

Supporting Information

Enantioselective [3+2] Formal Cycloaddition of 1-Styrylnaphthols with Quinones Catalyzed by a Chiral Phosphoric Acid

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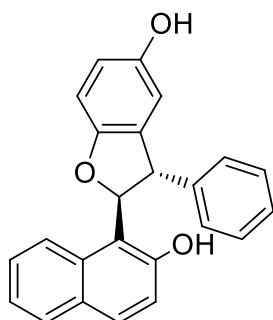
[[‡]] These authors contributed equally to this work.

Table of Contents

S2-S61	Experimental procedures and physical data
S62	References
S63-S92	¹ H and ¹³ C NMR spectra
S93	Figure S1

General. All reactions that required anhydrous conditions were carried by standard procedures under nitrogen atmosphere. Commercially available reagents were used as received. The solvents were dried by distillation over the appropriate drying reagents. Infrared spectra were recorded on a TENSOR 27 FT-IR spectrophotometer and reported in wave numbers (cm^{-1}). ^1H and ^{13}C NMR spectra were recorded on Varian (400 MHz) spectrometer. Chemical shifts (δ) are reported in ppm relative to TMS (δ 0.00) for the ^1H NMR and to chloroform (δ 77.0), dimethylsulfoxide (δ 39.5) and methanol (δ 49.0) for the ^{13}C NMR measurements. High resolution mass spectra were obtained on a UltiMate 3000 spectrometer. 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.

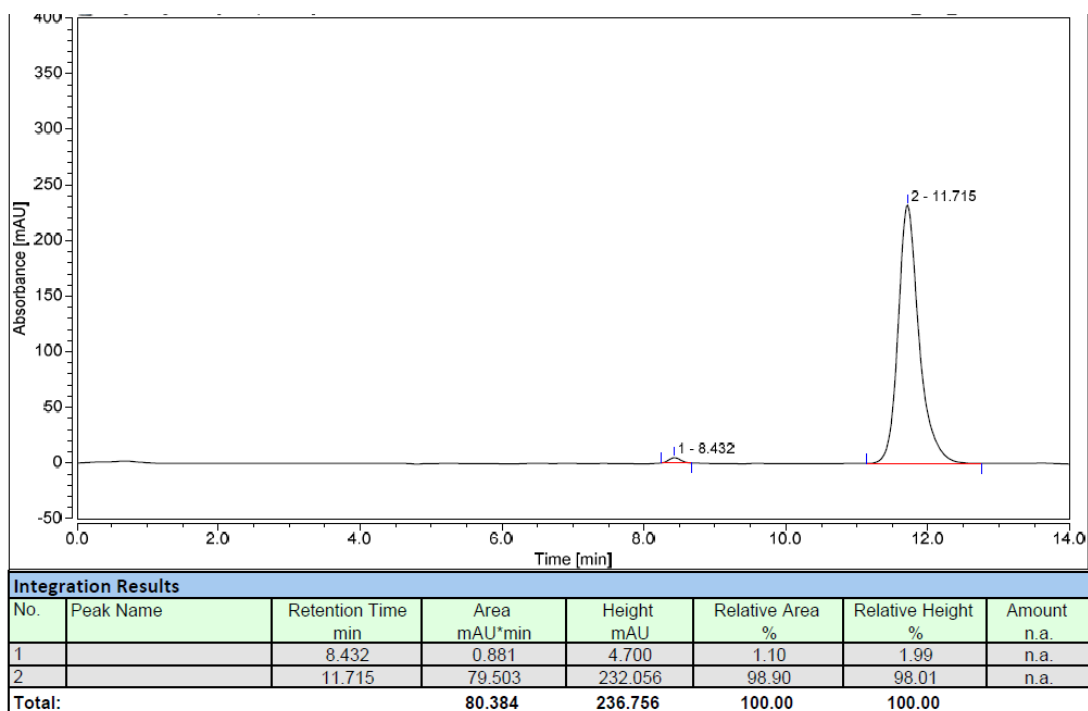
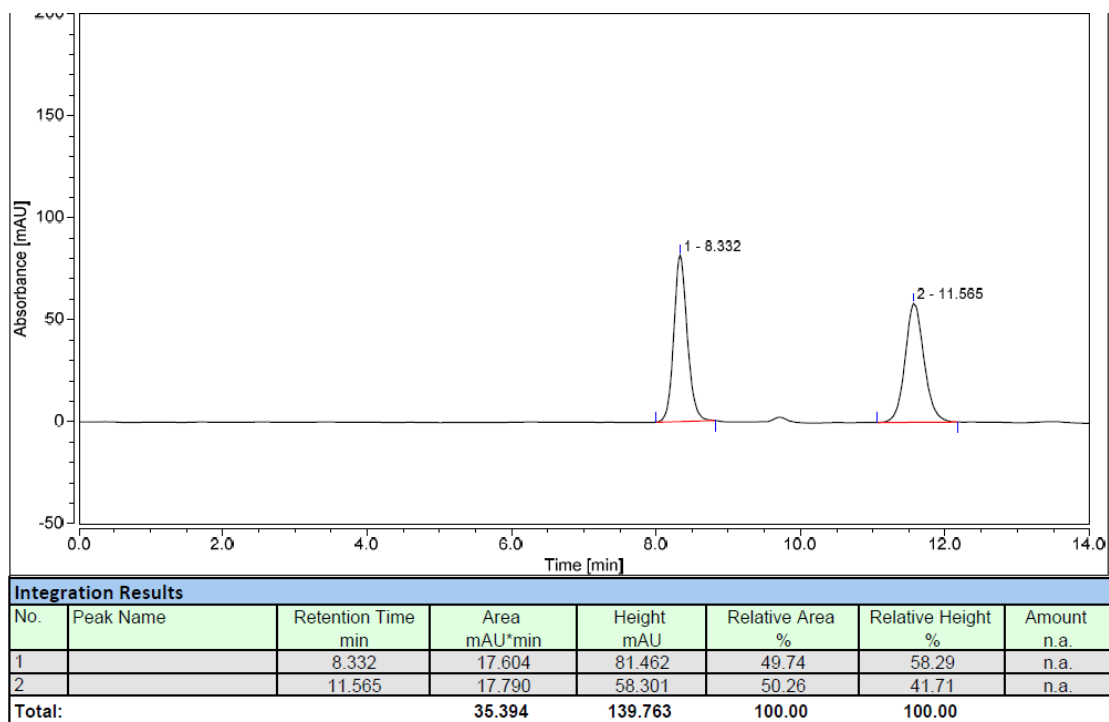
Compounds 1a-z, 1aa^[1-4] and 2^[5] were synthesized according to literature known procedures.

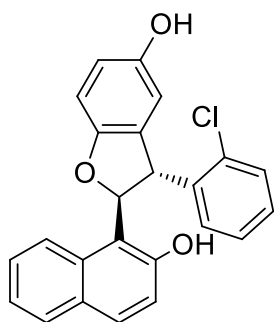


(2S,3S)-2-(2-Hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3a)

To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1a** (49.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3a** as a white solid (68 mg, 96% yield). mp $132.0\text{--}133.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25}$ -103.2 (c 0.5, CHCl_3 , 98% ee); IR (KBr): 3407, 2925, 1760, 1476, 1259, 1050, 750 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 7.85 – 7.79 (m, 1H), 7.76 – 7.71 (m, 1H), 7.68 (d, J = 8.9 Hz, 1H), 7.28 – 7.15 (m, 5H), 7.10 – 7.01 (m, 3H), 6.76 (d, J = 8.5 Hz,

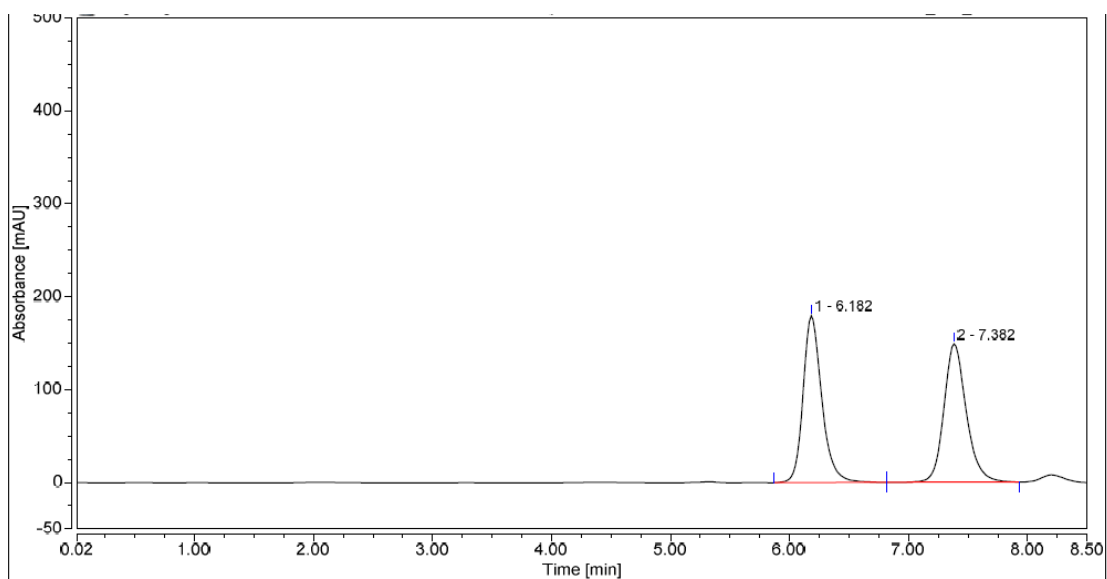
1H), 6.74 – 6.69 (m, 1H), 6.54 (d, $J = 10.6$ Hz, 1H), 6.46 (d, $J = 2.0$ Hz, 1H), 5.07 (d, $J = 10.6$ Hz, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.2, 154.4, 152.9, 143.1, 134.2, 132.9, 131.5, 130.6, 129.9, 129.5, 129.5, 128.0, 127.3, 124.6, 123.7, 118.7, 116.7, 116.1, 113.1, 110.8, 88.4, 56.5; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{18}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 377.1148; found: 377.1150; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230$ nm): t_1 (minor) = 8.4 min, t_2 (major) = 11.7 min.



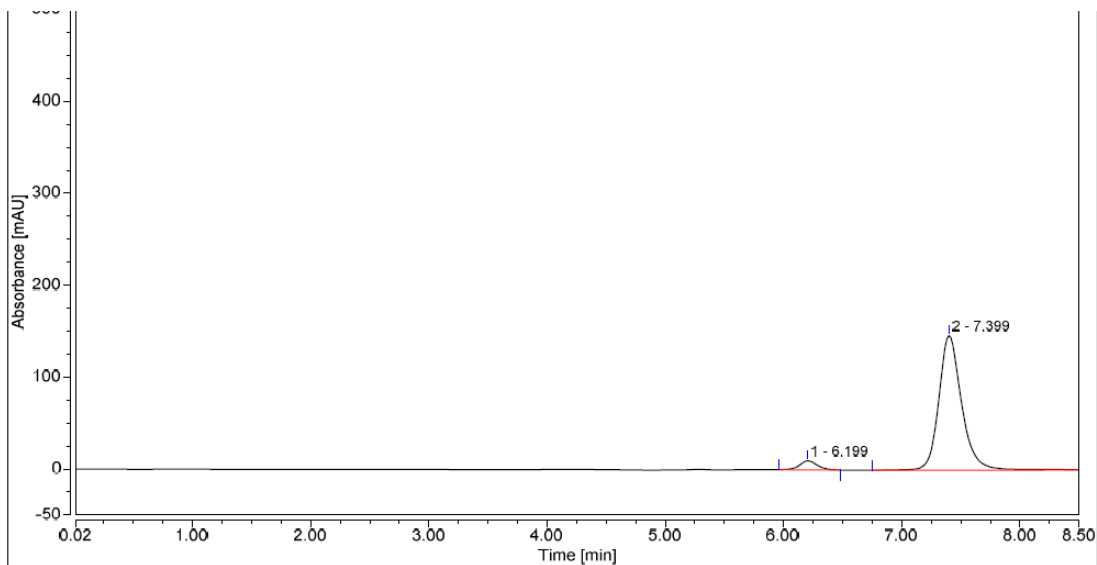


(2*S*,3*R*)-3-(2-Chlorophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3b**)**

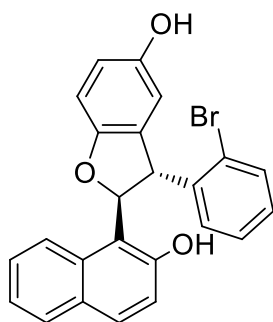
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1b** (56.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3b** as a white solid (62 mg, 80% yield). mp $137.0\text{--}138.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -186.6$ (c 1.0, CHCl_3 , 90% ee); IR (KBr): 3393, 2926, 2229, 1468, 1190, 813, 744 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 7.89 (d, $J = 8.0\text{ Hz}$, 1H), 7.75 (d, $J = 7.7\text{ Hz}$, 1H), 7.69 (d, $J = 8.9\text{ Hz}$, 1H), 7.43 – 7.20 (m, 6H), 7.03 (d, $J = 8.9\text{ Hz}$, 1H), 6.76 (dd, $J = 17.5, 8.1\text{ Hz}$, 2H), 6.44 (d, $J = 18.4\text{ Hz}$, 2H), 5.74 (s, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.2, 154.7, 153.2, 140.1, 136.5, 134.3, 134.2, 132.1, 131.8, 131.6, 130.6, 130.0, 129.7, 128.8, 127.3, 124.3, 123.7, 118.6, 116.5, 112.7, 111.1, 87.9, 51.8; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{ClO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 411.0758; found: 411.0769; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.2 min, t_2 (major) = 7.4 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.182	32.804	179.834	50.27	54.72	n.a.
2		7.382	32.457	148.801	49.73	45.28	n.a.
Total:			65.261	328.635	100.00	100.00	

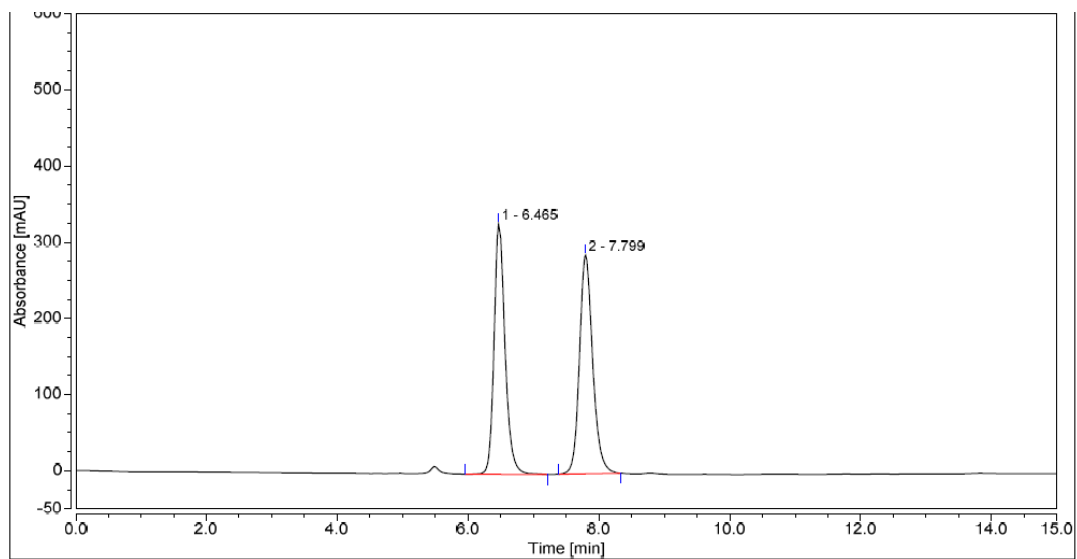


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.199	1.738	9.753	4.99	6.24	n.a.
2		7.399	33.079	146.453	95.01	93.76	n.a.
Total:			34.816	156.206	100.00	100.00	

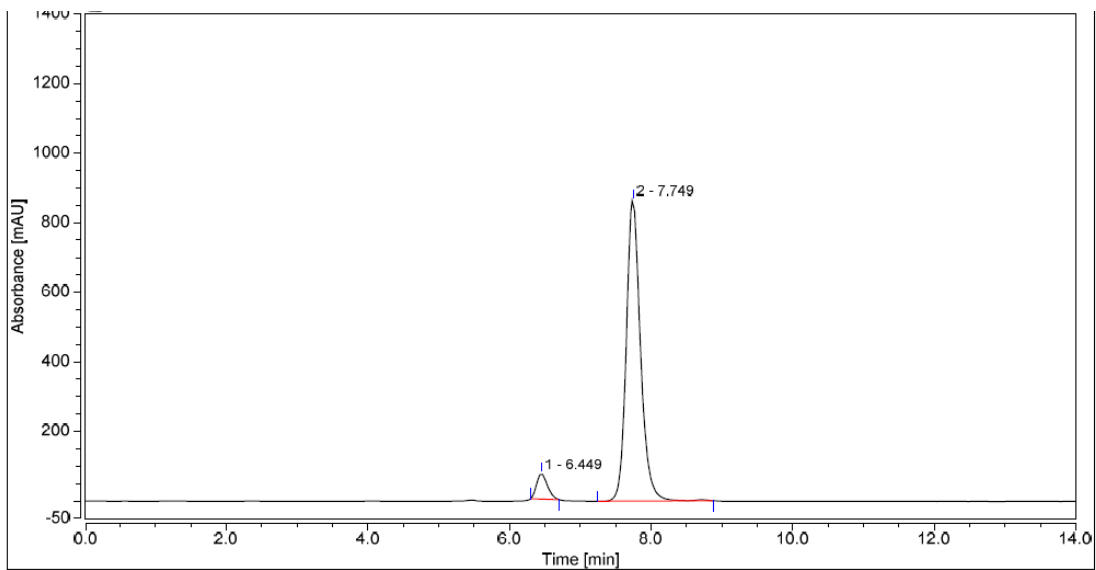


(2*S*,3*R*)-3-(2-Bromophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3c)

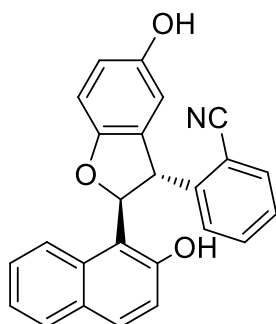
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1c** (65.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3c** as a white solid (83 mg, 96% yield). mp $86.0\text{--}87.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25} -157.8$ (c 1.0, CHCl_3 , 88% ee); IR (KBr): 3424, 2924, 1626, 1462, 1374, 1192, 853, 816 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3): δ 7.85 (s, 1H), 7.73 (dd, $J = 11.9, 8.5\text{ Hz}$, 2H), 7.52 (d, $J = 6.3\text{ Hz}$, 1H), 7.38 (s, 1H), 7.29 (s, 1H), 7.20 (d, $J = 7.7\text{ Hz}$, 1H), 7.16 (d, $J = 8.9\text{ Hz}$, 1H), 7.14 – 7.08 (m, 1H), 7.04 – 6.97 (m, 1H), 6.93 (dd, $J = 15.7, 8.6\text{ Hz}$, 2H), 6.76 (dd, $J = 8.6, 1.9\text{ Hz}$, 1H), 6.52 (s, 1H), 6.39 (d, $J = 10.6\text{ Hz}$, 1H), 5.56 (d, $J = 10.6\text{ Hz}$, 1H), 4.77 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.9, 152.2, 151.3, 139.2, 132.9, 132.5, 132.0, 130.6, 129.4, 129.0, 128.9, 128.5, 128.1, 126.1, 123.0, 121.1, 119.4, 115.4, 113.4, 112.2, 111.2, 99.9, 90.9, 54.5; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{BrO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0249. HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.4 min, t_2 (major) = 7.7 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.465	64.370	328.461	48.86	53.36	n.a.
2		7.799	67.366	287.098	51.14	46.64	n.a.
Total:			131.736	615.559	100.00	100.00	

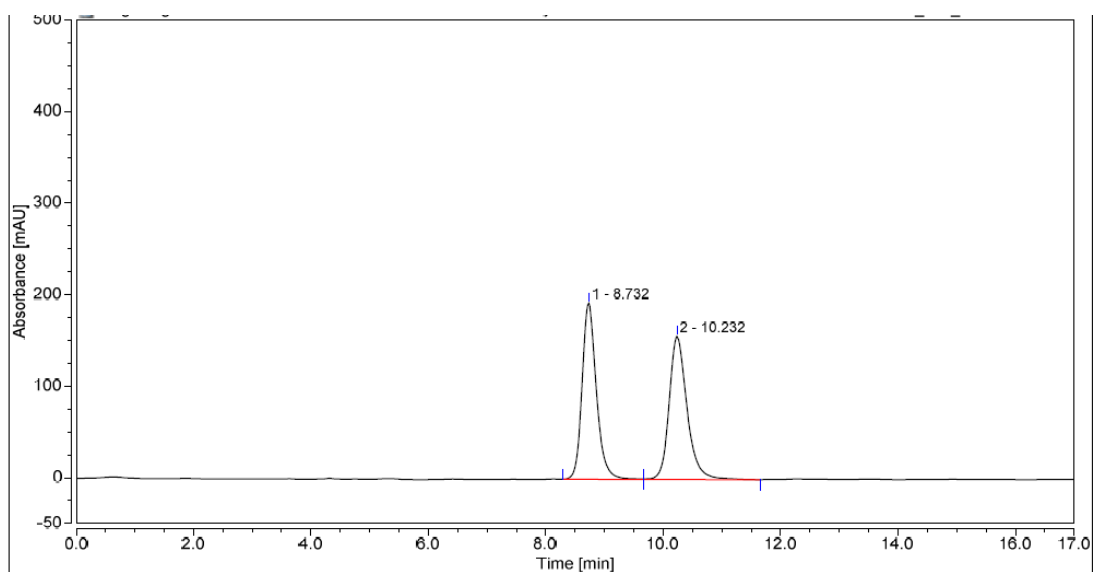


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.449	12.906	73.158	6.01	7.80	n.a.
2		7.749	201.887	865.031	93.99	92.20	n.a.
Total:			214.793	938.189	100.00	100.00	

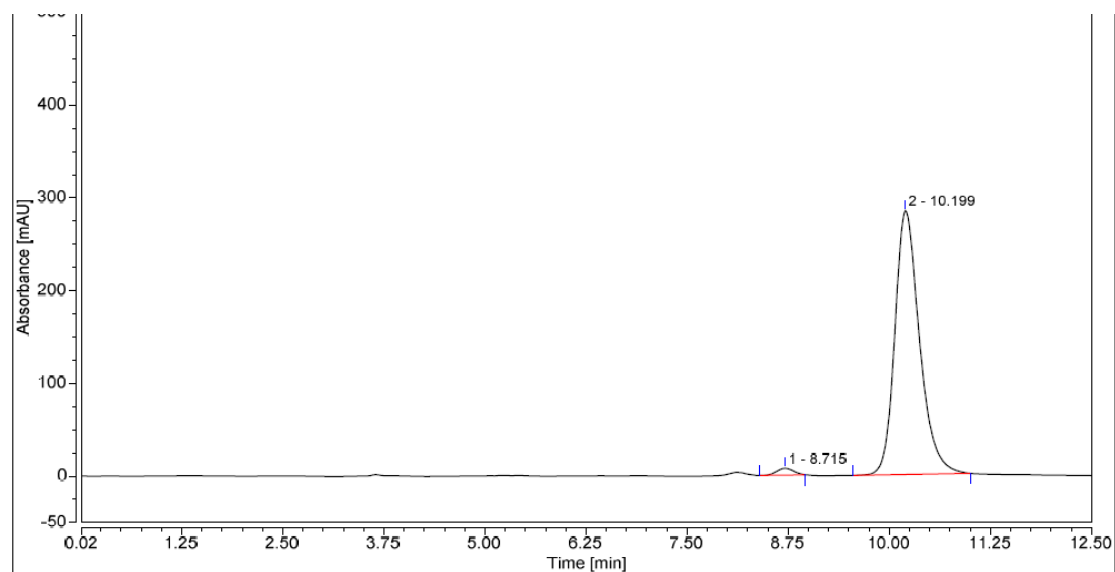


2-((2*S*,3*S*)-5-Hydroxy-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-3-yl)benzonitrile (3d**)**

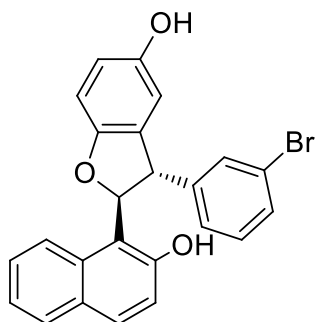
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1d** (54.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3d** as a white solid (72 mg, 95% yield). mp $198.0\text{--}199.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} +77.4$ (c 1.0, CHCl_3 , 96% ee); IR (KBr): 3387, 2926, 1624, 1462, 1209, 814, 740 cm^{-1} ; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 9.82 (s, 1H), 8.98 (s, 1H), 7.90 – 7.67 (m, 5H), 7.57 (s, 1H), 7.46 (t, $J = 7.4\text{ Hz}$, 1H), 7.35 (t, $J = 7.5\text{ Hz}$, 1H), 7.27 (t, $J = 7.2\text{ Hz}$, 1H), 7.10 (d, $J = 8.8\text{ Hz}$, 1H), 6.80 (d, $J = 8.5\text{ Hz}$, 1H), 6.70 (d, $J = 7.9\text{ Hz}$, 1H), 6.57 (s, 1H), 6.36 (s, 1H), 5.47 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ 154.0, 152.5, 152.0, 145.2, 133.8, 132.6, 130.7, 130.0, 129.0, 128.6, 128.1, 126.5, 122.6, 122.6, 117.9, 117.0, 115.6, 114.4, 111.5, 110.0, 85.4, 54.9; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{17}\text{NO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 402.1101; found: 402.1099. HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 8.7 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
1		8.732	54.241	192.302	49.58	55.16	n.a.
2		10.232	55.162	156.294	50.42	44.84	n.a.
Total:			109.403	348.596	100.00	100.00	

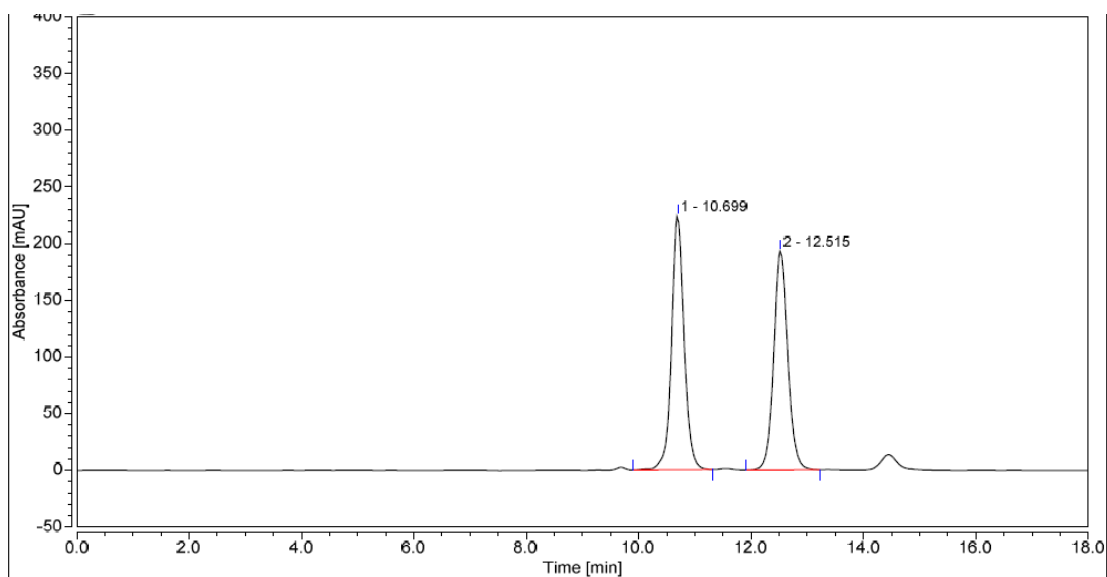


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		8.715	1.762	7.253	1.72	2.48	n.a.
2		10.199	100.568	284.728	98.28	97.52	n.a.
Total:			102.330	291.981	100.00	100.00	

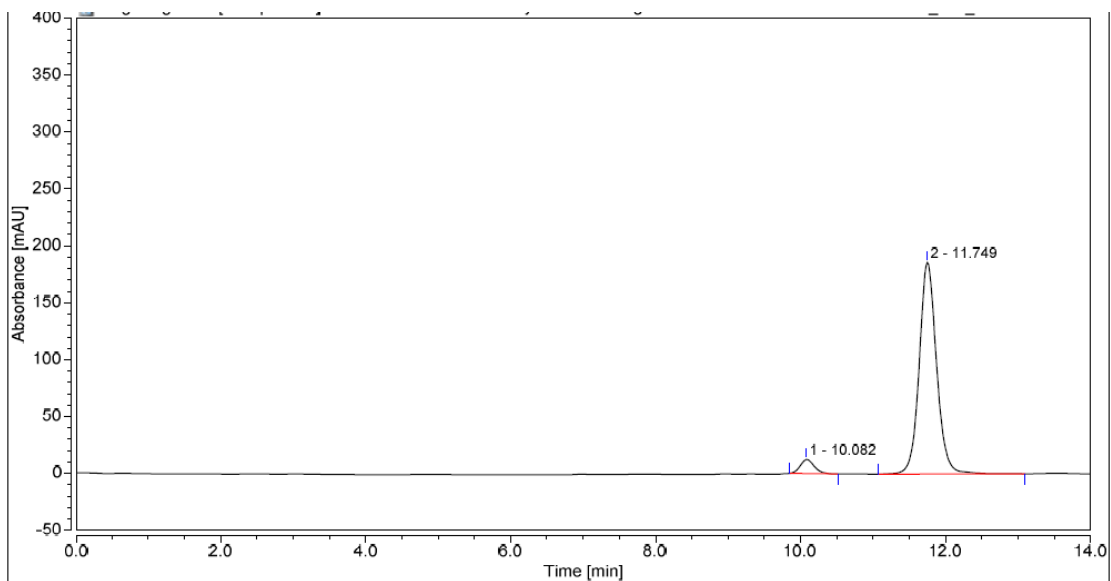


(2*S*,3*S*)-3-(3-Bromophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3e)

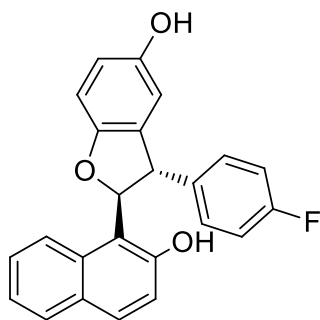
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1e** (65.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3e** as a white solid (79 mg, 91% yield). mp $102.0\text{--}103.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -131.6$ (c 0.5, CHCl_3 , 90% ee); IR (KBr): 3447, 2922, 1624, 1461, 1370, 1182, 579 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 7.86 – 7.79 (m, 1H), 7.77 (d, $J = 7.5\text{ Hz}$, 1H), 7.71 (d, $J = 8.9\text{ Hz}$, 1H), 7.41 – 7.32 (m, 1H), 7.31 – 7.21 (m, 3H), 7.16 (t, $J = 7.8\text{ Hz}$, 1H), 7.05 (dd, $J = 8.2, 3.6\text{ Hz}$, 2H), 6.82 – 6.66 (m, 2H), 6.48 (d, $J = 10.6\text{ Hz}$, 2H), 5.05 (d, $J = 10.6\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.2, 154.6, 153.1, 145.9, 134.2, 132.3, 132.0, 131.7, 131.3, 131.1, 130.7, 130.0, 128.5, 127.4, 124.5, 123.8, 123.5, 118.7, 116.5, 116.4, 113.0, 111.0, 88.1, 56.3; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{BrO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0241; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 10.1 min, t_2 (major) = 11.7 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		10.699	58.251	224.150	50.89	53.73	n.a.
2		12.515	56.224	193.024	49.11	46.27	n.a.
Total:			114.475	417.174	100.00	100.00	

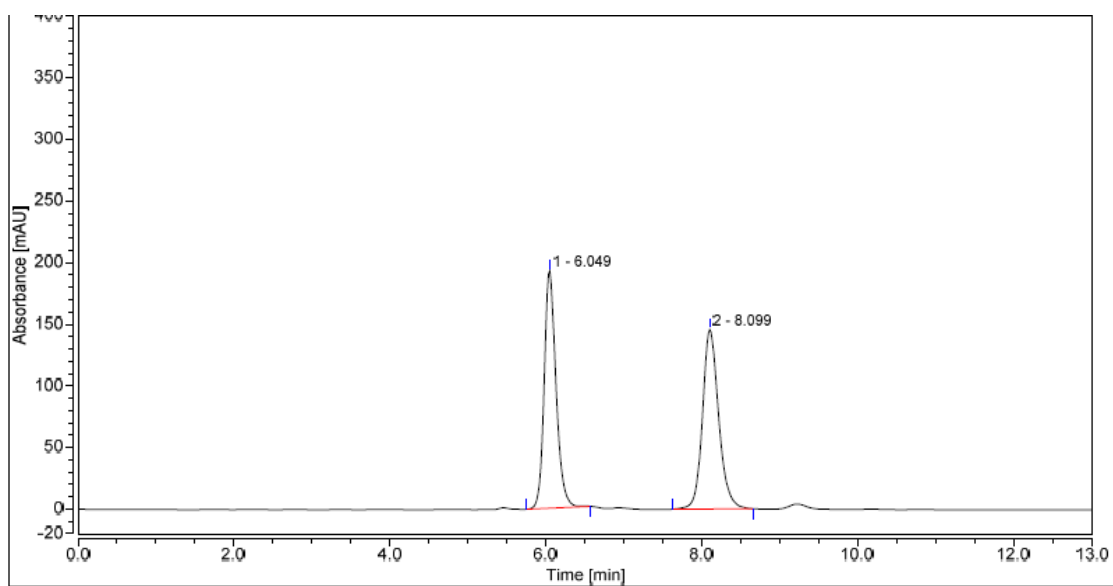


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		10.082	2.706	12.375	4.98	6.24	n.a.
2		11.749	51.622	185.975	95.02	93.76	n.a.
Total:			54.328	198.350	100.00	100.00	

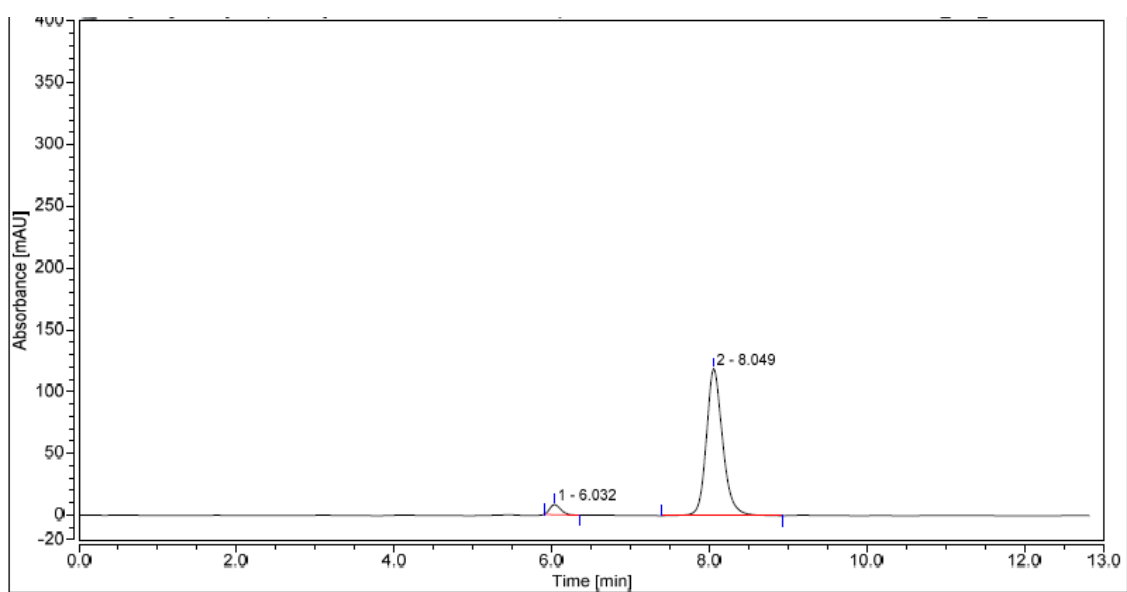


(2*S*,3*S*)-3-(4-Fluorophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3f)

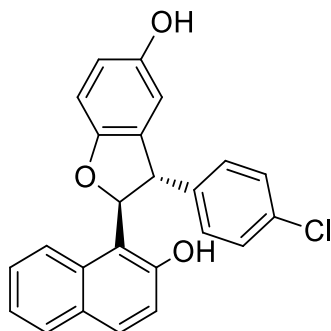
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1f** (53.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3f** as a white solid (71 mg, 95% yield). mp $160.0\text{--}161.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{21} -197.2$ (c 1.0, CHCl_3 , 91% ee); IR (KBr): 3447, 2922, 2365, 1628, 1458, 1190, 817, 530 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.80 – 7.70 (m, 3H), 7.20 (dd, $J = 14.2$, 8.3 Hz, 2H), 7.01 – 6.99 (m, 3H), 6.94 (d, $J = 8.6$ Hz, 2H), 6.90 (d, $J = 9.5$ Hz, 1H), 6.76 (dd, $J = 8.5$, 1.9 Hz, 1H), 6.52 (d, $J = 1.6$ Hz, 1H), 6.38 (d, $J = 10.9$ Hz, 1H), 4.82 (d, $J = 10.9$ Hz, 1H), 4.61 (d, $J = 2.6$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.3 (d, $J = 246.6$ Hz), 153.9, 152.0, 151.3, 135.2 (d, $J = 3.3$ Hz), 132.5, 131.8, 130.6, 130.3 (d, $J = 8.8$ Hz), 128.9, 128.5, 126.3, 123.1, 121.7, 119.6, 115.9, 115.6 (d, $J = 11.6$ Hz), 113.8, 112.4, 111.2, 90.9, 55.9; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{FO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 395.1054; found: 395.1056; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 1.0 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.0 min, t_2 (major) = 8.0 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.049	33.744	192.652	49.12	56.97	n.a.
2		8.099	34.959	145.531	50.88	43.03	n.a.
Total:			68.703	338.183	100.00	100.00	

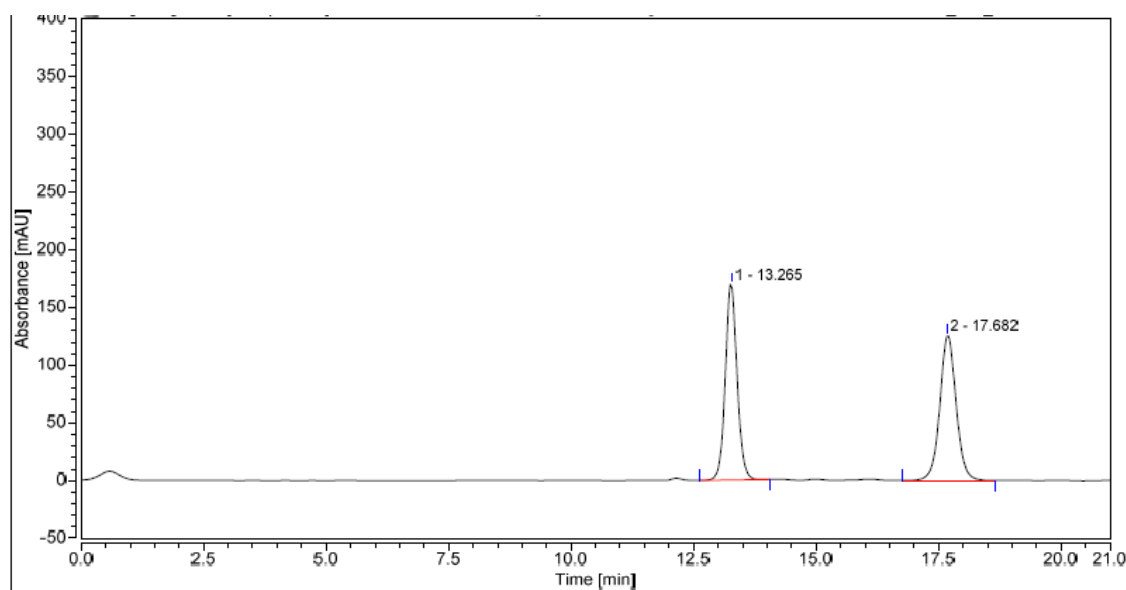


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.032	1.315	8.253	4.41	6.50	n.a.
2		8.049	28.473	118.808	95.59	93.50	n.a.
Total:			29.788	127.060	100.00	100.00	

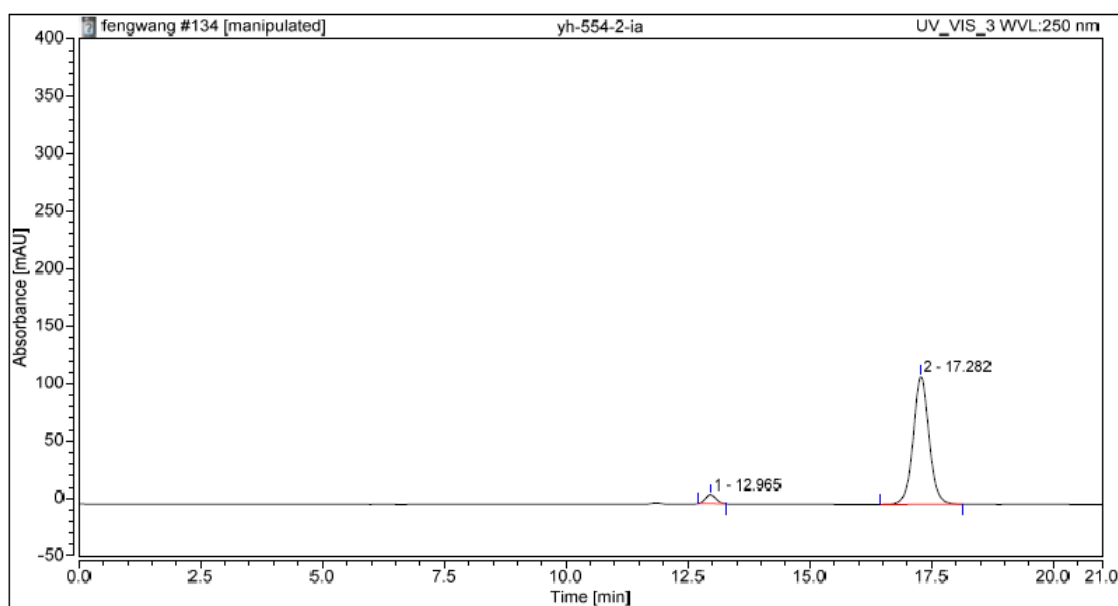


(2*S*,3*S*)-3-(4-Chlorophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3g**)**

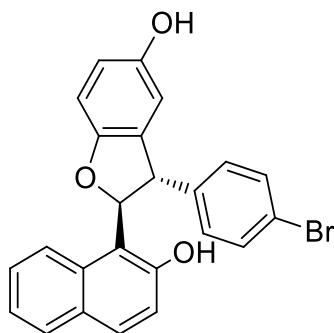
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1g** (56.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3g** as a white solid (70 mg, 90% yield). mp $161.0\text{--}162.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25} -97.2$ (c 1.0, CHCl_3 , 91% ee); IR (KBr): 3392, 2956, 2923, 1624, 1487, 1271, 1190, 1085, 814, 739 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.73 (t, $J = 8.8$ Hz, 3H), 7.24 – 7.19 (m, 3H), 7.17 (d, $J = 8.8$ Hz, 1H), 7.10 – 7.02 (m, 3H), 6.94 (d, $J = 8.4$ Hz, 2H), 6.76 (dd, $J = 8.4, 1.6$ Hz, 1H), 6.50 (s, 1H), 6.39 (d, $J = 10.8$ Hz, 1H), 4.81 (d, $J = 10.8$ Hz, 1H), 4.66 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 152.0, 151.3, 138.1, 133.5, 132.2, 131.7, 130.7, 130.1, 129.0, 128.9, 128.5, 126.4, 123.2, 121.7, 119.5, 115.6, 113.7, 112.3, 111.2, 90.7, 56.0; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{ClO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 411.0758; found: 411.0749; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230$ nm): t_1 (minor) = 13.0 min, t_2 (major) = 17.3 min,.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		13.265	47.586	170.119	49.55	57.50	n.a.
2		17.682	48.452	125.765	50.45	42.50	n.a.
Total:			96.037	295.885	100.00	100.00	

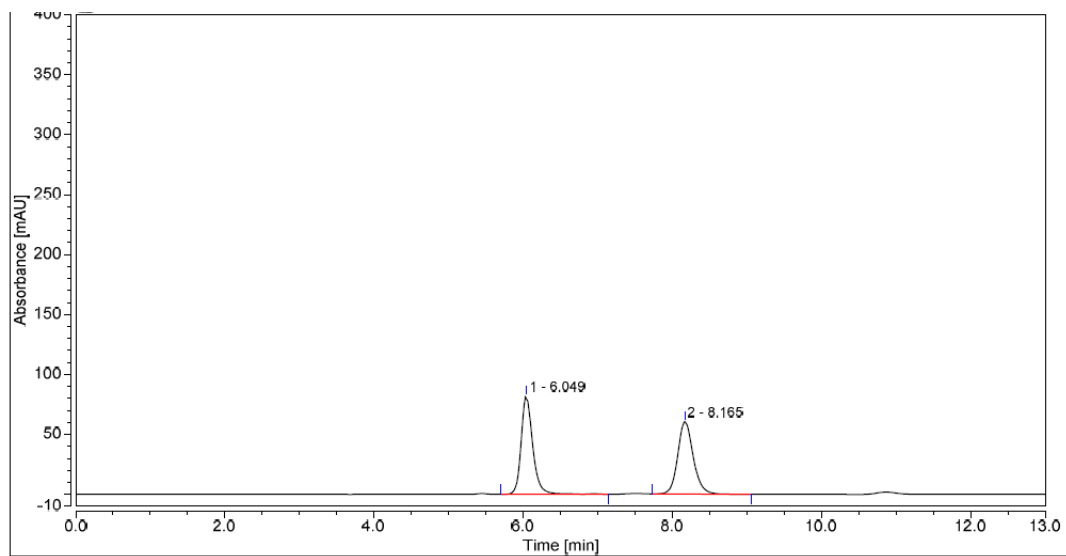


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		12.965	1.924	7.761	4.39	6.51	n.a.
2		17.282	41.903	111.387	95.61	93.49	n.a.
Total:			43.827	119.149	100.00	100.00	

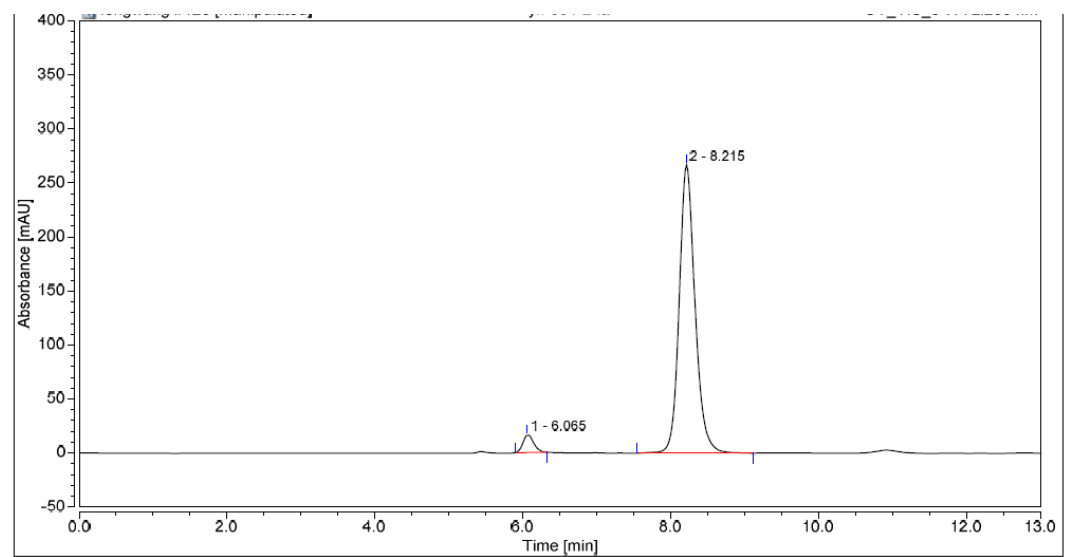


(2*S*,3*S*)-3-(4-Bromophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3h)

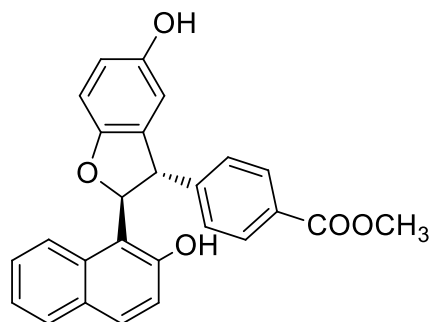
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1h** (65.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3h** as a yellow solid (79 mg, 91% yield). mp $158.0\text{--}159.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25} -126.8$ (c 0.5, CHCl_3 , 92% ee); IR (KBr): 3134, 2924, 1626, 1462, 1371, 1269, 1192, 811, 750 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.73 (t, $J = 7.9\text{ Hz}$, 3H), 7.37 (d, $J = 8.3\text{ Hz}$, 2H), 7.22 (t, $J = 7.5\text{ Hz}$, 1H), 7.16 (d, $J = 8.9\text{ Hz}$, 1H), 7.08 (t, $J = 7.3\text{ Hz}$, 1H), 7.03 – 6.88 (m, 4H), 6.76 (dd, $J = 8.5, 2.1\text{ Hz}$, 1H), 6.49 (d, $J = 1.6\text{ Hz}$, 1H), 6.39 (d, $J = 10.8\text{ Hz}$, 1H), 4.80 (d, $J = 10.8\text{ Hz}$, 1H), 4.76 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 152.0, 151.3, 138.6, 132.1, 132.0, 131.7, 130.7, 130.4, 128.9, 128.5, 126.4, 123.2, 121.7, 121.6, 119.5, 115.6, 113.8, 112.3, 111.2, 90.6, 56.1; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{BrO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0257; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.1 min, t_2 (major) = 8.2 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.049	14.924	82.003	50.65	57.55	n.a.
2		8.165	14.542	60.491	49.35	42.45	n.a.
Total:			29.466	142.493	100.00	100.00	

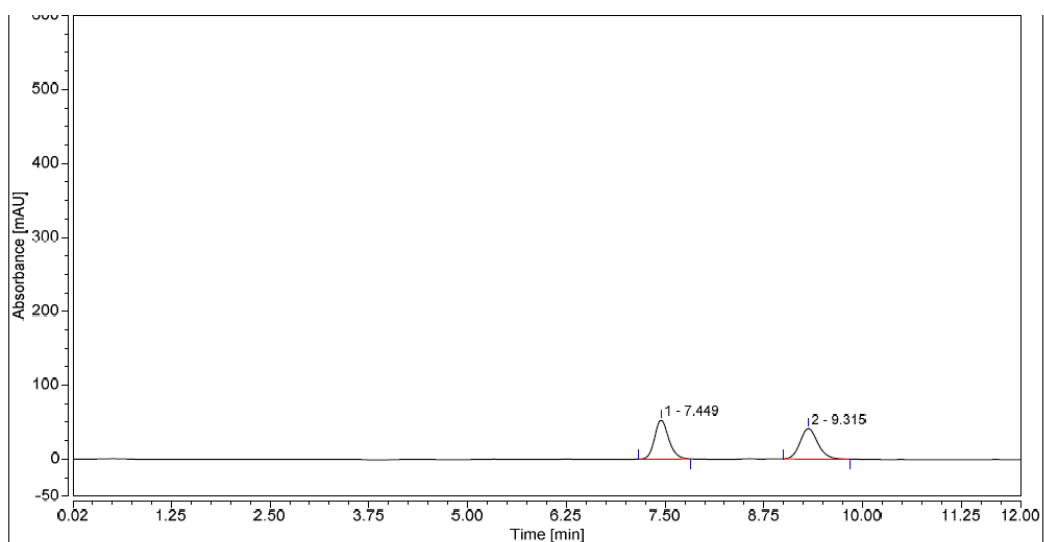


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.065	2.729	16.408	3.96	5.79	n.a.
2		8.215	66.194	266.780	96.04	94.21	n.a.
Total:			68.923	283.188	100.00	100.00	

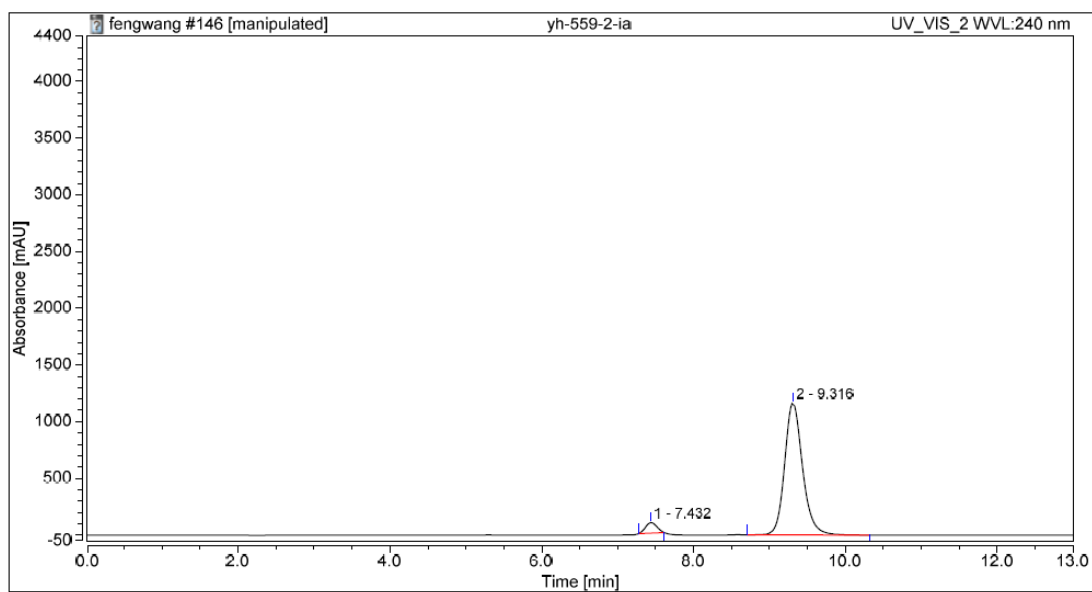


Methyl 4-((2*S*,3*S*)-5-hydroxy-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-3-yl)benzoate (3i**)**

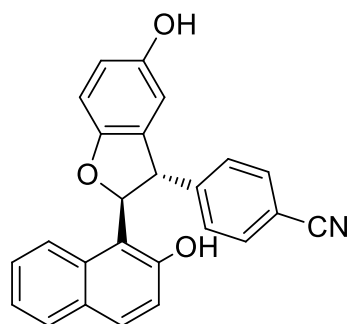
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1i** (61.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3i** as a white solid (67 mg, 81% yield). mp $120.0\text{--}121.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} -97.6$ (c 0.5, CHCl_3 , 90% ee); IR (KBr): 3421, 2956, 2924, 2365, 1699, 1681, 1462, 1283, 1191, 815, 748 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (d, $J = 8.3\text{ Hz}$, 2H), 7.77 – 7.67 (m, 3H), 7.18 (m, 4H), 7.01 (t, $J = 7.2\text{ Hz}$, 1H), 6.94 (dd, $J = 8.5, 3.5\text{ Hz}$, 2H), 6.78 (dd, $J = 8.5, 2.3\text{ Hz}$, 1H), 6.50 (d, $J = 1.7\text{ Hz}$, 1H), 6.45 (d, $J = 10.7\text{ Hz}$, 1H), 5.00 (s, 1H), 4.90 (d, $J = 10.7\text{ Hz}$, 1H), 3.90 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3): δ 166.9, 153.9, 152.1, 151.5, 145.1, 132.0, 131.7, 130.7, 130.1, 129.4, 128.9, 128.8, 128.6, 126.4, 123.2, 121.6, 119.5, 115.7, 113.8, 112.4, 111.2, 90.4, 56.6, 52.2; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{20}\text{O}_5\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 435.1203; found: 435.1191; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 7.4 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		7.449	10.955	53.137	50.37	56.10	n.a.
2		9.315	10.794	41.581	49.63	43.90	n.a.
Total:			21.748	94.717	100.00	100.00	

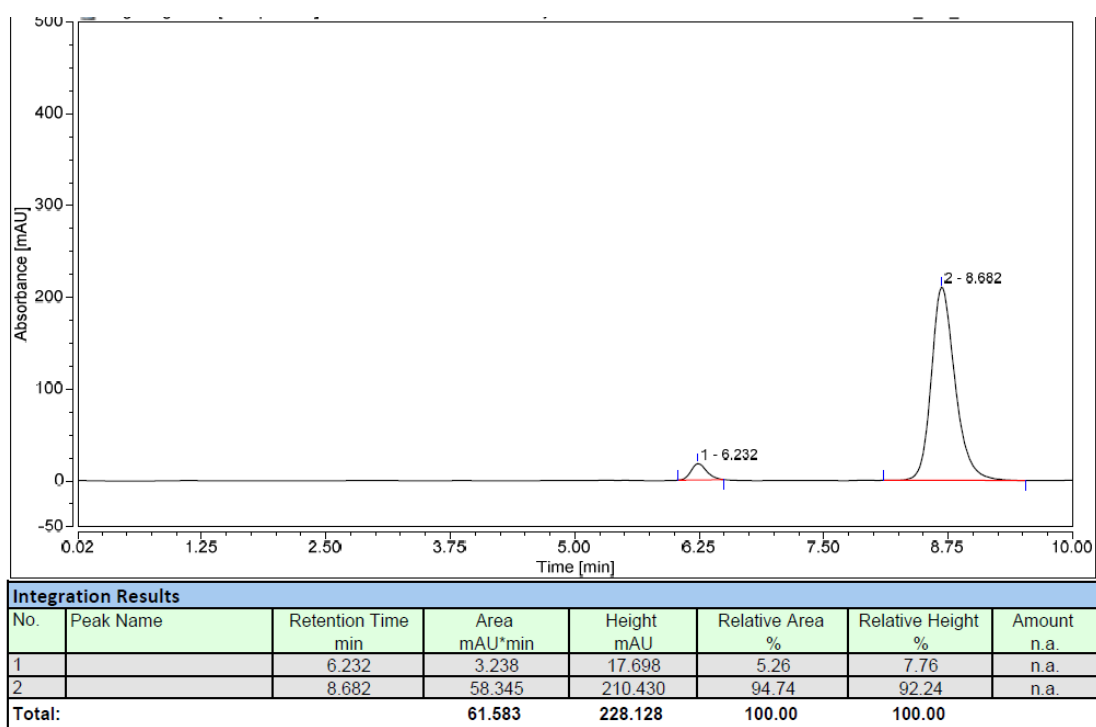
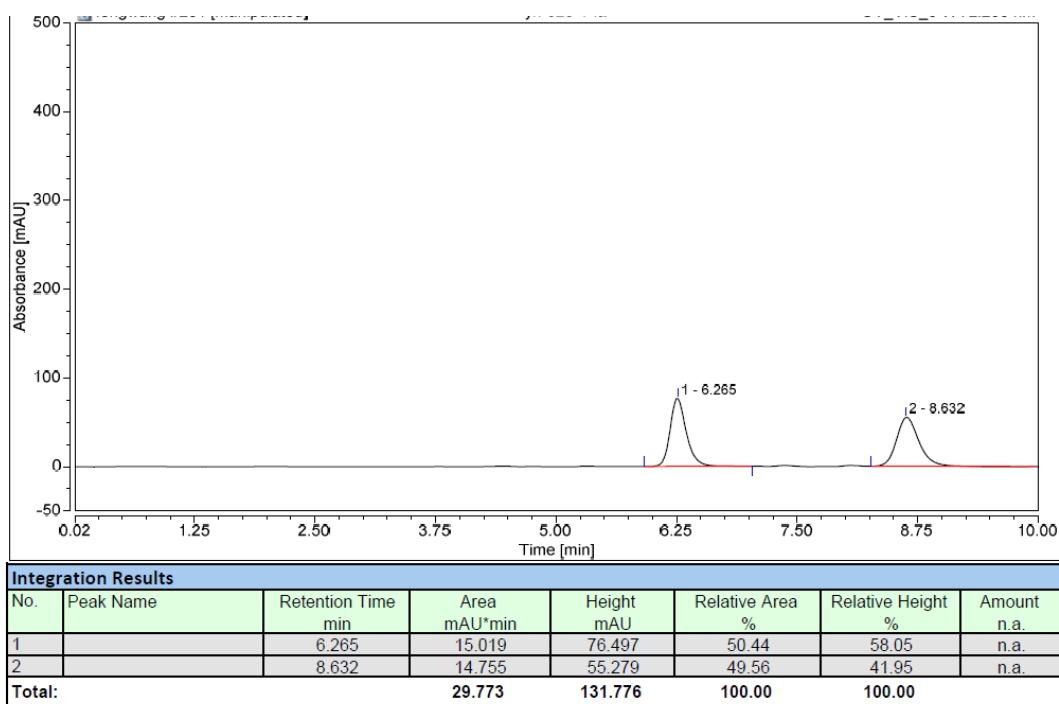


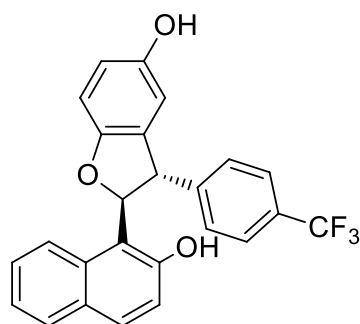
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.432	16.225	94.224	4.86	7.50	n.a.
2		9.316	317.826	1161.846	95.14	92.50	n.a.
Total:			334.051	1256.070	100.00	100.00	



4-((2*S*,3*S*)-5-Hydroxy-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-3-yl)benzonitrile (3j**)**

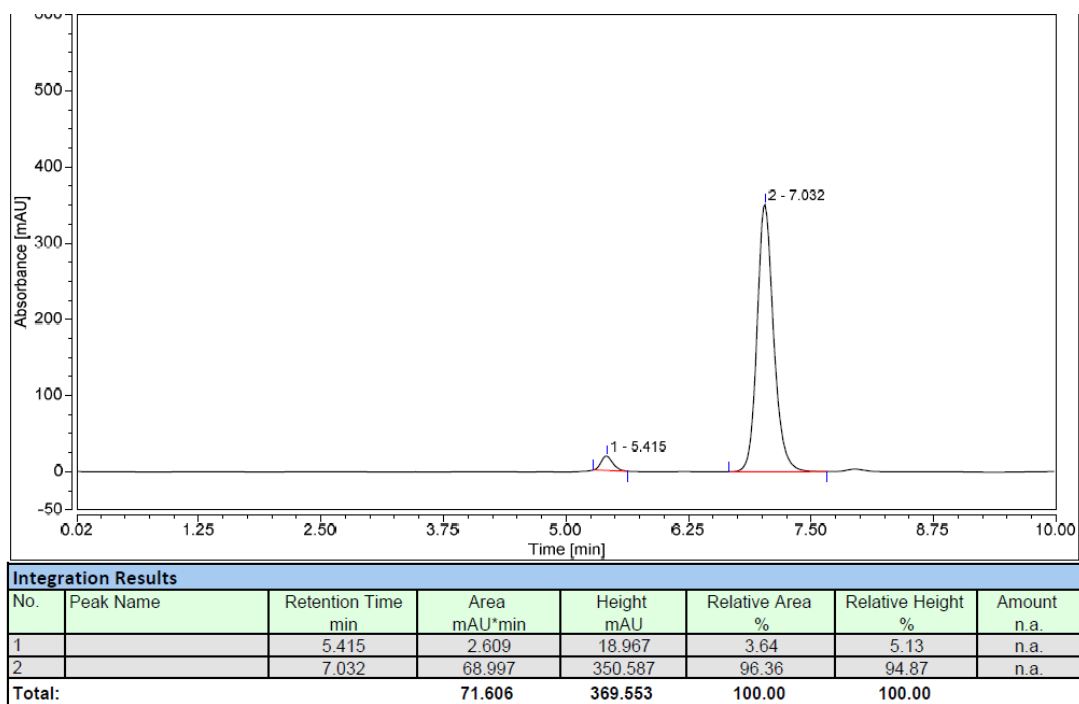
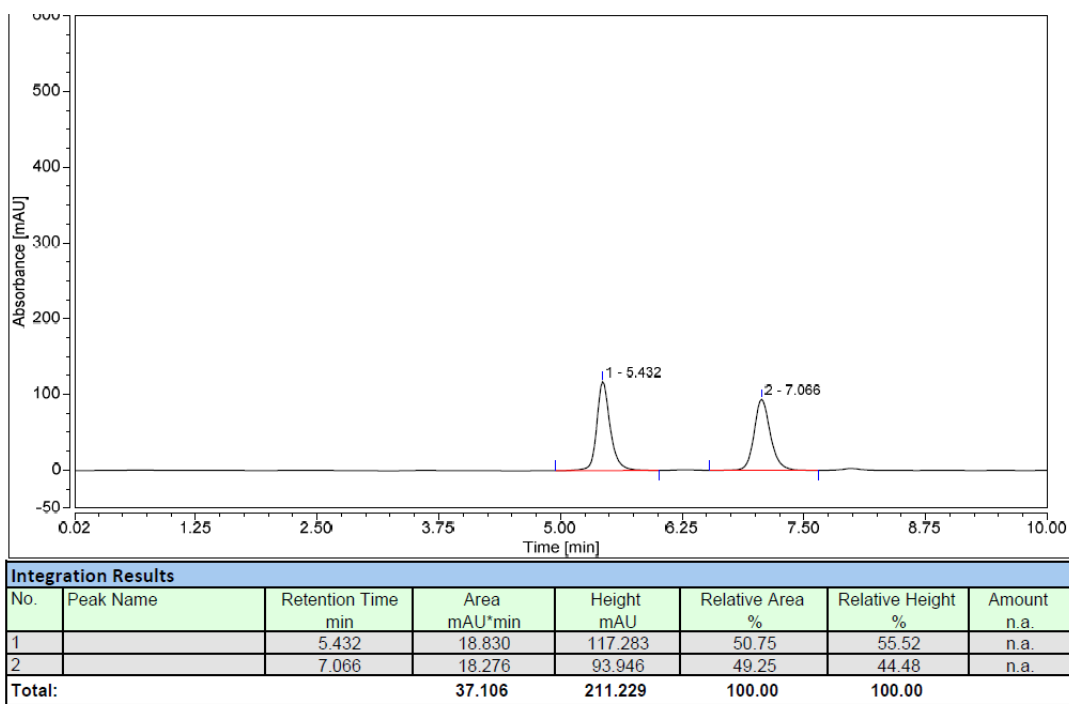
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1j** (54.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3j** as a white solid (73 mg, 97% yield). mp $130.0\text{--}131.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} -95.2$ (c 1.0, CHCl_3 , 90% ee); IR (KBr): 3398, 2926, 2229, 1464, 1198, 813, 746, 558 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.74 (t, $J = 8.6\text{ Hz}$, 2H), 7.57 (s, 1H), 7.52 (d, $J = 8.1\text{ Hz}$, 2H), 7.23 (dt, $J = 7.0, 2.9\text{ Hz}$, 3H), 7.16 (d, $J = 8.9\text{ Hz}$, 1H), 7.06 (m, 1H), 6.95 (d, $J = 8.7\text{ Hz}$, 2H), 6.79 (dd, $J = 8.6, 2.6\text{ Hz}$, 1H), 6.52 – 6.46 (m, 1H), 6.39 (d, $J = 10.8\text{ Hz}$, 1H), 5.08 (s, 1H), 4.92 (d, $J = 10.8\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 152.2, 151.5, 145.3, 132.6, 131.6, 131.1, 130.9, 129.6, 128.9, 128.7, 128.5, 126.5, 123.3, 121.3, 119.4, 118.6, 116.0, 113.4, 112.2, 111.4, 90.0, 56.6; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{17}\text{NO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 402.1101; found: 402.1095; HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.2 min, t_2 (major) = 8.7 min.

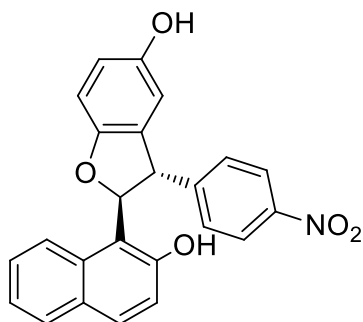




(2*S*,3*S*)-2-(2-Hydroxynaphthalen-1-yl)-3-(4-(trifluoromethyl)phenyl)-2,3-dihydrobenzofuran-5-ol (3k)

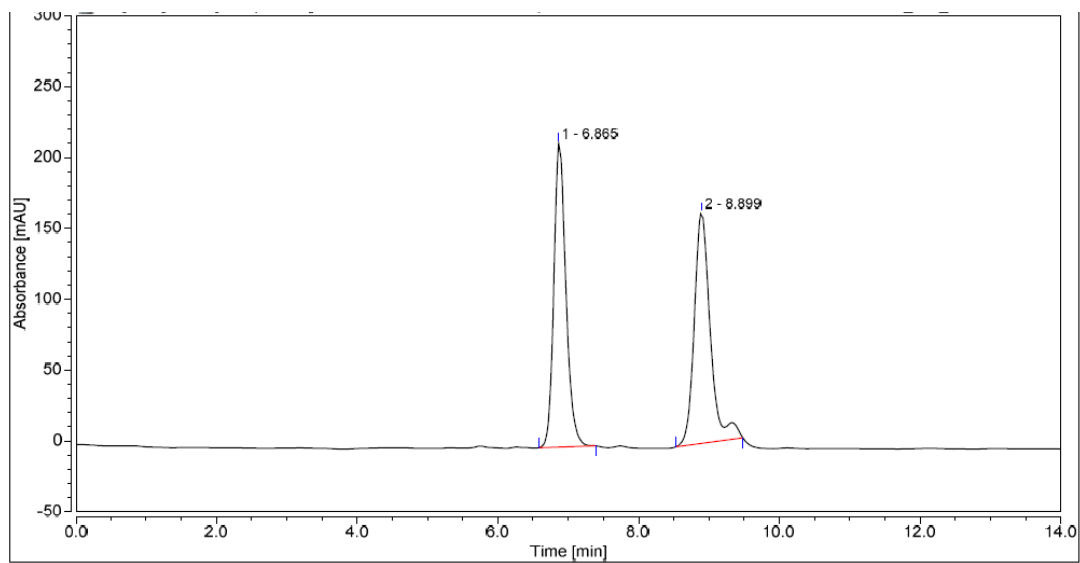
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1k** (63.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3k** as a white solid (71 mg, 84% yield). mp $167.0\text{--}168.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23}$ -195.4 (c 1.0, CHCl_3 , 93% ee); IR (KBr): 3408, 2954, 2924, 1622, 1463, 1325, 1170, 1124, 1076, 813 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.71 (dd, $J = 20.8, 10.9$ Hz, 3H), 7.49 (d, $J = 7.7$ Hz, 2H), 7.23 (dd, $J = 13.8, 6.1$ Hz, 3H), 7.16 (d, $J = 9.0$ Hz, 1H), 7.04 – 7.00 (m, 1H), 6.95 (d, $J = 8.5$ Hz, 1H), 6.87 (d, $J = 8.4$ Hz, 1H), 6.77 (d, $J = 7.0$ Hz, 1H), 6.50 (s, 1H), 6.42 (d, $J = 10.8$ Hz, 1H), 4.90 (d, $J = 10.8$ Hz, 1H), 4.75 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 152.2, 151.4, 143.8, 131.8, 131.7, 130.8, 129.2, 128.9, 128.6, 126.3, 125.8 (dd, $J = 7.2, 3.6$ Hz), 123.3, 122.7, 121.5, 119.5, 115.8, 113.6, 112.3, 111.3, 90.5, 56.5; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{17}\text{F}_3\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 445.1022; found: 445.1020; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230$ nm): $t_2(\text{minor}) = 5.4$ min, $t_1(\text{major}) = 7.0$ min.



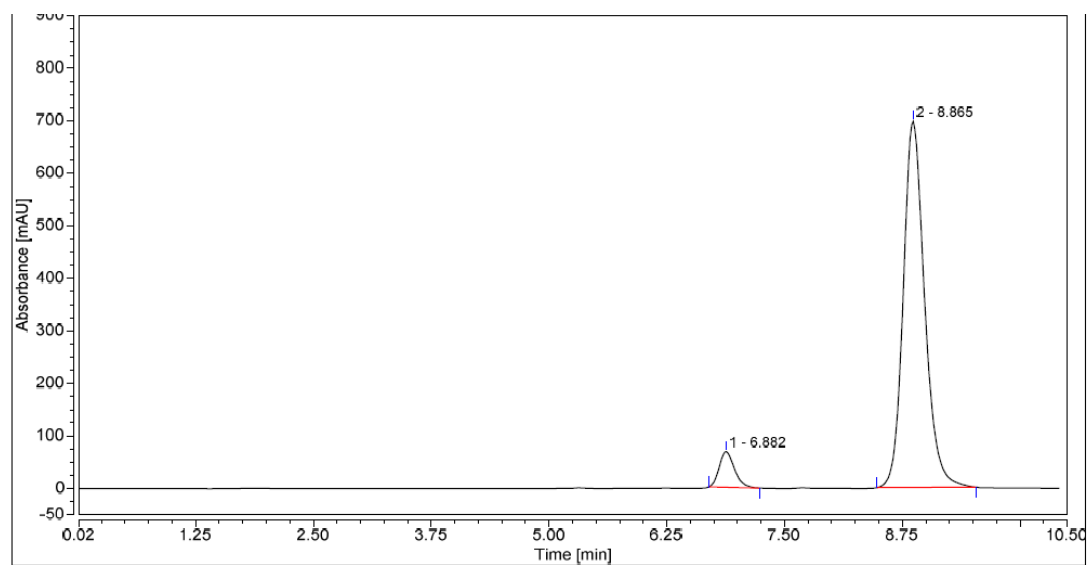


(2*S*,3*S*)-2-(2-Hydroxynaphthalen-1-yl)-3-(4-nitrophenyl)-2,3-dihydrobenzofuran-5-ol (3l**)**

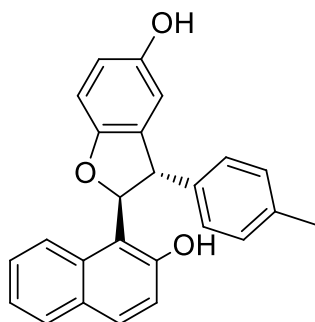
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1l** (58.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3l** as a white solid (67 mg, 84% yield). mp $116.0\text{--}117.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25} -314.8$ (c 0.5, CHCl_3 , 87% ee); IR (KBr): 3447, 2958, 2924, 2361, 1622, 1460, 1376, 1192, 819 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 8.13 (d, $J = 8.7\text{ Hz}$, 2H), 7.87 (d, $J = 8.0\text{ Hz}$, 1H), 7.77 (d, $J = 6.8\text{ Hz}$, 1H), 7.71 (d, $J = 8.8\text{ Hz}$, 1H), 7.35 – 7.23 (m, 4H), 7.03 (d, $J = 8.8\text{ Hz}$, 1H), 6.76 (m, 2H), 6.52 (d, $J = 10.8\text{ Hz}$, 1H), 6.47 (s, 1H), 5.22 (d, $J = 10.8\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.1, 154.6, 153.3, 151.1, 148.5, 134.1, 131.8, 131.5, 130.8, 130.6, 130.0, 127.5, 124.7, 124.5, 123.9, 118.6, 116.8, 116.1, 113.0, 111.2, 87.9, 56.5; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{NO}_5\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 422.0999; found: 422.0994; HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.9 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
1		6.865	42.736	214.445	49.79	56.86	n.a.
2		8.899	43.089	162.707	50.21	43.14	n.a.
Total:			85.825	377.152	100.00	100.00	

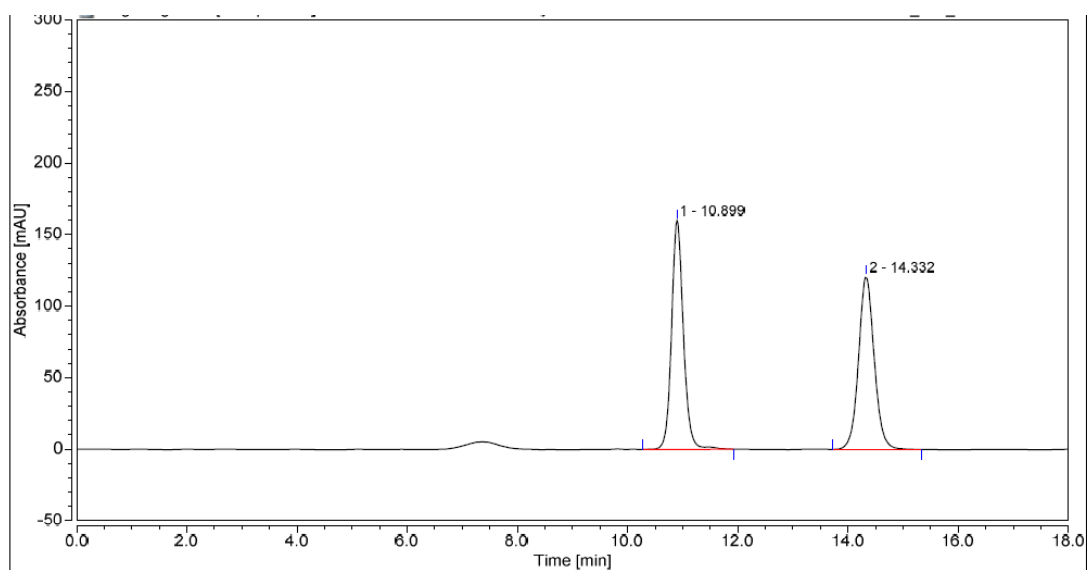


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.882	12.662	67.812	6.48	8.87	n.a.
2		8.865	182.796	696.670	93.52	91.13	n.a.
Total:			195.457	764.482	100.00	100.00	

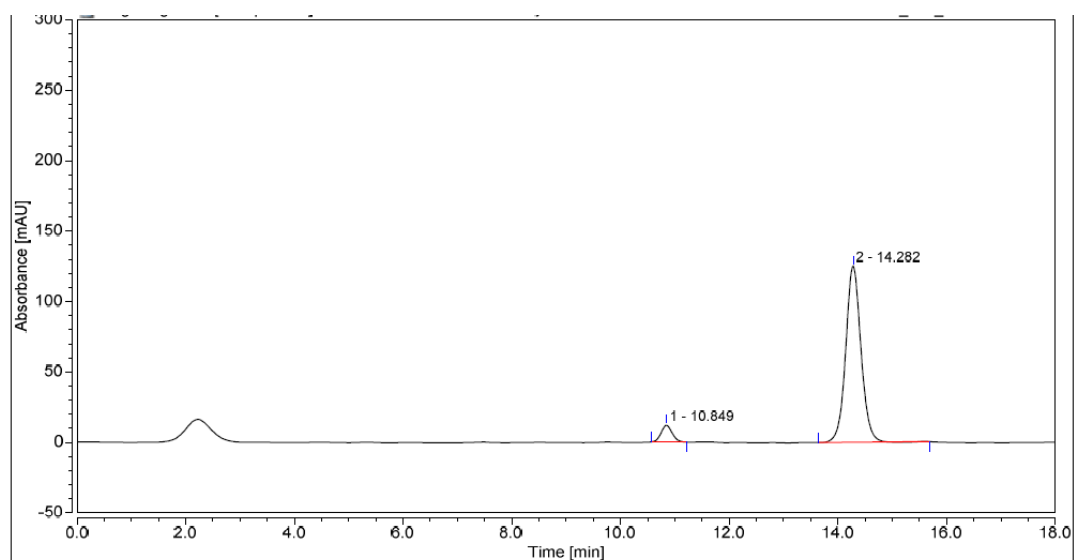


**(2*S*,3*S*)-2-(2-Hydroxynaphthalen-1-yl)-3-(*p*-tolyl)-2,3-dihydrobenzofuran-5-ol
(**3m**)**

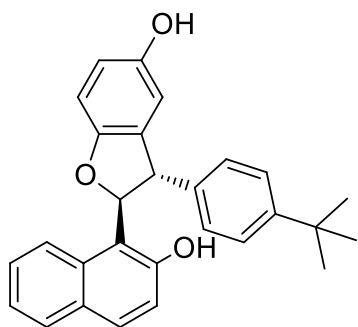
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1m** (52.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3m** as a white solid (63 mg, 85% yield). mp $135.0\text{--}136.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{25} -201.2$ (c 0.5, CHCl_3 , 87% ee); IR (KBr): 3392, 2956, 2924, 1624, 1485, 1271, 1192, 959, 926, 813, 743, 527 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (s, 1H), 7.72 (t, $J = 9.0\text{ Hz}$, 2H), 7.23 – 7.13 (m, 2H), 7.08 – 6.98 (m, 5H), 6.93 (d, $J = 8.8\text{ Hz}$, 2H), 6.74 (d, $J = 7.6\text{ Hz}$, 1H), 6.52 (s, 1H), 6.42 (d, $J = 10.4\text{ Hz}$, 1H), 4.78 (d, $J = 10.4\text{ Hz}$, 1H), 4.59 (s, 1H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 152.0, 151.2, 137.3, 136.5, 133.1, 131.9, 130.4, 129.5, 128.9, 128.6, 128.4, 126.1, 123.0, 122.0, 119.6, 115.3, 114.2, 112.5, 111.0, 91.2, 56.3, 21.1; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{20}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 391.1305; found: 391.1299; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 10.8 min, t_2 (major) = 14.3 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		10.899	39.948	160.069	50.04	56.95	n.a.
2		14.332	39.885	121.021	49.96	43.05	n.a.
Total:			79.833	281.090	100.00	100.00	

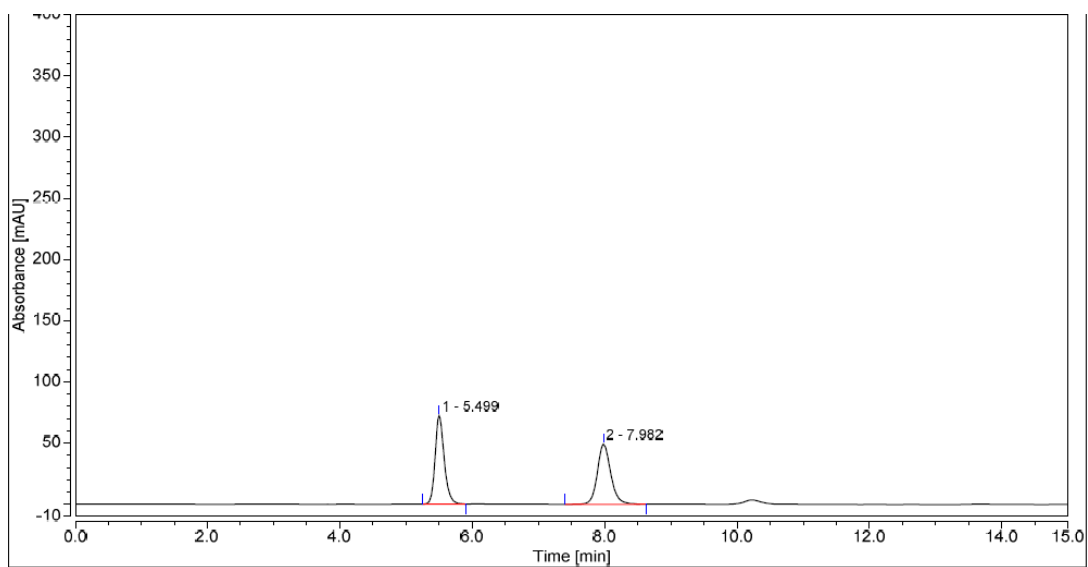


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		10.849	2.807	11.933	6.45	8.71	n.a.
2		14.282	40.700	125.130	93.55	91.29	n.a.
Total:			43.507	137.062	100.00	100.00	

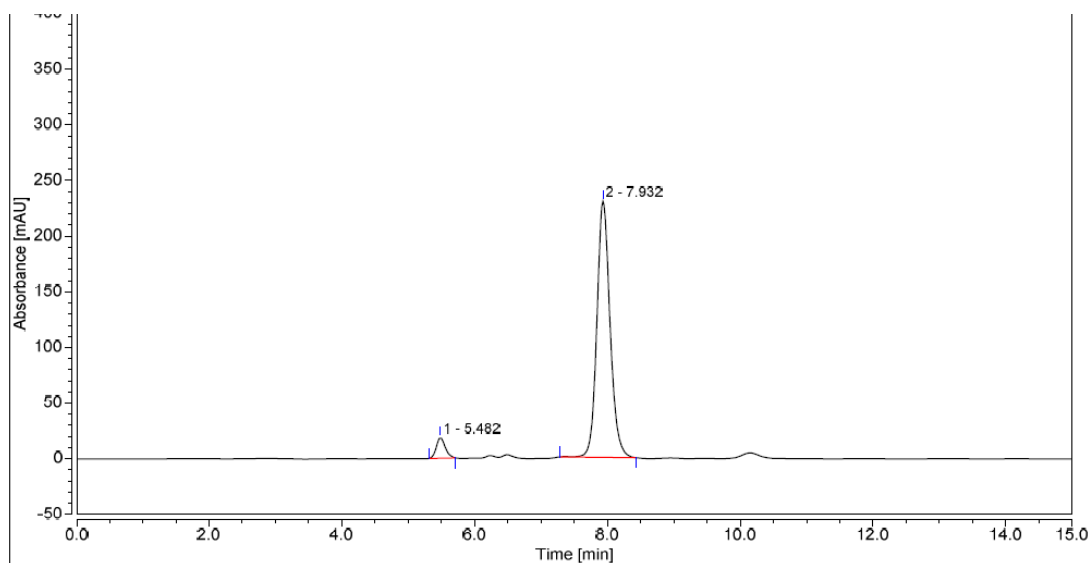


(2*S*,3*S*)-3-(4-(Tert-butyl)phenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3n)

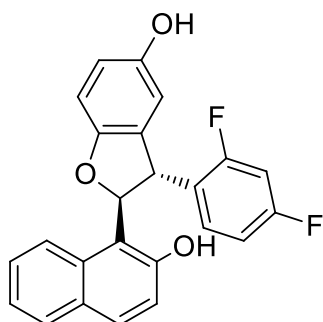
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1n** (61.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3n** as a white solid (78 mg, 95% yield). mp $125.0\text{--}126.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23}$ -304.8 (c 0.5, CHCl_3 , 90% ee); IR (KBr): 3394, 2961, 2918, 1624, 1485, 1269, 1223, 1188, 912, 813, 739 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.02 (s, 1H), 7.69 (dd, $J = 12.8, 8.5\text{ Hz}$, 2H), 7.26 – 7.20 (m, 2H), 7.19 – 7.12 (m, 2H), 7.00 (d, $J = 8.4\text{ Hz}$, 2H), 6.94 – 6.88 (m, 2H), 6.77 (d, $J = 8.4\text{ Hz}$, 1H), 6.72 (dd, $J = 8.8, 2.0\text{ Hz}$, 1H), 6.54 (d, $J = 1.6\text{ Hz}$, 1H), 6.36 (d, $J = 10.8\text{ Hz}$, 1H), 4.76 (d, $J = 10.8\text{ Hz}$, 1H), 4.77 (s, 1H), 1.29 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 151.9, 151.3, 150.7, 136.2, 132.9, 131.8, 130.4, 128.8, 128.4, 128.3, 125.8, 125.7, 123.0, 122.0, 119.6, 115.2, 114.0, 112.6, 111.0, 91.4, 56.2, 34.5, 31.3; HRMS (ESI) calcd for $\text{C}_{28}\text{H}_{26}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 433.1774; found: 433.1769; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 5.5 min, t_2 (major) = 7.9 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		5.499	11.492	72.331	49.61	59.45	n.a.
2		7.982	11.673	49.336	50.39	40.55	n.a.
Total:			23.165	121.666	100.00	100.00	

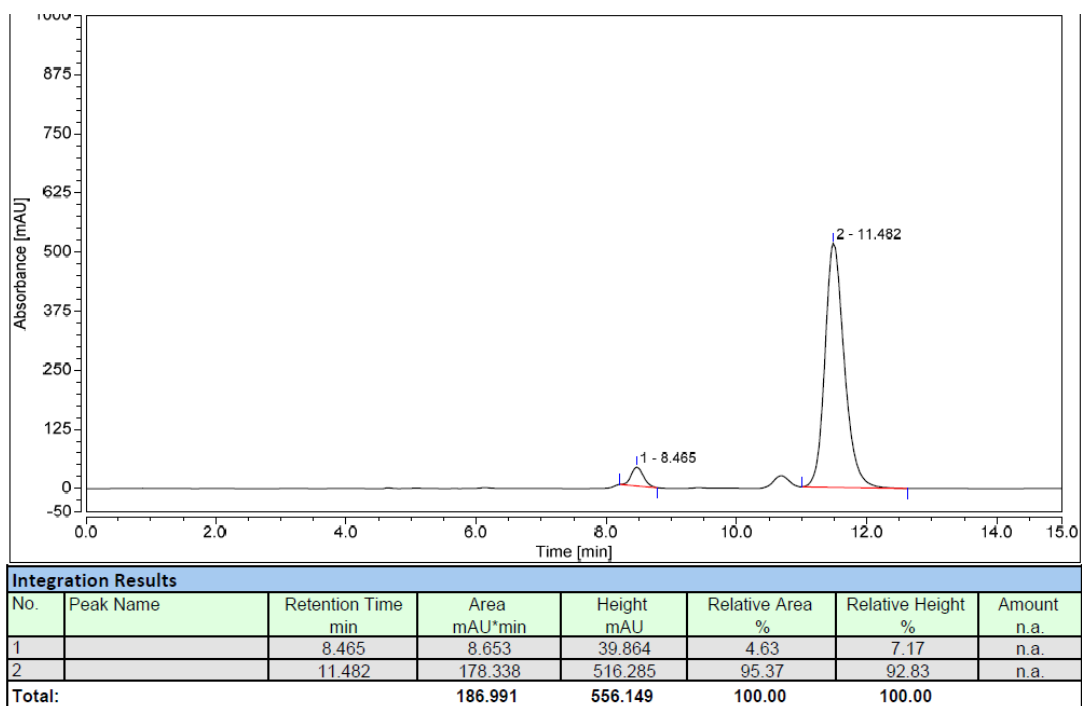
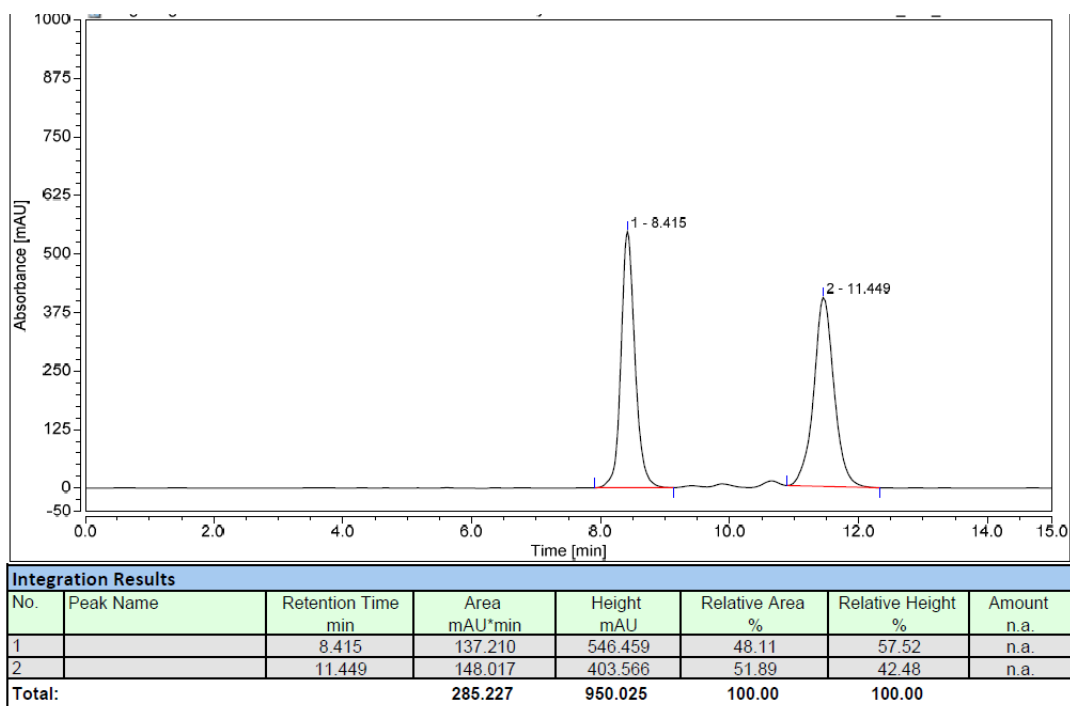


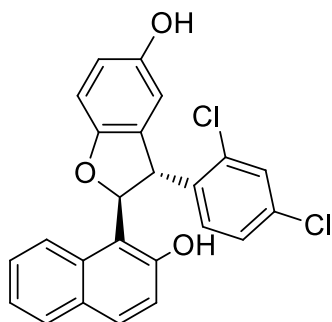
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		5.482	2.856	18.550	5.11	7.46	n.a.
2		7.932	53.027	230.208	94.89	92.54	n.a.
Total:			55.884	248.758	100.00	100.00	



(2*S*,3*R*)-3-(2,4-Difluorophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3o**)**

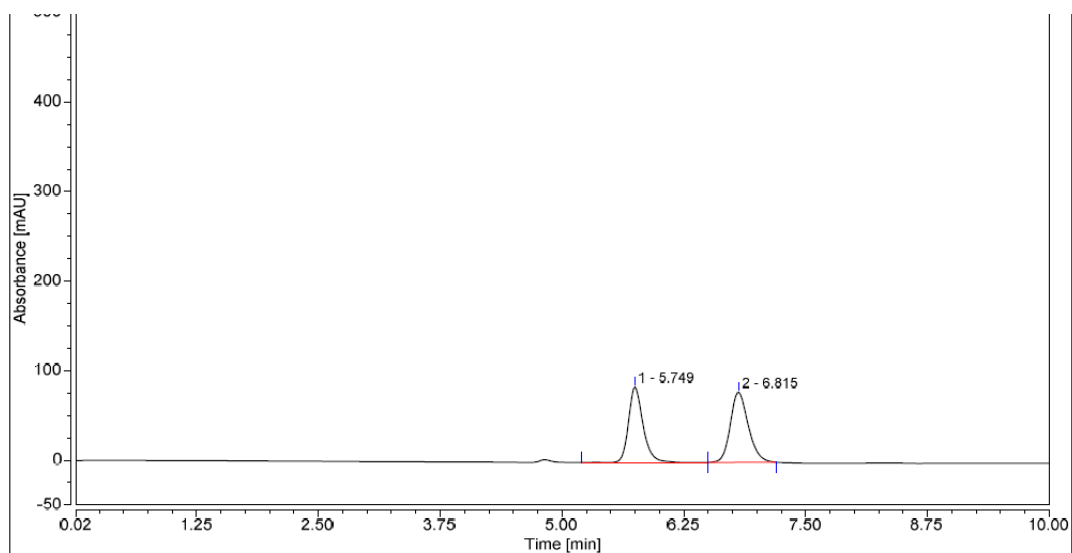
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1o** (57.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3o** as a white solid (76 mg, 97% yield). mp $162.0\text{--}163.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -170.2$ (c 1.0, CHCl_3 , 91% ee); IR (KBr): 3395, 2926, 1622, 1504, 1190, 969, 814, 745 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.80 (s, 1H), 7.72 (dd, $J = 8.4, 4.2\text{ Hz}$, 2H), 7.31 – 7.18 (m, 2H), 7.15 (d, $J = 8.9\text{ Hz}$, 1H), 7.08 (ddd, $J = 16.1, 11.8, 4.9\text{ Hz}$, 2H), 6.92 (d, $J = 8.6\text{ Hz}$, 1H), 6.86 (td, $J = 8.0, 1.6\text{ Hz}$, 1H), 6.73 (ddd, $J = 8.6, 2.6, 0.7\text{ Hz}$, 1H), 6.62 (ddd, $J = 10.4, 9.0, 2.5\text{ Hz}$, 1H), 6.53 – 6.43 (m, 2H), 5.11 (d, $J = 10.8\text{ Hz}$, 1H), 4.80 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 162.4 (dd, $J = 249.3, 12.0\text{ Hz}$), 161.4 (dd, $J = 251.1, 11.8\text{ Hz}$), 153.8, 151.9, 151.3, 131.7, 131.6, 130.8 (d, $J = 5.4\text{ Hz}$), 130.7, 128.9, 128.7, 126.4, 123.1, 122.4 (dd, $J = 13.2, 3.9\text{ Hz}$), 121.0, 119.5, 115.5, 113.6, 111.9 (dd, $J = 21.3, 3.7\text{ Hz}$), 111.9, 111.2, 104.1 (t, $J = 25.6\text{ Hz}$), 89.3, 49.4; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{16}\text{F}_2\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 413.0960; found: 413.0953; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 20/80, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 8.5 min, t_2 (major) = 11.5 min.



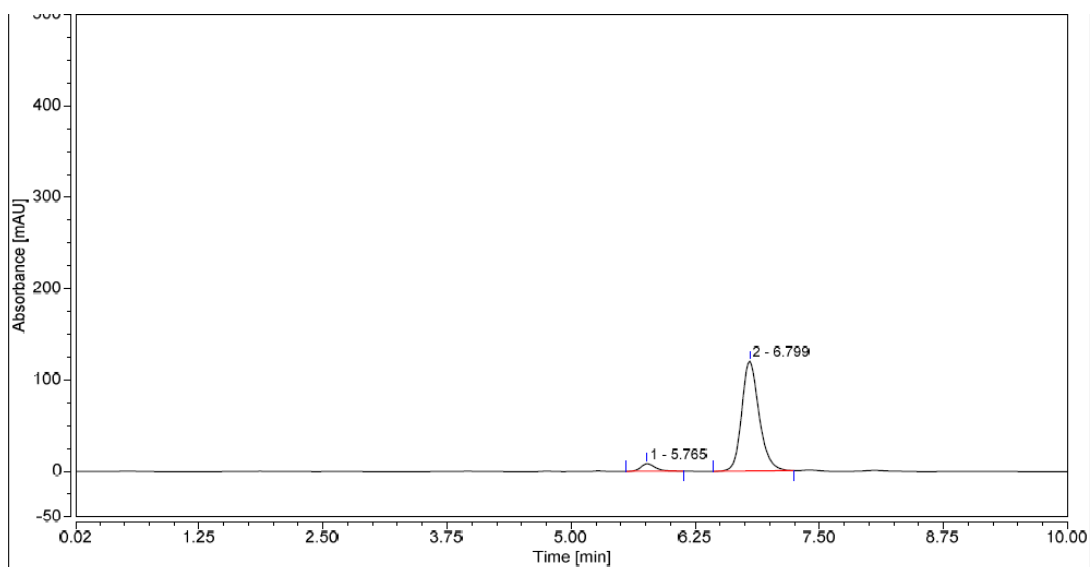


(2*S*,3*R*)-3-(2,4-Dichlorophenyl)-2-(2-hydroxynaphthalen-1-yl)-2,3-dihydrobenzofuran-5-ol (3p**)**

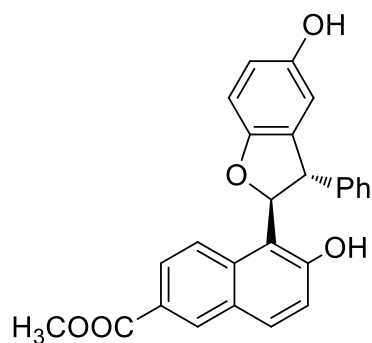
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1p** (63.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3p** as a white solid (84 mg, 99% yield). mp $121.0\text{--}122.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -126.0$ (c 1.0, CHCl_3 , 90% ee); IR (KBr): 3395, 2926, 1468, 1268, 1190, 813, 749 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ = 7.80 (s, 1H), 7.70 (dd, J =13.9, 7.1, 4H), 7.42 (dd, J =17.8, 10.5, 2H), 7.32 (t, J =7.4, 1H), 7.22 – 7.11 (m, 4H), 7.04 – 6.96 (m, 1H), 6.96 – 6.89 (m, 2H), 6.47 (d, J =10.9, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.8, 151.5, 149.1, 139.3, 136.0, 133.7, 131.9, 130.5, 128.8, 128.8, 128.6, 128.4, 127.7, 127.6, 126.2, 125.4, 123.1, 121.8, 119.5, 115.1, 114.1, 111.6, 90.8, 56.5; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{16}\text{Cl}_2\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 445.0369; found: 445.0375; HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, λ = 230 nm): t_1 (minor) = 5.8 min, t_2 (major) = 6.8 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		5.749	14.480	84.283	46.49	51.88	n.a.
2		6.815	16.663	78.172	53.51	48.12	n.a.
Total:			31.143	162.455	100.00	100.00	

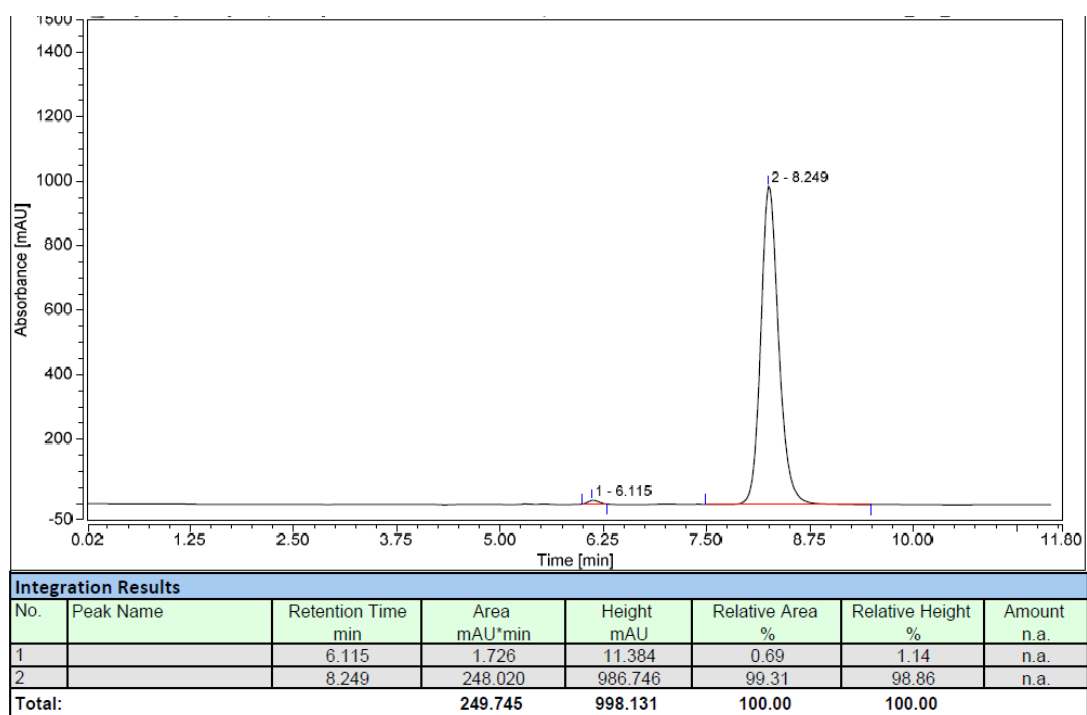
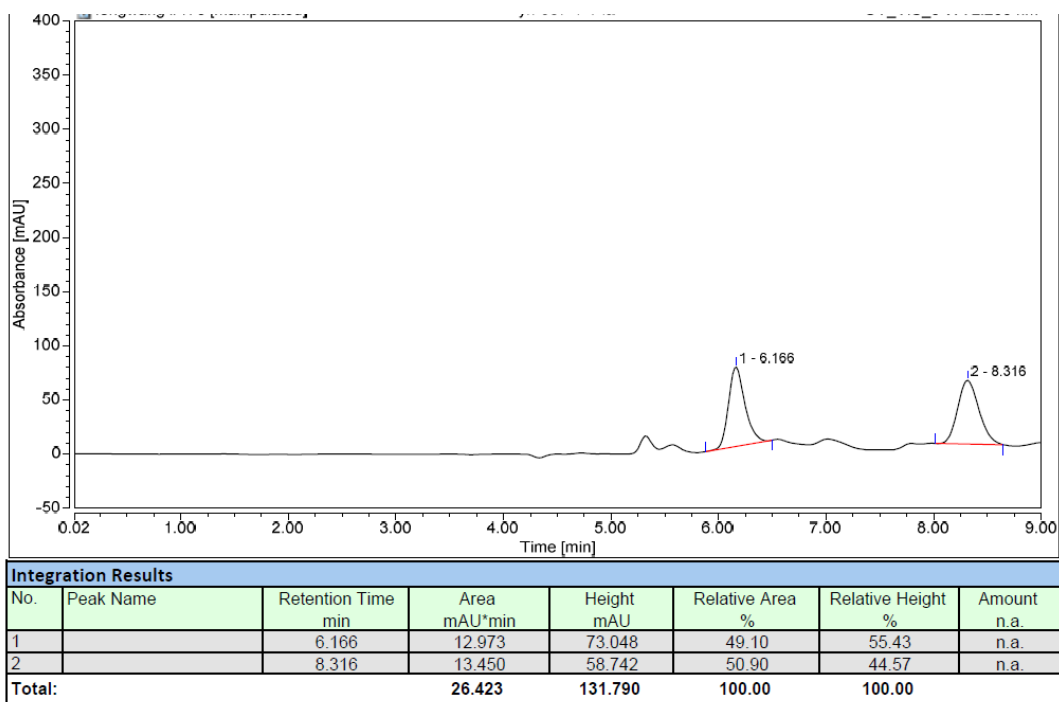


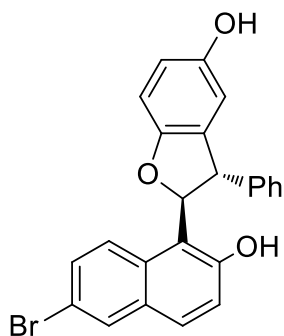
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		5.765	1.362	8.126	5.28	6.34	n.a.
2		6.799	24.425	120.149	94.72	93.66	n.a.
Total:			25.787	128.276	100.00	100.00	



Methyl 6-hydroxy-5-((2*S*,3*S*)-5-hydroxy-3-phenyl-2,3-dihydrobenzofuran-2-yl)-2-naphthoate (3q**)**

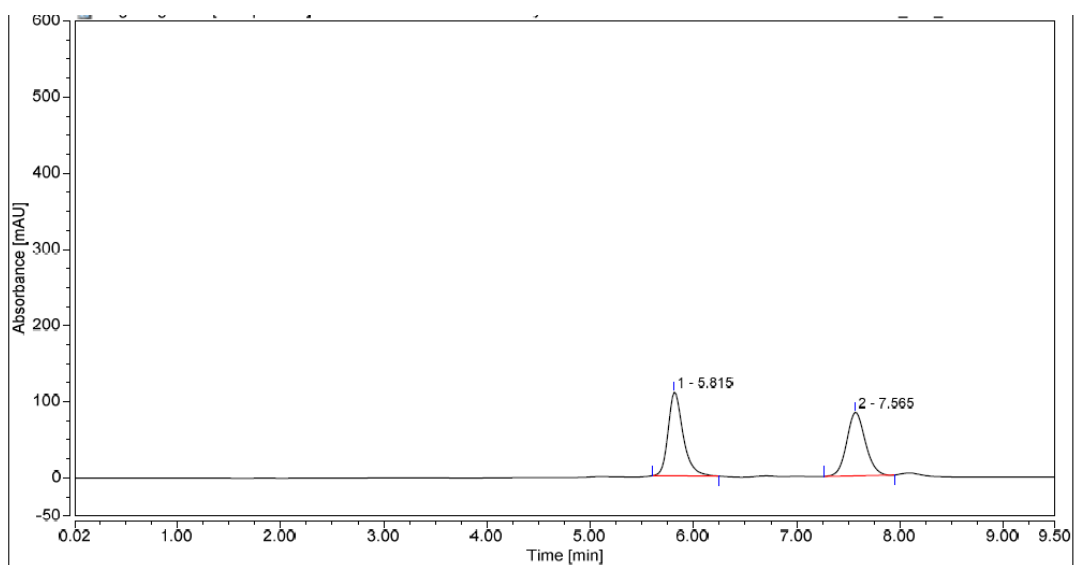
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1q** (61.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3q** as a white solid (72 mg, 87% yield). mp $182.0\text{--}183.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22}$ -71.2 (c 1.0, acetone- D_6 , 99% ee); IR (KBr): 3406, 2926, 2511, 1700, 1622, 1479, 1288, 1203, 966, 814, 748, 698 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 8.49 (d, J = 2 Hz, 1H), 7.88 (d, J = 8.8 Hz, 1H), 7.84 (d, J = 8.8 Hz, 1H), 7.79 (dd, J = 9.2, 2.0 Hz, 1H), 7.29 – 7.20 (m, 3H), 7.12 (d, J = 8.8 Hz, 1H), 7.09 (dd, J = 7.8, 1.5 Hz, 2H), 6.76 (d, J = 8.8 Hz, 1H), 6.72 (ddd, J = 8.6, 2.5, 0.7 Hz, 1H), 6.50 (d, J = 10.8 Hz, 1H), 6.45 (d, J = 2 Hz, 1H), 5.02 (d, J = 10.8 Hz, 1H), 3.91 (s, 3H); ^{13}C NMR (100 MHz, CD_3OD) δ 168.8, 157.6, 154.4, 153.1, 142.9, 136.9, 133.1, 133.0, 132.8, 129.6, 129.6, 128.1, 126.4, 125.3, 124.9, 119.8, 117.2, 116.2, 113.2, 110.9, 88.1, 56.7, 52.5; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{20}\text{O}_5\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 435.1203; found: 435.1199; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, λ = 230 nm): t_1 (minor) = 6.1 min, t_2 (major) = 8.2 min.



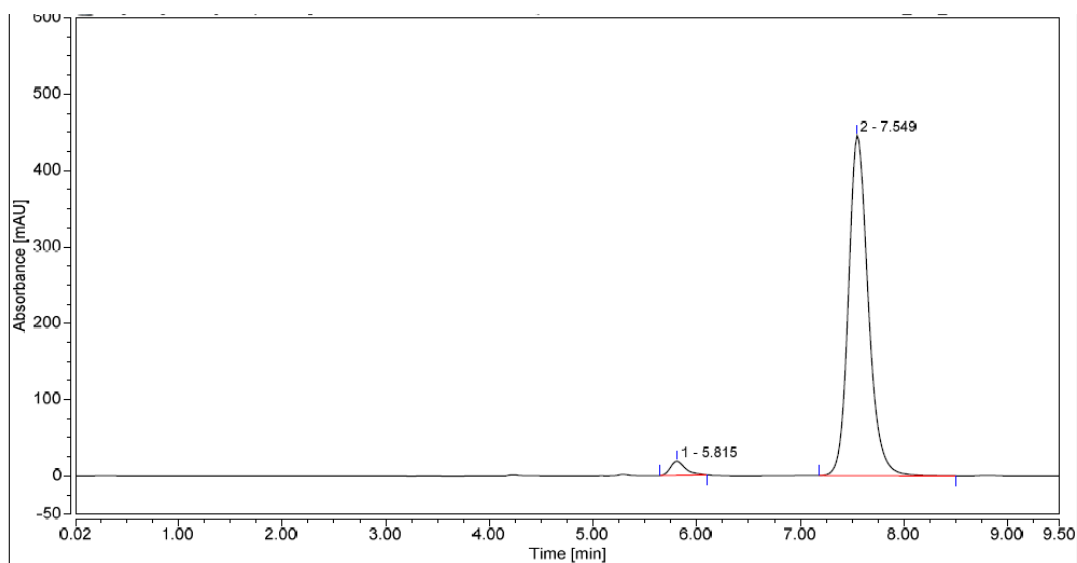


(2*S*,3*S*)-2-(6-Bromo-2-hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3r**)**

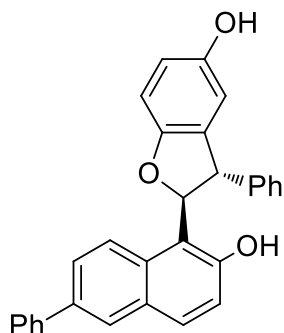
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1r** (65.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3r** as a yellow solid (83 mg, 96% yield). mp $142.0\text{--}143.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} -38.8$ (c 1.0, CHCl_3 , 94% ee); IR (KBr): 3447, 2956, 2922, 2852, 1622, 1460, 1373, 573 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.97 (s, 1H), 7.84 (d, $J = 2.0\text{ Hz}$, 1H), 7.64 (d, $J = 8.8\text{ Hz}$, 1H), 7.31 – 7.27 (m, 2H), 7.25 – 7.22 (m, 1H), 7.20 (d, $J = 8.8\text{ Hz}$, 1H), 7.09 (d, $J = 6.4\text{ Hz}$, 2H), 7.03 (dd, $J = 9.2, 2.0\text{ Hz}$, 1H), 6.94 (d, $J = 8.4\text{ Hz}$, 1H), 6.76 (dd, $J = 8.6, 2.4\text{ Hz}$, 1H), 6.69 (d, $J = 9.2\text{ Hz}$, 1H), 6.53 (d, $J = 2.4\text{ Hz}$, 1H), 6.34 (d, $J = 10.8\text{ Hz}$, 1H), 4.78 (d, $J = 10.8\text{ Hz}$, 1H), 4.54 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 154.2, 151.9, 151.4, 139.1, 132.7, 130.4, 130.3, 130.0, 129.6, 129.2, 129.0, 128.8, 127.8, 123.6, 120.8, 116.7, 115.5, 114.2, 112.5, 111.1, 91.1, 56.7; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{BrO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0247; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_{r} (minor) = 5.8 min, t_{r} (major) = 7.5 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.815	18.564	110.008	51.70	56.93	n.a.
2		7.565	17.342	83.232	48.30	43.07	n.a.
Total:			35.906	193.239	100.00	100.00	

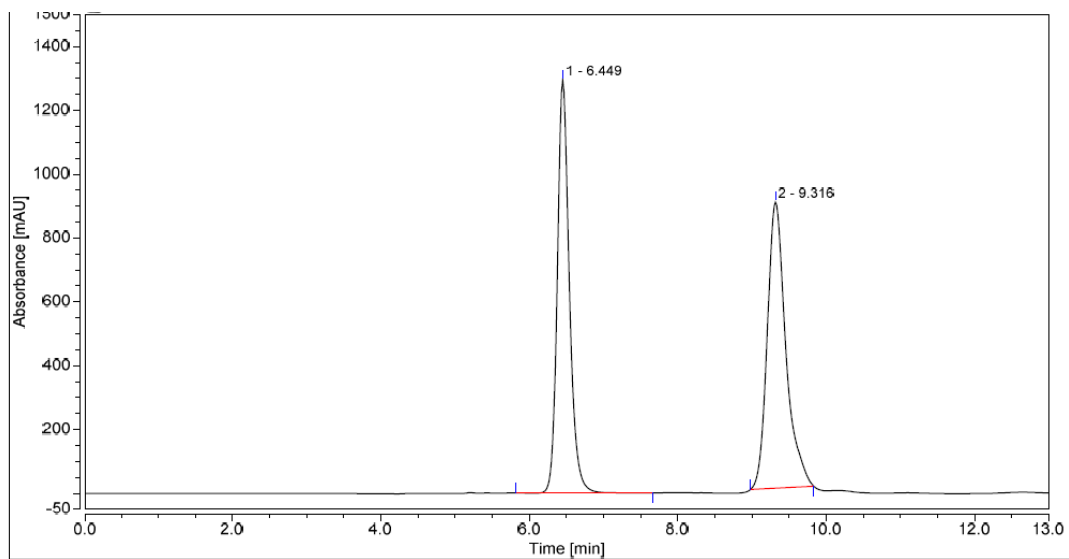


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.815	3.052	18.245	3.03	3.93	n.a.
2		7.549	97.766	445.476	96.97	96.07	n.a.
Total:			100.817	463.721	100.00	100.00	

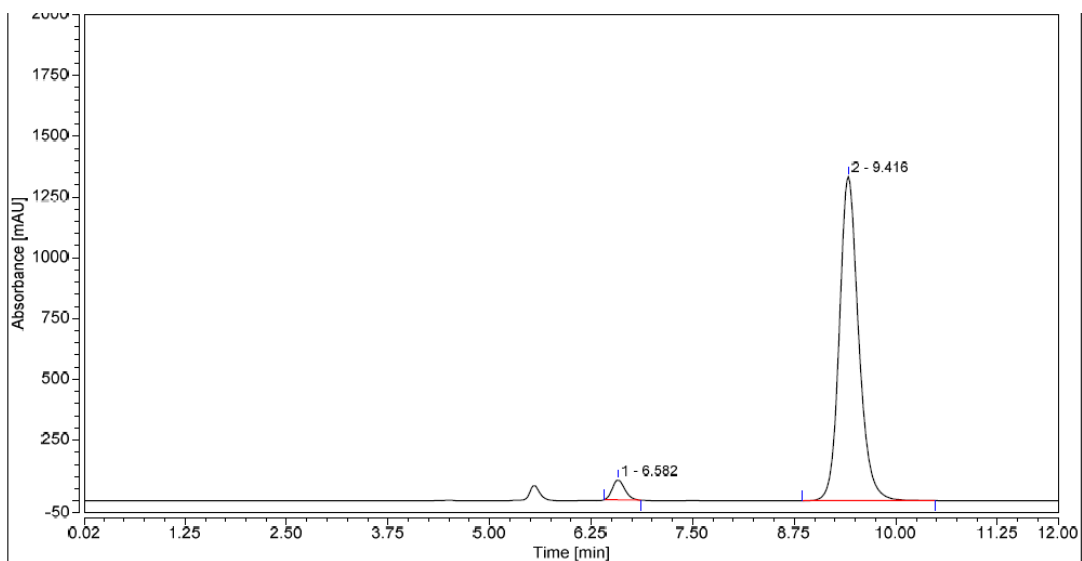


(2*S*,3*S*)-2-(2-Hydroxy-6-phenylnaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3s)

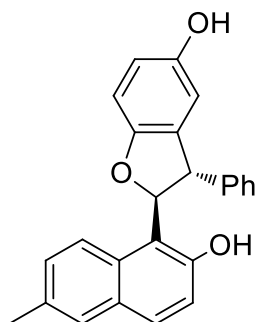
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1s** (64.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3s** as a white solid (84 mg, 98% yield). mp $164.0\text{--}165.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{21}$ -209.8 (c 1.0, CHCl_3 , 92% ee); IR (KBr): 3397, 2926, 1601, 1462, 1191, 814, 754 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 7.99 (d, $J = 1.2\text{ Hz}$, 1H), 7.90 (d, $J = 9.2\text{ Hz}$, 1H), 7.76 (d, $J = 8.8\text{ Hz}$, 1H), 7.66 (d, $J = 8.0\text{ Hz}$, 2H), 7.54 (dd, $J = 9.0, 1.6\text{ Hz}$, 1H), 7.41 (t, $J = 7.6\text{ Hz}$, 2H), 7.30 (d, $J = 7.2\text{ Hz}$, 1H), 7.27 – 7.17 (m, 3H), 7.10 (d, $J = 6.4\text{ Hz}$, 2H), 7.06 (d, $J = 8.8\text{ Hz}$, 1H), 6.77 (d, $J = 8.4\text{ Hz}$, 1H), 6.73 (dd, $J = 8.6, 2.2\text{ Hz}$, 1H), 6.54 (d, $J = 10.6\text{ Hz}$, 1H), 6.47 (s, 1H), 5.09 (d, $J = 10.6\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.4, 154.5, 153.0, 143.1, 142.1, 136.5, 133.4, 133.0, 131.9, 131.0, 129.8, 129.6, 129.6, 128.1, 128.0, 127.9, 127.5, 126.6, 125.2, 119.3, 116.8, 116.2, 113.2, 110.8, 88.4, 56.7; HRMS (ESI) calcd for $\text{C}_{30}\text{H}_{22}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 453.1461; found: 453.1472; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.6 min, t_2 (major) = 9.4 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.449	243.088	1294.162	48.40	59.06	n.a.
2		9.316	259.147	897.035	51.60	40.94	n.a.
Total:			502.235	2191.197	100.00	100.00	

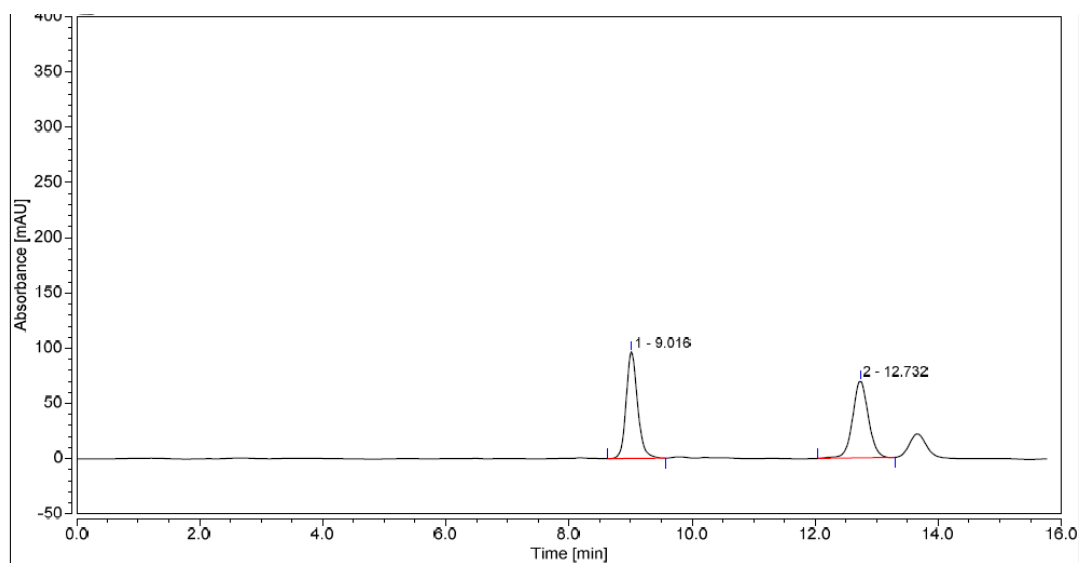


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.582	14.400	82.052	3.78	5.80	n.a.
2		9.416	366.223	1333.285	96.22	94.20	n.a.
Total:			380.623	1415.337	100.00	100.00	

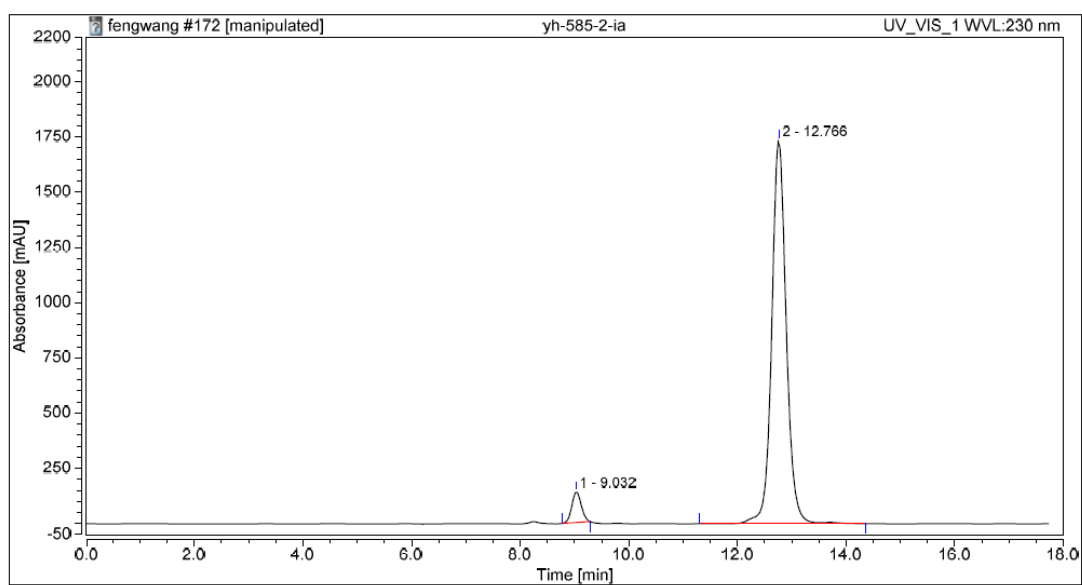


(2*S*,3*S*)-2-(2-Hydroxy-6-methylnaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3t)

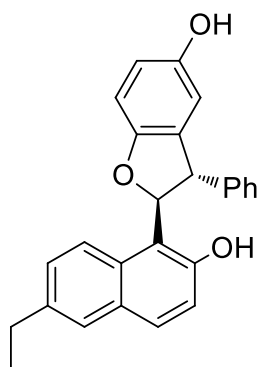
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1t** (52.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3t** as a white solid (59 mg, 80% yield). mp $163.0\text{--}164.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} -219.4$ (c 1.0, CHCl_3 , 90% ee); IR (KBr): 3408, 2926, 1771, 1489, 1246, 1059, 748 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.75 (s, 1H), 7.63 (d, $J = 8.8\text{ Hz}$, 1H), 7.46 (s, 1H), 7.27 (d, $J = 7.6\text{ Hz}$, 1H), 7.22 (d, $J = 6.0\text{ Hz}$, 2H), 7.11 (dd, $J = 10.1, 8.4\text{ Hz}$, 3H), 6.91 (d, $J = 8.6\text{ Hz}$, 1H), 6.81 (dd, $J = 21.8, 8.8\text{ Hz}$, 2H), 6.73 (dd, $J = 8.5, 1.9\text{ Hz}$, 1H), 6.49 (s, 1H), 6.40 (d, $J = 10.7\text{ Hz}$, 1H), 4.80 (d, $J = 10.7\text{ Hz}$, 1H), 4.72 (s, 1H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.1, 152.1, 151.2, 139.6, 132.9, 132.4, 130.0, 129.8, 129.1, 128.8, 128.8, 128.3, 127.6, 127.5, 121.8, 119.6, 115.3, 114.0, 112.5, 111.0, 91.2, 56.6, 21.0; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{20}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 391.1305; found: 391.1296; HPLC (Daicel Chiralpak IA, $i\text{-PrOH}$ /hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 9.0 min, t_2 (major) = 12.8 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.016	20.754	96.496	50.10	57.99	n.a.
2		12.732	20.672	69.897	49.90	42.01	n.a.
Total:			41.426	166.393	100.00	100.00	

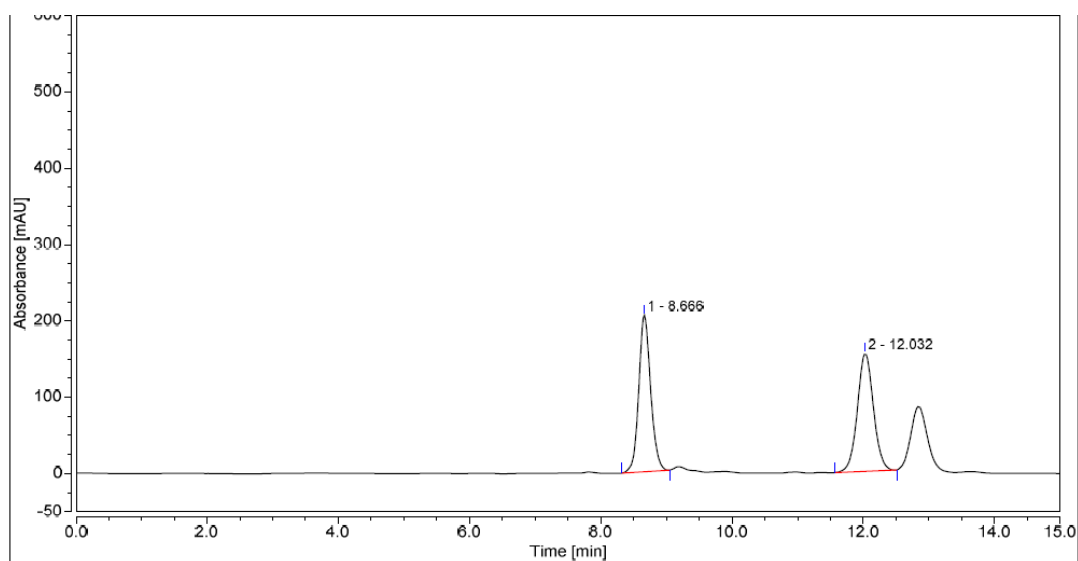


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.032	27.819	139.116	4.92	7.43	n.a.
2		12.766	537.977	1733.766	95.08	92.57	n.a.
Total:			565.796	1872.883	100.00	100.00	

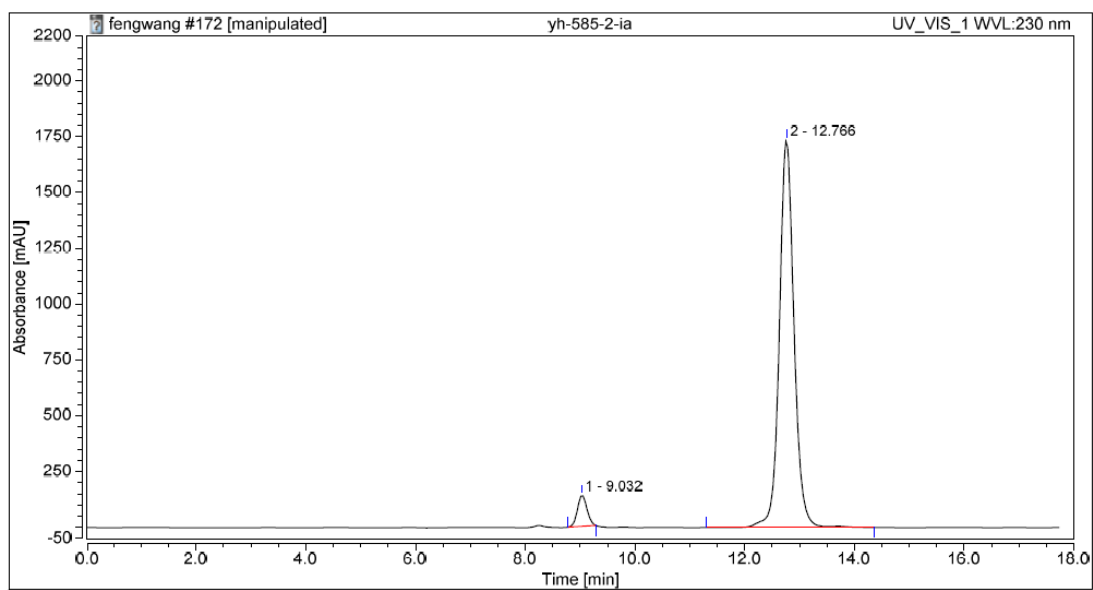


(2*S*,3*S*)-2-(6-Ethyl-2-hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3u**)**

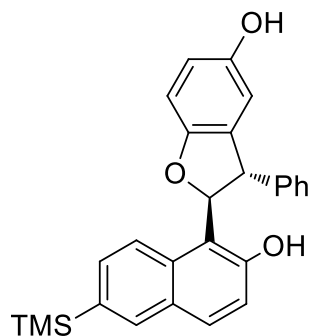
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1u** (55.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3u** as a white solid (70 mg, 92% yield). mp $125.0\text{--}126.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23}$ -191.2 (c 1.0, CHCl_3 , 90% ee); IR (KBr): 3397, 2926, 1605, 1487, 1188, 814, 700 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (s, 1H), 7.66 (d, $J = 8.9\text{ Hz}$, 1H), 7.48 (s, 1H), 7.28 – 7.20 (m, 3H), 7.15 – 7.08 (m, 3H), 6.91 (d, $J = 8.6\text{ Hz}$, 1H), 6.88 (dd, $J = 8.8, 1.7\text{ Hz}$, 1H), 6.82 (d, $J = 8.8\text{ Hz}$, 1H), 6.75 – 6.70 (m, 1H), 6.51 – 6.48 (m, 1H), 6.41 (d, $J = 10.7\text{ Hz}$, 1H), 4.81 (d, $J = 10.6\text{ Hz}$, 1H), 4.68 (s, 1H), 2.66 (q, $J = 7.6\text{ Hz}$, 2H), 1.22 (t, $J = 7.6\text{ Hz}$, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.1, 152.0, 151.2, 139.7, 138.8, 132.8, 130.1, 130.0, 129.1, 128.8, 128.8, 127.5, 127.3, 126.1, 121.9, 119.5, 115.3, 114.1, 112.5, 111.0, 91.1, 56.6, 28.3, 15.3; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{22}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 405.1461; found: 405.1470; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 9.0 min, t_2 (major) = 12.8 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.666	43.070	205.139	49.68	57.02	n.a.
2		12.032	43.626	154.645	50.32	42.98	n.a.
Total:			86.696	359.784	100.00	100.00	

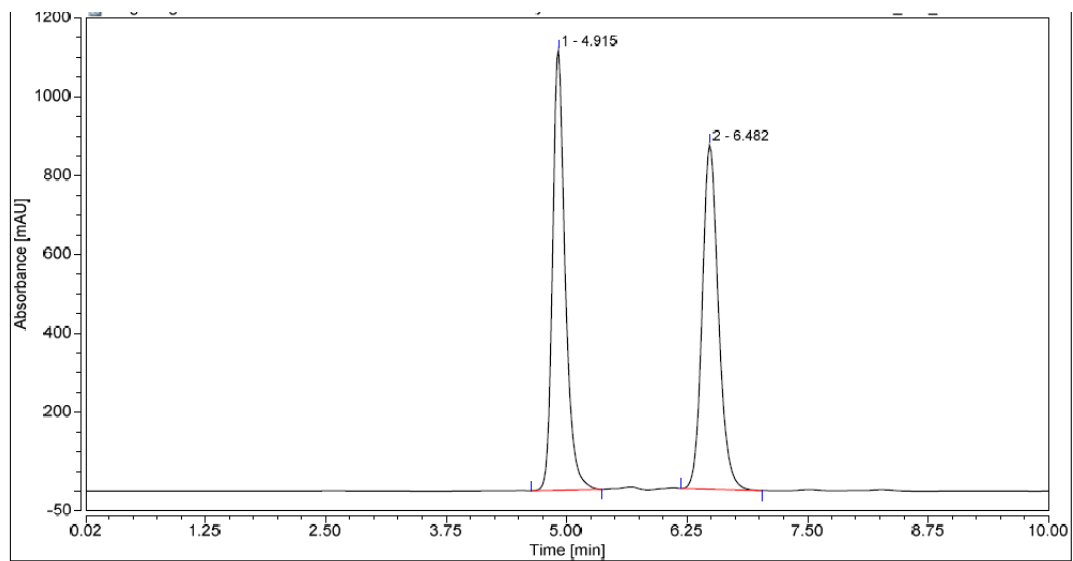


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.032	27.819	139.116	4.92	7.43	n.a.
2		12.766	537.977	1733.766	95.08	92.57	n.a.
Total:			565.796	1872.883	100.00	100.00	

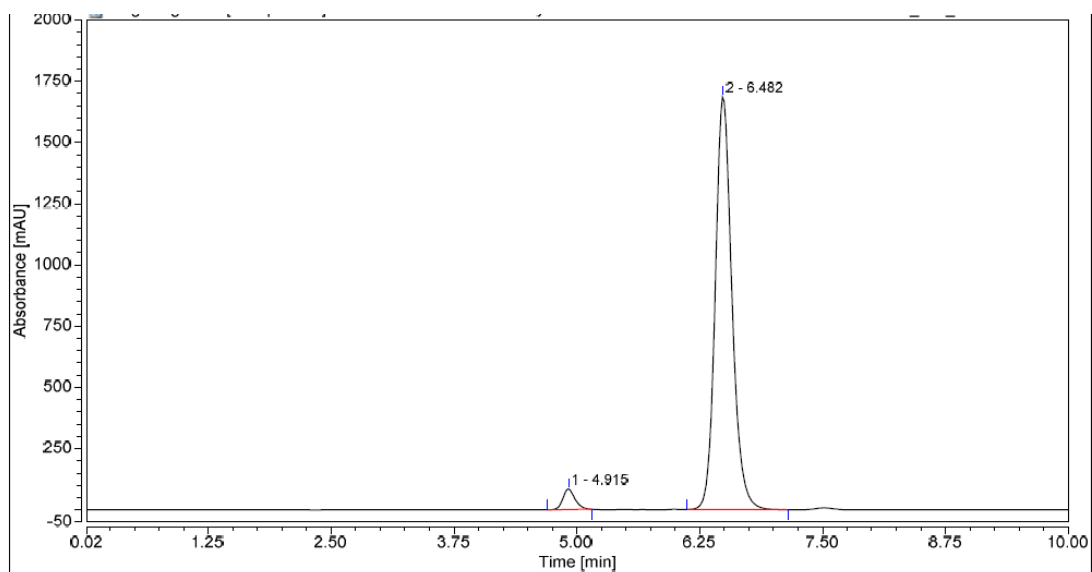


(2*S*,3*S*)-2-(2-Hydroxy-6-(trimethylsilyl)naphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3v)

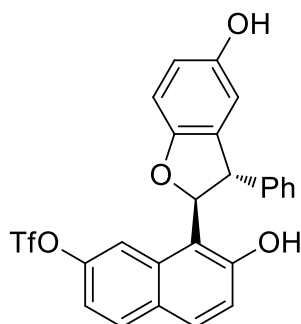
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1v** (64.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3v** as a white solid (82 mg, 96% yield). mp $117.0\text{--}118.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{21}$ -249.0 (c 1.0, CHCl_3 , 93% ee); IR (KBr): 3397, 2926, 1618, 1470, 1190, 840, 754 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.85 (s, 1H), 7.72 (d, $J = 8.9\text{ Hz}$, 1H), 7.28 – 7.21 (m, 3H), 7.18 – 7.07 (m, 4H), 6.91 (dd, $J = 8.5, 5.4\text{ Hz}$, 2H), 6.73 (dd, $J = 8.5, 2.1\text{ Hz}$, 1H), 6.50 (d, $J = 1.7\text{ Hz}$, 1H), 6.43 (d, $J = 10.6\text{ Hz}$, 1H), 4.82 (d, $J = 10.5\text{ Hz}$, 1H), 0.26 (s, 9H); ^{13}C NMR (100 MHz, CDCl_3) δ 154.0, 152.0, 151.2, 139.7, 134.4, 134.3, 132.8, 132.1, 130.7, 130.3, 128.8, 128.7, 128.4, 127.6, 121.1, 119.4, 115.4, 114.0, 112.5, 111.0, 91.0, 56.6, -1.2 ; HRMS (ESI) calcd for $\text{C}_{27}\text{H}_{26}\text{SiO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 449.1543; found: 449.1542; HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 4.9 min, t_2 (major) = 6.5 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		4.915	169.691	1116.432	49.84	56.11	n.a.
2		6.482	170.751	873.355	50.16	43.89	n.a.
Total:			340.442	1989.787	100.00	100.00	

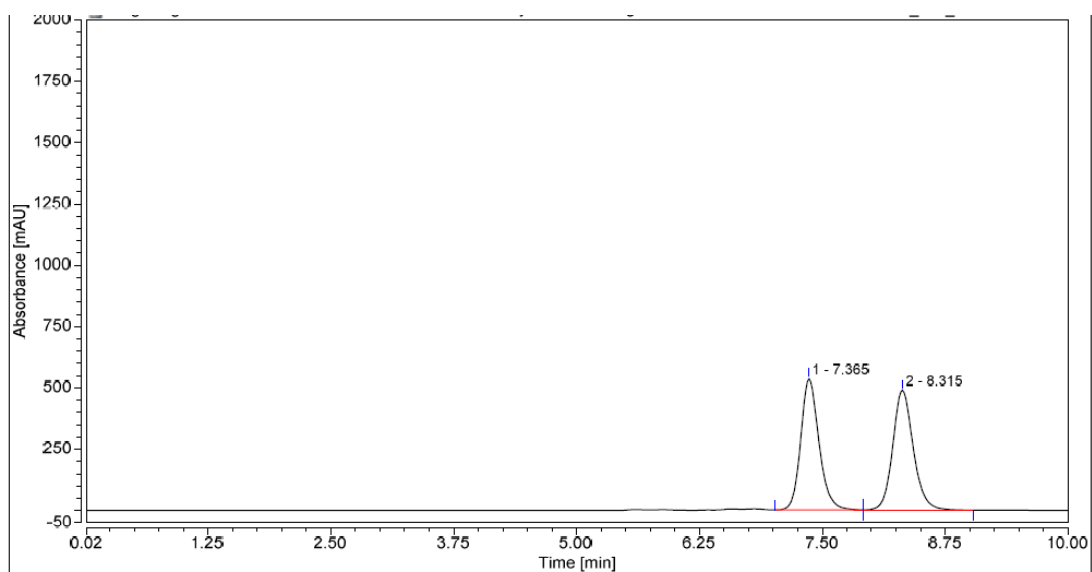


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		4.915	11.841	83.803	3.45	4.74	n.a.
2		6.482	331.859	1684.494	96.55	95.26	n.a.
Total:			343.700	1768.297	100.00	100.00	

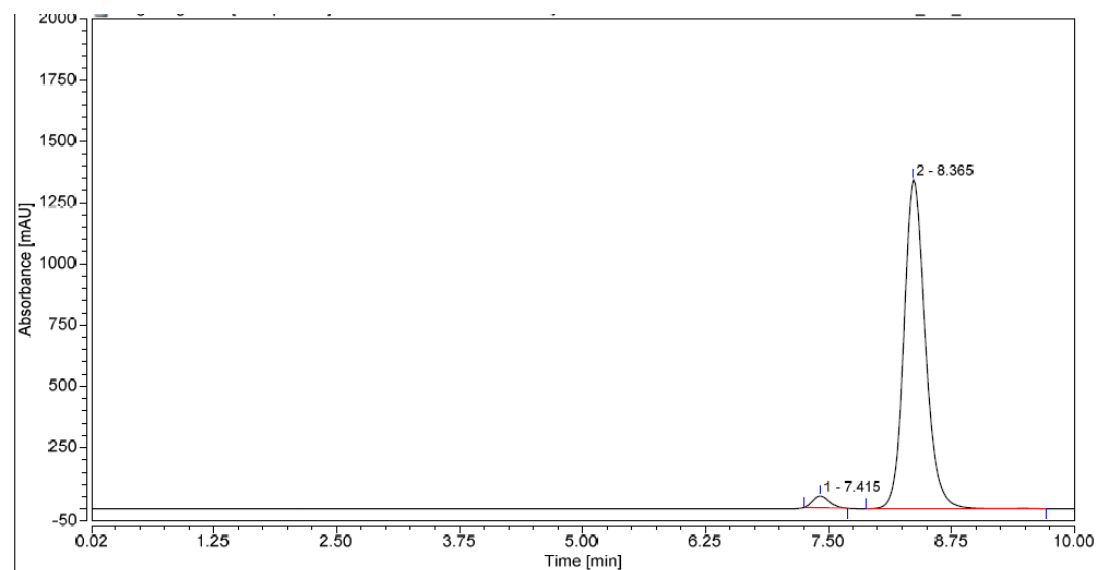


7-Hydroxy-8-((2*S*,3*S*)-5-hydroxy-3-phenyl-2,3-dihydrobenzofuran-2-yl)naphthalen-2-yl trifluoromethanesulfonate (3w**)**

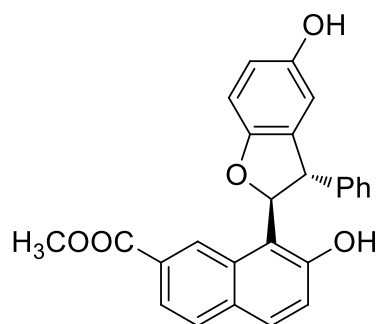
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1w** (79.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3w** as a white solid (86 mg, 86% yield). mp $180.0\text{--}181.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23}$ -287.8 (c 1.0, CHCl_3 , 95% ee); IR (KBr): 3387, 2926, 1626, 1460, 1211, 883, 695 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.16 (s, 1H), 7.76 (dd, $J = 8.9, 3.2\text{ Hz}$, 2H), 7.30 (m, 4H), 7.12 (dd, $J = 7.9, 1.3\text{ Hz}$, 2H), 7.07 (dd, $J = 8.9, 2.3\text{ Hz}$, 1H), 6.95 (d, $J = 8.6\text{ Hz}$, 1H), 6.80 – 6.74 (m, 1H), 6.66 (d, $J = 2.1\text{ Hz}$, 1H), 6.58 – 6.51 (m, 1H), 6.26 (d, $J = 11.0\text{ Hz}$, 1H), 4.78 (d, $J = 11.0\text{ Hz}$, 1H), 4.64 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 155.4, 151.7, 151.5, 147.7, 138.8, 132.5, 132.3, 130.8, 130.4, 129.1, 128.6, 128.3, 127.7, 121.1, 116.5, 115.5, 114.2, 114.1, 112.5, 111.2, 91.2, 56.7; HRMS (ESI) calcd for $\text{C}_{25}\text{H}_{17}\text{F}_3\text{O}_6\text{SNa}$ m/z $[\text{M} + \text{Na}]^+$: 525.0590; found: 525.0587; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 20/80, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 7.4 min, t_2 (major) = 8.4 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		7.365	115.638	534.978	49.40	52.28	n.a.
2		8.315	118.446	488.372	50.60	47.72	n.a.
Total:			234.084	1023.351	100.00	100.00	

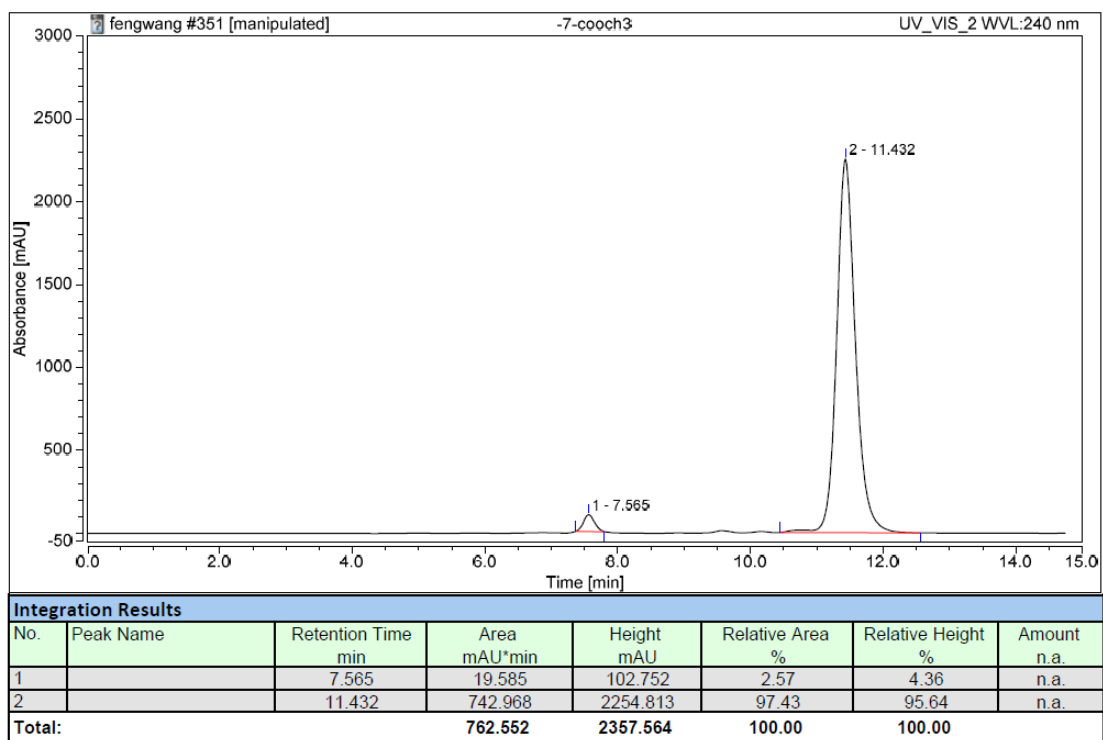
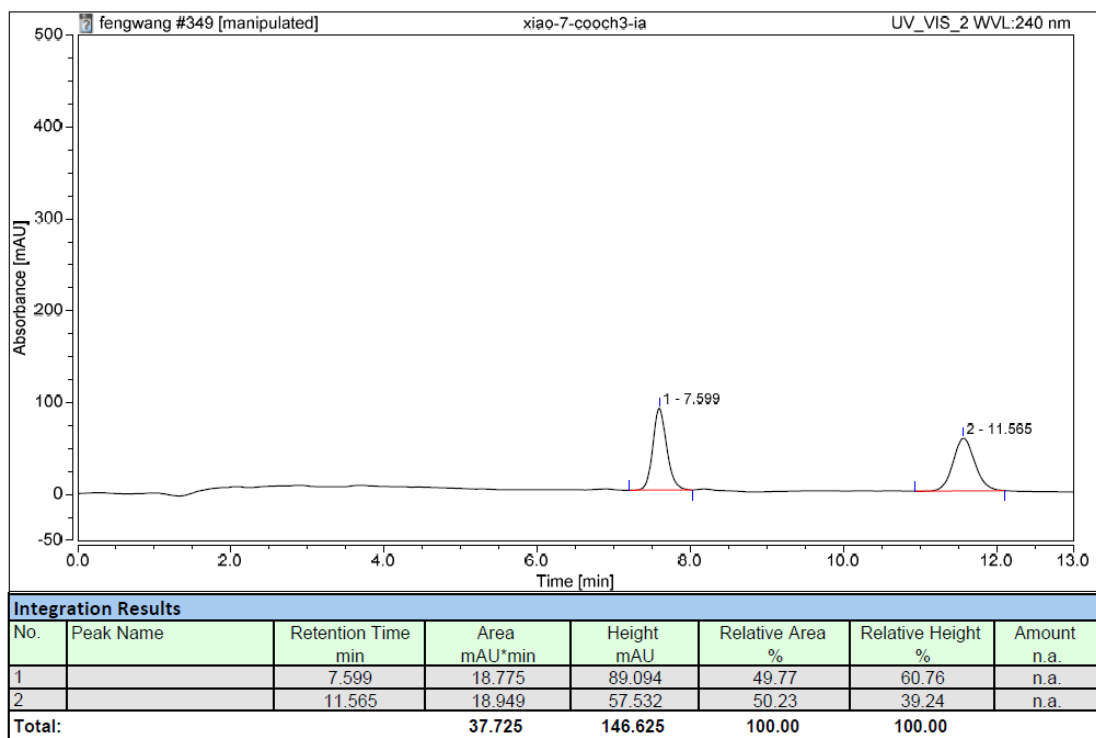


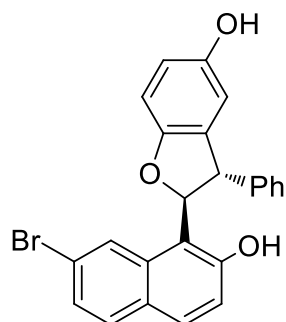
Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		7.415	9.210	47.620	2.65	3.42	n.a.
2		8.365	338.185	1342.802	97.35	96.58	n.a.
Total:			347.395	1390.422	100.00	100.00	



Methyl 7-hydroxy-8-((2*S*,3*S*)-5-hydroxy-3-phenyl-2,3-dihydrobenzofuran-2-yl)-2-naphthoate (3x**)**

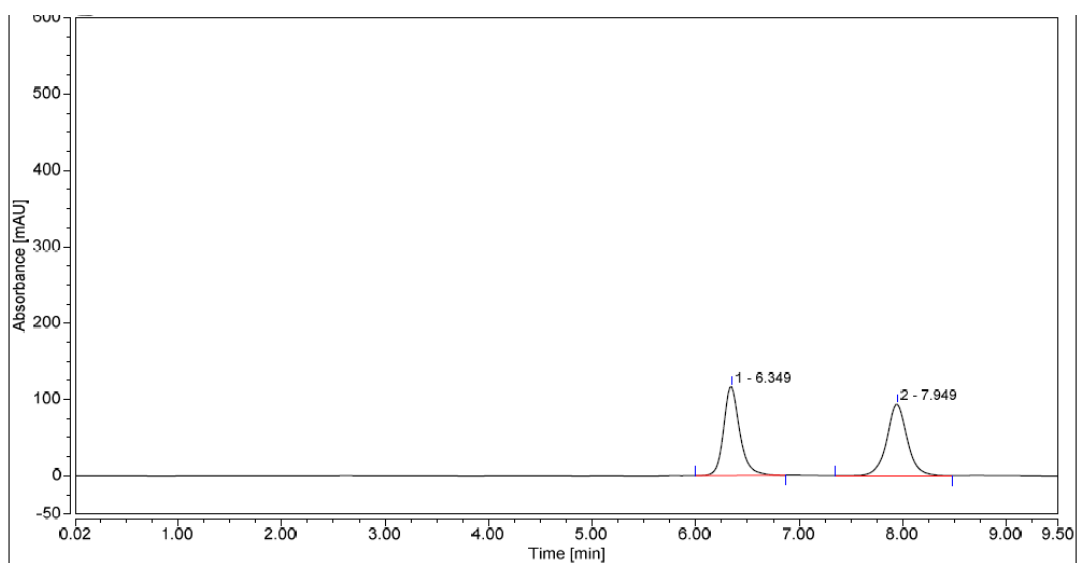
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1x** (61.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3x** as a white solid (78 mg, 95% yield). mp $171.0\text{--}172.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23}$ -388.8 (c 1.0, CHCl_3 , 95% ee); IR (KBr): 3383, 2926, 1695, 1458, 1199, 815, 736 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.26 (s, 1H), 7.80 – 7.70 (m, 3H), 7.63 (s, 1H), 7.30 (d, $J = 8.9\text{ Hz}$, 1H), 7.24 – 7.19 (m, 3H), 7.10 – 7.03 (m, 2H), 6.94 (d, $J = 8.6\text{ Hz}$, 1H), 6.77 (dd, $J = 8.3, 2.3\text{ Hz}$, 1H), 6.55 (d, $J = 1.6\text{ Hz}$, 1H), 6.48 (d, $J = 10.8\text{ Hz}$, 1H), 5.09 (s, 1H), 4.75 (d, $J = 10.9\text{ Hz}$, 1H), 3.77 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 154.6, 151.7, 138.8, 132.8, 130.9, 130.9, 130.2, 128.9, 128.8, 128.6, 127.5, 127.3, 124.9, 122.6, 122.2, 116.1, 115.3, 115.1, 112.5, 111.1, 91.1, 57.0, 51.9; HRMS (ESI) calcd for $\text{C}_{26}\text{H}_{20}\text{O}_5\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 435.1203; found: 435.1195; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 7.6 min, t_2 (major) = 11.4 min.



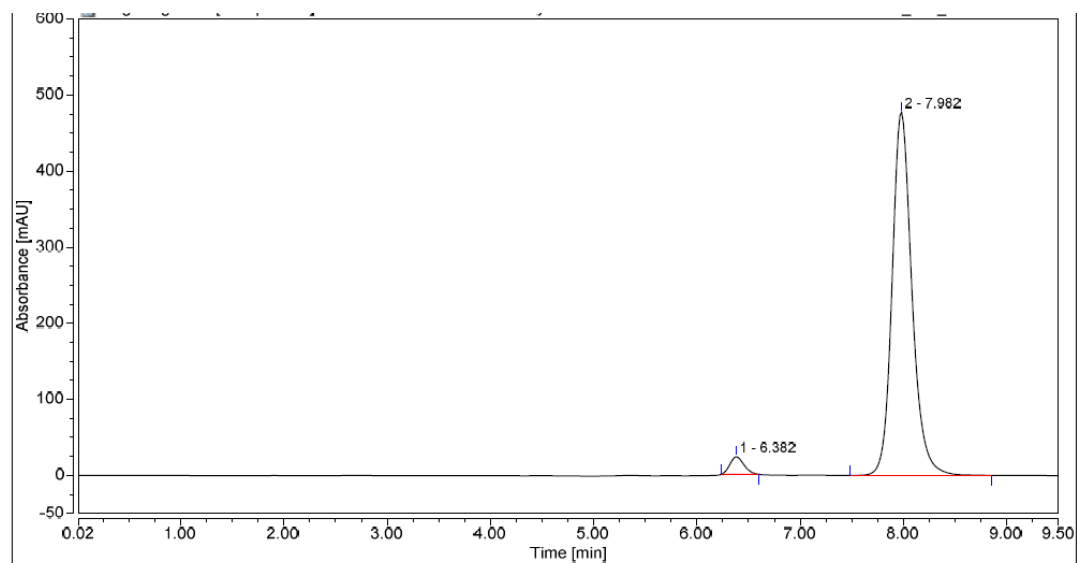


(2*S*,3*S*)-2-(7-Bromo-2-hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3y)

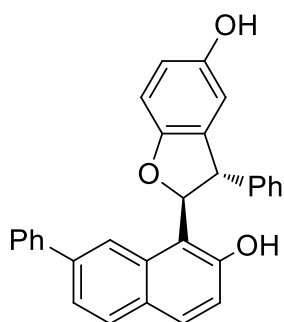
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1y** (65.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3y** as a white solid (78 mg, 90% yield). mp $175.0\text{--}176.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{23} -358.0$ (c 1.0, CHCl_3 , 93% ee); IR (KBr): 3424, 2955, 2924, 2858, 1618, 1456, 1375, 1199, 831, 739, 698 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.97 (s, 1H), 7.83 (d, $J = 2.1\text{ Hz}$, 1H), 7.63 (d, $J = 8.9\text{ Hz}$, 1H), 7.30 – 7.21 (m, 3H), 7.19 (d, $J = 8.9\text{ Hz}$, 1H), 7.08 (dd, $J = 8.0, 1.4\text{ Hz}$, 2H), 7.02 (dd, $J = 9.2, 2.1\text{ Hz}$, 1H), 6.93 (d, $J = 8.6\text{ Hz}$, 1H), 6.75 (ddd, $J = 8.6, 2.7, 0.9\text{ Hz}$, 1H), 6.69 (d, $J = 9.2\text{ Hz}$, 1H), 6.52 (dd, $J = 2.6, 1.0\text{ Hz}$, 1H), 6.33 (d, $J = 10.9\text{ Hz}$, 1H), 4.77 (d, $J = 10.9\text{ Hz}$, 1H), 4.66 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 154.2, 151.8, 151.4, 139.1, 132.6, 130.3, 130.3, 130.0, 129.6, 129.2, 129.0, 128.7, 127.8, 123.6, 120.8, 116.7, 115.4, 114.2, 112.5, 111.1, 91.0, 56.7; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{BrO}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0242. HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_{R} (minor) = 6.4 min, t_{R} (major) = 8.0 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.349	20.933	116.137	49.33	55.44	n.a.
2		7.949	21.503	93.340	50.67	44.56	n.a.
Total:			42.436	209.477	100.00	100.00	

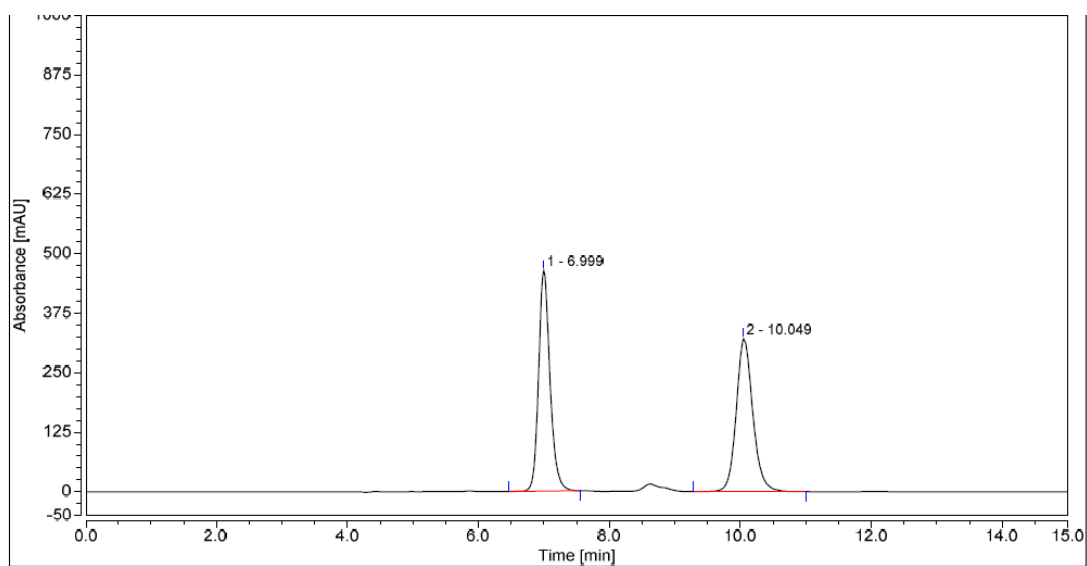


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		6.382	3.651	23.310	3.32	4.66	n.a.
2		7.982	106.371	477.386	96.68	95.34	n.a.
Total:			110.023	500.696	100.00	100.00	

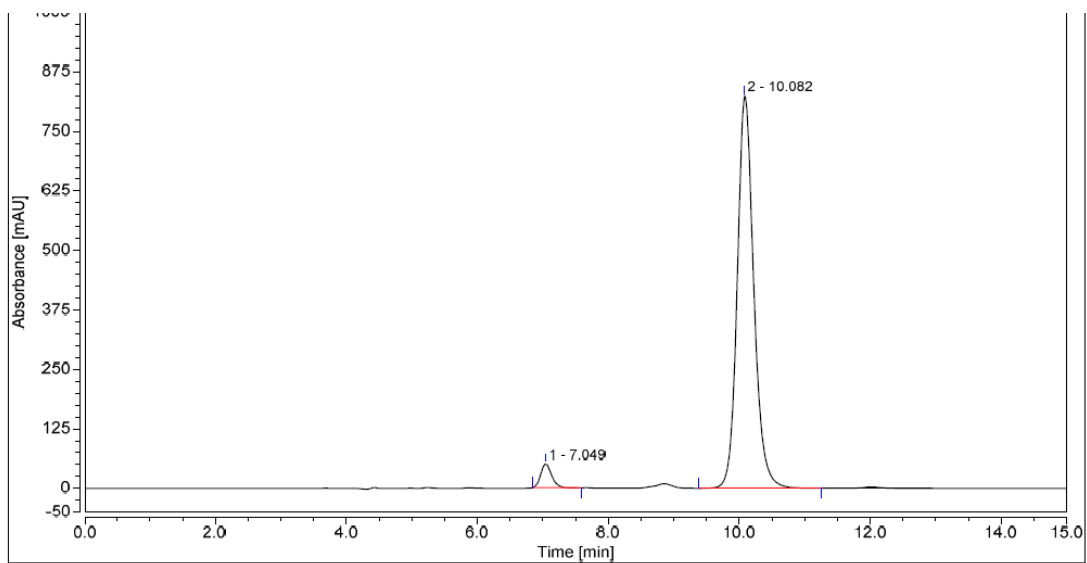


(2*S*,3*S*)-2-(2-Hydroxy-7-phenylnaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3z**)**

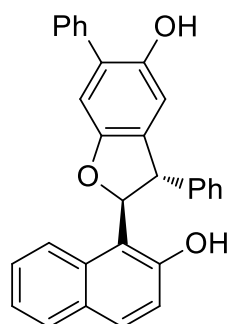
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1z** (64.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3z** as a white solid (82 mg, 95% yield). mp $197.0\text{--}198.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} +50.2$ (c 1.0, acetone- D_6 , 92% ee); IR (KBr): 3382, 2926, 1623, 1462, 1198, 818, 758 cm^{-1} ; ^1H NMR (400 MHz, CD_3OD) δ 8.04 (s, 1H), 7.80 (d, $J = 8.4\text{ Hz}$, 1H), 7.70 (d, $J = 8.8\text{ Hz}$, 1H), 7.56 (d, $J = 8.4\text{ Hz}$, 1H), 7.35 – 7.17 (m, 8H), 7.12 (d, $J = 7.2\text{ Hz}$, 2H), 7.06 (d, $J = 8.8\text{ Hz}$, 1H), 6.78 (s, 2H), 6.62 (d, $J = 9.2\text{ Hz}$, 1H), 6.50 (s, 1H), 5.01 (d, $J = 9.2\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CD_3OD) δ 155.3, 154.6, 153.1, 144.0, 142.0, 139.4, 134.3, 132.7, 131.1, 130.5, 129.9, 129.7, 129.6, 129.4, 128.2, 128.0, 127.8, 122.7, 122.7, 118.9, 118.2, 116.5, 113.3, 110.9, 88.3, 57.2; HRMS (ESI) calcd for $\text{C}_{30}\text{H}_{22}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 453.1461; found: 453.1467; HPLC (Daicel Chiralpak IA, i -PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 7.0 min, t_2 (major) = 10.1 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.999	93.367	463.292	49.64	59.07	n.a.
2		10.049	94.723	321.040	50.36	40.93	n.a.
Total:			188.091	784.332	100.00	100.00	

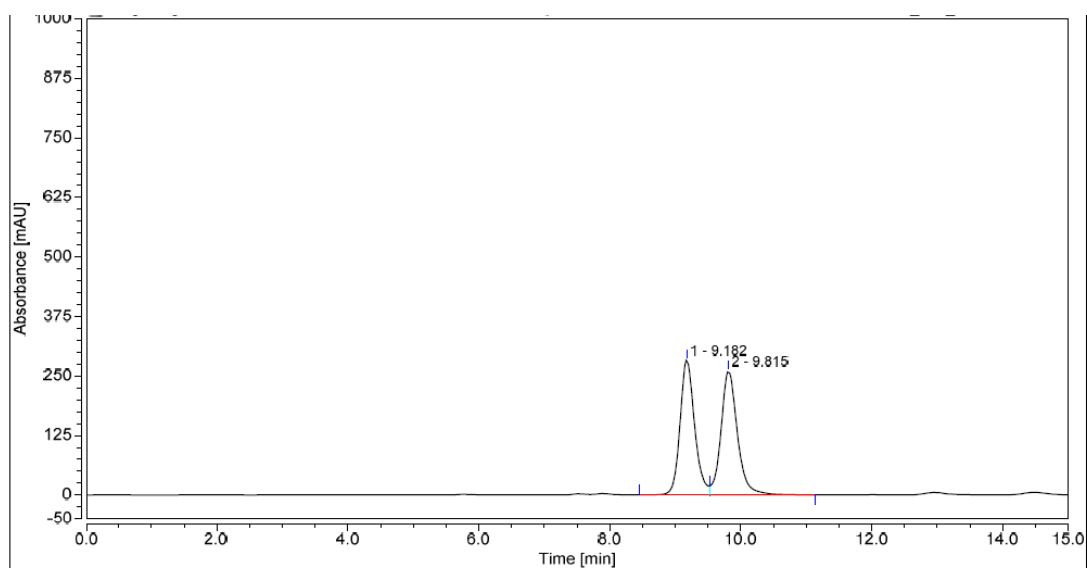


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.049	9.526	49.806	3.79	5.69	n.a.
2		10.082	241.788	824.974	96.21	94.31	n.a.
Total:			251.315	874.780	100.00	100.00	

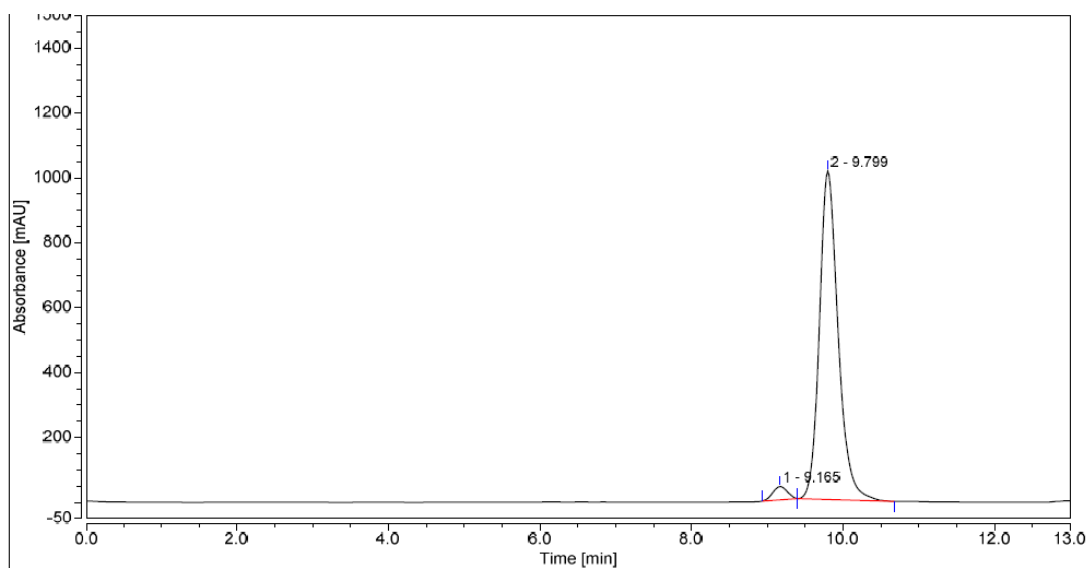


**(2*S*,3*S*)-2-(2-Hydroxynaphthalen-1-yl)-3,6-diphenyl-2,3-dihydrobenzofuran-5-ol
(**3aa**)**

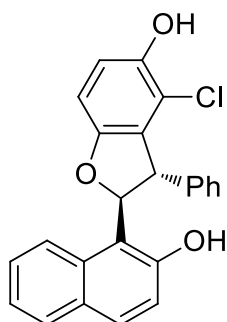
To a stirred solution of **2b** (44.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1a** (49.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3aa** as a white solid (77 mg, 90% yield). mp $122.0\text{--}123.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -193.4$ (c 1.0, CHCl_3 , 94% ee); IR (KBr): 3397, 2926, 1700, 1603, 1460, 1184, 813, 738, 700 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.70 (t, $J = 8.3\text{ Hz}$, 4H), 7.39 (t, $J = 7.5\text{ Hz}$, 2H), 7.30 (d, $J = 7.4\text{ Hz}$, 1H), 7.21 (dt, $J = 5.9, 4.8\text{ Hz}$, 5H), 7.18 – 7.09 (m, 2H), 6.99 (t, $J = 7.7\text{ Hz}$, 1H), 6.94 – 6.88 (m, 2H), 6.53 – 6.40 (m, 2H), 4.85 (d, $J = 10.9\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.7, 151.5, 149.1, 139.4, 135.9, 133.7, 131.9, 130.5, 128.8, 128.8, 128.6, 128.4, 128.4, 127.7, 127.6, 126.2, 125.4, 123.1, 121.9, 119.5, 115.1, 114.1, 111.6, 90.8, 56.5; HRMS (ESI) calcd for $\text{C}_{30}\text{H}_{22}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 453.1461; found: 453.1468; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 20/80, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 9.2 min, t_2 (major) = 9.8 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.182	73.377	283.146	49.34	52.10	n.a.
2		9.815	75.343	260.299	50.66	47.90	n.a.
Total:			148.720	543.445	100.00	100.00	

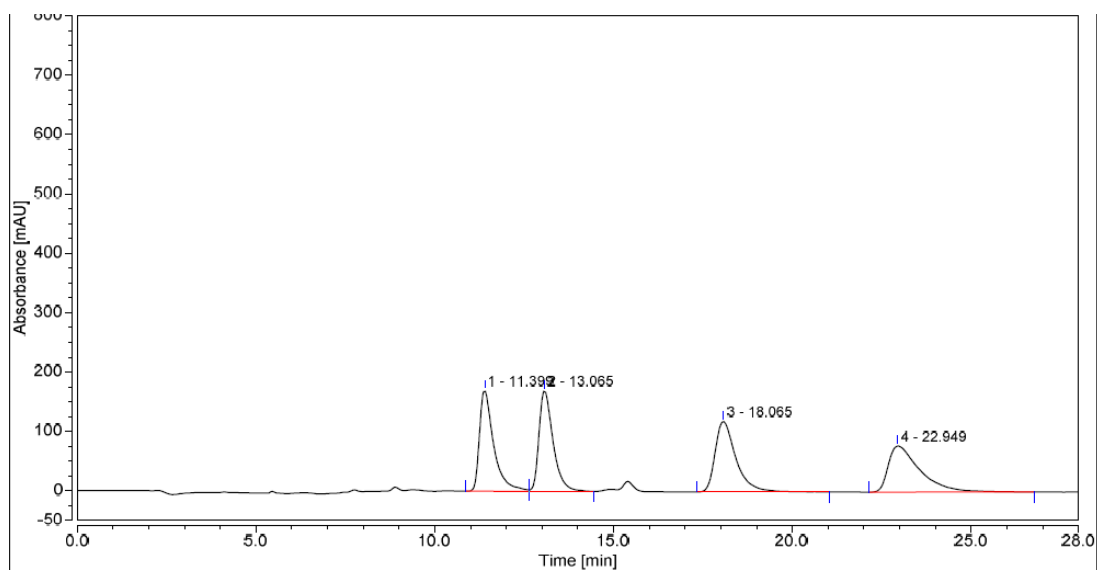


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		9.165	9.004	41.067	3.01	3.90	n.a.
2		9.799	290.549	1012.203	96.99	96.10	n.a.
Total:			299.554	1053.270	100.00	100.00	

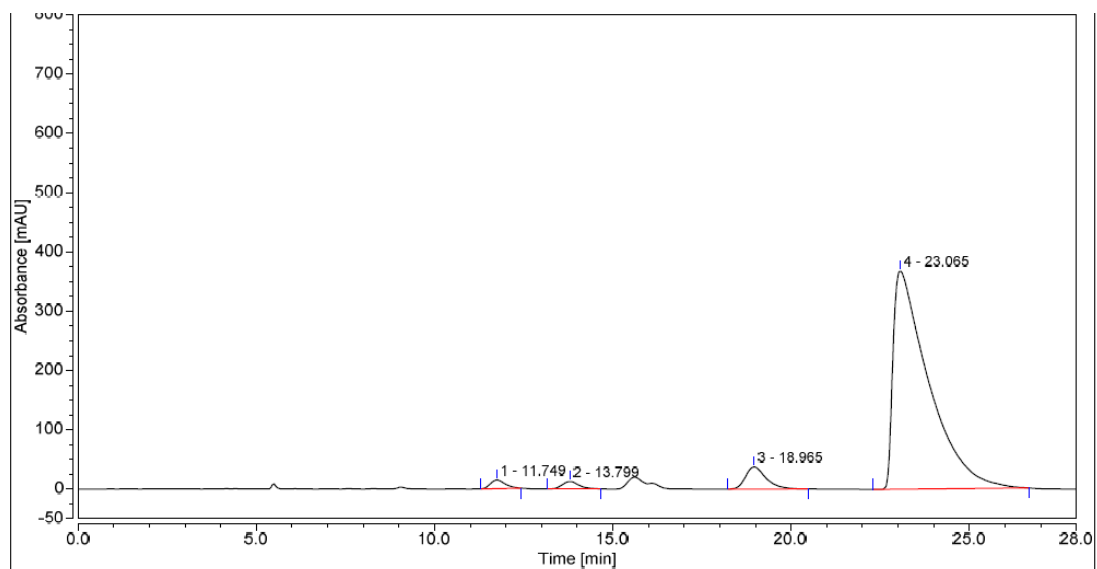


(2*S*,3*S*)-4-Chloro-2-(2-hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3ab**)**

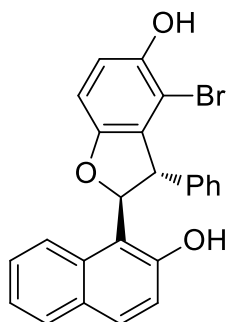
To a stirred solution of **2c** (34.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1a** (49.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3ab** as a white solid (74 mg, 96% yield). mp $140.0\text{--}141.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -180.4$ (c 0.5, CHCl_3 , 90% ee); IR (KBr): 3406, 2926, 1601, 1468, 1192, 814, 745 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (dd, $J = 11.1, 8.7\text{ Hz}$, 2H), 7.43 (s, 1H), 7.30 – 7.24 (m, 2H), 7.20 (dd, $J = 15.1, 7.2\text{ Hz}$, 2H), 7.15 (d, $J = 8.9\text{ Hz}$, 1H), 7.06 (ddd, $J = 18.6, 11.5, 4.1\text{ Hz}$, 4H), 6.94 (d, $J = 8.7\text{ Hz}$, 1H), 6.72 (d, $J = 1.0\text{ Hz}$, 1H), 6.45 (d, $J = 10.8\text{ Hz}$, 1H), 5.33 (s, 1H), 4.83 (d, $J = 10.7\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.6, 151.8, 147.1, 139.0, 132.2, 131.8, 130.7, 128.9, 128.7, 128.5, 127.7, 126.3, 123.2, 121.9, 119.5, 119.1, 113.8, 112.9, 110.8, 91.2, 56.2; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{O}_3\text{ClNa}$ m/z $[\text{M} + \text{Na}]^+$: 411.0758; found: 411.0756; HPLC (Daicel Chiralpak ID, i -PrOH/hexane = 10/90, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 19.0 min, t_2 (major) = 23.1 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		11.399	78.451	169.763	24.84	31.67	n.a.
2		13.065	77.371	169.568	24.50	31.64	n.a.
3		18.065	80.194	118.946	25.39	22.19	n.a.
4		22.949	79.791	77.698	25.27	14.50	n.a.
Total:			315.807	535.976	100.00	100.00	

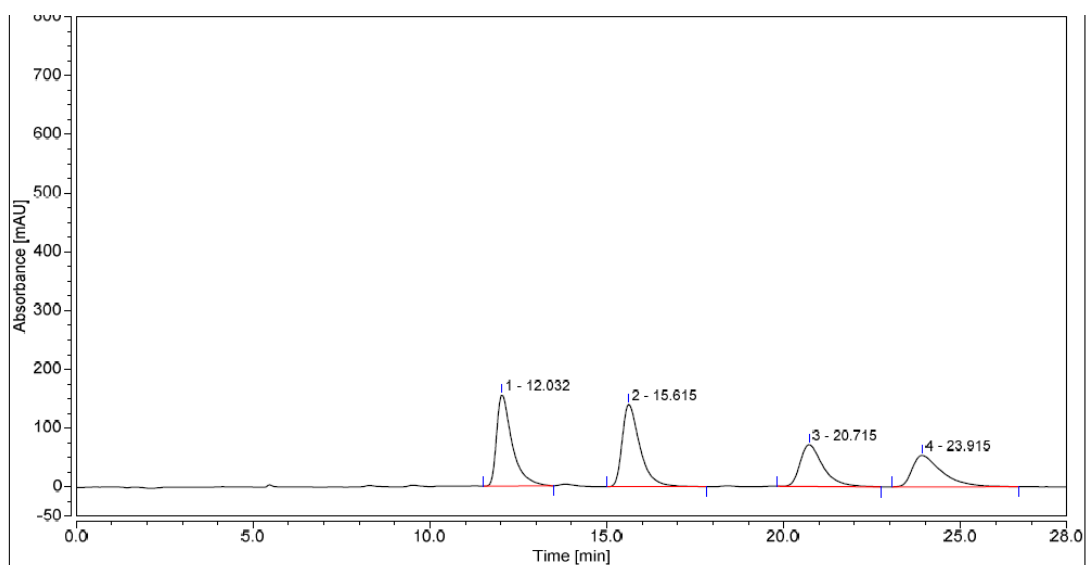


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		11.749	6.875	14.537	1.48	3.36	n.a.
2		13.799	6.800	12.490	1.47	2.89	n.a.
3		18.965	24.761	37.399	5.35	8.65	n.a.
4		23.065	424.726	368.076	91.70	85.10	n.a.
Total:			463.162	432.502	100.00	100.00	

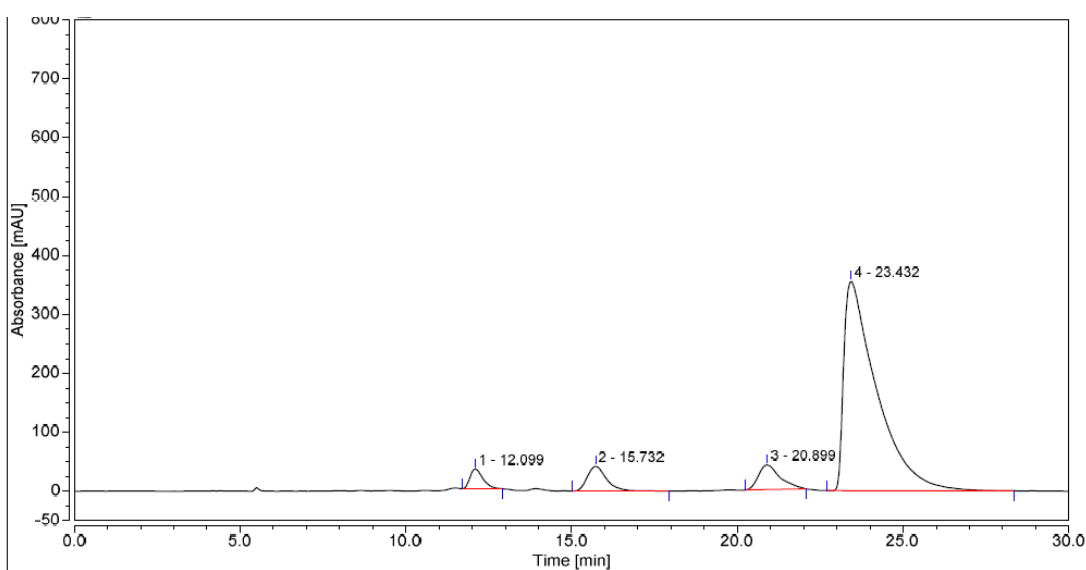


(2S,3S)-4-Bromo-2-(2-hydroxynaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3ac)

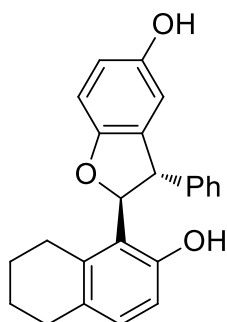
To a stirred solution of **2d** (45.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1a** (49.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 72 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3ac** as a white solid (79 mg, 92% yield). mp $138.0\text{--}139.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22} -63.6$ (c 0.5, CHCl_3 , 86% ee, 10:1 dr); IR (KBr): 3397, 2926, 1603, 1466, 1190, 811, 745, 696 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.72 (dd, $J = 11.5, 8.7\text{ Hz}$, 2H), 7.43 (s, 1H), 7.29 – 7.25 (m, 2H), 7.24 – 7.17 (m, 3H), 7.15 (d, $J = 8.9\text{ Hz}$, 1H), 7.09 (dd, $J = 7.8, 1.6\text{ Hz}$, 2H), 7.03 (ddd, $J = 8.2, 6.8, 1.3\text{ Hz}$, 1H), 6.94 (d, $J = 8.6\text{ Hz}$, 1H), 6.73 (d, $J = 1.2\text{ Hz}$, 1H), 6.45 (d, $J = 10.8\text{ Hz}$, 1H), 5.29 (s, 1H), 4.81 (d, $J = 10.8\text{ Hz}$, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 153.6, 152.1, 148.0, 138.9, 137.2, 133.1, 131.8, 130.7, 128.9, 128.7, 128.5, 127.7, 126.3, 123.2, 121.9, 119.5, 113.8, 113.5, 112.7, 109.0, 91.2, 56.2; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{17}\text{O}_3\text{BrNa}$ m/z $[\text{M} + \text{Na}]^+$: 455.0253; found: 455.0252; HPLC (Daicel Chiralpak ID, *i*-PrOH/hexane = 10/90, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 20.9 min, t_2 (major) = 23.4 min.



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		12.032	79.021	155.772	29.67	37.04	n.a.
2		15.615	81.639	140.121	30.66	33.31	n.a.
3		20.715	53.490	71.073	20.09	16.90	n.a.
4		23.915	52.157	53.640	19.59	12.75	n.a.
Total:			266.306	420.606	100.00	100.00	

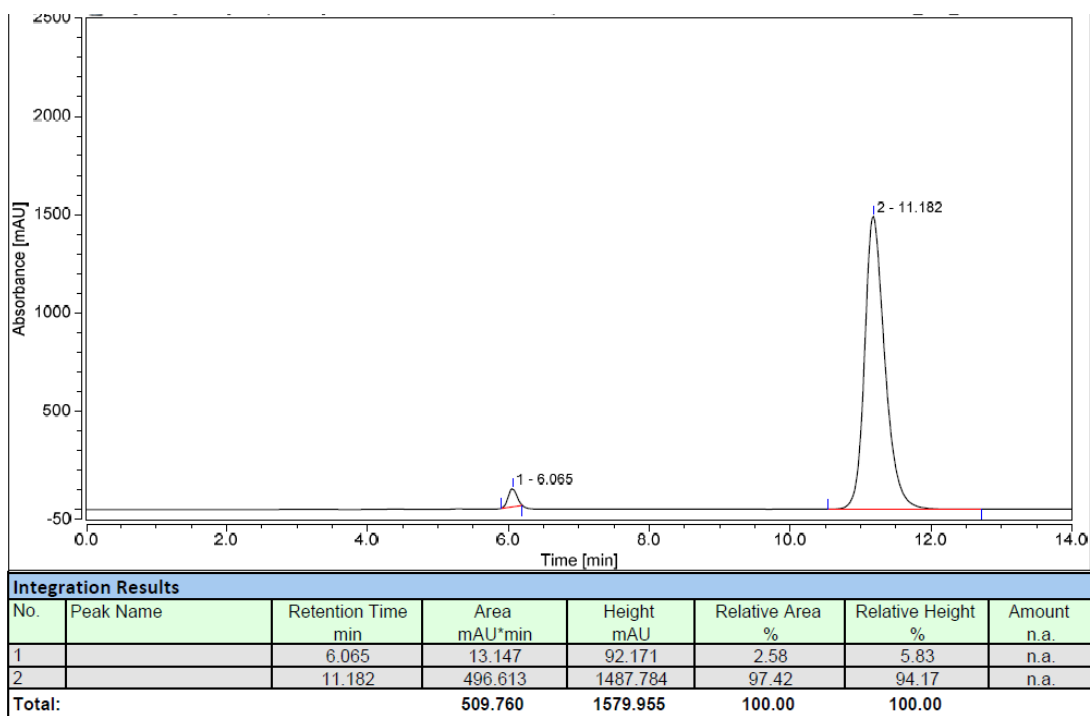
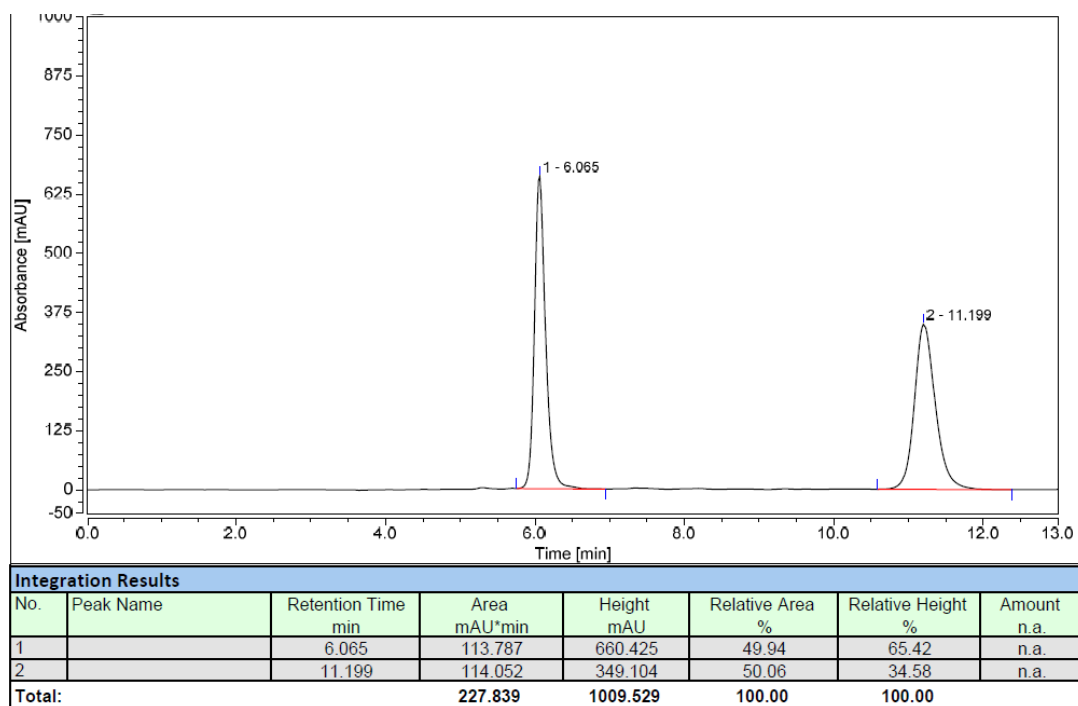


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount
1		12.099	14.878	33.376	3.09	7.06	n.a.
2		15.732	27.957	41.990	5.80	8.89	n.a.
3		20.899	31.304	41.740	6.49	8.83	n.a.
4		23.432	407.913	355.340	84.62	75.21	n.a.
Total:			482.053	472.445	100.00	100.00	



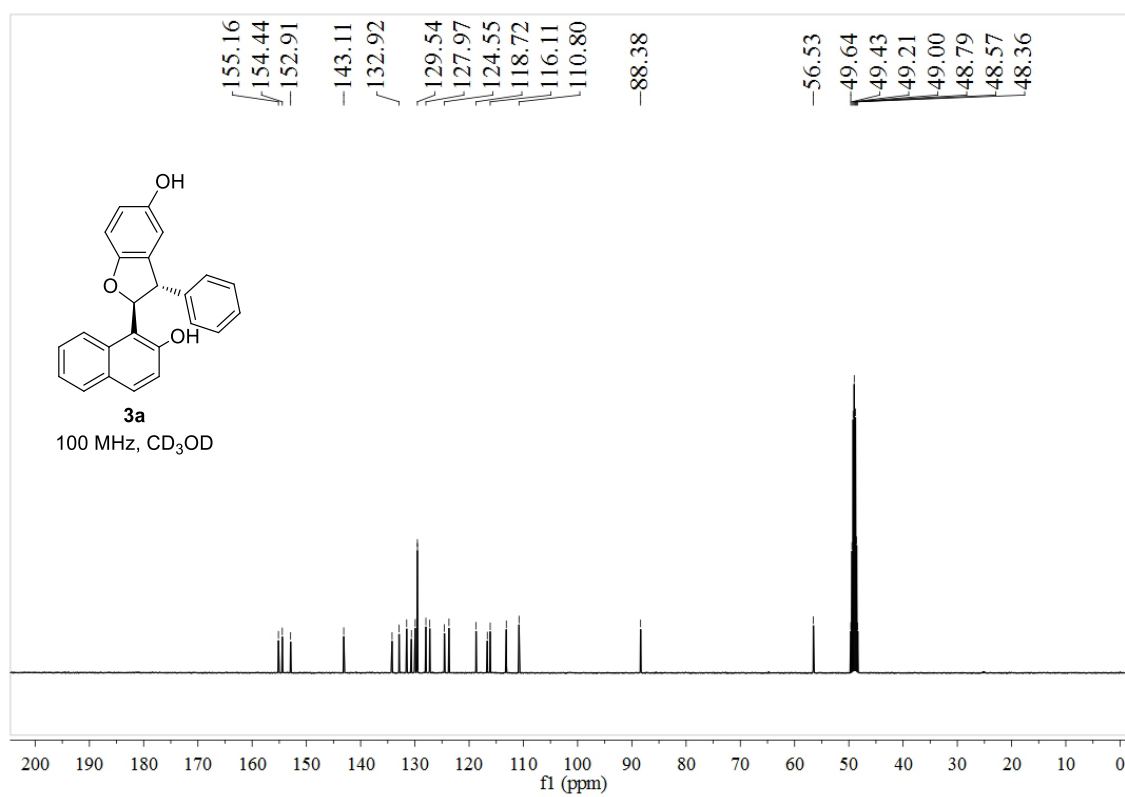
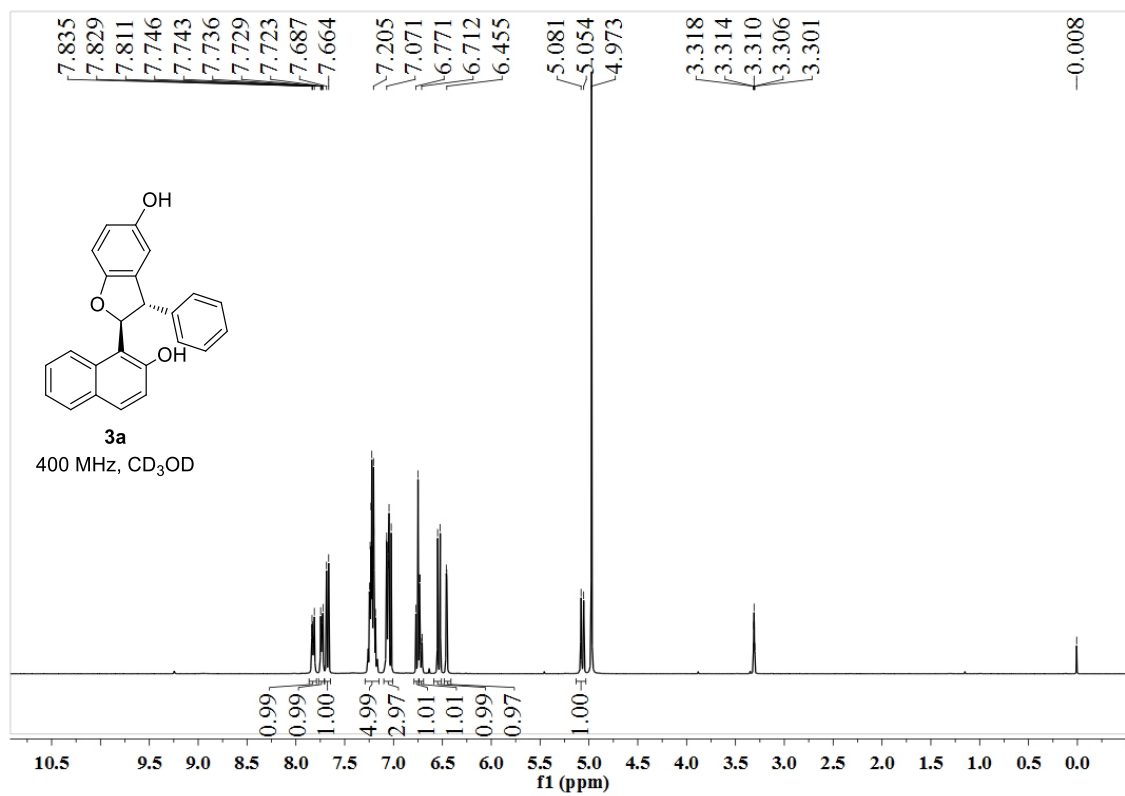
(2*S*,3*S*)-2-(2-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-3-phenyl-2,3-dihydrobenzofuran-5-ol (3ad**)**

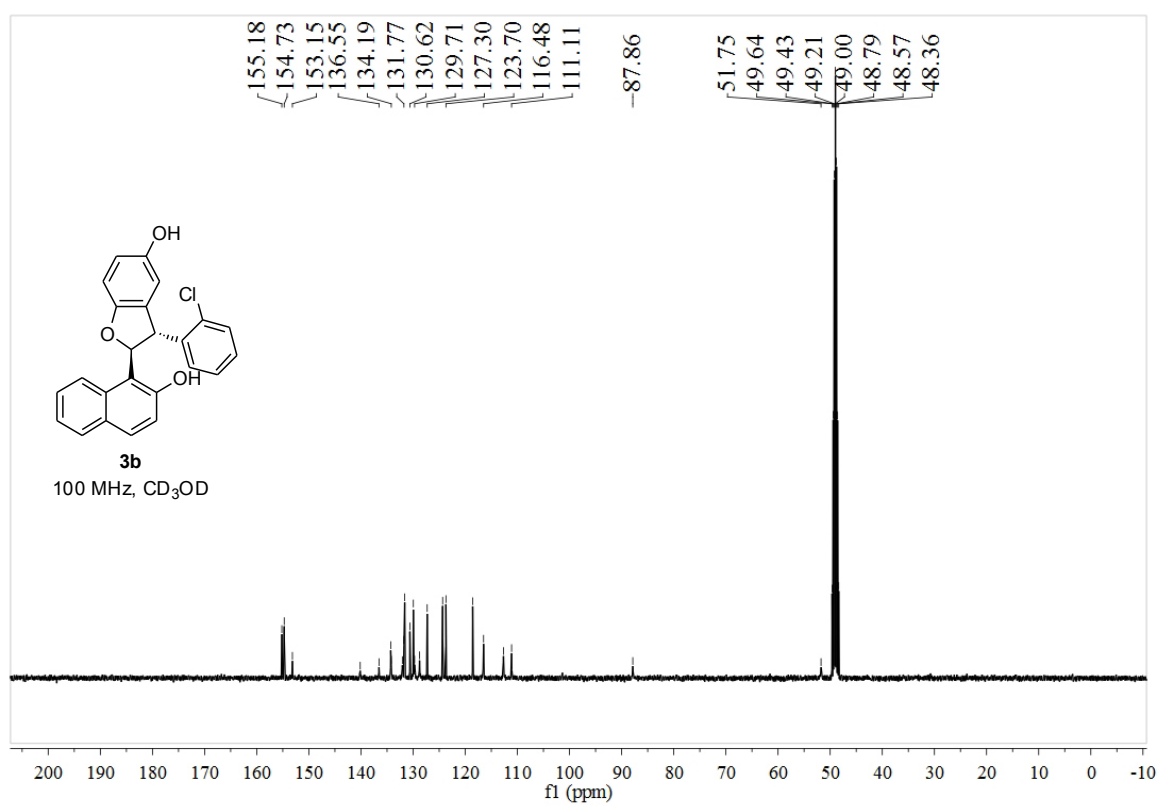
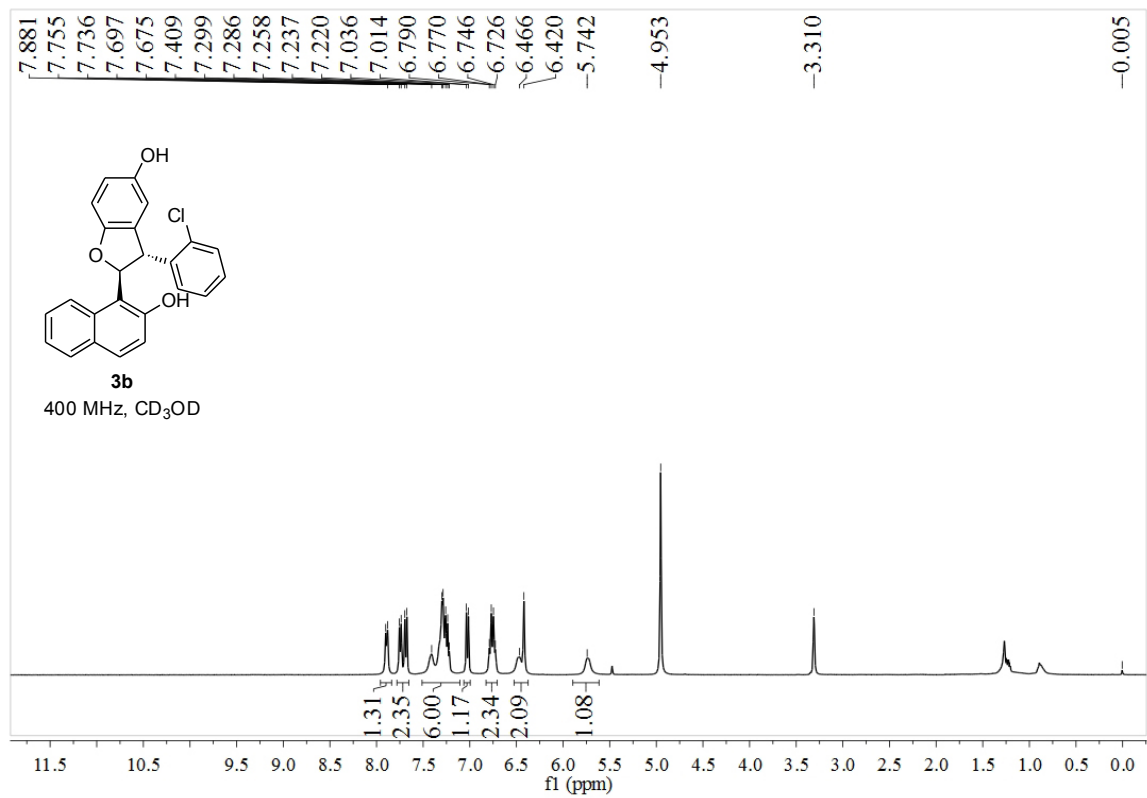
To a stirred solution of **2a** (26.0 mg, 0.24 mmol, 1.2 eq) and catalyst **4e** (14.0 mg, 0.02 mmol, 0.1 eq) in dry DCM (2.0 mL) at $-20\text{ }^{\circ}\text{C}$ was added **1ad** (50.0 mg, 0.20 mmol, 1.0 eq) in one portion, the mixture was stirred 120 h in Schlenk flask under Ar atmosphere. The solvent was removed under reduced pressure, the residue was purified by flash column chromatography (petroleum ether/EtOAc=5:1) to yield the corresponding product **3ad** as a white solid (68 mg, 95% yield). mp $177.0\text{--}178.0\text{ }^{\circ}\text{C}$; $[\alpha]_{\text{D}}^{22}$ -104.8 (c 0.5, CHCl_3 , 95% ee); IR (KBr): 3422, 2926, 1601, 1460, 1190, 812, 746 cm^{-1} ; ^1H NMR (400 MHz, acetone- D_6) δ 7.83 (d, $J = 30.3\text{ Hz}$, 2H), 7.37 – 7.23 (m, 3H), 7.23 – 7.16 (m, 2H), 6.87 (d, $J = 8.3\text{ Hz}$, 1H), 6.73 – 6.63 (m, 3H), 6.44 (s, 1H), 6.02 (d, $J = 10.6\text{ Hz}$, 1H), 4.98 (d, $J = 10.5\text{ Hz}$, 1H), 2.61 (dt, $J = 23.4, 5.8\text{ Hz}$, 3H), 2.12 (dd, $J = 16.7, 6.8\text{ Hz}$, 1H), 1.58 (dddd, $J = 19.3, 16.0, 7.4, 2.2\text{ Hz}$, 4H); ^{13}C NMR (100 MHz, acetone- D_6) δ 155.1, 154.0, 152.7, 142.7, 137.6, 133.0, 131.0, 129.4, 129.3, 129.2, 127.8, 123.2, 115.5, 114.9, 112.7, 110.4, 88.8, 55.7, 30.2, 27.1, 23.9, 23.5; HRMS (ESI) calcd for $\text{C}_{24}\text{H}_{22}\text{O}_3\text{Na}$ m/z $[\text{M} + \text{Na}]^+$: 381.1461; found: 381.1455; HPLC (Daicel Chiralpak IA, *i*-PrOH/hexane = 30/70, flow rate 0.8 mL/min, $\lambda = 230\text{ nm}$): t_1 (minor) = 6.0 min, t_2 (major) = 11.2 min.

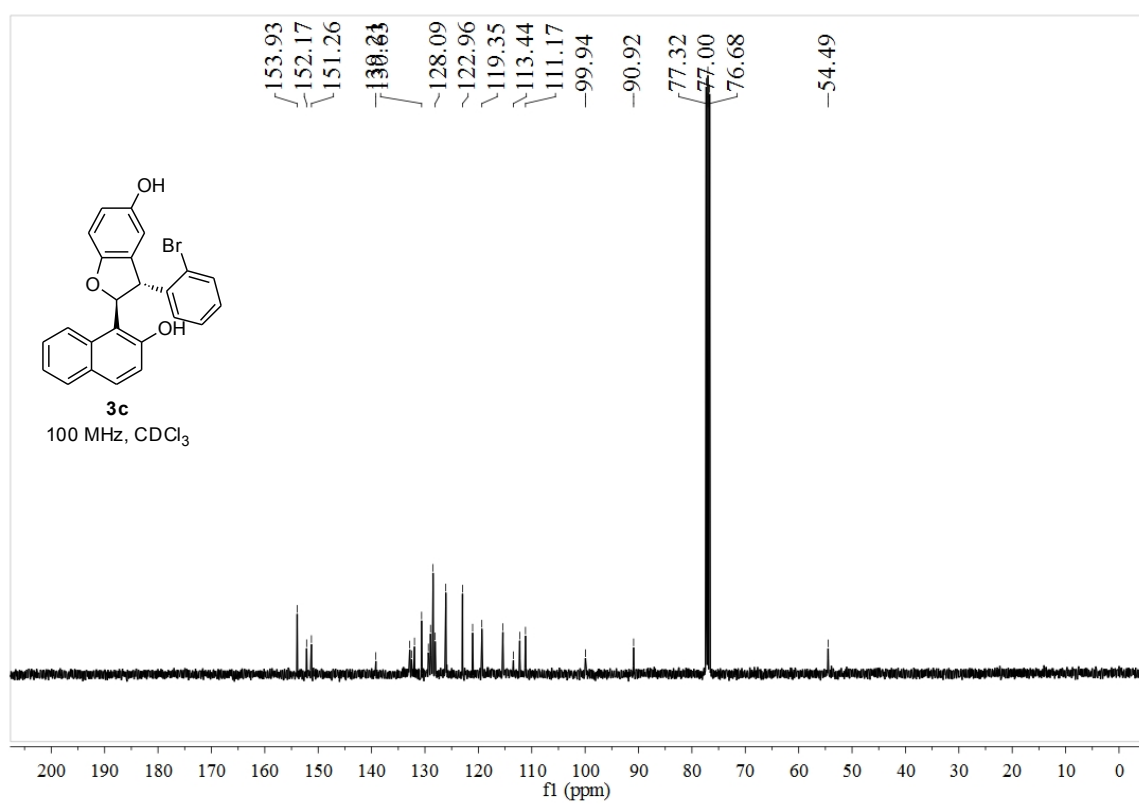
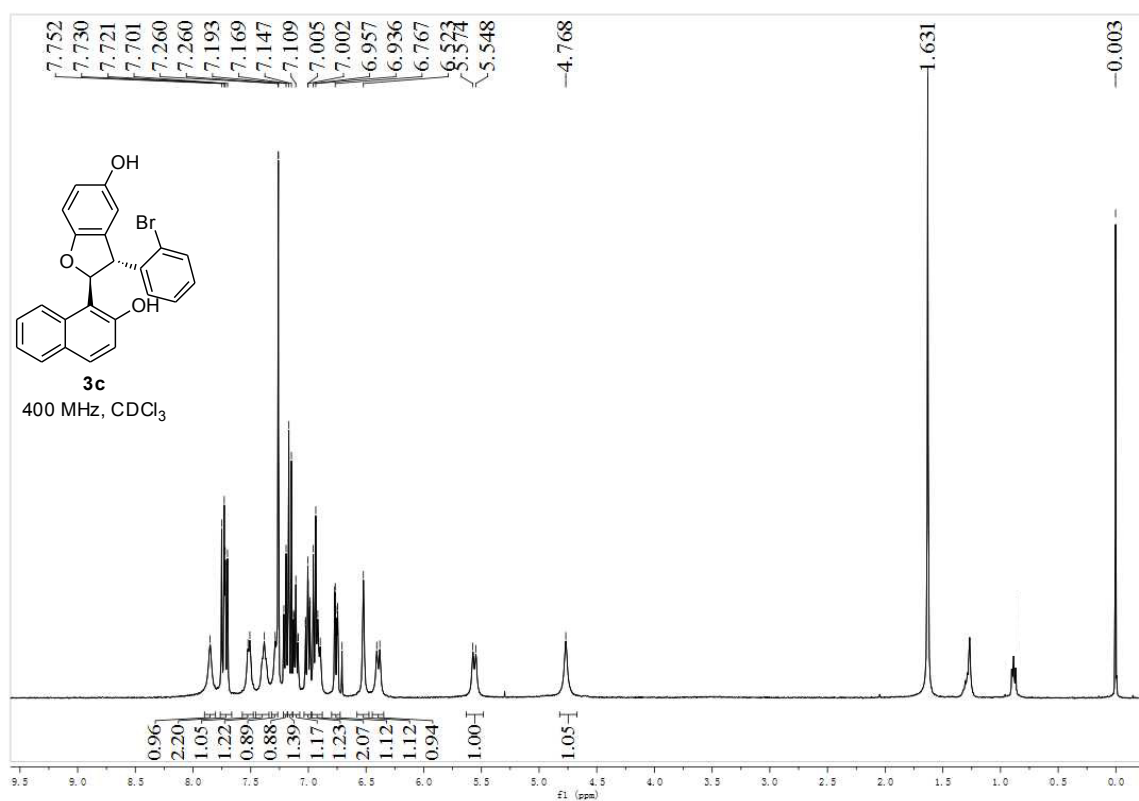


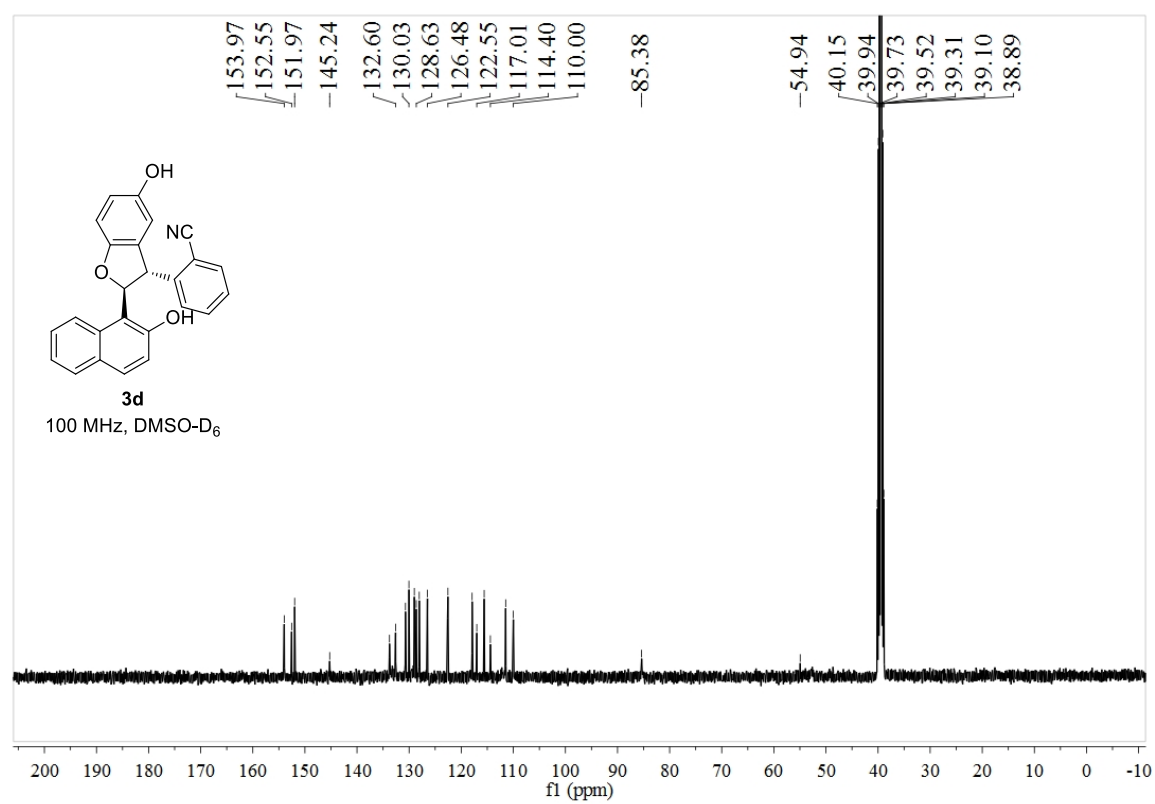
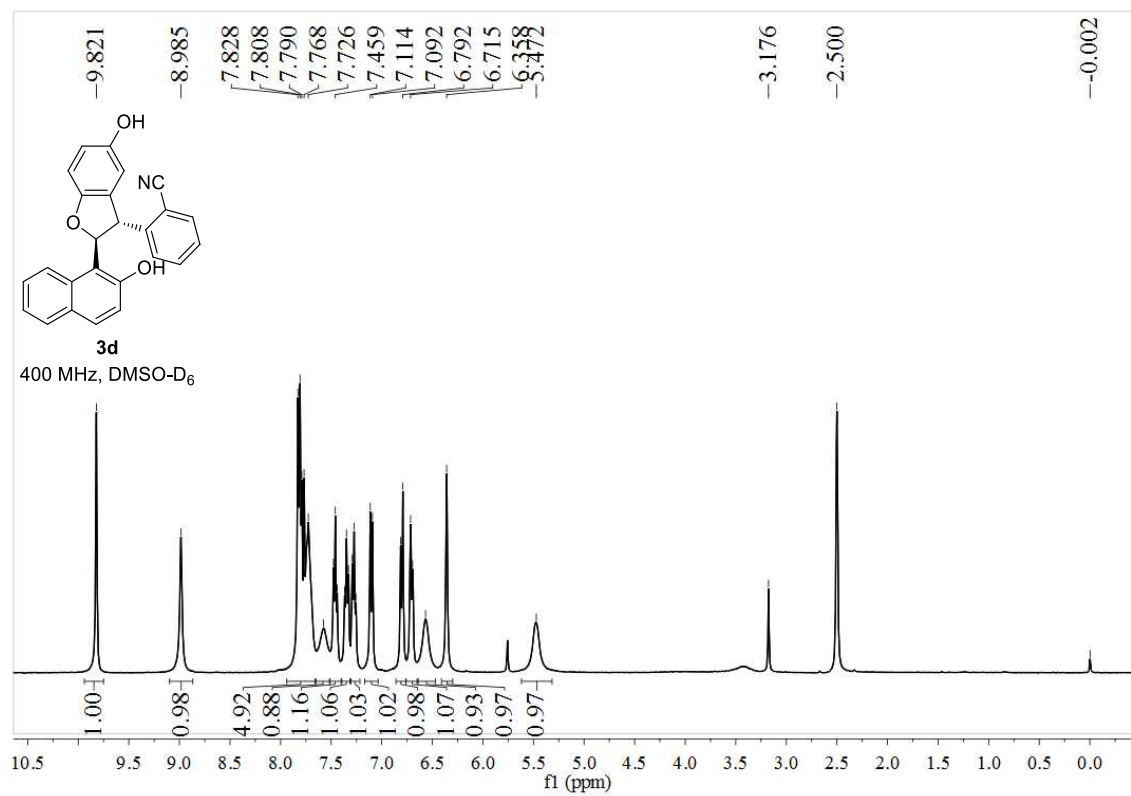
References

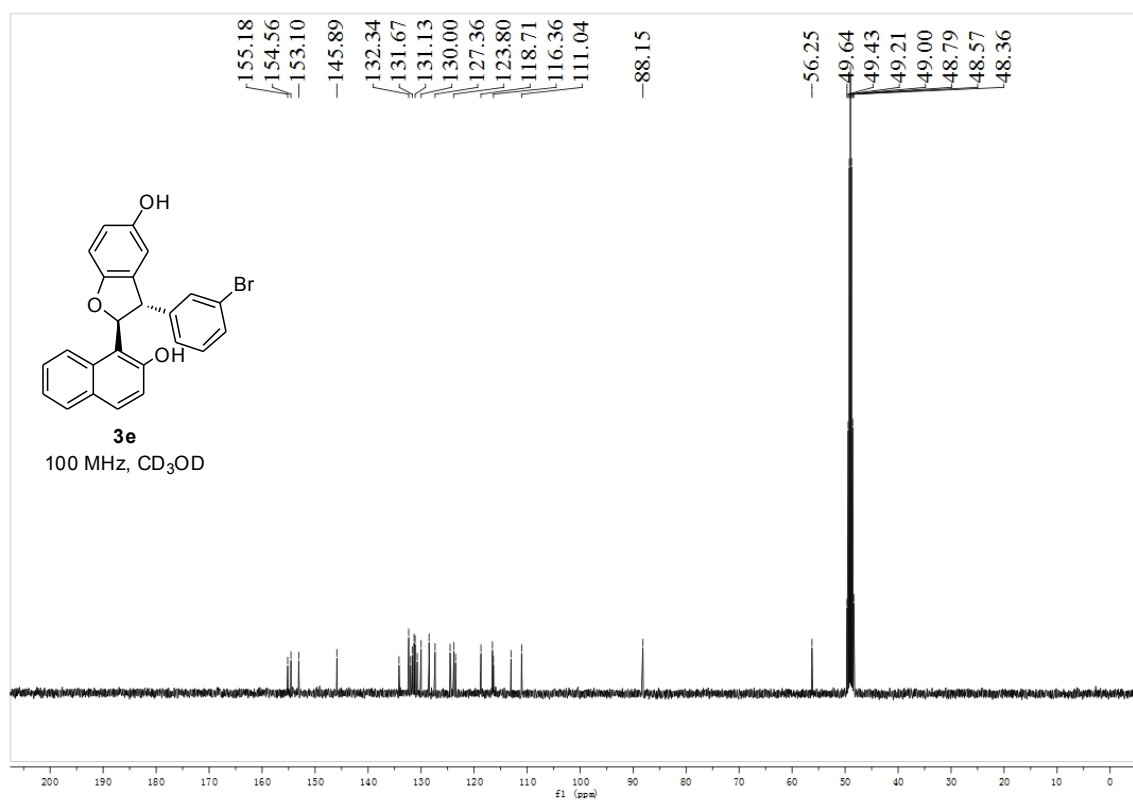
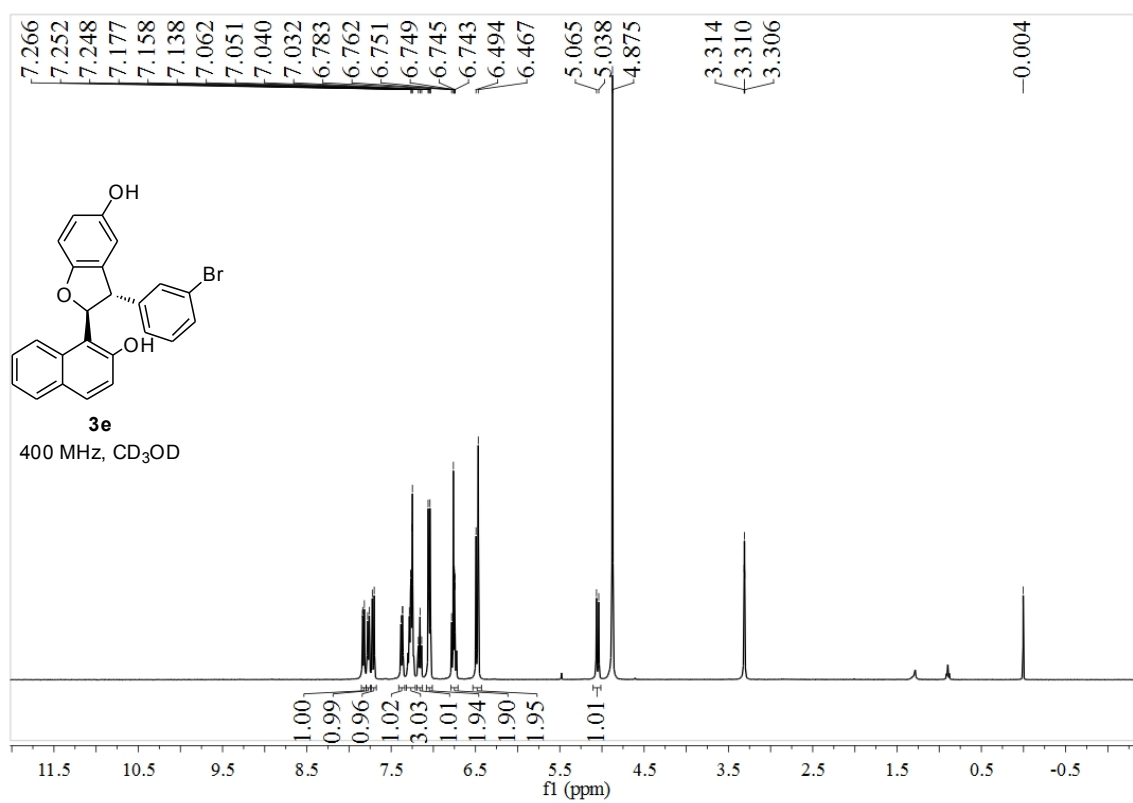
- (1) Byrne, P. A.; Gilheany, D. G. *J. Am. Chem. Soc.* **2012**, *134*, 9225-9239.
- (2) Kshirsagar, U. A.; Regev, C.; Parnes, R.; Pappo, D. *Org. Lett.* **2013**, *15*, 3174-3177.
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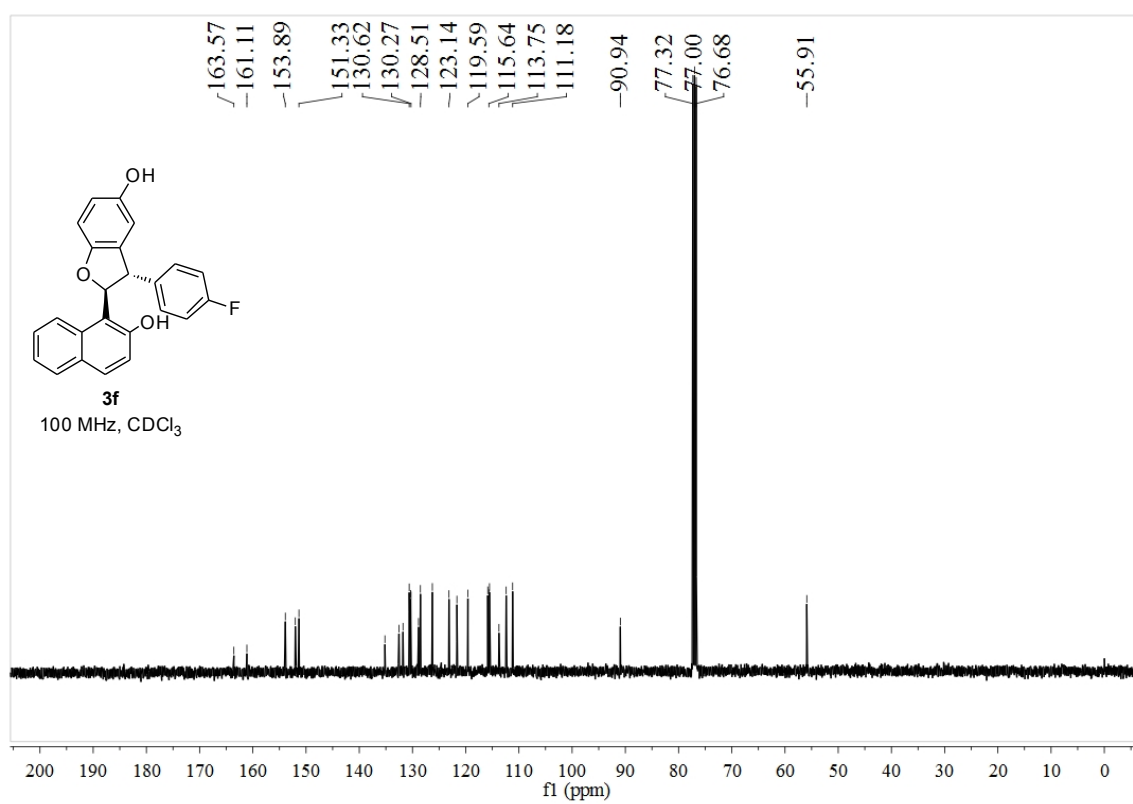
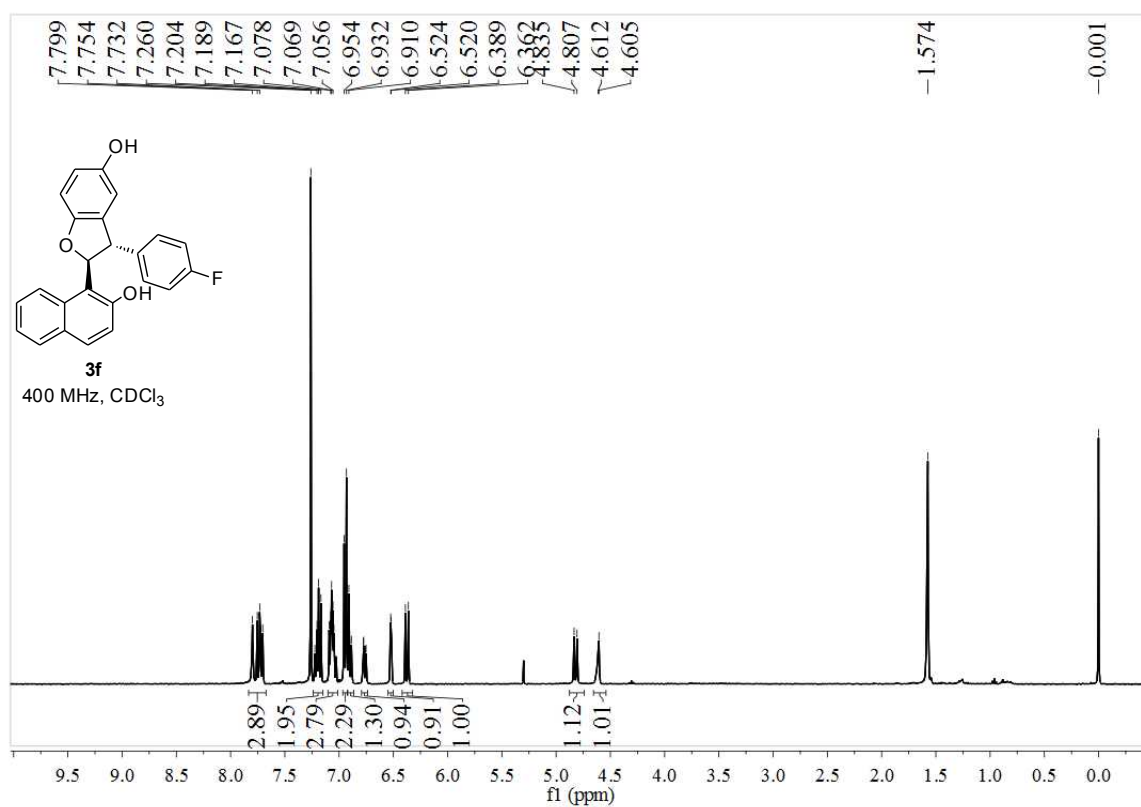


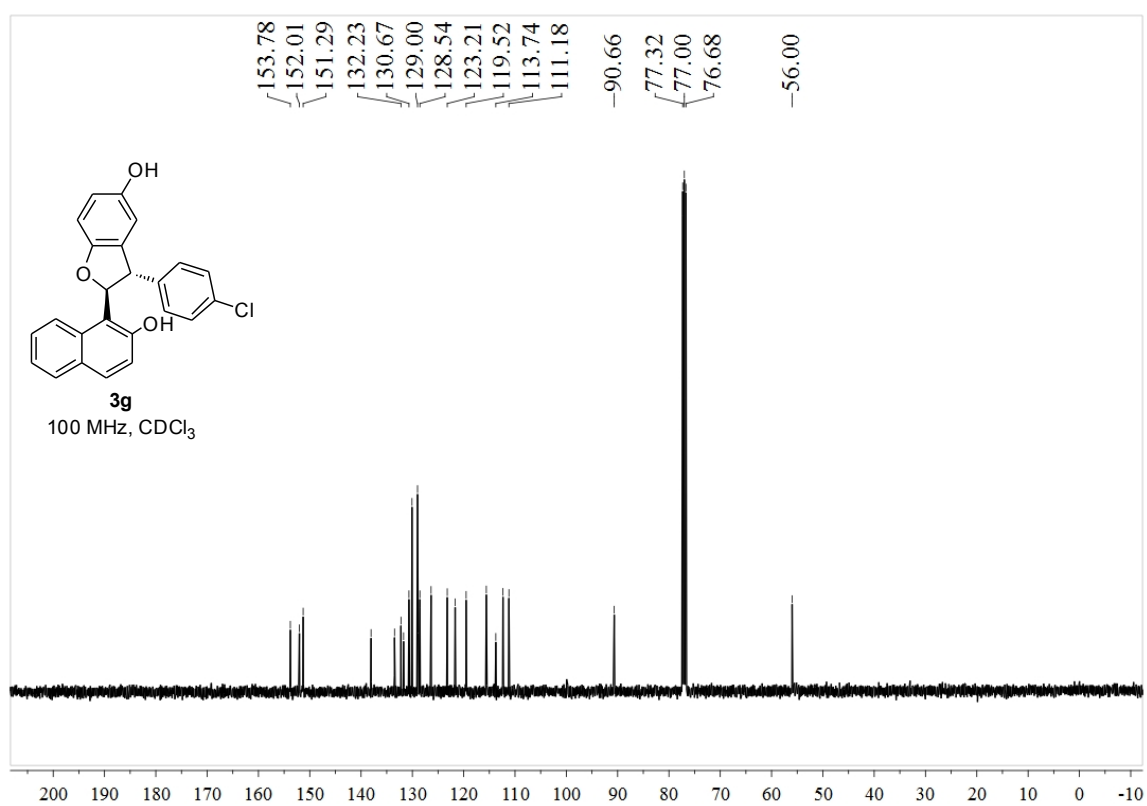
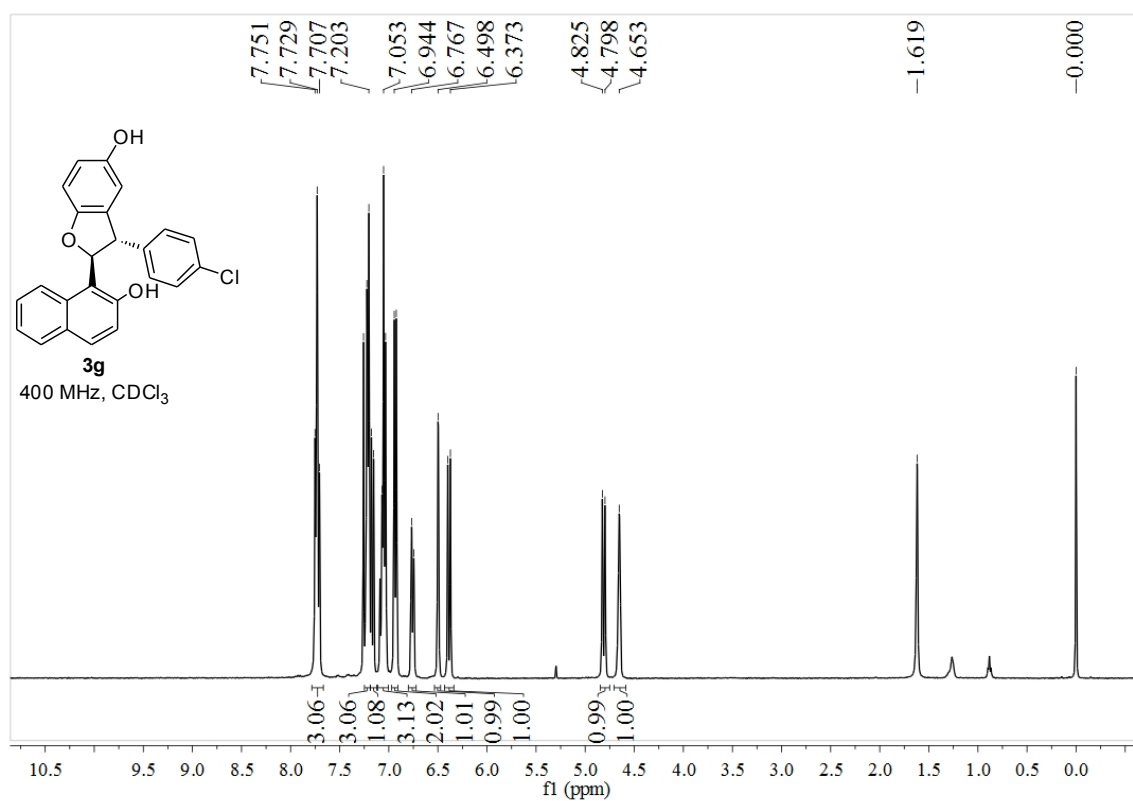


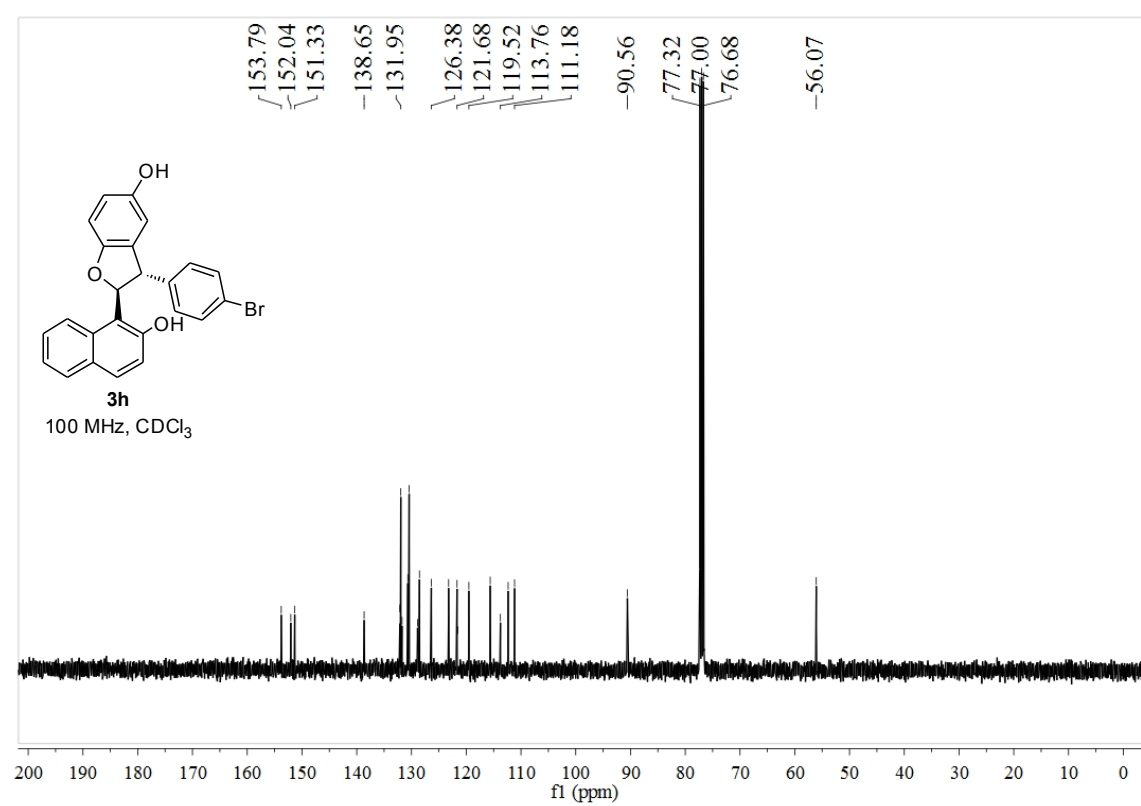
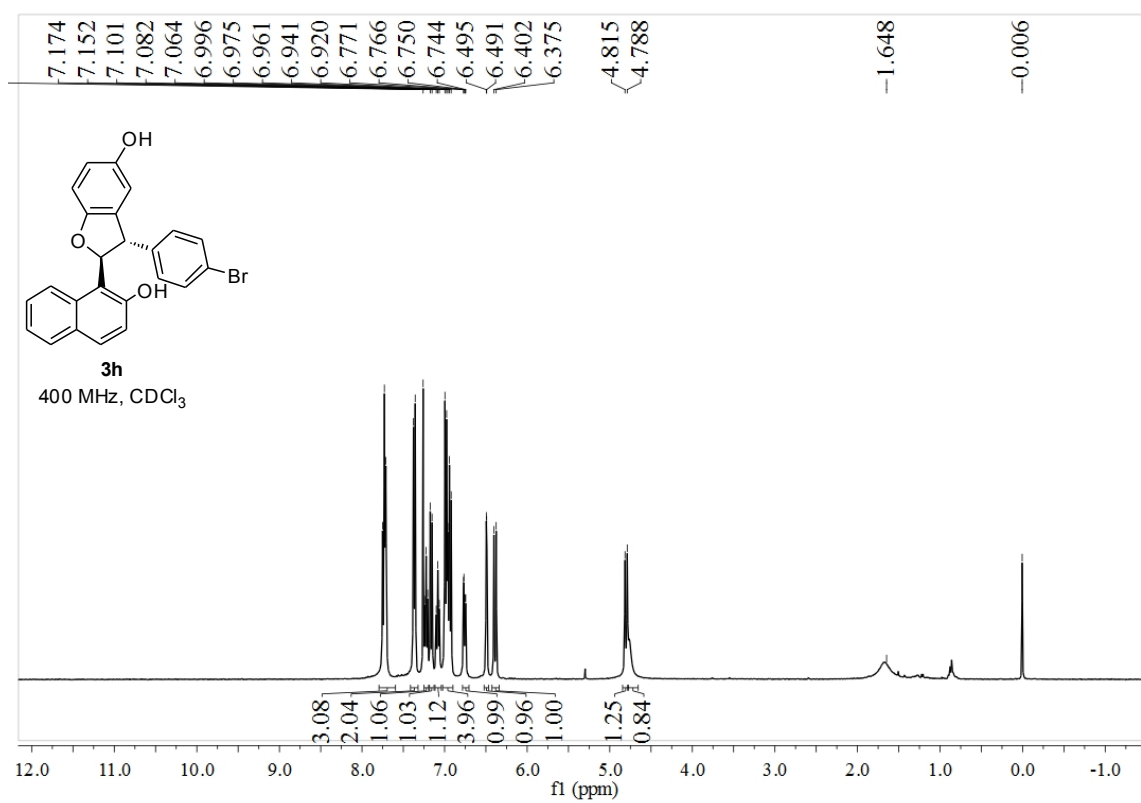


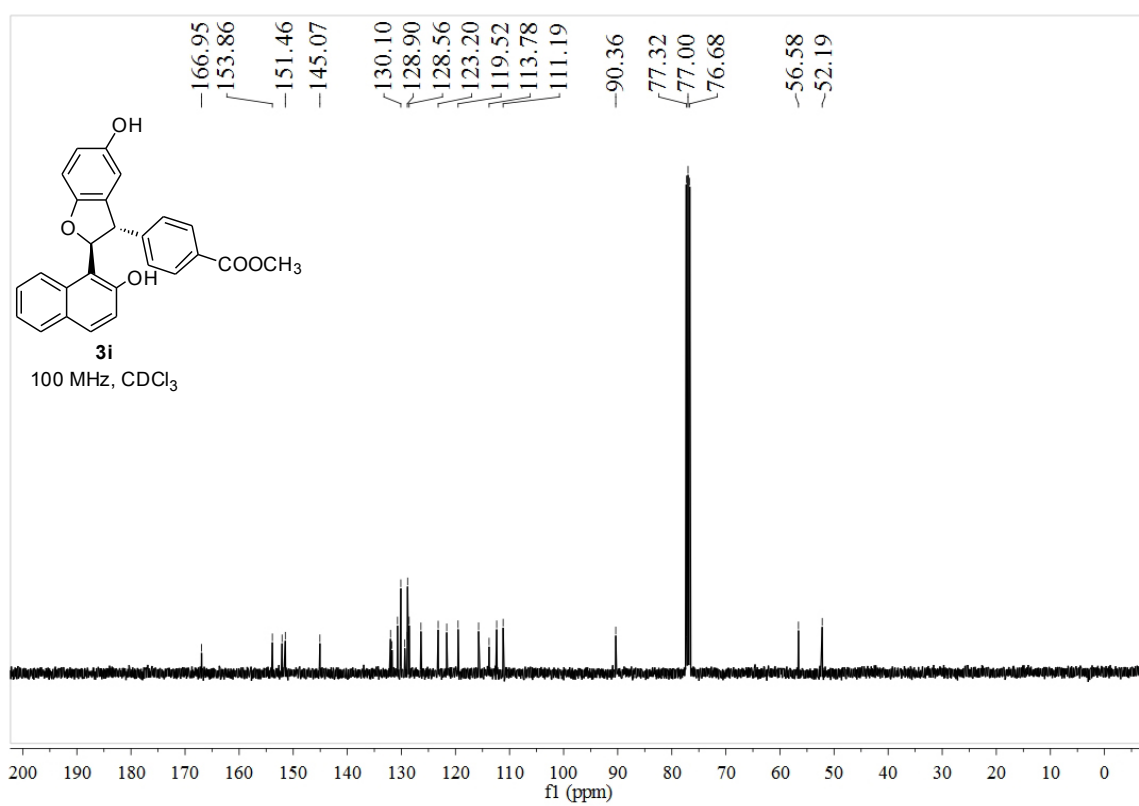
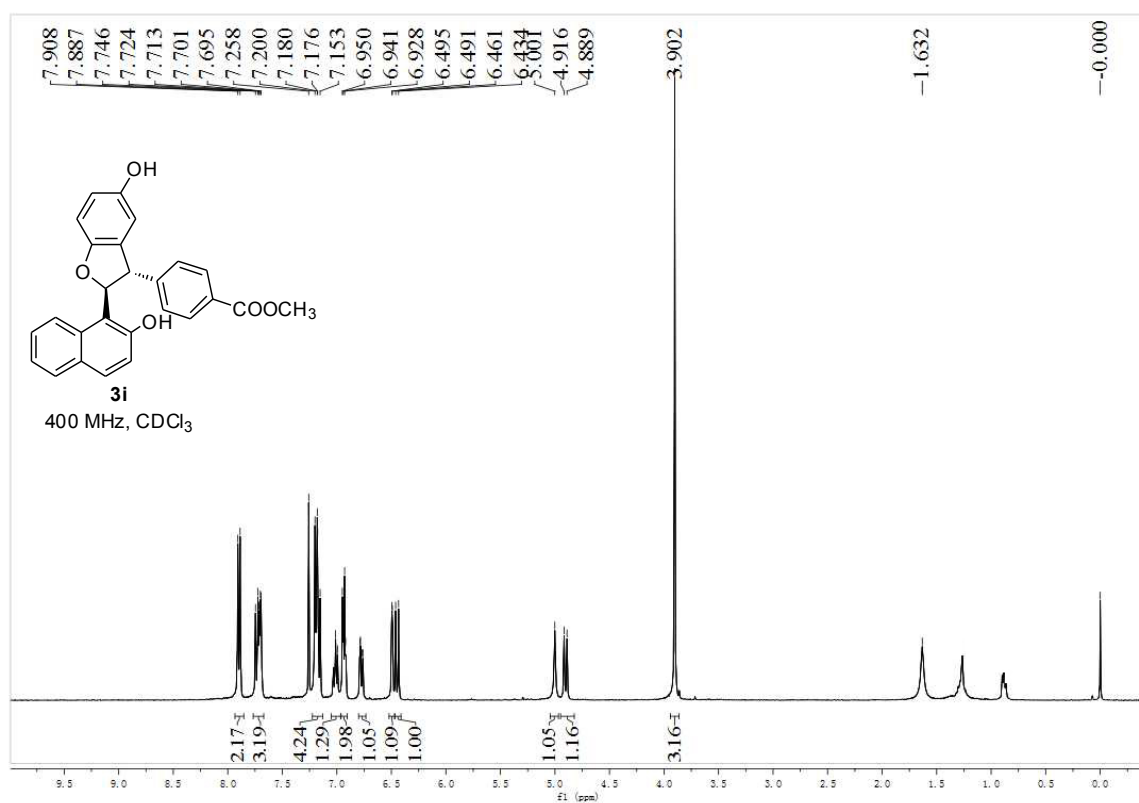


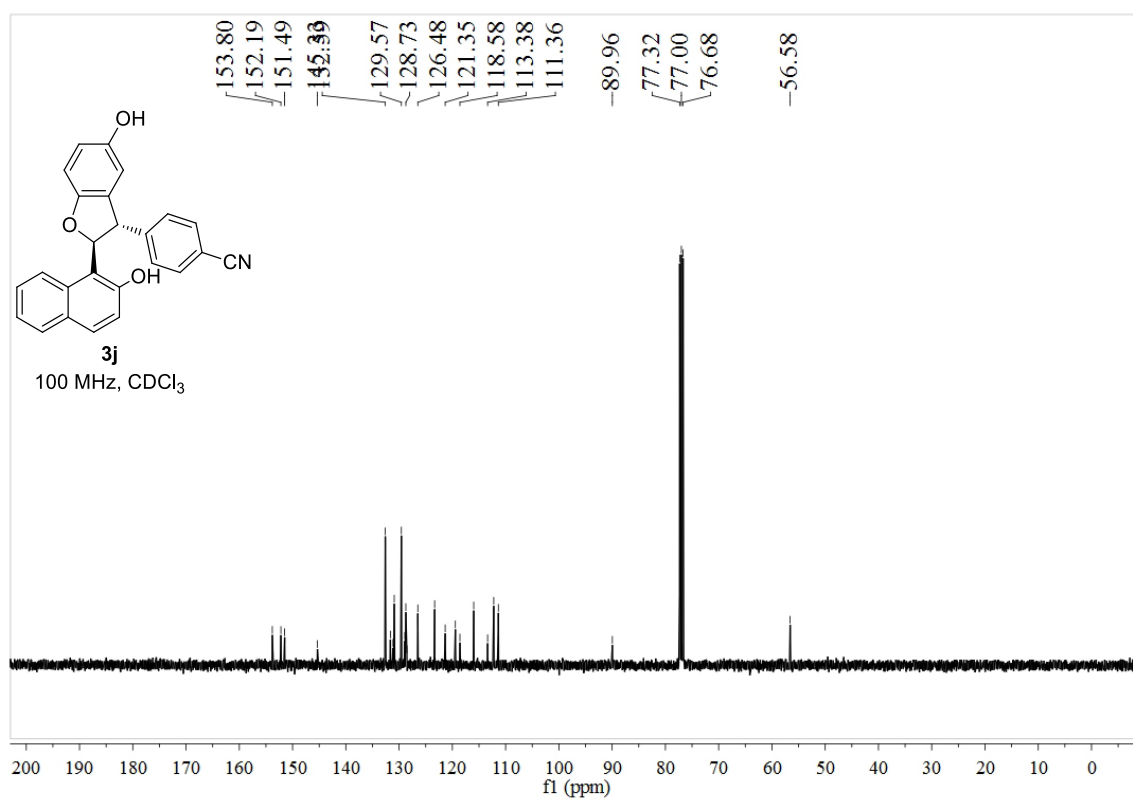
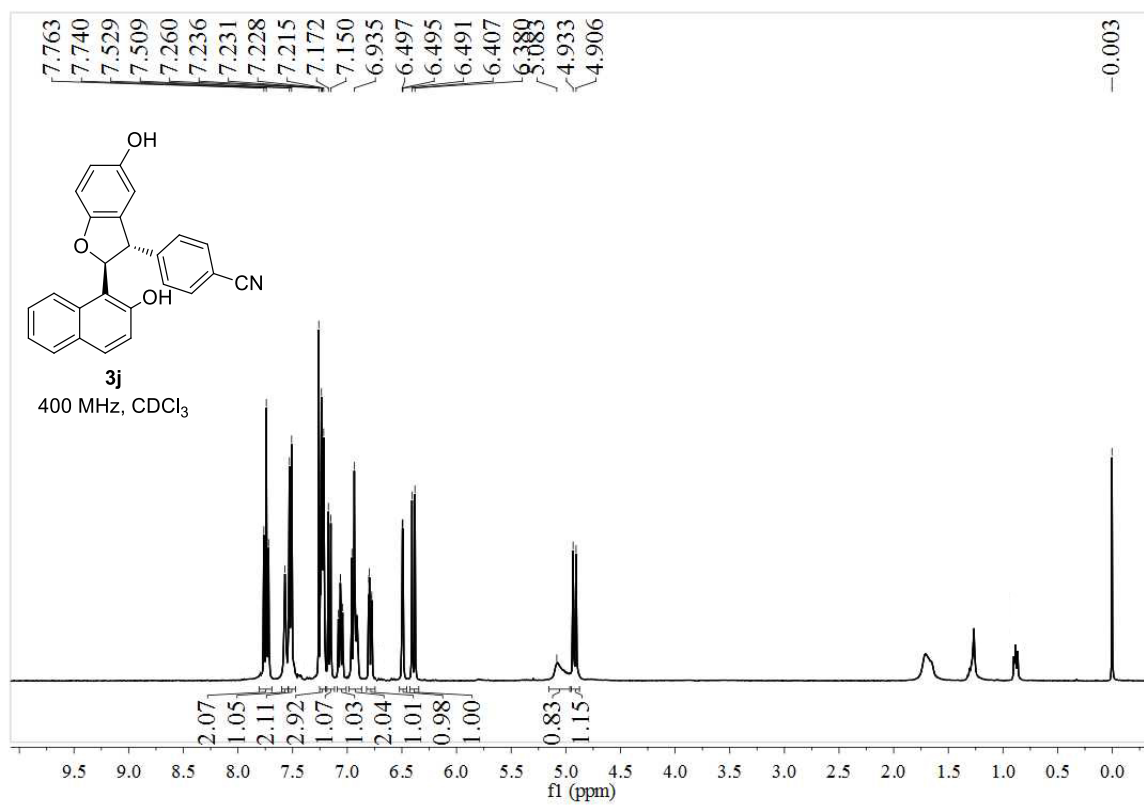


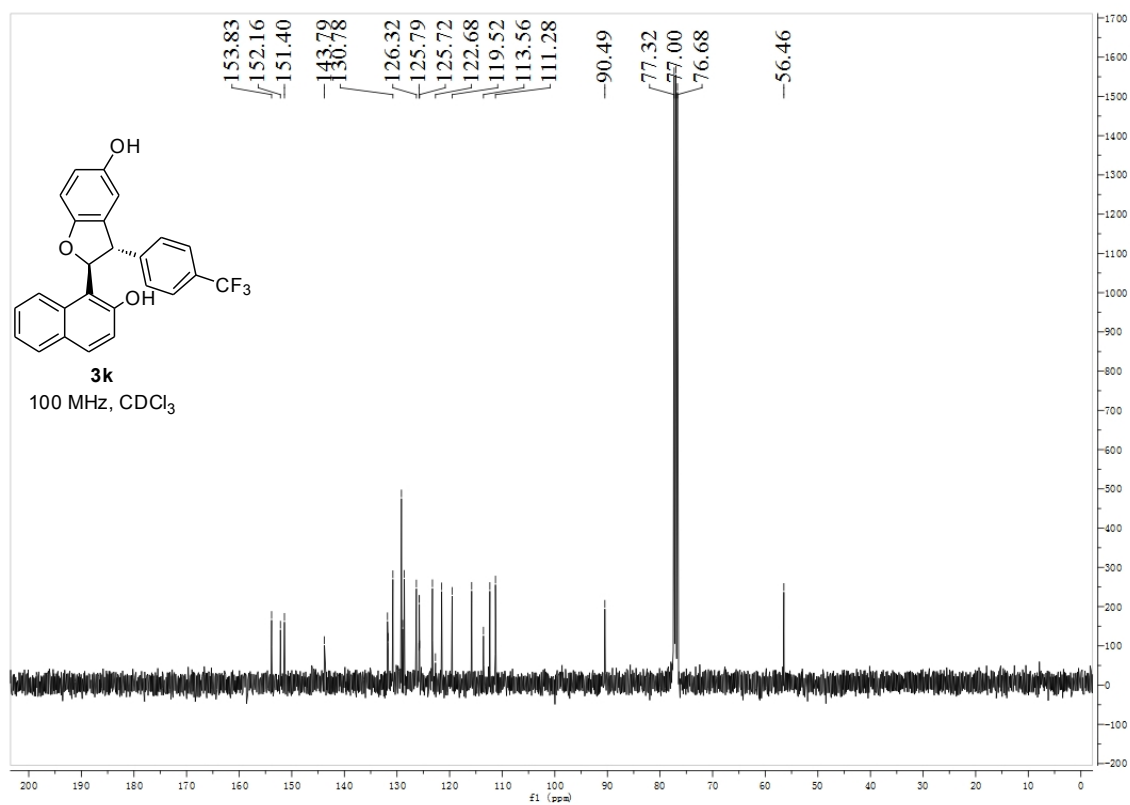
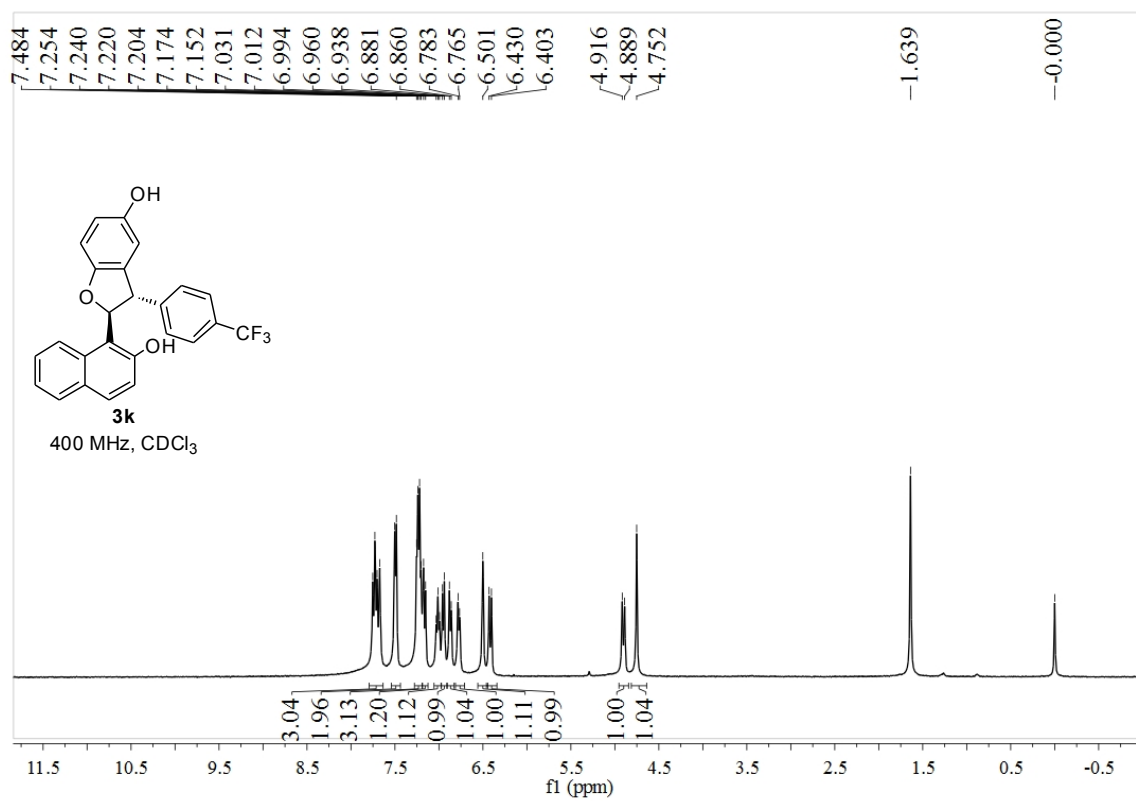


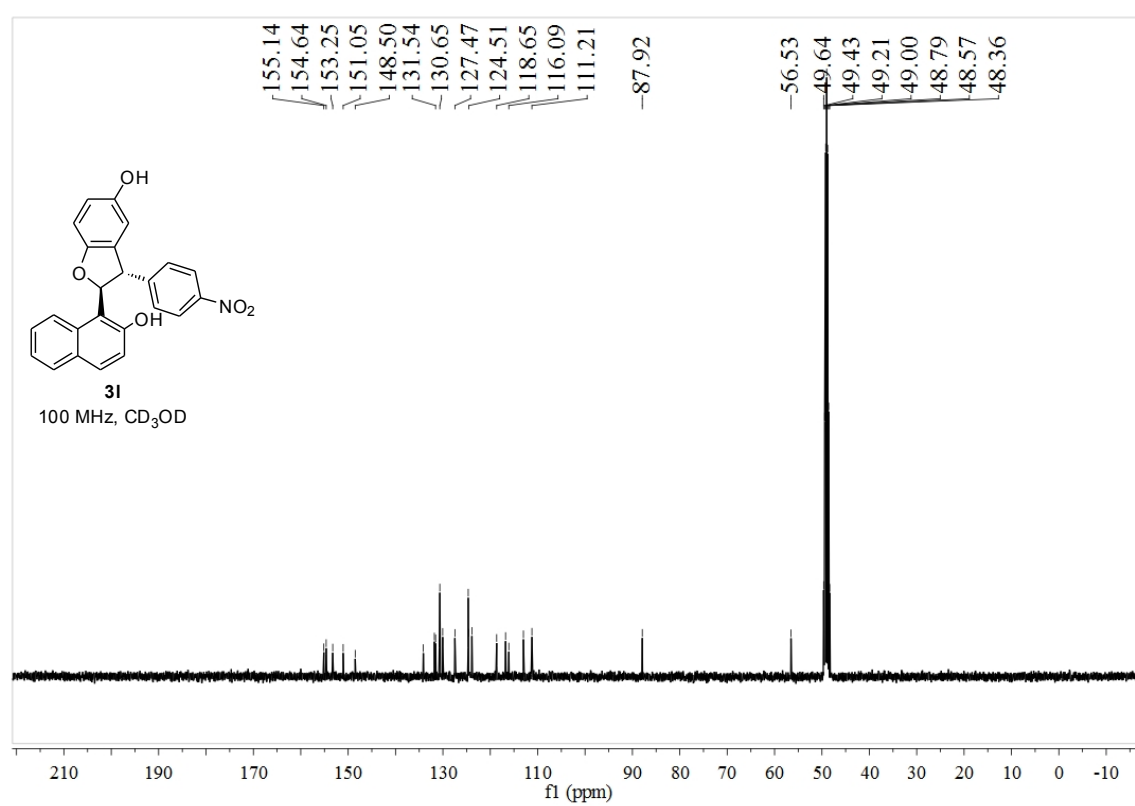
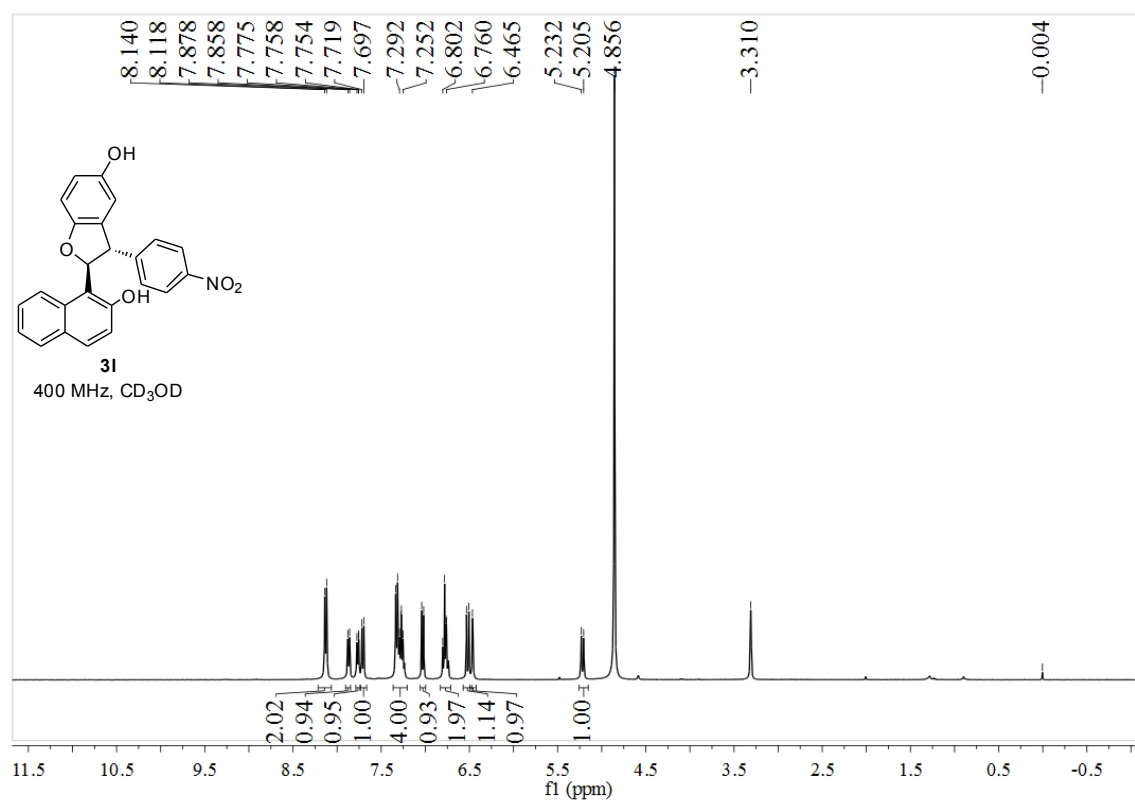


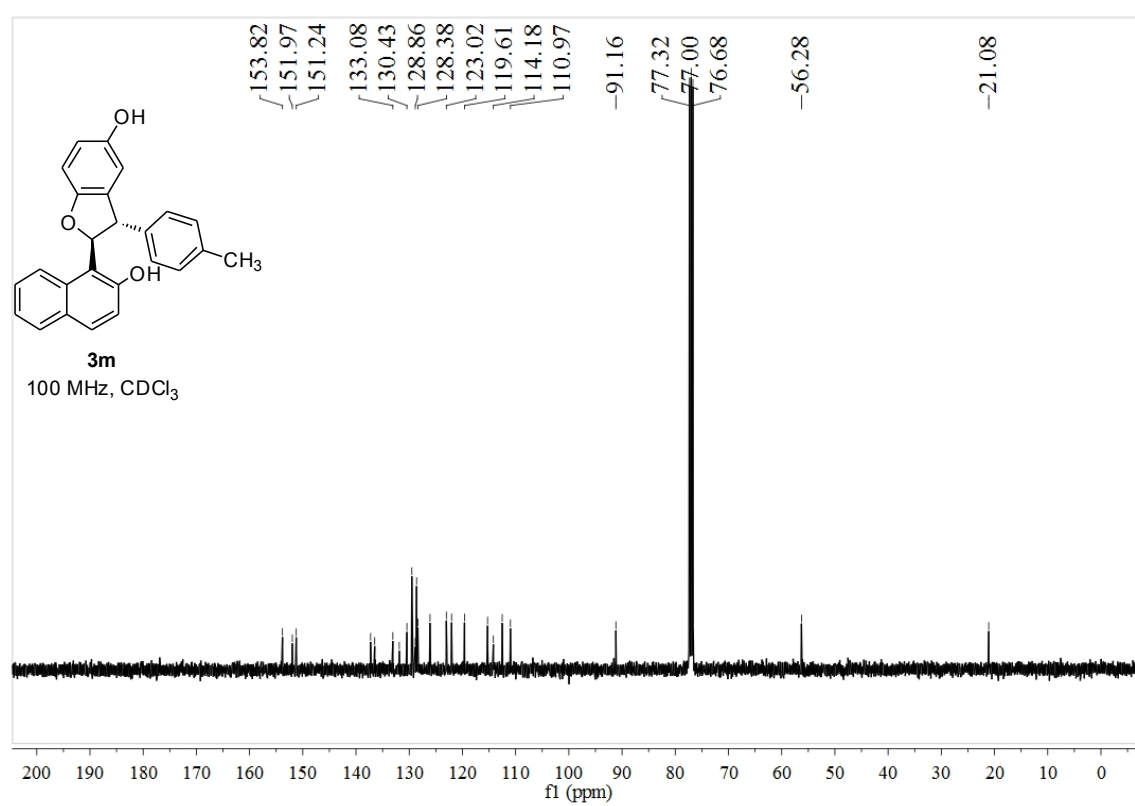
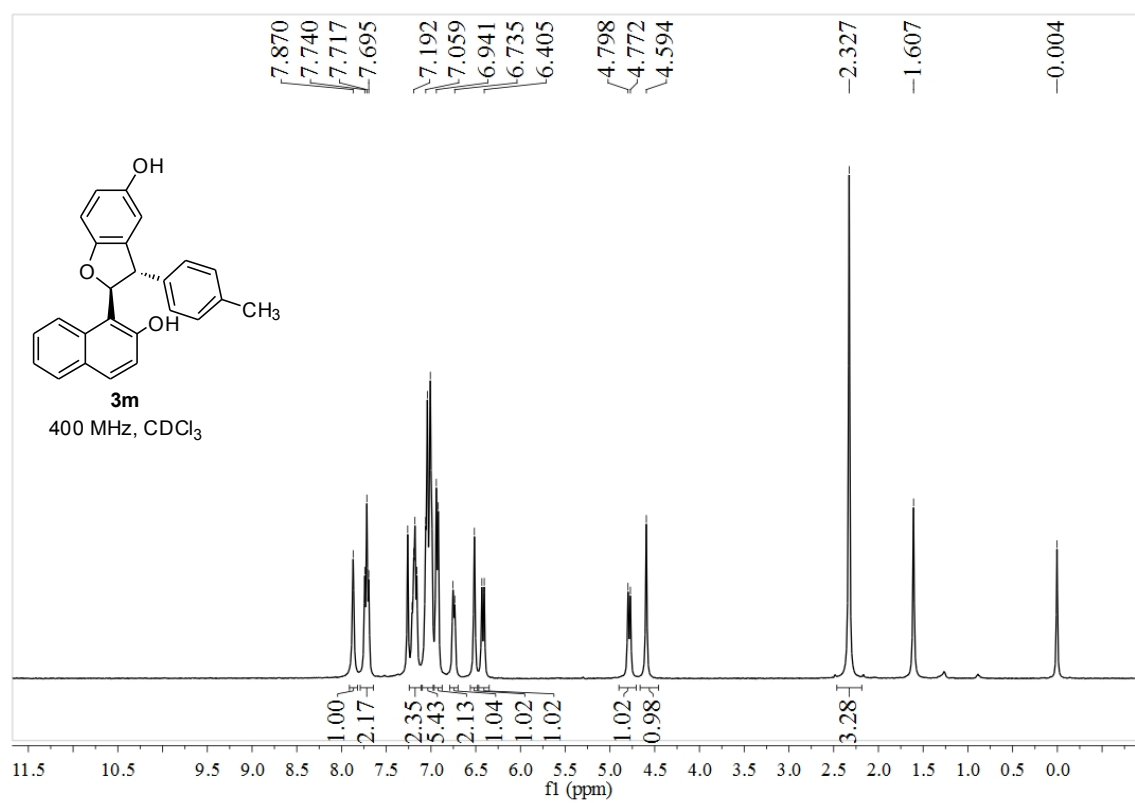


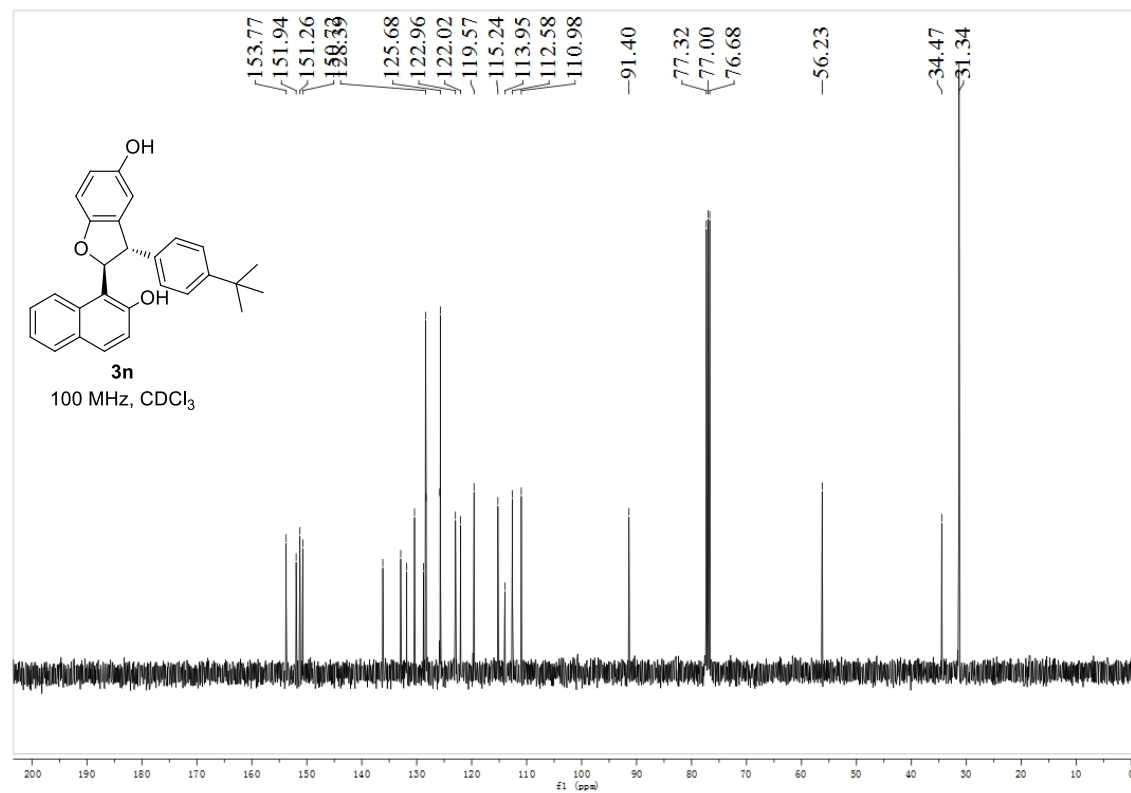
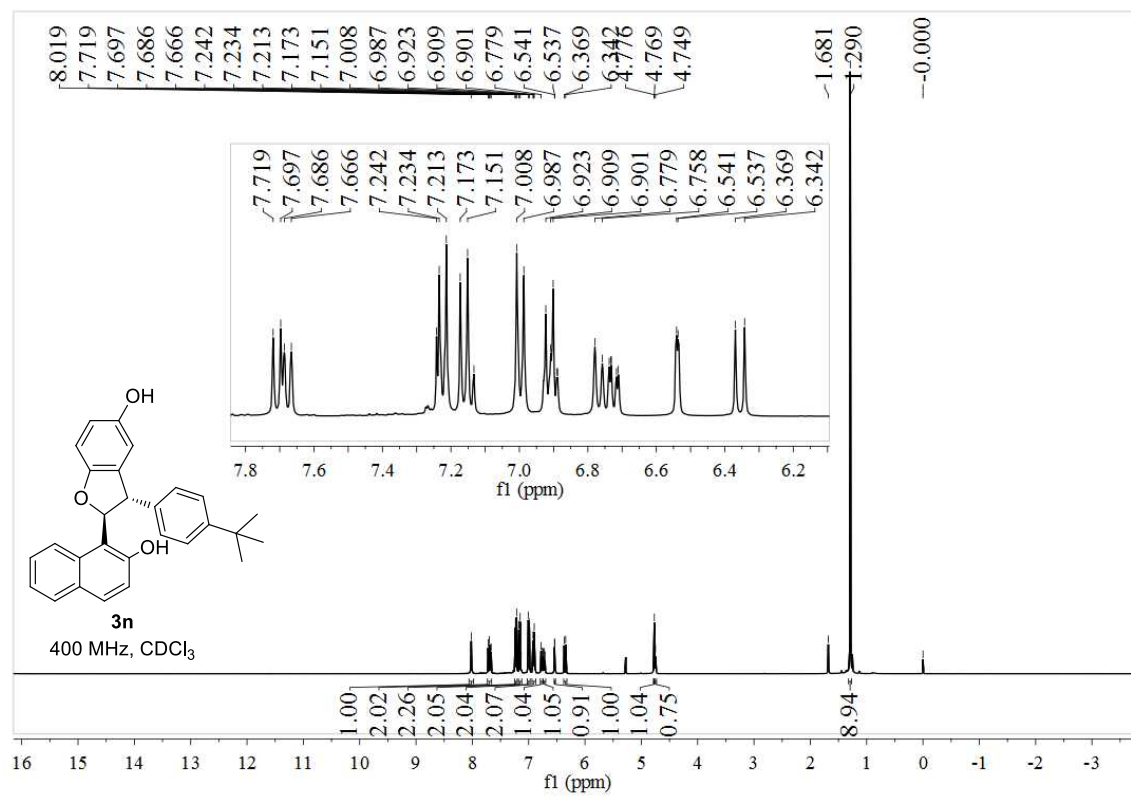


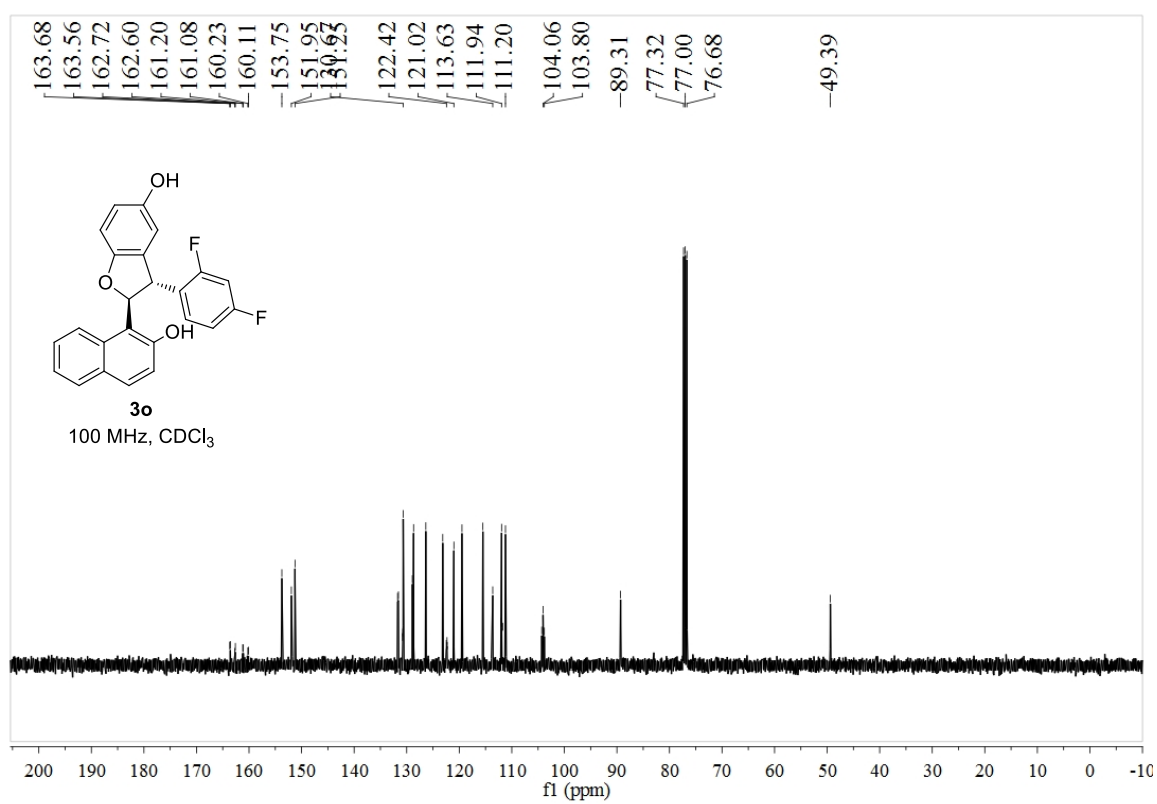
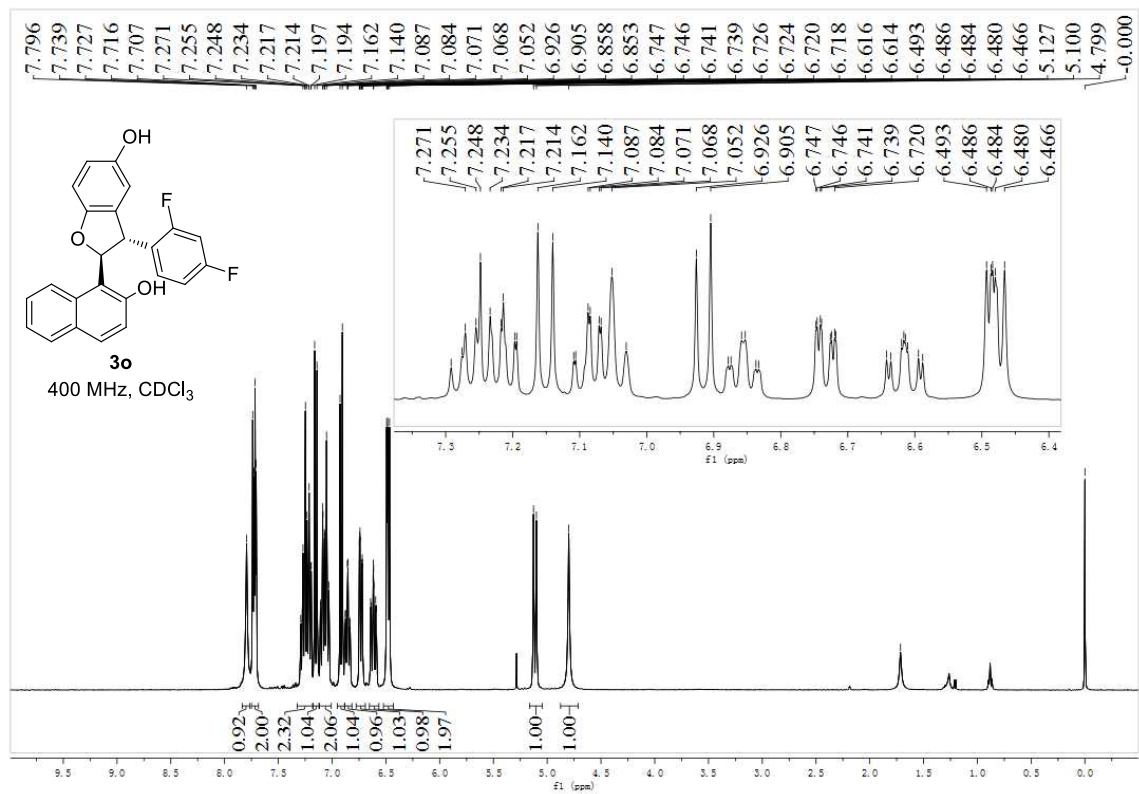


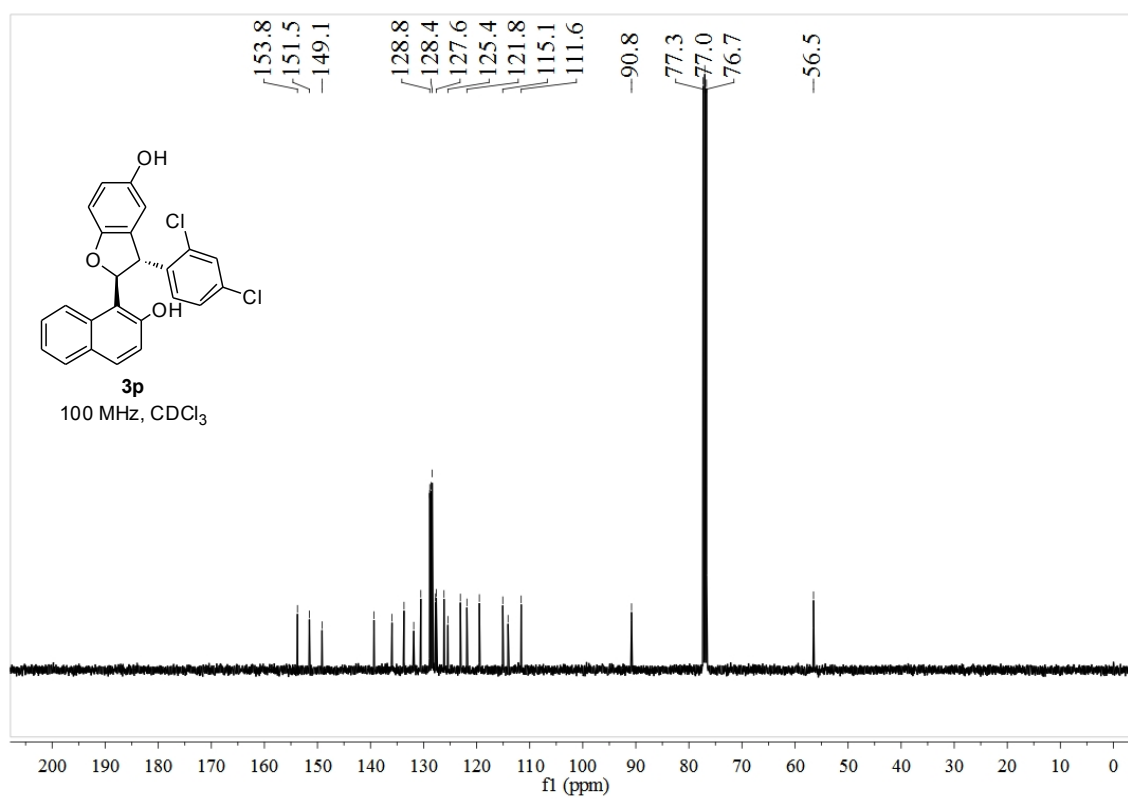
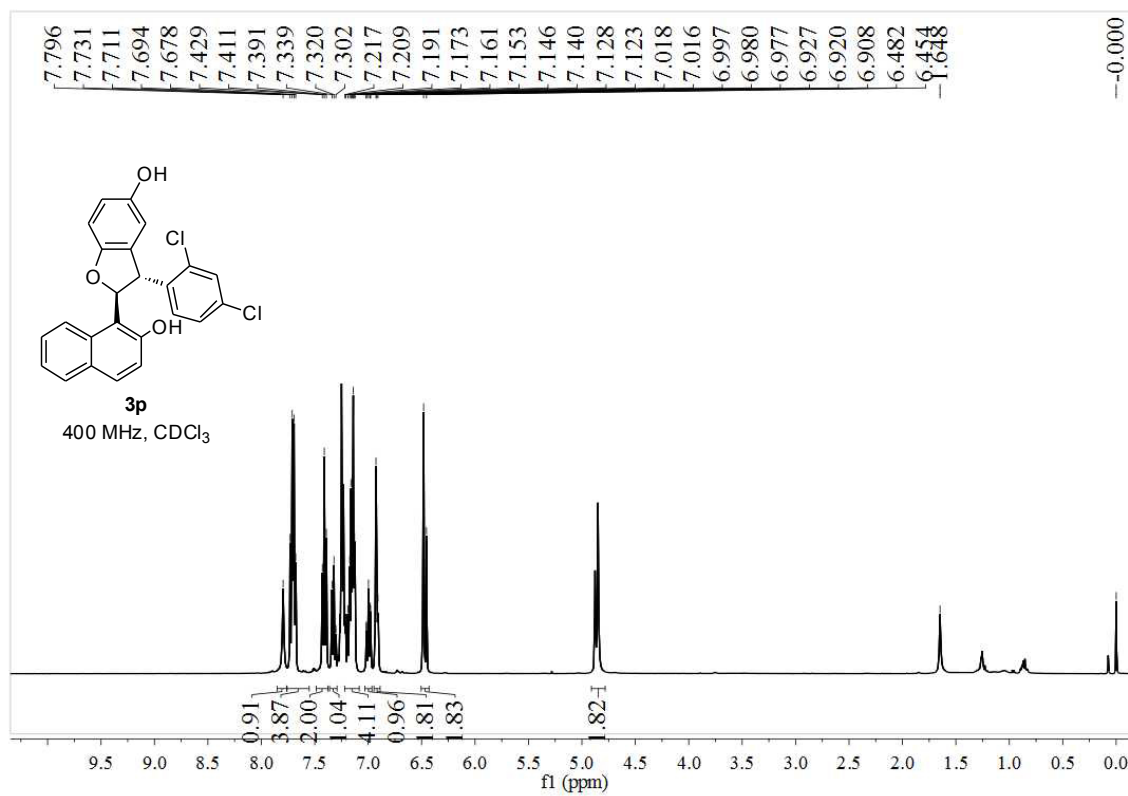


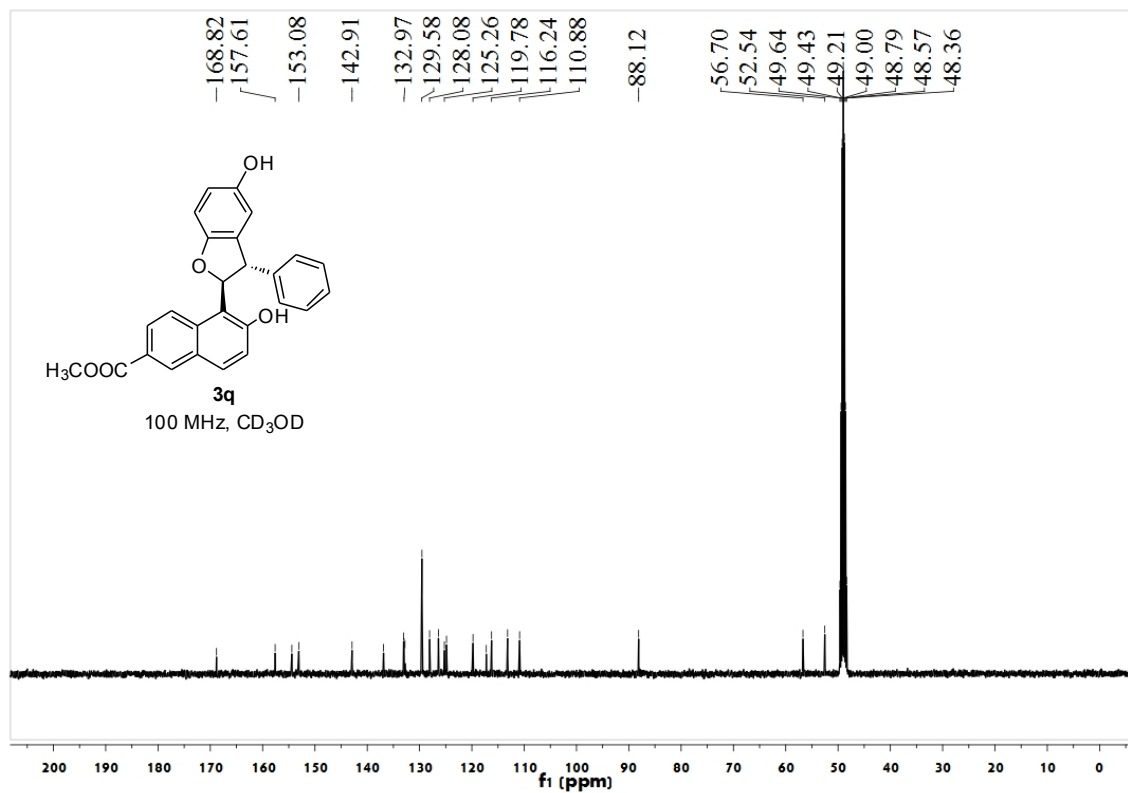
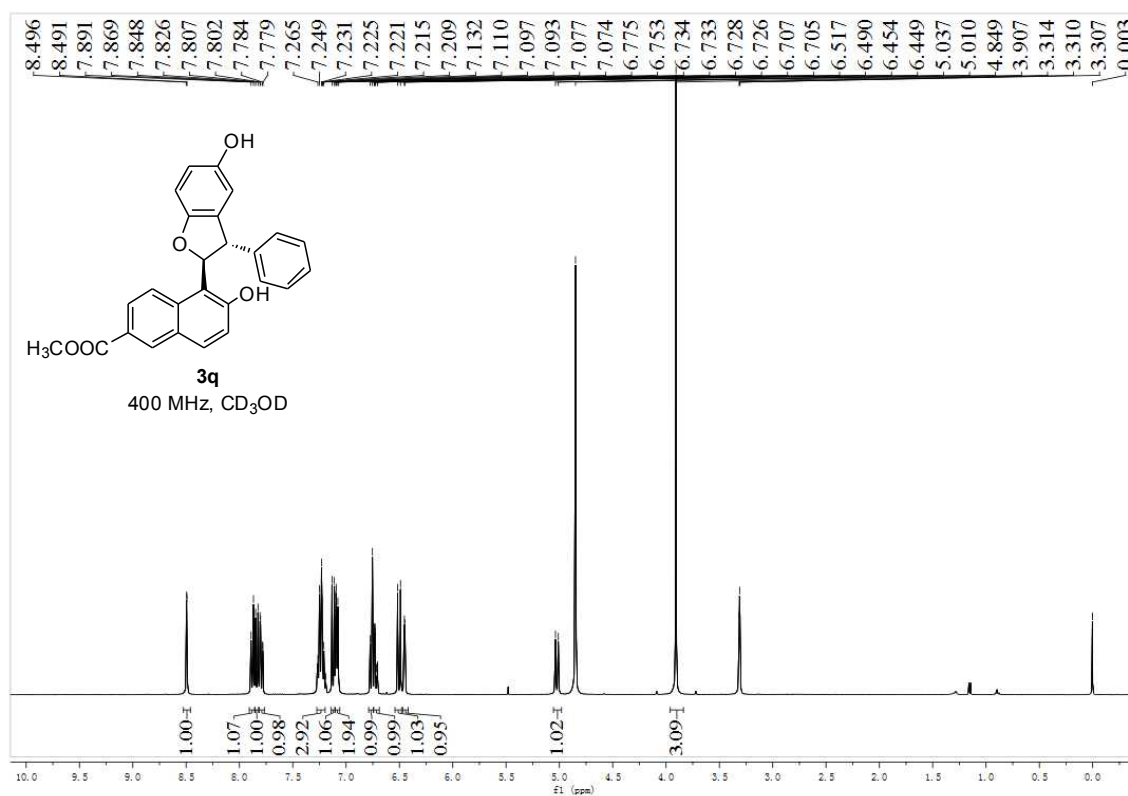


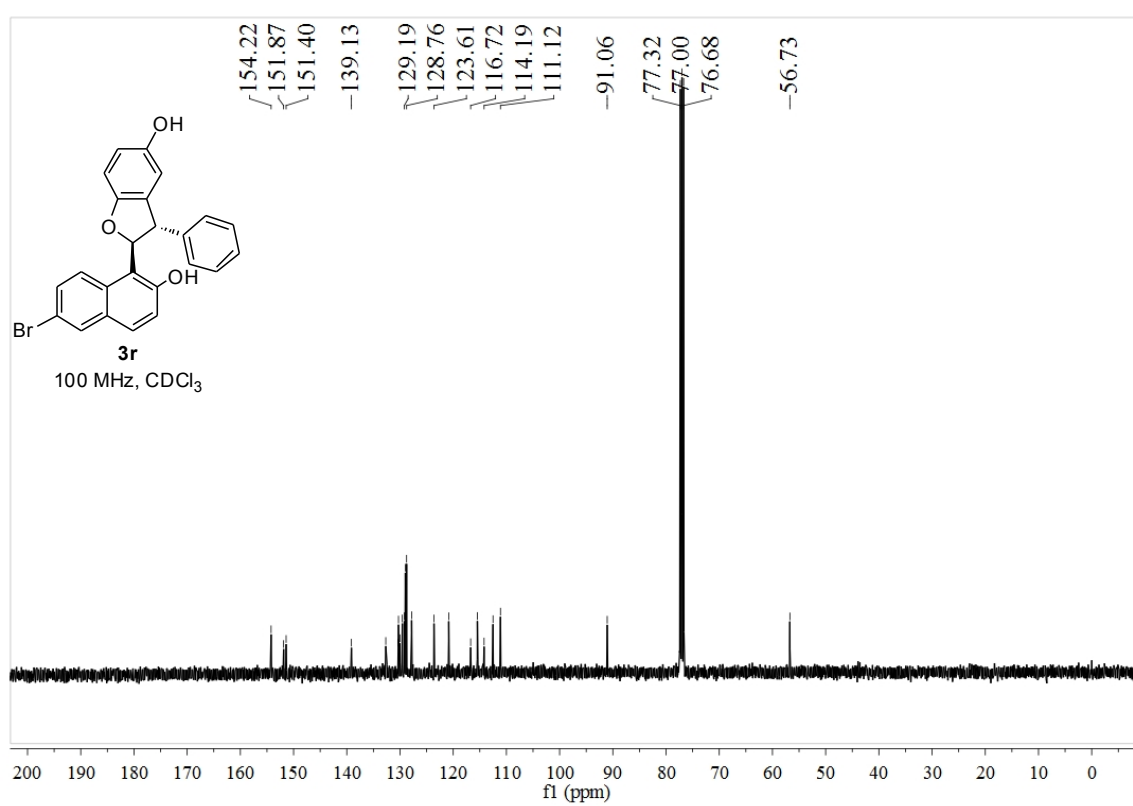
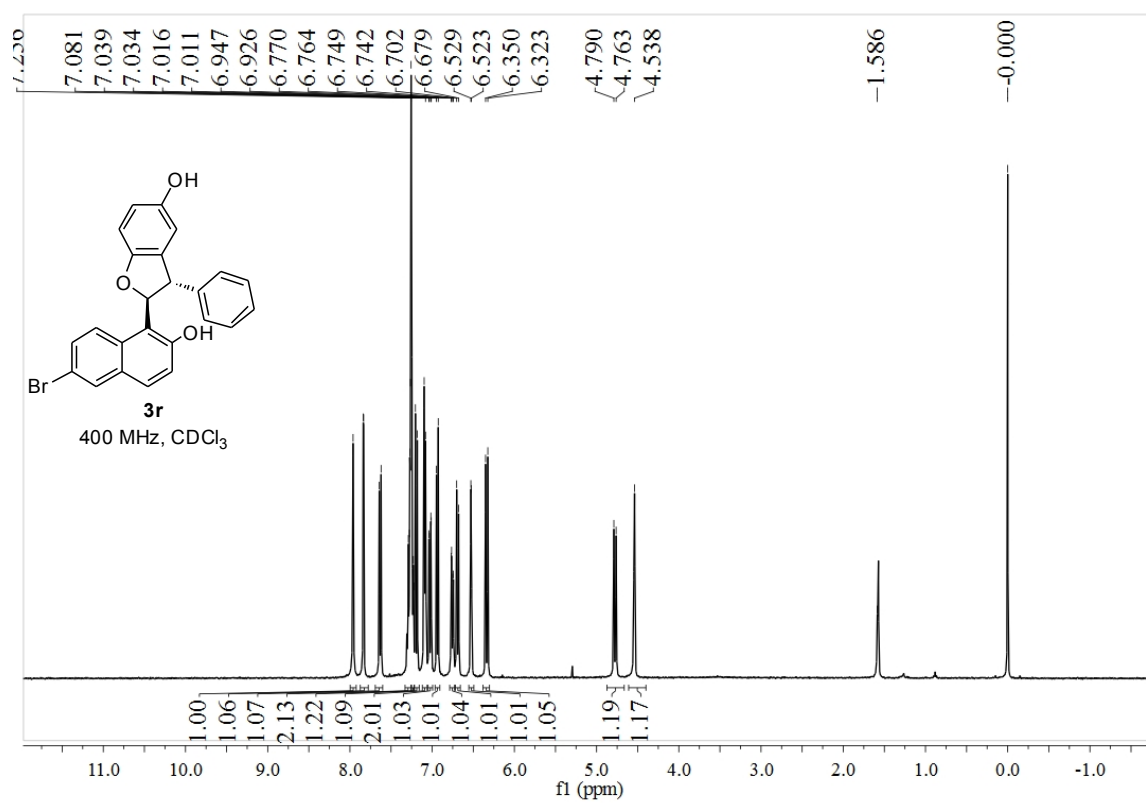


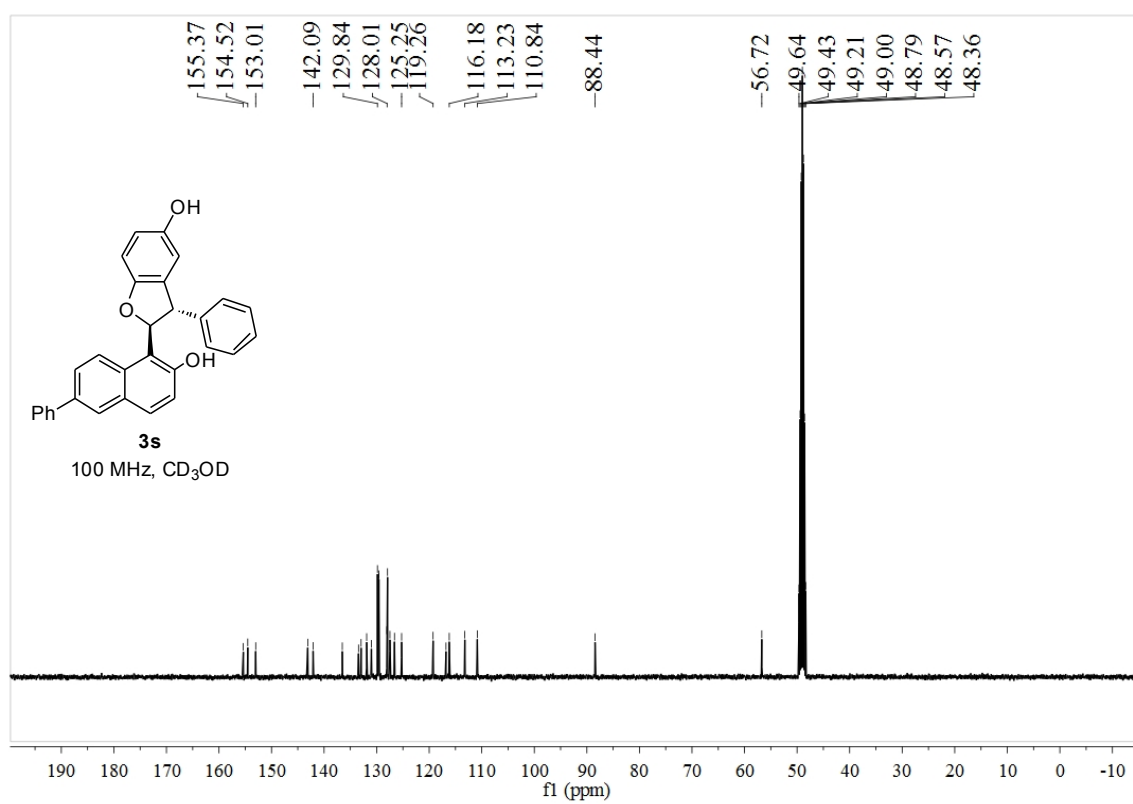
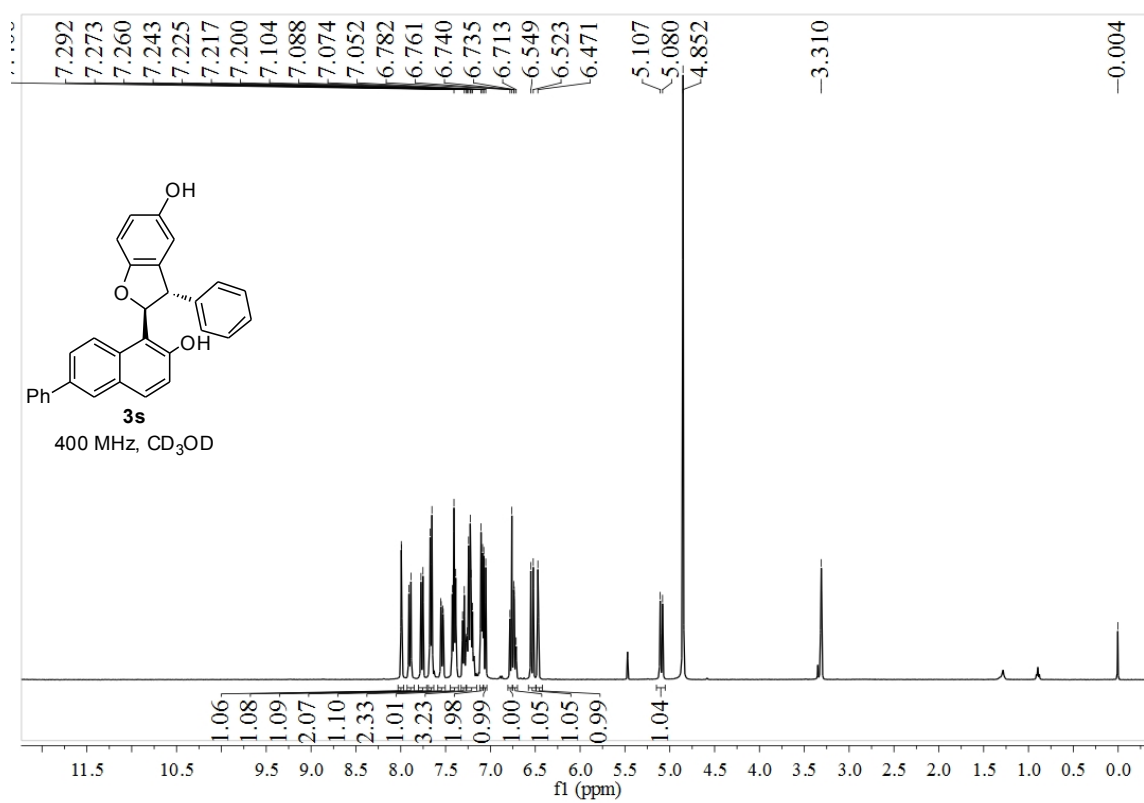


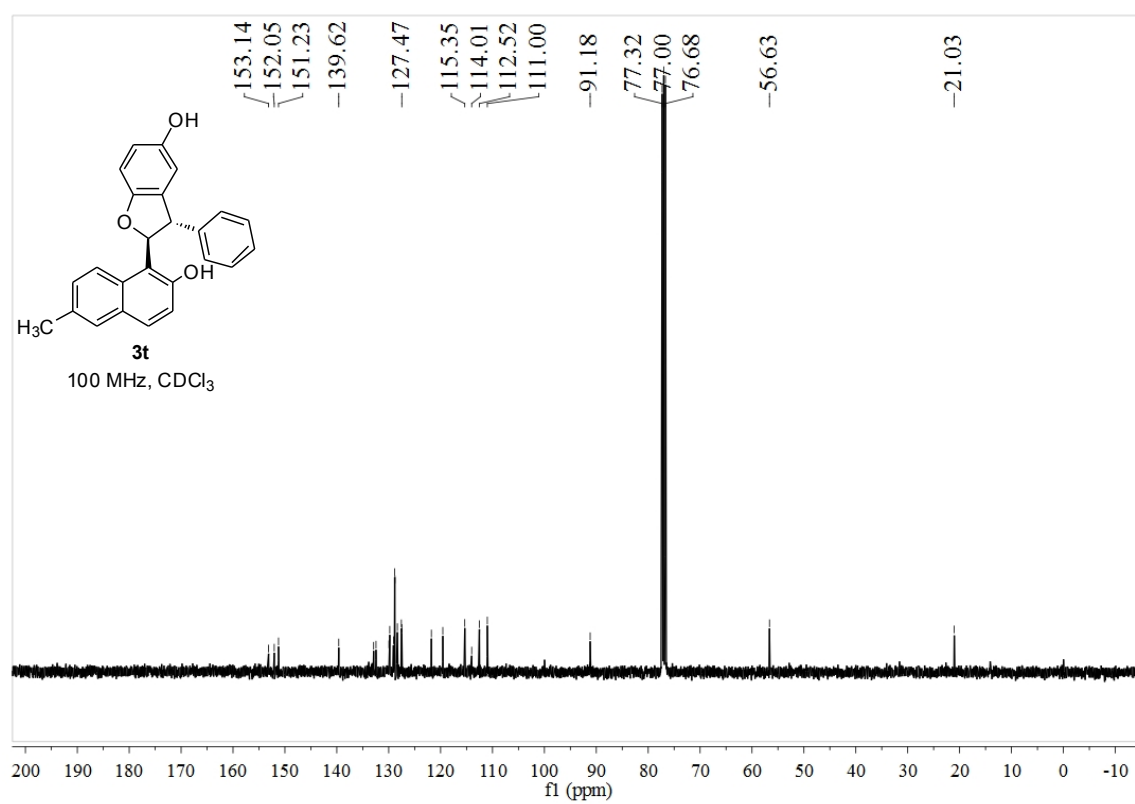
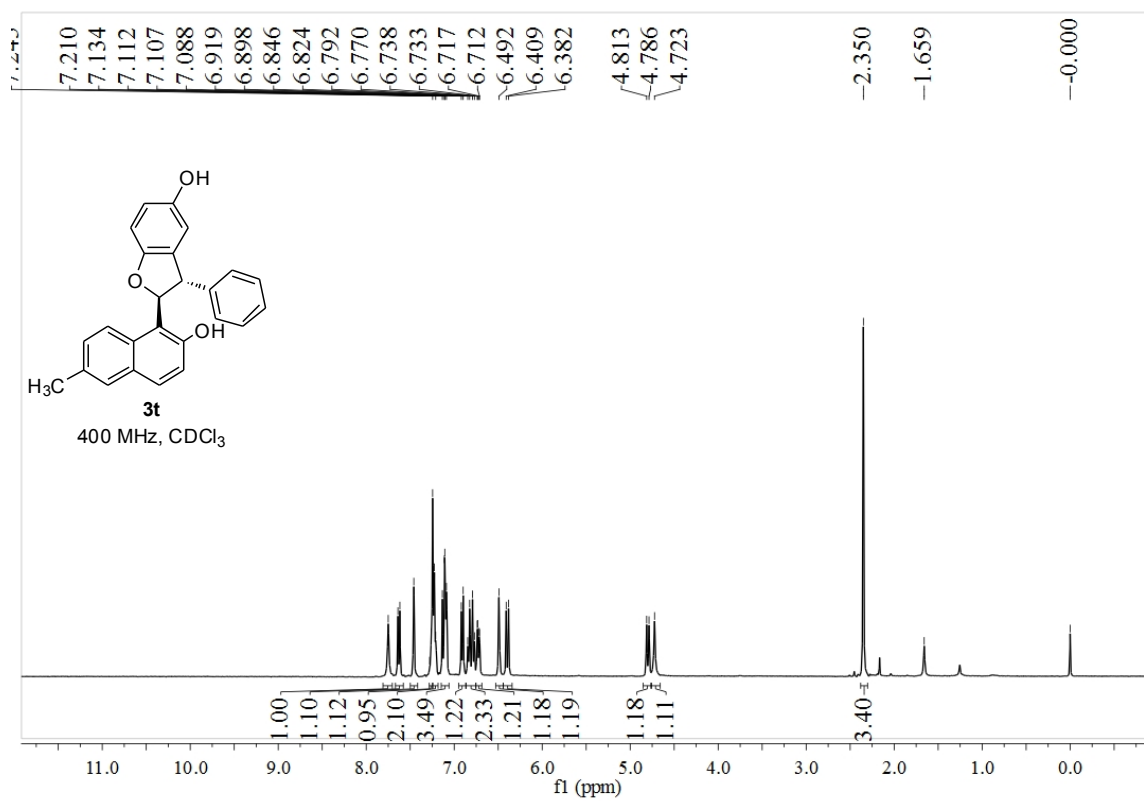


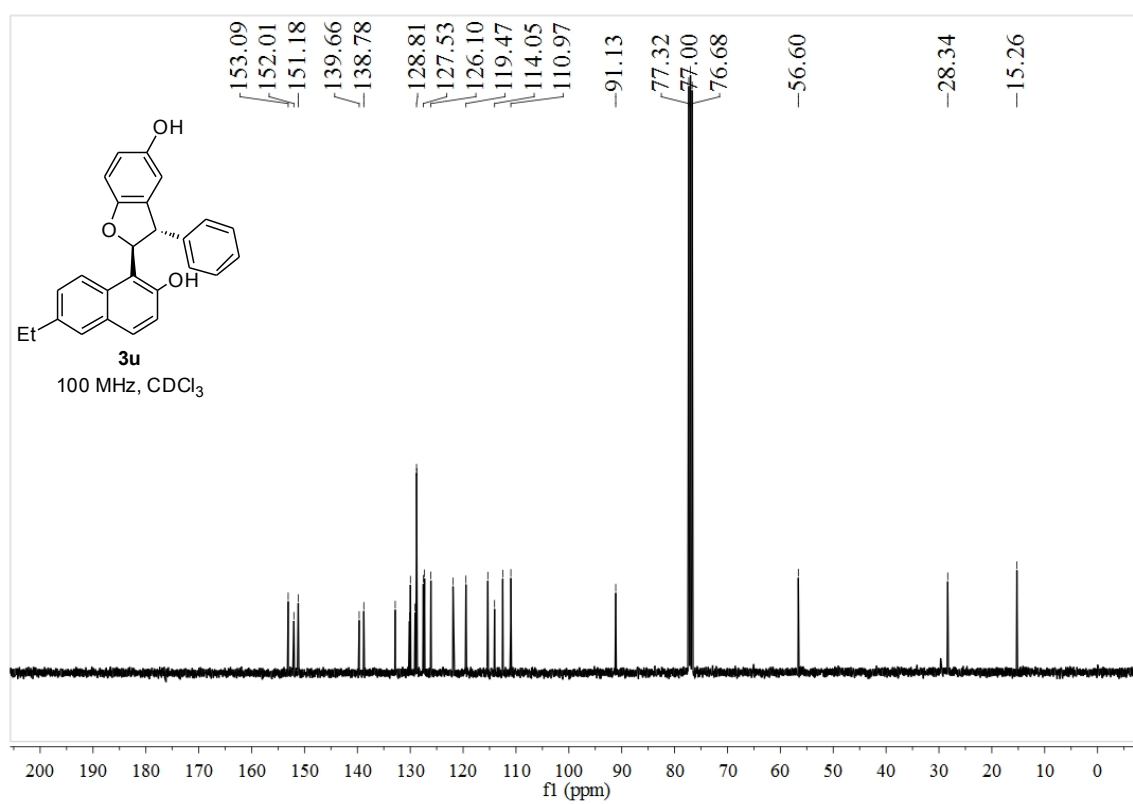
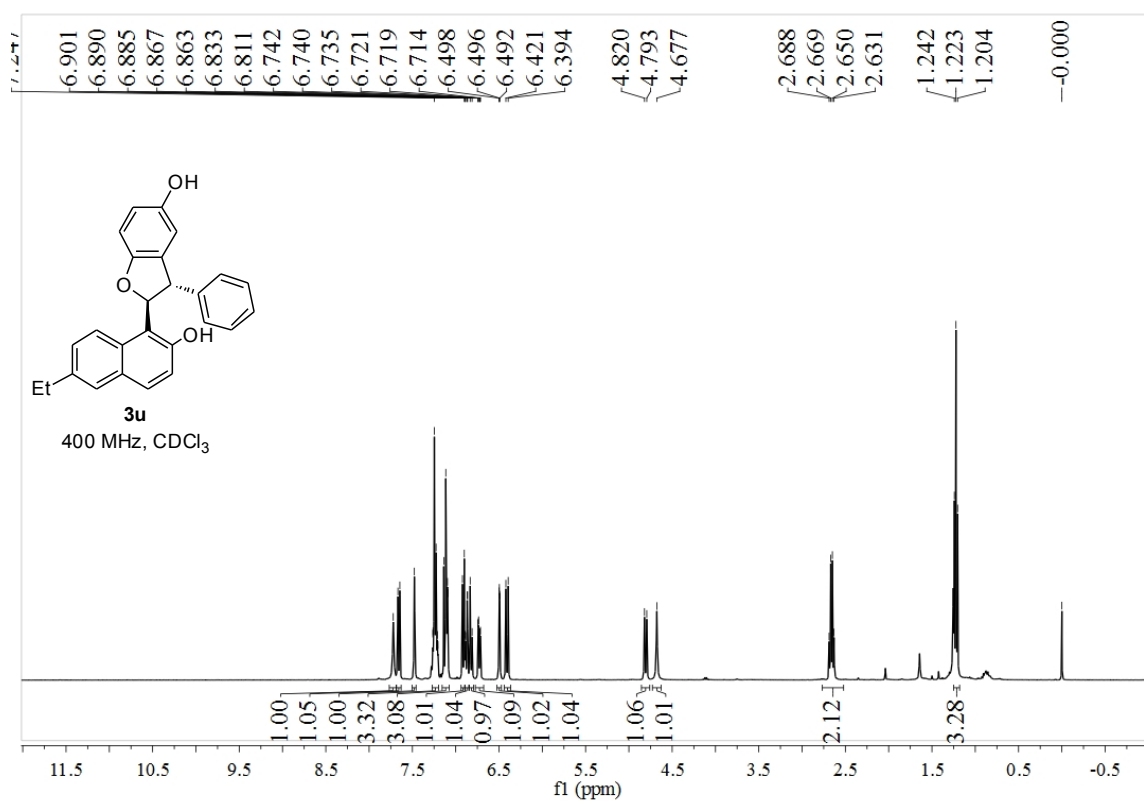


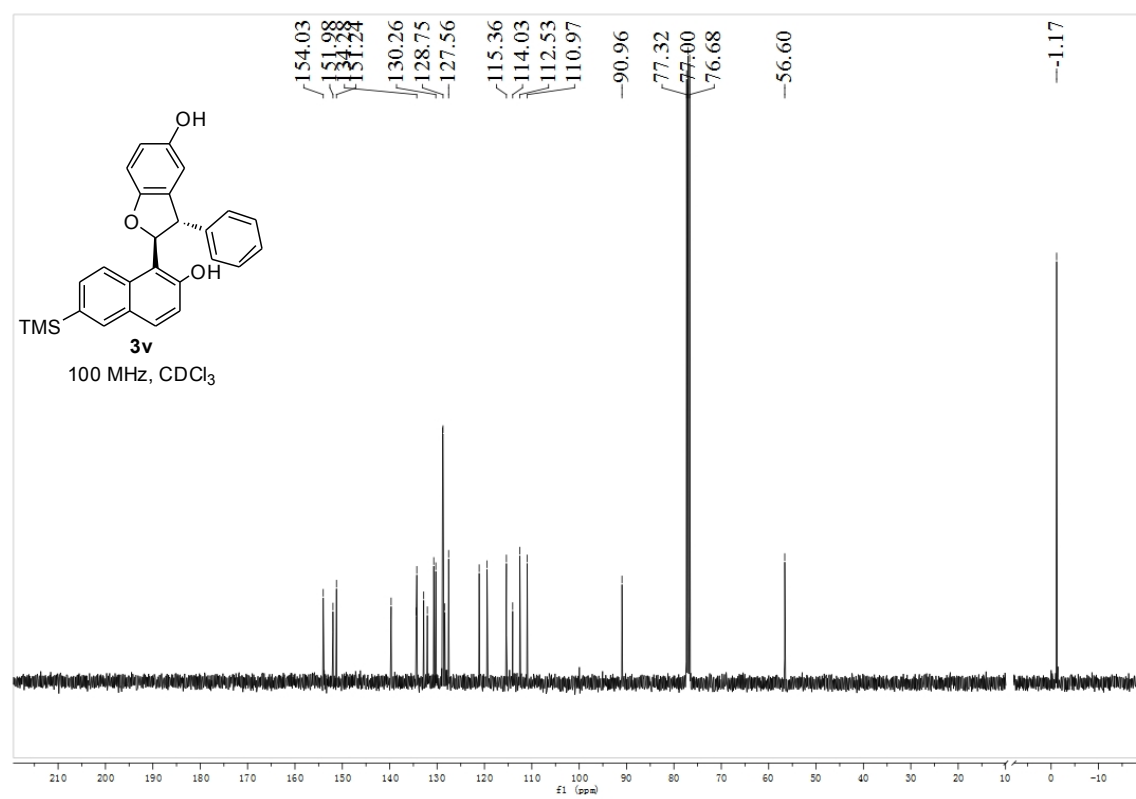
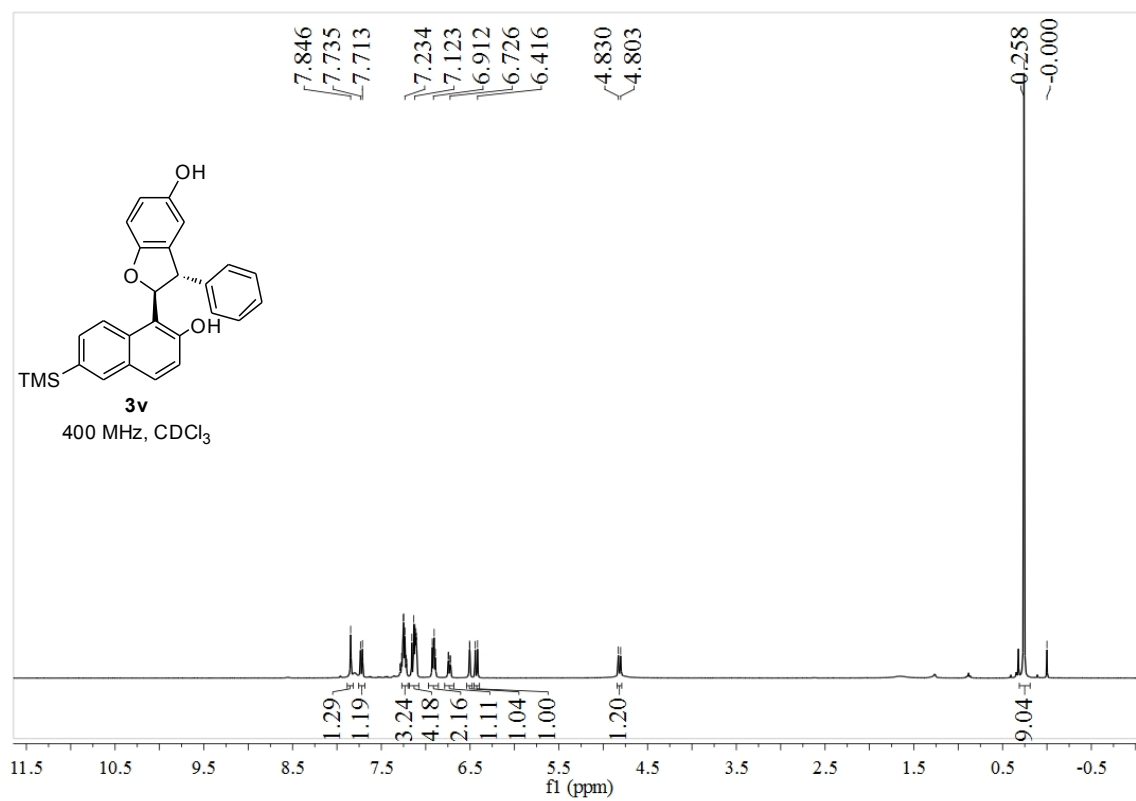


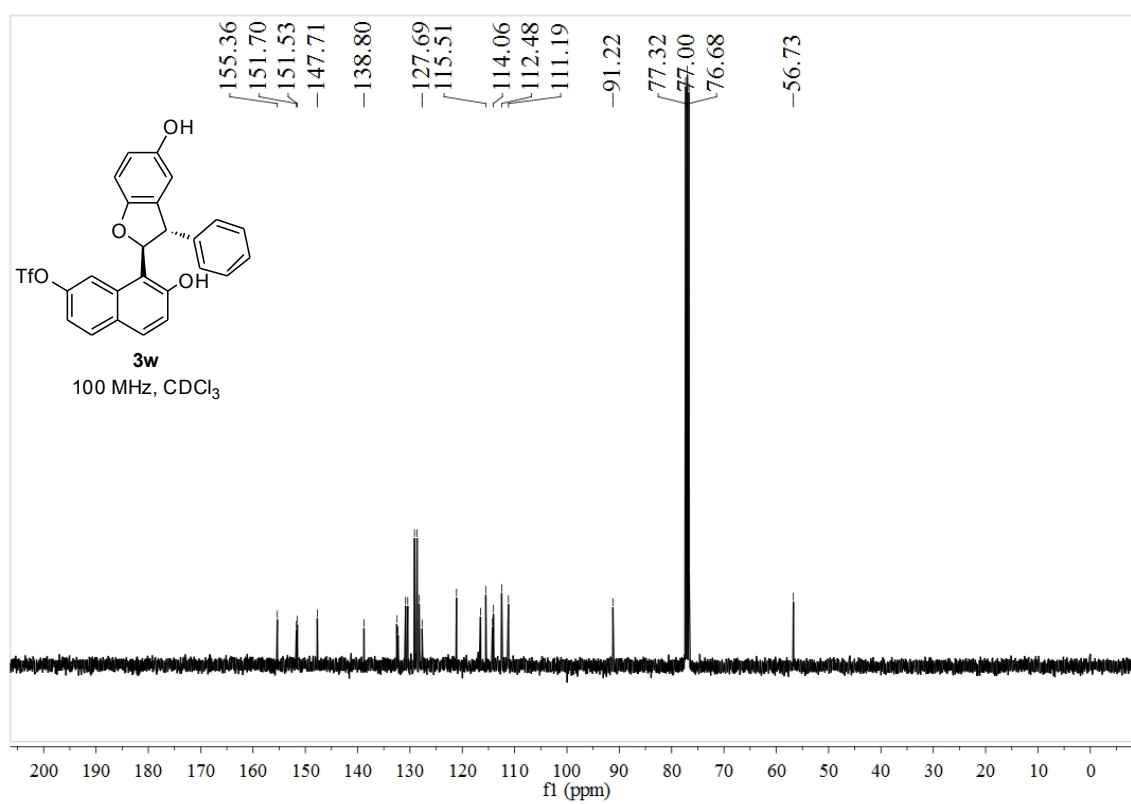
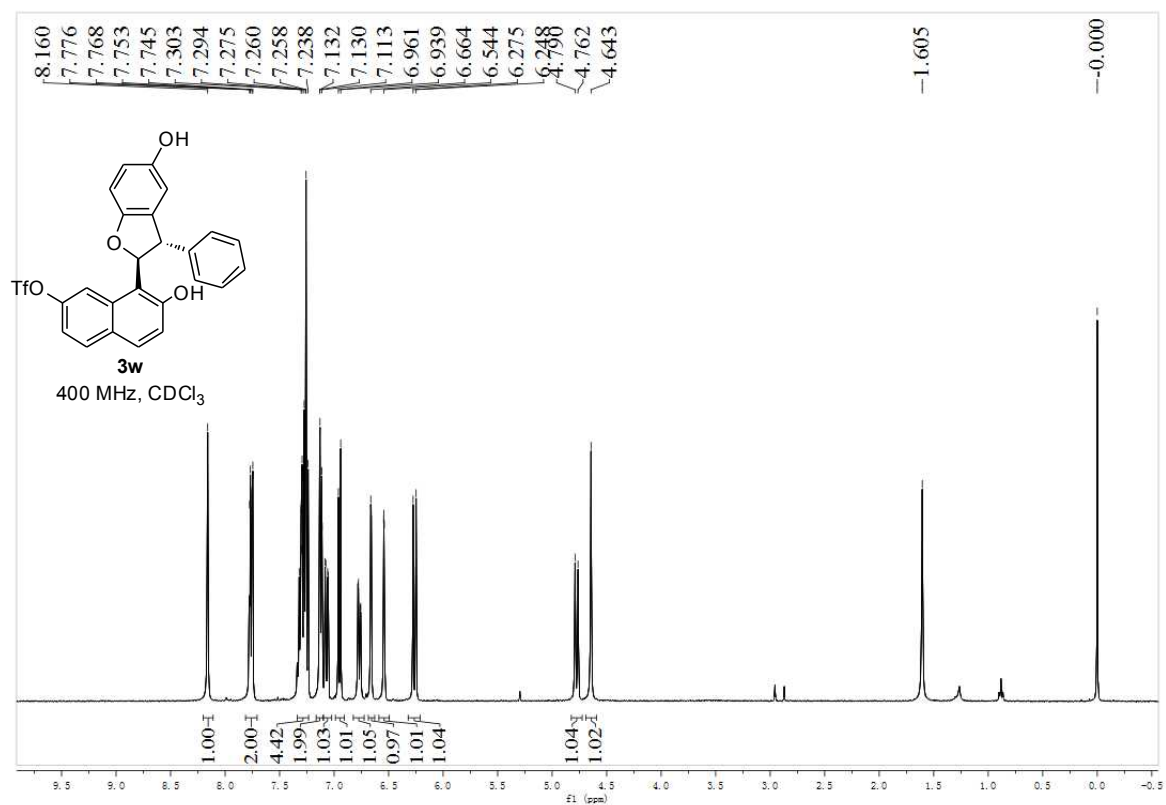


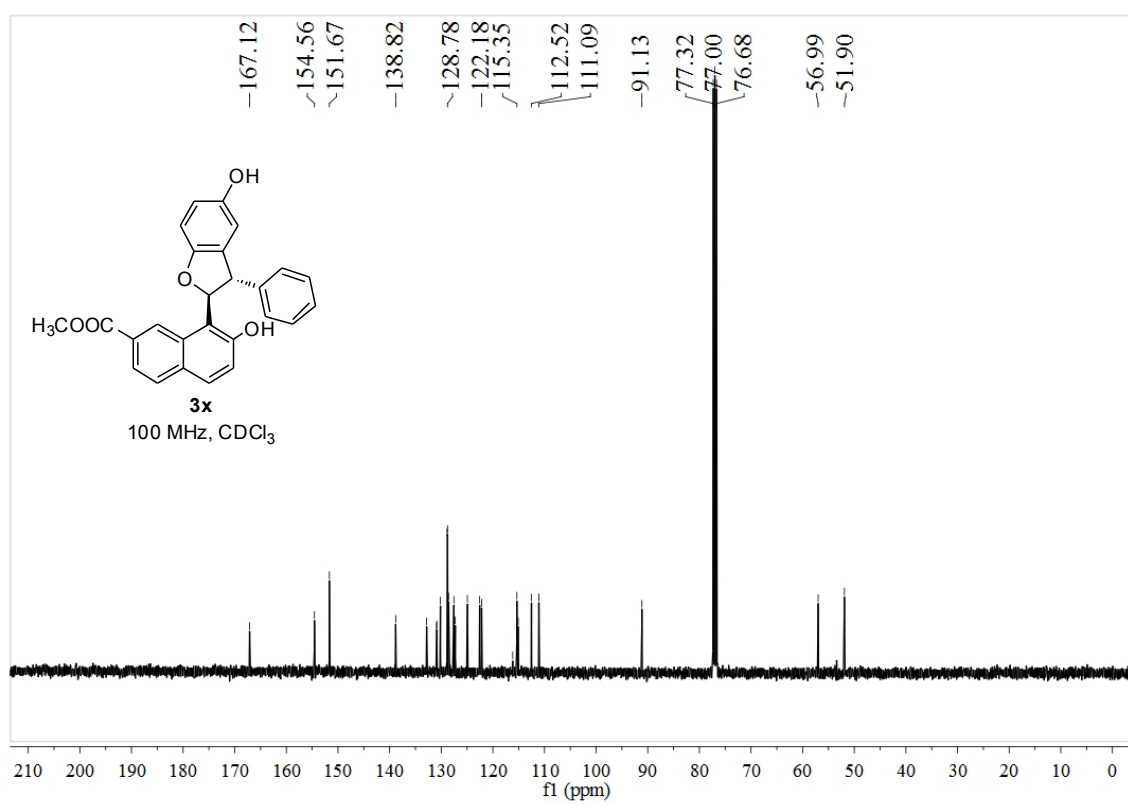
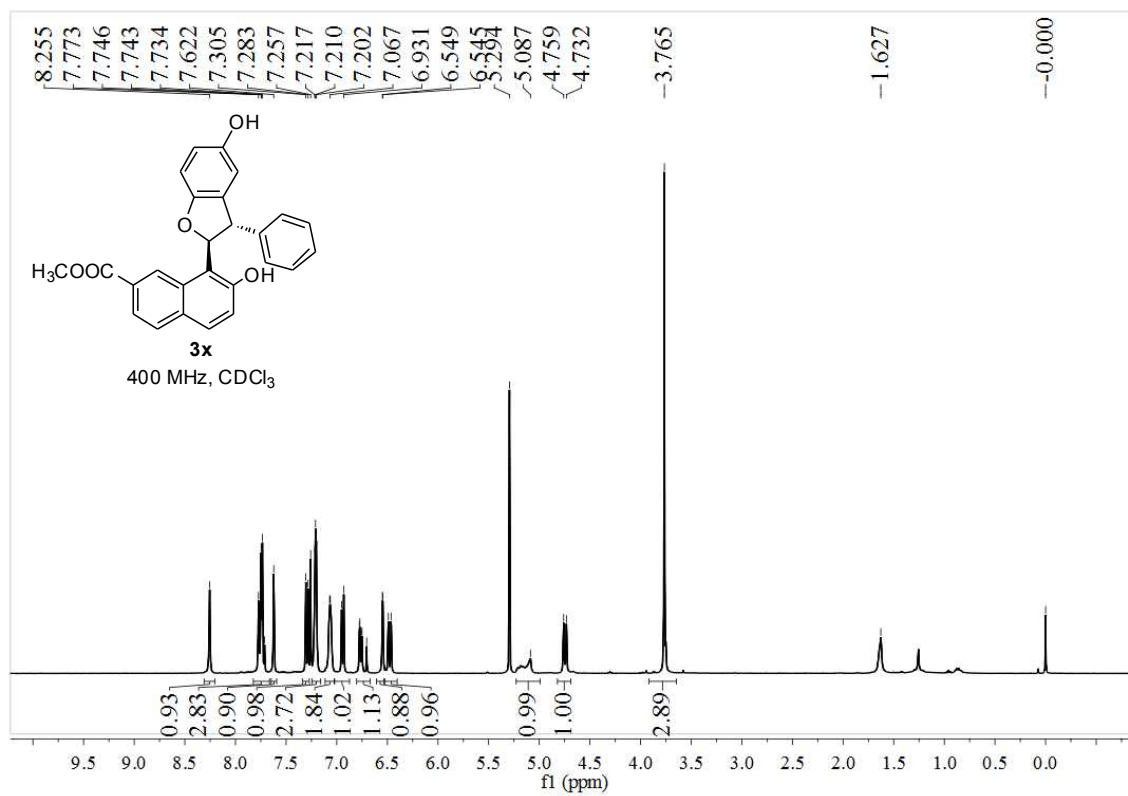


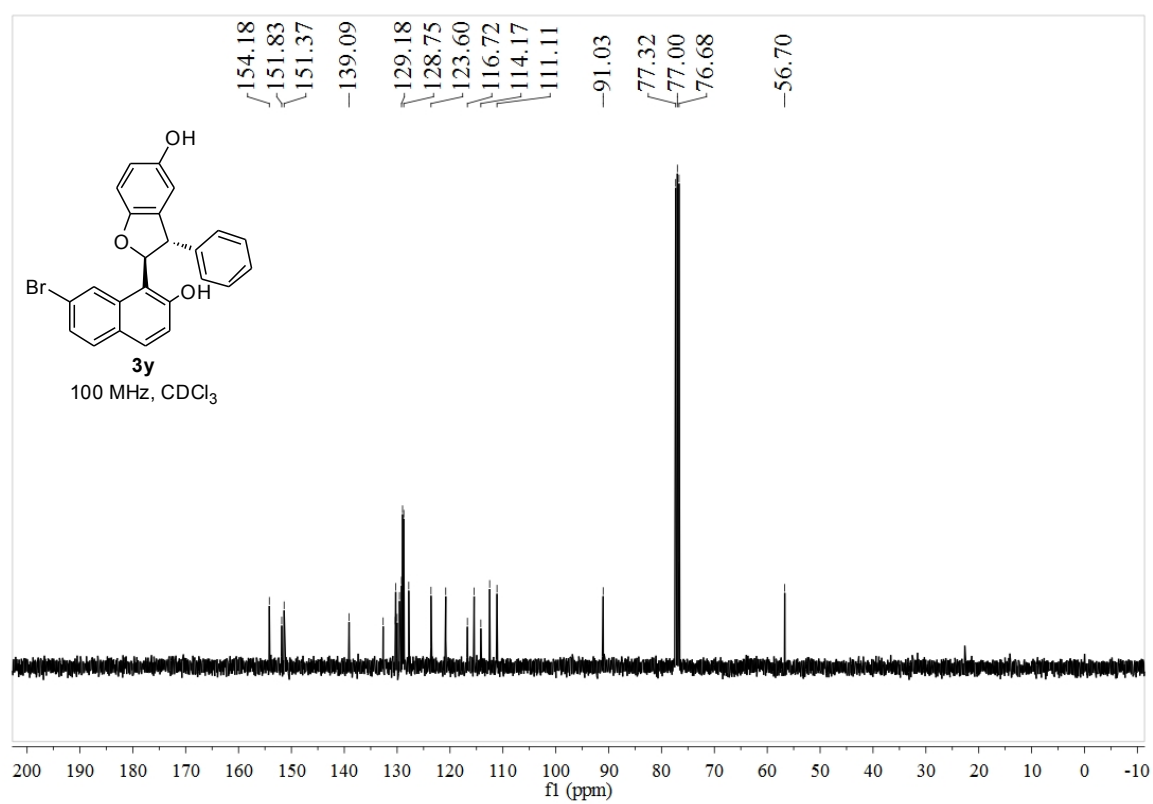
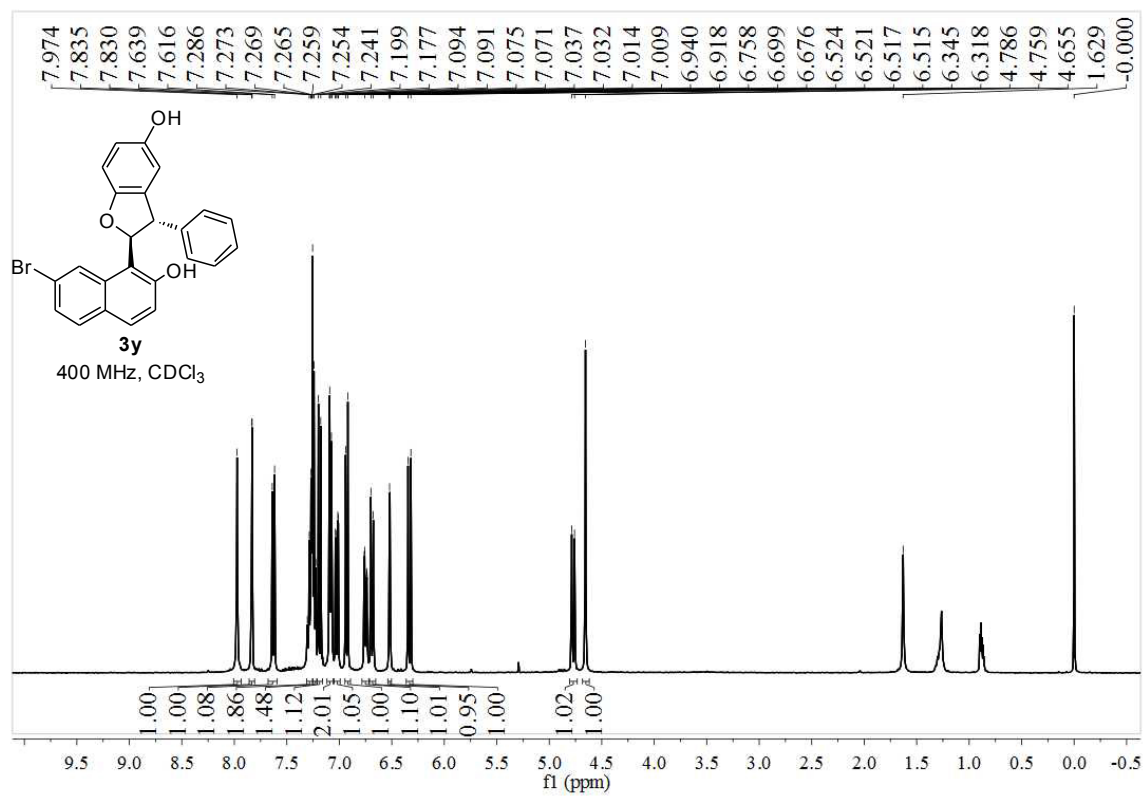


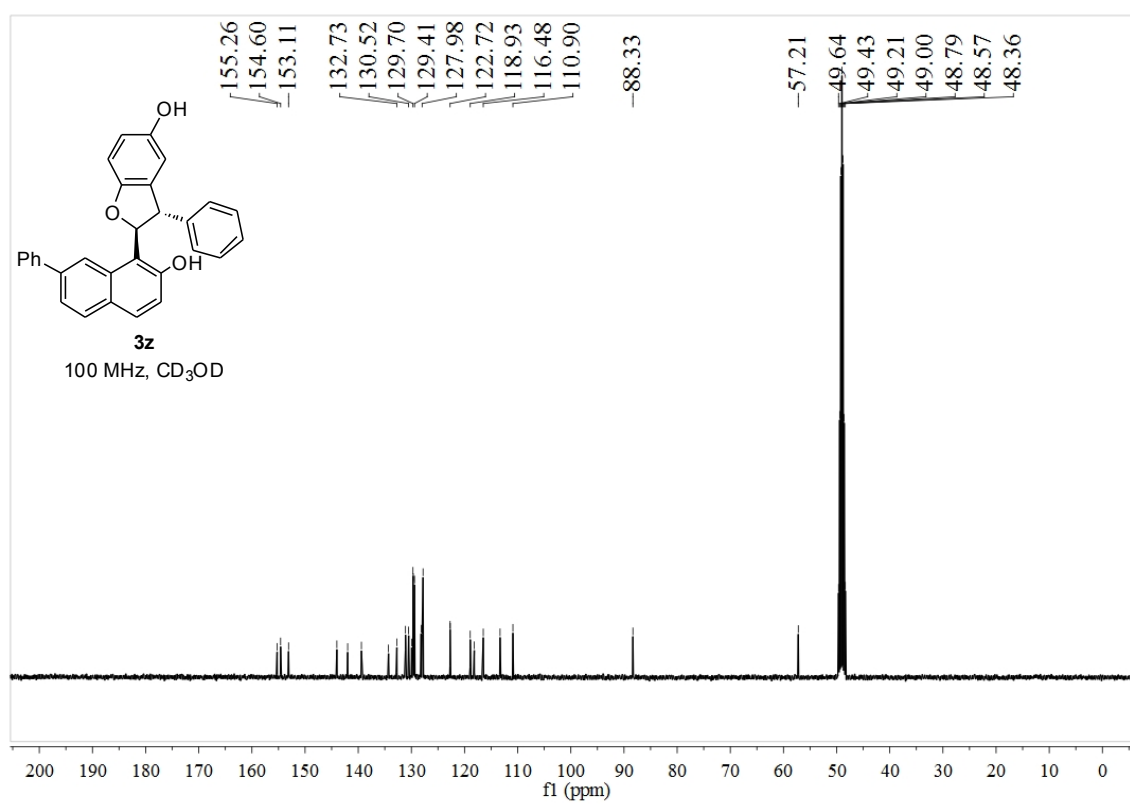
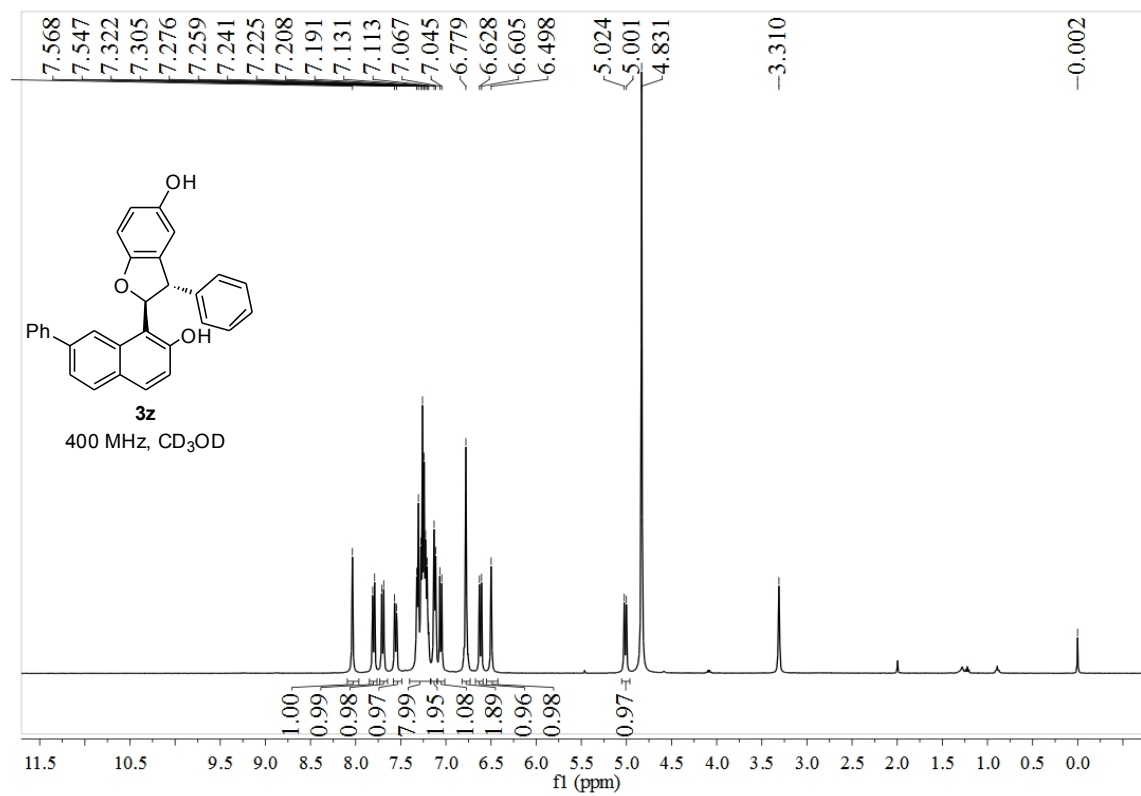


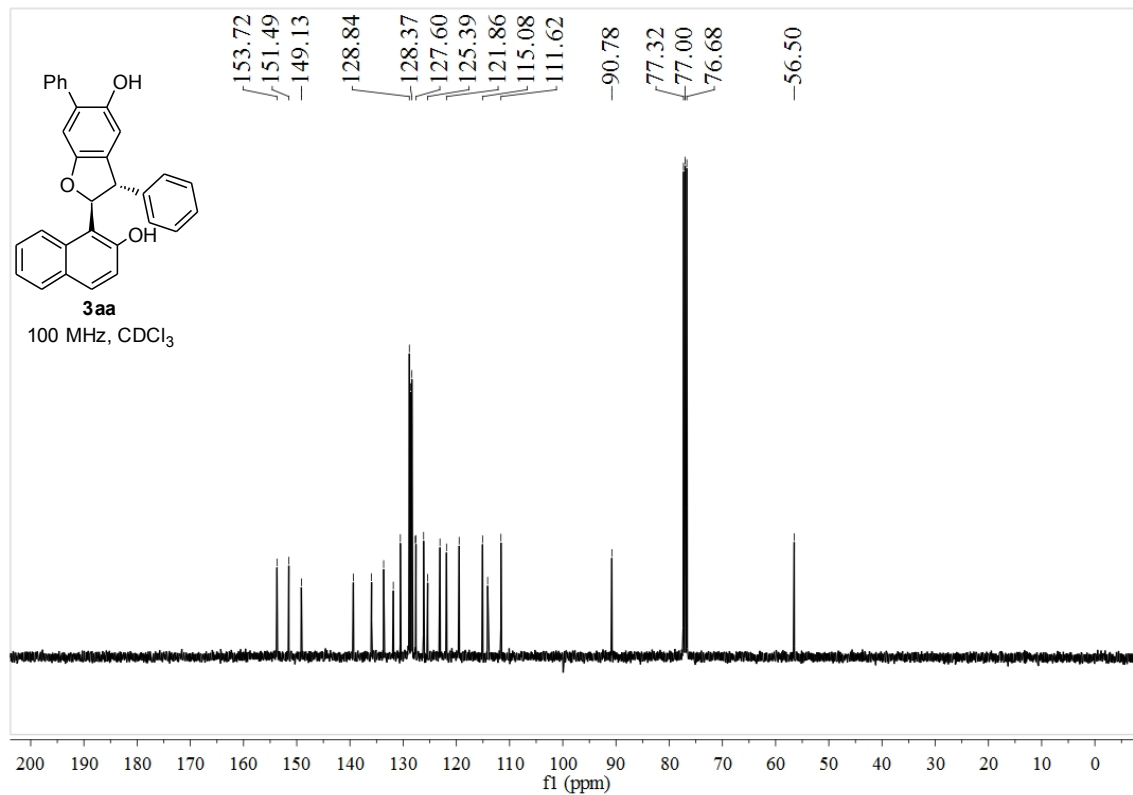
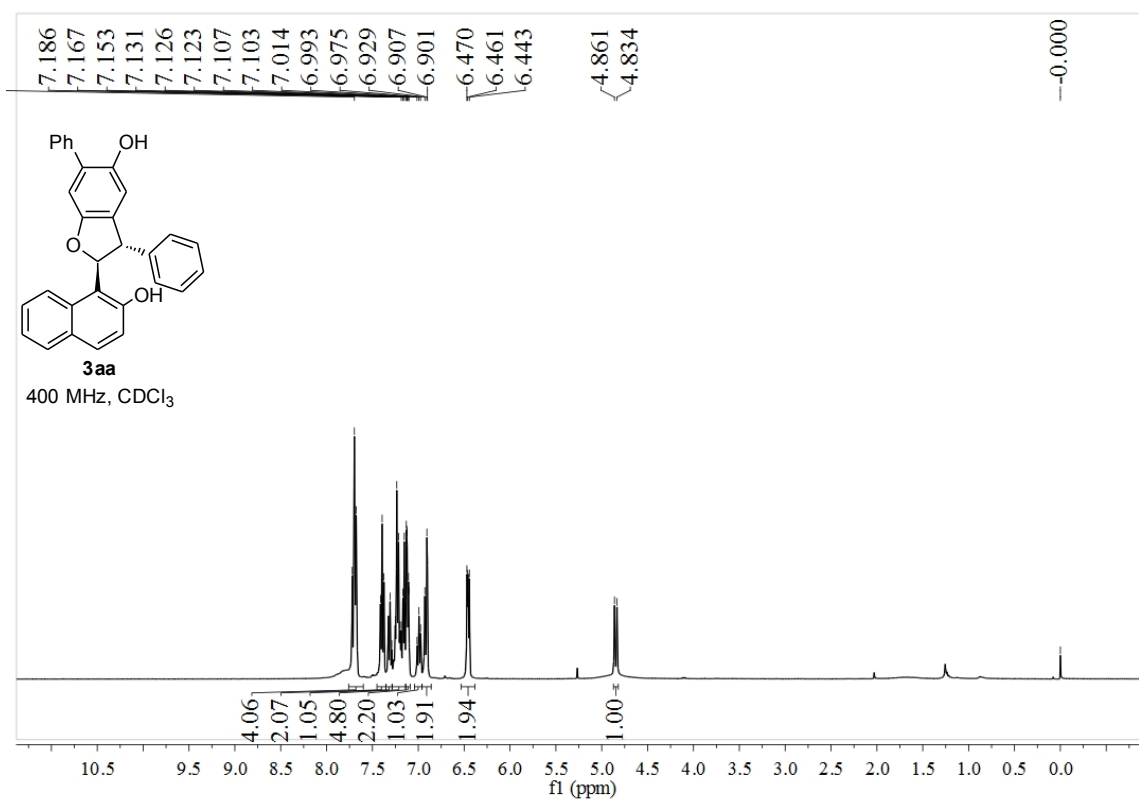


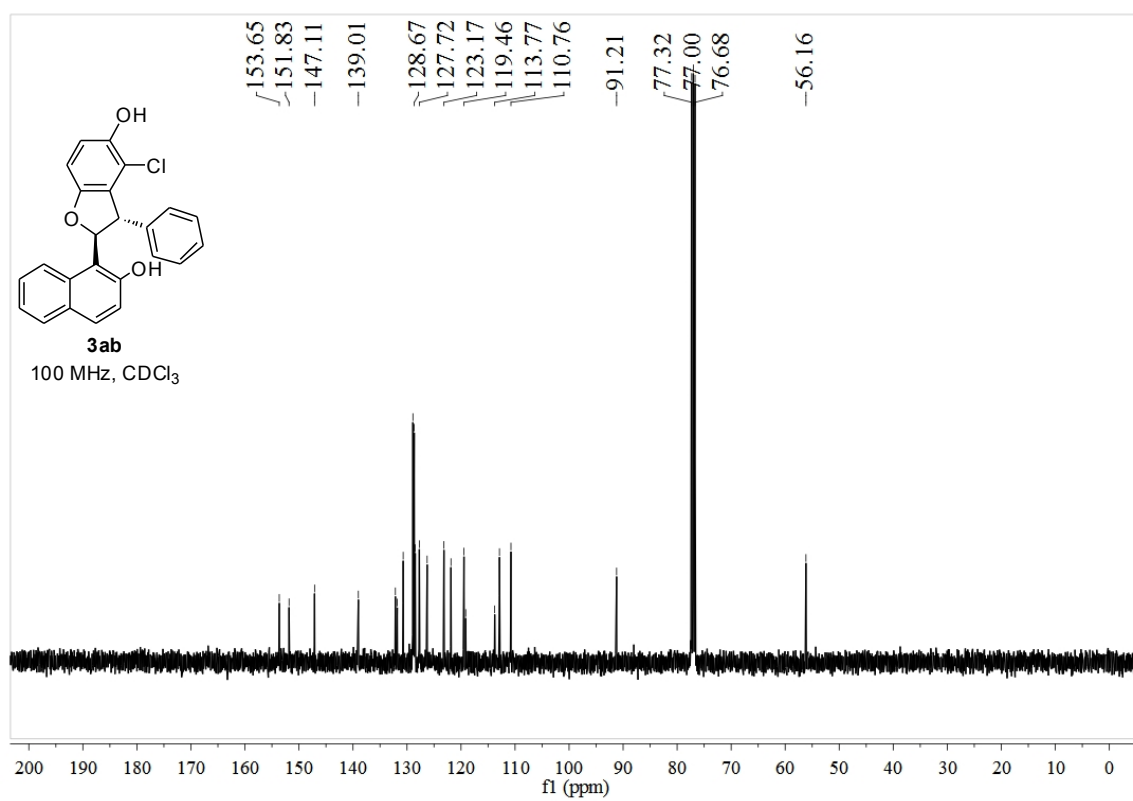
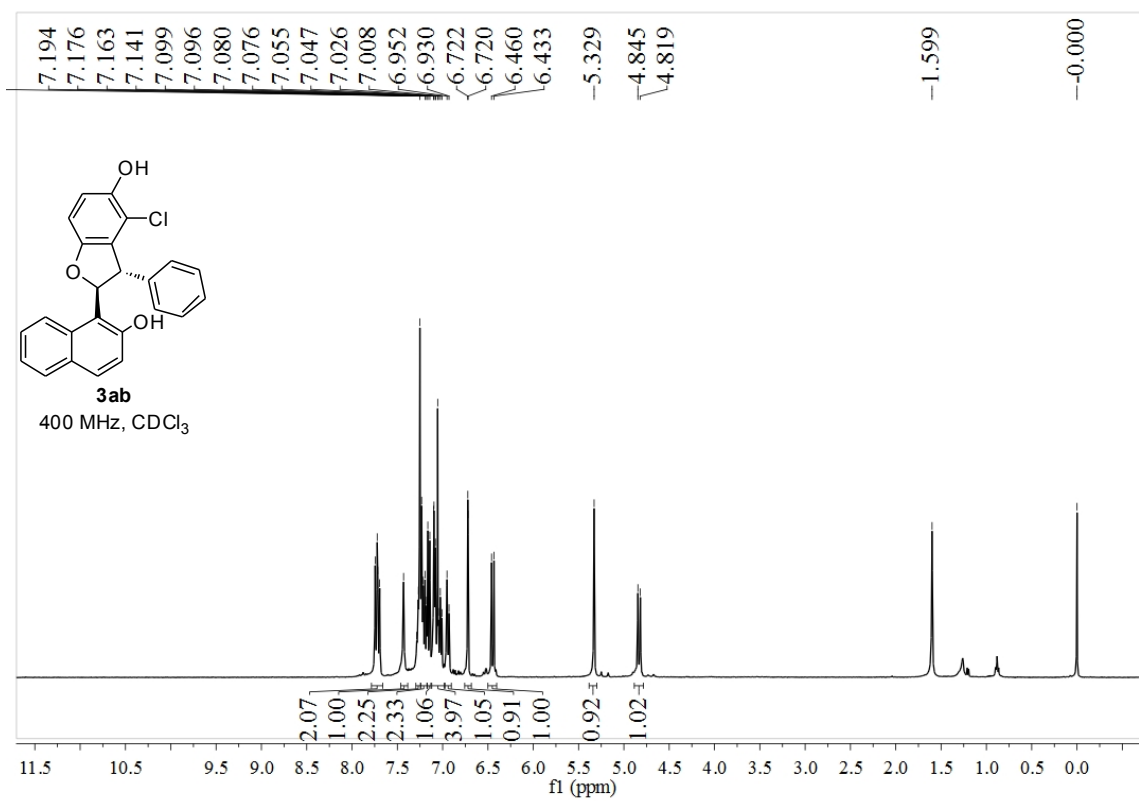


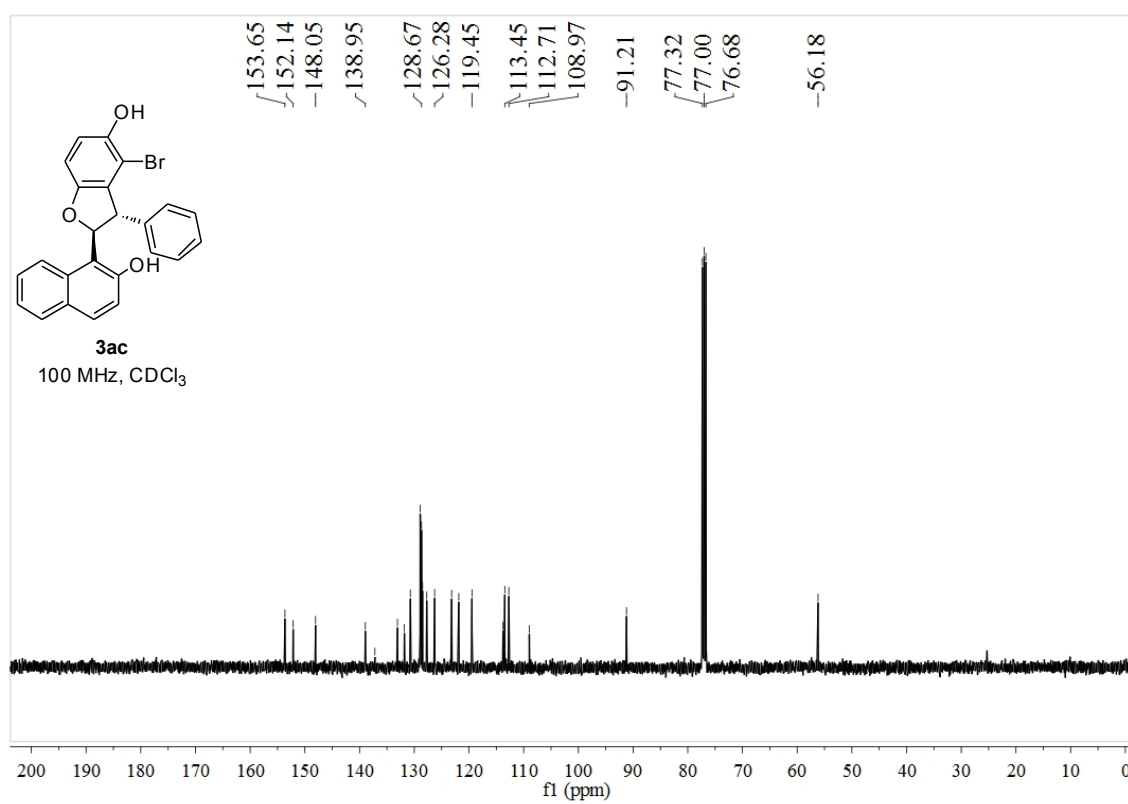
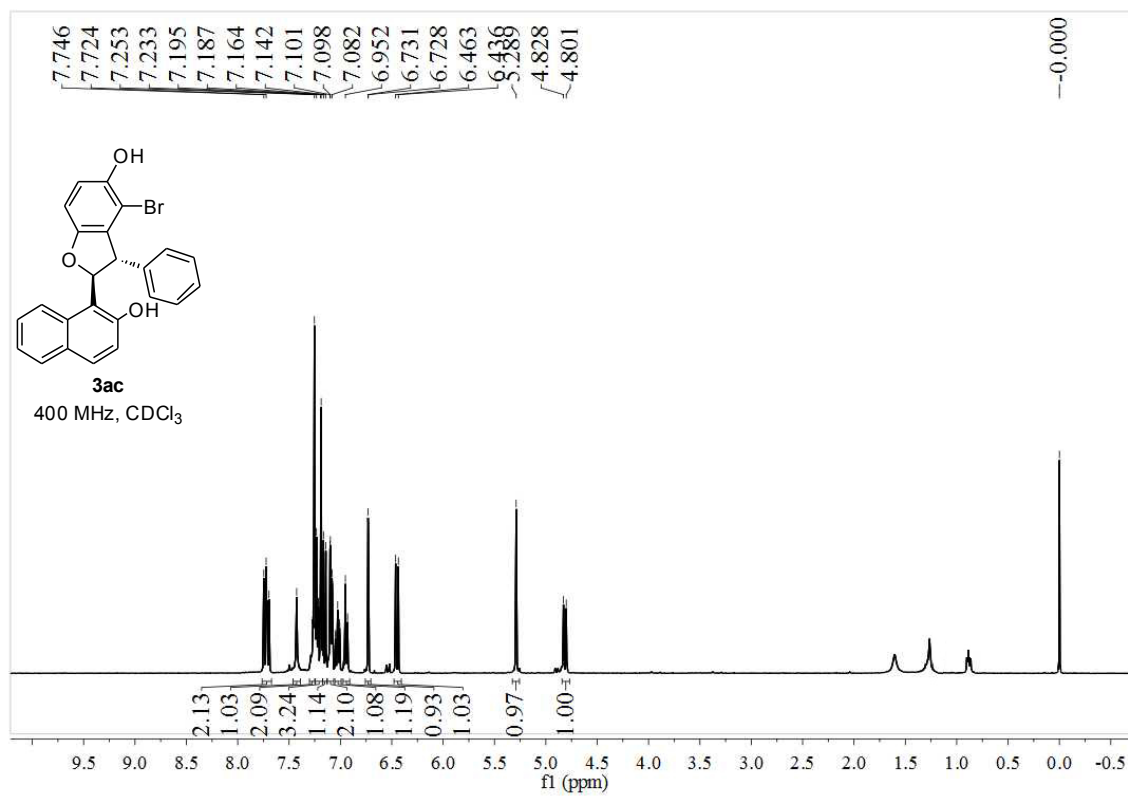












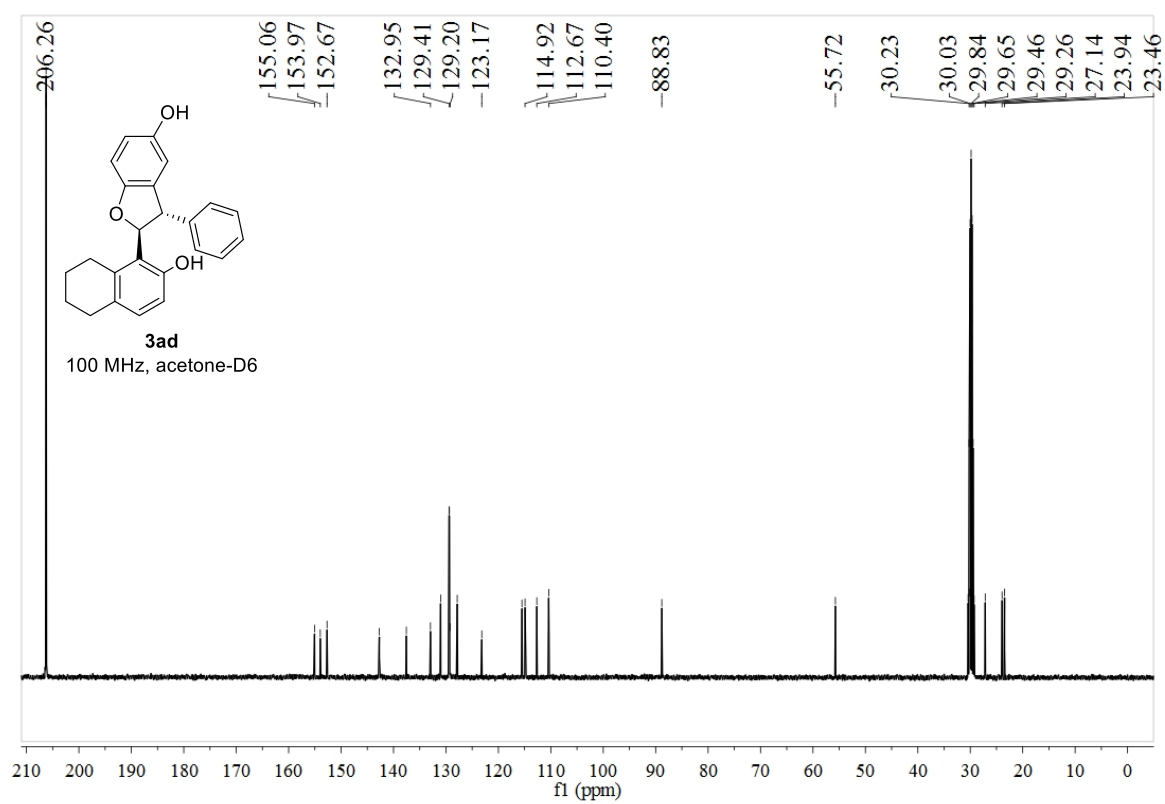
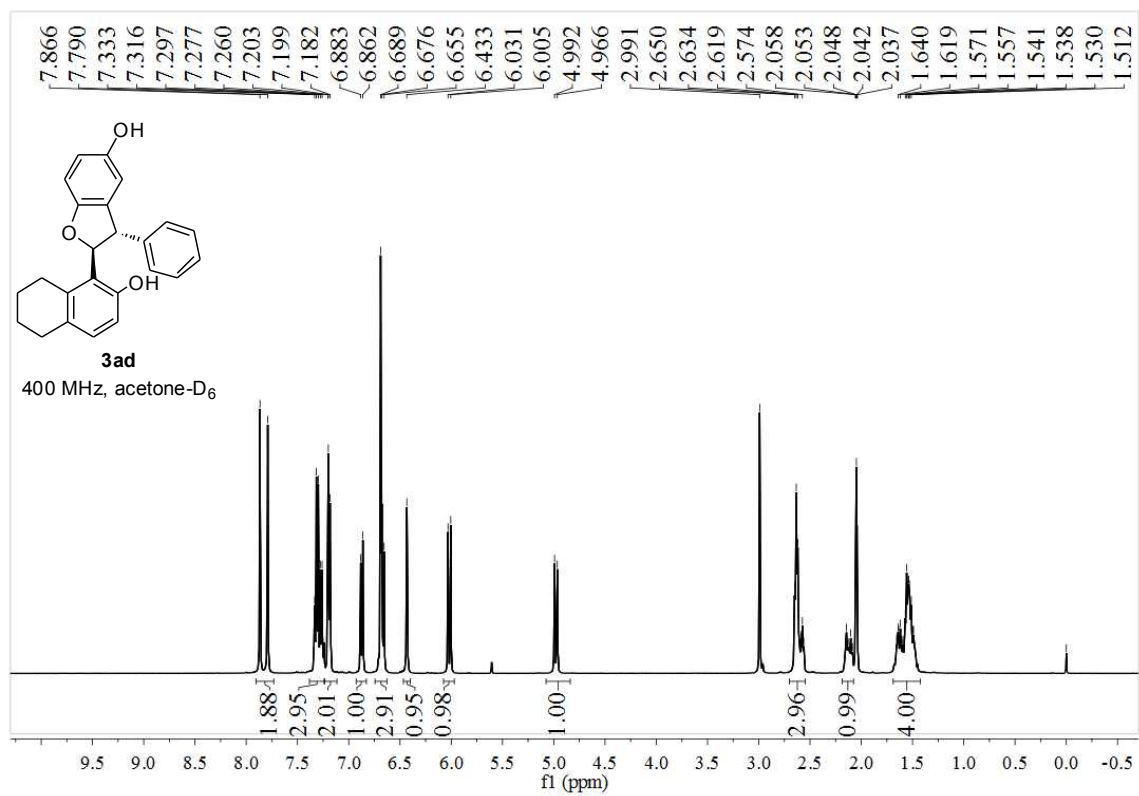


Figure S1. X-ray structure of 3h

