

# Supporting Information

## Urea Derivative Catalyzed Enantioselective Hydroxyalkylation of Hydroxyindoles with Isatins

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$^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra

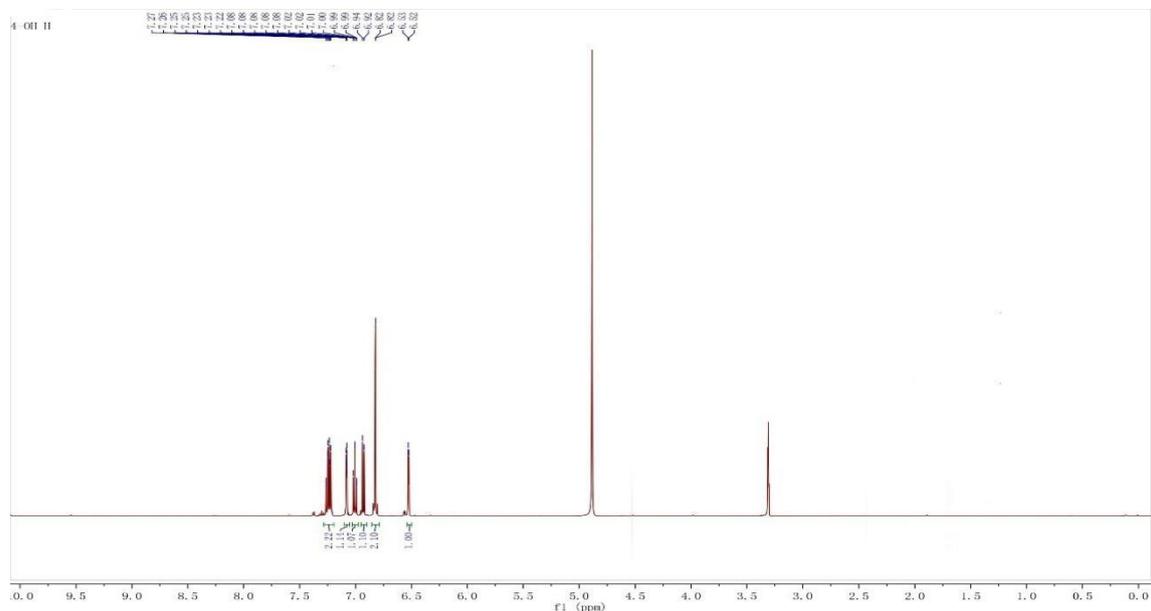
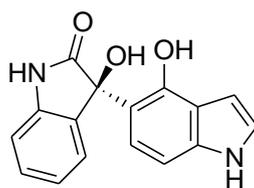


Figure S1.  $^1\text{H}$  NMR spectrum of **3a**

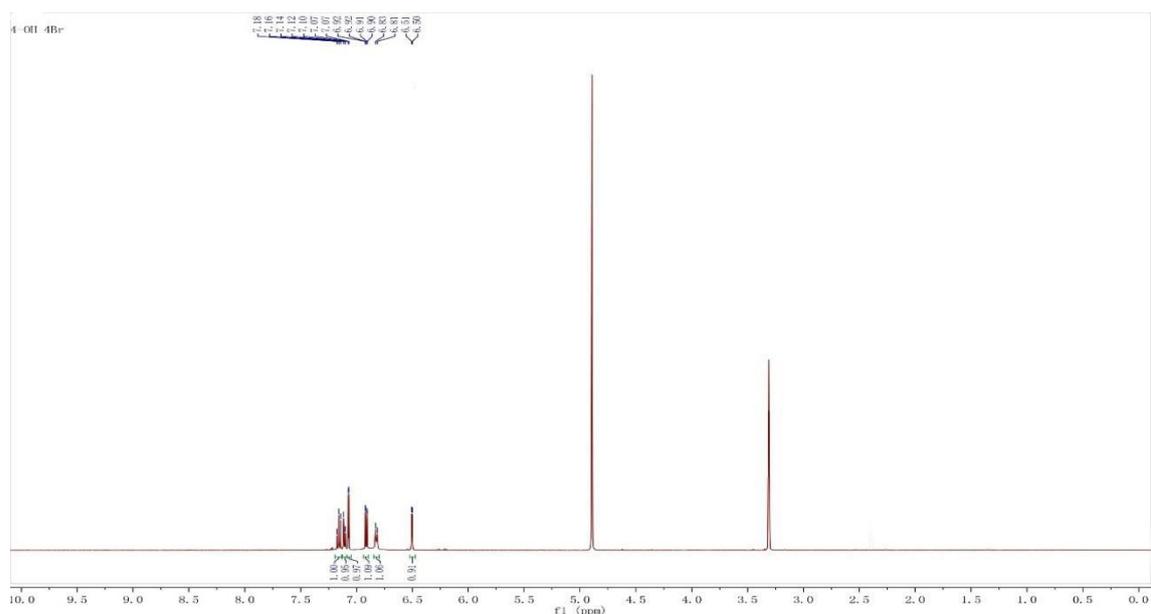
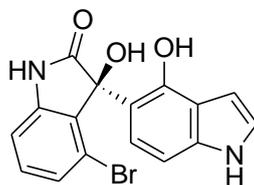


Figure S2.  $^1\text{H}$  NMR spectrum of **3b**

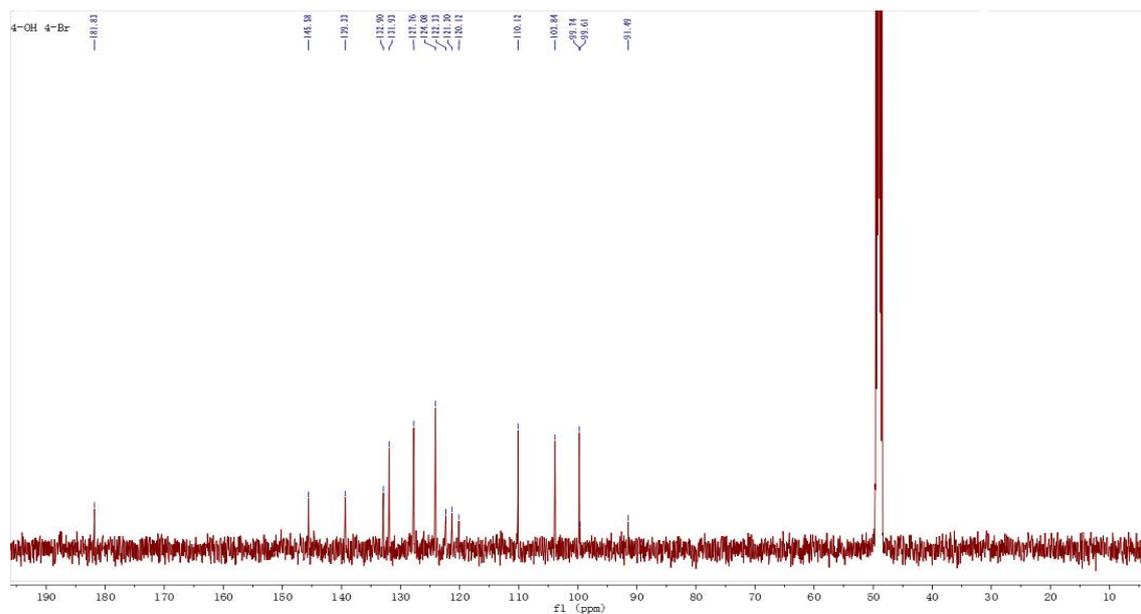


Figure S3.  $^{13}\text{C}$  NMR spectrum of **3b**

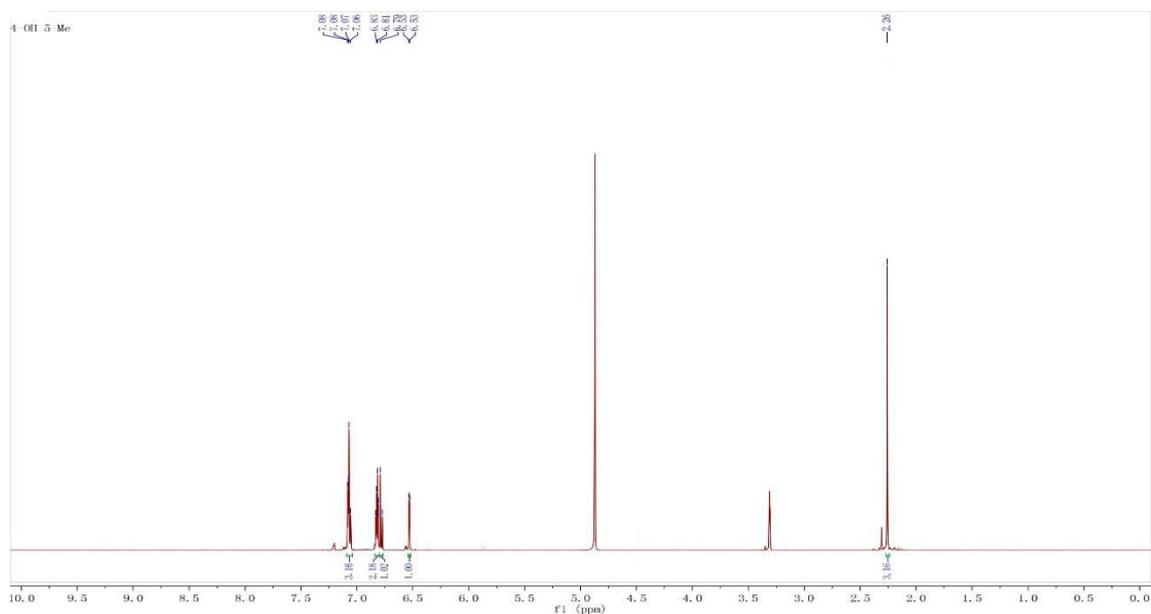
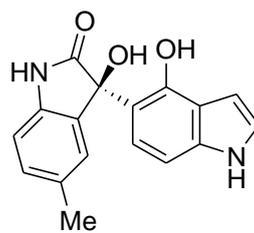


Figure S4.  $^1\text{H}$  NMR spectrum of **3c**

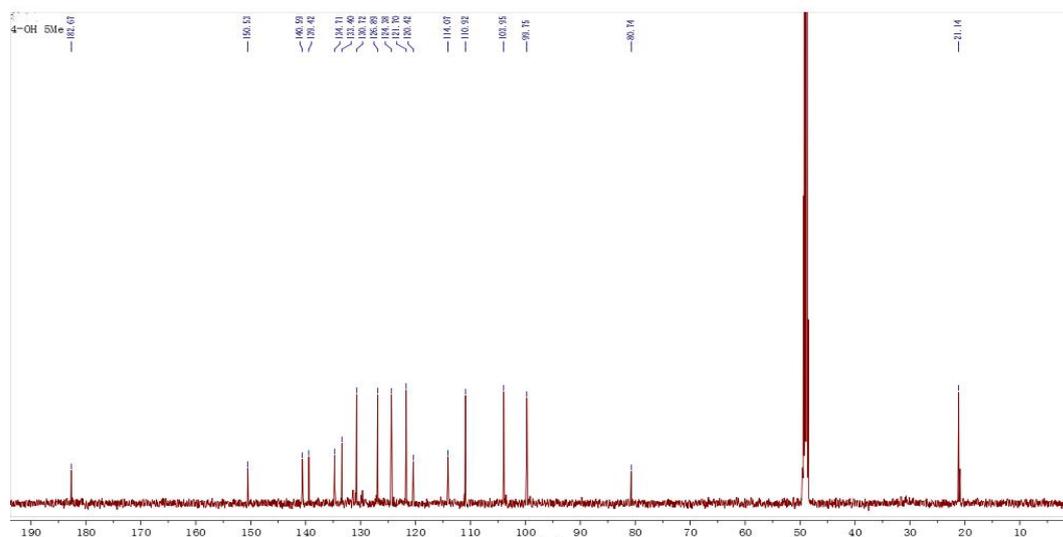


Figure S5.  $^{13}\text{C}$  NMR spectrum of **3c**

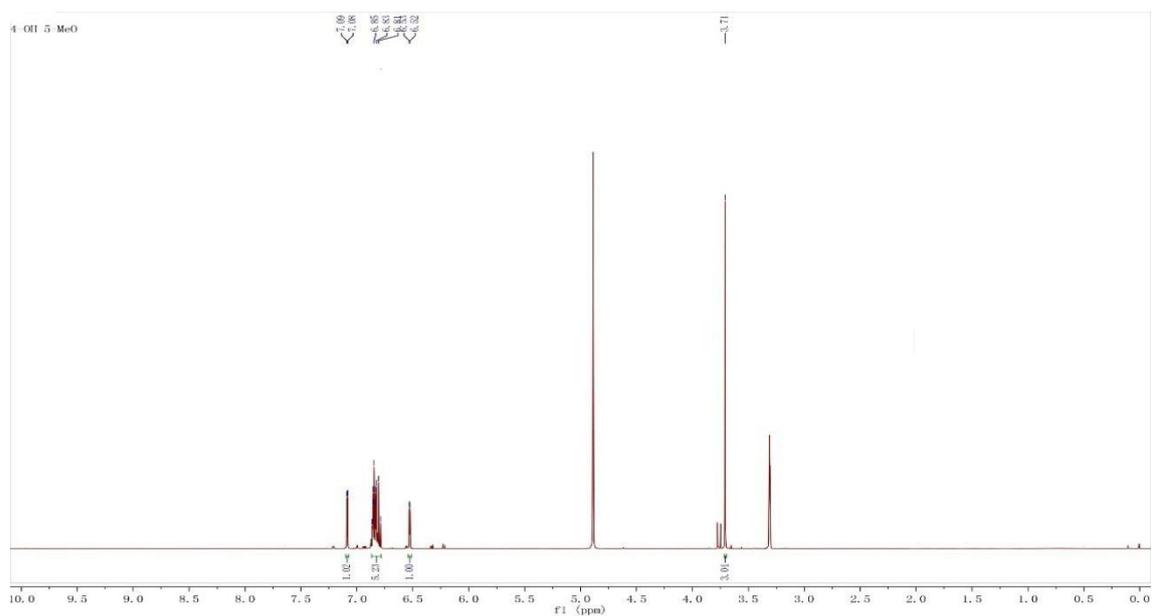
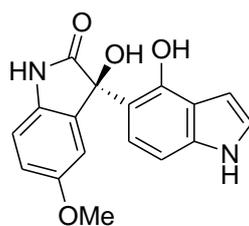


Figure S6.  $^1\text{H}$  NMR spectrum of **3d**



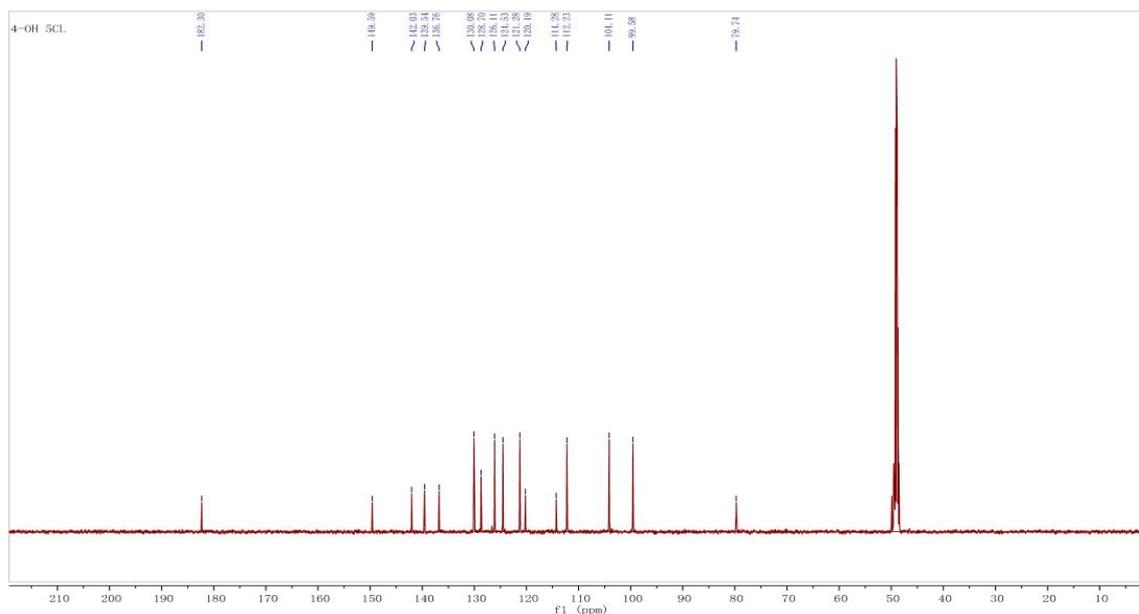


Figure S9.  $^{13}\text{C}$  NMR spectrum of **3e**

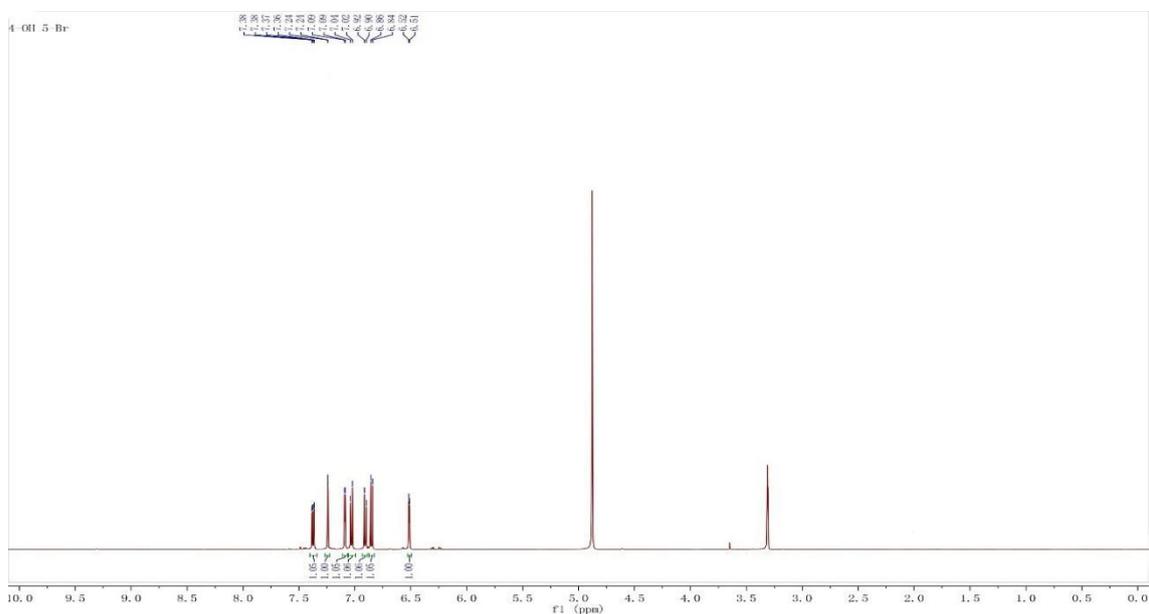
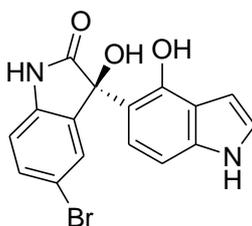
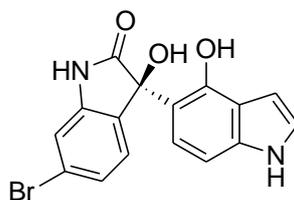


Figure S10  $^1\text{H}$  NMR spectrum of **3f**



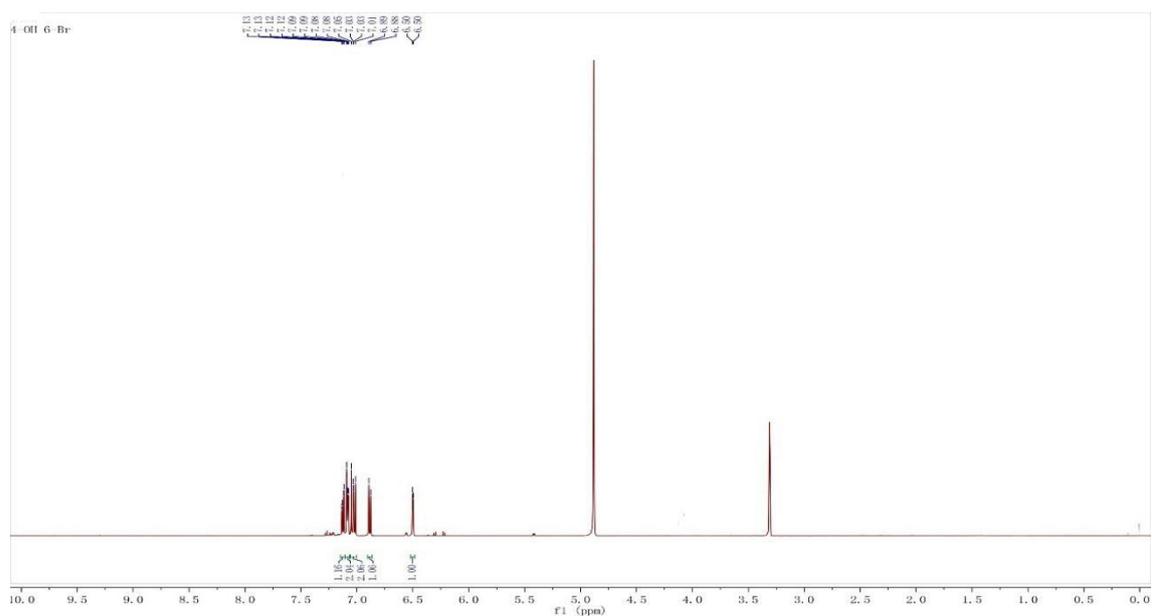


Figure S11.  $^1\text{H}$  NMR spectrum of **3g**

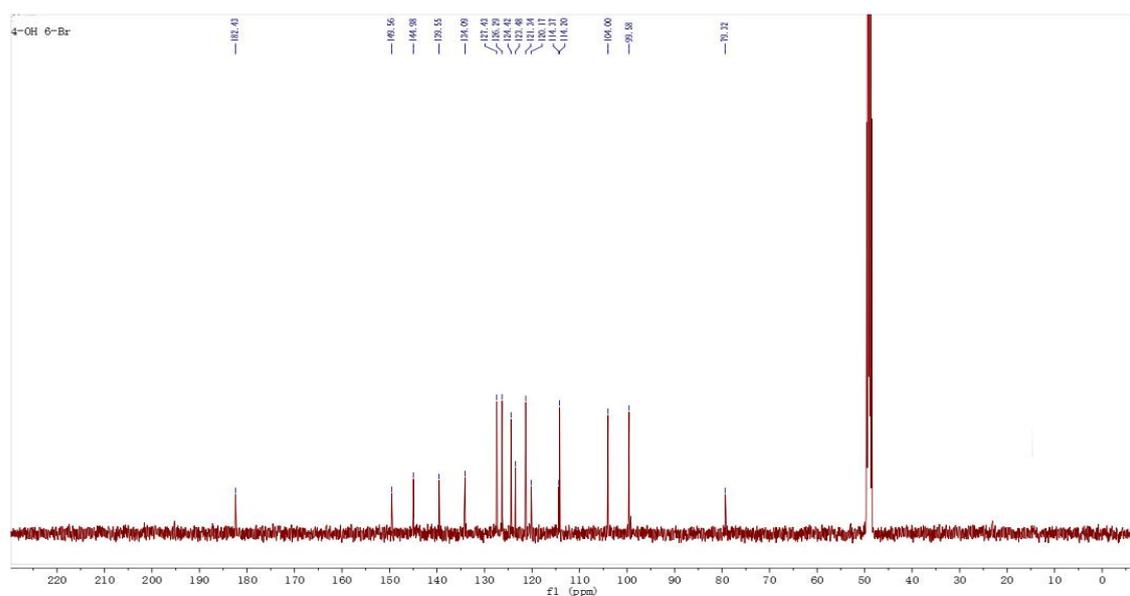
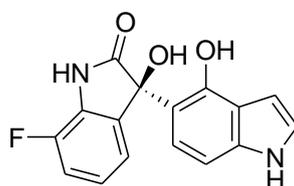


Figure S12.  $^{13}\text{C}$  NMR spectrum of **3g**









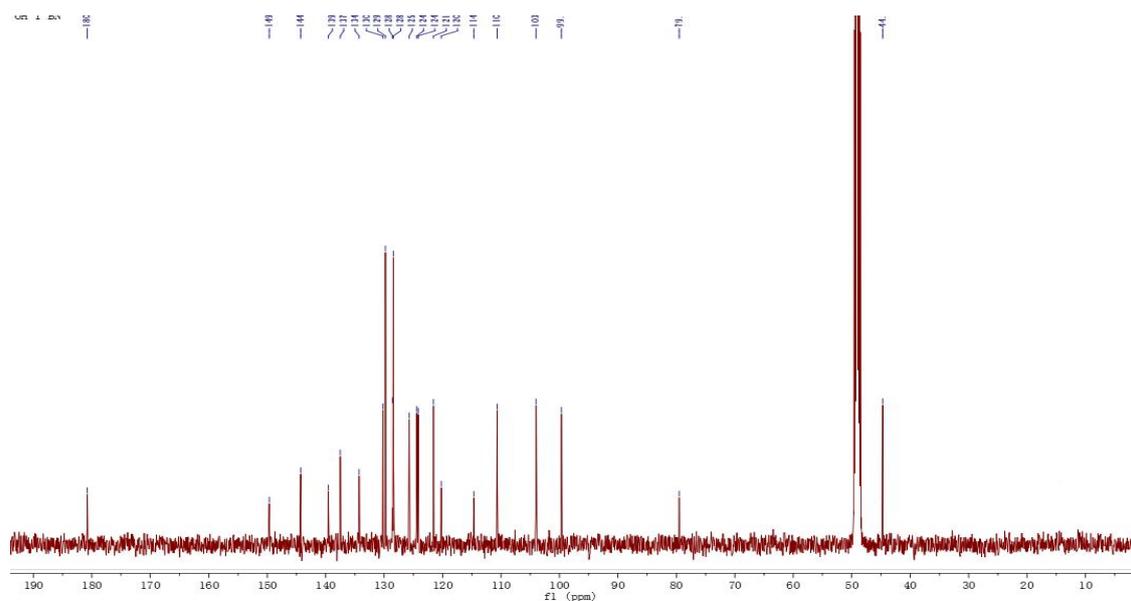


Figure S19.  $^{13}\text{C}$  NMR spectrum of **3k**

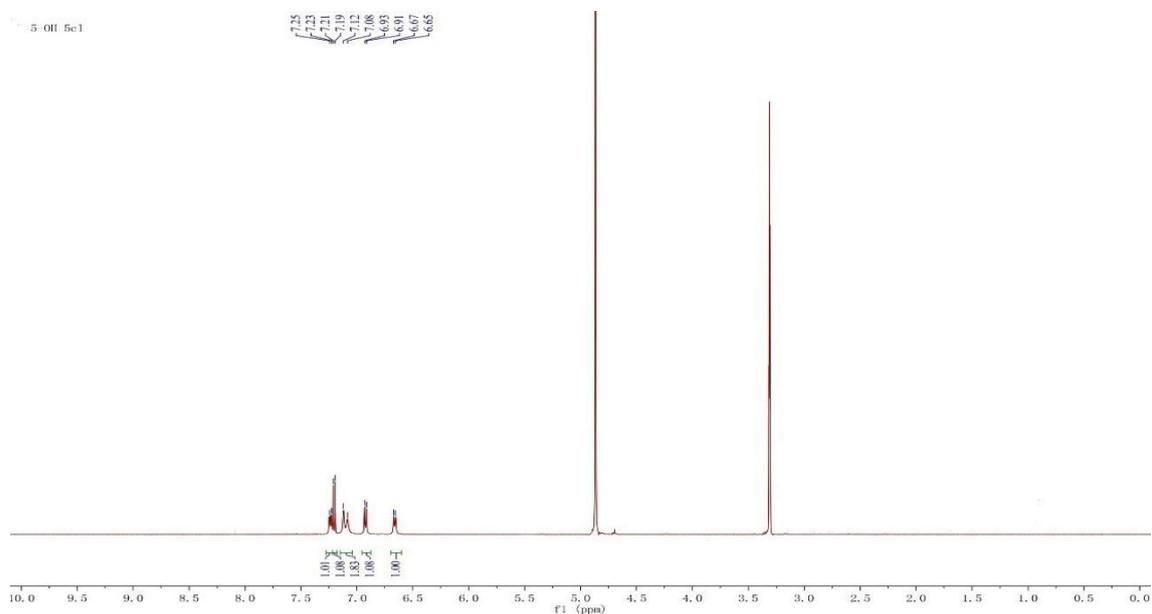
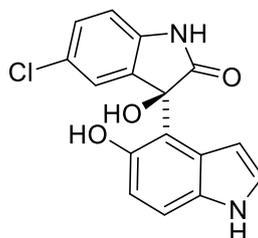


Figure S20.  $^1\text{H}$  NMR spectrum of **4**

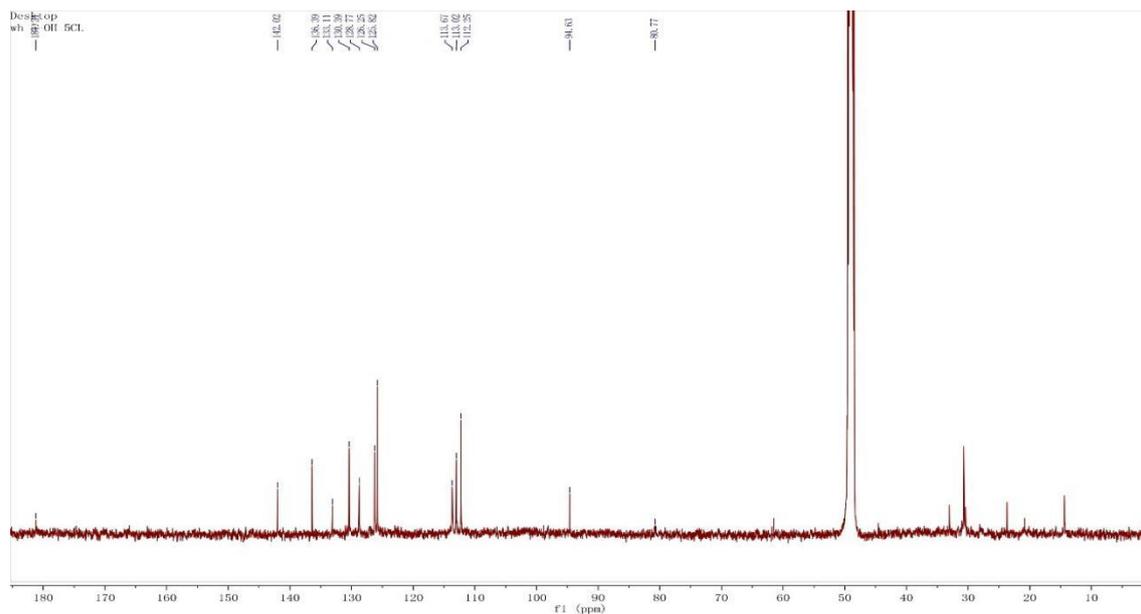


Figure S21.  $^{13}\text{C}$  NMR spectrum of **4**

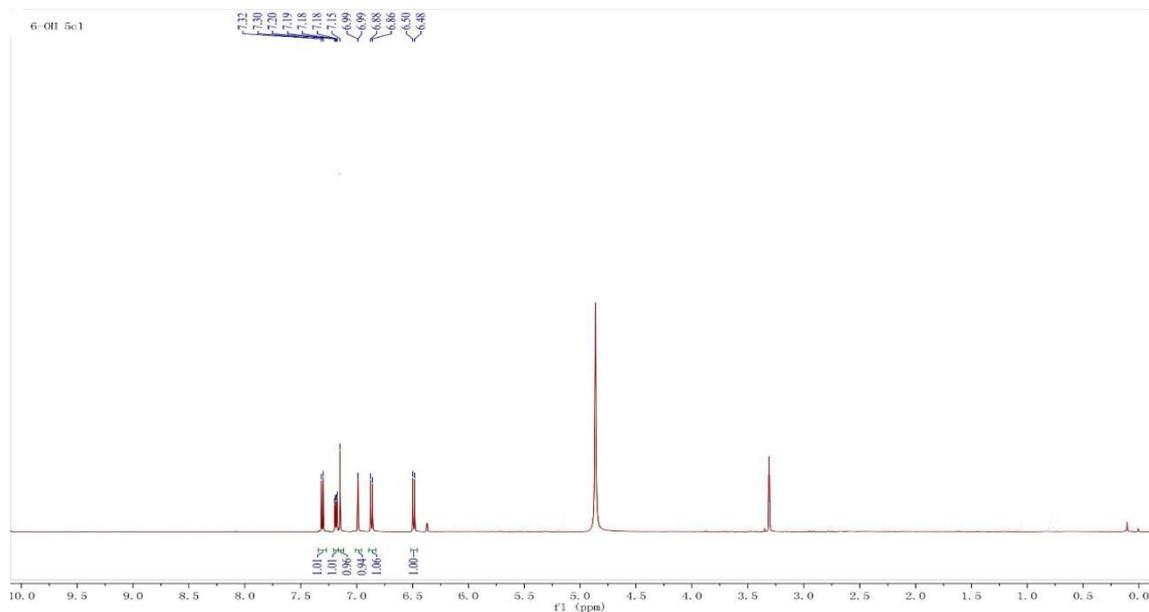
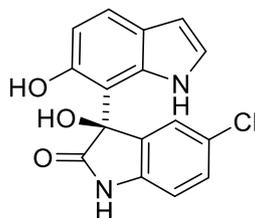


Figure S22.  $^1\text{H}$  NMR spectrum of **5**

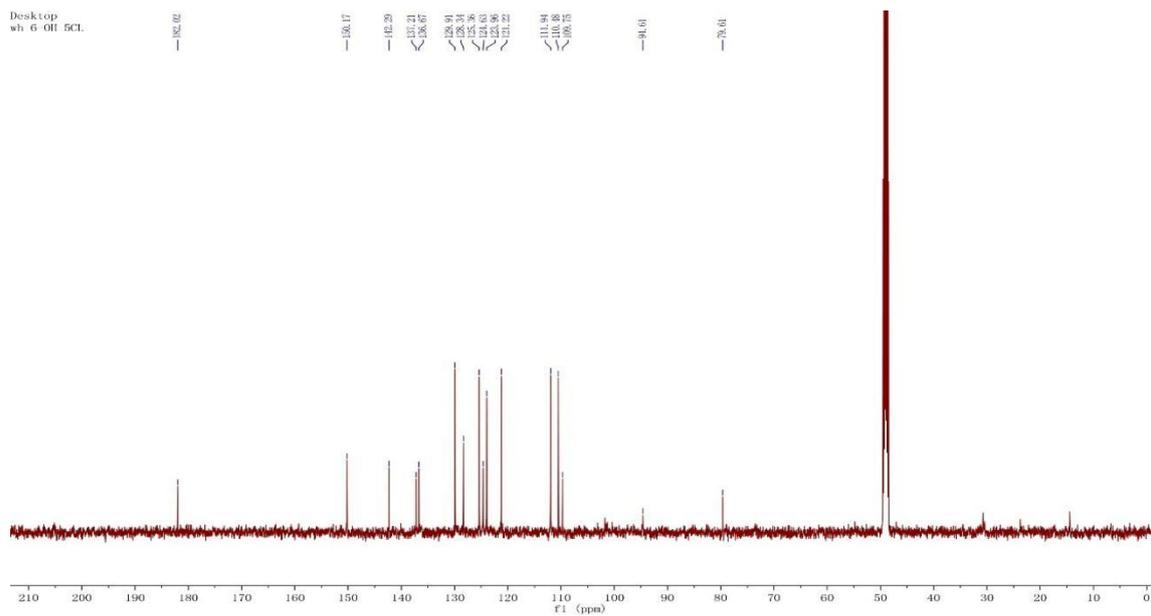


Figure S23.  $^{13}\text{C}$  NMR spectrum of **5**

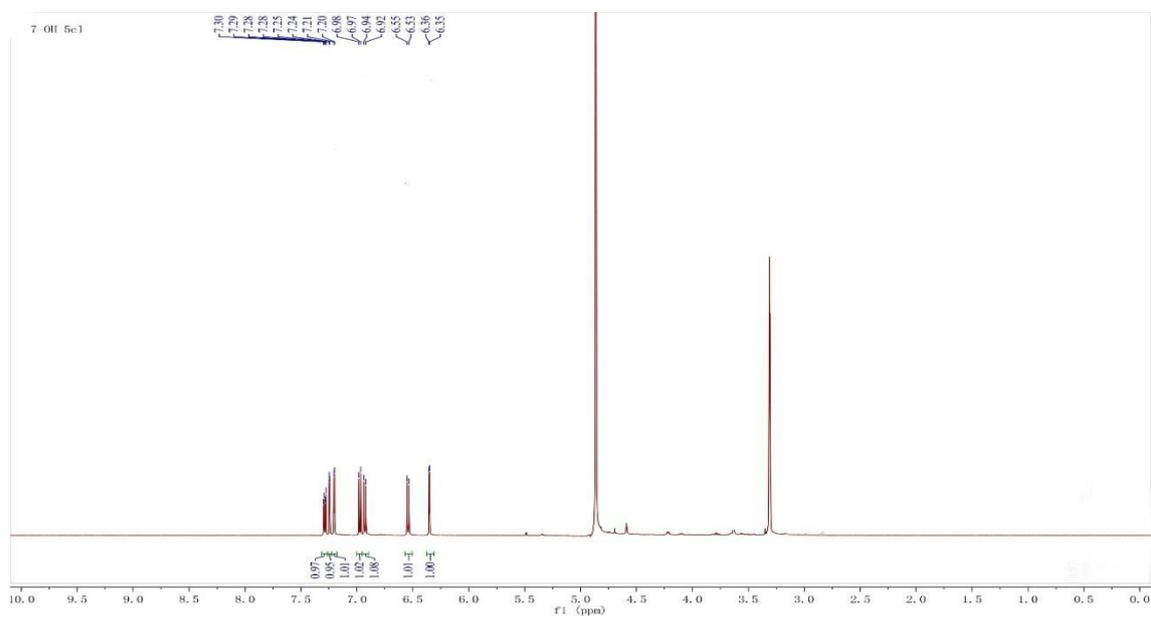
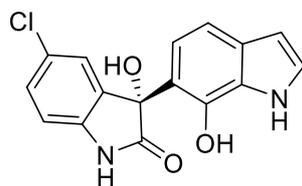


Figure S24.  $^1\text{H}$  NMR spectrum of **6**

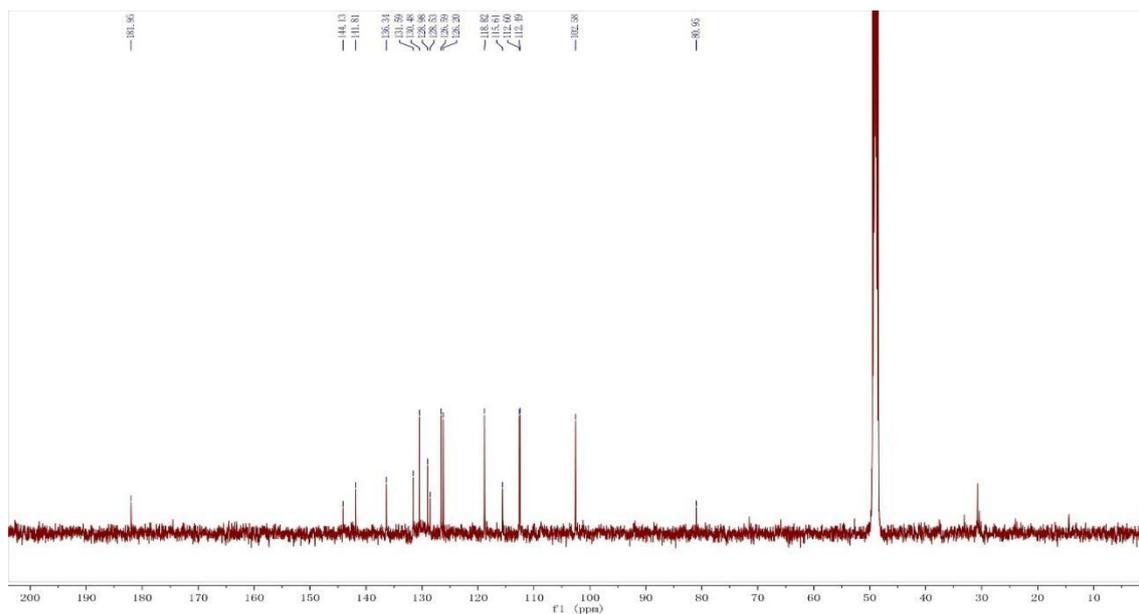


Figure S25.  $^{13}\text{C}$  NMR spectrum of **6**  
HPLC trace

HPLC trace of **3a** in different solvent at rt:

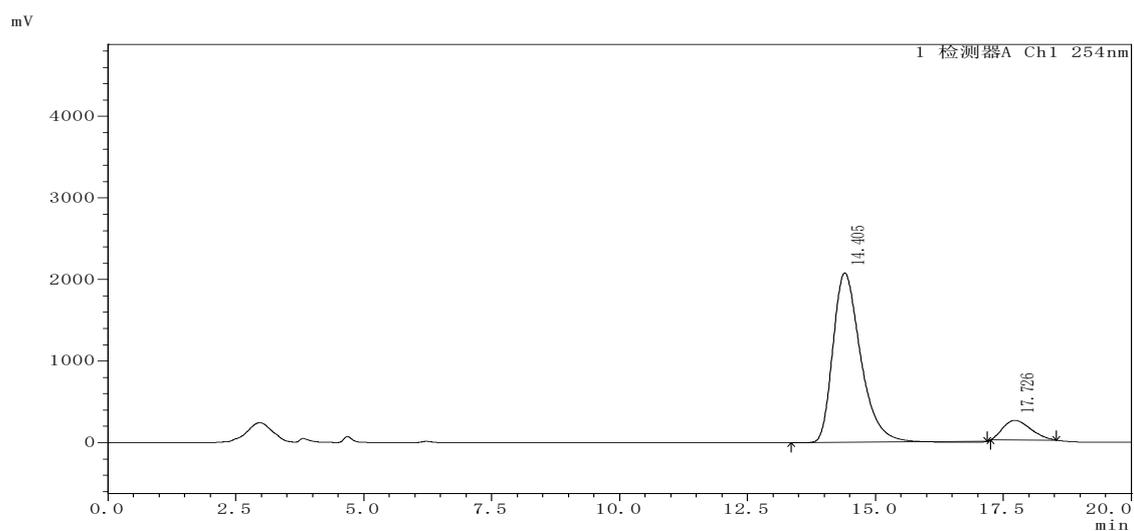


Figure S26. HPLC trace of enantiomeric **3a** with  $\text{Et}_2\text{O}$  as solvent

	Retention Time	Area	Area percent
1	14.405	76971273	89.372
2	17.726	9153706	10.628
Total		86124978	100.000

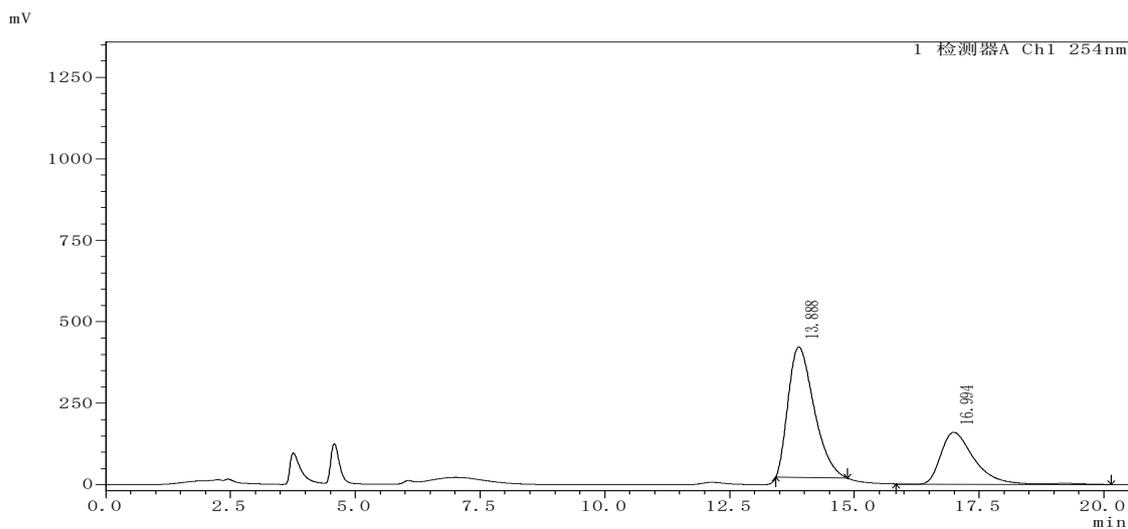


Figure S27. HPLC trace of enantiomeric **3a** with **DCM** as solvent

	Retention Time	Area	Area percent
1	13.888	14547047	66.165
2	16.994	7438845	33.835
Total		21985893	100.000

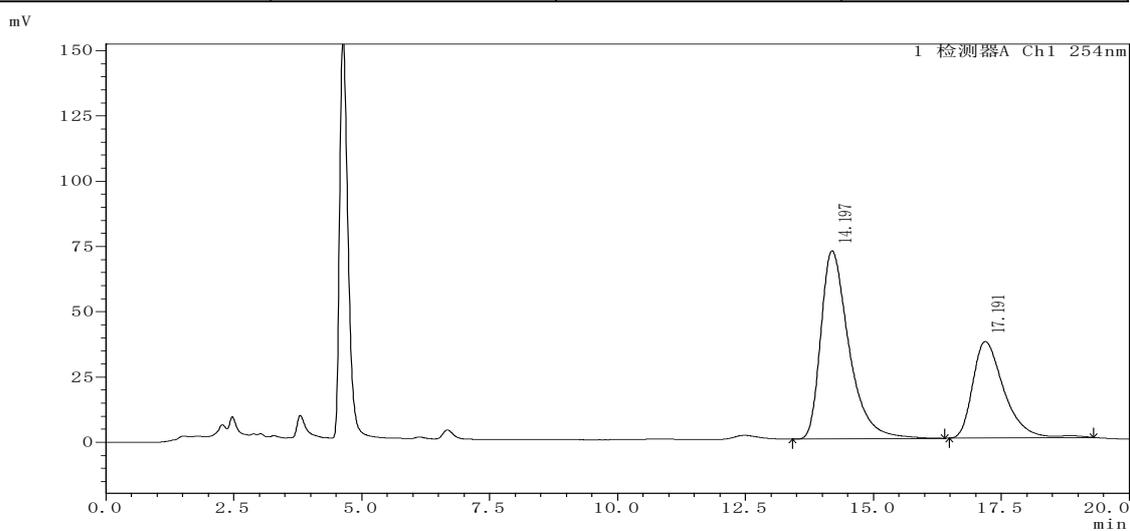


Figure S28. HPLC trace of enantiomeric **3a** with **toluene** as solvent

	Retention Time	Area	Area percent
1	14.197	2767222	63.789
2	17.191	1570894	36.211
Total		4338116	100.000

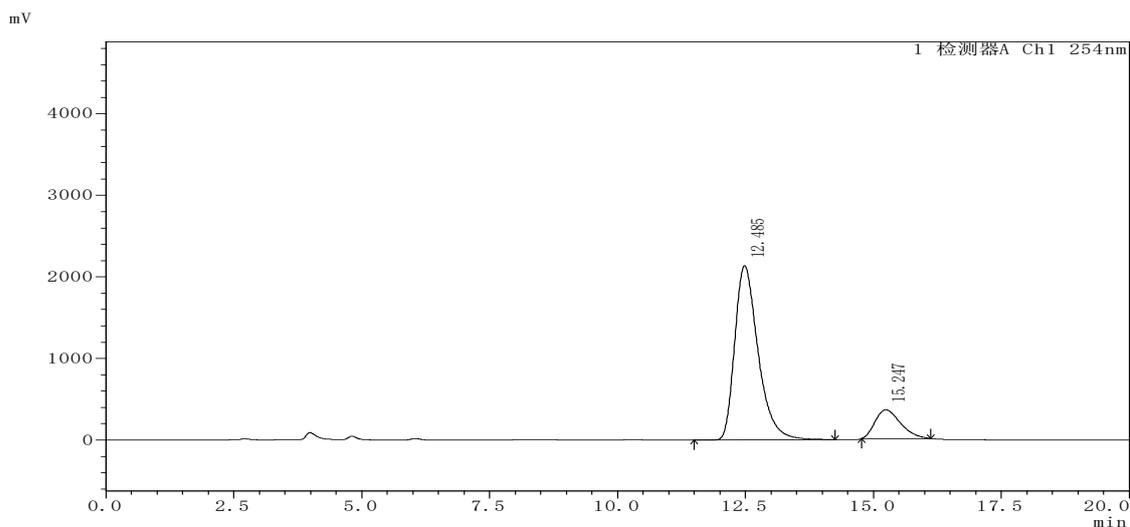
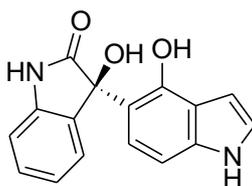


Figure S29. HPLC trace of enantiomeric **3a** with THF as solvent

	Retention Time	Area	Area percent
1	12.485	67726383	84.658
2	15.247	12273243	15.342
Total		79999626	100.000

HPLC trace of **3a-k** and **4,5,6** at 0 °C



**3a**

3-Hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)indolin-2-one

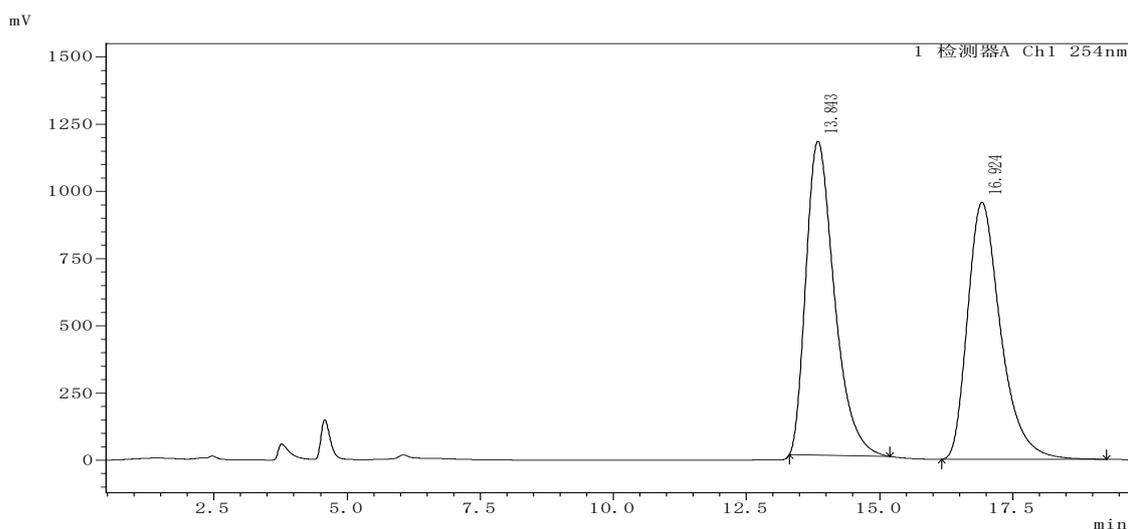


Figure S30. HPLC trace of racemic **3a**

	Retention Time	Area	Area percent
1	13.843	43424937	51.239
2	16.924	41324222	48.761
Total		84749159	100.000

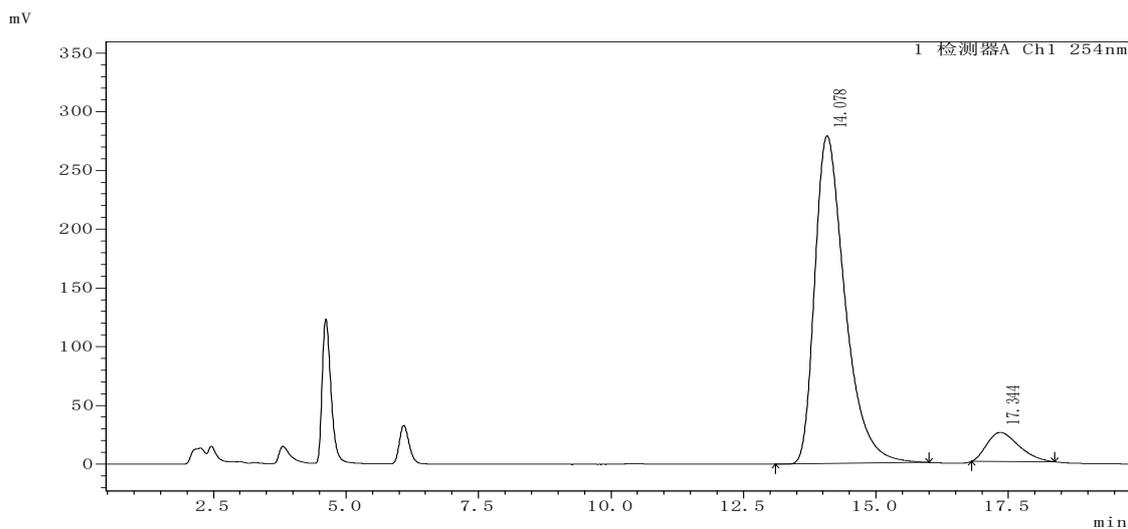
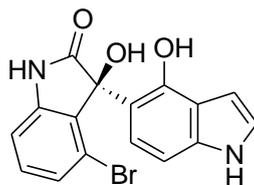


Figure S31. HPLC trace of enantiomeric **3a**

	Retention Time	Area	Area percent
1	14.078	11057977	91.348
2	17.344	1047397	8.652
Total		12105374	100.000



**3b**

4-bromo-3-hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)indolin-2-one

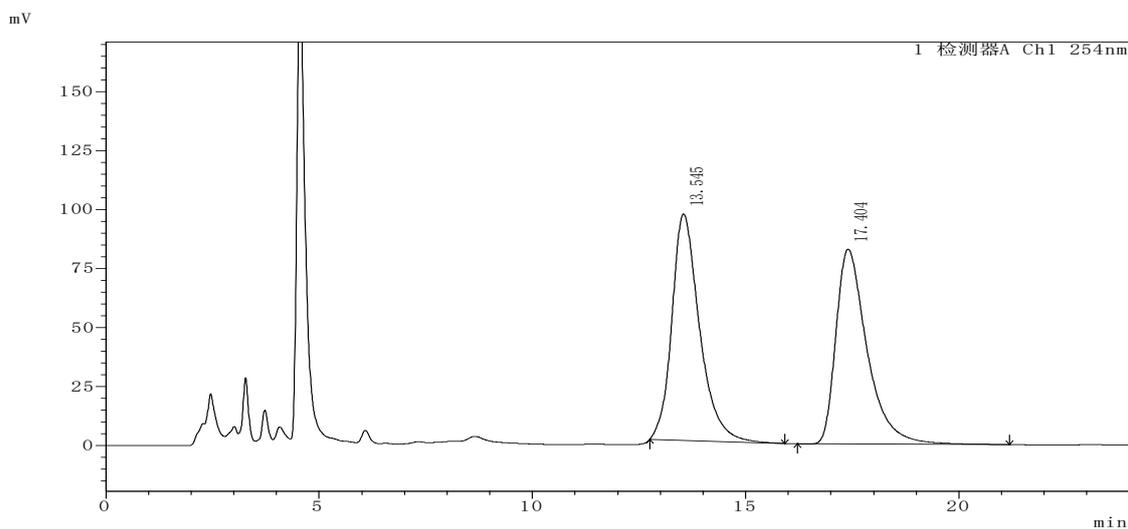


Figure S32. HPLC trace of racemic **3b**

	Retention Time	Area	Area percent
1	13.545	4338091	50.833
2	17.404	4195873	49.167
Total		8533964	100.000

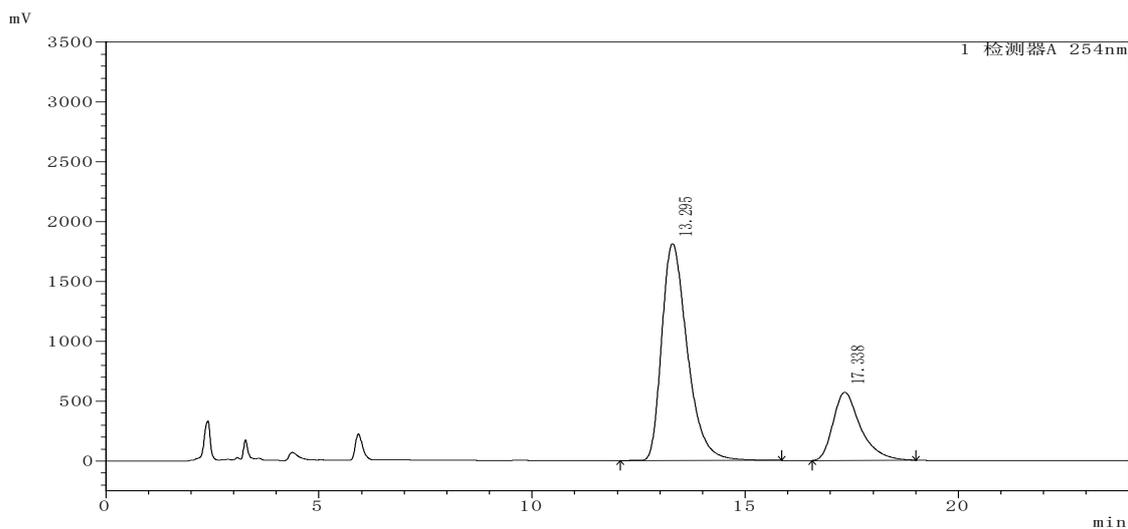
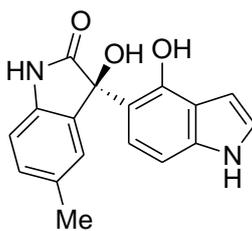


Figure S33. HPLC trace of enantiomeric **3b**

	Retention Time	Area	Area percent
1	13.295	75609794	74.837
2	17.338	25423375	25.163
Total		101033169	100.000



**3c**

5-methyl-3-hydroxy-3-(4-hydroxy-1H-indol-5-yl)indolin-2-one

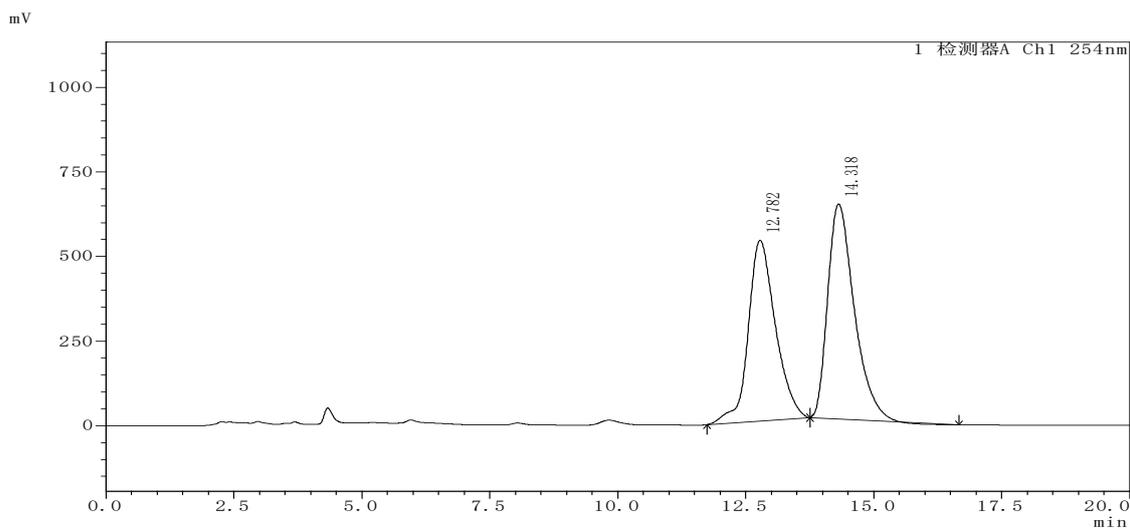


Figure S34. HPLC trace of racemic **3c**

	Retention Time	Area	Area percent
1	12.782	19754440	46.363
2	14.318	22853934	53.637

Total		42608373	100.000
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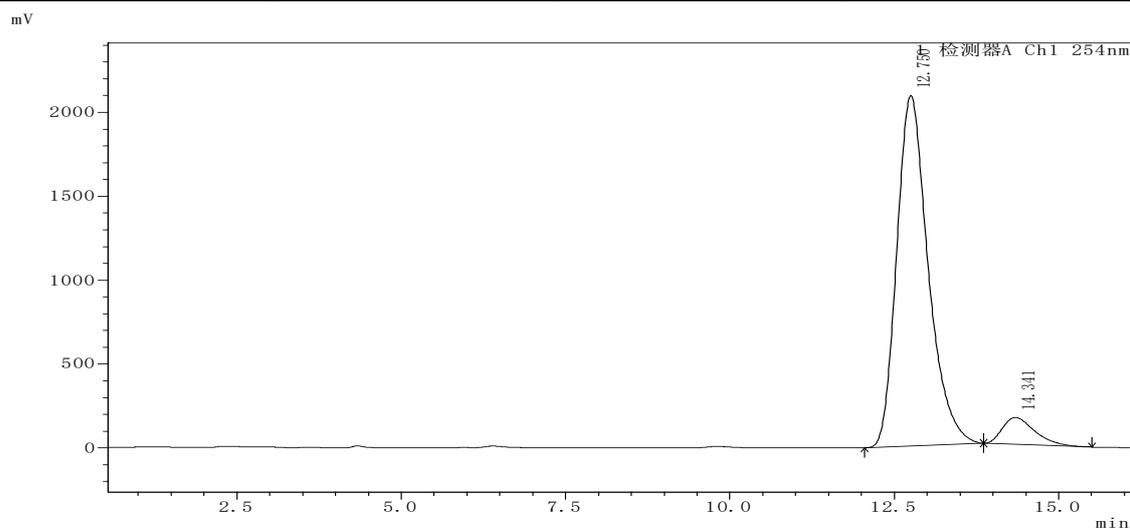
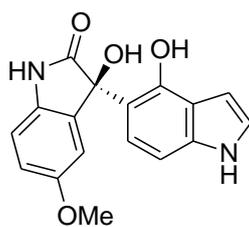


Figure S35. HPLC trace of enantiomeric **3c**

	Retention Time	Area	Area percent
1	12.750	69355750	92.630
2	14.341	5517924	7.370
Total		74873674	100.000



**3d**

5-methoxy-3-hydroxy-3-(4-hydroxy-1H-indol-5-yl)indolin-2-one

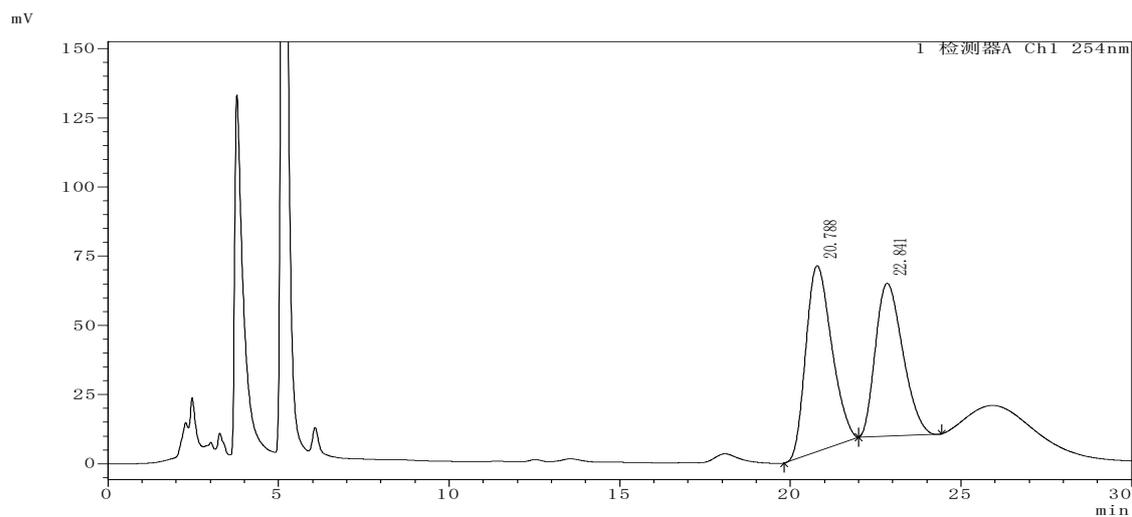


Figure S36. HPLC trace of racemic **3d**

	Retention Time	Area	Area percent
1	20.788	3533671	53.451
2	22.841	3077328	46.549

Total		6610999	100.000
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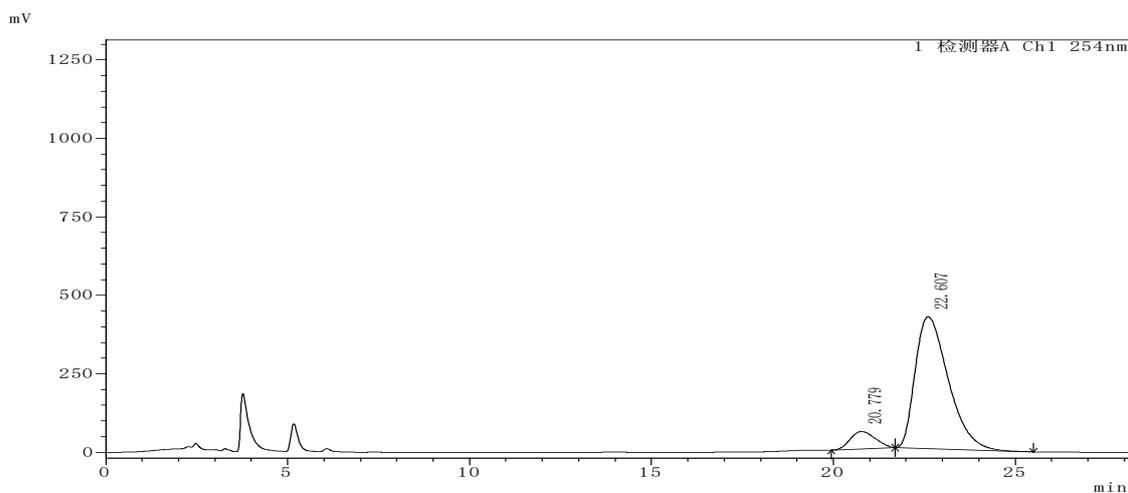
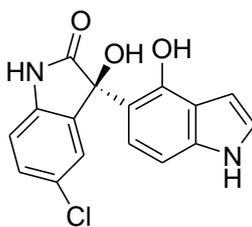


Figure S37. HPLC trace of enantiomeric **3d**

	Retention Time	Area	Area percent
1	20.779	2633961	9.015
2	22.607	26584869	90.985
Total		29218830	100.000



**3e**

5-chloro-3-hydroxy-3-(4-hydroxy-1H-indol-5-yl)indolin-2-one

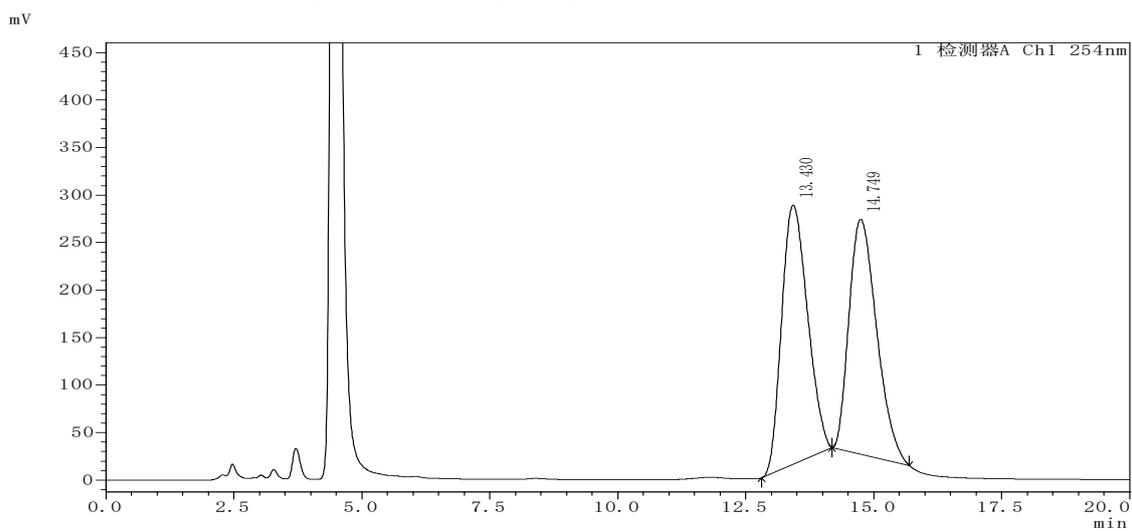


Figure S38. HPLC trace of racemic **3e**

	Retention Time	Area	Area percent
1	13.430	9604851	50.825
2	14.749	9293112	49.175

Total		18897963	100.000
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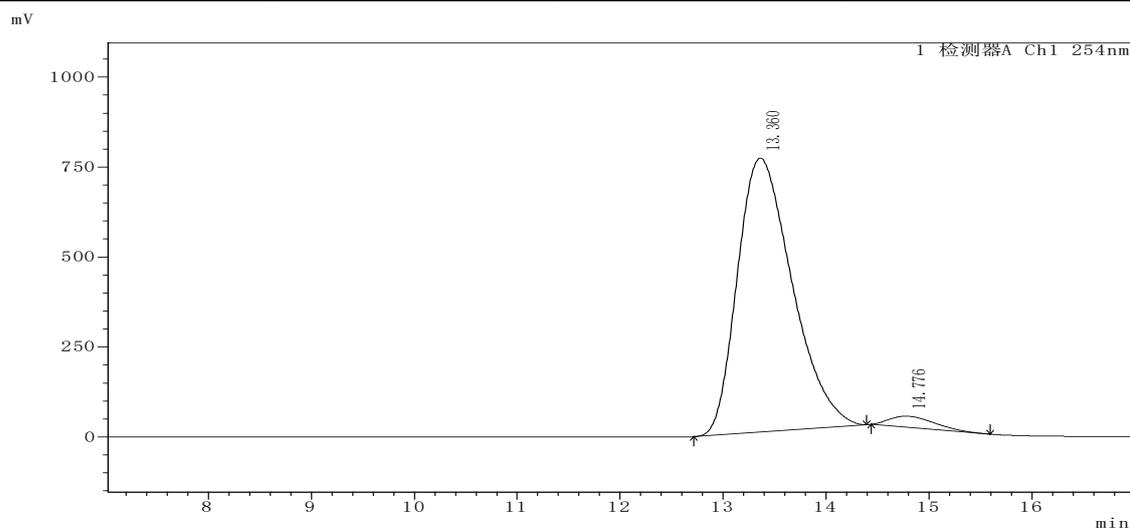
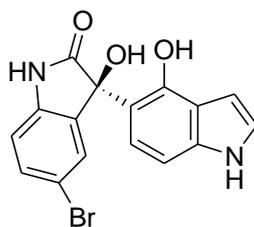


Figure S39. HPLC trace of enantiomeric **3e**

	Retention Time	Area	Area percent
1	13.360	28204931	96.722
2	14.776	955849	3.278
Total		29160781	100.000



**3f**

5-bromo-3-hydroxy-3-(4-hydroxy-1H-indol-5-yl)indolin-2-one

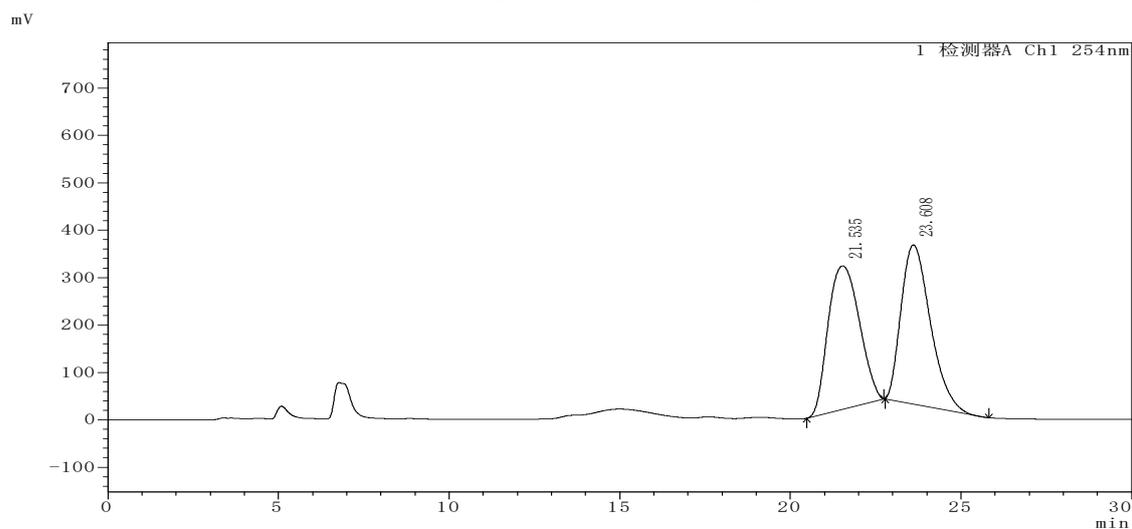


Figure S40. HPLC trace of racemic **3f**

	Retention Time	Area	Area percent
1	21.535	19324995	49.116
2	23.608	20020593	50.884

Total		39345588	100.000
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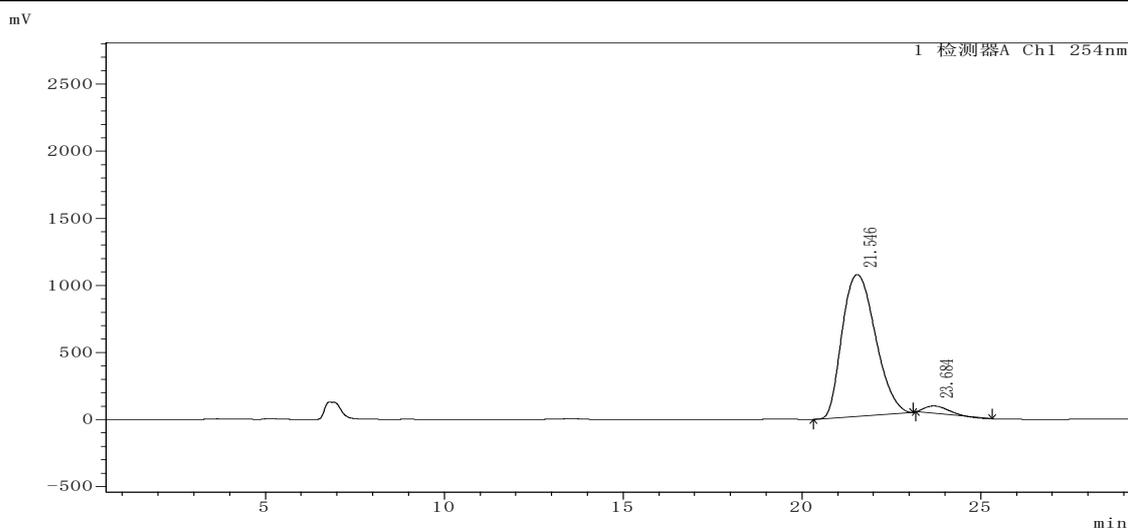
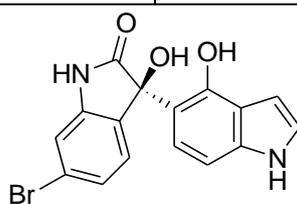


Figure S41. HPLC trace of enantiomeric **3f**

	Retention Time	Area	Area percent
1	21.546	68383306	96.510
2	23.684	2472912	3.490
Total		70856218	100.000



**3g**

6-bromo-3-hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)indolin-2-one

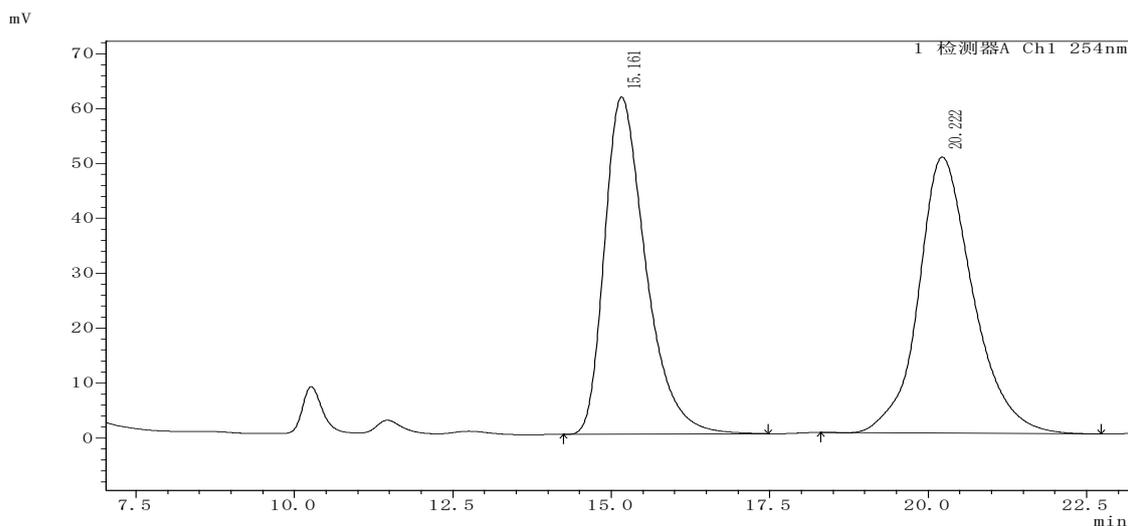


Figure S42. HPLC trace of racemic **3g**

	Retention Time	Area	Area percent
1	15.161	2783746	48.098
2	20.222	3003897	51.902
Total		5787643	100.000

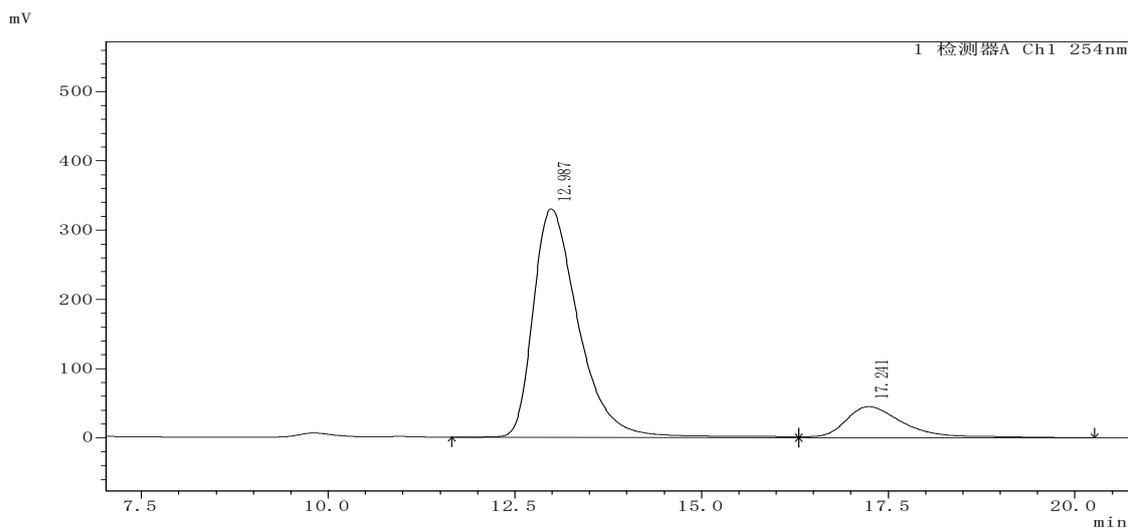
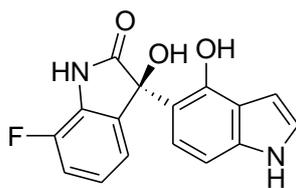


Figure S43. HPLC trace of enantiomeric **3g**

	Retention Time	Area	Area percent
1	12.987	13937111	85.373
2	17.241	2387911	14.627
Total		16325022	100.000



**3h**

7-fluoro-3-hydroxy-3-(4-hydroxy-1H-indol-5-yl)indolin-2-one

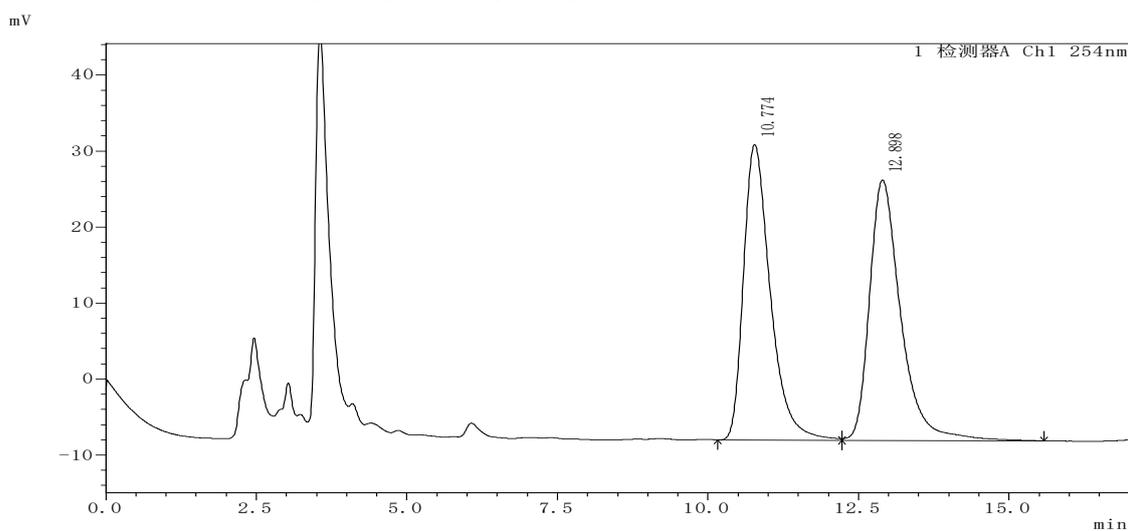


Figure S44. HPLC trace of racemic **3h**

	Retention Time	Area	Area percent
1	10.774	1205113	49.367
2	12.898	1236023	50.633
Total		2441136	100.000

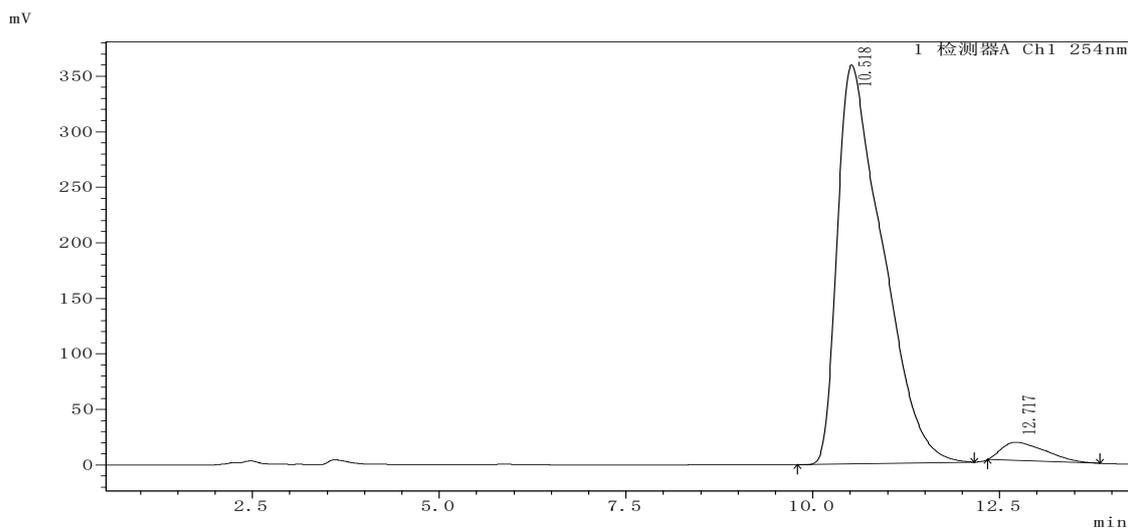
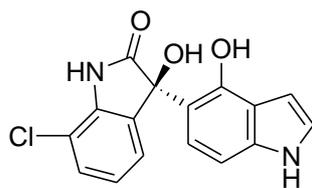


Figure S45. HPLC trace of enantiomeric **3h**

	Retention Time	Area	Area percent
1	10.518	1510826	95.767
2	12.717	667741	4.233
Total		15776001	100.000



**3i**

7-chloro-3-hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)indolin-2-one

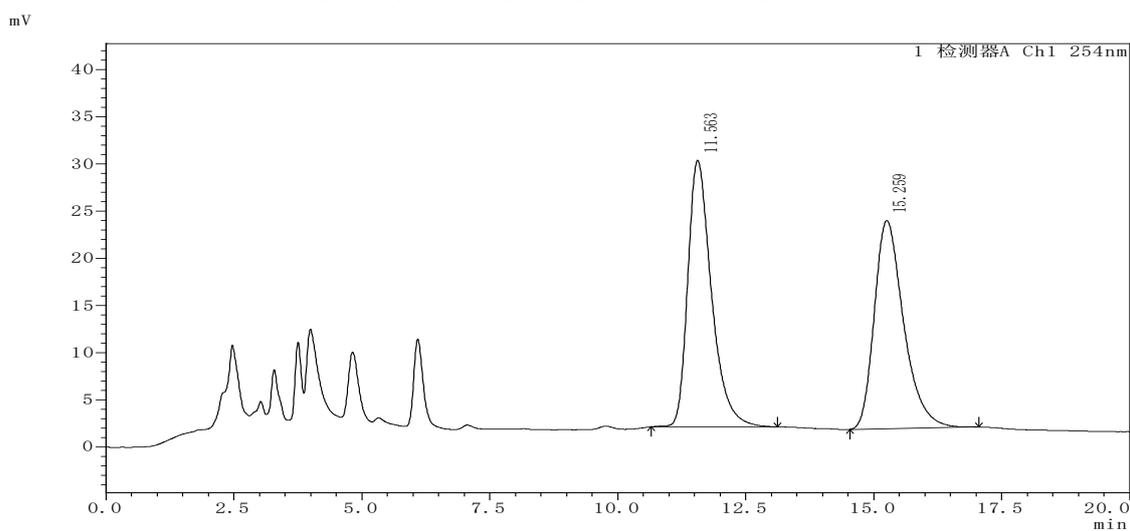


Figure S46. HPLC trace of racemic **3i**

	Retention Time	Area	Area percent
1	11.563	910202	50.854
2	15.259	879644	49.146
Total		1789847	100.000

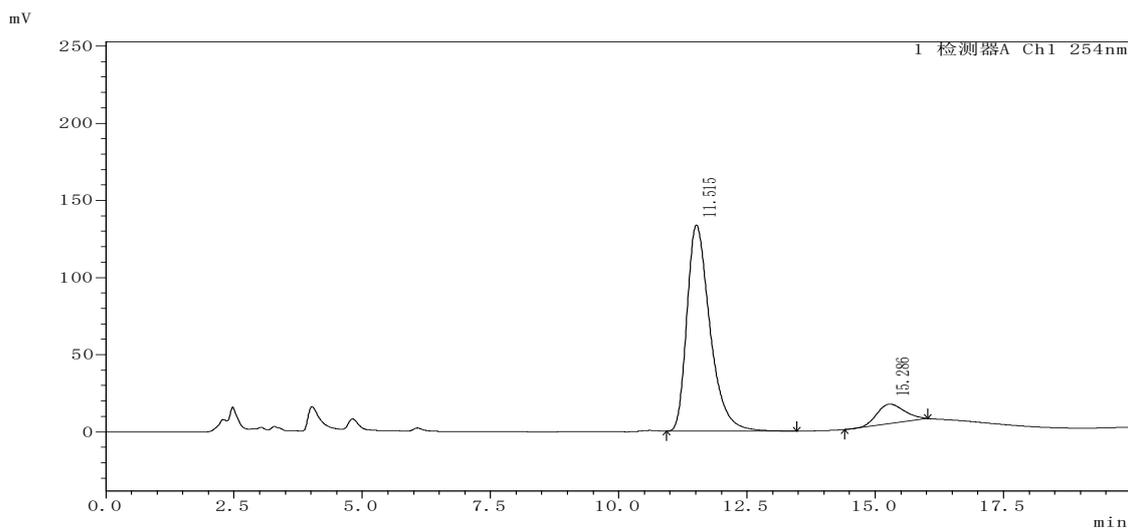
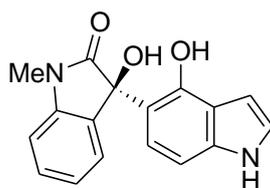


Figure S47. HPLC trace of enantiomeric **3i**.

	Retention Time	Area	Area percent
1	11.515	4189102	91.617
2	15.286	383315	8.383
Total		4572417	100.000



**3j**

3-hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)-1-methylindolin-2-one

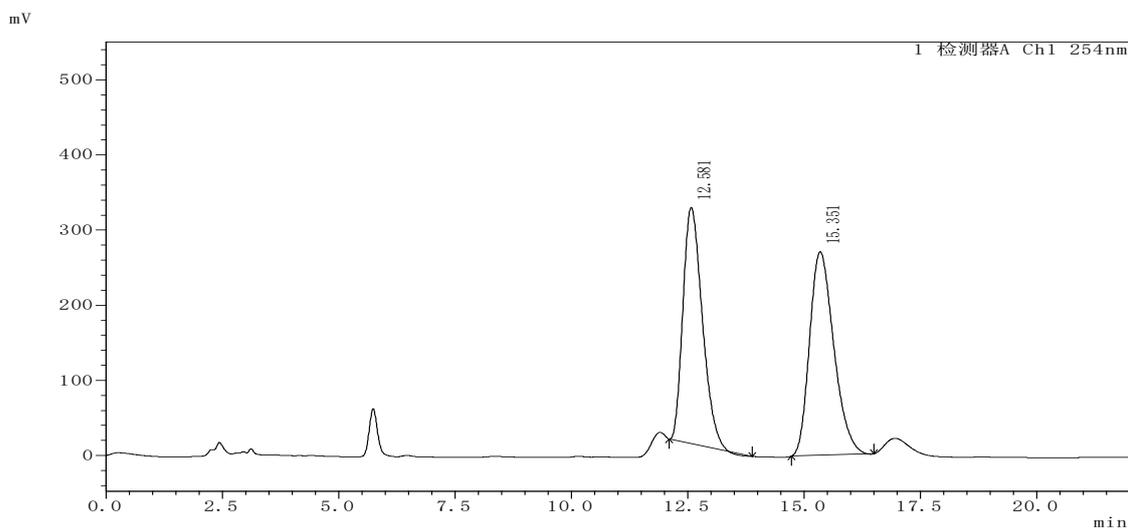


Figure S48. HPLC trace of racemic **3j**

	Retention Time	Area	Area percent
1	12.581	9100714	48.112
2	15.351	9814899	51.888
Total		18915613	100.000

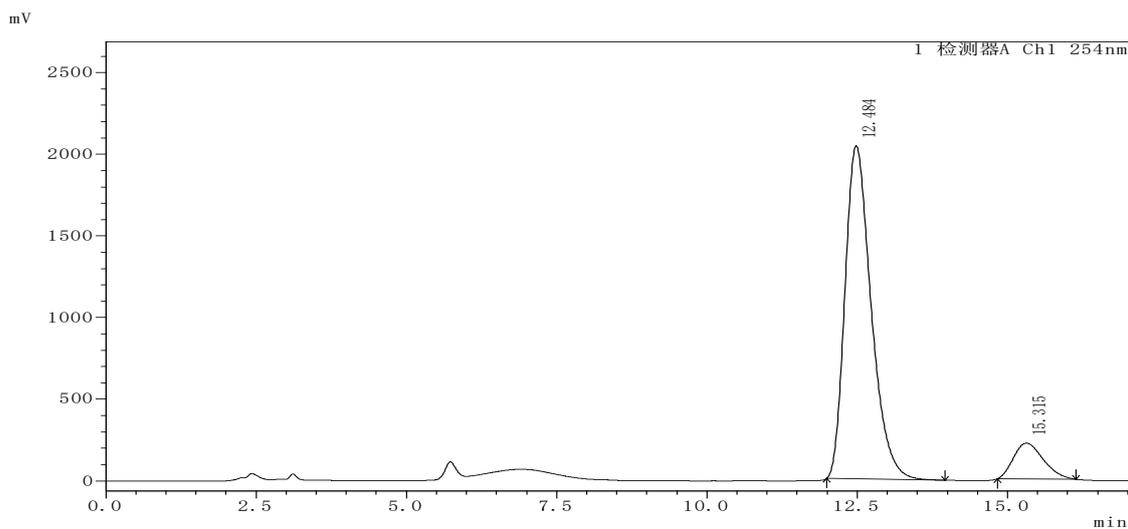
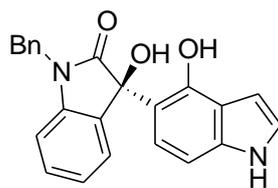


Figure S49. HPLC trace of enantiomeric **3j**

	Retention Time	Area	Area percent
1	12.484	61863000	89.096
2	15.315	7570708	10.904
Total		69433708	100.000



**3k**

1-benzyl-3-hydroxy-3-(4-hydroxy-1*H*-indol-5-yl)indolin-2-one

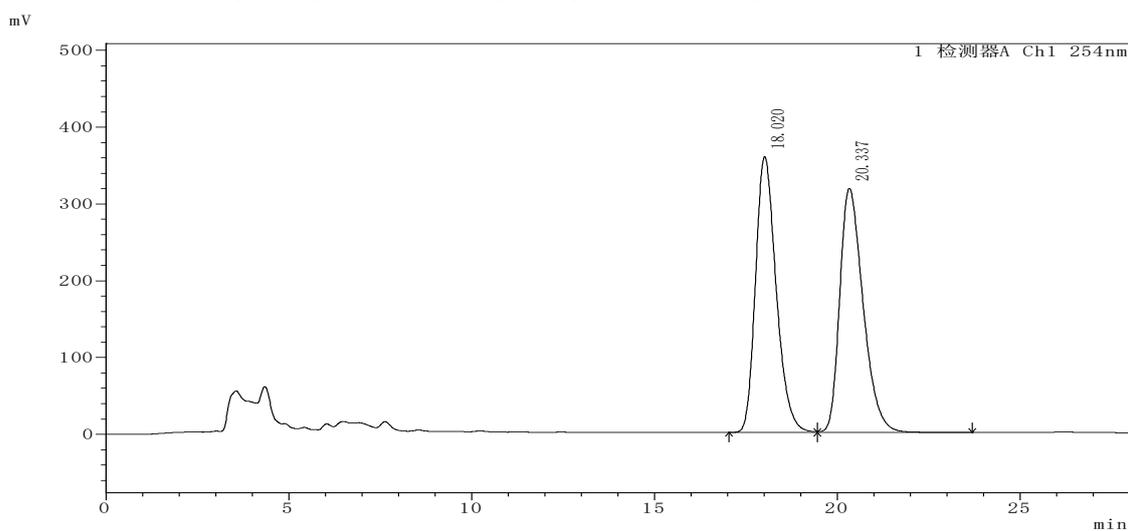


Figure S50. HPLC trace of racemic **3k**

	Retention Time	Area	Area percent
1	18.020	14120921	49.961
2	20.337	14143189	50.039
Total		28264110	100.000

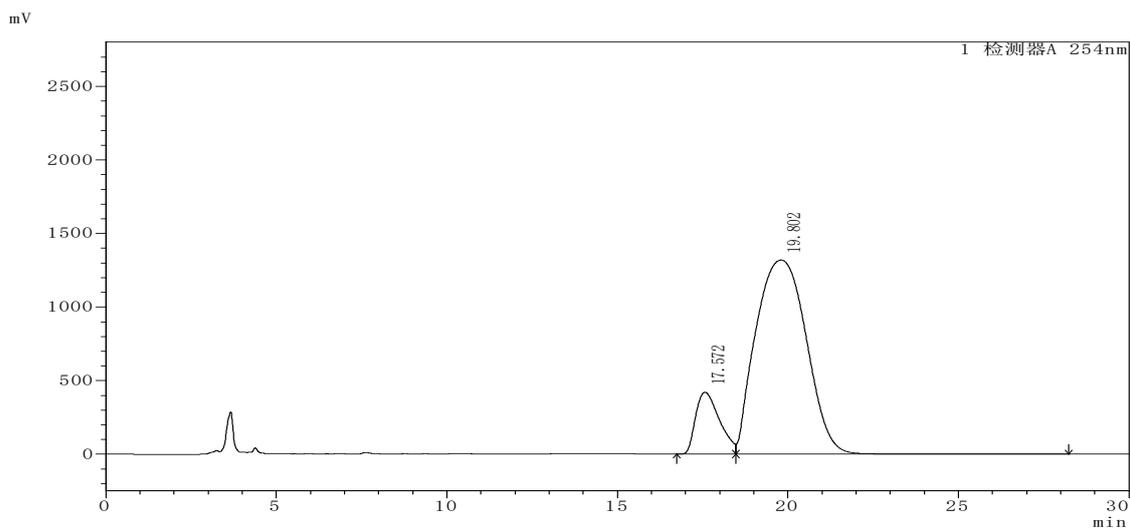
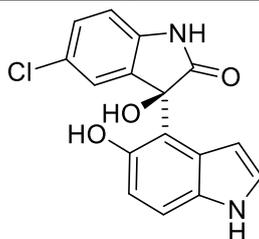


Figure S51. HPLC trace of enantiomeric **3k**

	Retention Time	Area	Area percent
1	17.572	20522224	13.092
2	19.802	136227493	86.908
Total		156749716	100.000



**4**

5-chloro-3-hydroxy-3-(5-hydroxy-1*H*-indol-4-yl)indolin-2-one

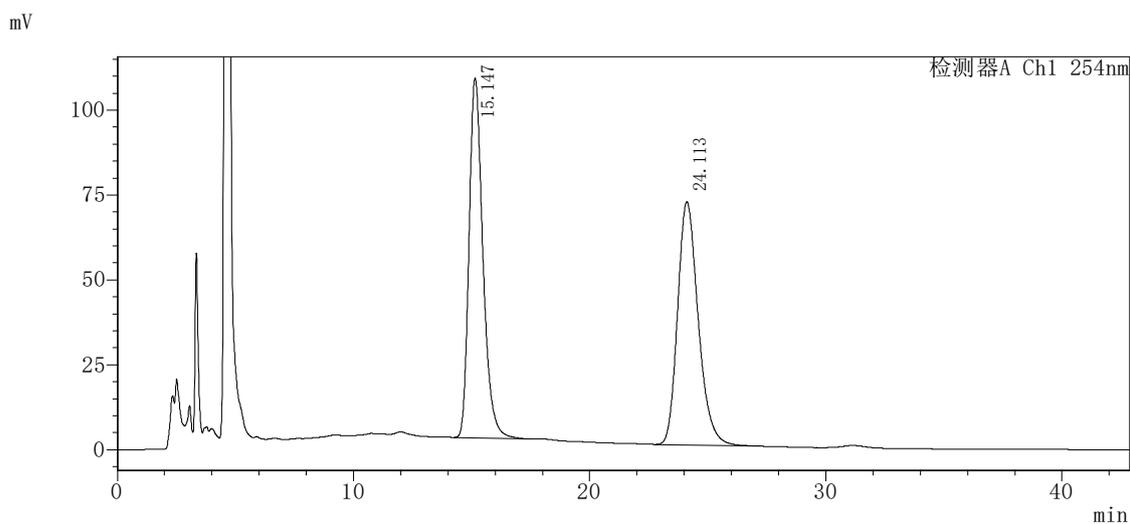


Figure S52. HPLC trace of racemic **4**

	Retention Time	Area	Area percent
1	15.147	4328379	49.901
2	24.113	4345486	50.099
Total		8673865	100.000

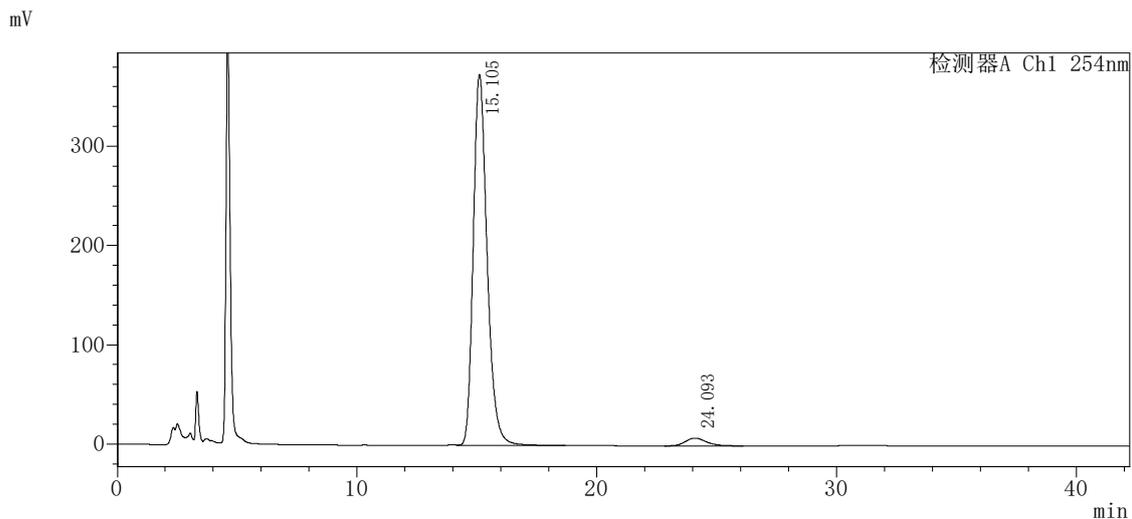
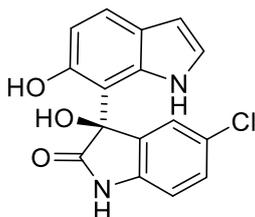


Figure S53. HPLC trace of enantiomeric **4**

	Retention Time	Area	Area percent
1	15.105	14958260	96.929
2	24.093	473877	3.071
Total		15432137	100.000



**5**

5-chloro-3-hydroxy-3-(6-hydroxy-1*H*-indol-7-yl)indolin-2-one

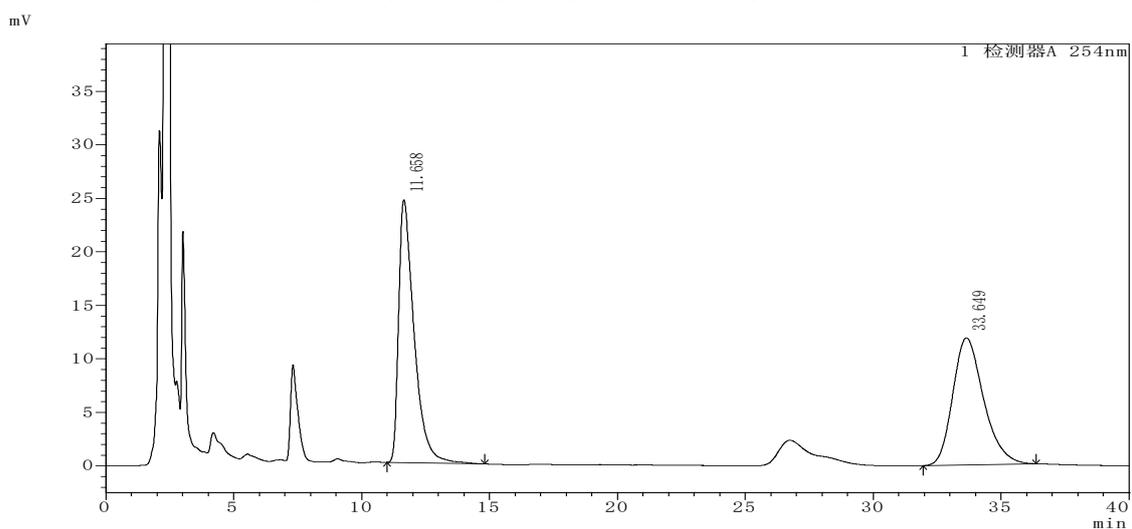


Figure S54. HPLC trace of racemic **5**

	Retention Time	Area	Area percent
1	11.658	1032651	50.966
2	33.649	993490	49.034
Total		2026141	100.000

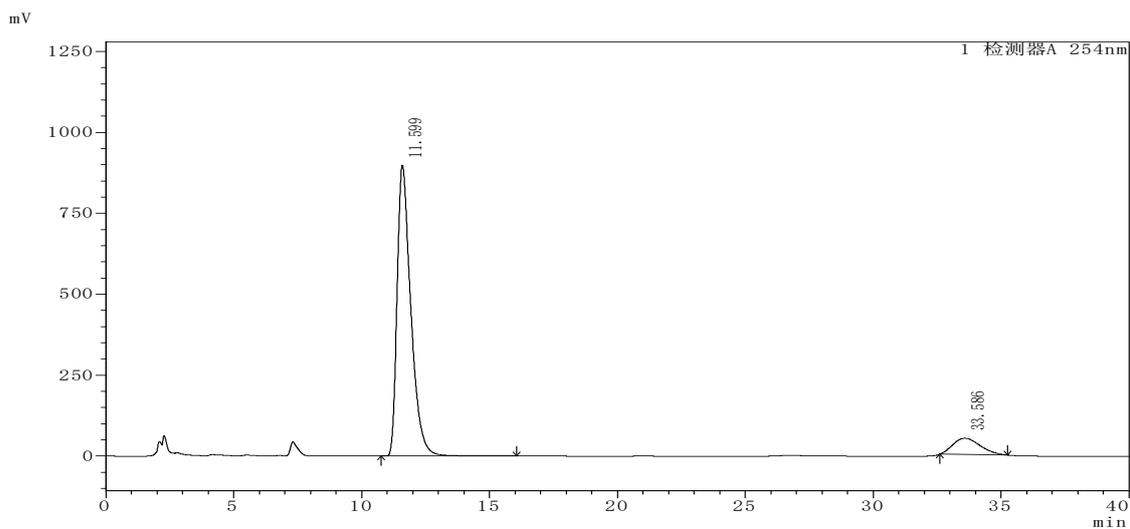
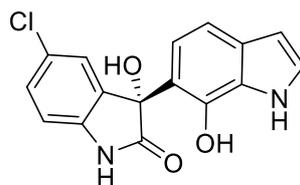


Figure S55. HPLC trace of enantiomeric **5**

	Retention Time	Area	Area percent
1	11.599	33470446	89.918
2	33.586	3752836	10.082
Total		37223281	100.000



**6**

5-chloro-3-hydroxy-3-(7-hydroxy-1*H*-indol-6-yl)indolin-2-one

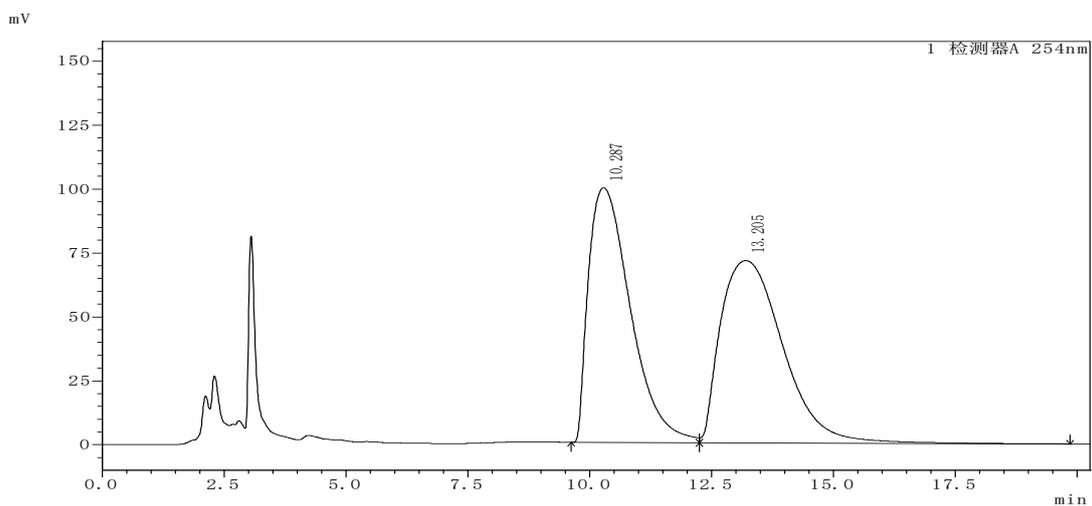


Figure S56. HPLC trace of racemic **6**

	Retention Time	Area	Area percent
1	10.287	5987541	48.453
2	13.205	6369964	51.547
Total		12357506	100.000

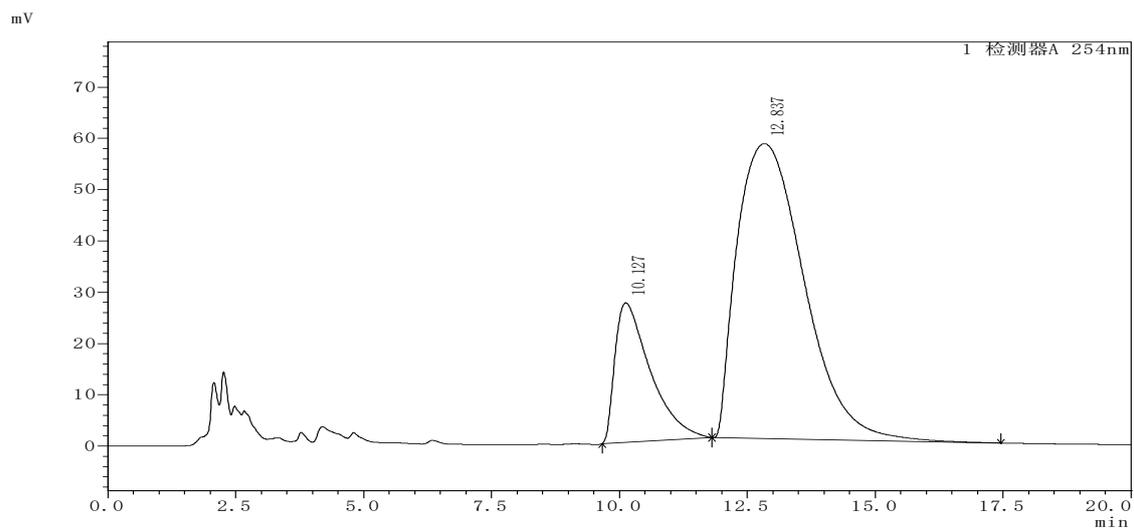


Figure S57. HPLC trace of enantiomeric **6**

	Retention Time	Area	Area percent
1	10.127	1311402	19.986
2	12.837	5250113	80.014
Total		6561515	100.000