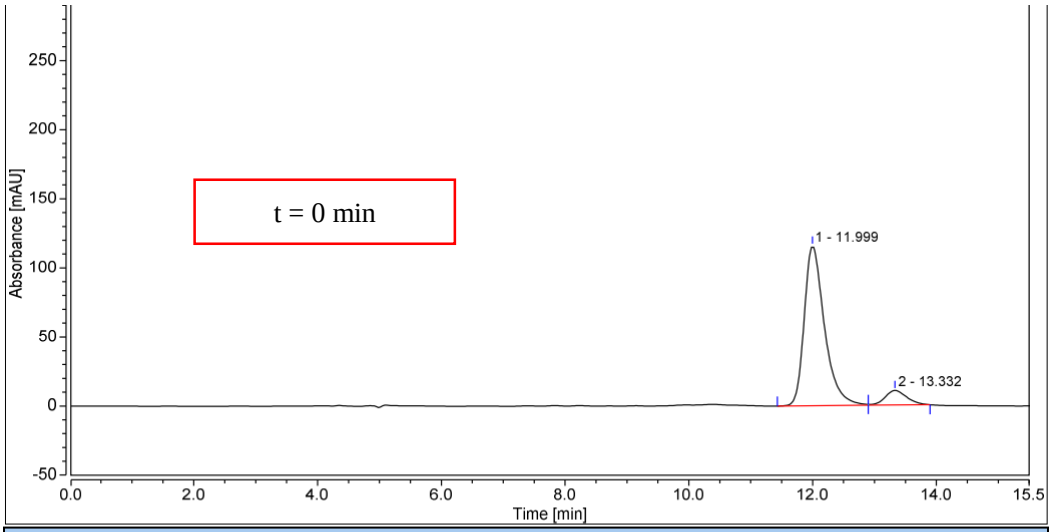
$k_{racemization}$(25 °C) = 4.5188 ×10-7s^{-1}

$t_{1/2}$(25 °C) = 17.8 days

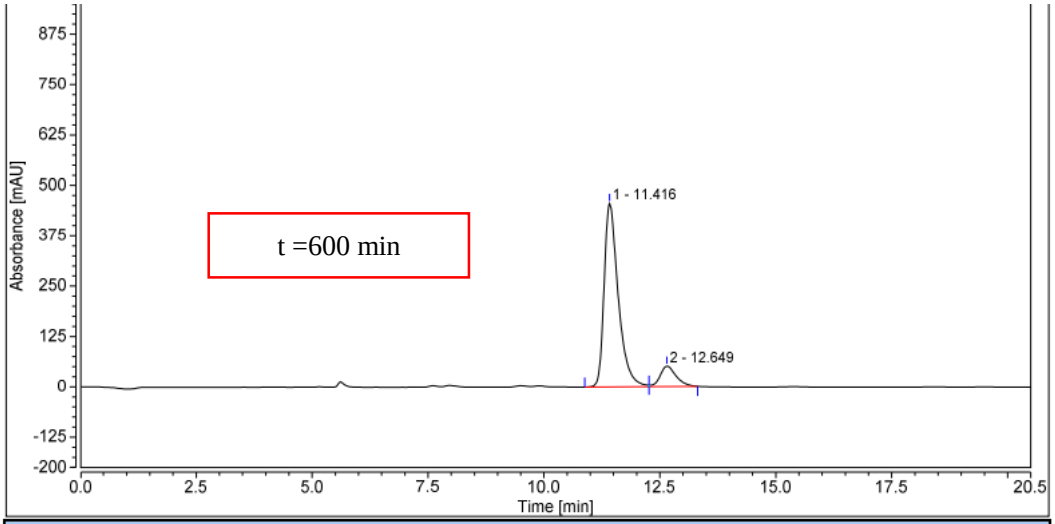
About 10 mg of enantio-enriched **4ad** was refluxed in 1 mL of toluene. Samples of 10 μL of this solution were injected on Daicel Chiralpak IF (*i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, λ = 250 nm) to monitor the percentage decrease of the second eluted enantiomer over time.

Solvent: dichloromethane.

Temperature = 35 ℃.

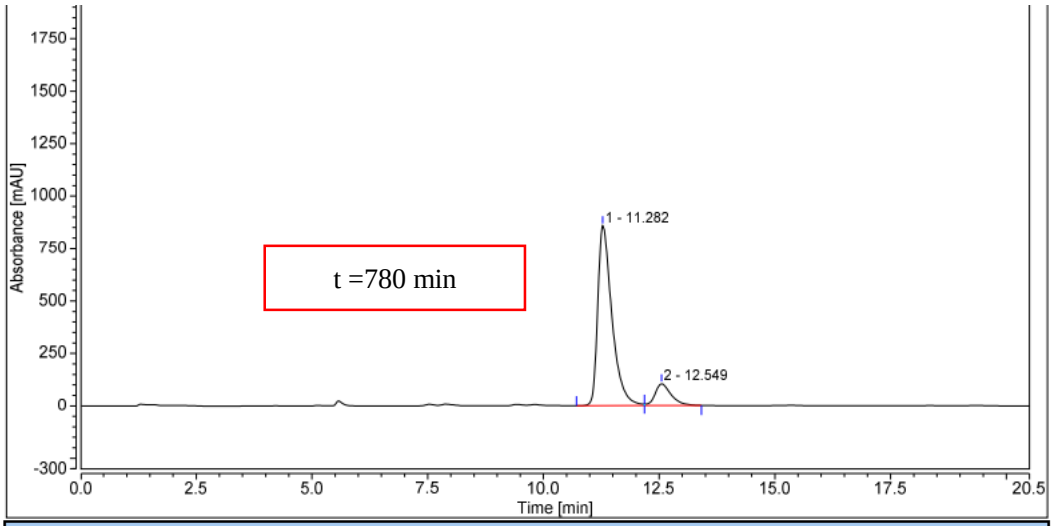


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.999	43.894	115.575	91.07	91.63	n.a.
2		13.332	4.305	10.563	8.93	8.37	n.a.
Total:			**48.199**	**126.137**	**100.00**	**100.00**	



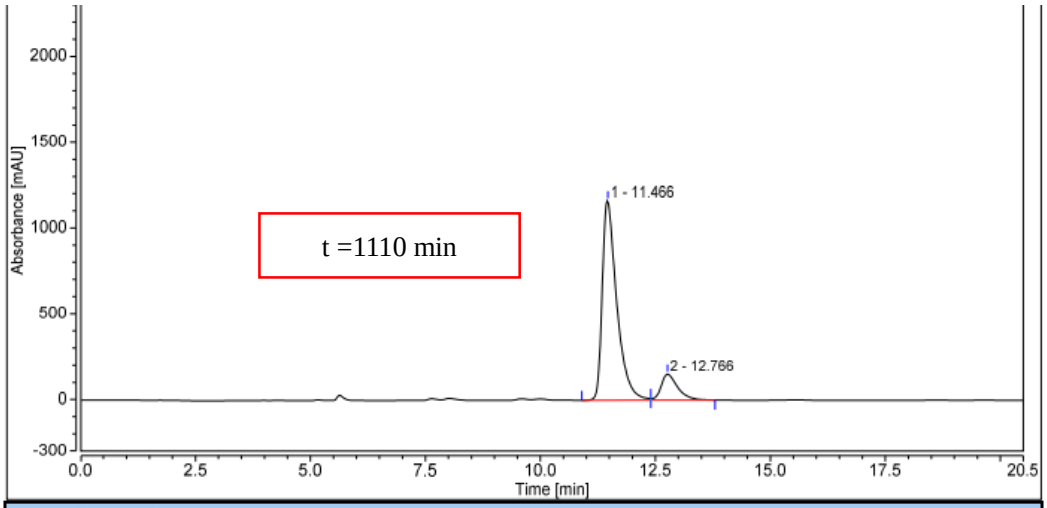
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.416	160.685	455.595	88.84	89.97	n.a.
2		12.649	20.188	50.775	11.16	10.03	n.a.
Total:			**180.873**	**506.369**	**100.00**	**100.00**	



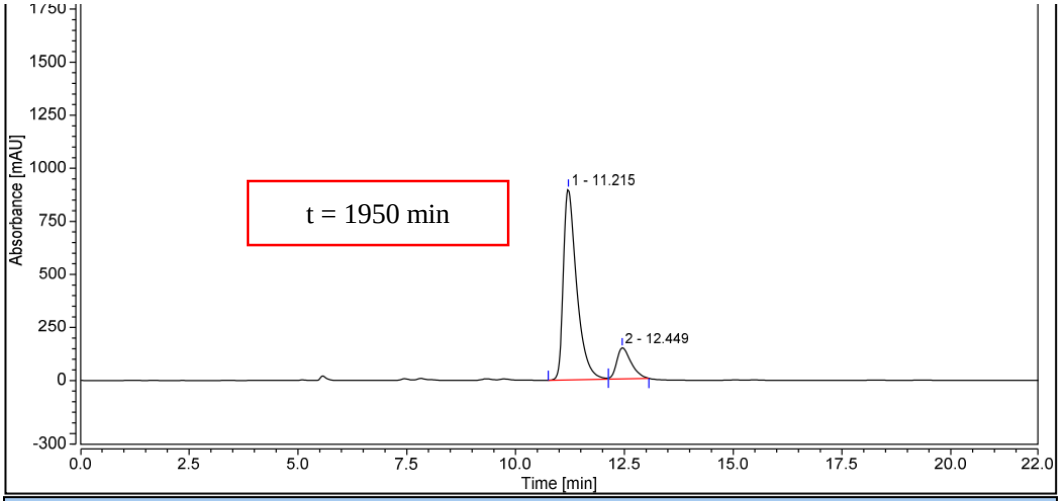
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.282	305.136	857.535	88.01	89.23	n.a.
2		12.549	41.581	103.537	11.99	10.77	n.a.
Total:			**346.717**	**961.073**	**100.00**	**100.00**	



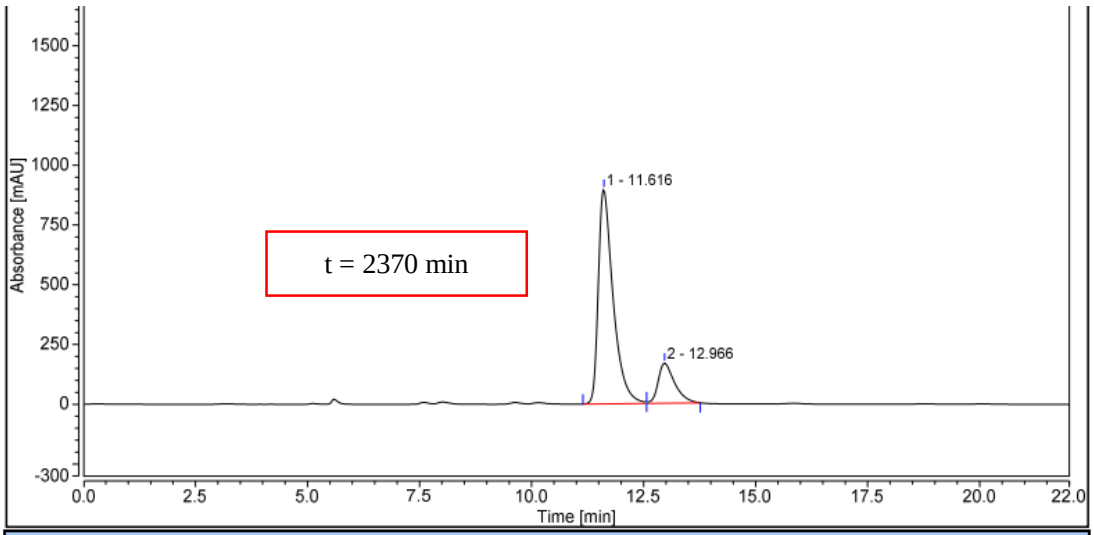
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.466	425.362	1164.240	87.01	88.47	n.a.
2		12.766	63.510	151.692	12.99	11.53	n.a.
Total:			**488.872**	**1315.932**	**100.00**	**100.00**	



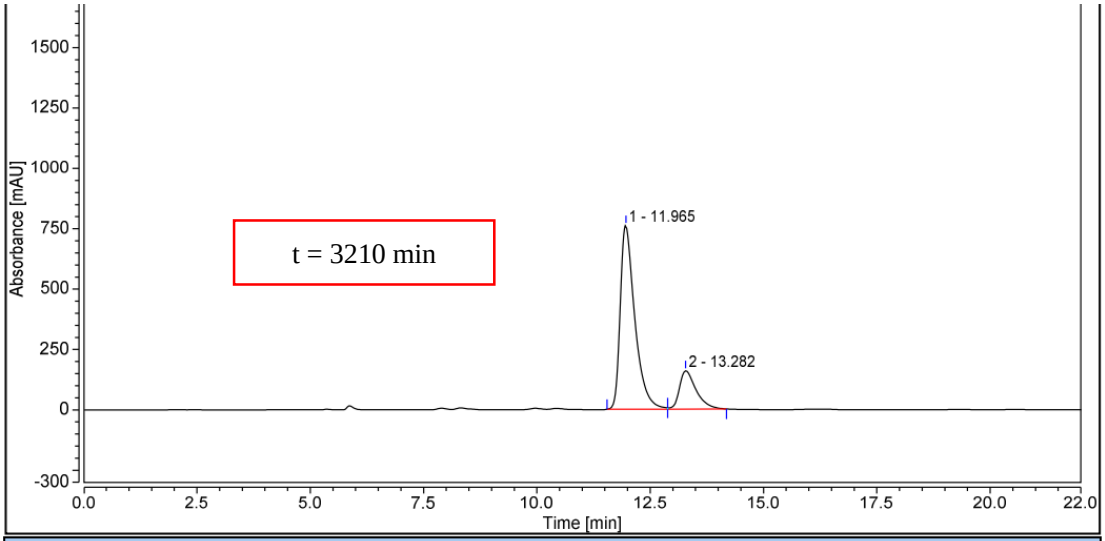
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.215	320.007	899.538	85.13	85.98	n.a.
2		12.449	55.908	146.668	14.87	14.02	n.a.
Total:			**375.915**	**1046.206**	**100.00**	**100.00**	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.616	342.704	896.804	82.61	84.26	n.a.
2		12.966	72.137	167.478	17.39	15.74	n.a.
Total:			**414.841**	**1064.282**	**100.00**	**100.00**	

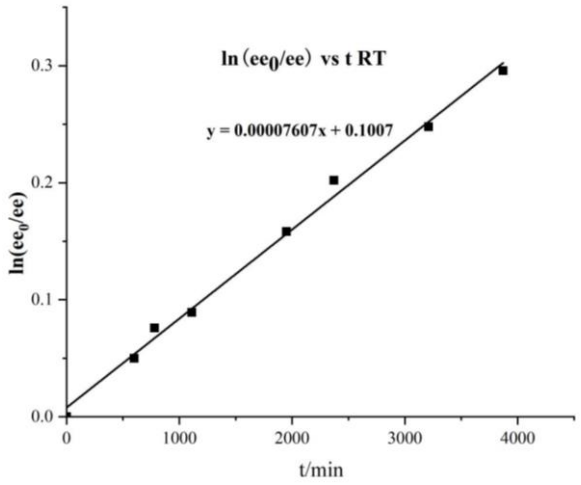


Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		11.965	283.398	760.903	80.76	82.73	n.a.
2		13.282	67.526	158.888	19.24	17.27	n.a.
Total:			**350.924**	**919.792**	**100.00**	**100.00**	

Time (minutes)	Enantiomeric Excess (ee)	First Order Racemization (In[ee0/ee])
0	82	0
600	78	0.0500
780	76	0.7600
1110	74	0.0892
1950	70	0.1582

2370	67	0.2020
3210	65	0.2478
3870	61	0.2959



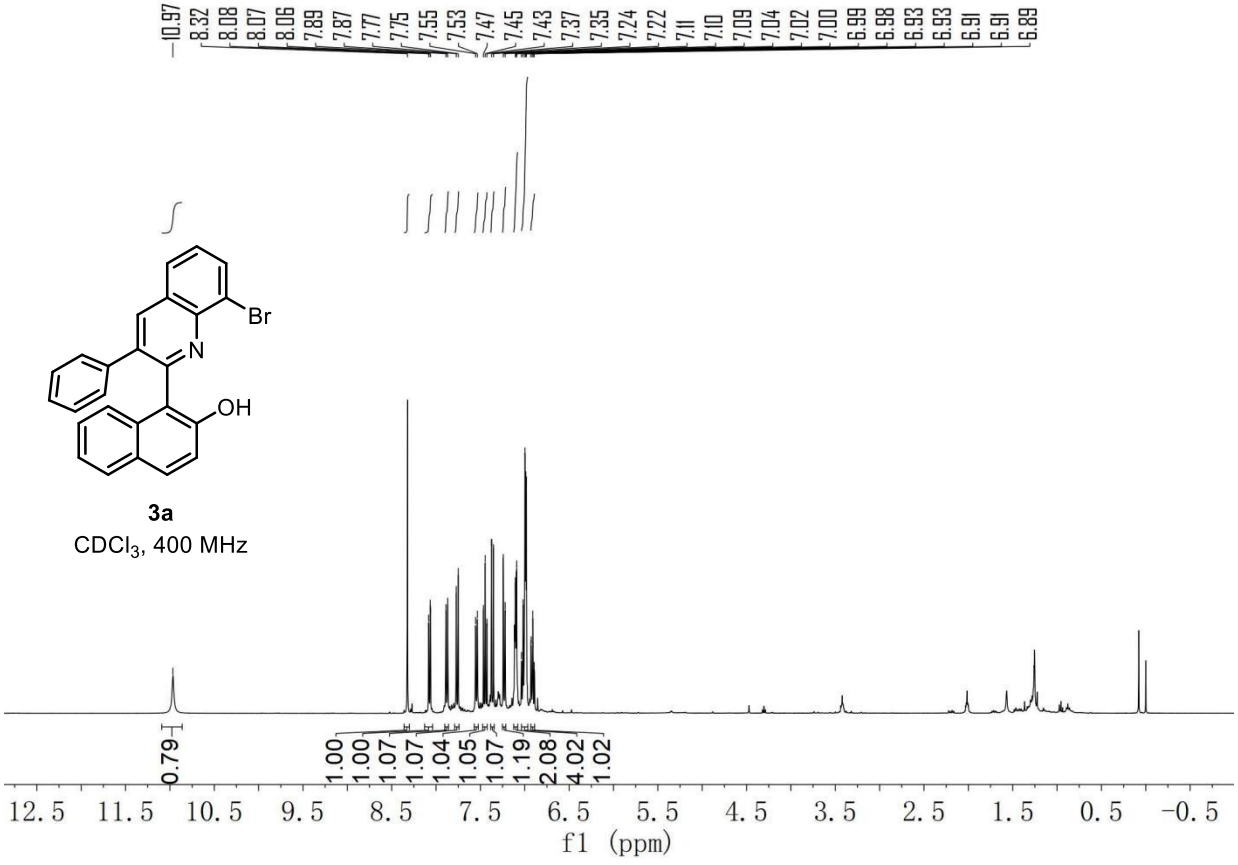
$k_{racemization}$(35 °C) = 1.2678×10^{-6} s^{-1}
$k_{enantiomerisation}$(35 °C) = 6.3990×10^{-7} s^{-1}
$\Delta G^{\neq}_{enantiomerisation}$ = 112.1015 $kJ \cdot mol^{-1}$
$k_{racemization}$(25 °C) = $2.8520 \times 10^{-7} s^{-1}$
$t_{1/2}$(25 °C) = 28.2 days

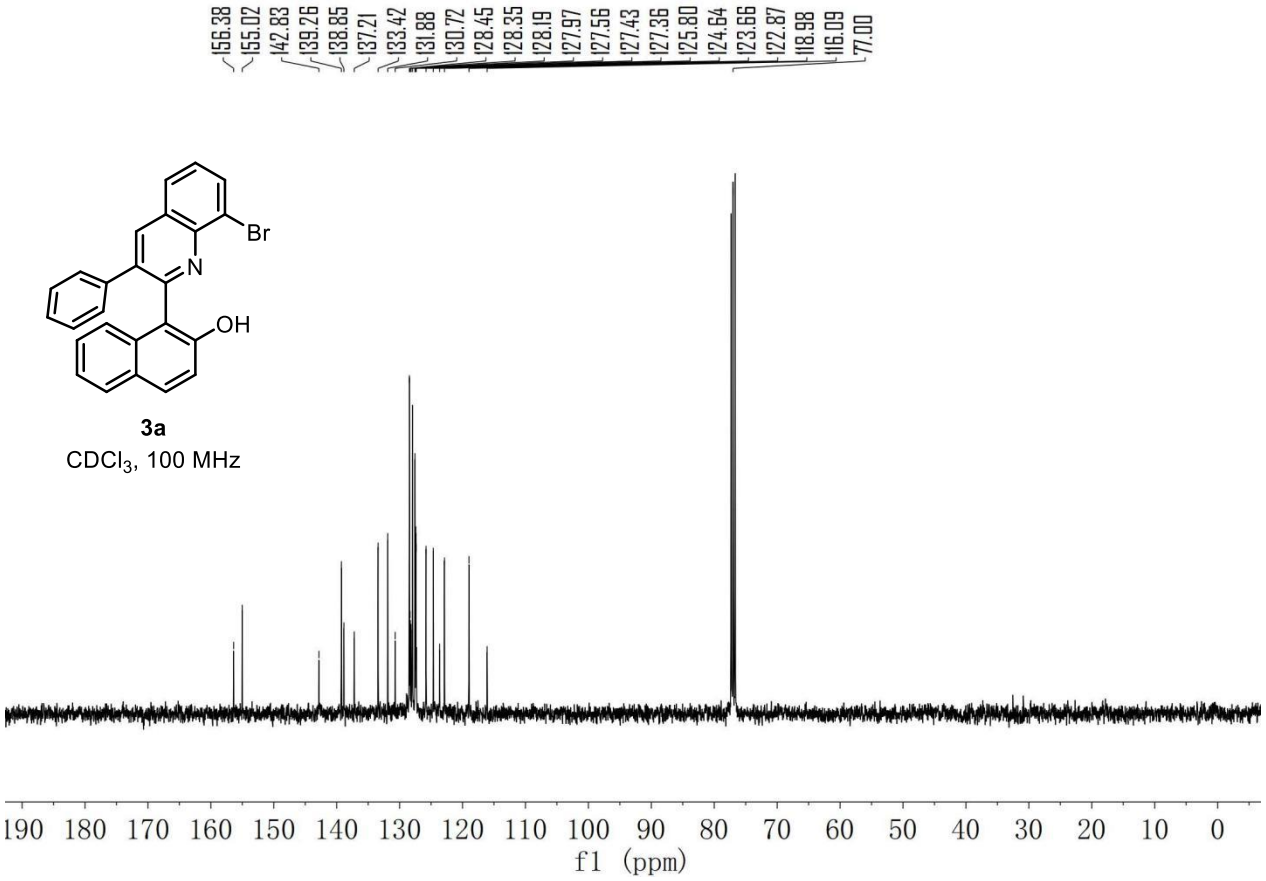
6. Reference

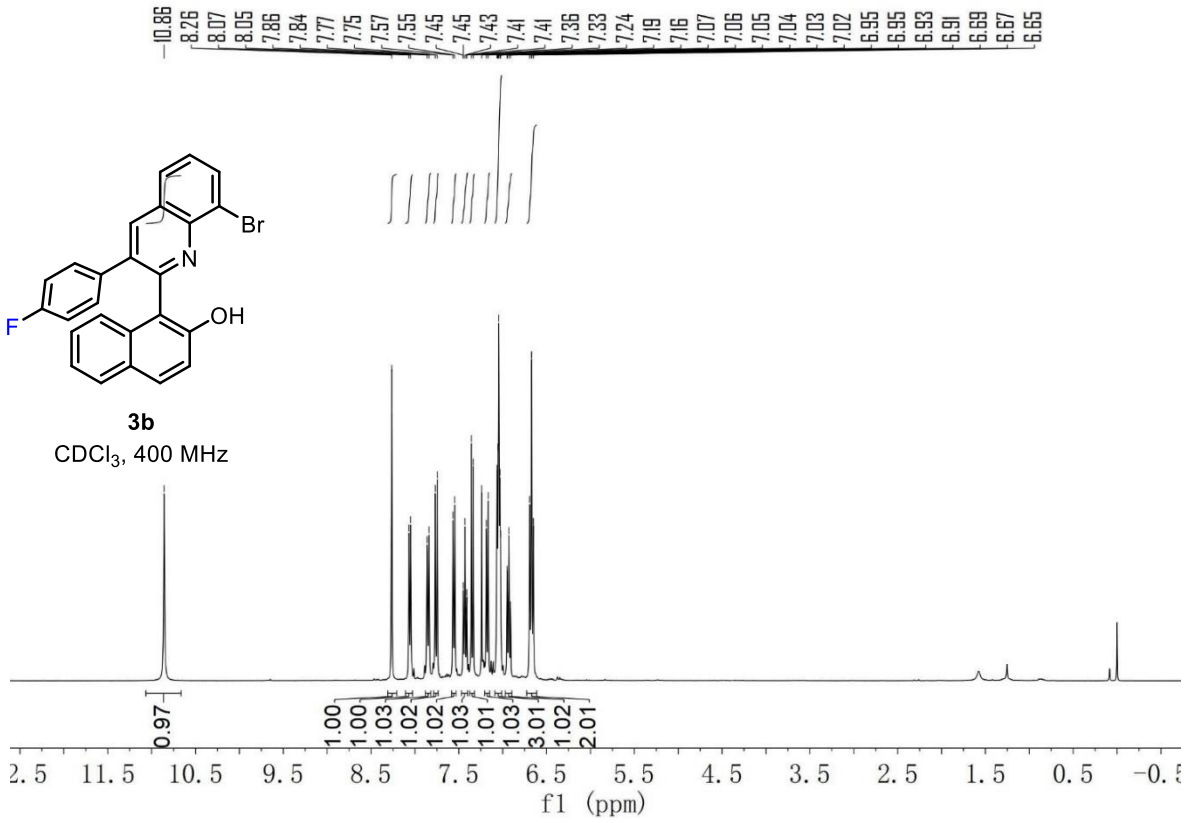
(1) S. Jia, Z. Chen, N. Zhang, Y. Tan, Y. Liu, J. Deng and H. Yan, Organocatalytic Enantioselective Construction of Axially Chiral Sulfone-Containing Styrenes, *J. Am. Chem. Soc.*, 2018, **140**, 7056-7060.

(2) H.-R. Sun, Q. Zhao, H. Yang, S. Yang, B.-B. Gou, J. Chen and L. Zhou, Chiral Phosphoric-Acid-Catalyzed Cascade Prins Cyclization, *Org. Lett.*, 2019, **21**, 7143-7148.

7. ^{1}H and ^{13}C NMR spectra

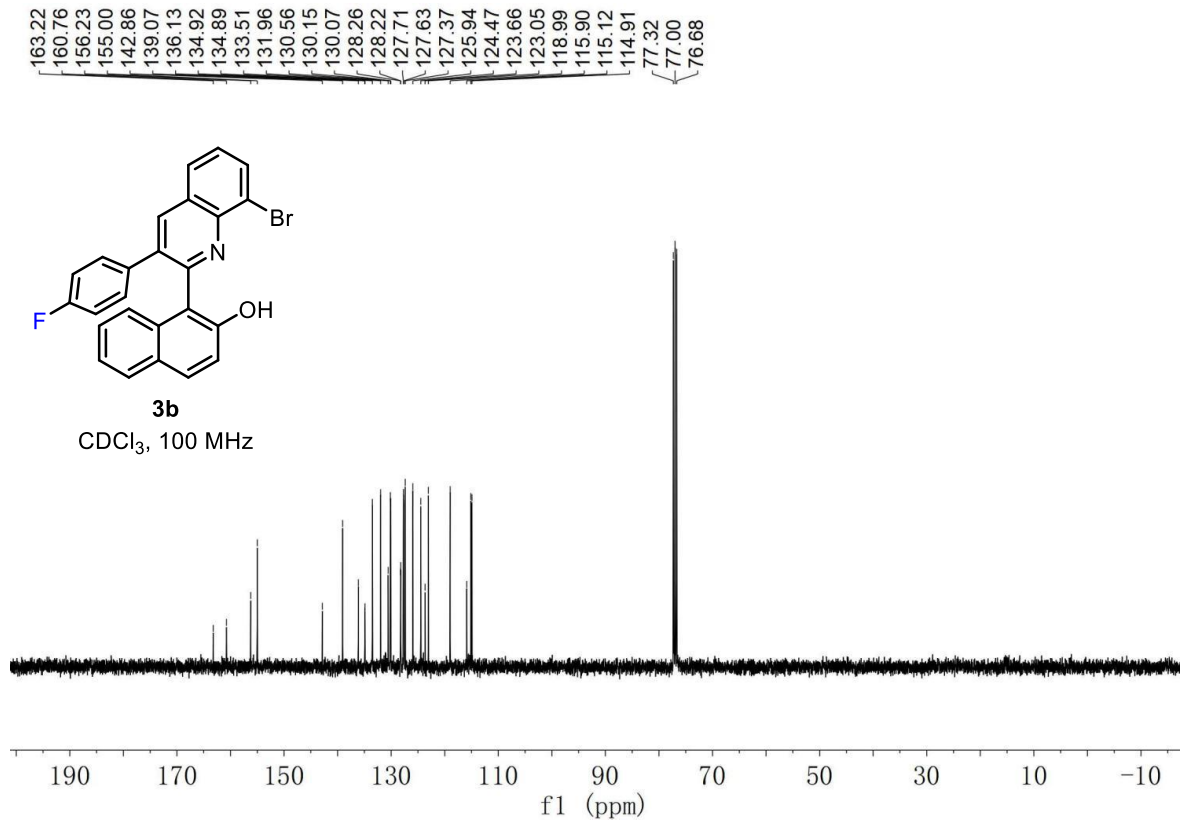



156.38
155.02
142.83
139.26
138.85
137.21
133.42
131.88
130.72
128.45
128.35
128.19
127.97
127.56
127.43
127.36
125.80
124.64
123.66
122.87
118.98
116.09
77.00
Br
N
OH
3a
CDCl3, 100 MHz
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)



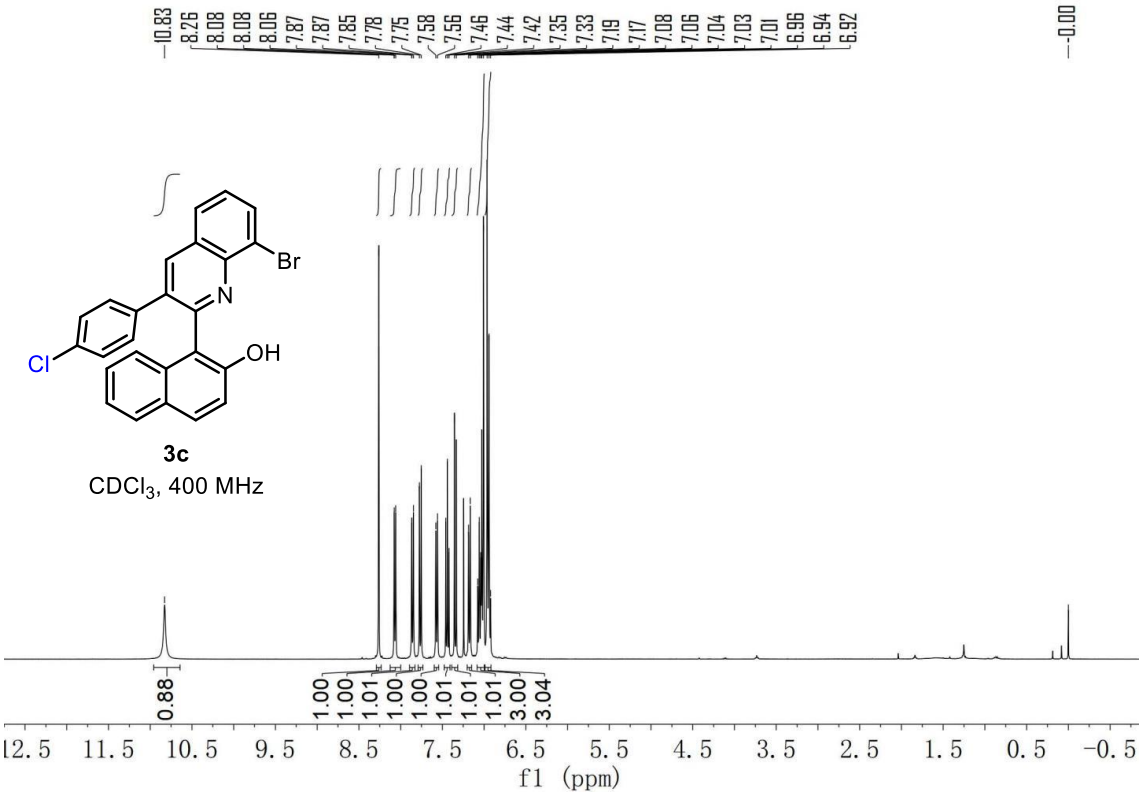
3b

$CDCl_3$, 400 MHz



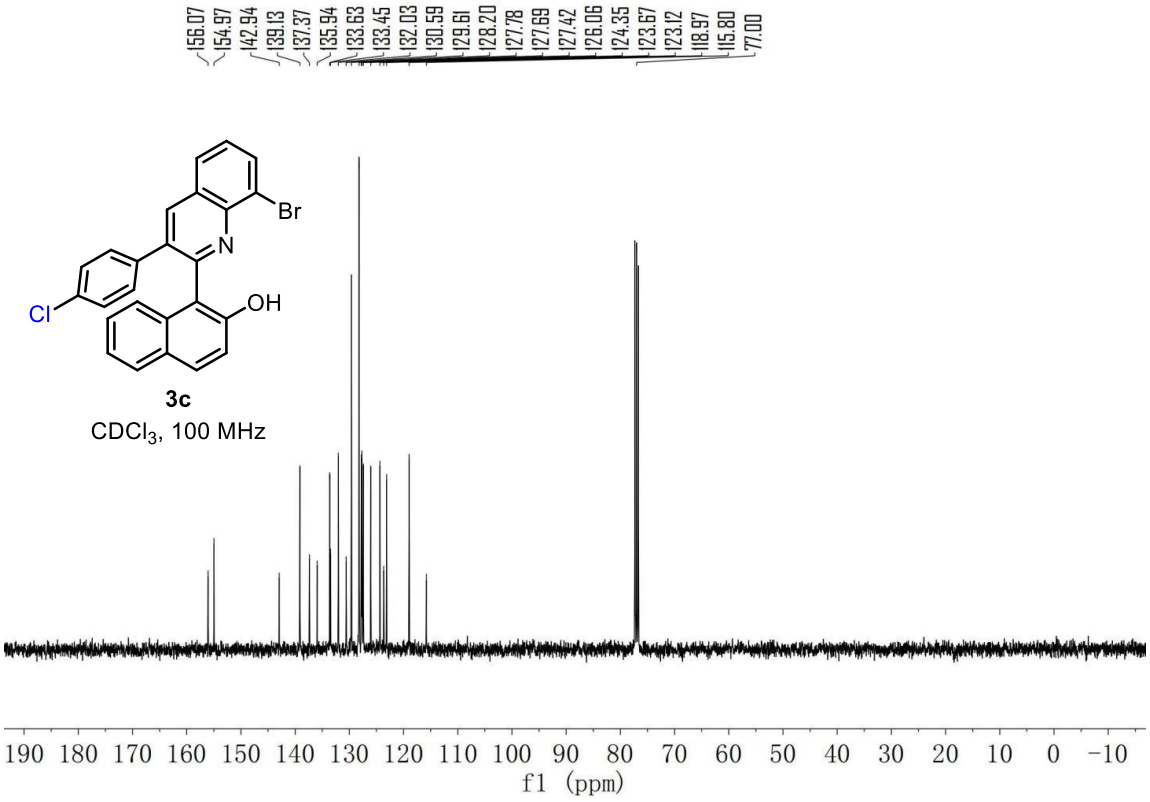
3b

$CDCl_3$, 100 MHz



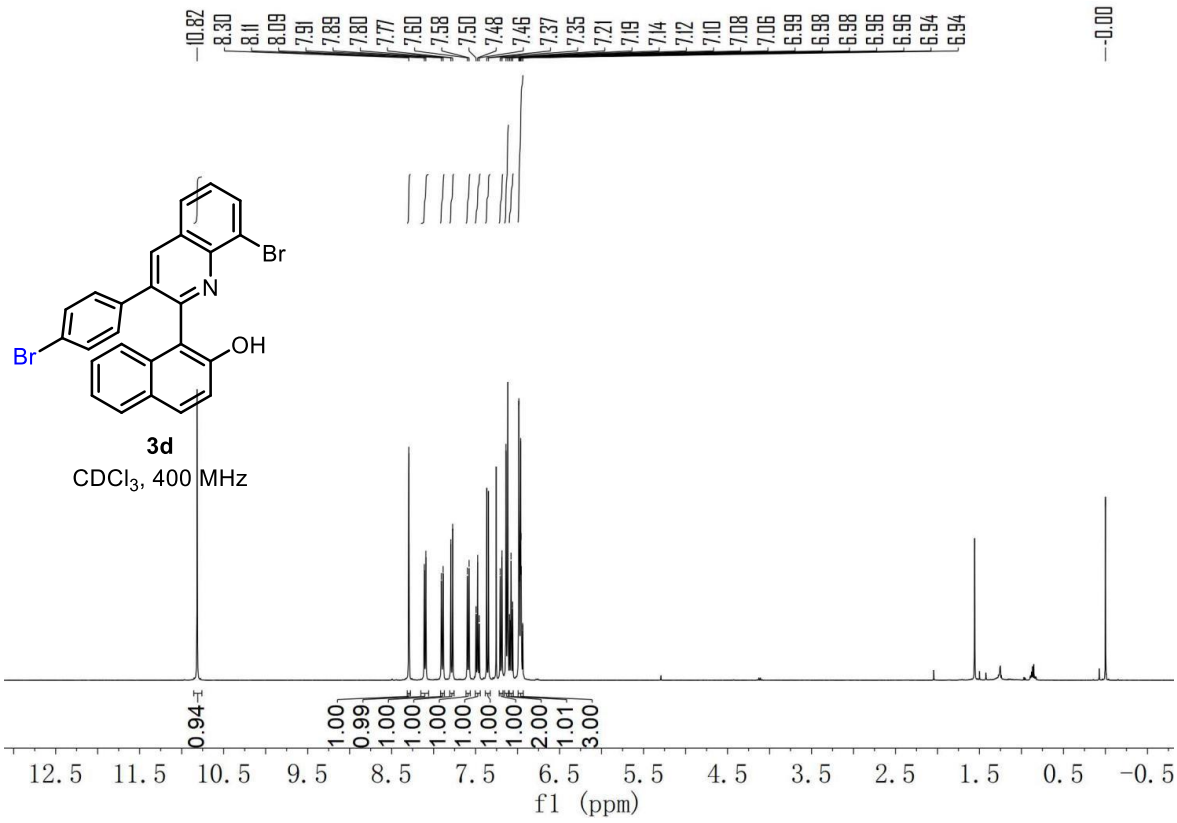
3c

$CDCl_3$, 400 MHz



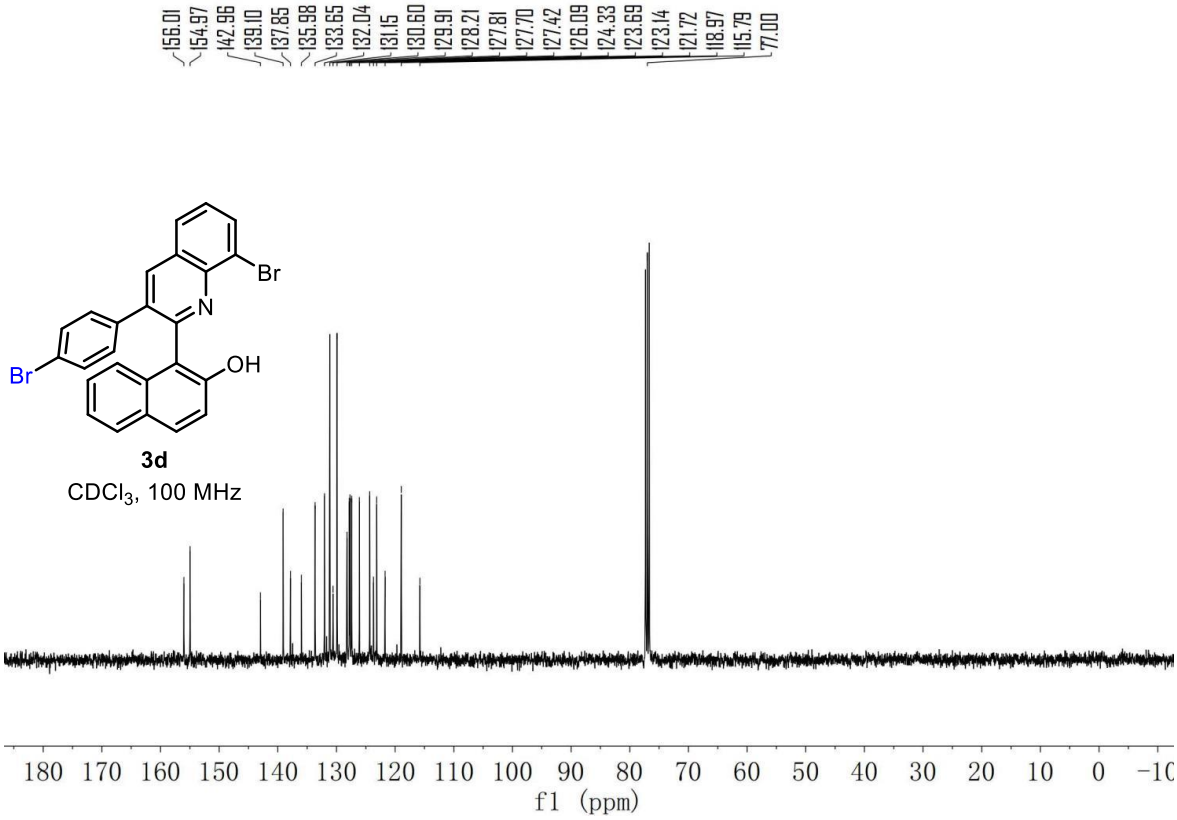
3c

$CDCl_3$, 100 MHz



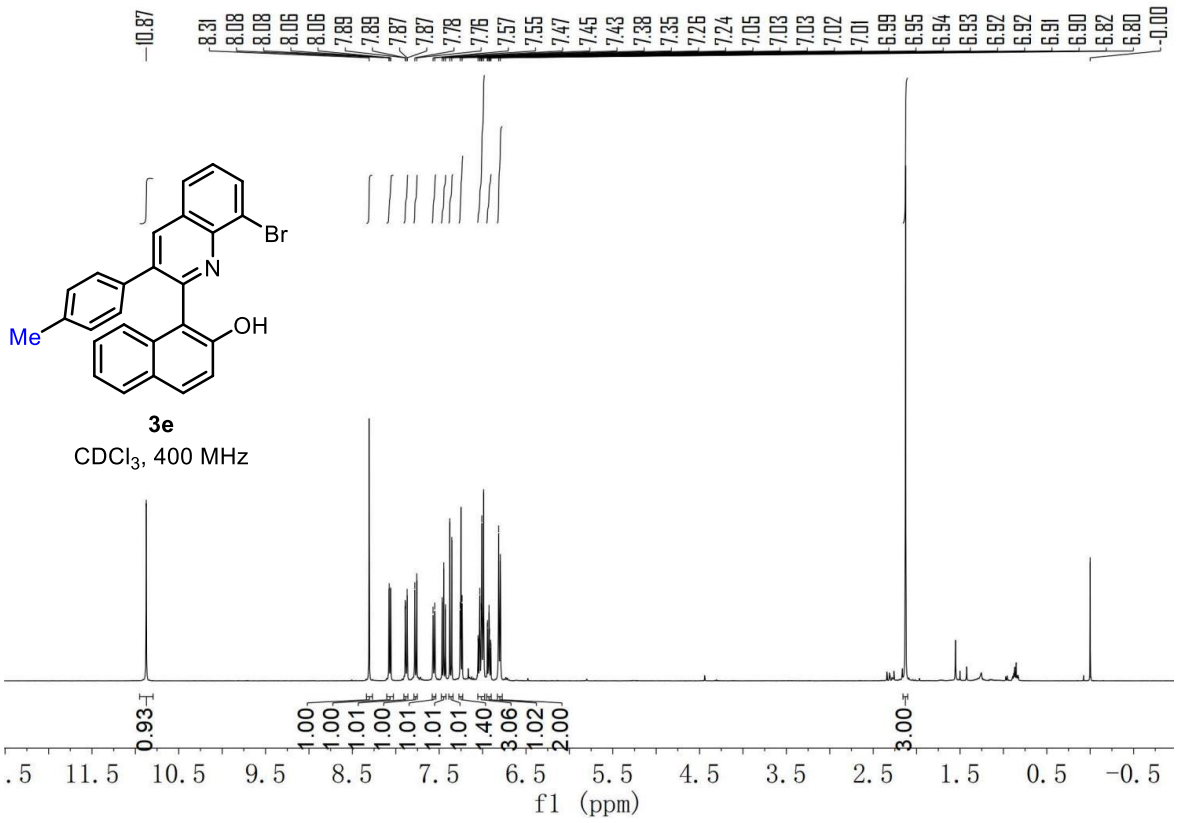
3d

$CDCl_3$, 400 MHz



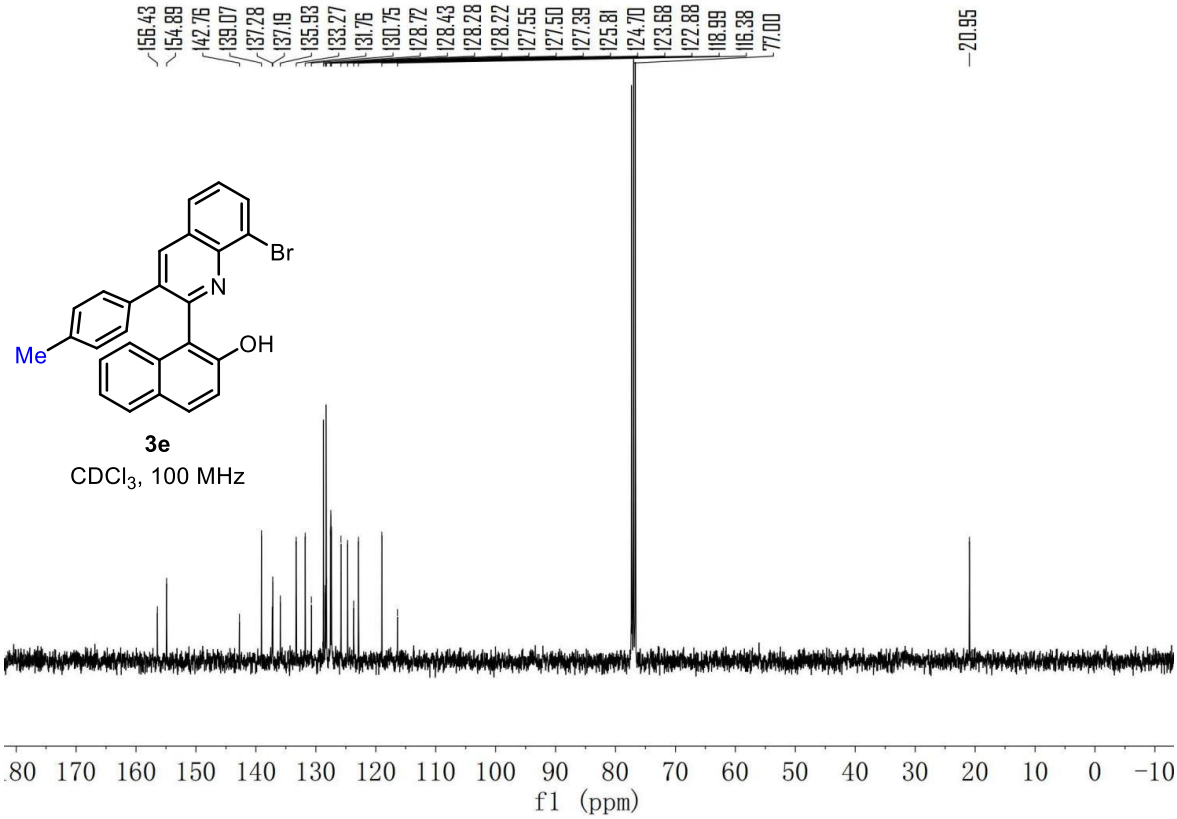
3d

$CDCl_3$, 100 MHz



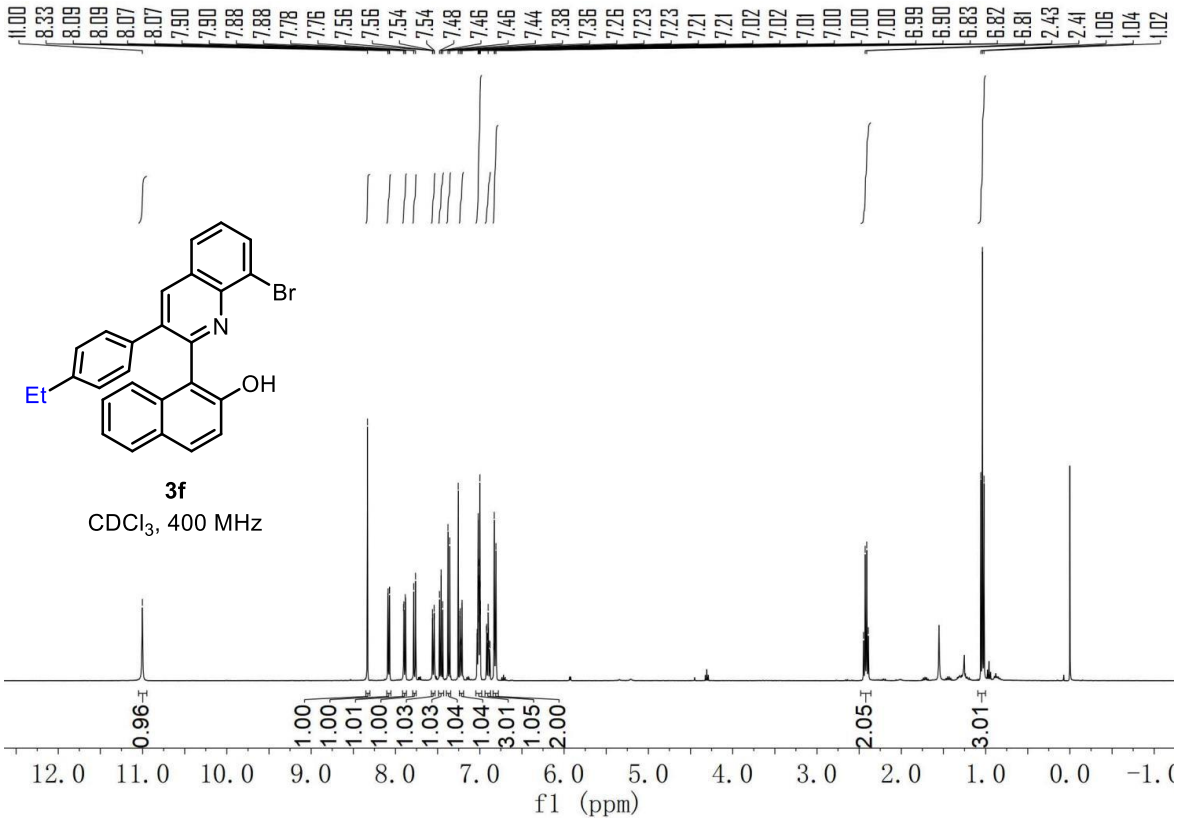
3e

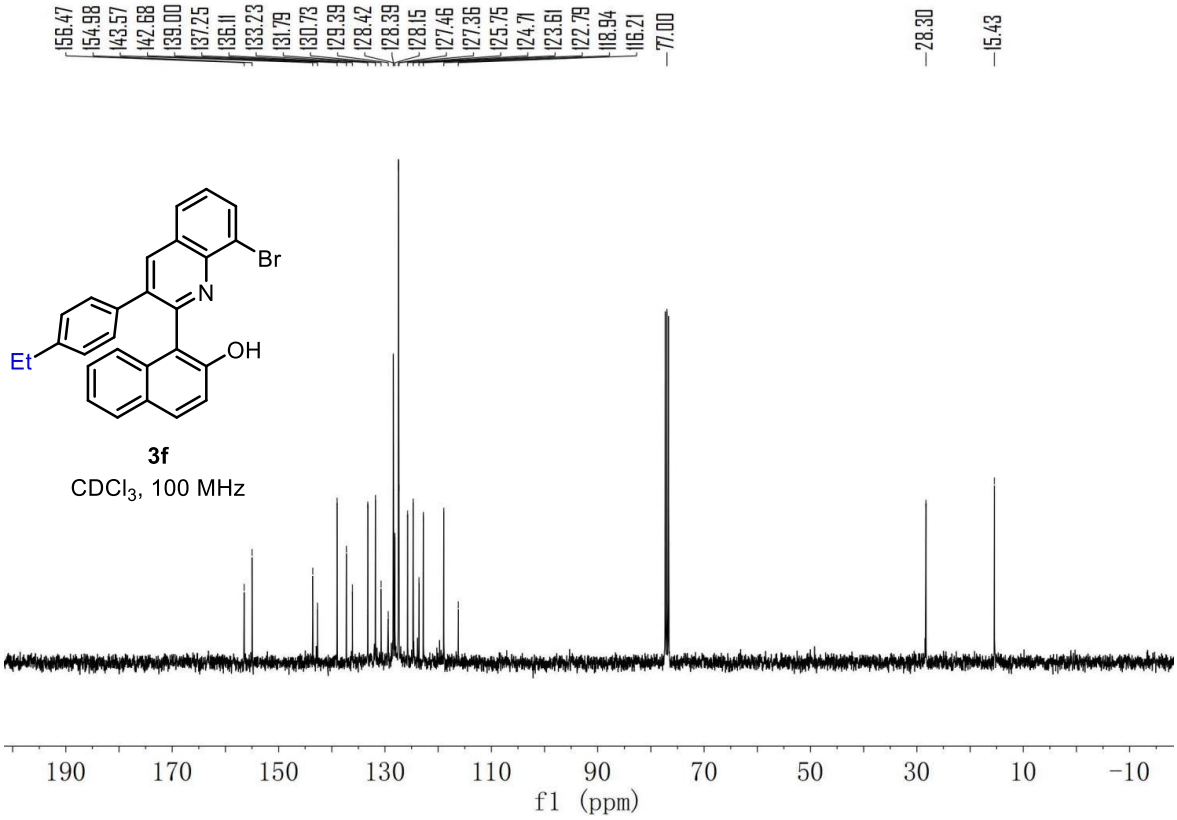
$CDCl_3$, 400 MHz

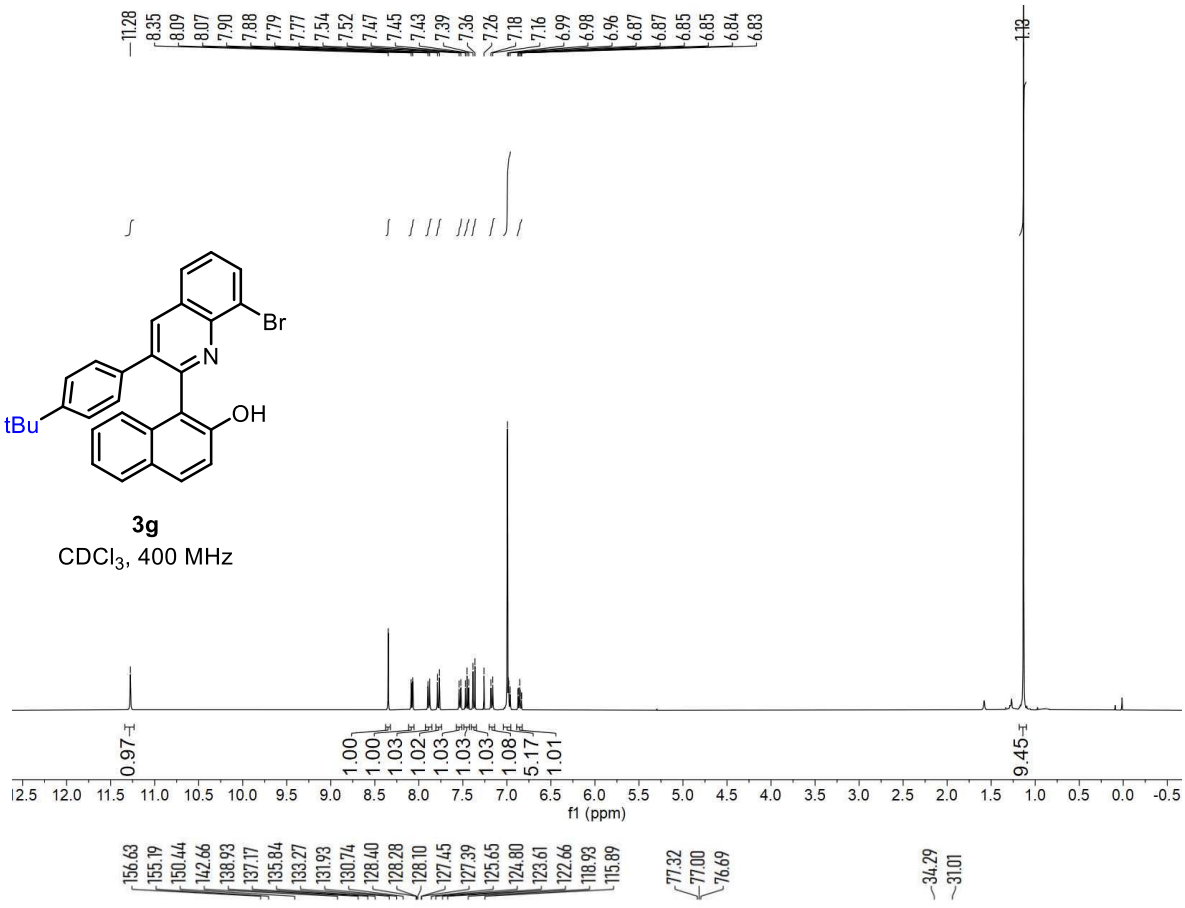


3e

$CDCl_3$, 100 MHz

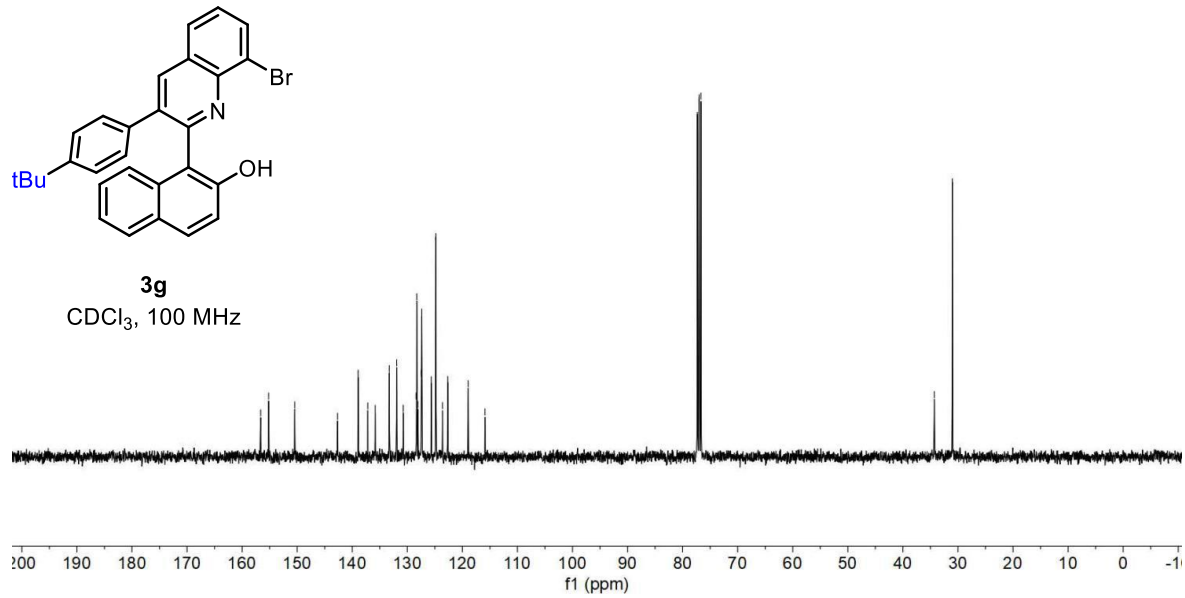

Br
N
Et
OH
3f
CDCl3, 400 MHz
f1 (ppm)


Br
N
Et
OH
3f
CDCl3, 100 MHz
f1 (ppm)



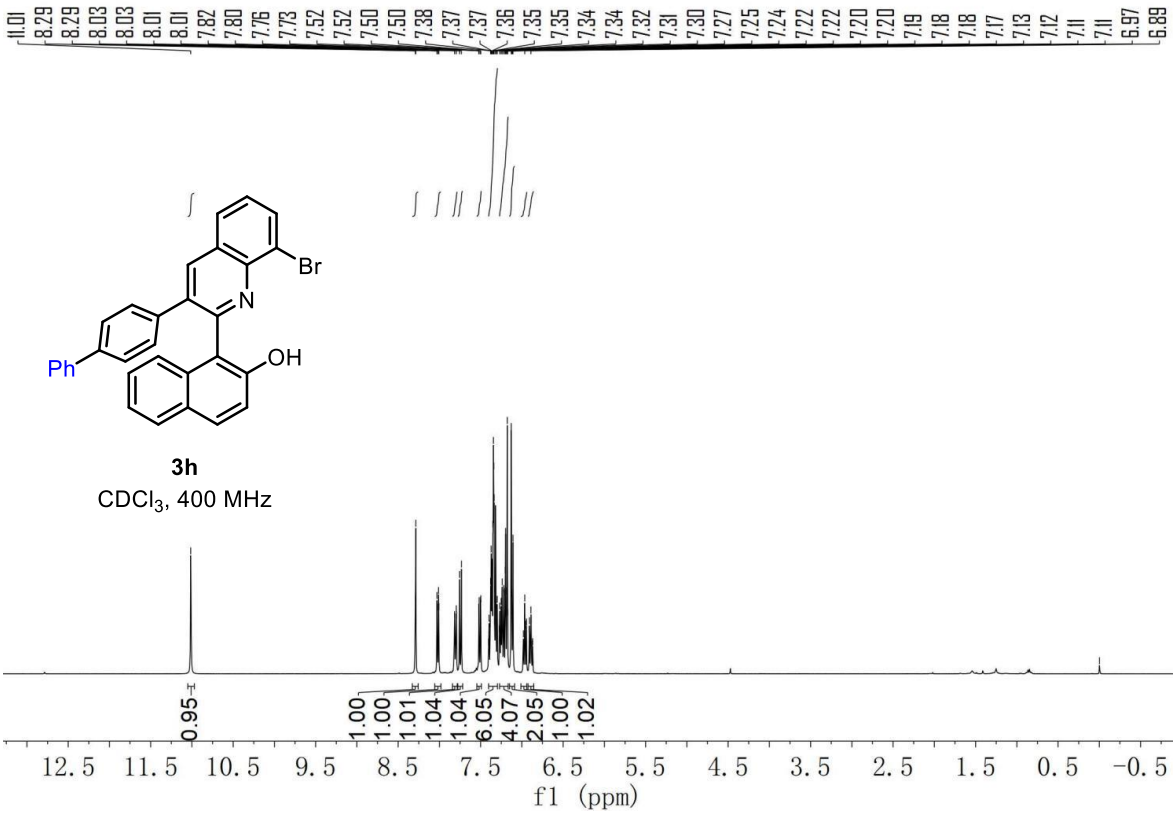
3g

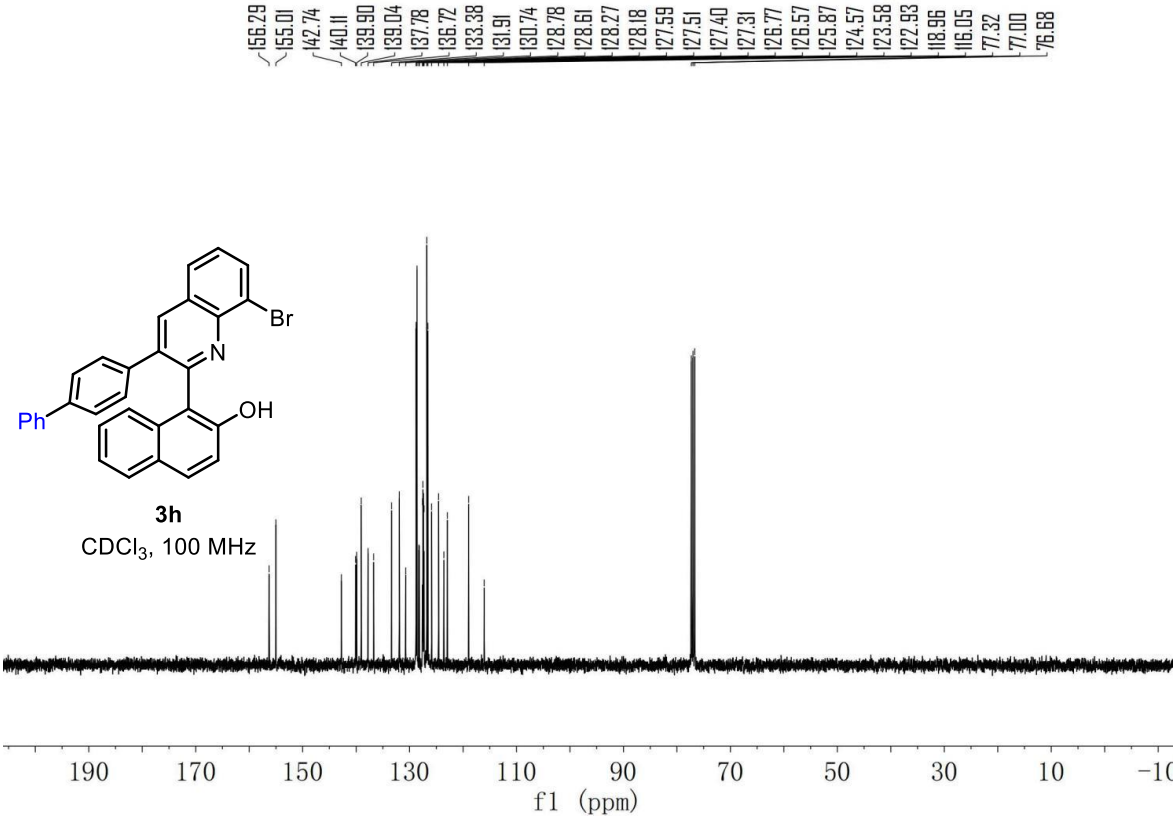
$CDCl_3$, 400 MHz

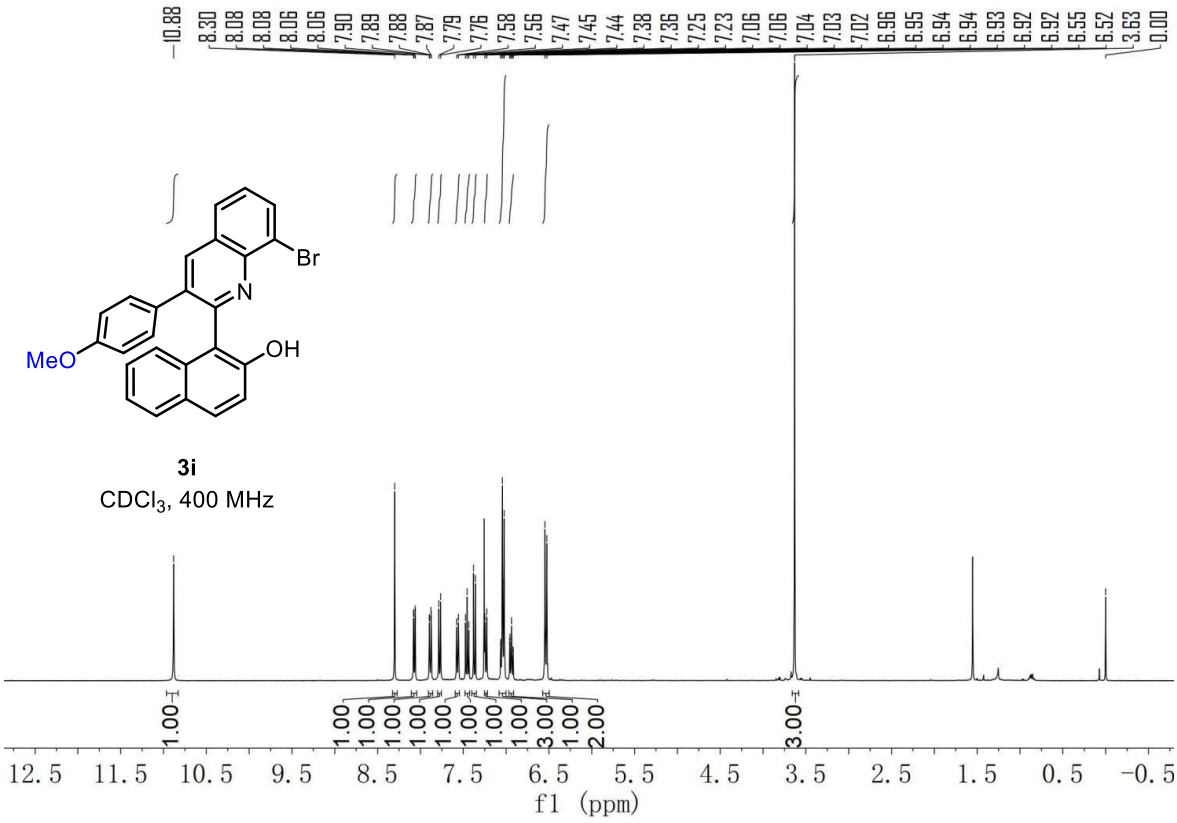


3g

$CDCl_3$, 100 MHz

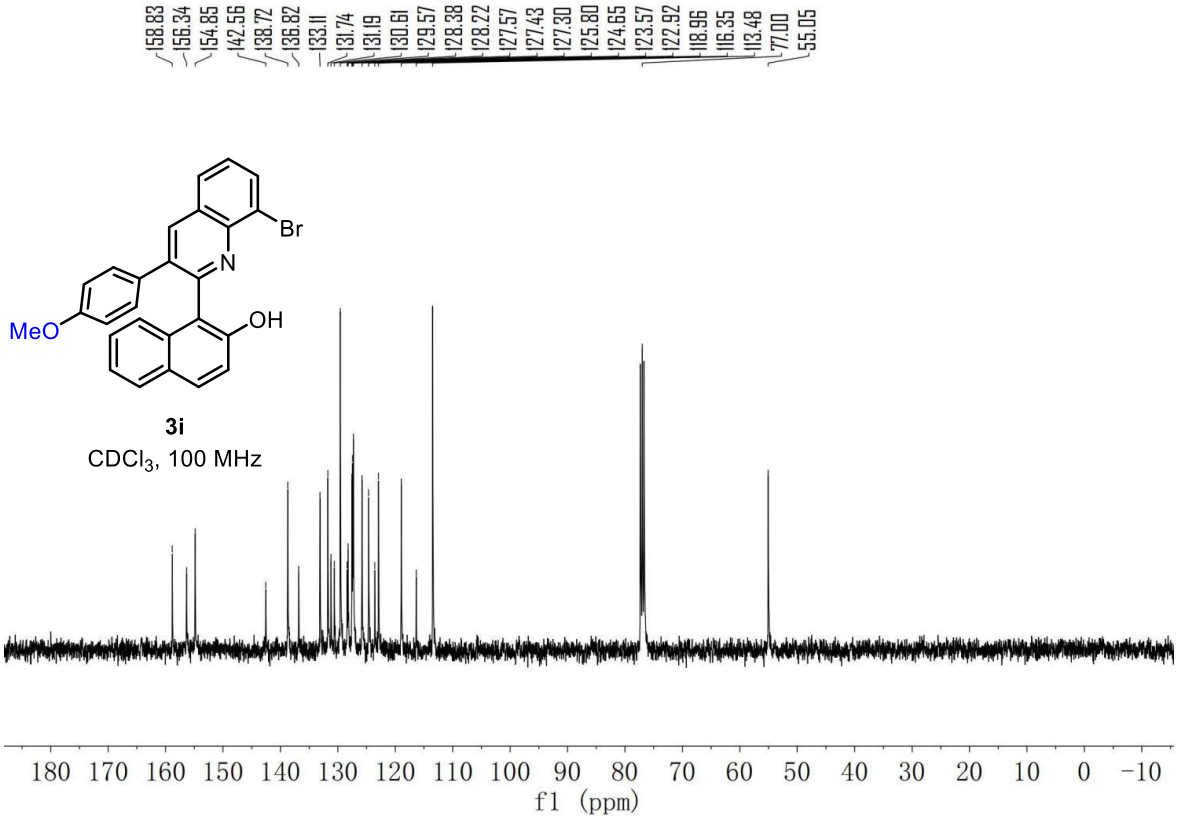

Br
N
Ph
OH
3h
CDCl3, 400 MHz
f1 (ppm)


Br
N
Ph
OH
3h
CDCl3, 100 MHz
f1 (ppm)



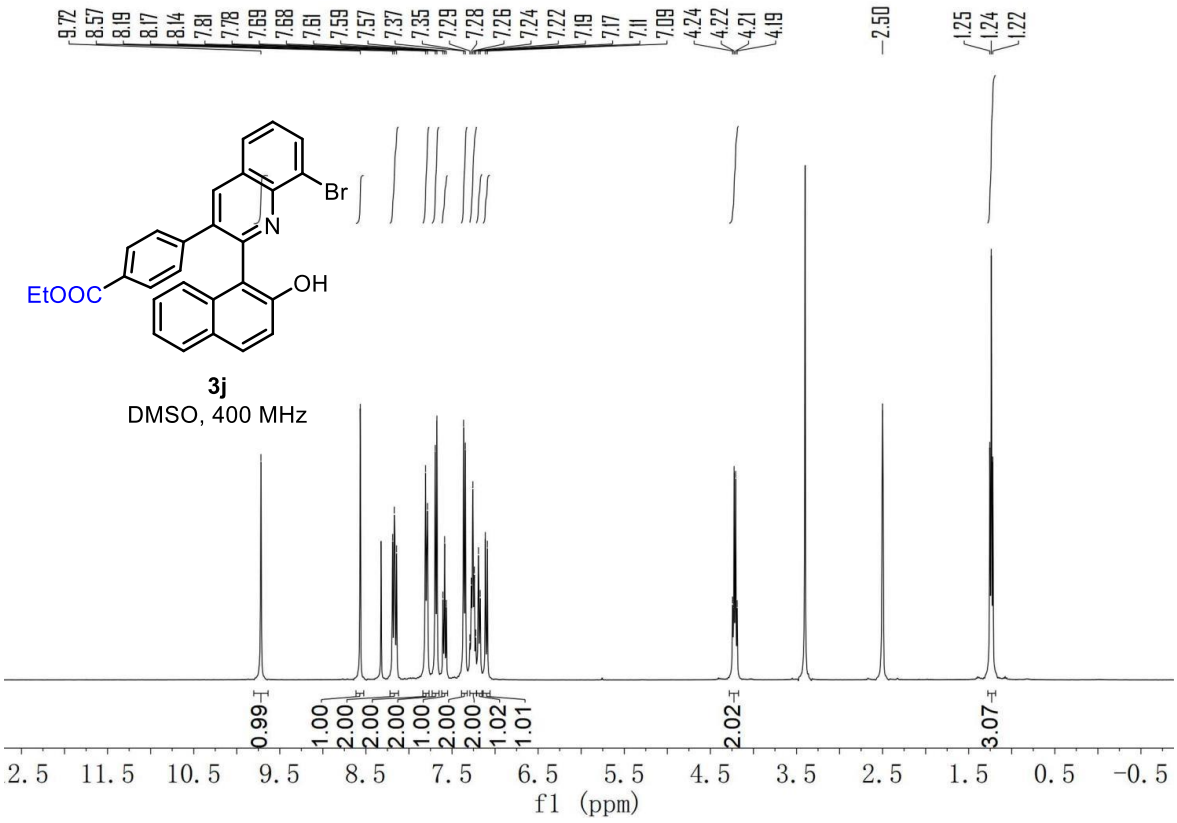
3i

$CDCl_3$, 400 MHz

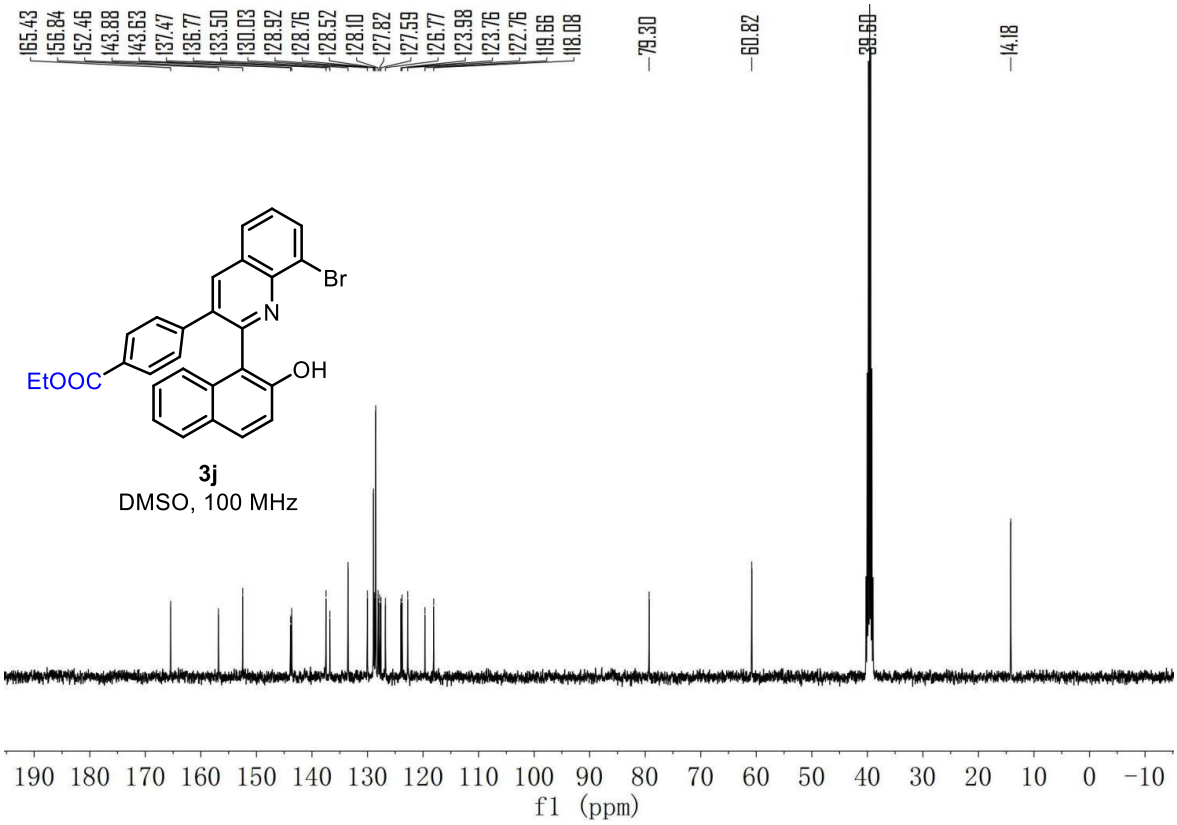


3i

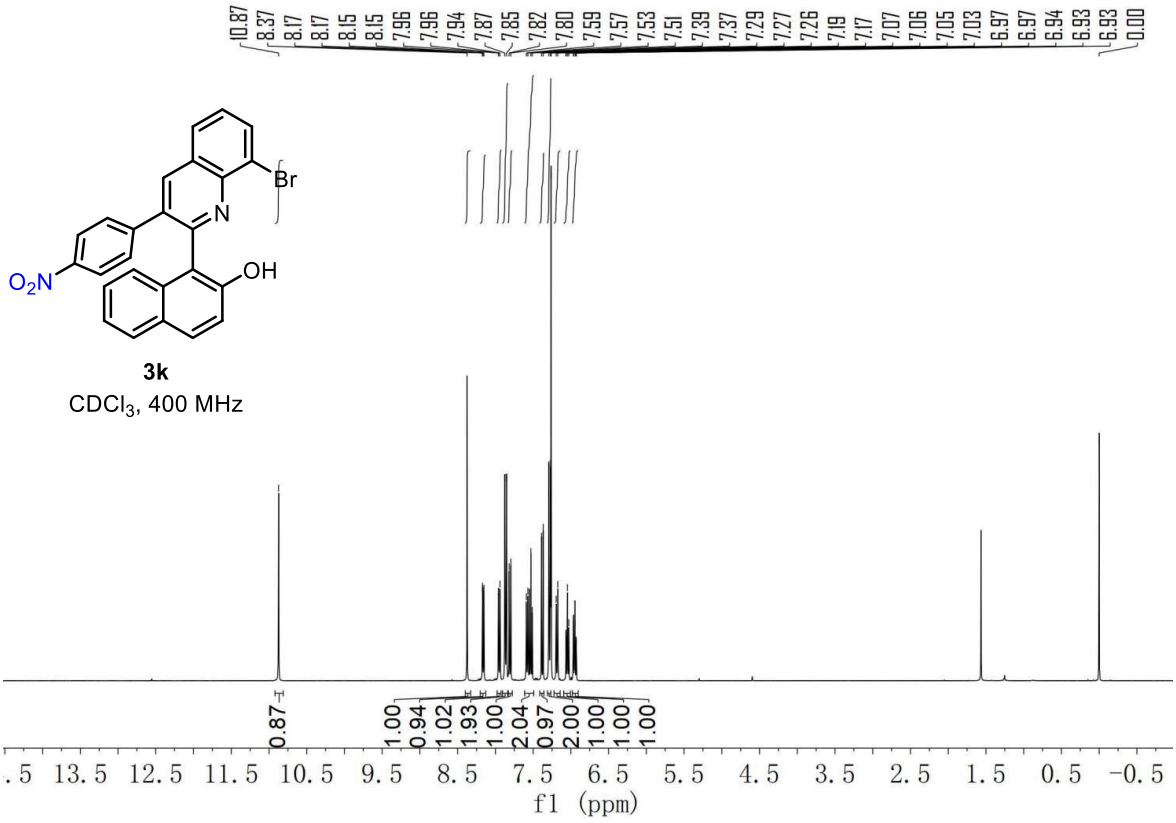
$CDCl_3$, 100 MHz



3j
DMSO, 400 MHz

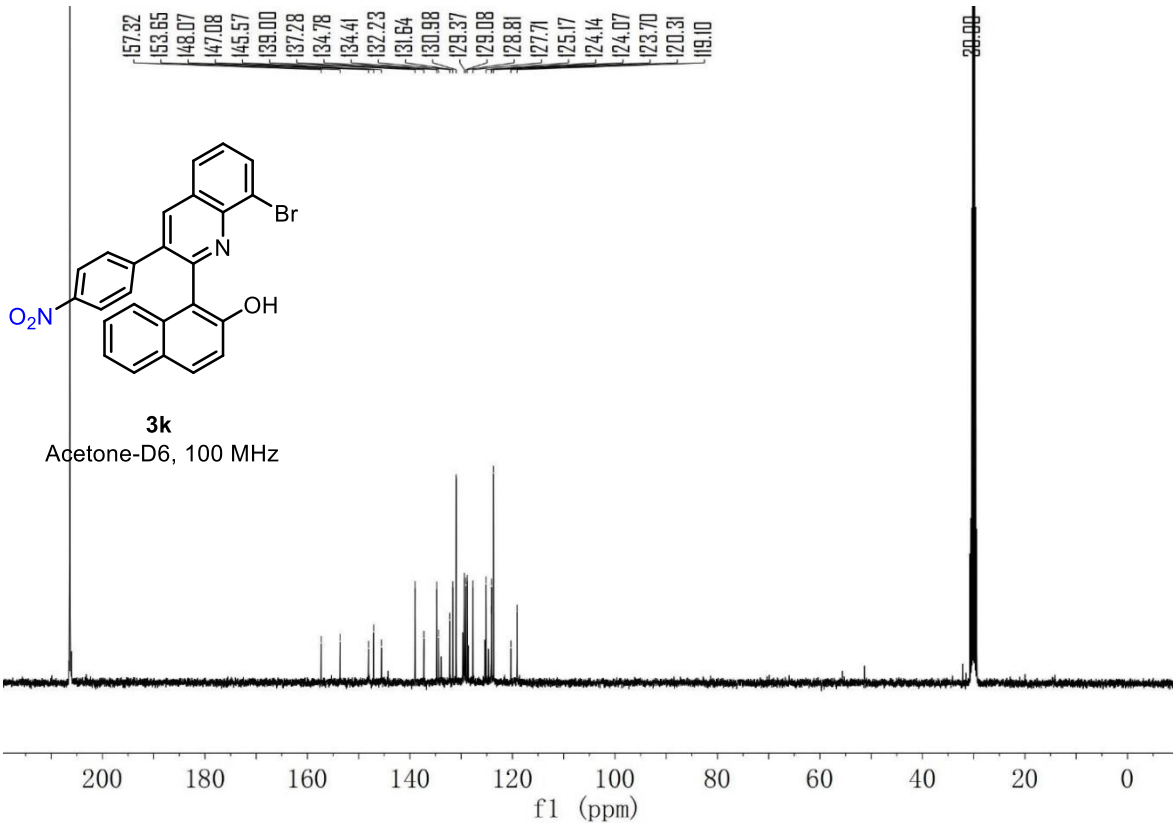


3j
DMSO, 100 MHz



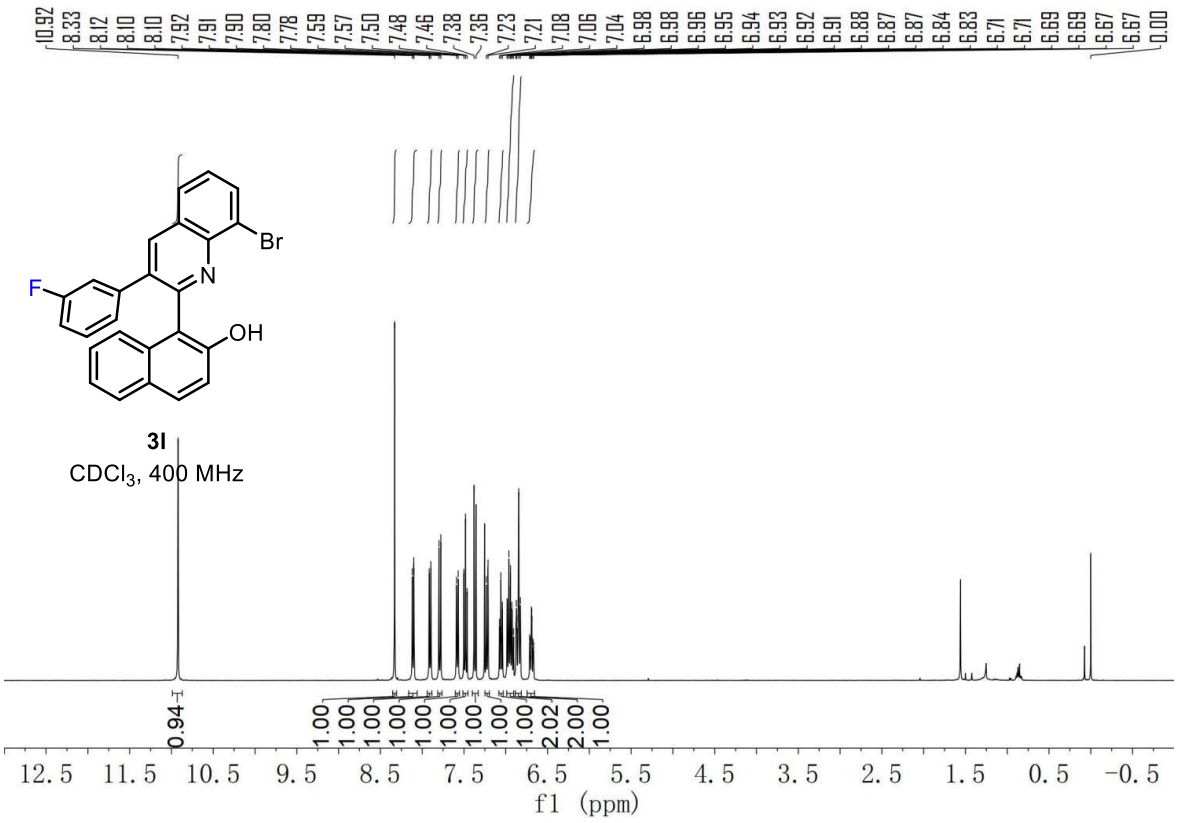
3k

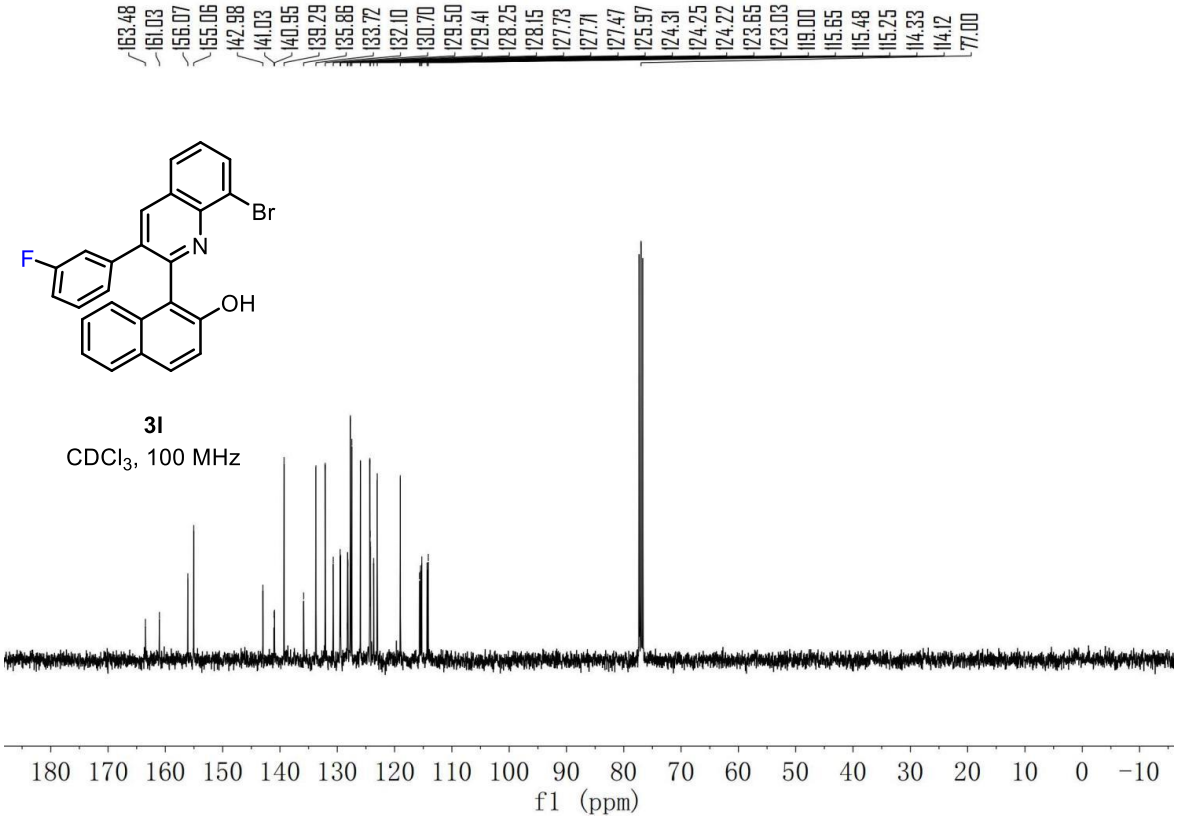
$CDCl_3$, 400 MHz

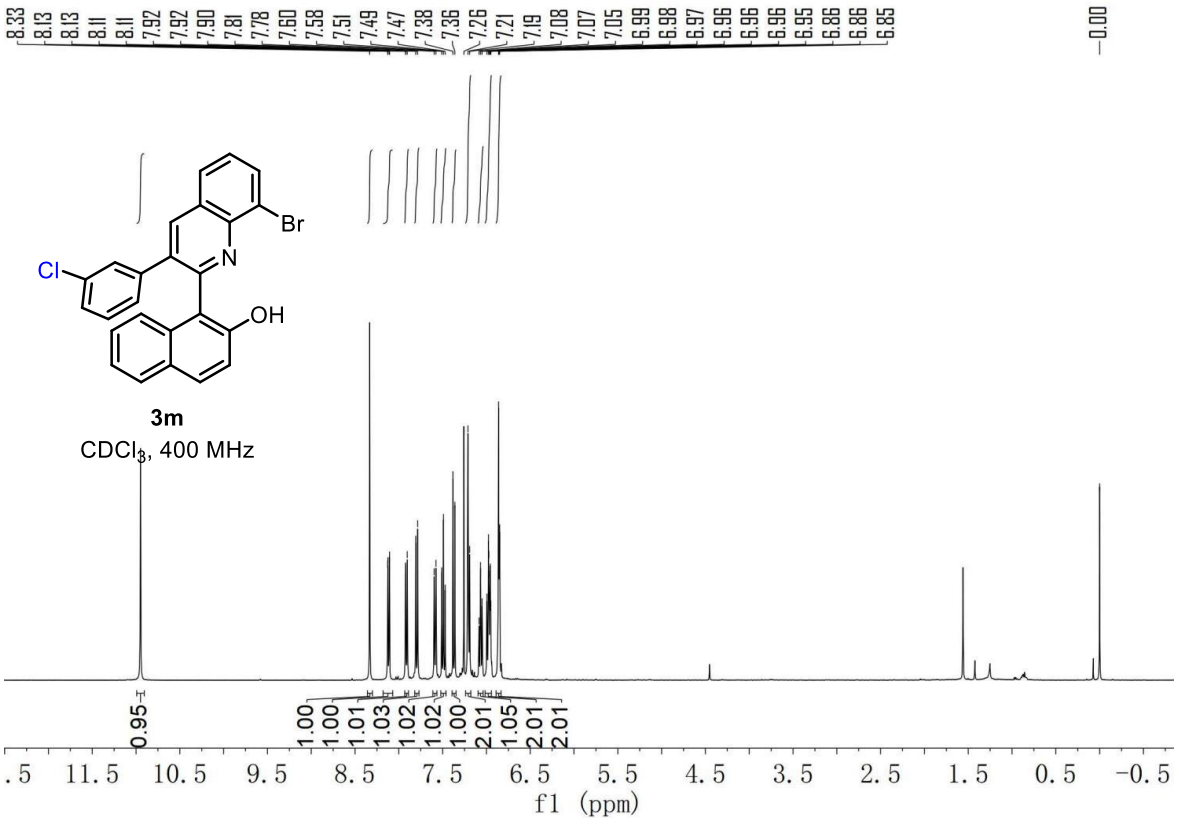


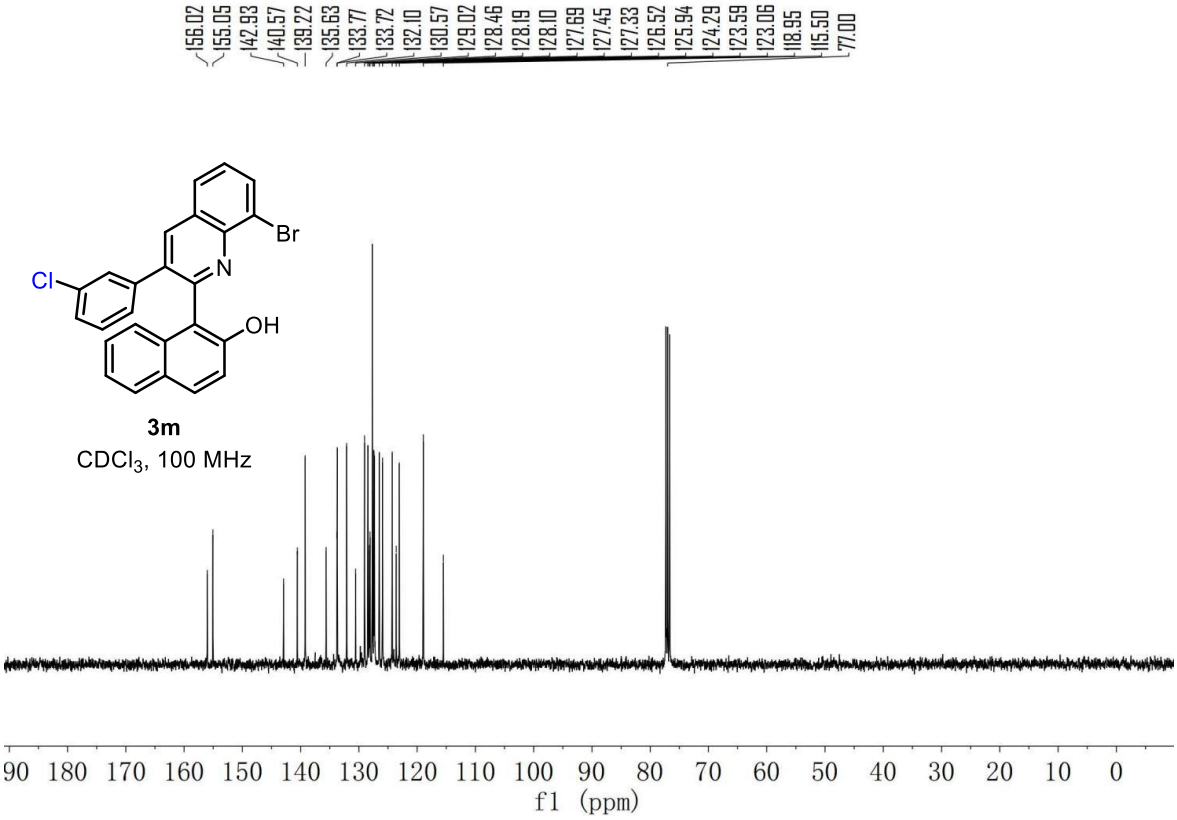
3k

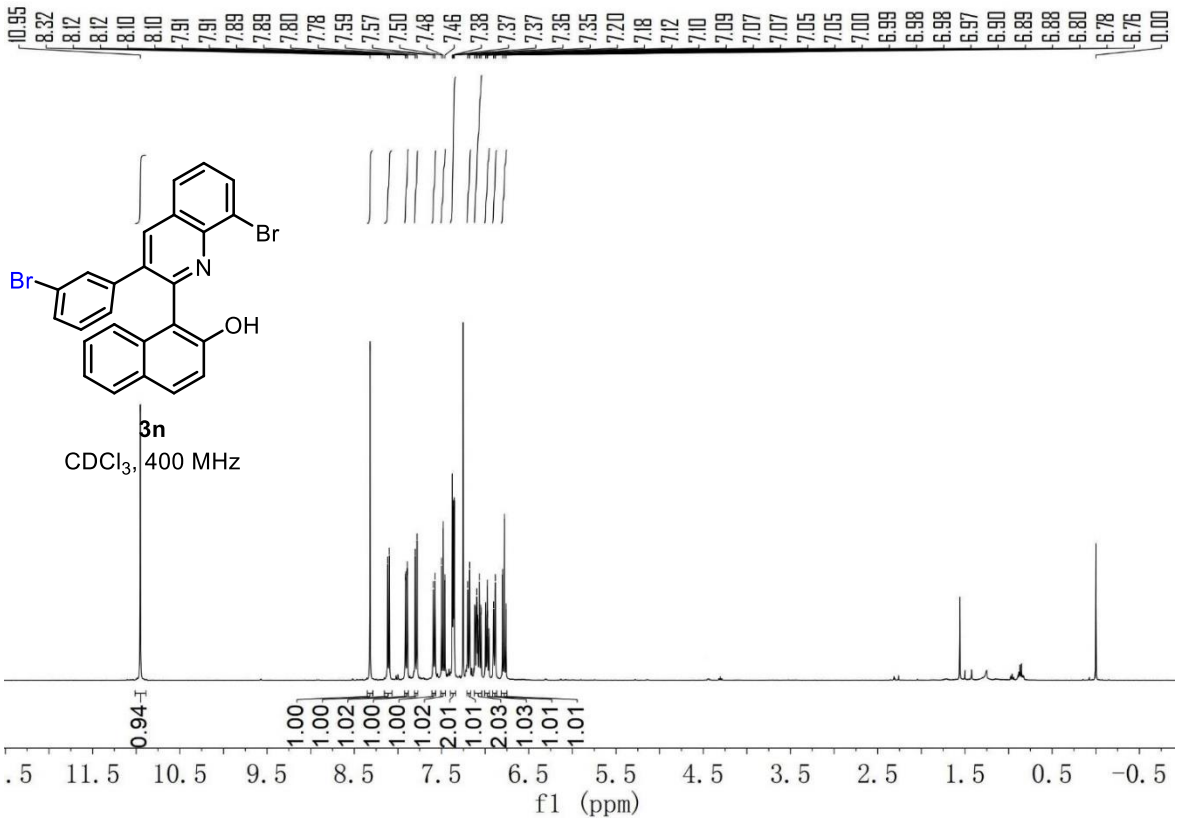
Acetone-D6, 100 MHz

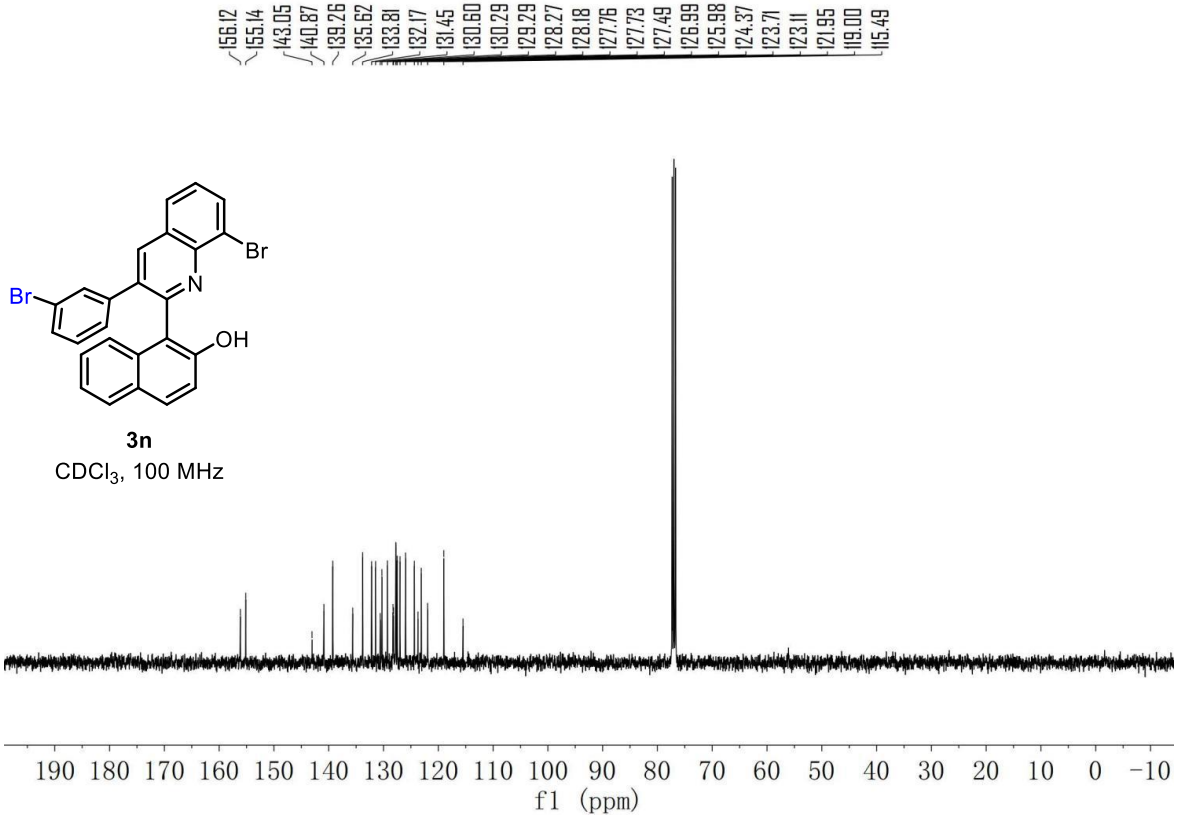

10.92
8.33
8.12
8.10
8.10
7.92
7.91
7.90
7.80
7.78
7.59
7.57
7.50
7.48
7.46
7.38
7.36
7.23
7.21
7.08
7.06
7.04
6.98
6.98
6.96
6.95
6.94
6.93
6.92
6.91
6.88
6.87
6.87
6.84
6.83
6.71
6.71
6.69
6.69
6.67
6.67
0.00
Br
F
N
OH
3l
CDCl3, 400 MHz
0.94
1.00
1.00
1.00
1.00
1.00
1.00
1.00
1.00
2.02
2.00
1.00
12.5 11.5 10.5 9.5 8.5 7.5 6.5 5.5 4.5 3.5 2.5 1.5 0.5 -0.5
f1 (ppm)

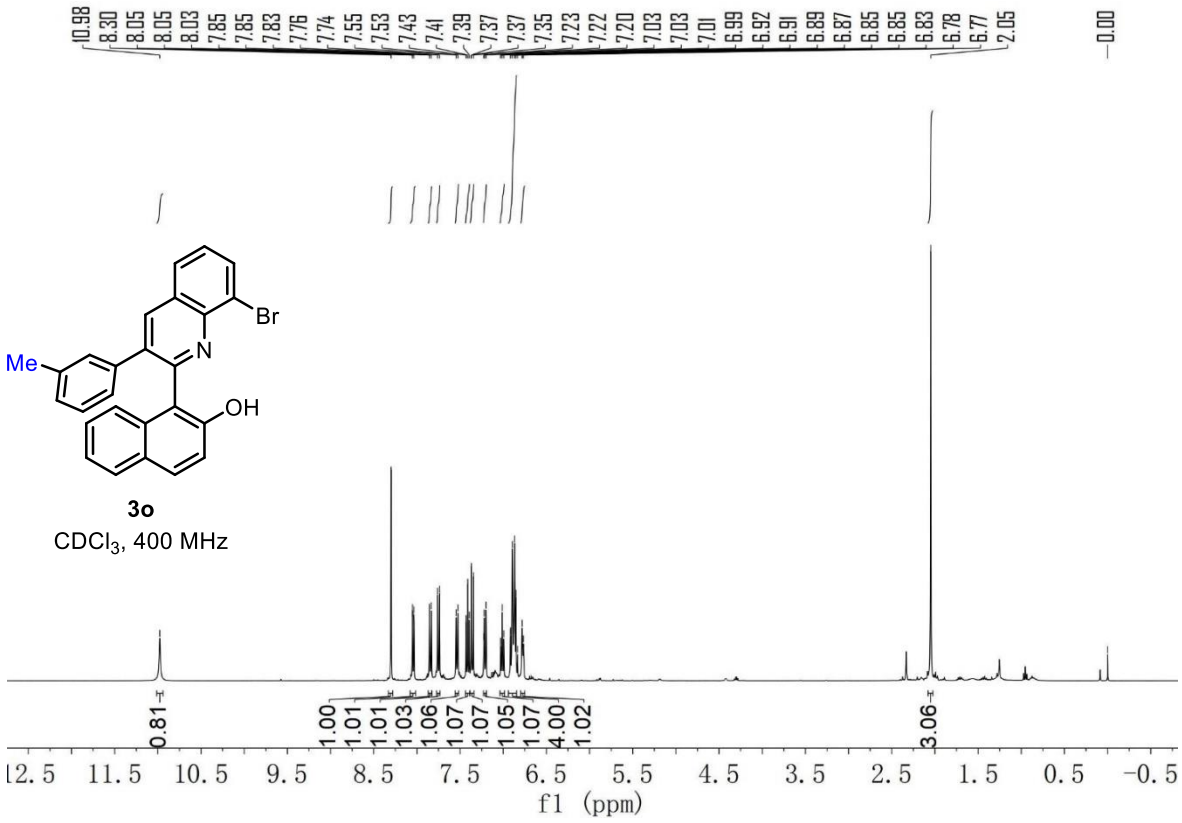

163.48
161.03
156.07
155.06
142.98
141.03
140.95
139.29
135.86
133.72
132.10
130.70
129.50
129.41
128.25
128.15
127.73
127.71
127.47
125.97
124.31
124.25
124.22
123.65
123.03
119.00
115.65
115.48
115.25
114.33
114.12
77.00
Br
F
N
OH
3l
CDCl3, 100 MHz
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

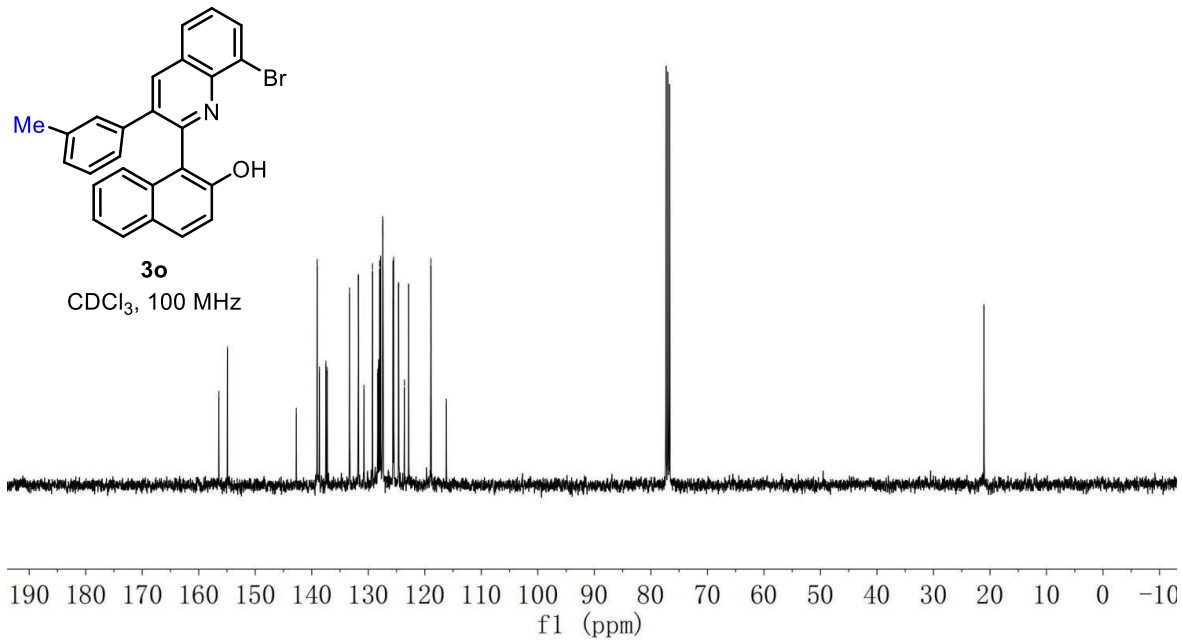

Br
Cl
N
OH
3m
CDCl3, 400 MHz
f1 (ppm)

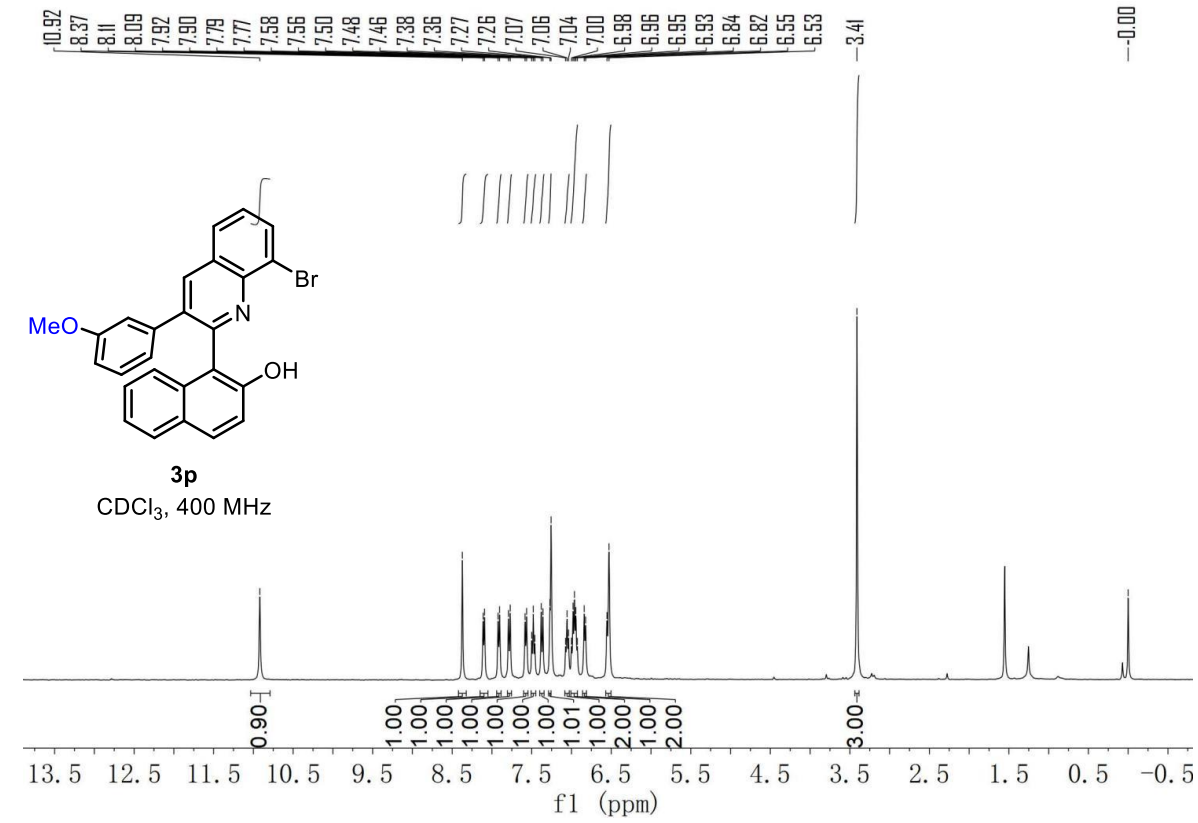

Br
Cl
N
OH
3m
CDCl3, 100 MHz
f1 (ppm)


Br
Br
N
OH
3n
CDCl3, 400 MHz
f1 (ppm)


Br
Br
N
OH
3n
CDCl3, 100 MHz
f1 (ppm)

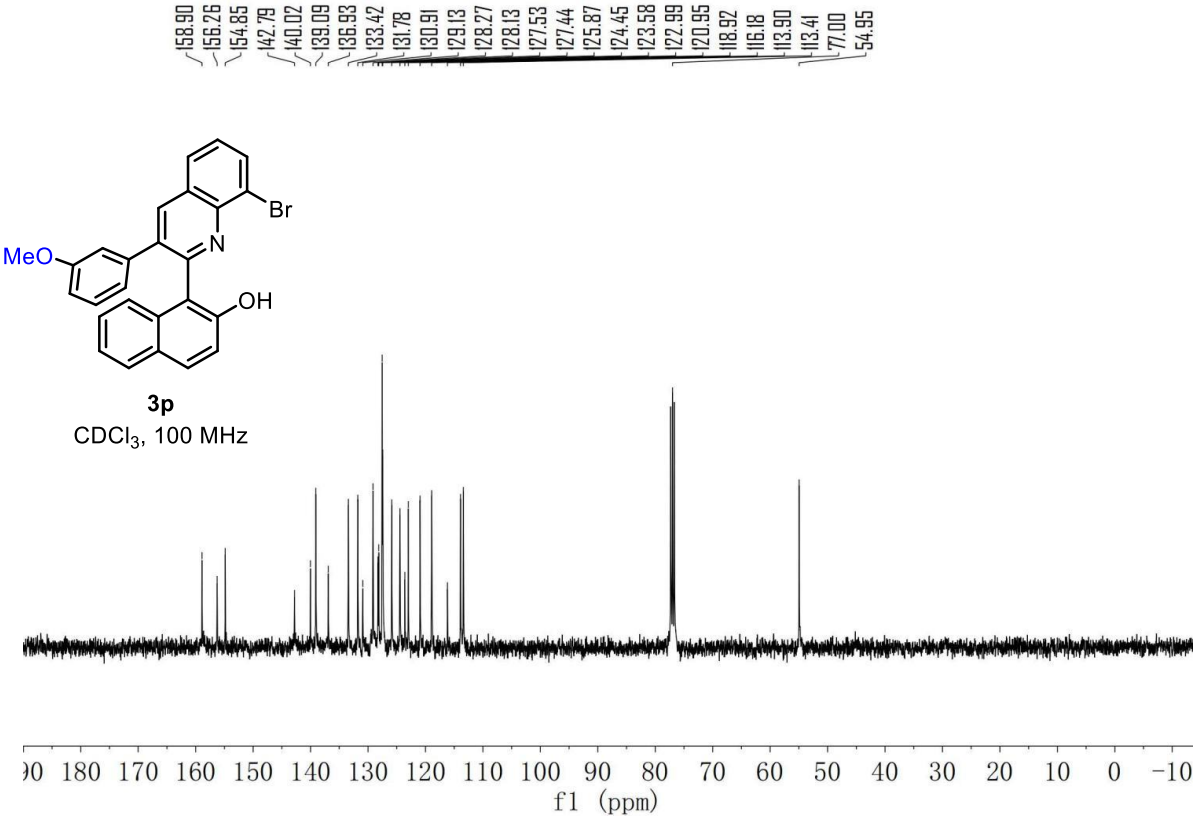

Br
Me
N
OH
3o
CDCl3, 400 MHz
f1 (ppm)


Br
Me
N
OH
3o
CDCl3, 100 MHz
f1 (ppm)



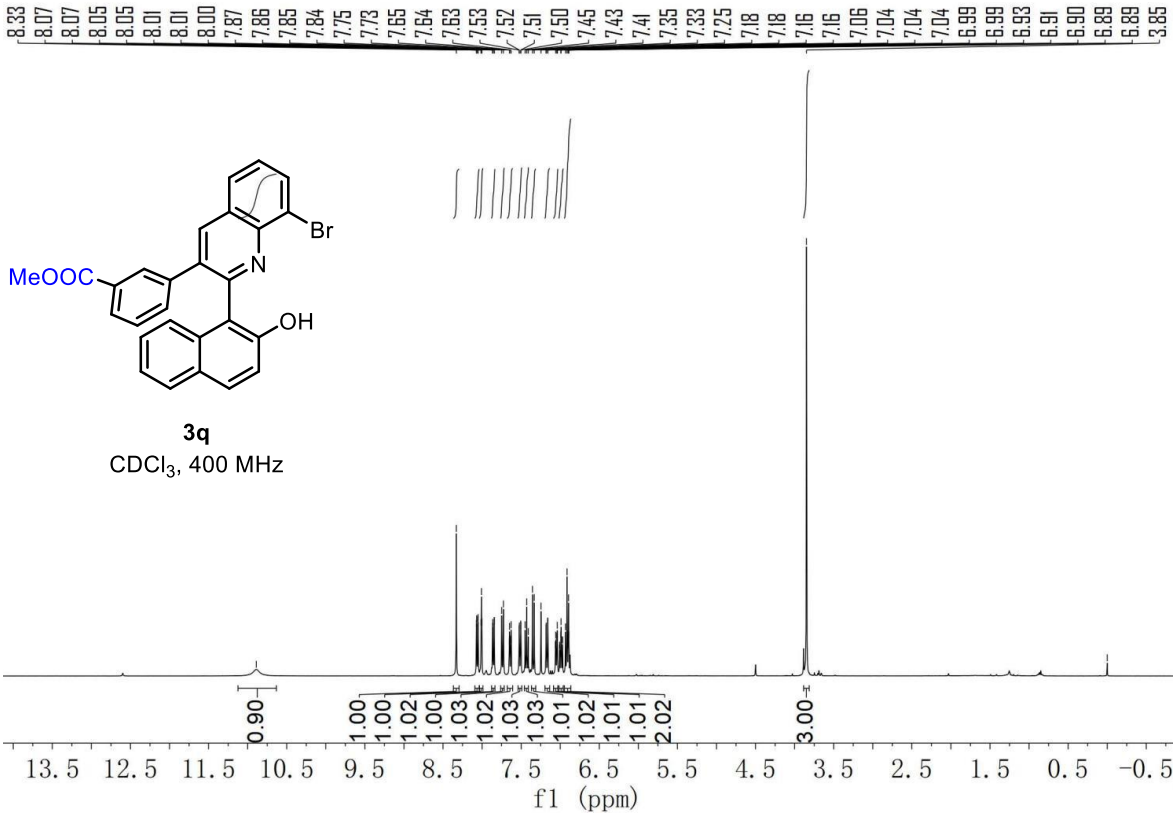
3p

$CDCl_3$, 400 MHz



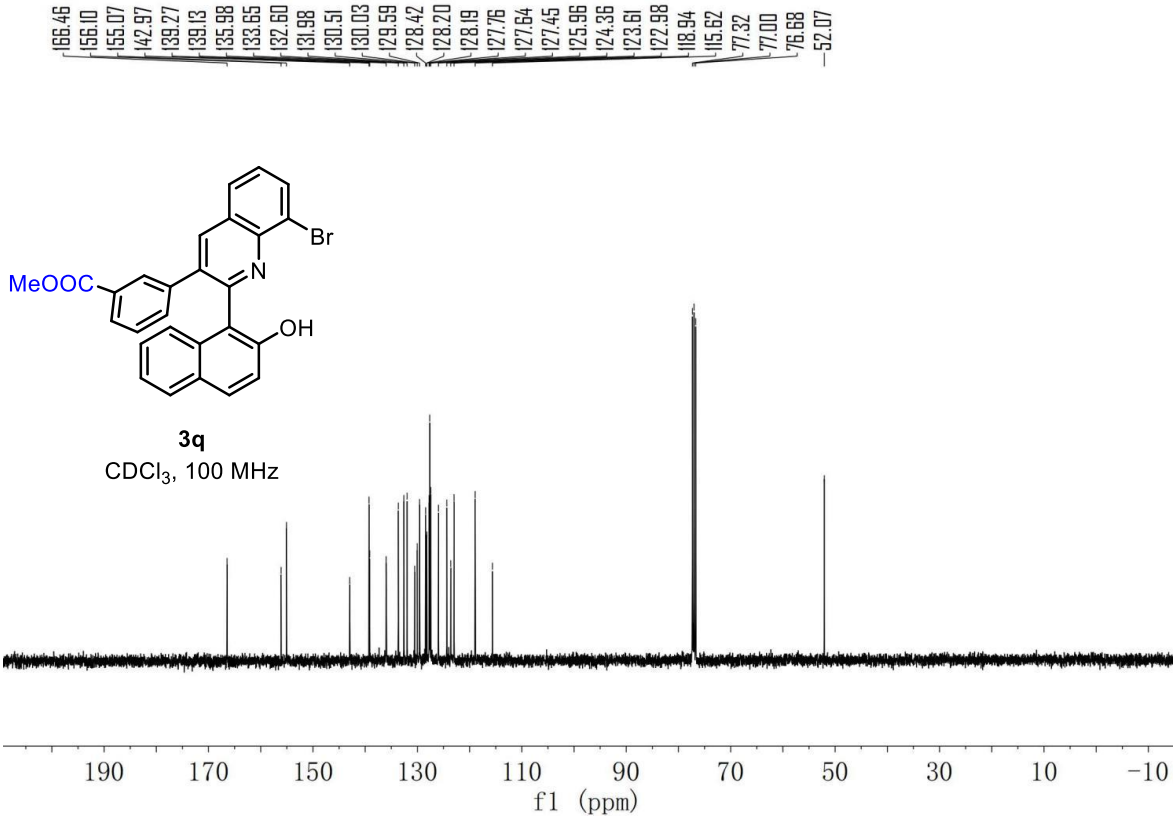
3p

$CDCl_3$, 100 MHz



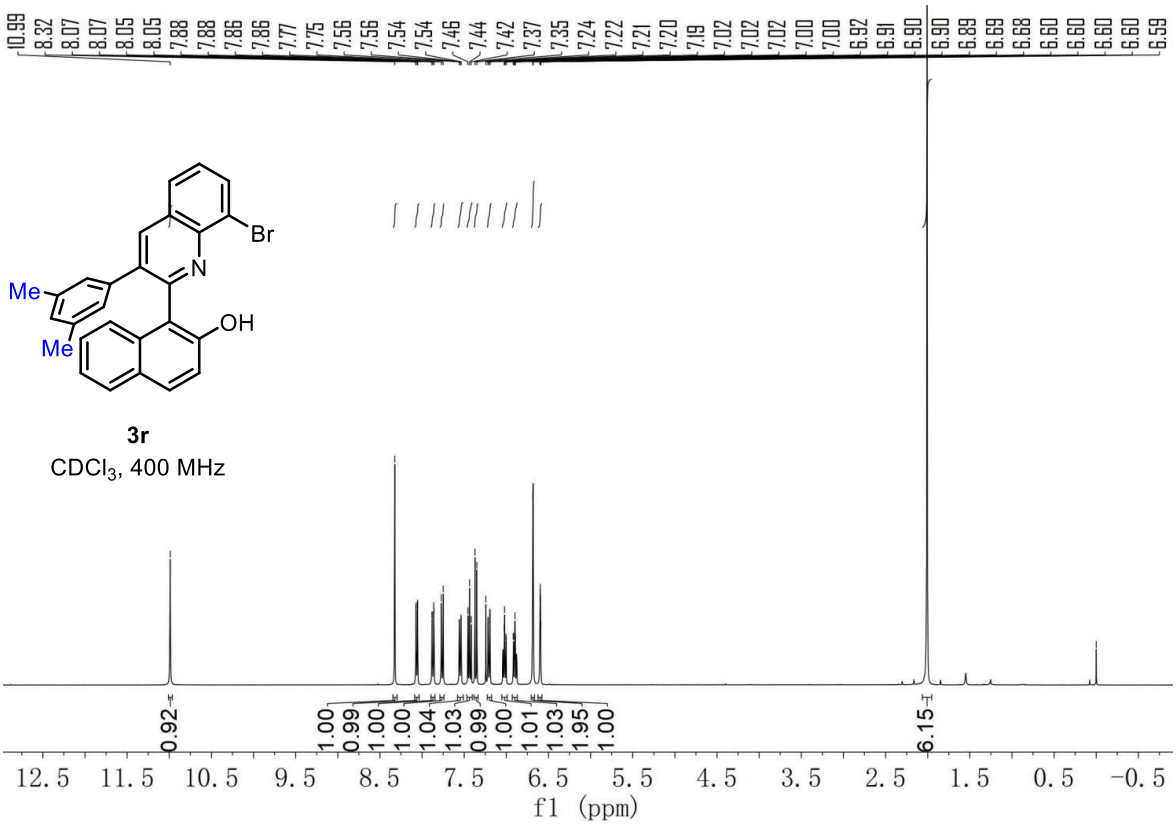
3q

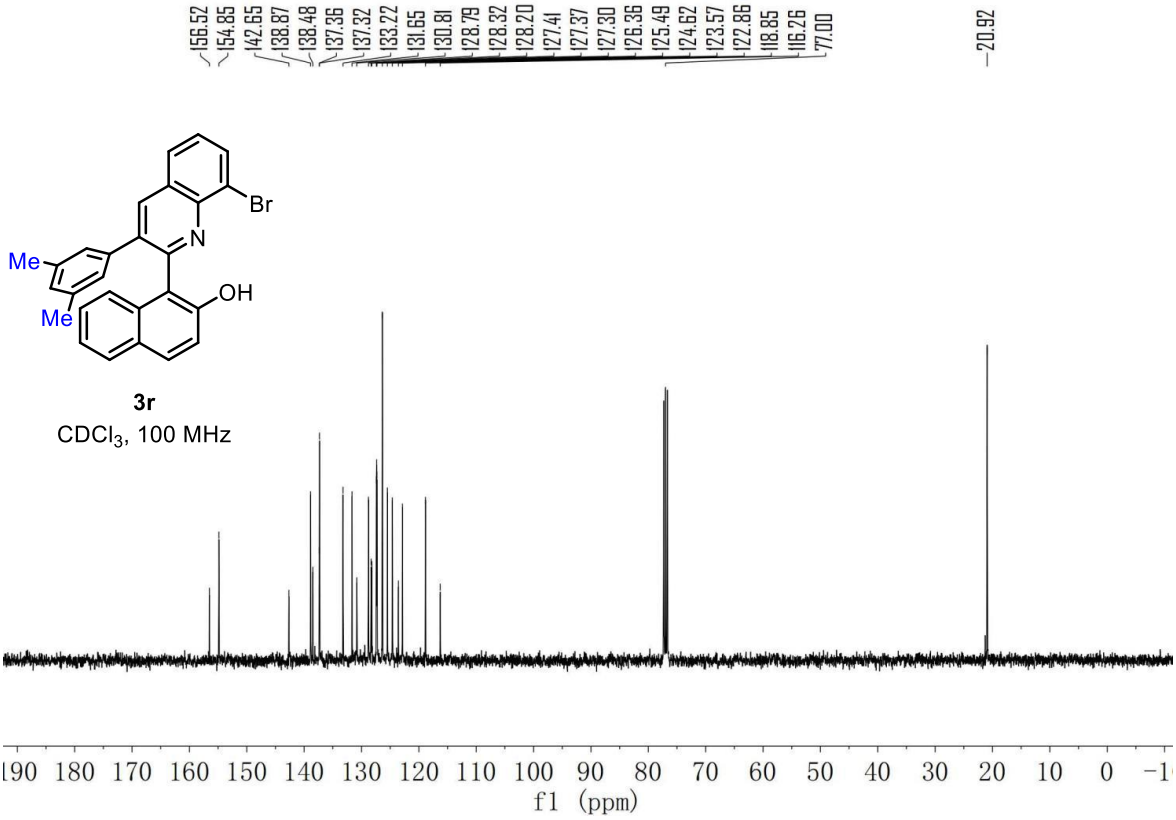
$CDCl_3$, 400 MHz

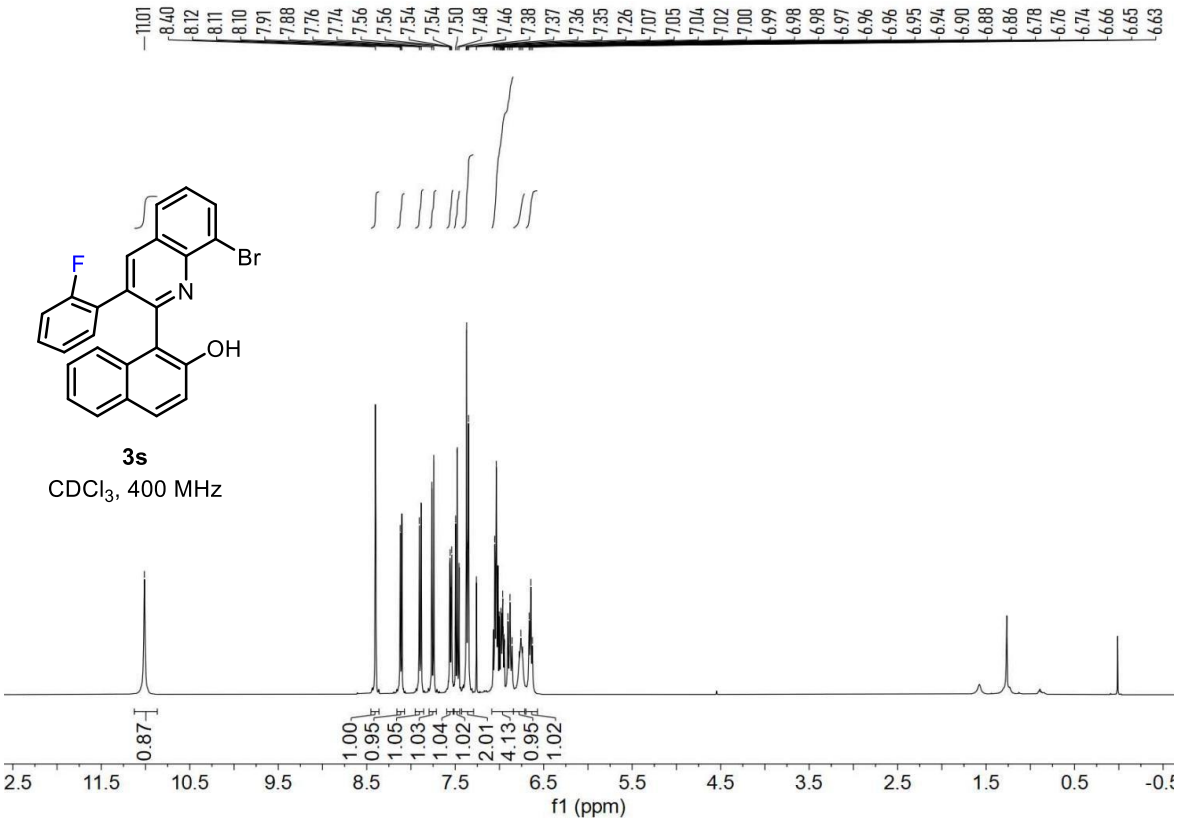


3q

$CDCl_3$, 100 MHz

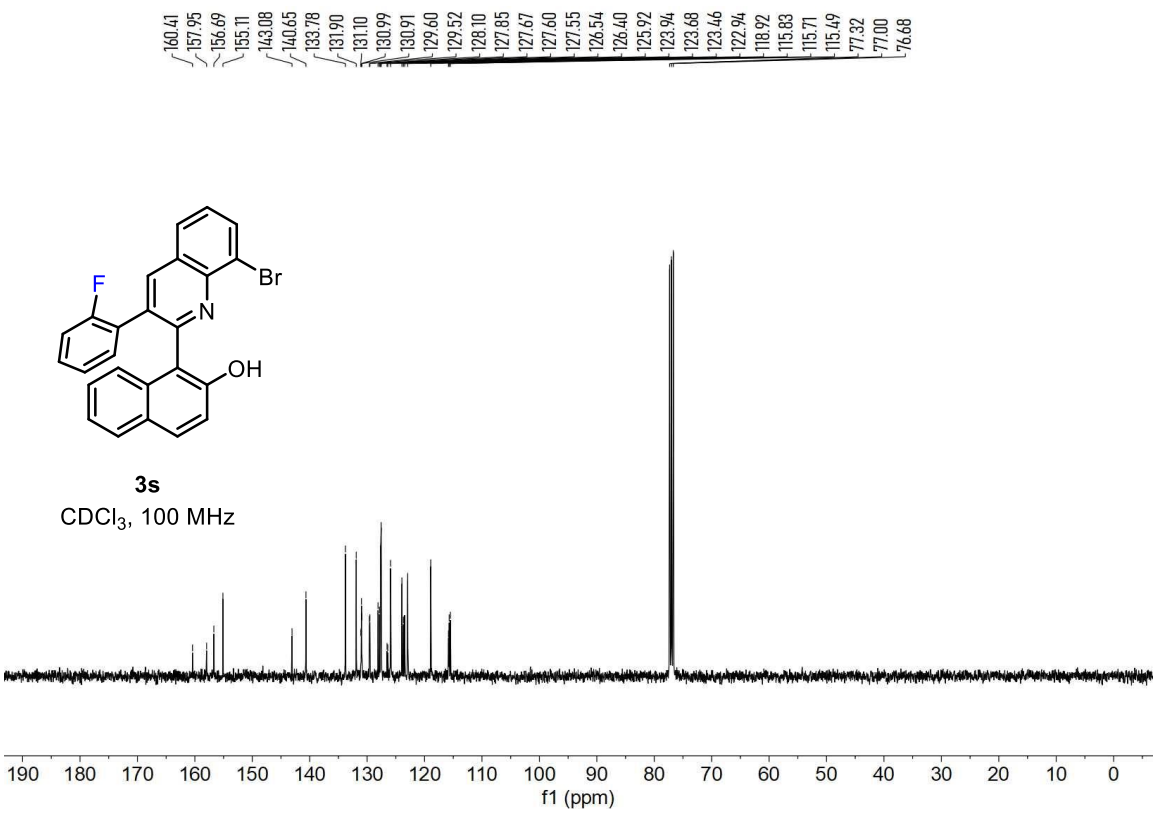

Br
N
Me
Me
OH
3r
CDCl3, 400 MHz
f1 (ppm)


Br
N
Me
Me
OH
3r
CDCl3, 100 MHz
f1 (ppm)



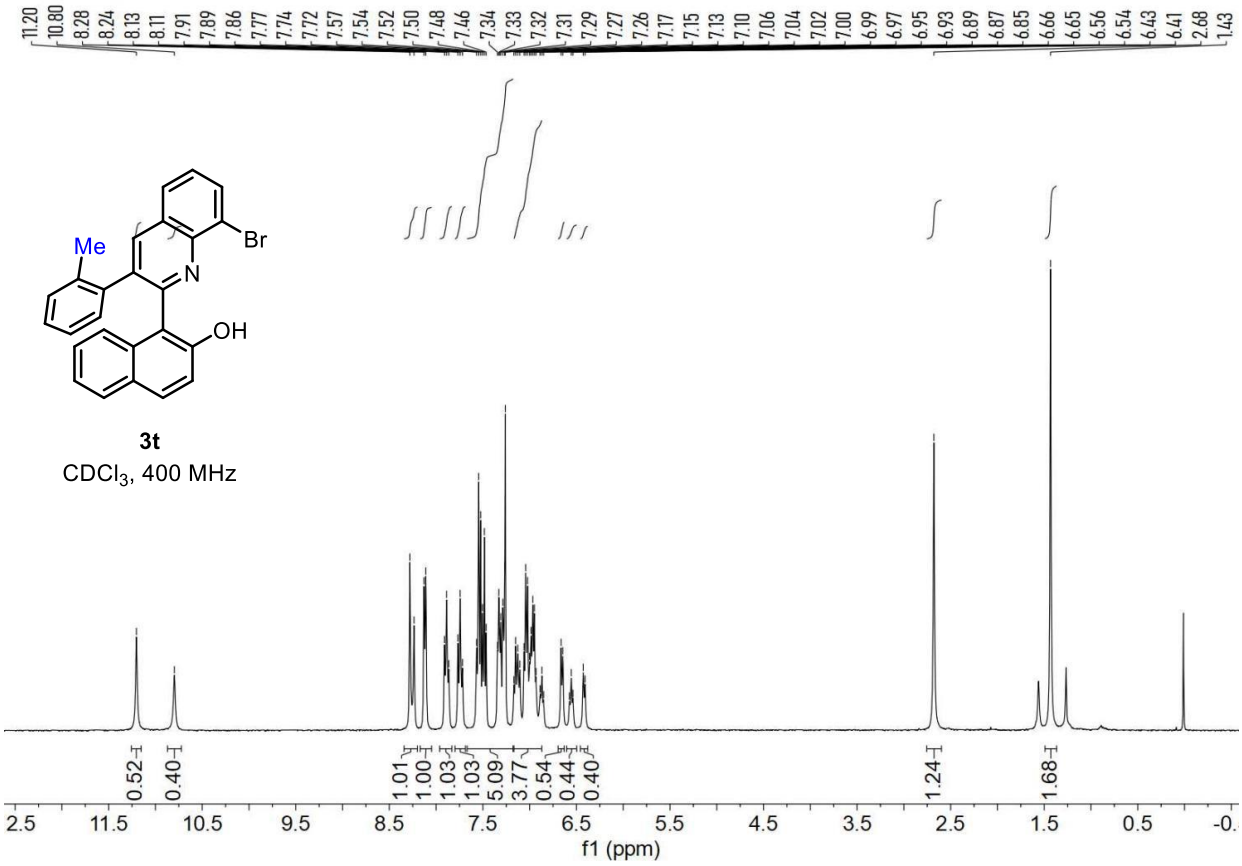
3s

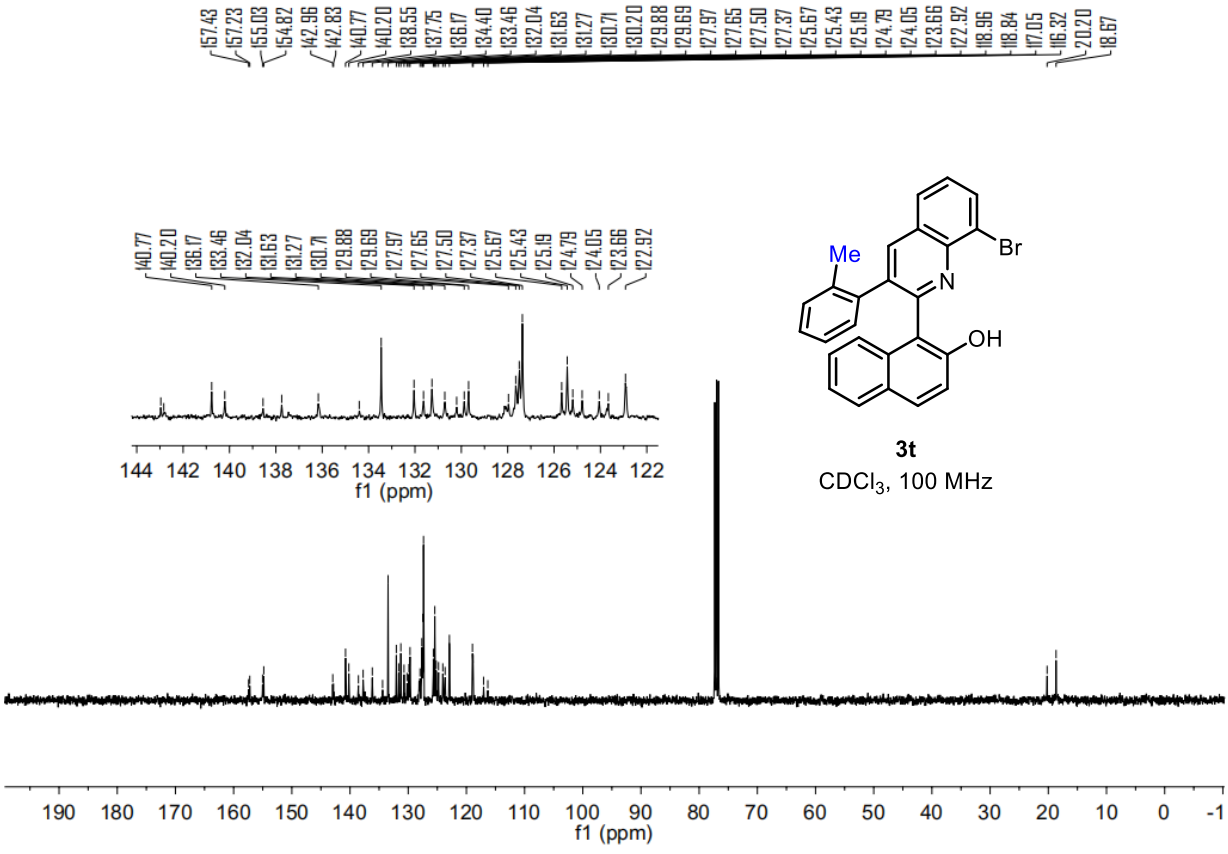
$CDCl_3$, 400 MHz

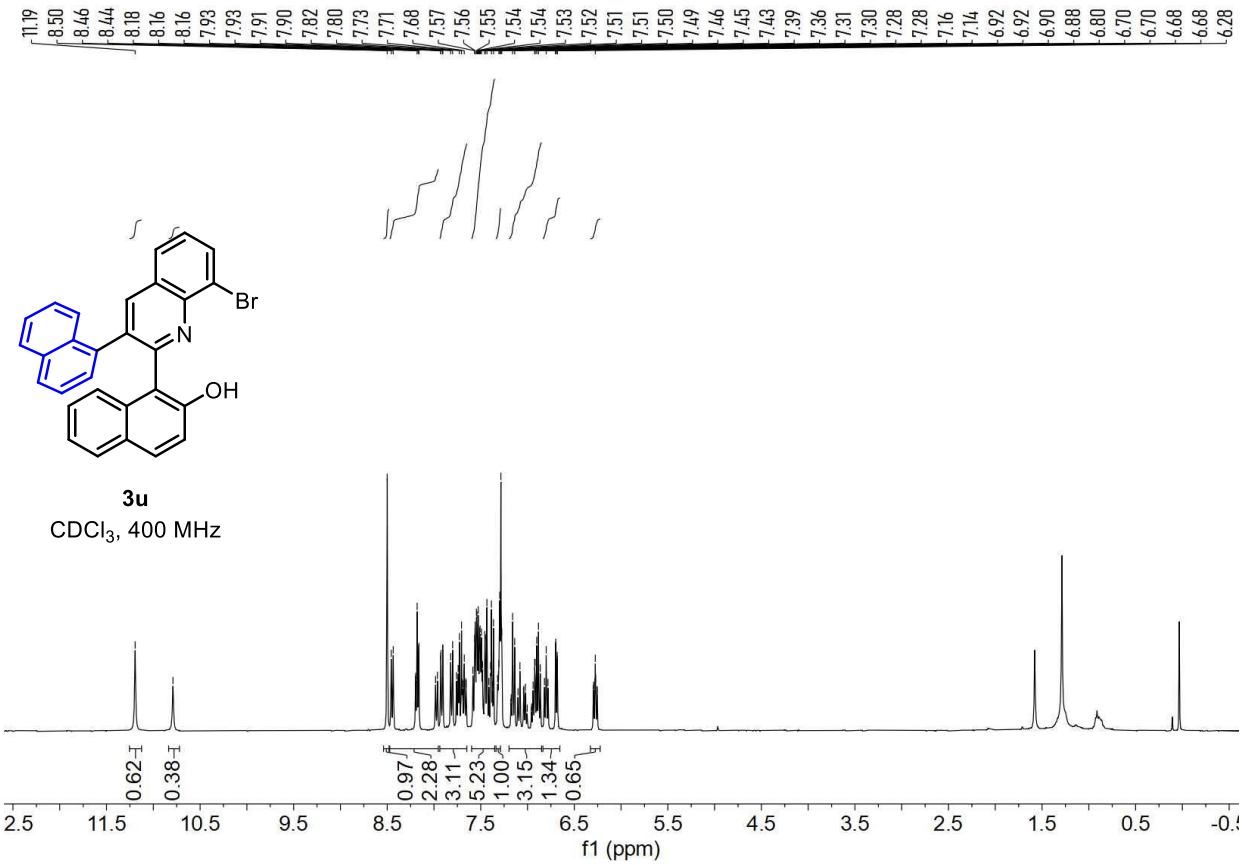


3s

$CDCl_3$, 100 MHz

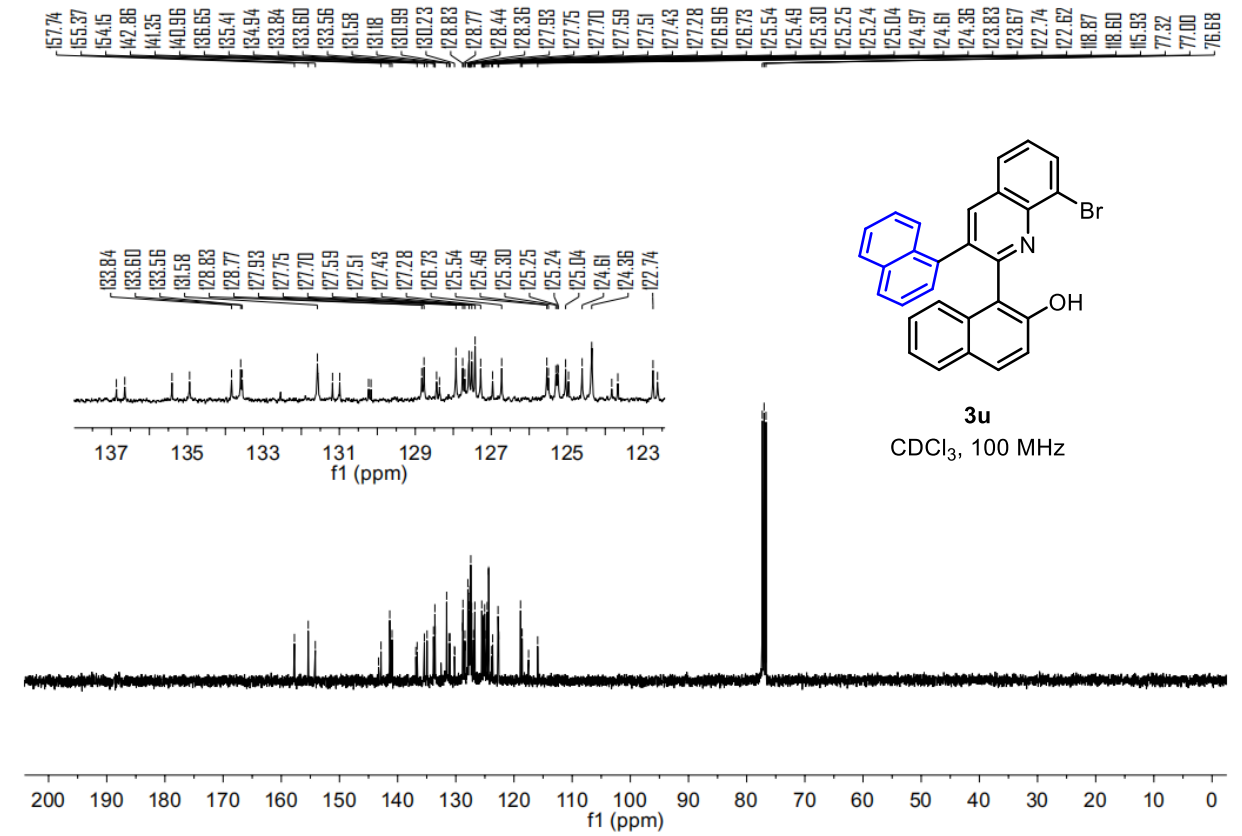

Me
Br
N
OH
3t
CDCl3, 400 MHz
f1 (ppm)


Me
Br
N
OH
3t
CDCl3, 100 MHz
f1 (ppm)



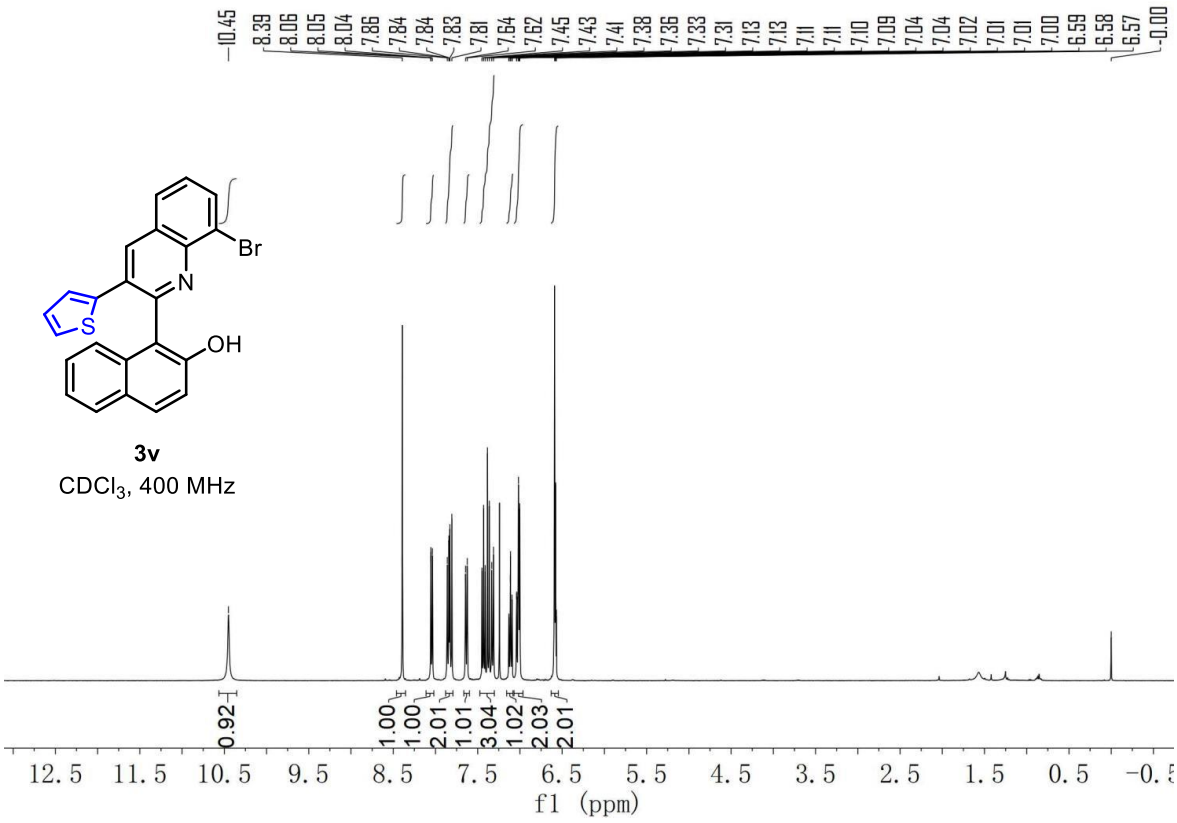
3u

$CDCl_3$, 400 MHz



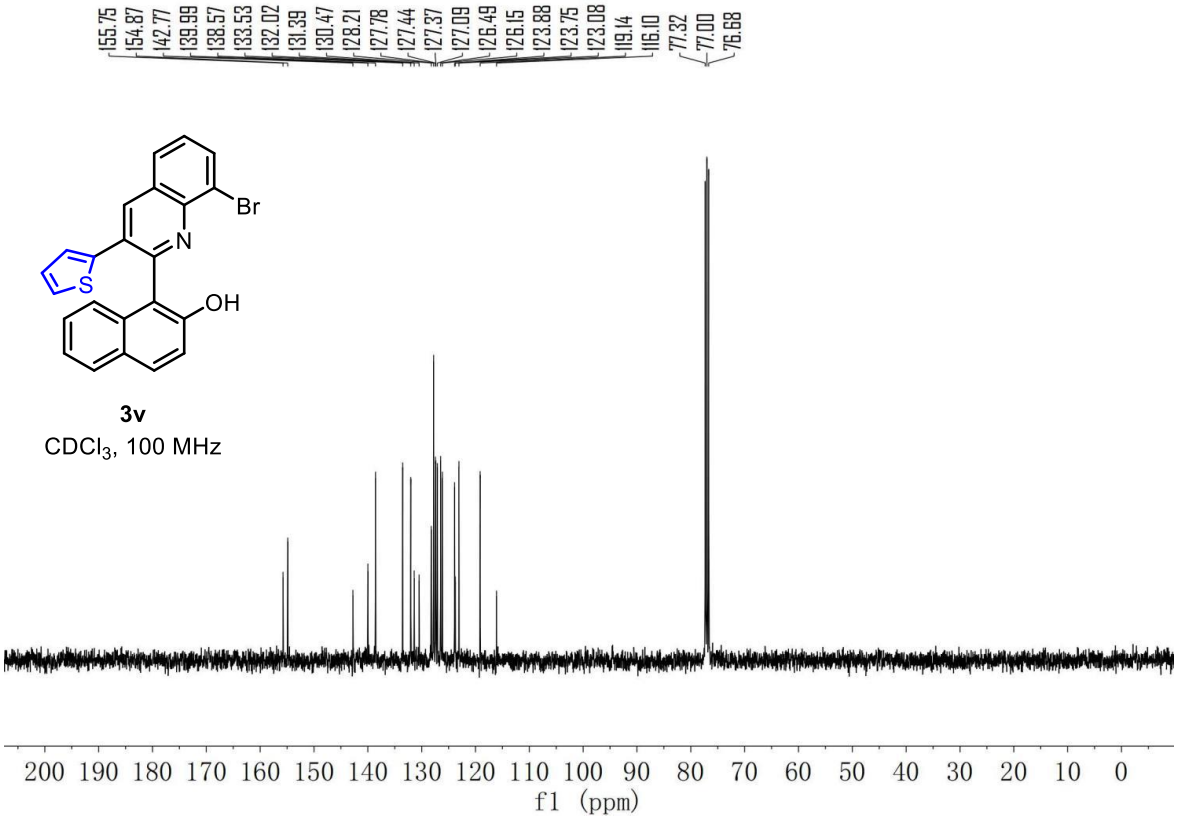
3u

$CDCl_3$, 100 MHz



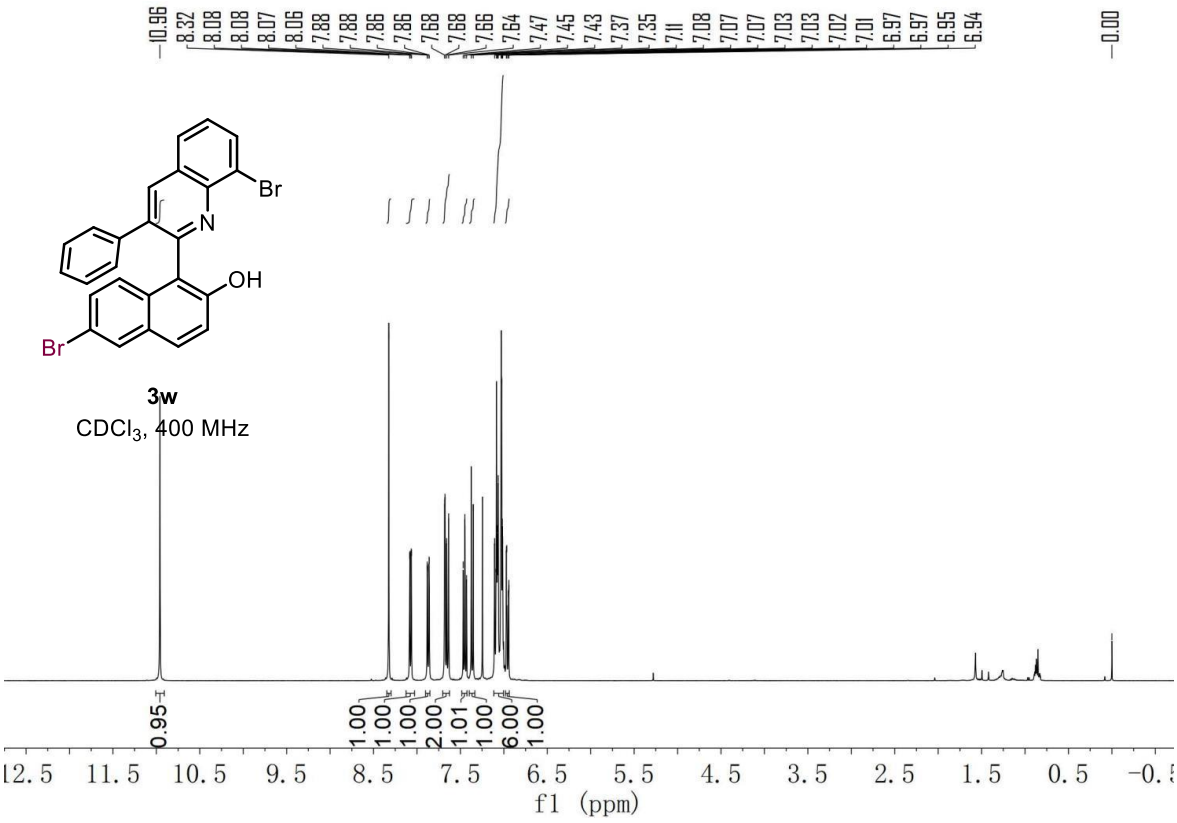
3v

$CDCl_3$, 400 MHz



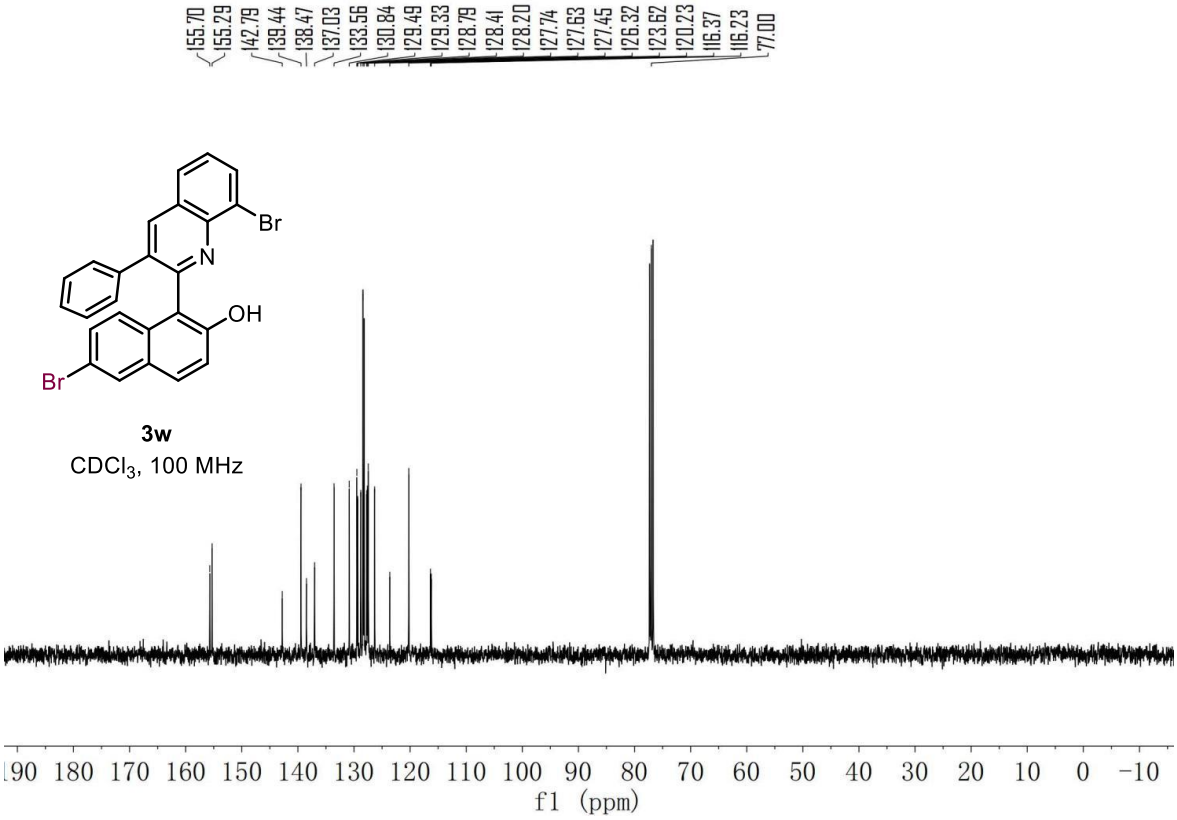
3v

$CDCl_3$, 100 MHz



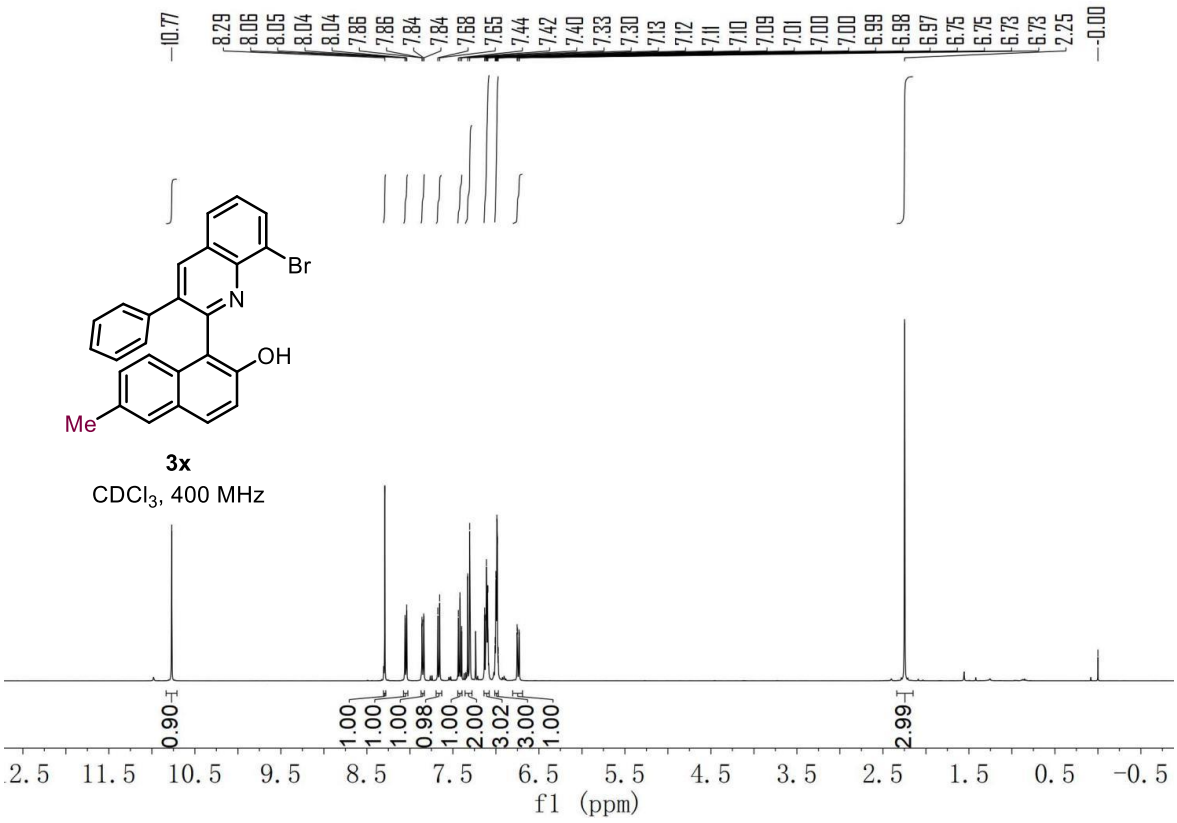
3w

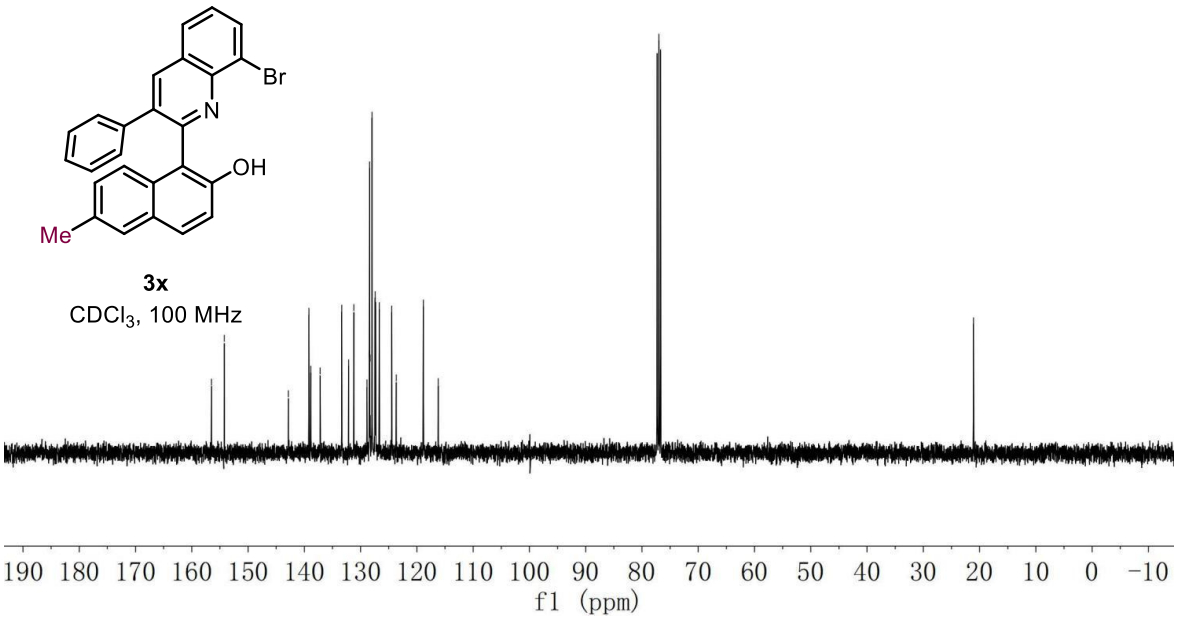
$CDCl_3$, 400 MHz

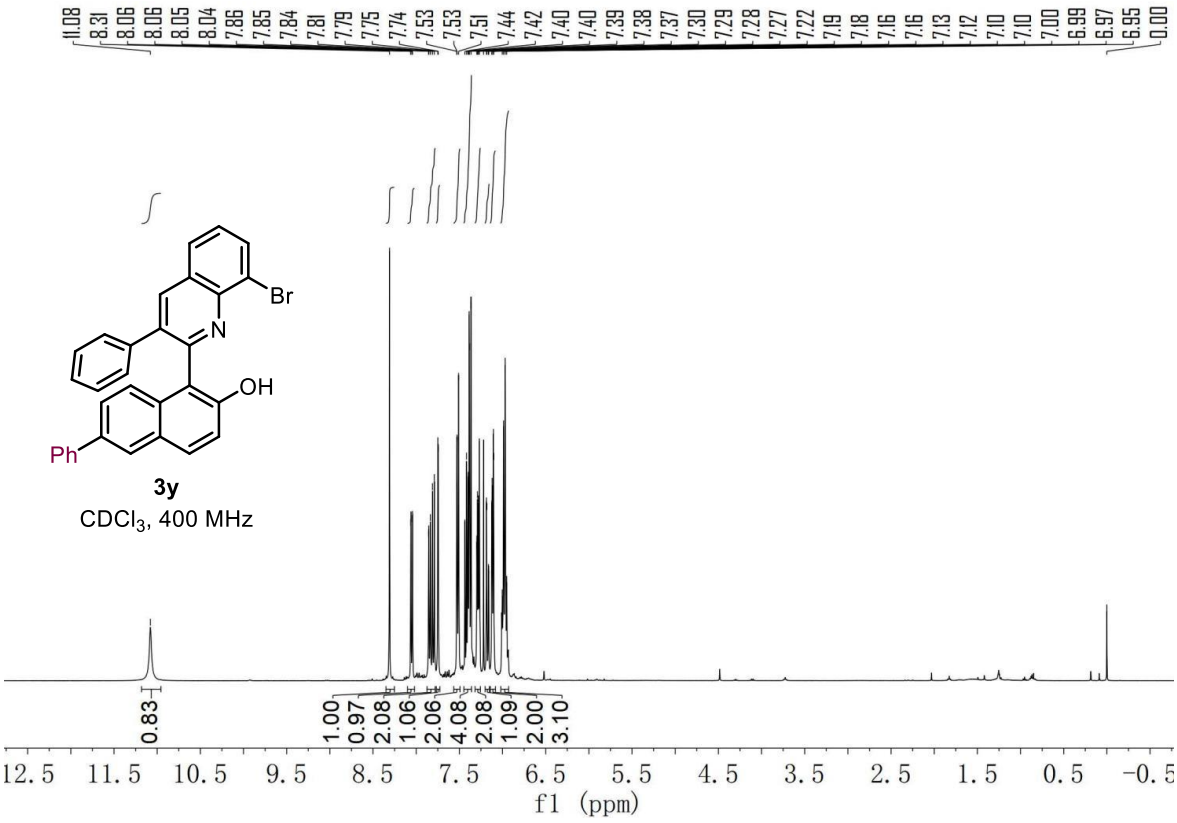


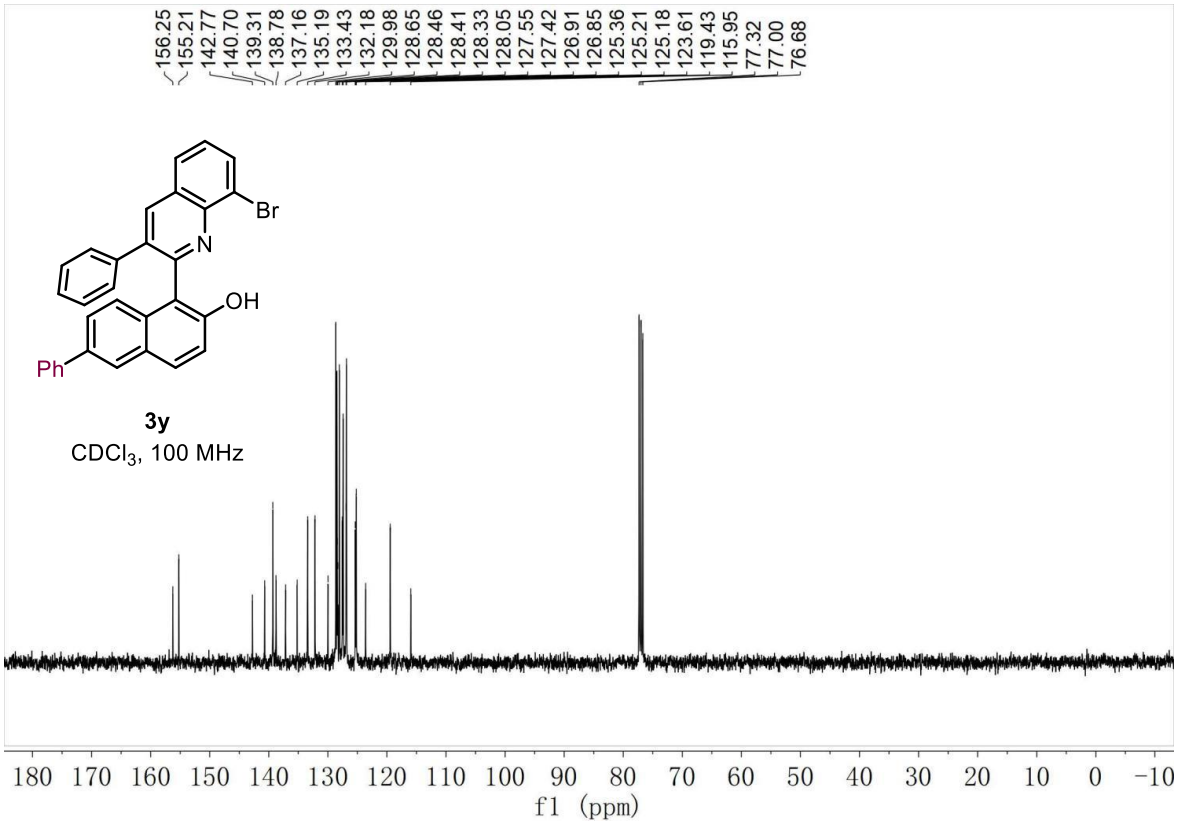
3w

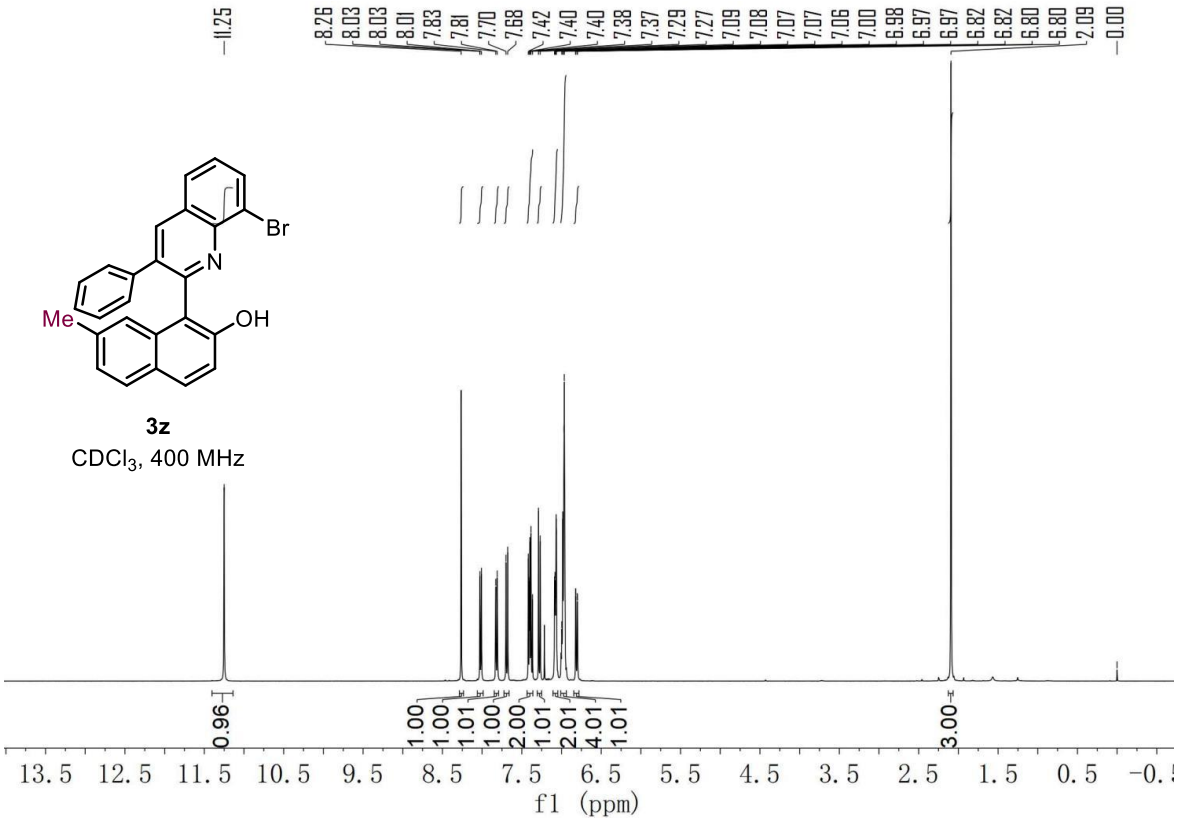
$CDCl_3$, 100 MHz

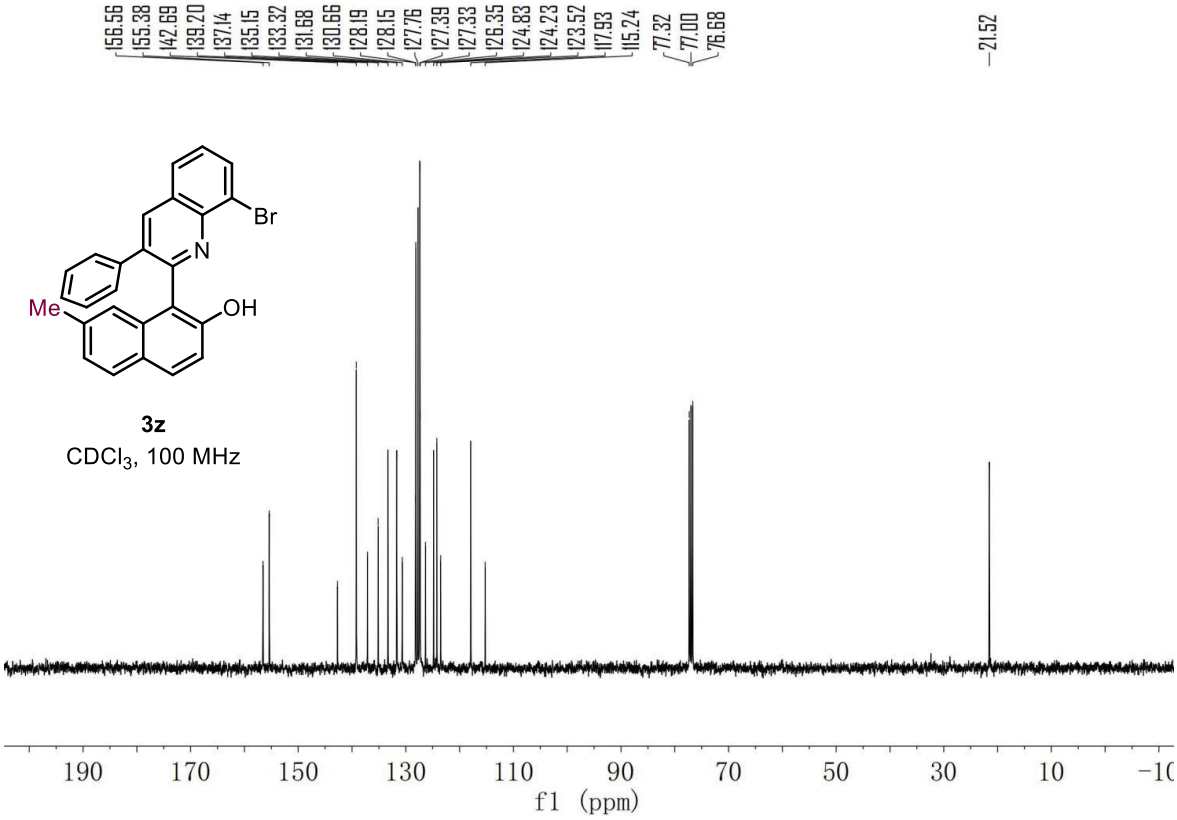

Br
N
OH
Me
3x
CDCl3, 400 MHz
f1 (ppm)

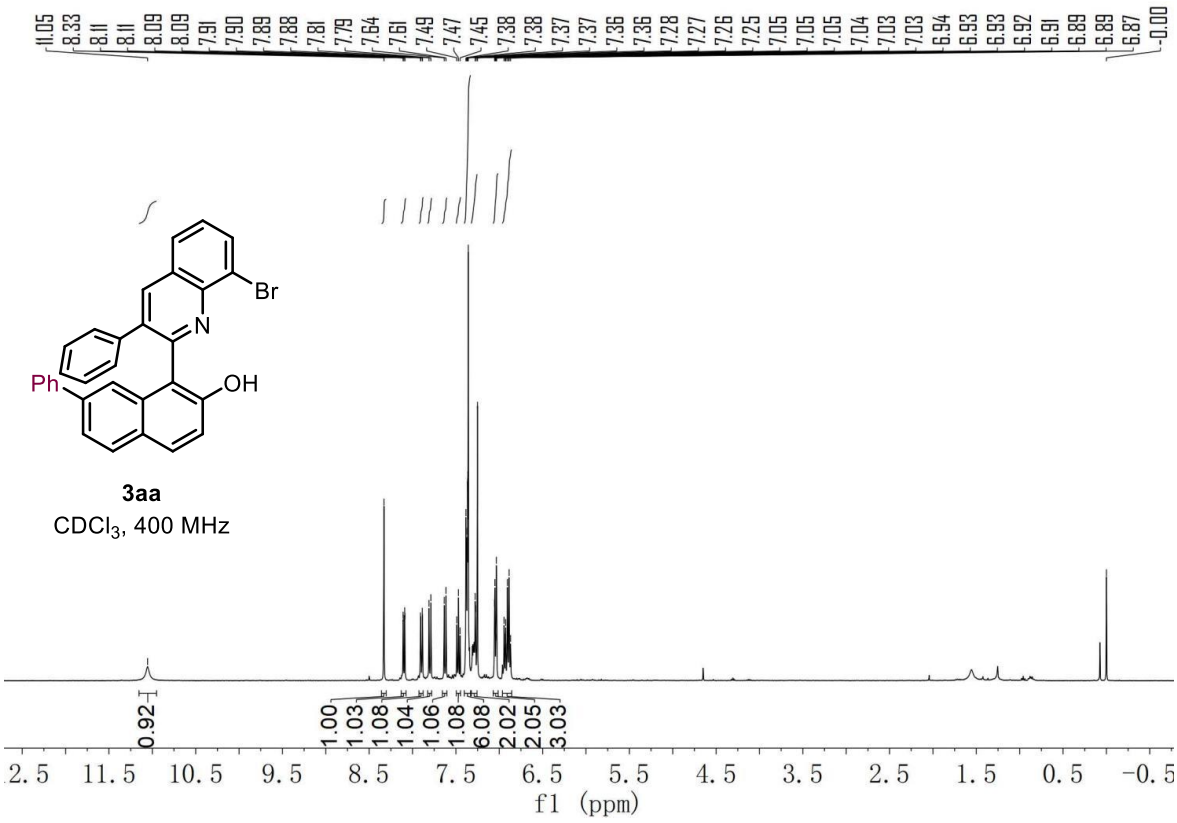

Br
N
OH
Me
3x
CDCl3, 100 MHz
f1 (ppm)

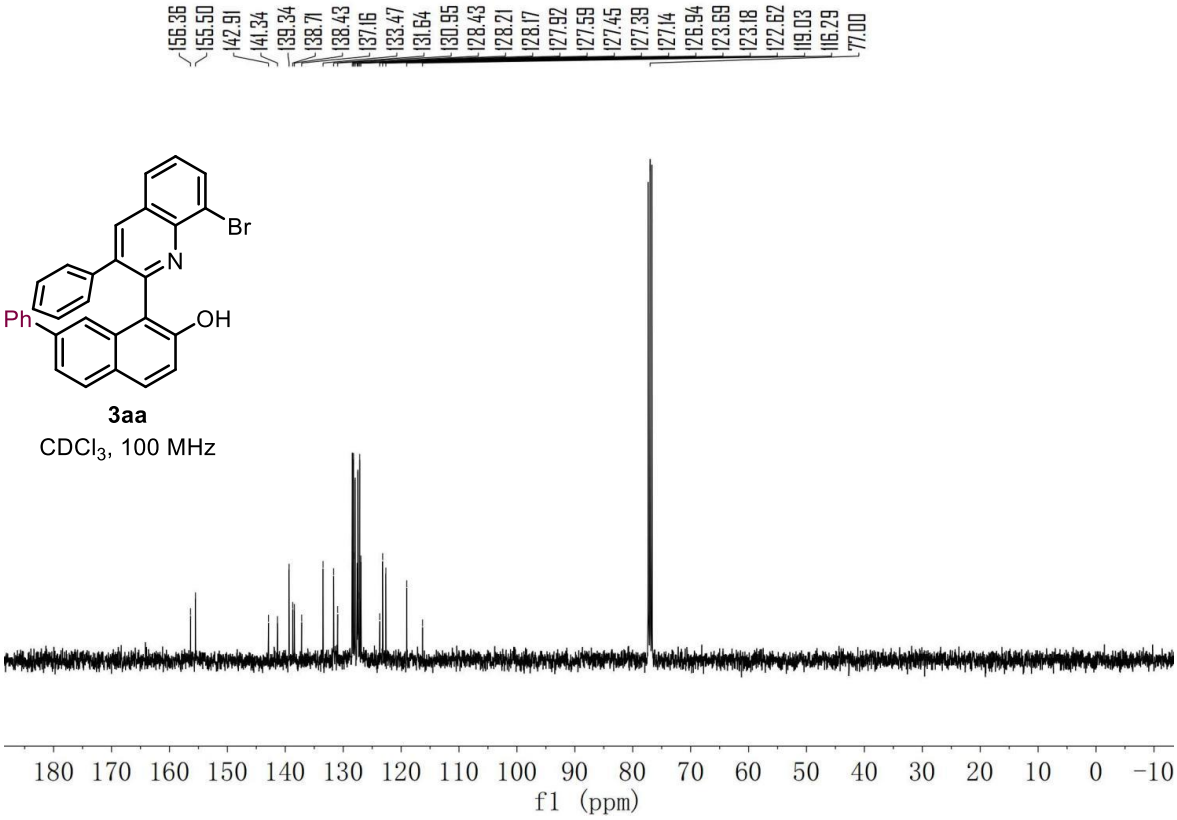

11.08
8.31
8.06
8.06
8.05
8.04
7.86
7.85
7.84
7.81
7.79
7.75
7.74
7.53
7.53
7.51
7.44
7.42
7.40
7.40
7.39
7.38
7.37
7.30
7.29
7.28
7.27
7.22
7.19
7.18
7.16
7.16
7.13
7.12
7.10
7.10
7.00
6.99
6.97
6.95
0.00
Br
N
OH
Ph
3y
CDCl3, 400 MHz
0.83
1.00
0.97
2.08
1.06
2.06
4.08
2.08
1.09
2.00
3.10
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)

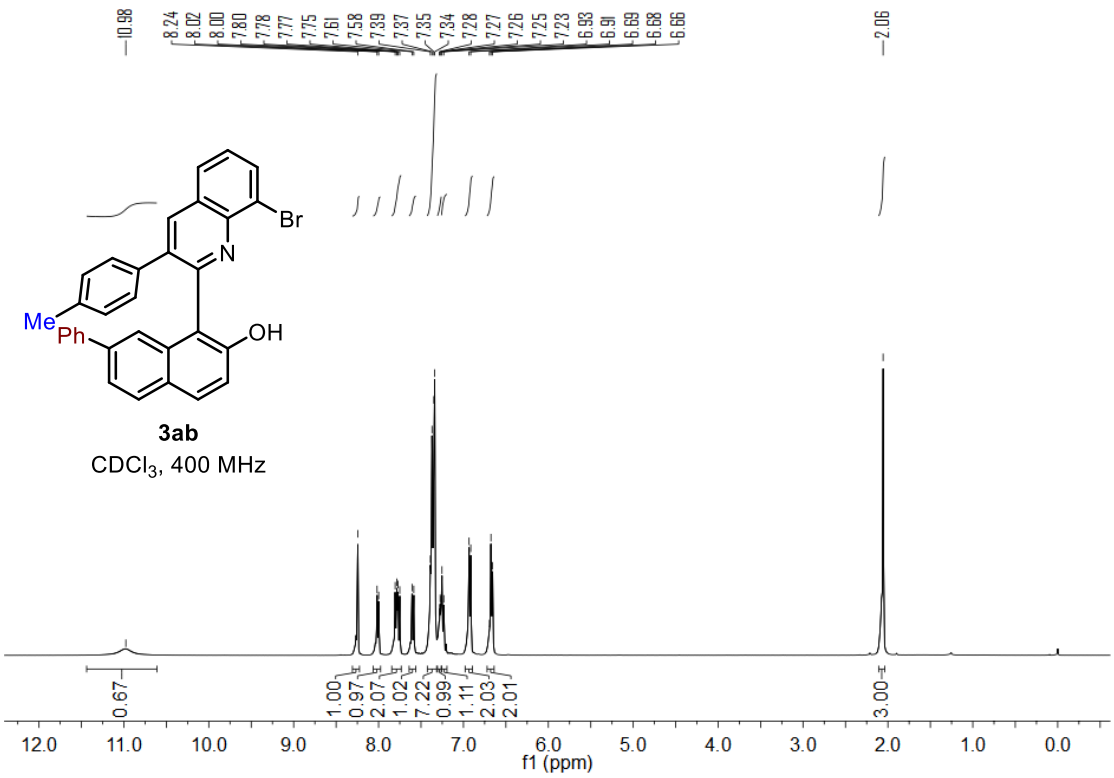

156.25
155.21
142.77
140.70
139.31
138.78
137.16
135.19
133.43
132.18
129.98
128.65
128.46
128.41
128.33
128.05
127.55
127.42
126.91
126.85
125.36
125.21
125.18
123.61
119.43
115.95
77.32
77.00
76.68
Br
N
OH
Ph
3y
CDCl3, 100 MHz
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


11.25
8.26
8.03
8.03
8.01
7.83
7.81
7.70
7.68
7.42
7.40
7.40
7.38
7.37
7.29
7.27
7.09
7.08
7.07
7.07
7.06
7.00
6.98
6.97
6.97
6.82
6.82
6.80
6.80
2.09
0.00
Br
N
Me
OH
3z
CDCl3, 400 MHz
0.96
1.00
1.00
1.01
1.00
2.00
1.01
2.01
4.01
1.01
3.00
13.5 12.5 11.5 10.5 9.5 8.5 7.5 6.5 5.5 4.5 3.5 2.5 1.5 0.5
f1 (ppm)


156.56
155.38
142.69
139.20
137.14
135.15
133.32
131.68
130.66
128.19
128.15
127.76
127.39
127.33
126.35
124.83
124.23
123.52
117.93
115.24
77.32
77.00
76.68
21.52
Br
N
Me
OH
3z
CDCl3, 100 MHz
190 170 150 130 110 90 70 50 30 10
f1 (ppm)

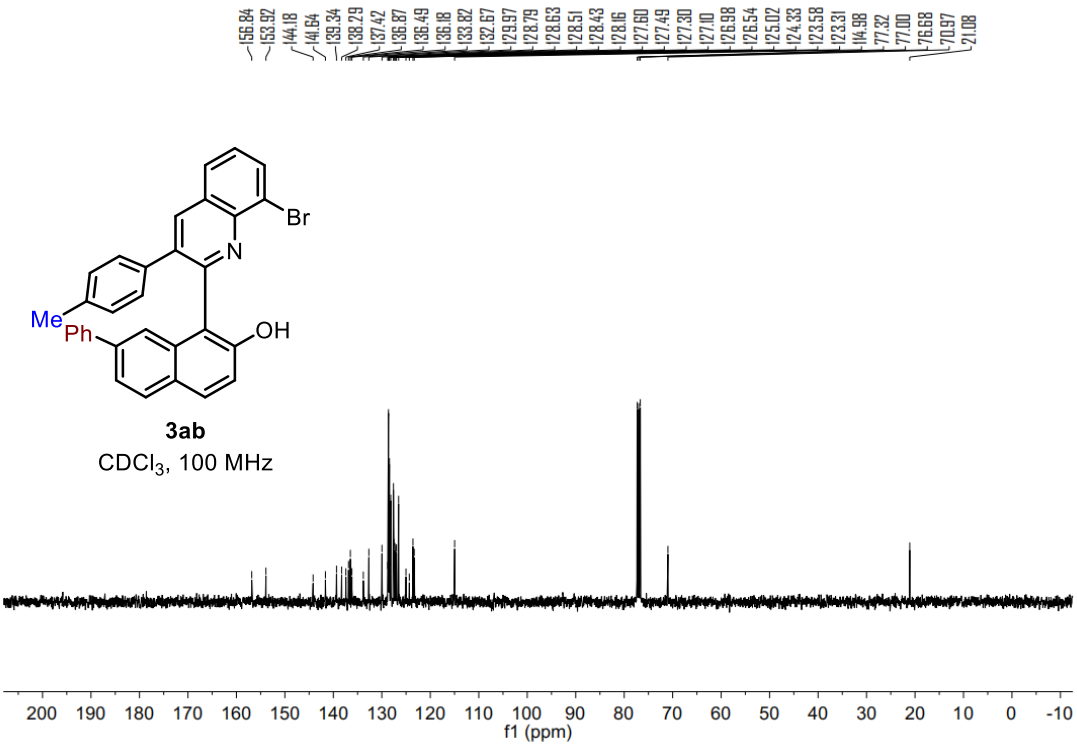

11.05
8.33
8.11
8.11
8.09
8.09
7.91
7.90
7.89
7.88
7.81
7.79
7.64
7.61
7.49
7.47
7.45
7.38
7.38
7.37
7.37
7.36
7.36
7.28
7.27
7.26
7.25
7.05
7.05
7.05
7.04
7.03
7.03
6.94
6.93
6.93
6.92
6.91
6.89
6.89
6.87
0.00
Br
N
Ph
OH
3aa
CDCl3, 400 MHz
0.92
1.00
1.03
1.08
1.04
1.06
1.08
6.08
2.02
2.05
3.03
2.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)


156.36
155.50
142.91
141.34
139.34
138.71
138.43
137.16
133.47
131.64
130.95
128.43
128.21
128.17
127.92
127.59
127.45
127.39
127.14
126.94
123.69
123.18
122.62
119.03
116.29
77.00
Br
N
Ph
OH
3aa
CDCl3, 100 MHz
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)



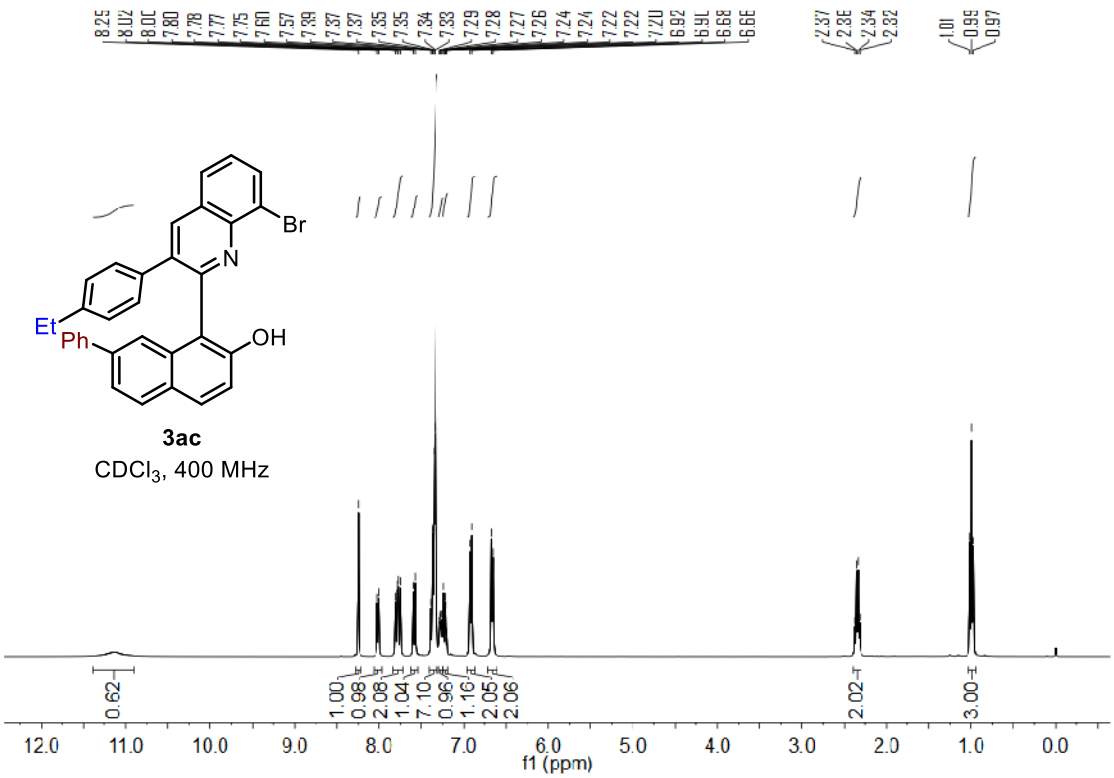
3ab

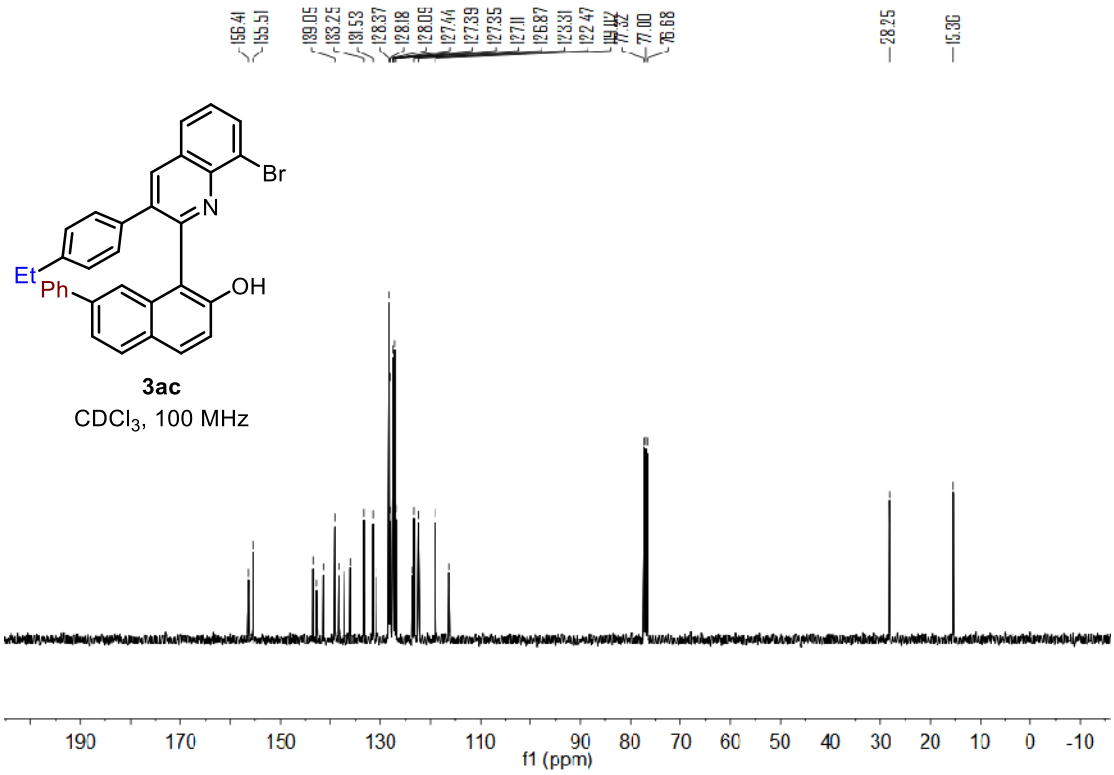
$CDCl_3$, 400 MHz

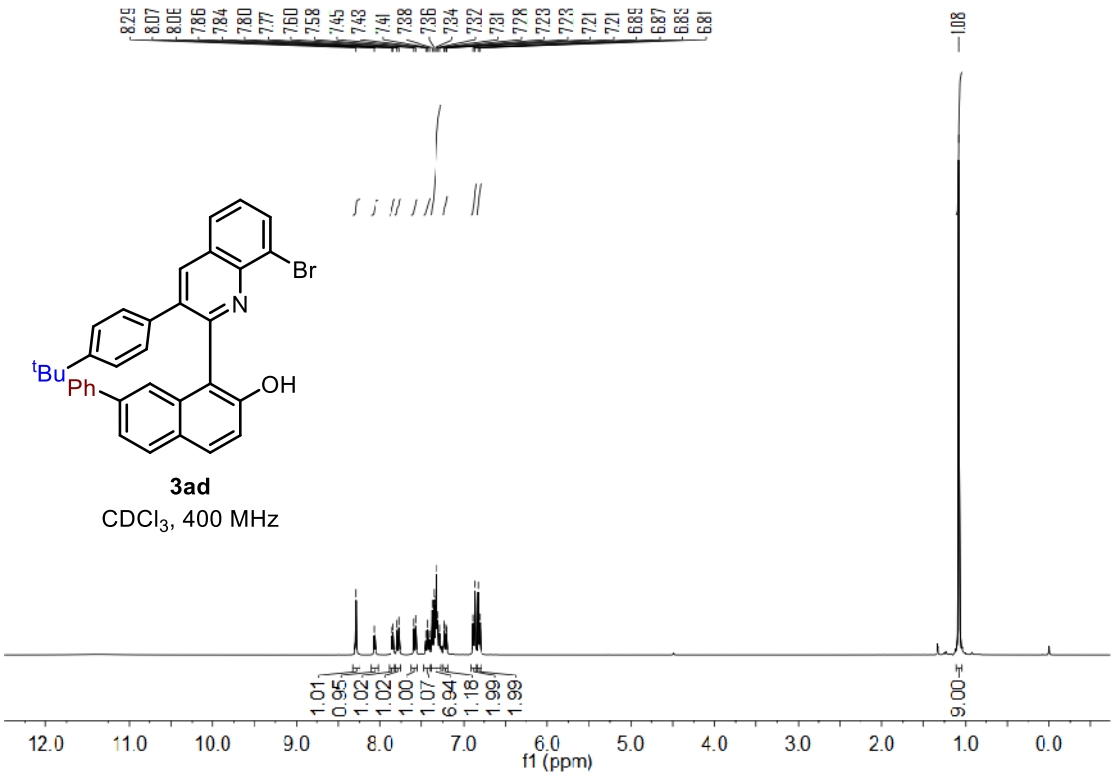


3ab

$CDCl_3$, 100 MHz

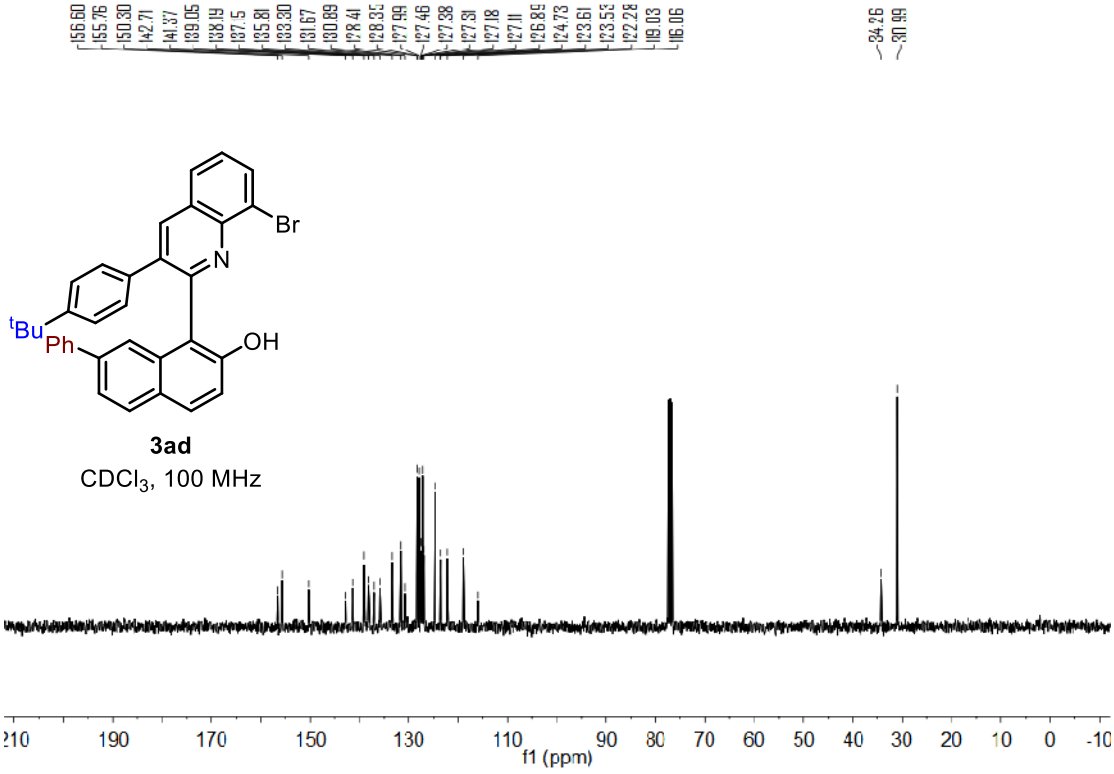

Br
N
Et
Ph
OH
3ac
CDCl3, 400 MHz
f1 (ppm)


Br
N
Et
Ph
OH
3ac
CDCl3, 100 MHz
f1 (ppm)



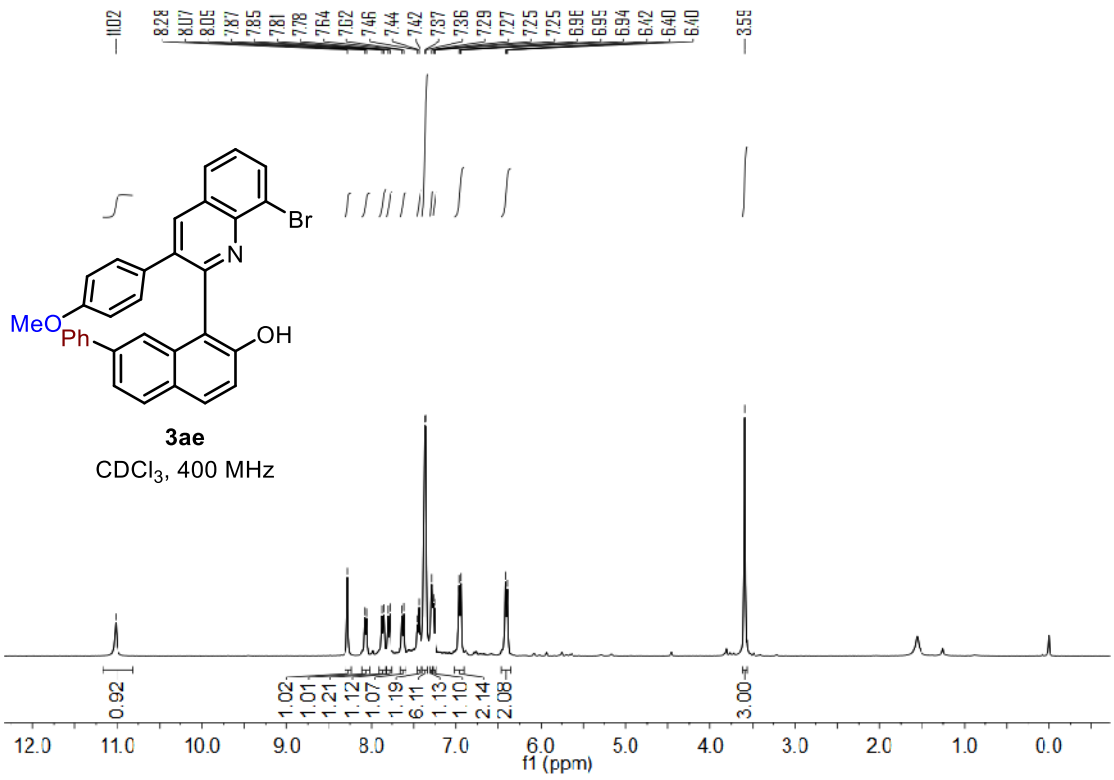
3ad

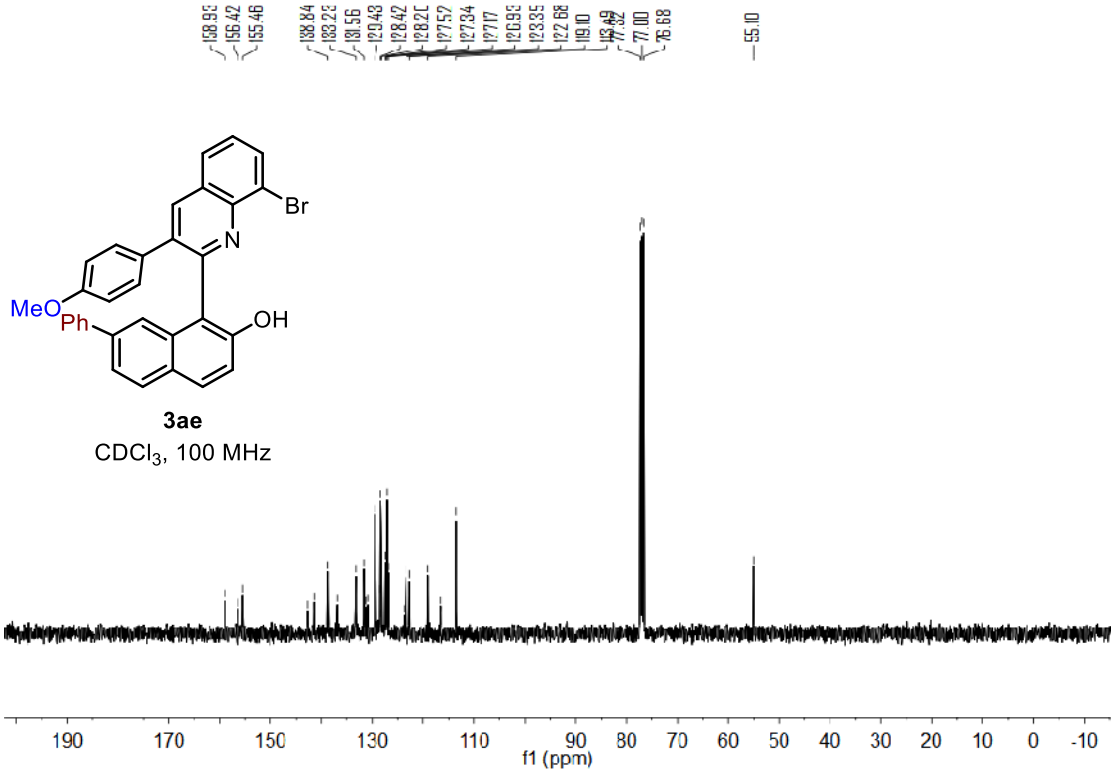
$CDCl_3$, 400 MHz

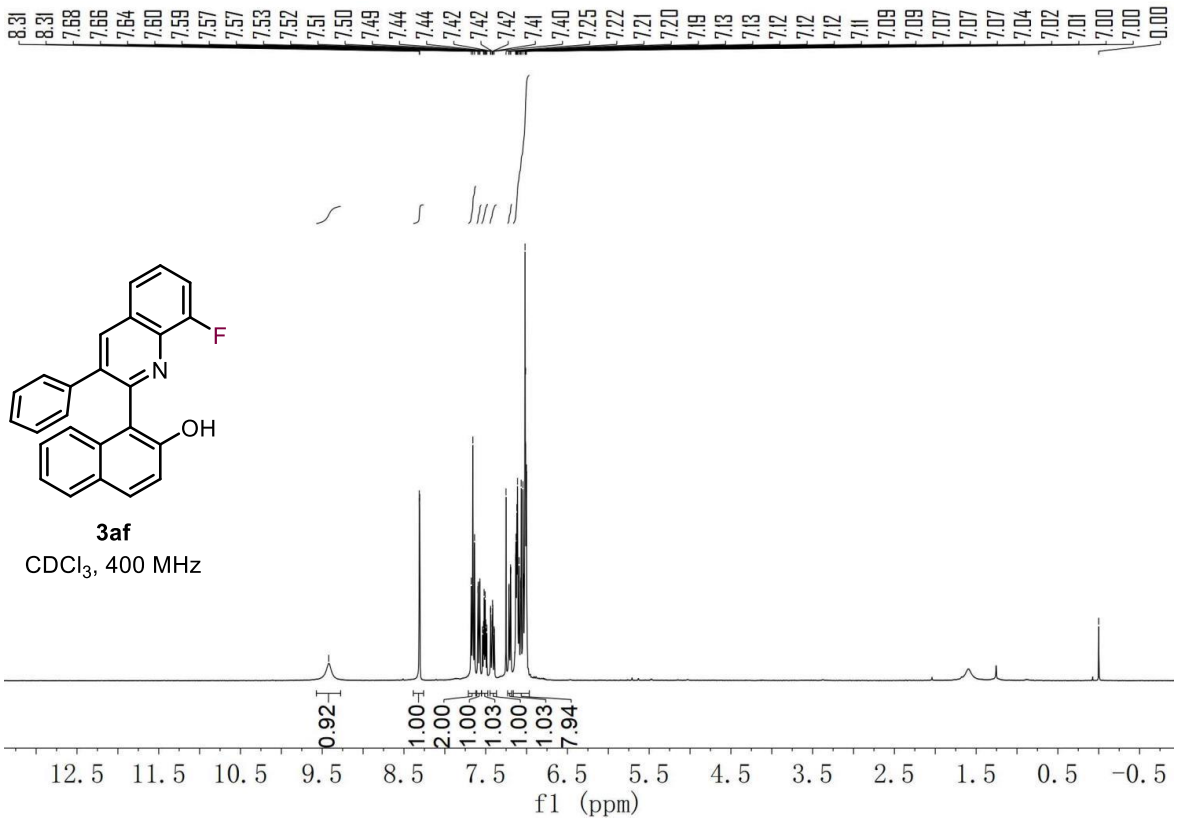


3ad

$CDCl_3$, 100 MHz

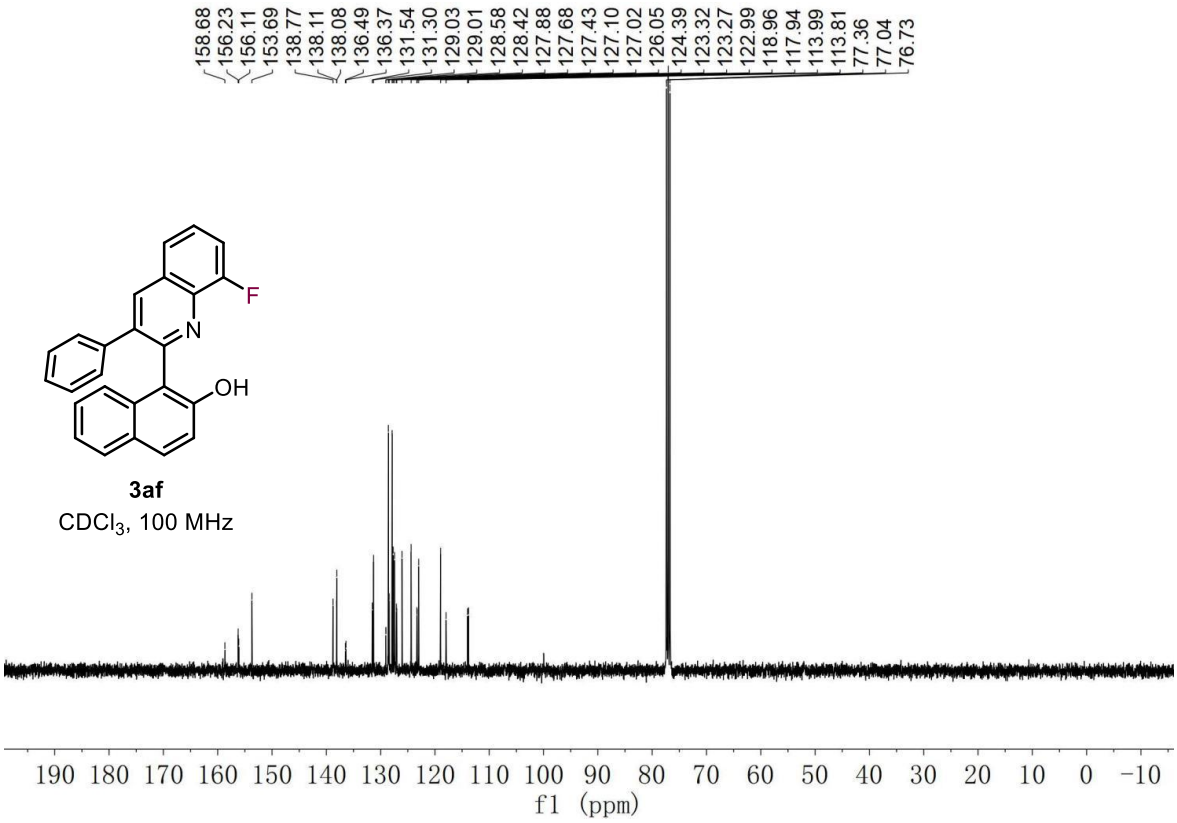

Br
N
MeO
Ph
OH
3ae
CDCl3, 400 MHz
f1 (ppm)


Br
N
MeO
Ph
OH
3ae
CDCl3, 100 MHz
f1 (ppm)



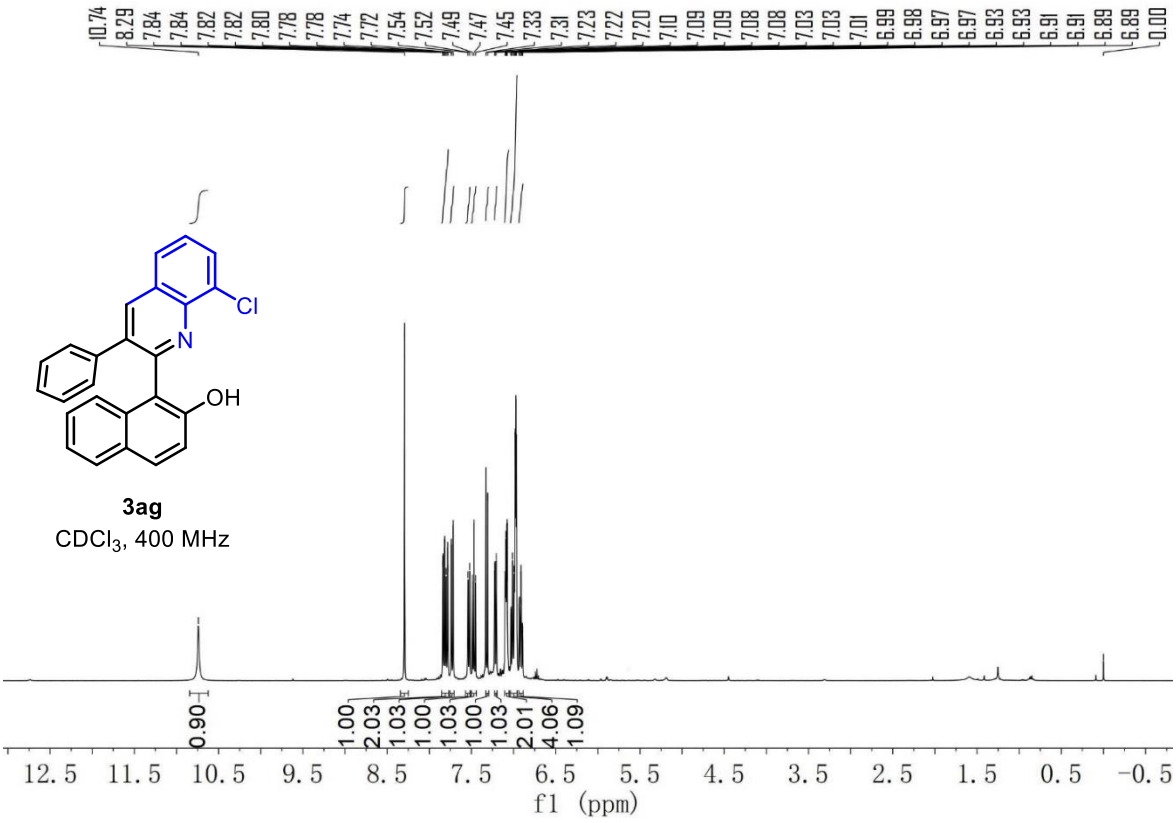
3af

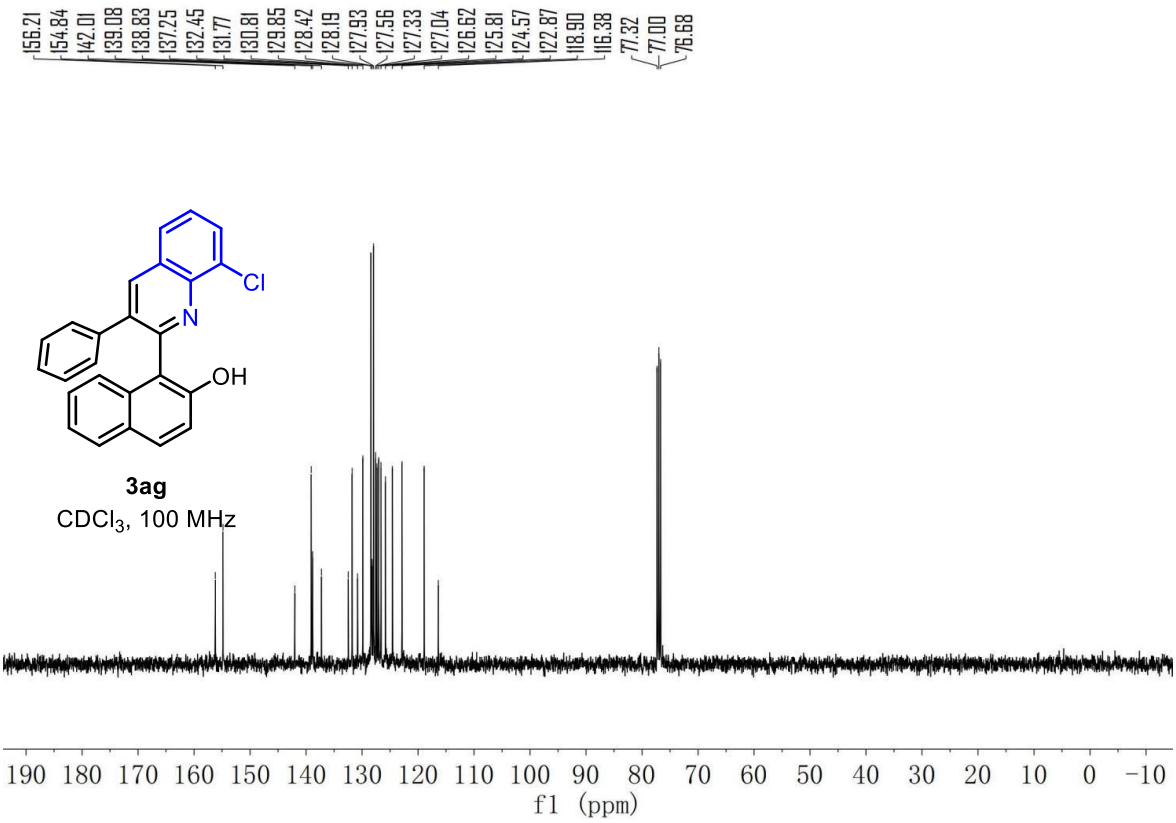
$CDCl_3$, 400 MHz

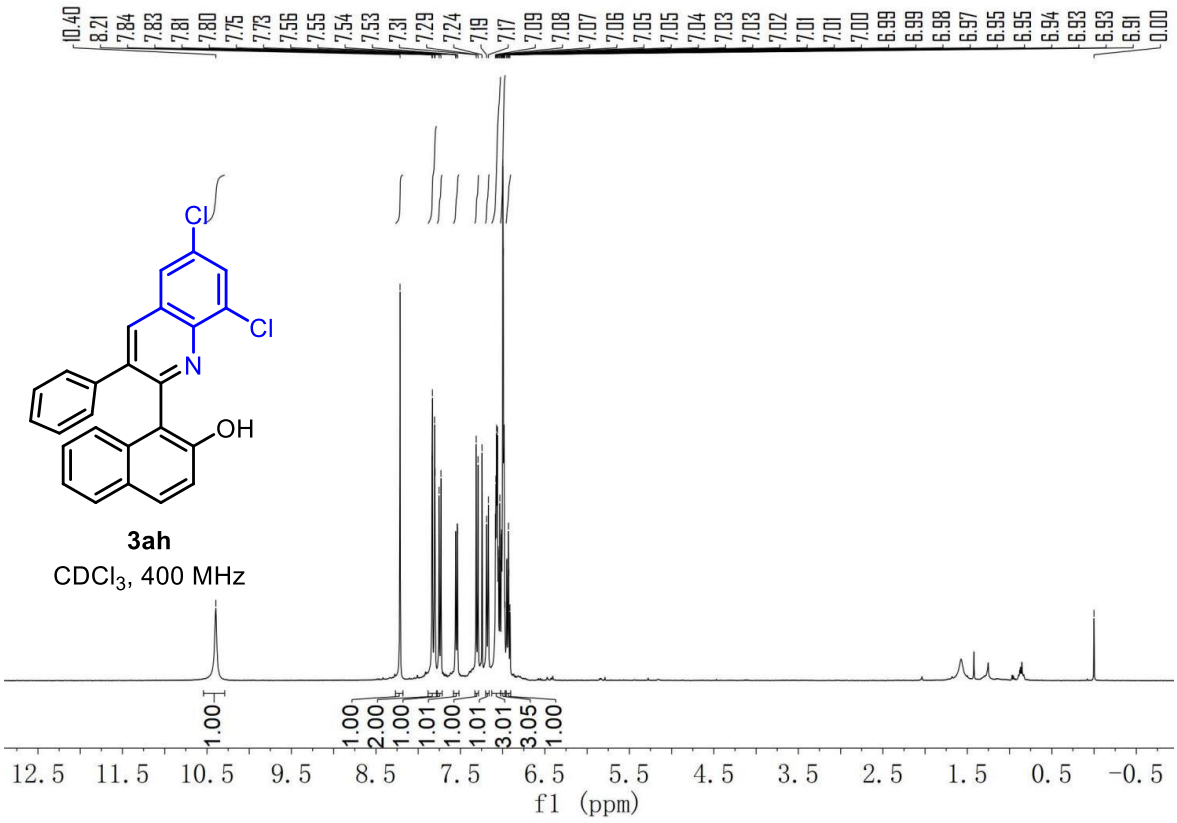


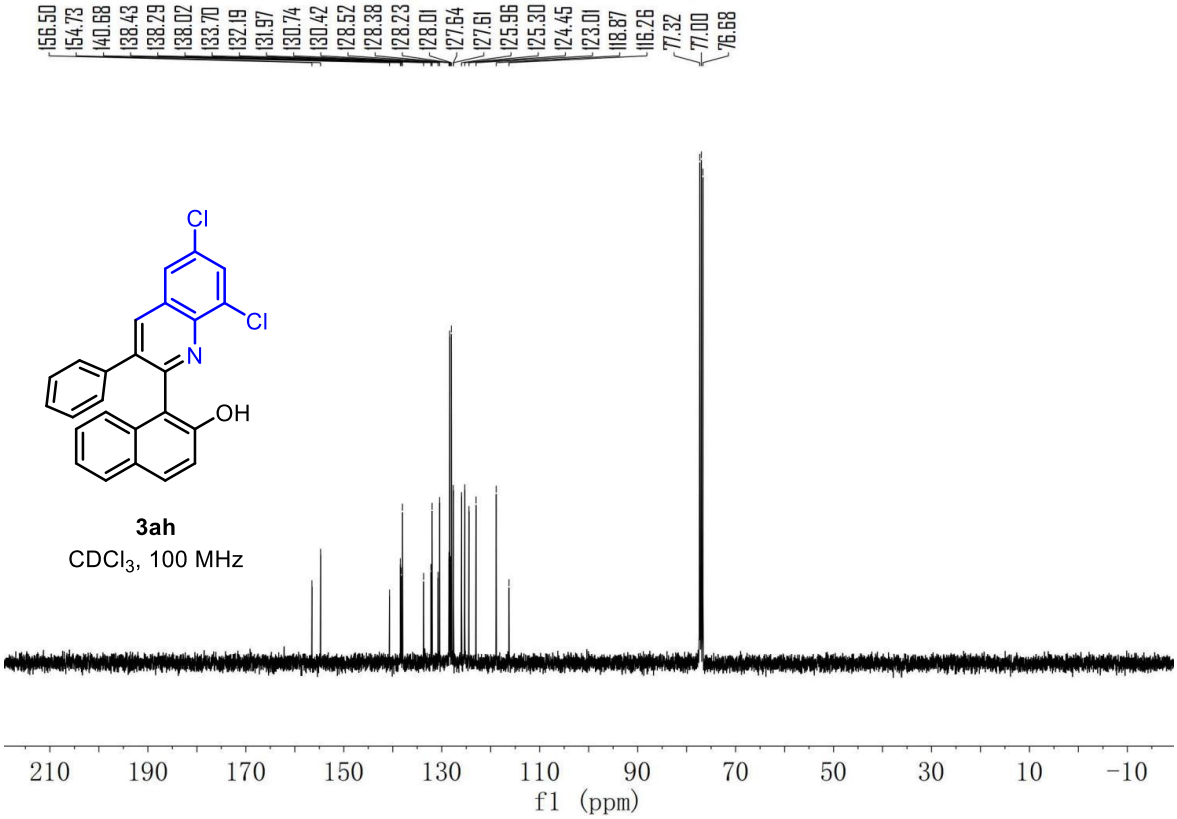
3af

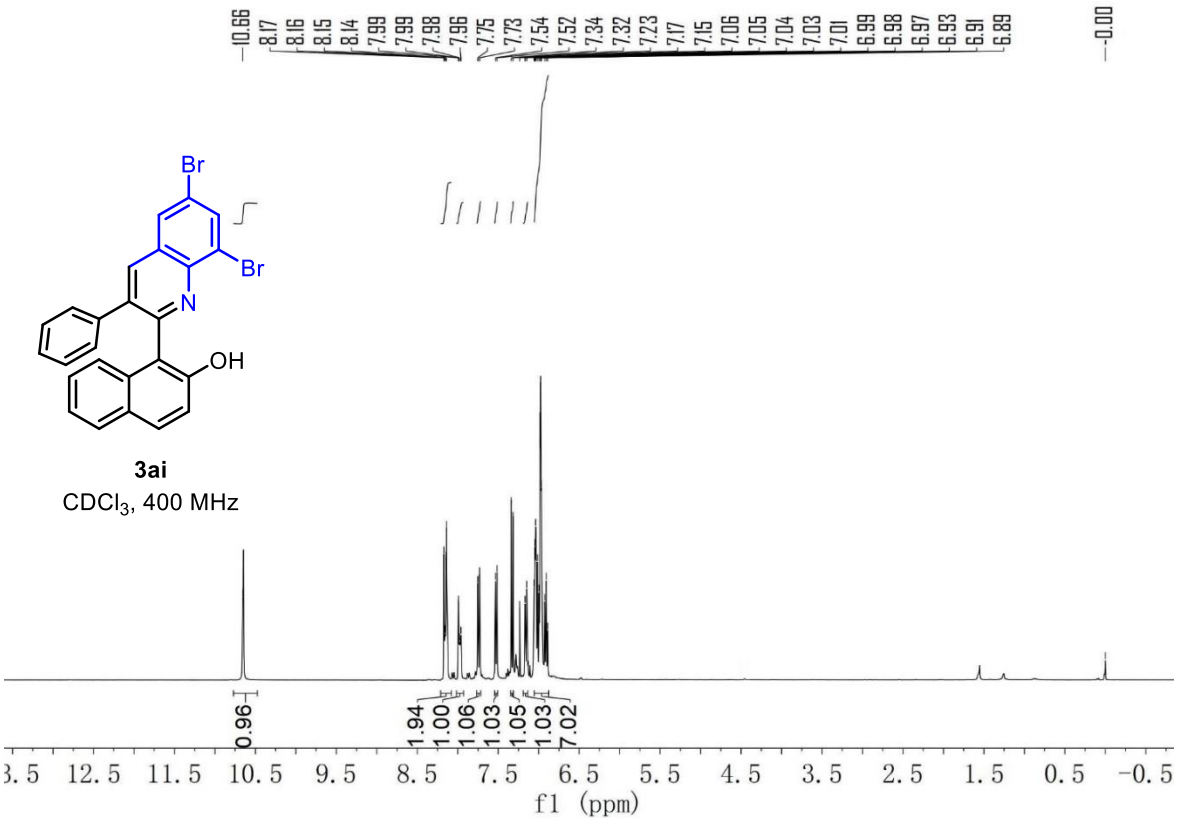
$CDCl_3$, 100 MHz


10.74
8.29
7.84
7.84
7.82
7.82
7.80
7.78
7.78
7.74
7.72
7.54
7.52
7.49
7.47
7.45
7.33
7.31
7.23
7.22
7.20
7.10
7.09
7.09
7.08
7.08
7.03
7.03
7.01
6.99
6.98
6.97
6.97
6.93
6.93
6.91
6.91
6.89
6.89
0.00
Cl
N
OH
3ag
CDCl3, 400 MHz
0.90
1.00
2.03
1.03
1.00
1.03
1.00
1.03
2.01
4.06
1.09
12.5 11.5 10.5 9.5 8.5 7.5 6.5 5.5 4.5 3.5 2.5 1.5 0.5 -0.5
f1 (ppm)


156.21
154.84
142.01
139.08
138.83
137.25
132.45
131.77
130.81
129.85
128.42
128.19
127.93
127.56
127.33
127.04
126.62
125.81
124.57
122.87
118.90
116.38
77.32
77.00
76.68
Cl
N
OH
3ag
CDCl3, 100 MHz
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

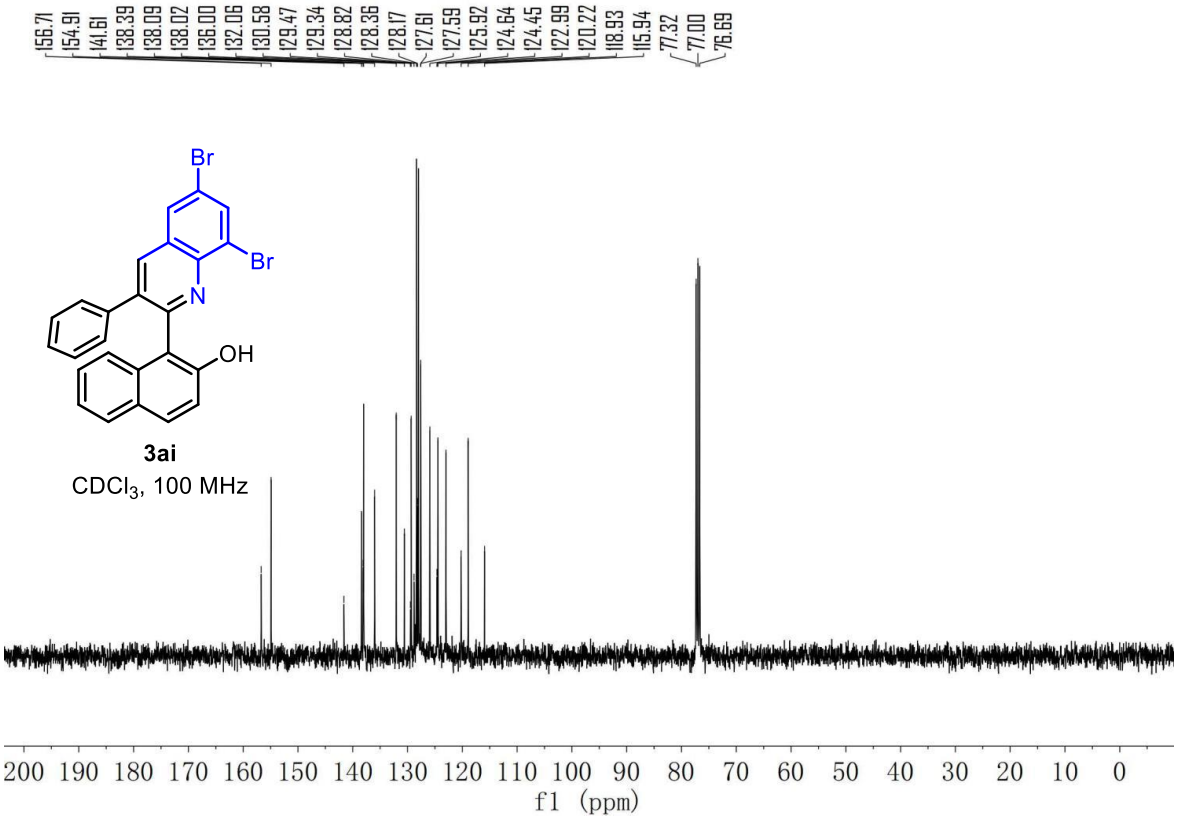

10.40
8.21
7.84
7.83
7.81
7.80
7.75
7.73
7.56
7.55
7.54
7.53
7.31
7.29
7.24
7.19
7.17
7.09
7.08
7.07
7.06
7.05
7.05
7.04
7.03
7.03
7.02
7.01
7.01
7.00
6.99
6.99
6.98
6.97
6.95
6.95
6.94
6.93
6.93
6.91
0.00
Cl
Cl
N
OH
3ah
CDCl3, 400 MHz
1.00
1.00
2.00
1.00
1.01
1.00
1.01
3.01
3.05
1.00
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)


156.50
154.73
140.68
138.43
138.29
138.02
133.70
132.19
131.97
130.74
130.42
128.52
128.38
128.23
128.01
127.64
127.61
125.96
125.30
124.45
123.01
118.87
116.26
77.32
77.00
76.68
Cl
Cl
N
OH
3ah
CDCl3, 100 MHz
210
190
170
150
130
110
90
70
50
30
10
−10
f1 (ppm)



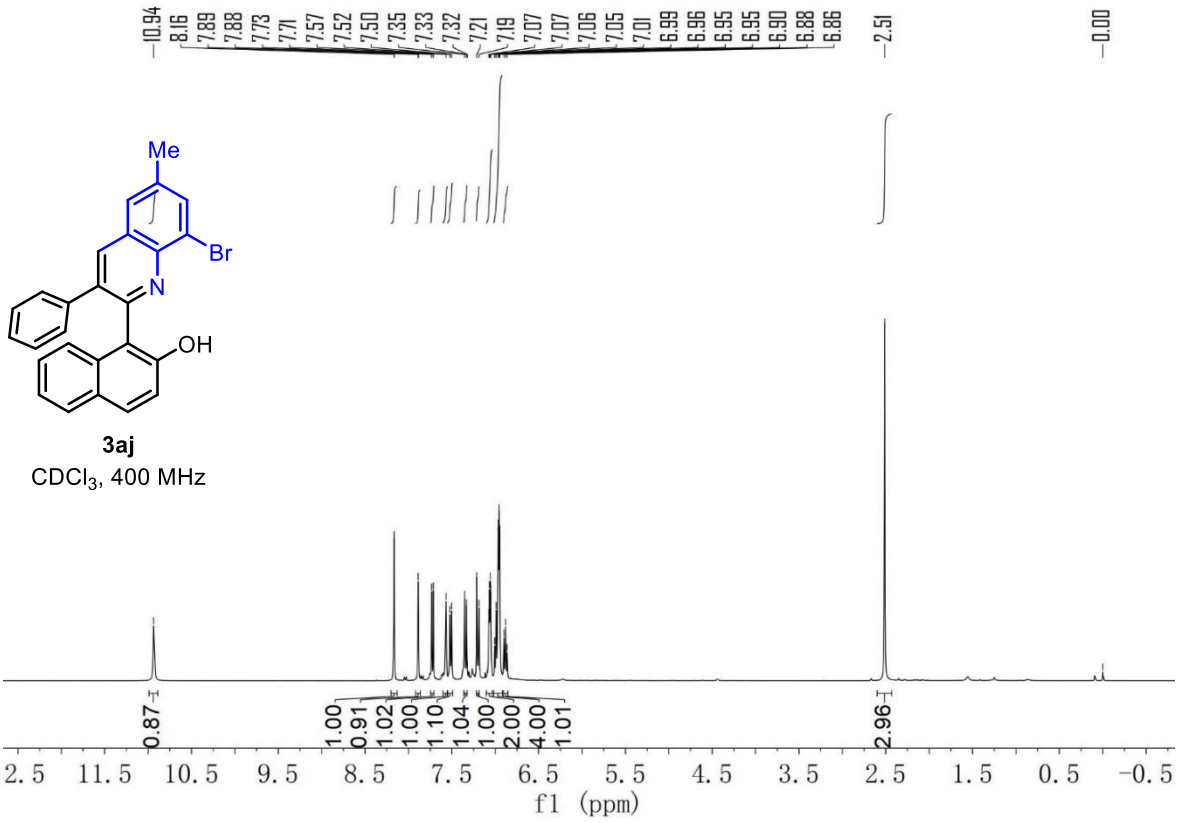
3ai

$CDCl_3$, 400 MHz



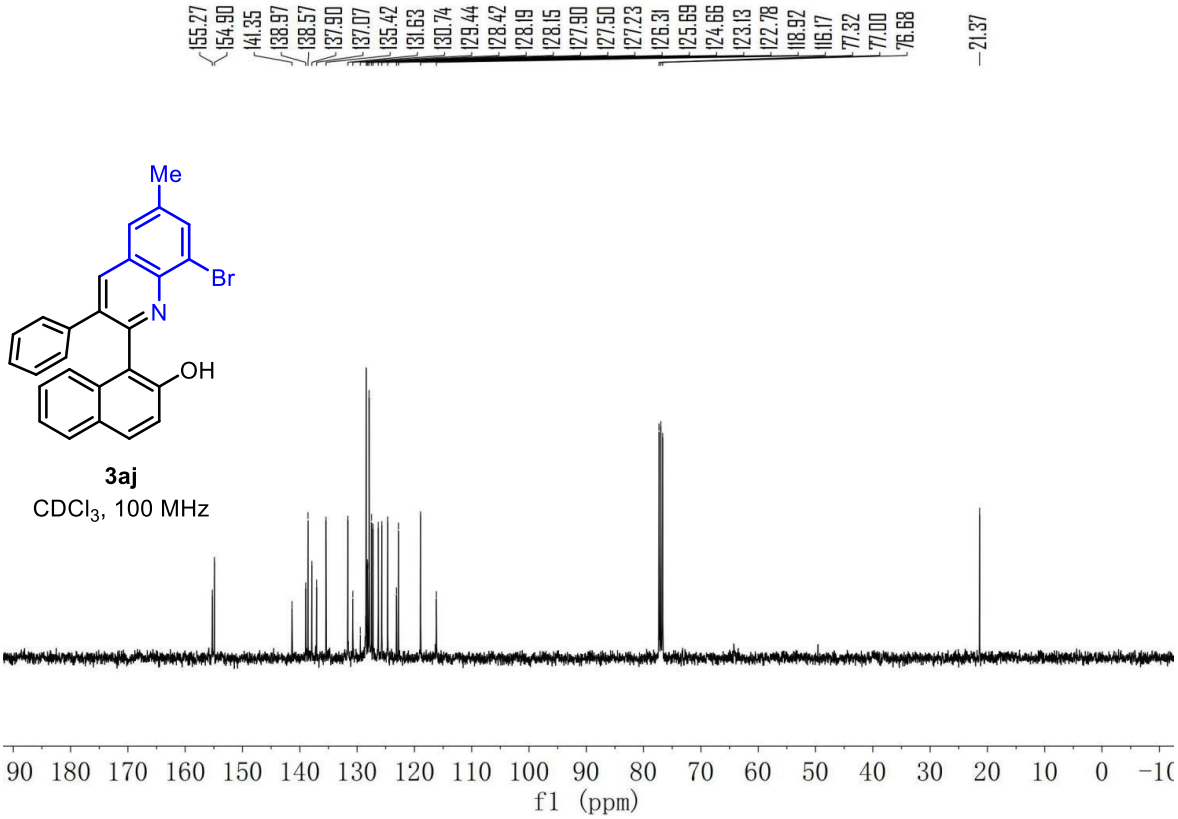
3ai

$CDCl_3$, 100 MHz



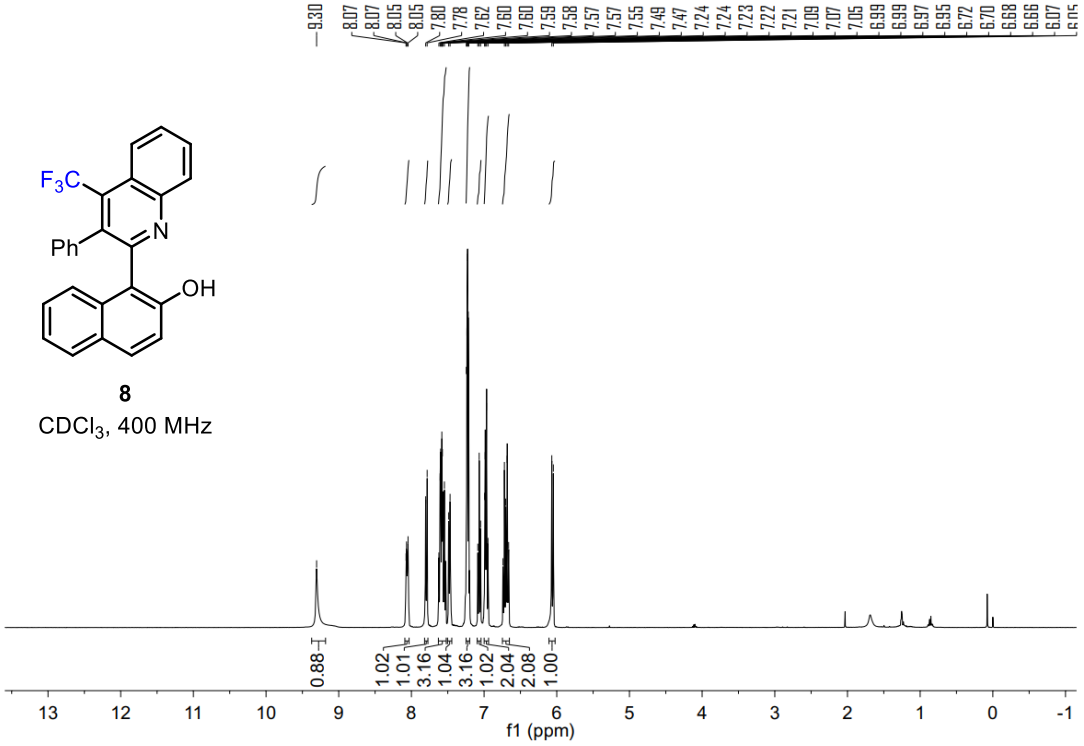
3aj

$CDCl_3$, 400 MHz



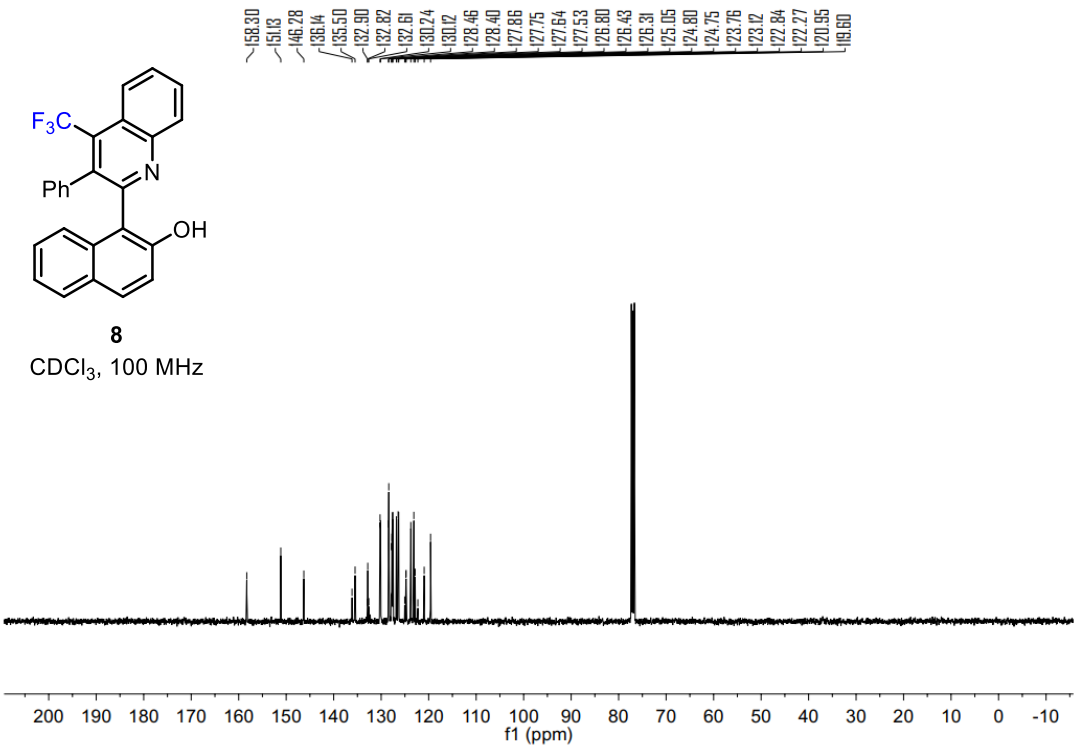
3aj

$CDCl_3$, 100 MHz



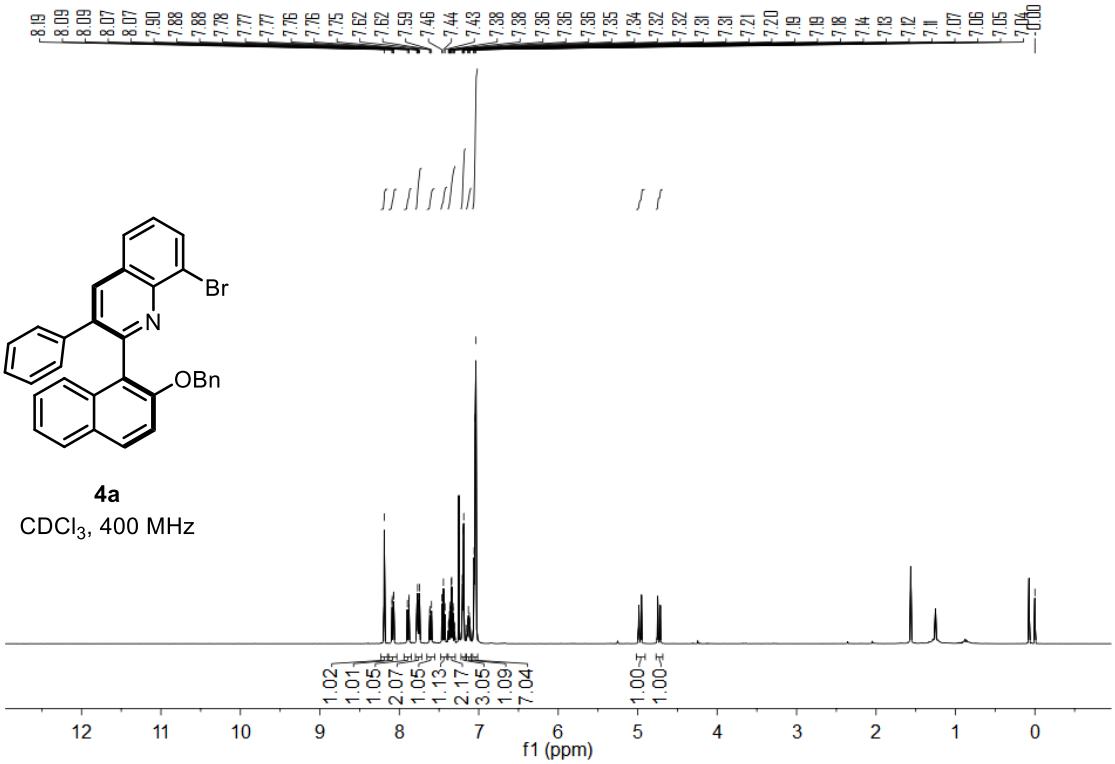
8

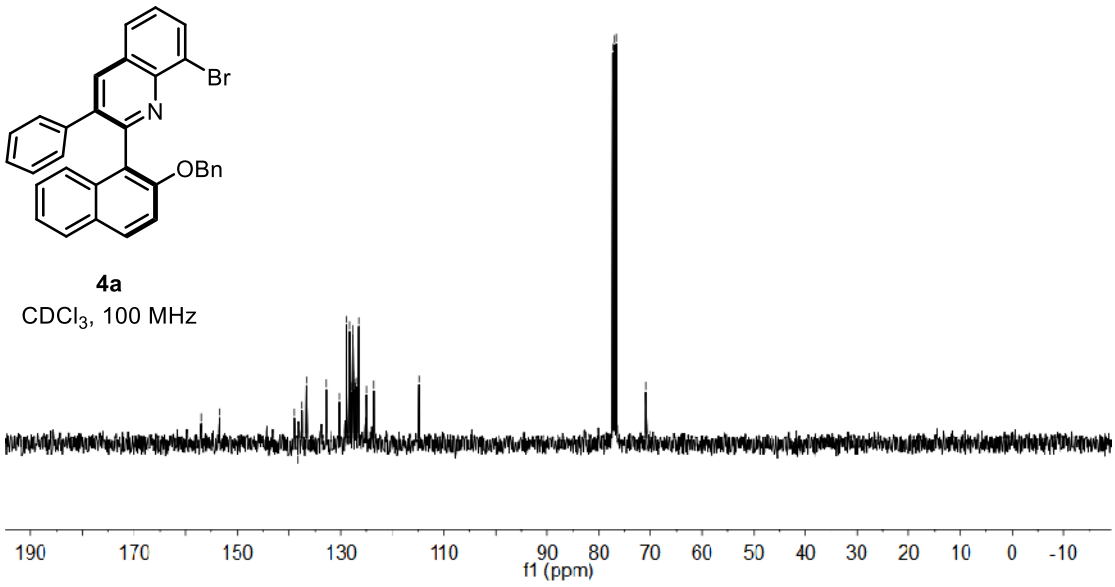
$CDCl_3$, 400 MHz

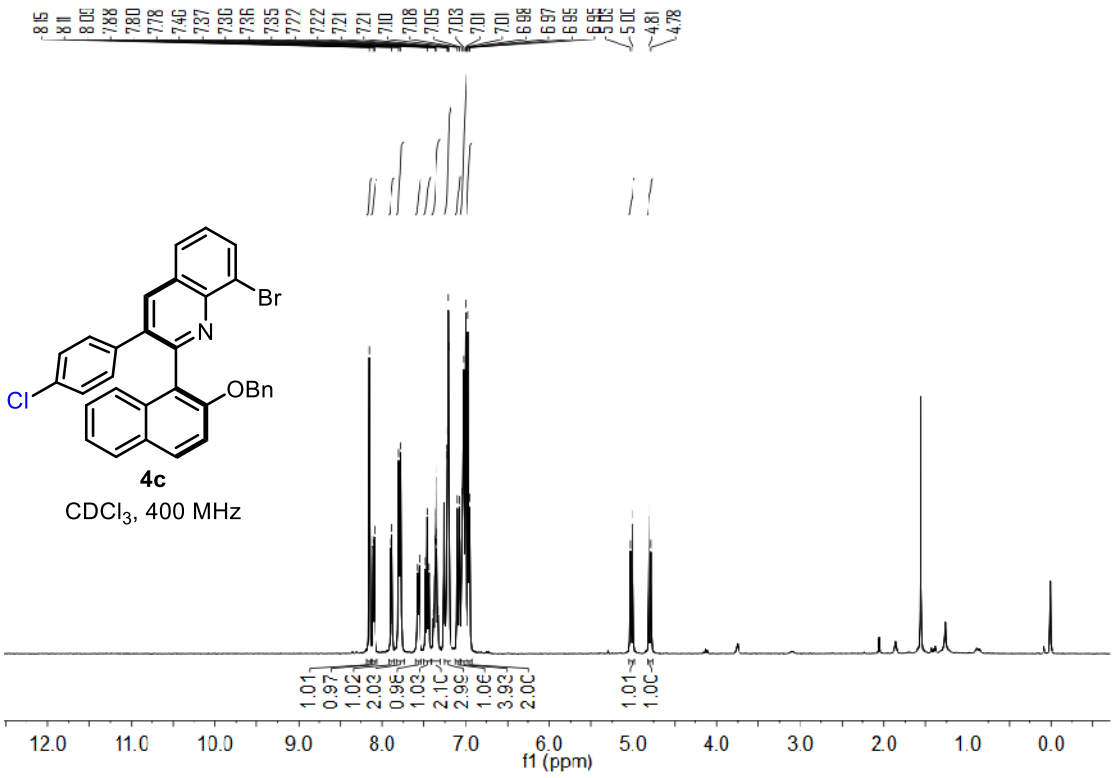


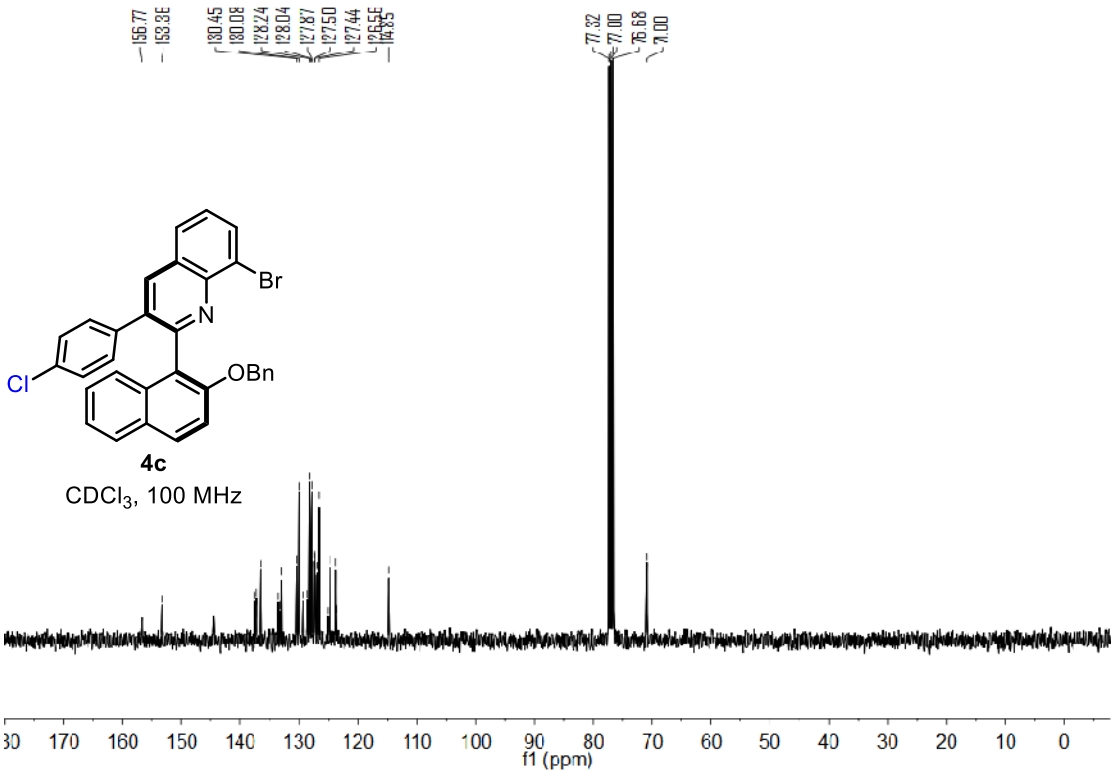
8

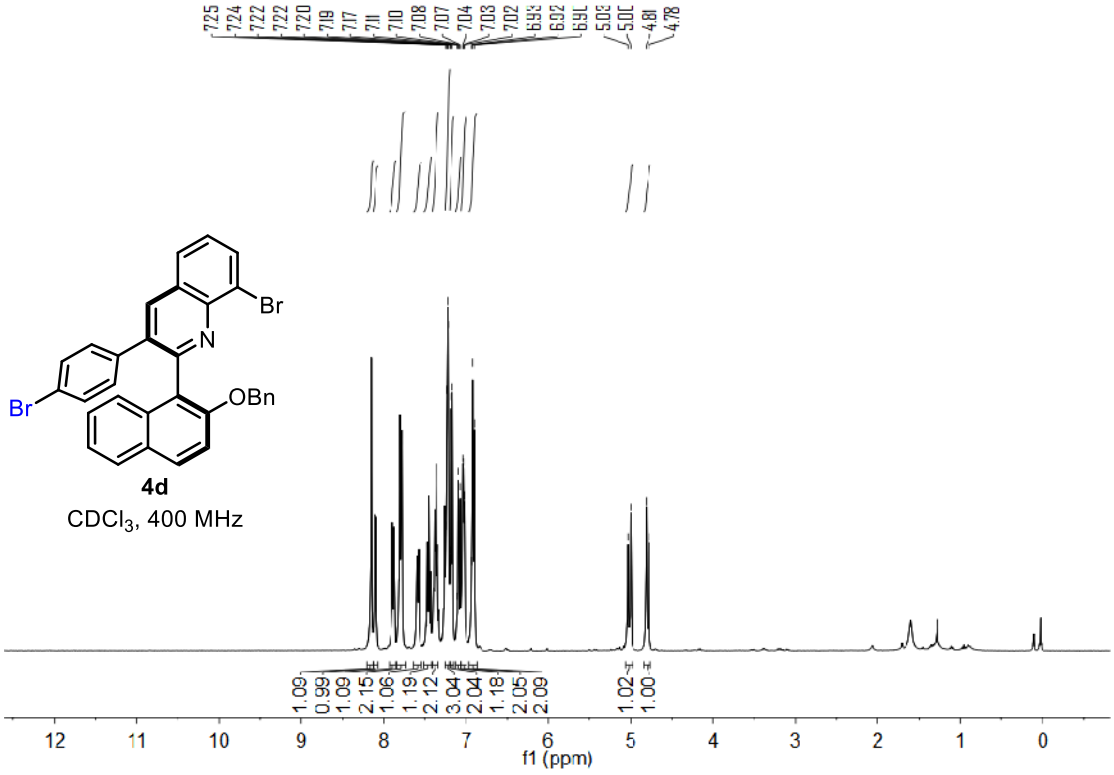
$CDCl_3$, 100 MHz

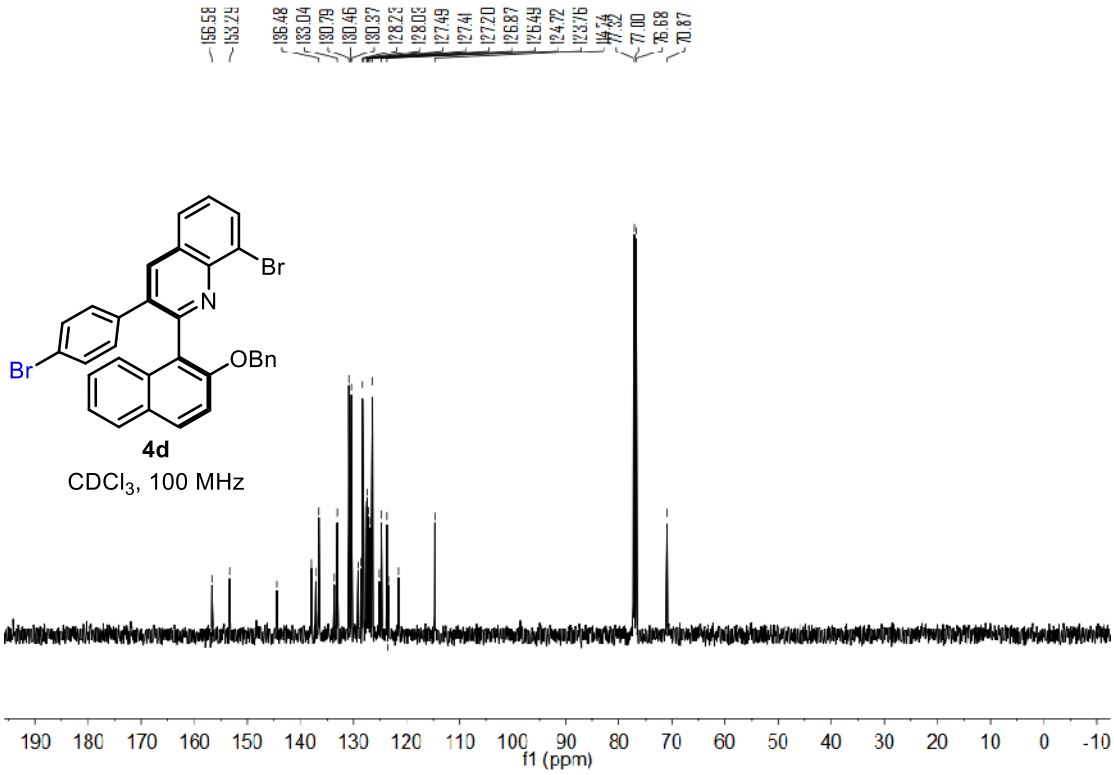

Br
N
OBn
4a
CDCl3, 400 MHz
f1 (ppm)

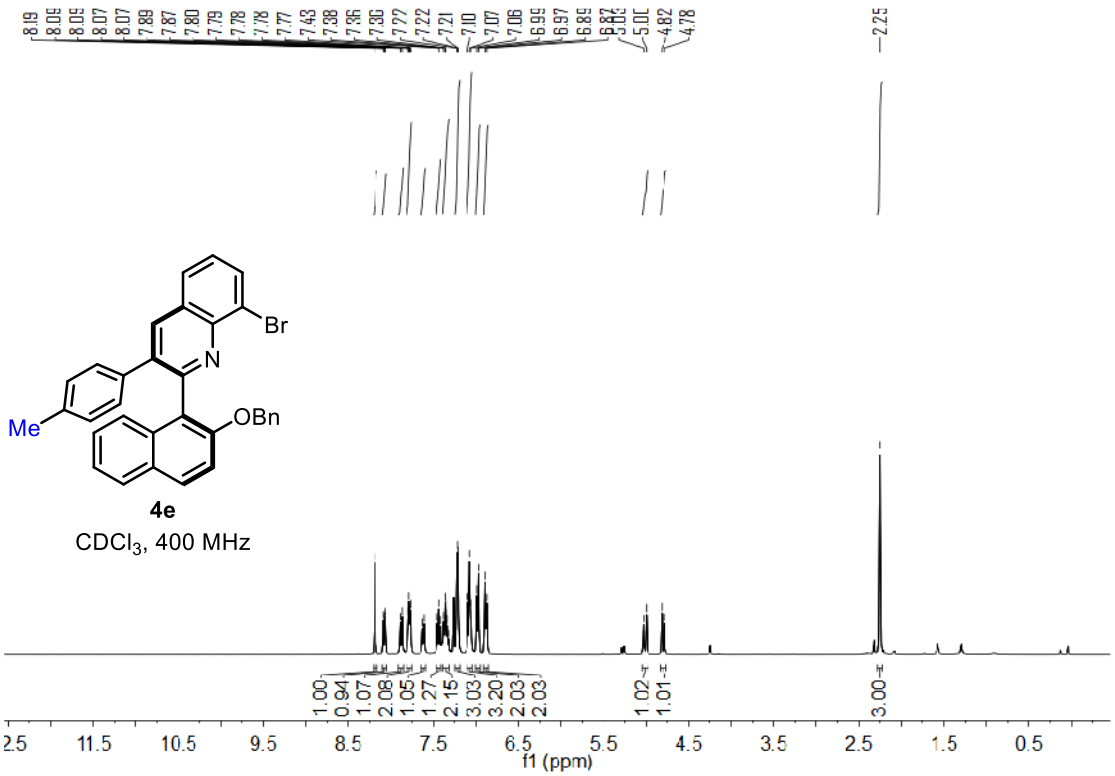

Br
N
OBn
4a
CDCl3, 100 MHz
f1 (ppm)

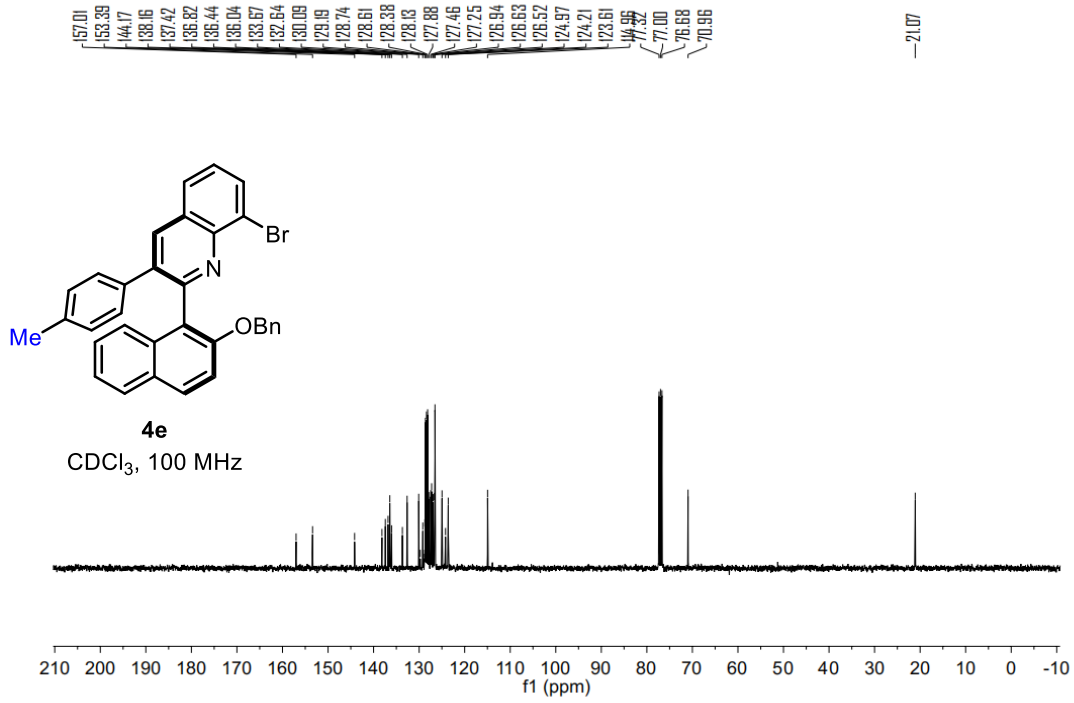

Br
N
Cl
OBn
4c
CDCl3, 400 MHz
f1 (ppm)

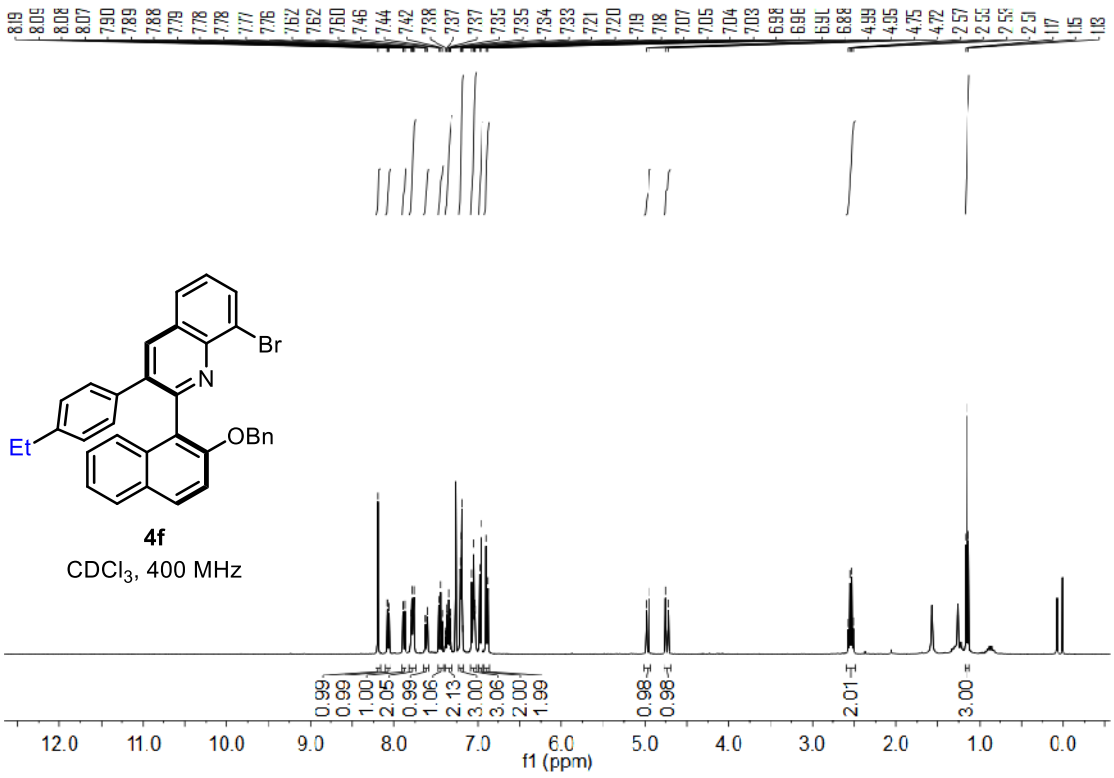

Br
N
Cl
OBn
4c
CDCl3, 100 MHz
f1 (ppm)

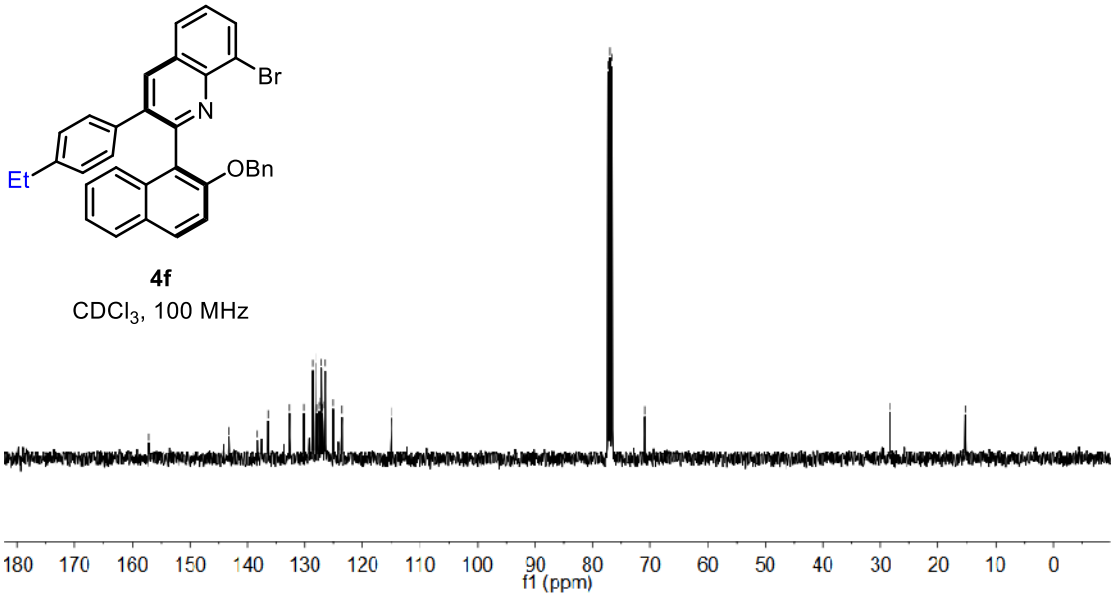

Br
N
Br
OBn
4d
CDCl3, 400 MHz
f1 (ppm)

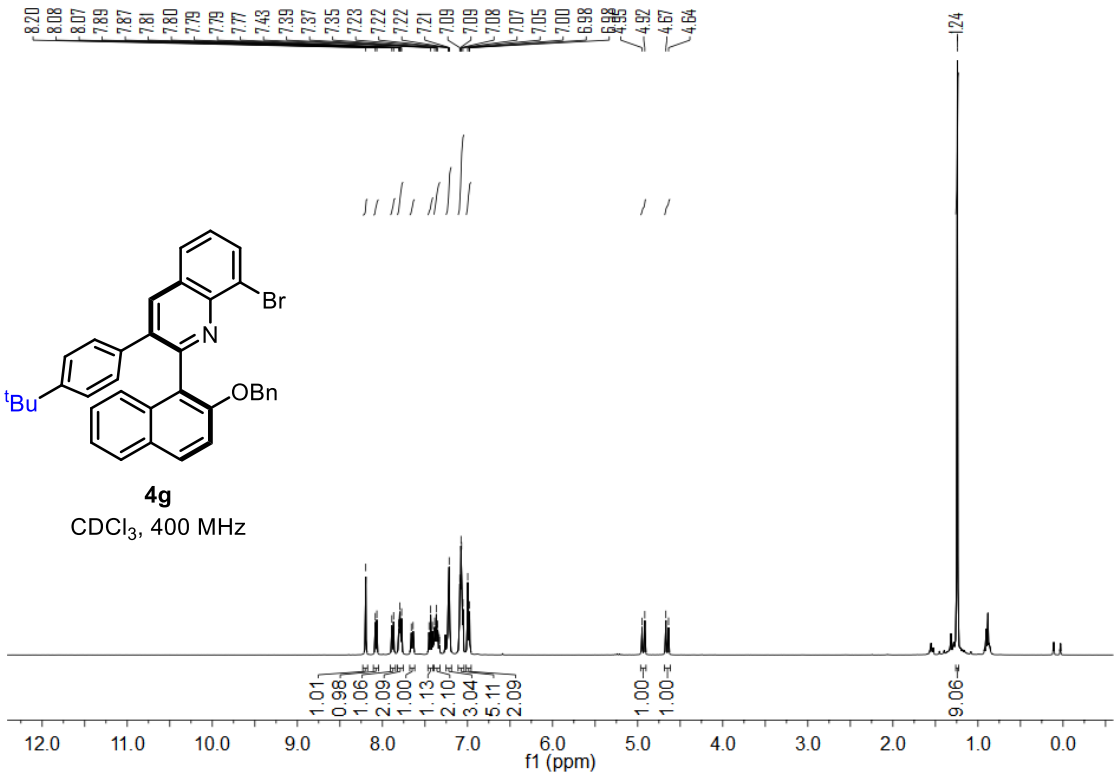

Br
N
Br
OBn
4d
CDCl3, 100 MHz
f1 (ppm)


Br
N
Me
OBn
4e
CDCl3, 400 MHz
f1 (ppm)


Br
N
Me
OBn
4e
CDCl3, 100 MHz
f1 (ppm)

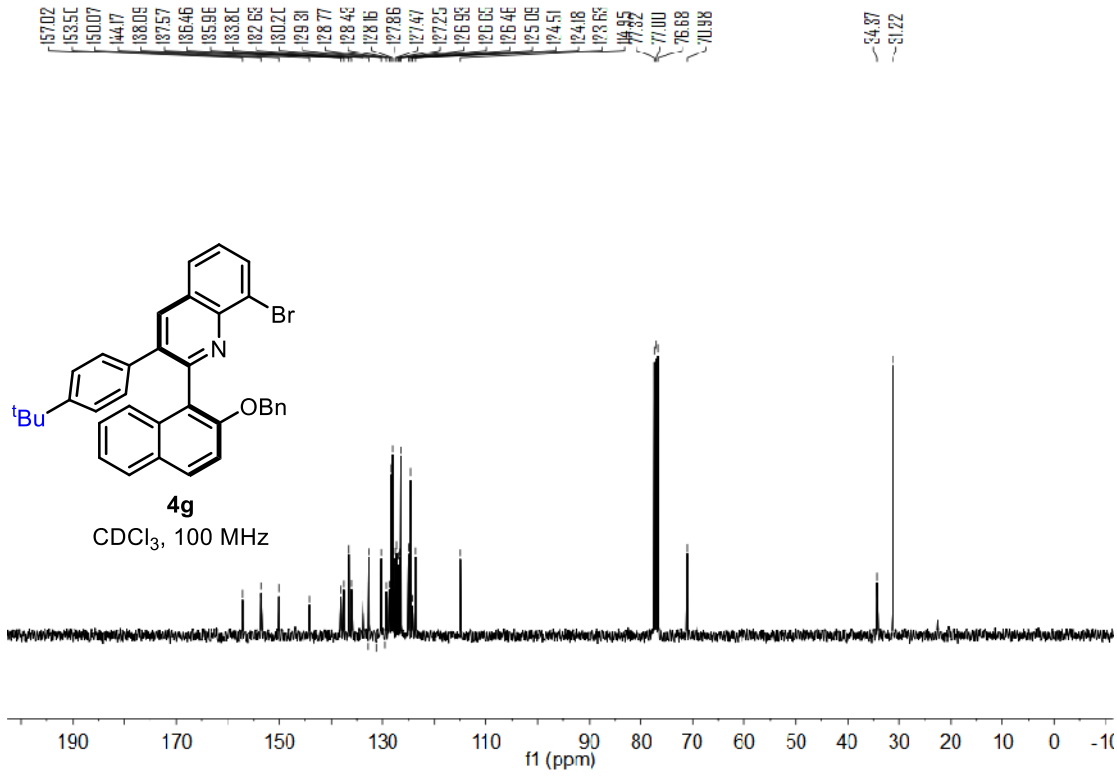

Br
N
Et
OBn
4f
CDCl3, 400 MHz
f1 (ppm)


Br
N
Et
OBn
4f
CDCl3, 100 MHz
f1 (ppm)



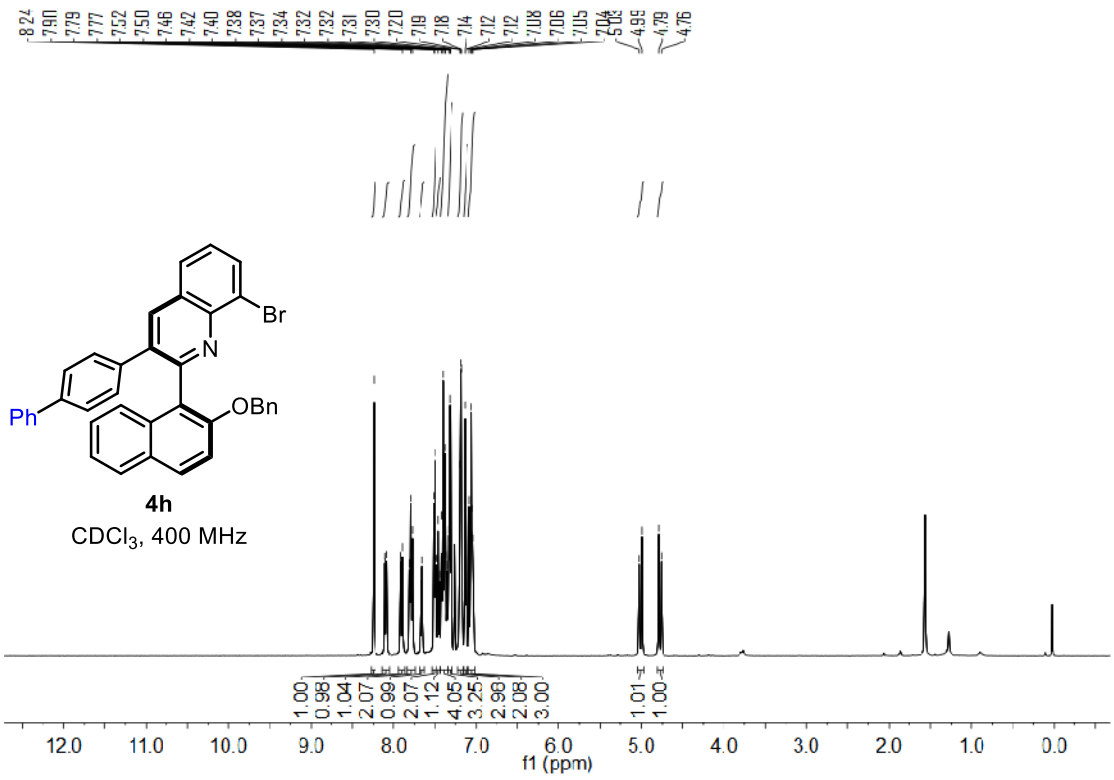
4g

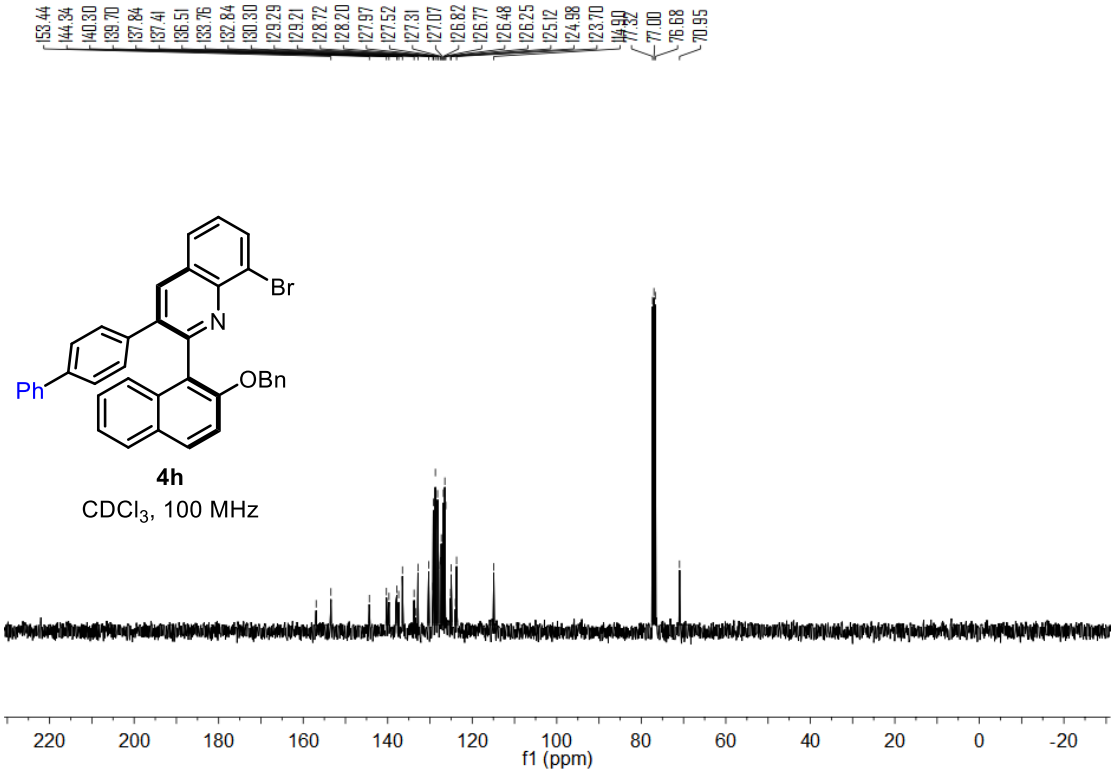
$CDCl_3$, 400 MHz

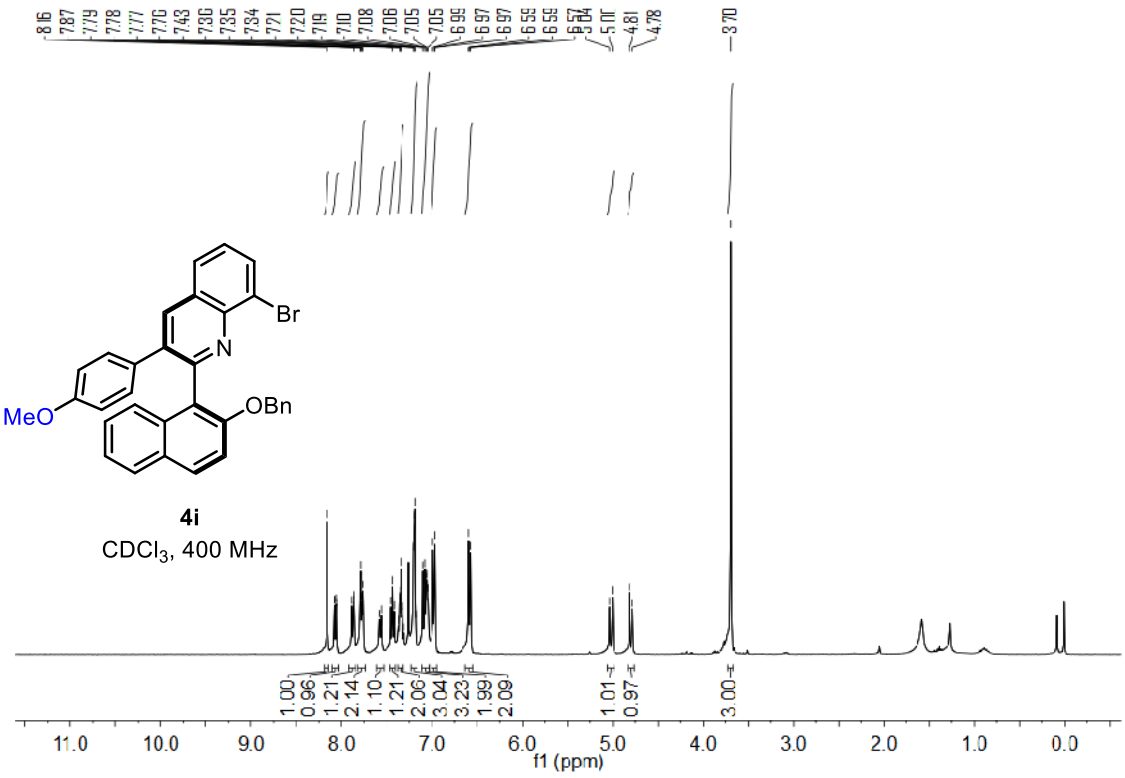


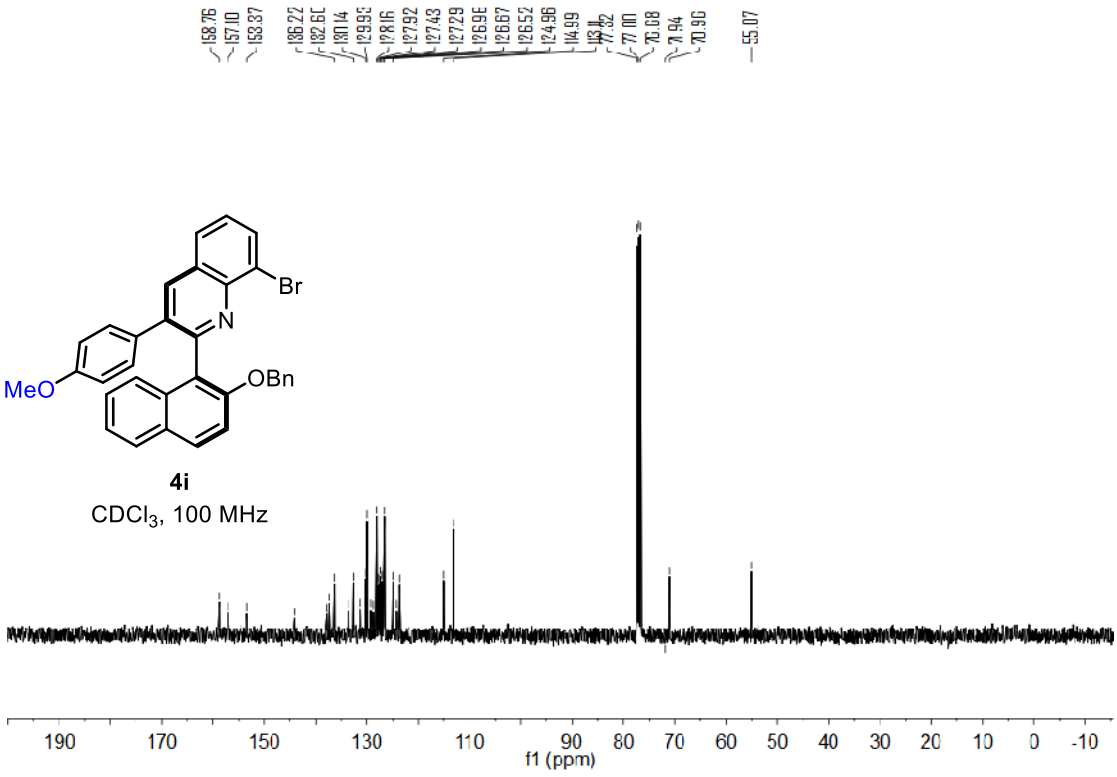
4g

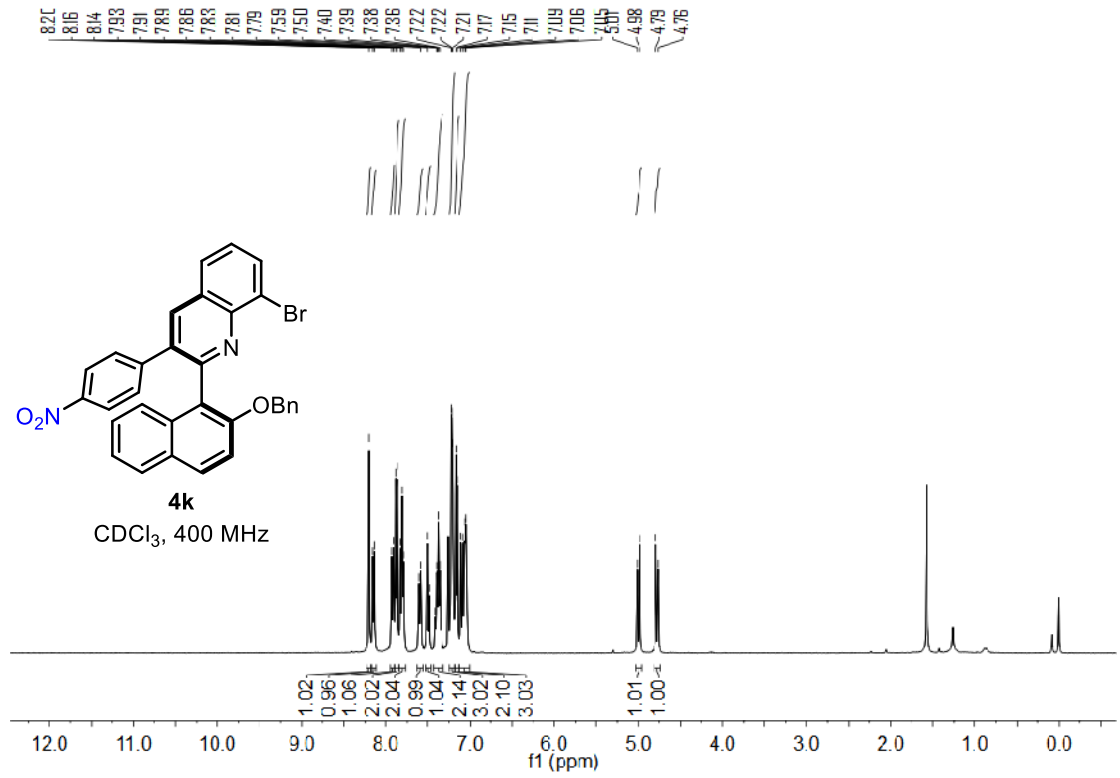
$CDCl_3$, 100 MHz


Br
N
Ph
OBn
4h
CDCl3, 400 MHz
f1 (ppm)


Br
N
Ph
OBn
4h
CDCl3, 100 MHz
f1 (ppm)

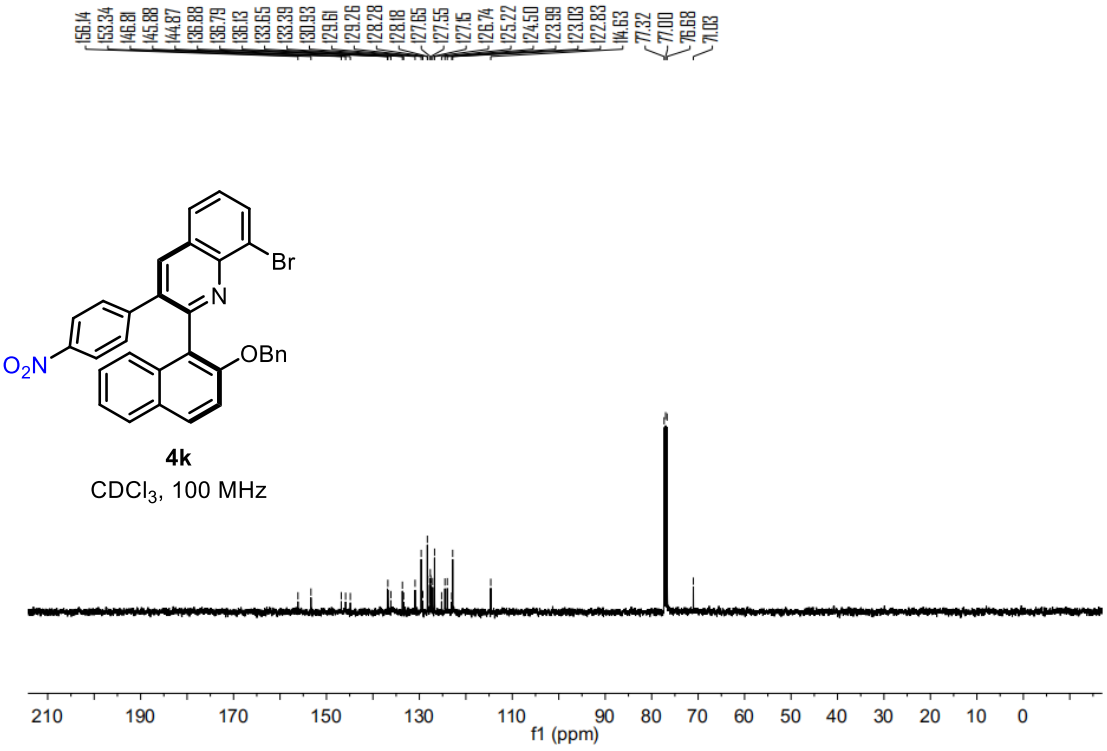

Br
N
MeO
OBn
4i
CDCl3, 400 MHz
f1 (ppm)


Br
N
MeO
OBn
4i
CDCl3, 100 MHz
f1 (ppm)



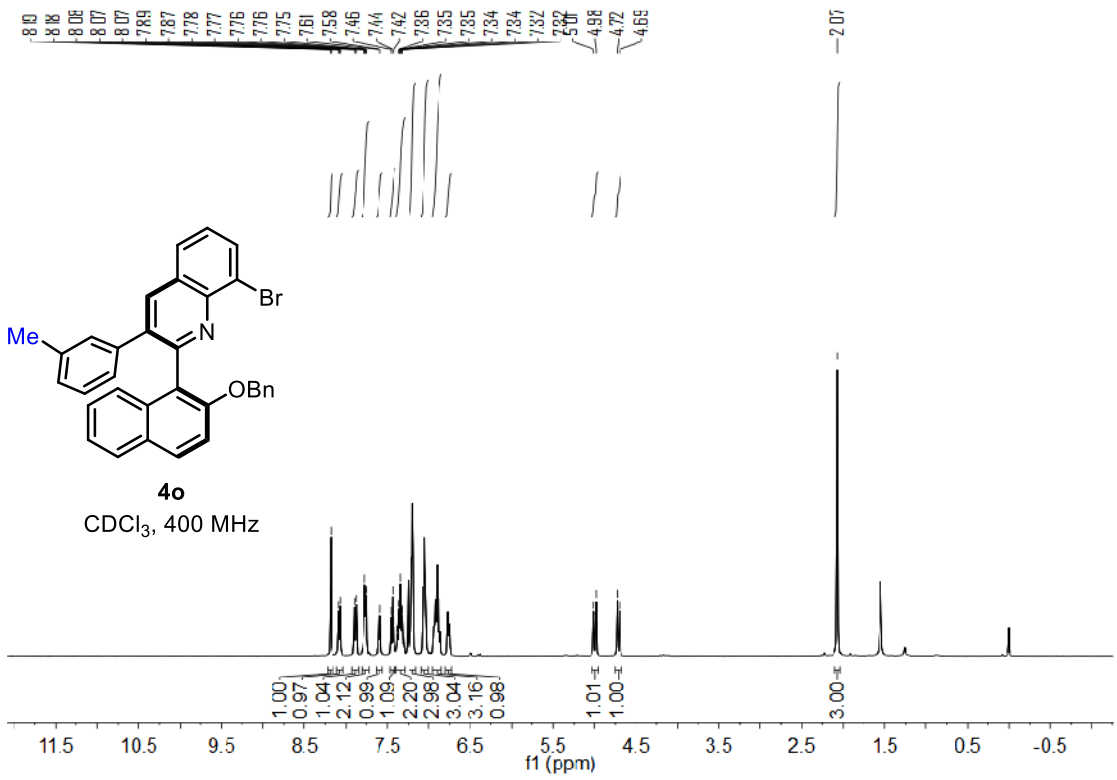
4k

$CDCl_3$, 400 MHz



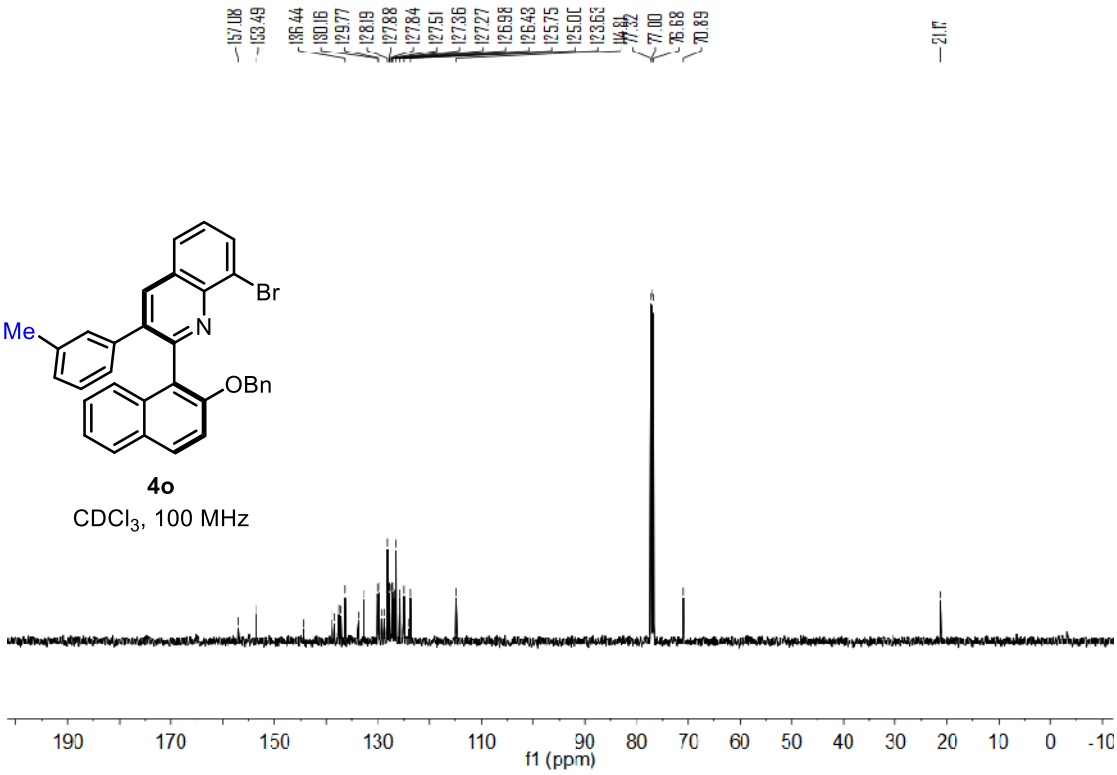
4k

$CDCl_3$, 100 MHz



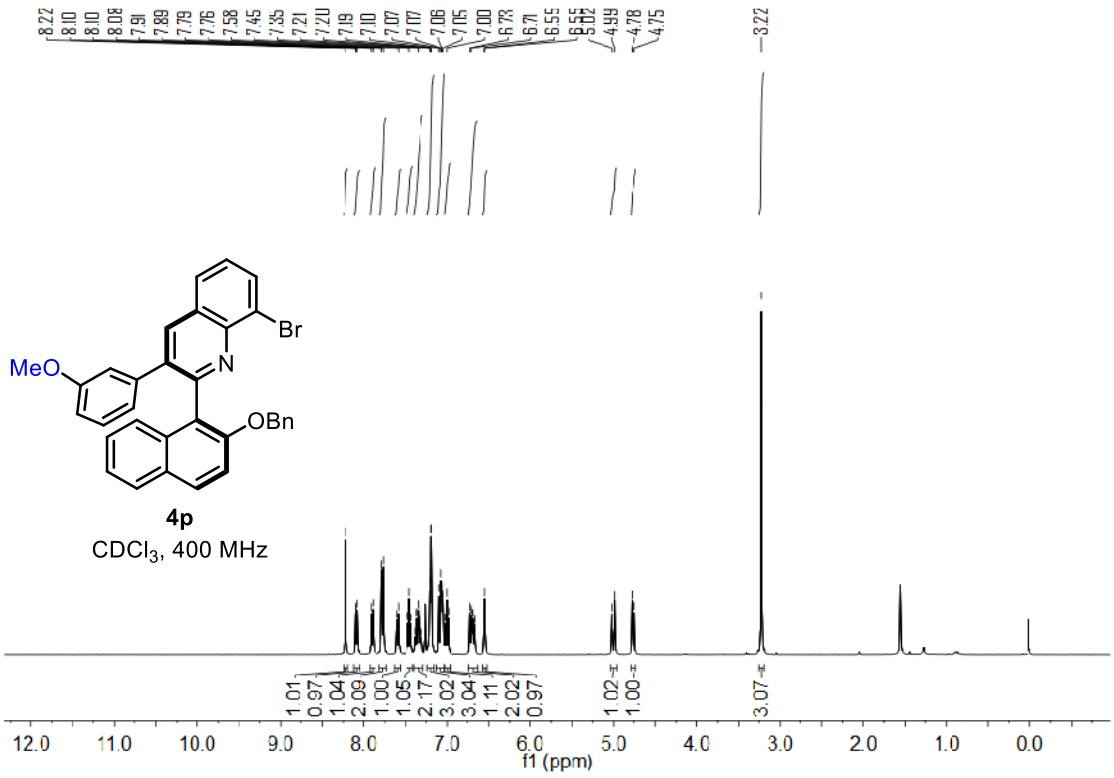
4o

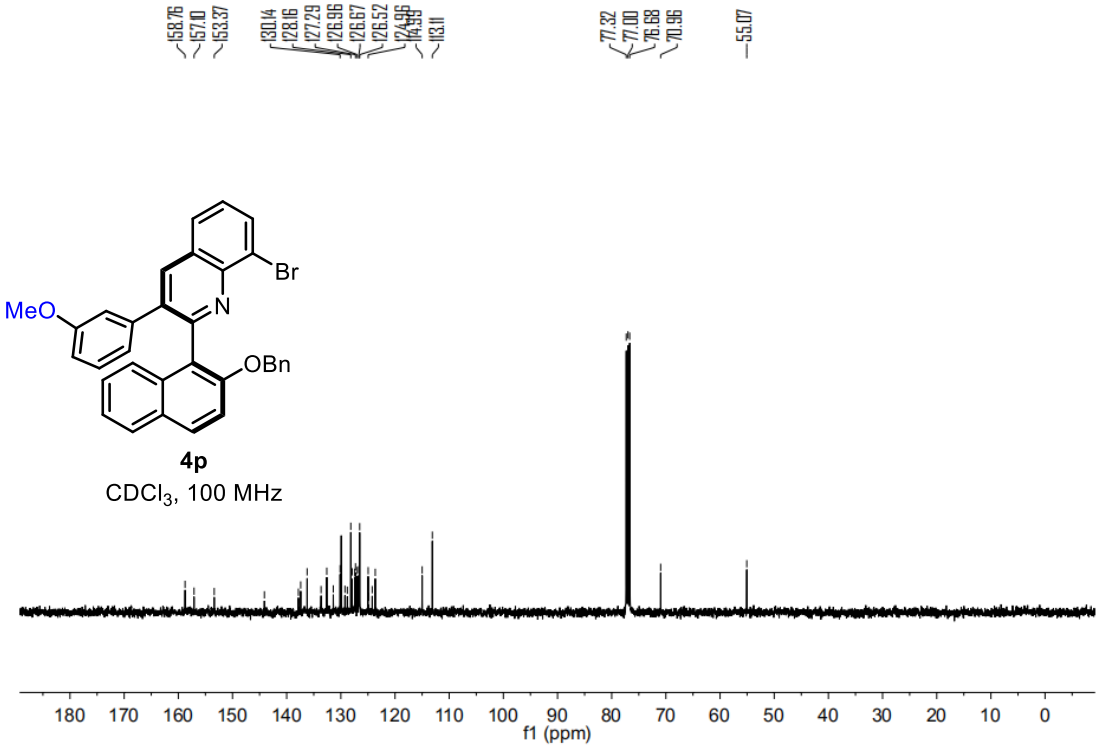
$CDCl_3$, 400 MHz

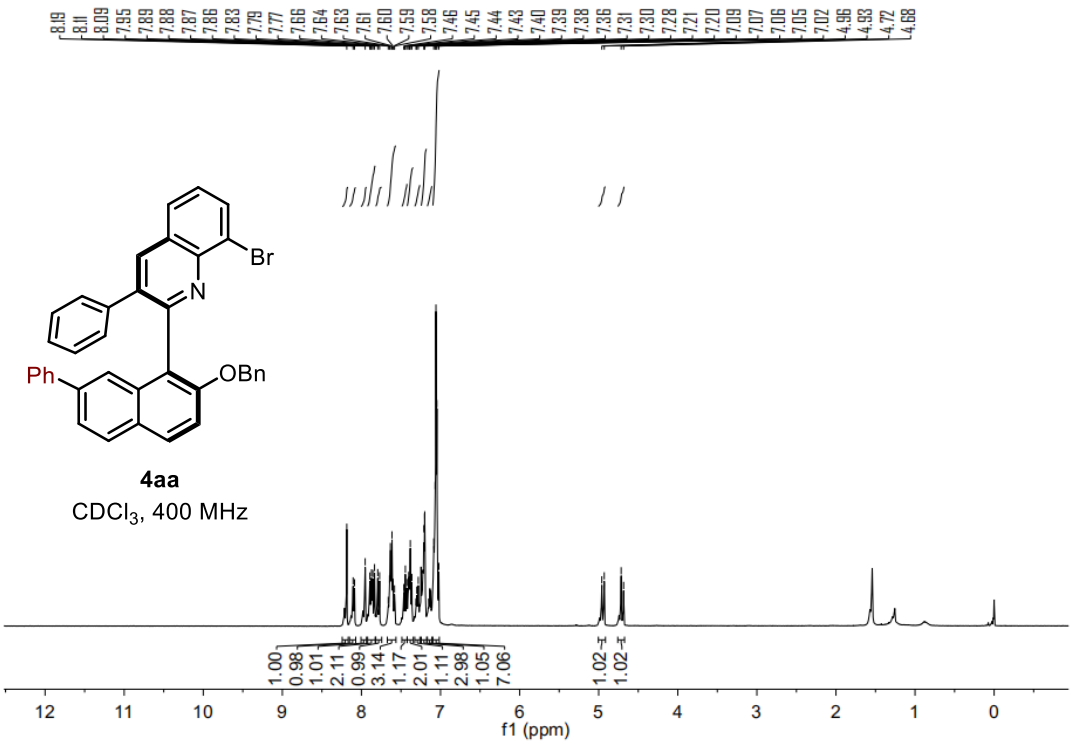


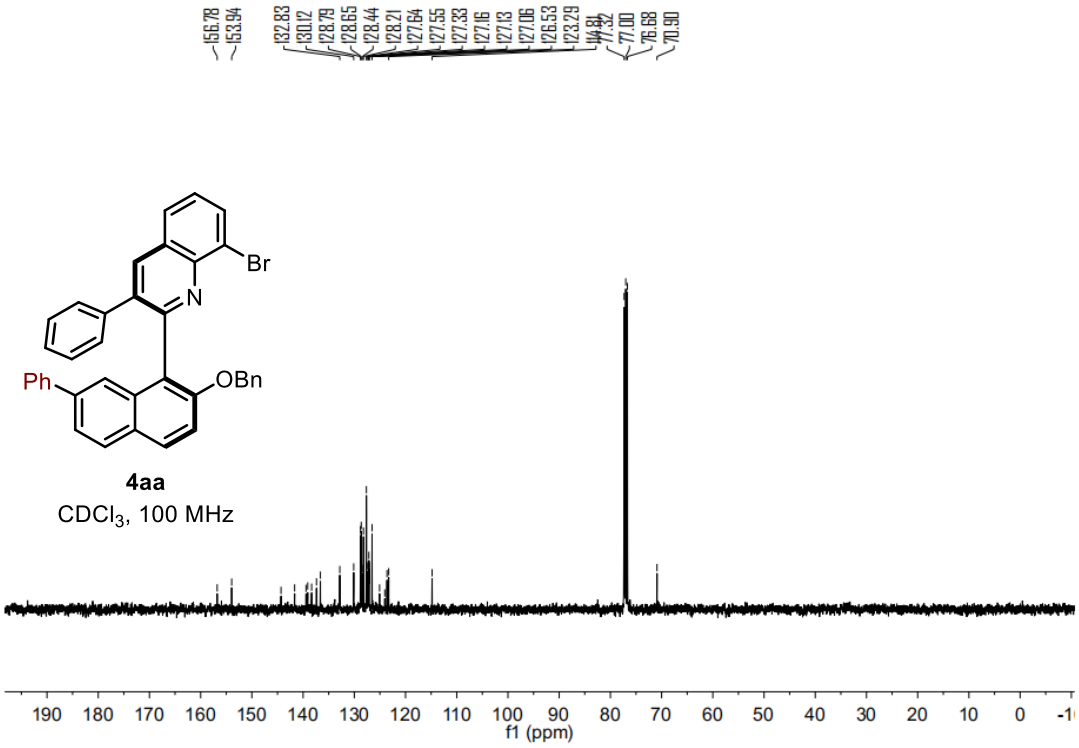
4o

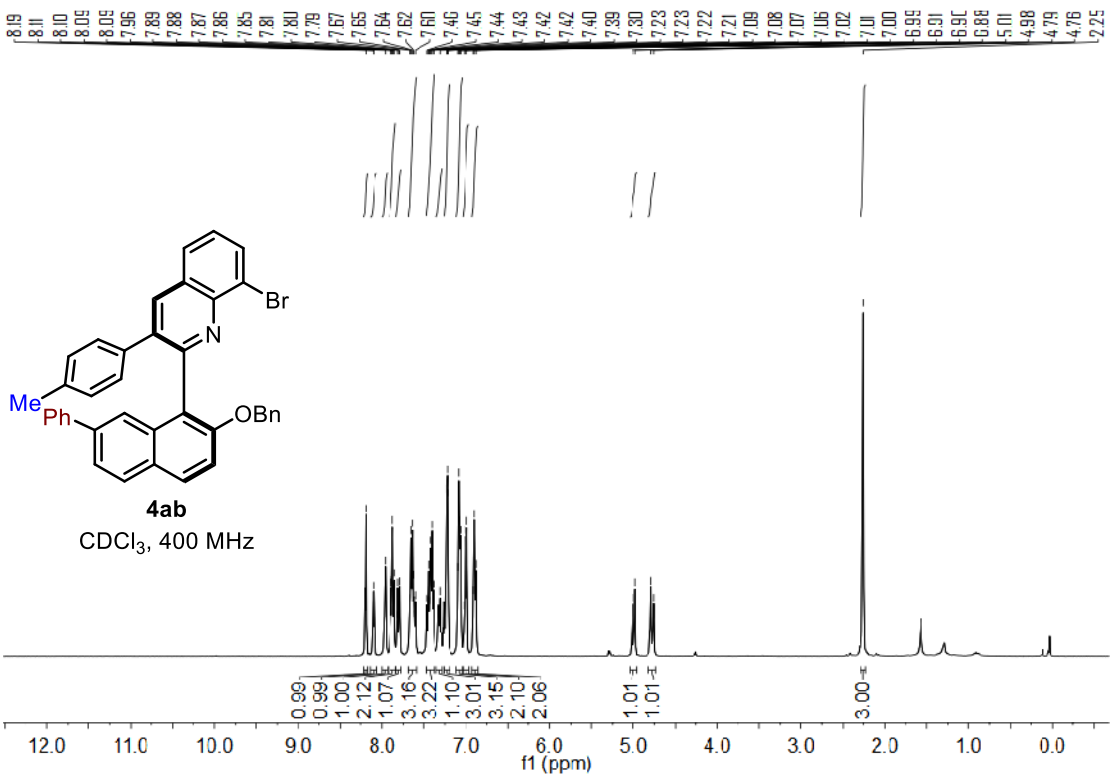
$CDCl_3$, 100 MHz

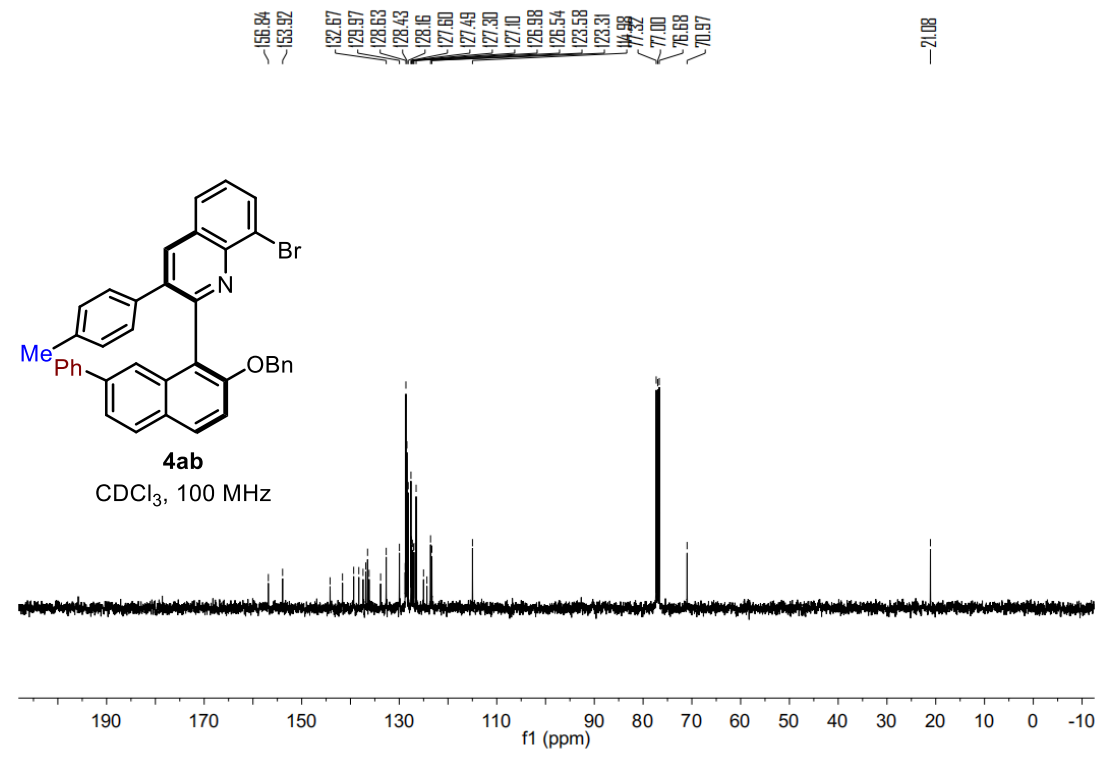

Br
MeO
N
OBn
4p
CDCl3, 400 MHz
f1 (ppm)

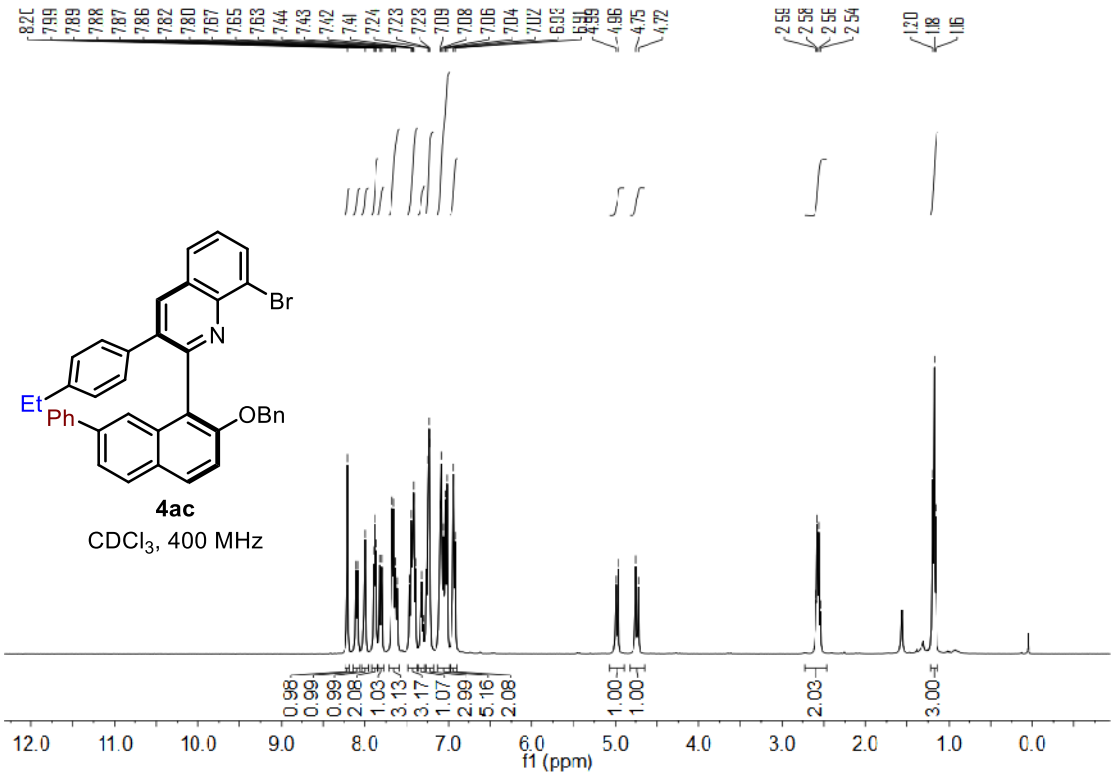

Br
MeO
N
OBn
4p
CDCl3, 100 MHz
f1 (ppm)

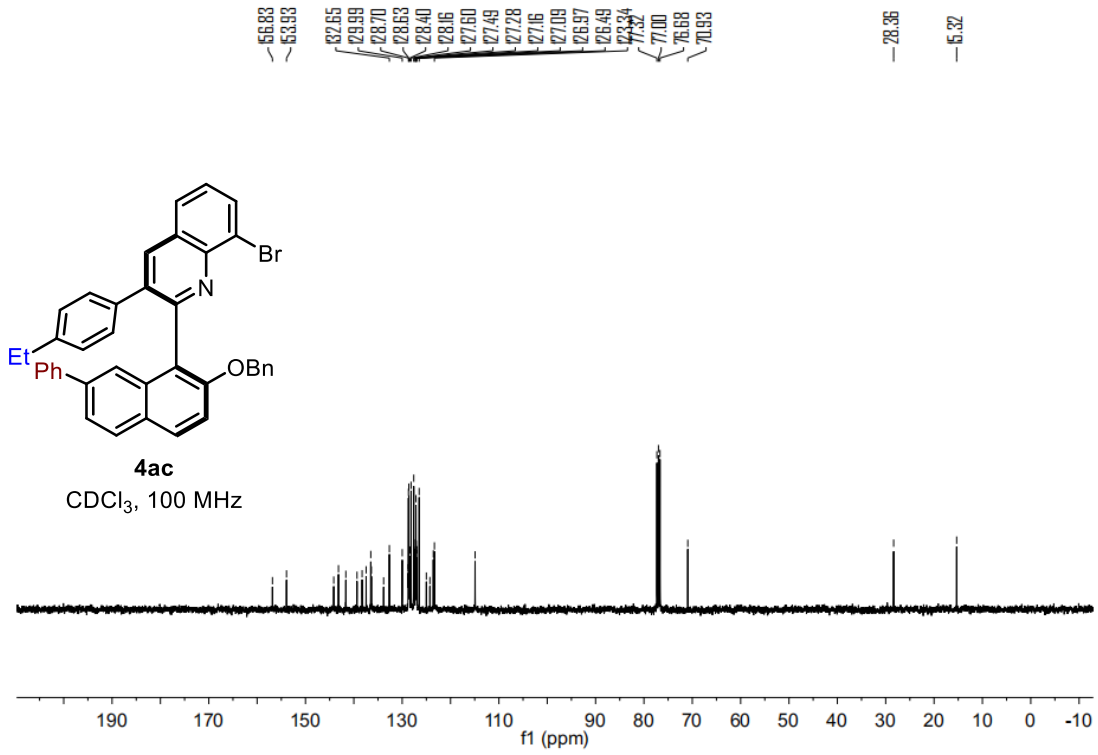

Br
N
Ph
OBn
4aa
CDCl3, 400 MHz
f1 (ppm)

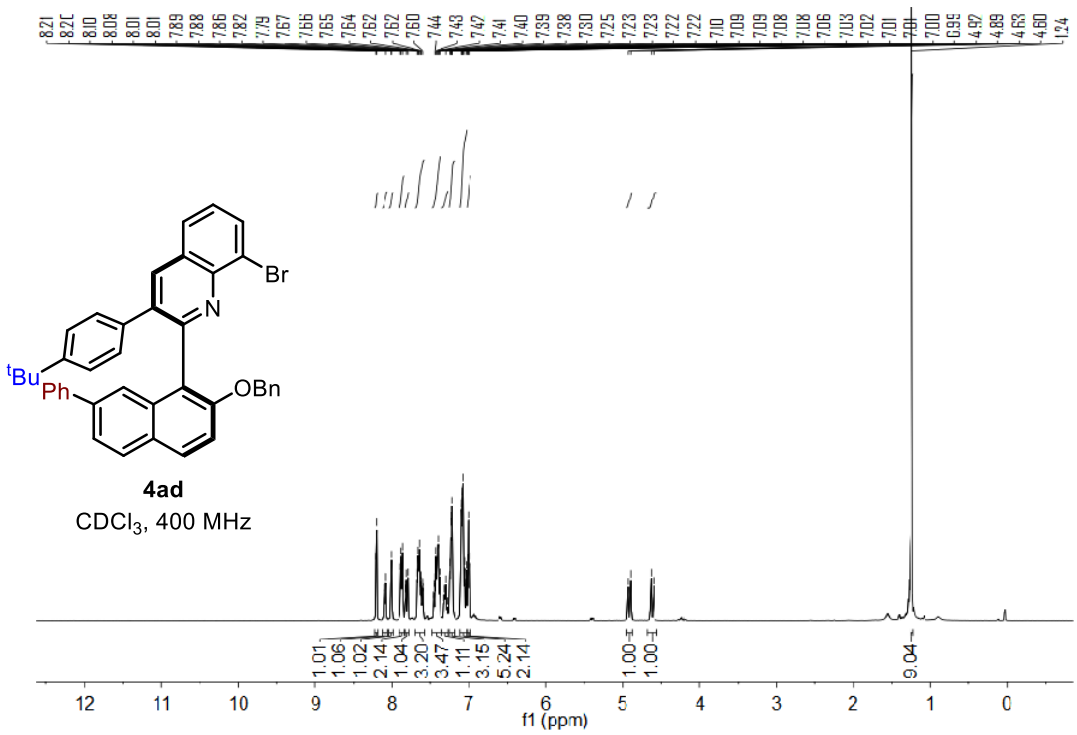

Br
N
Ph
OBn
4aa
CDCl3, 100 MHz
f1 (ppm)

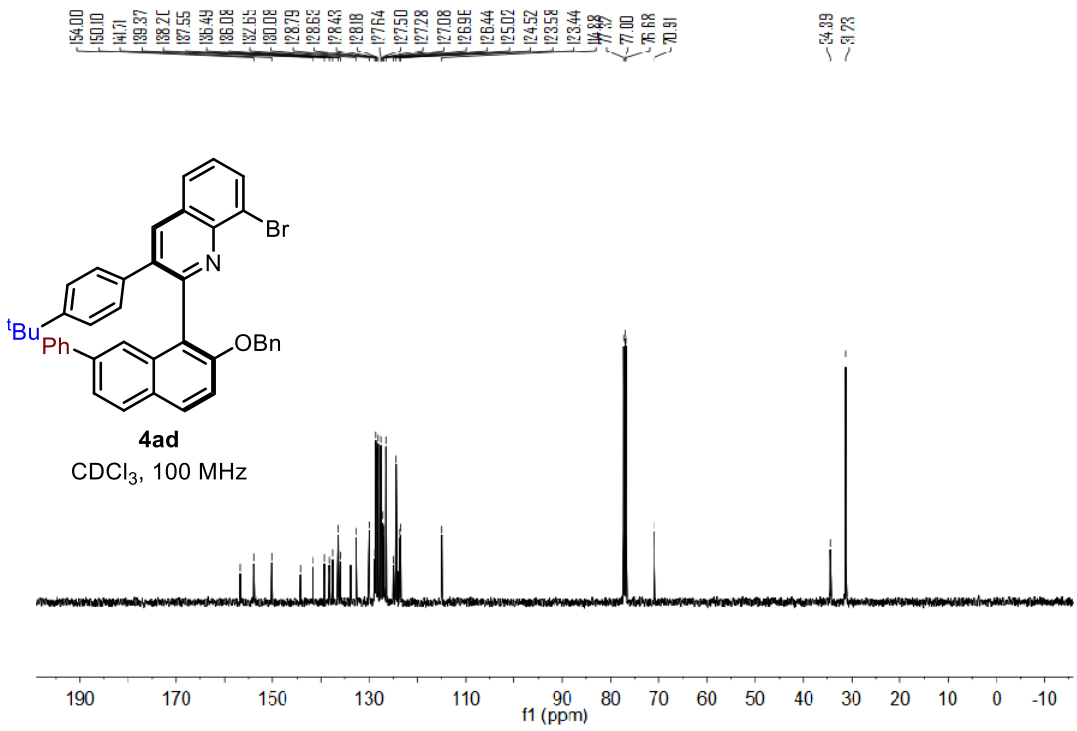

Br
N
Me
Ph
OBn
4ab
CDCl3, 400 MHz
f1 (ppm)

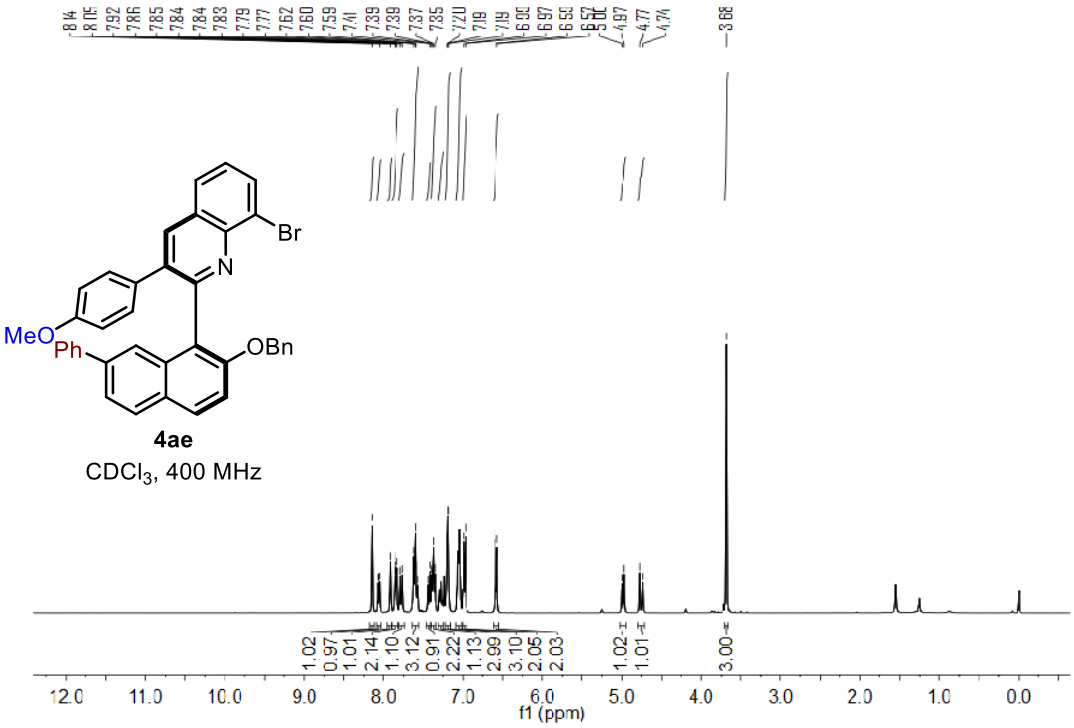

Br
N
Me
Ph
OBn
4ab
CDCl3, 100 MHz
f1 (ppm)


Br
N
Et
Ph
OBn
4ac
CDCl3, 400 MHz
f1 (ppm)


Br
N
Et
Ph
OBn
4ac
CDCl3, 100 MHz
f1 (ppm)

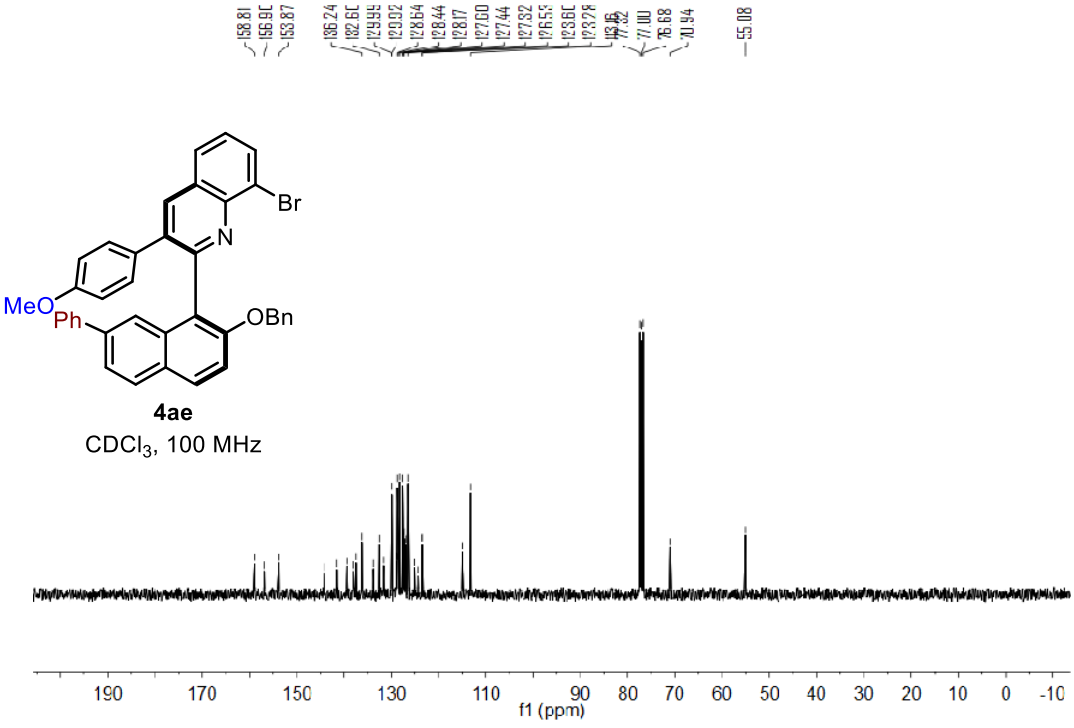

Br
N
tBu
Ph
OBn
4ad
CDCl3, 400 MHz
f1 (ppm)


Br
N
tBu
Ph
OBn
4ad
CDCl3, 100 MHz
f1 (ppm)



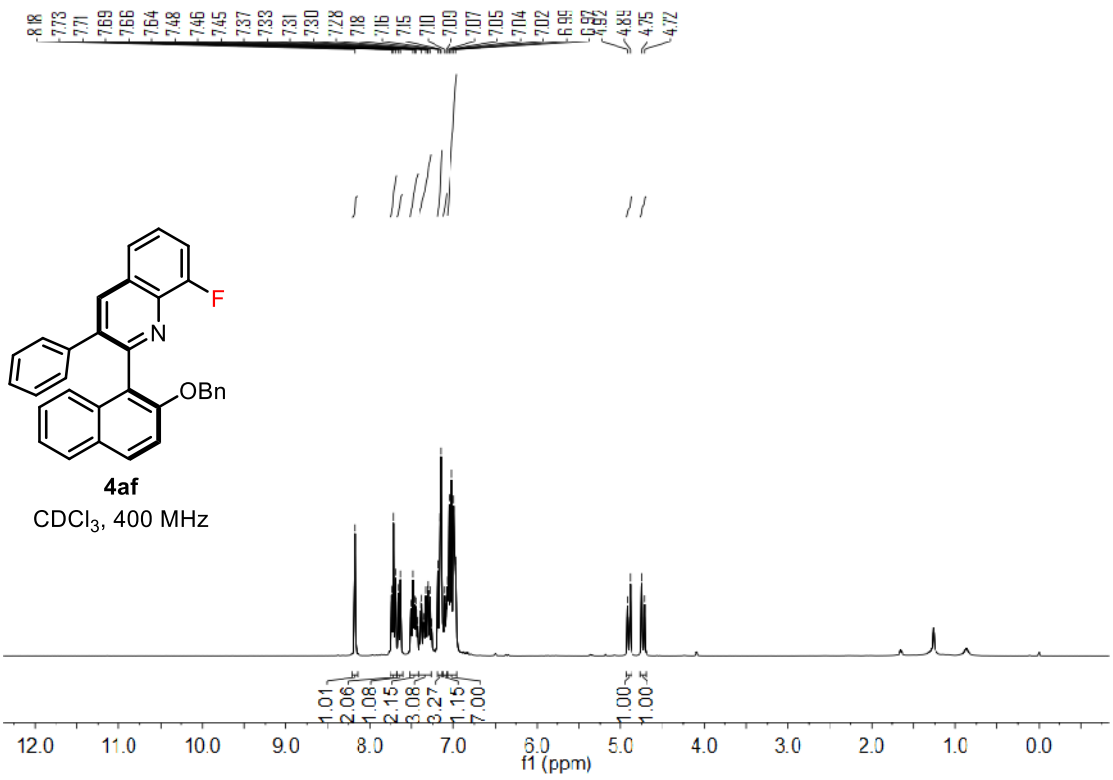
4ae

$CDCl_3$, 400 MHz



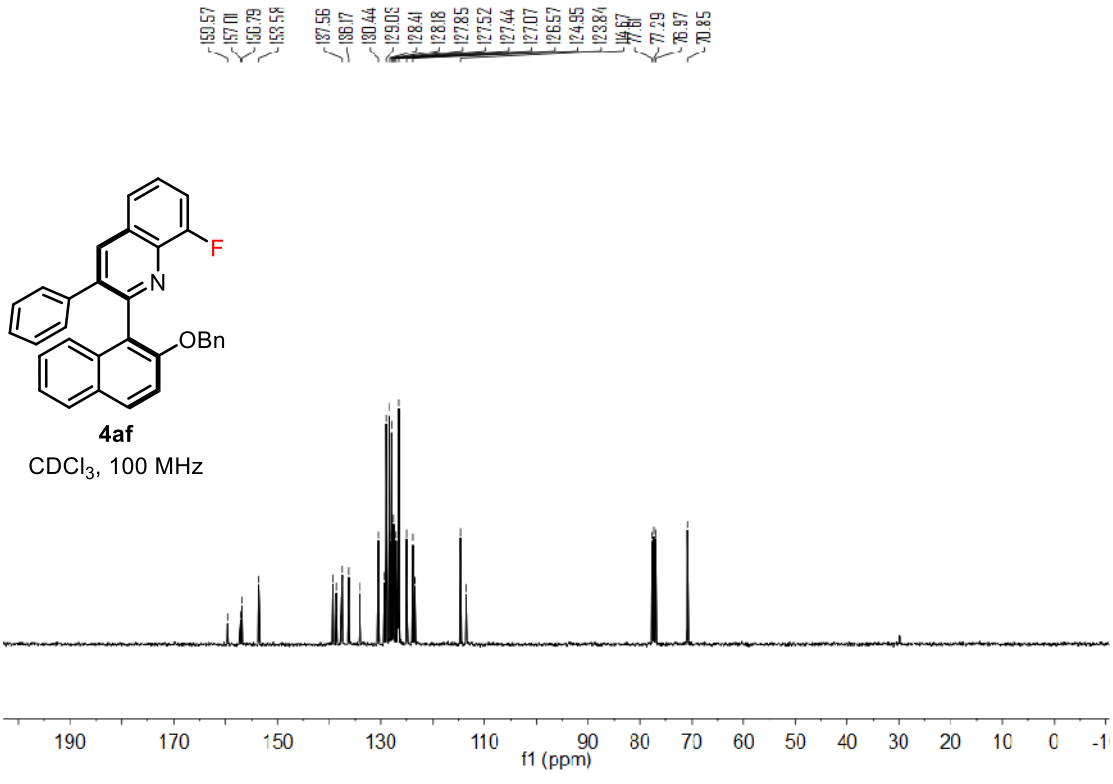
4ae

$CDCl_3$, 100 MHz



4af

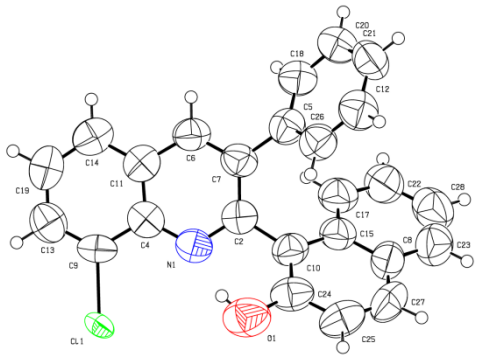
$CDCl_3$, 400 MHz



4af

$CDCl_3$, 100 MHz

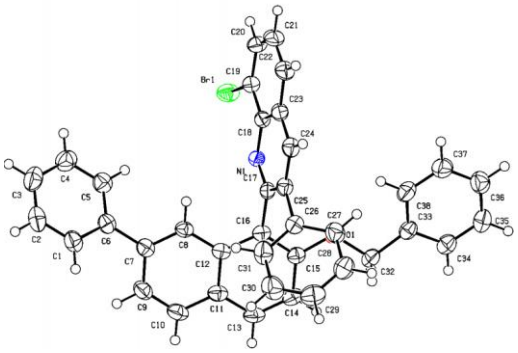
8. X-ray structure of 3ag and 4aa



Crystal Data for Compound **3ag**: CCDC 2099097 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic. Sample preparation: In a 10 mL glass bottle, 30 mg of pure **3ag** was completely dissolved in the solvent of 0.5 mL DCM, and then 3 mL of PE was added slowly. After a week of solvent evaporation, some pale yellow transparent crystals were obtained. The single-crystal X-ray were performed on Bruker D8 VENTURE PHOTON II detector with a Ga-target Liquid X-ray source (λ = 1.34138 Å)

Identification code	xb1975_0m
Empirical formula	$C_{25}H_{16}ClNO$
Formula weight	381.84
Temperature/K	296.15
Crystal system	monoclinic
Space group	P21/n
a/Å	9.8655(16)
b/Å	14.989(3)
c/Å	12.844(2)
α/°	90
β/°	97.067(2)
γ/°	90
Volume/Å3	1884.8(5)
Z	4

ρcalcg/cm3	1.346
μ/mm-1	0.218
F(000)	792.0
Crystal size/mm3	0.25 ×0.22 ×0.21
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.194 to 52.402
Index ranges	-12 ≤ h ≤ 10, -18 ≤ k ≤ 12, -15 ≤ l ≤ 15
Reflections collected	8914
Independent reflections	3430 [Rint = 0.0277, Rsigma = 0.0392]
Data/restraints/parameters	3430/2/255
Goodness-of-fit on F2	1.131
Final R indexes [I>=2σ (I)]	R1 = 0.1007, wR2 = 0.2548
Final R indexes [all data]	R1 = 0.1252, wR2 = 0.2851
Largest diff. peak/hole / e Å-3	1.10/-0.35



Crystal Data for Compound **4aa**: CCDC 2218810 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic. Sample preparation: In a 10 mL glass bottle, 30 mg of pure **4aa** was completely dissolved in the solvent of 0.5 mL DCM, and then 3 mL of PE was added slowly. After a week of solvent evaporation, some pale yellow transparent crystals were obtained. The single-crystal X-ray were performed on Bruker D8 VENTURE PHOTON II detector with a Ga-target Liquid X-ray source (λ = 1.34138 Å)

Identification code	mo_h2022110906_0m
Empirical formula	$C_{38}H_{26}BrNO$
Formula weight	592.51
Temperature/K	210.0
Crystal system	triclinic
Space group	P-1
a/Å	11.2203(17)
b/Å	12.202(2)
c/Å	12.945(2)
α/°	102.309(5)
β/°	106.116(5)
γ/°	115.555(4)
Volume/Å3	1418.2(4)
Z	2
ρcalcg/cm3	1.387
μ/mm-1	1.481
F(000)	608.0
Crystal size/mm3	0.13 × 0.12 × 0.11
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.364 to 50.678
Index ranges	-13 ≤ h ≤ 12, -14 ≤ k ≤ 14, -15 ≤ l ≤ 15
Reflections collected	34647
Independent reflections	5177 [Rint = 0.0410, Rsigma = 0.0255]
Data/restraints/parameters	5177/1/373
Goodness-of-fit on F2	1.066
Final R indexes [I>=2σ (I)]	R1 = 0.0279, wR2 = 0.0673
Final R indexes [all data]	R1 = 0.0342, wR2 = 0.0700
Largest diff. peak/hole / e Å-3	0.45/-0.46