

Supporting information

Organocatalysts and sequential asymmetric cascade reactions in the synthesis of functionalized isoindolinones and benzoindolizidinones.

Silvia Tiso,^a Laura Palombi,^a Chiara Vignes,^a Antonia Di Mola^a and Antonio Massa^{a*}

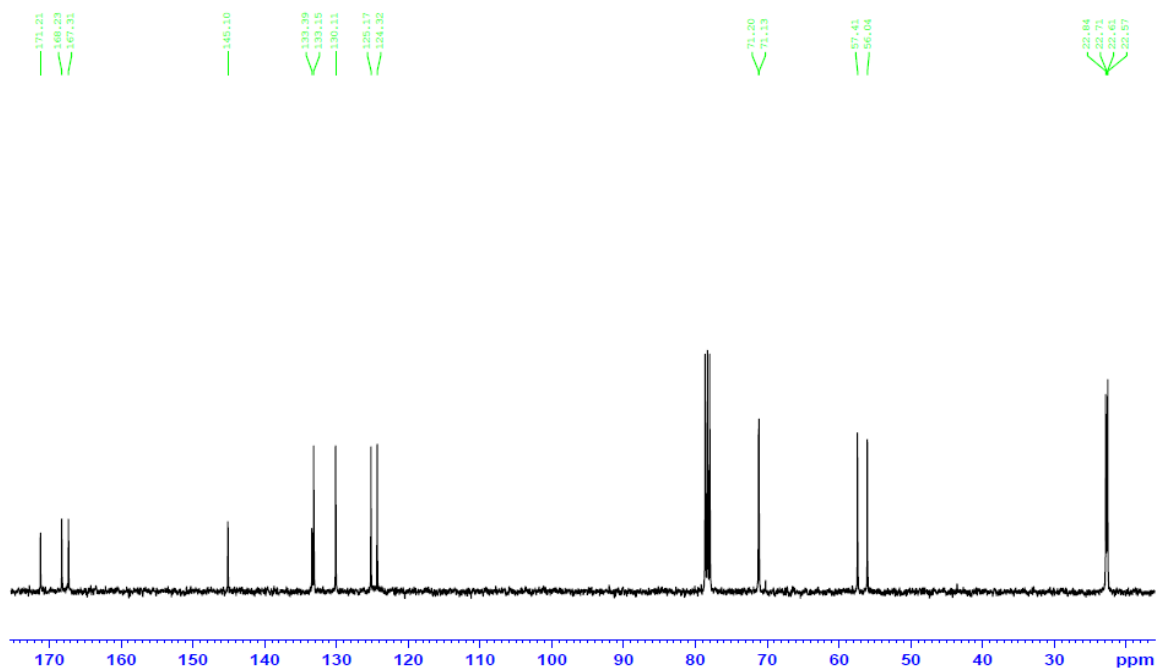
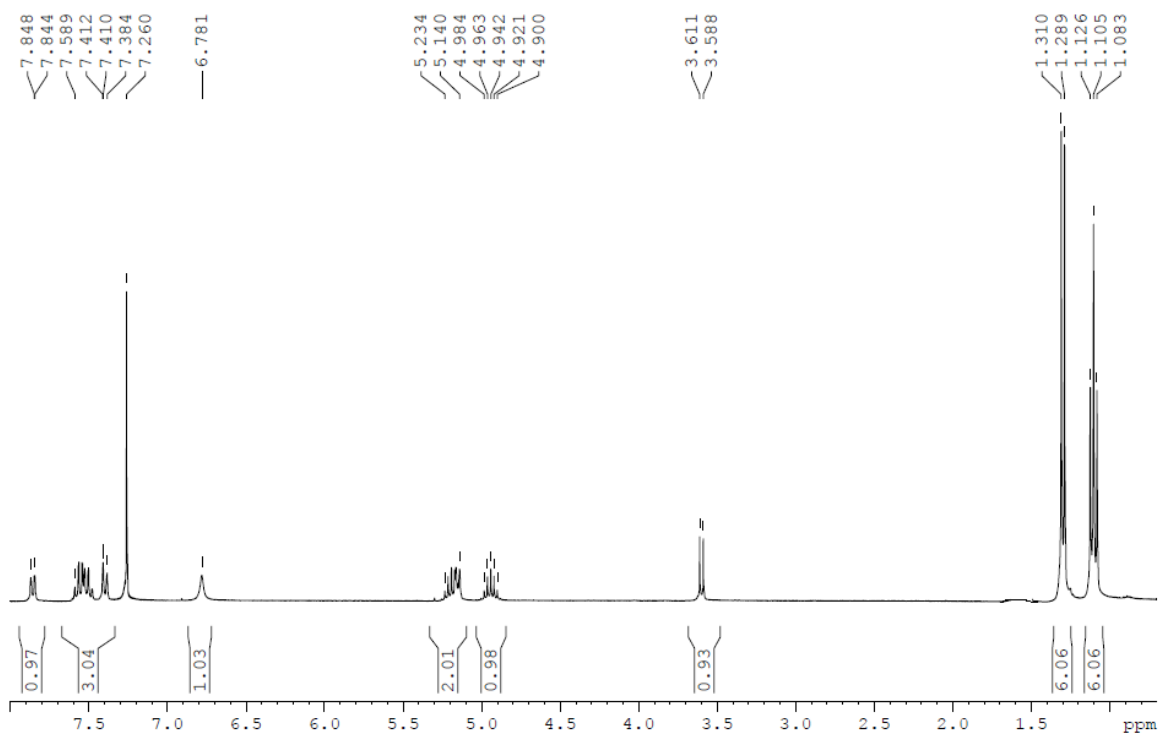
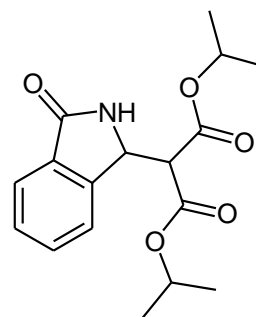
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Supporting Information

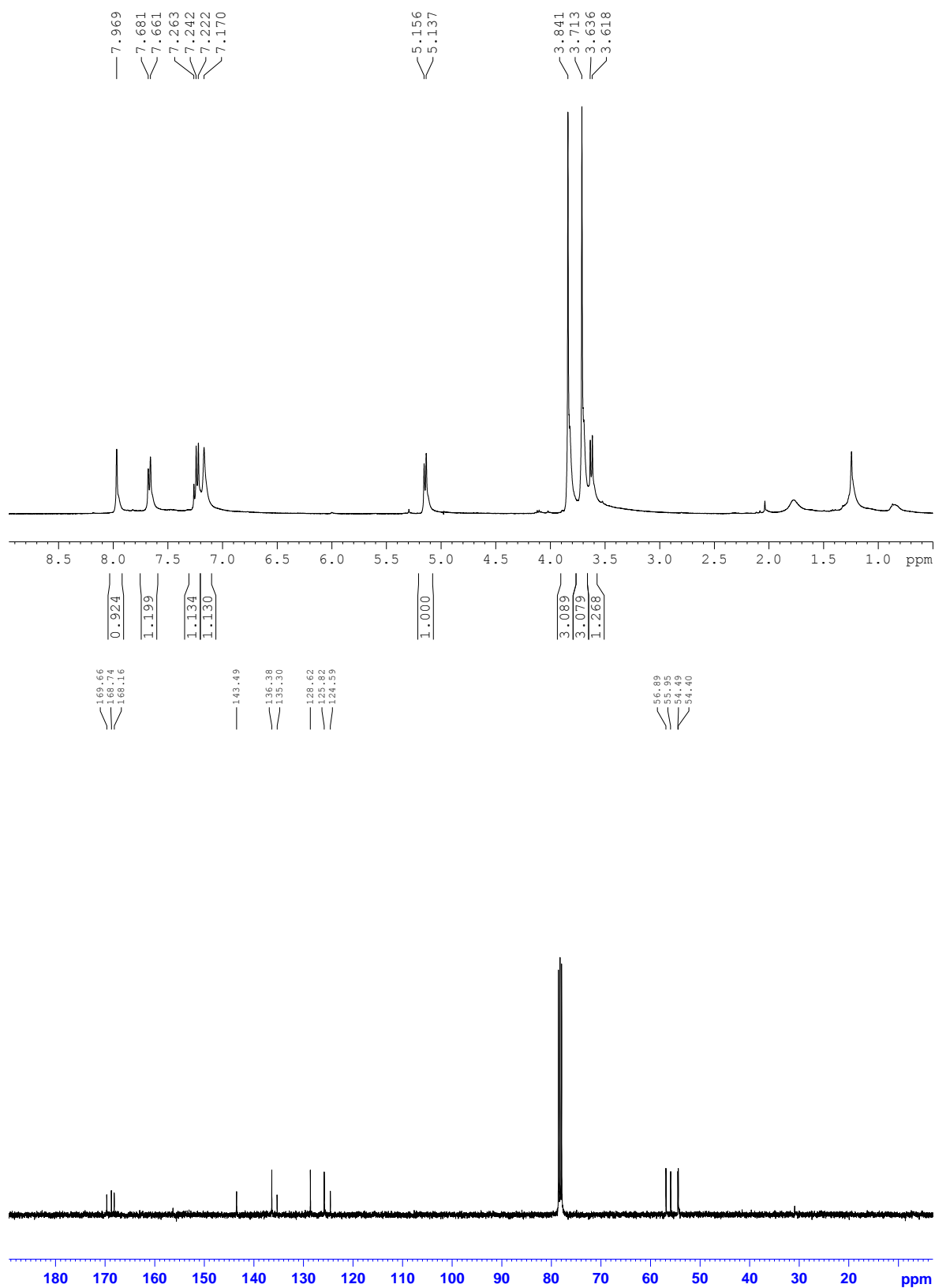
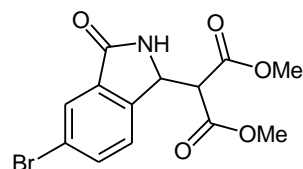
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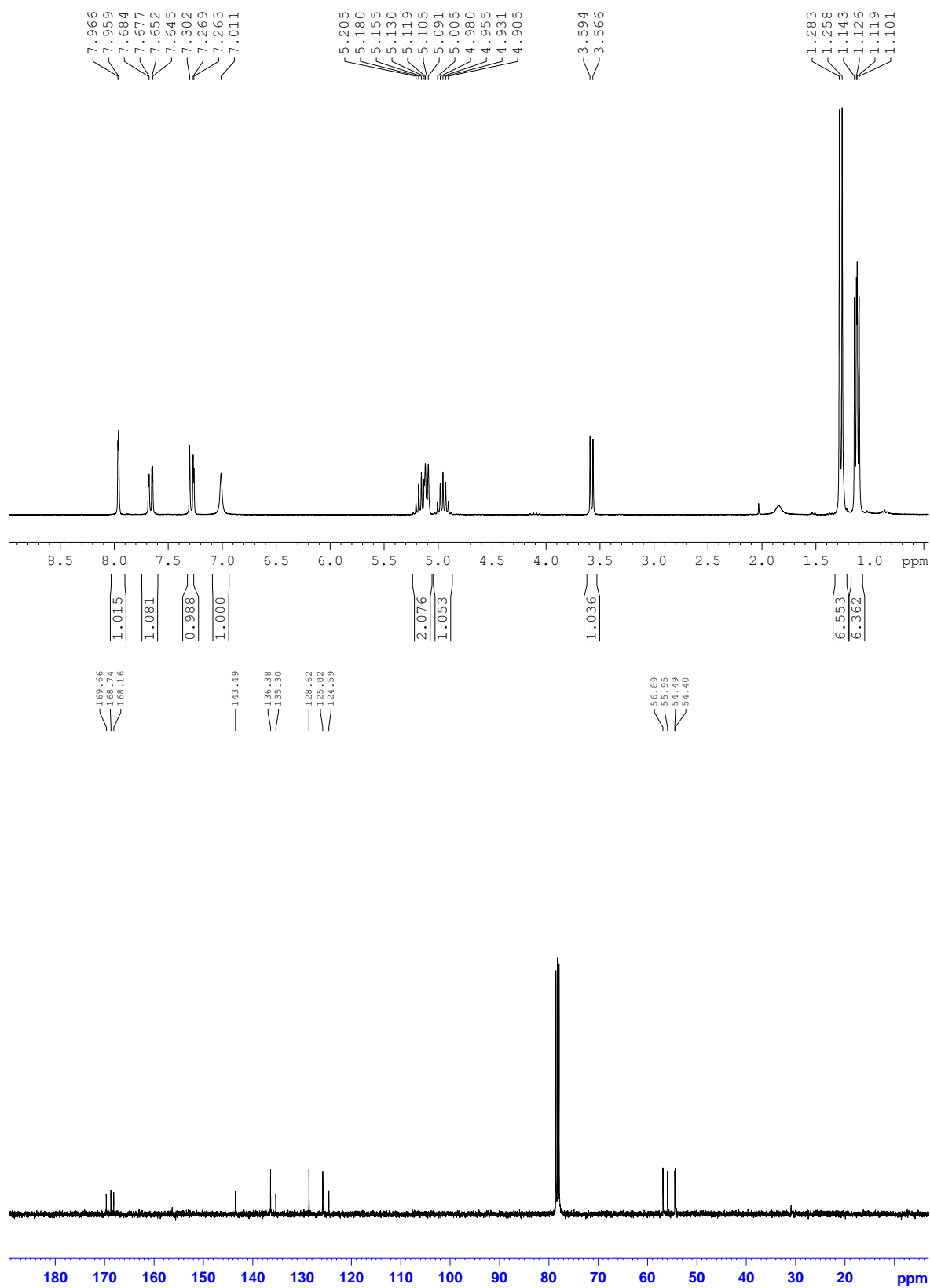
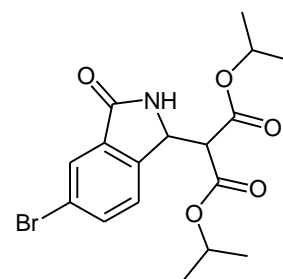
Diiso propyl 2-(1-oxoisindolin-3-yl)malonate. (3b)

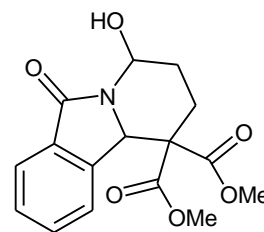


Dimethyl 2-(5-bromo-3-oxoisindolin-1-yl)malonate. (3c)

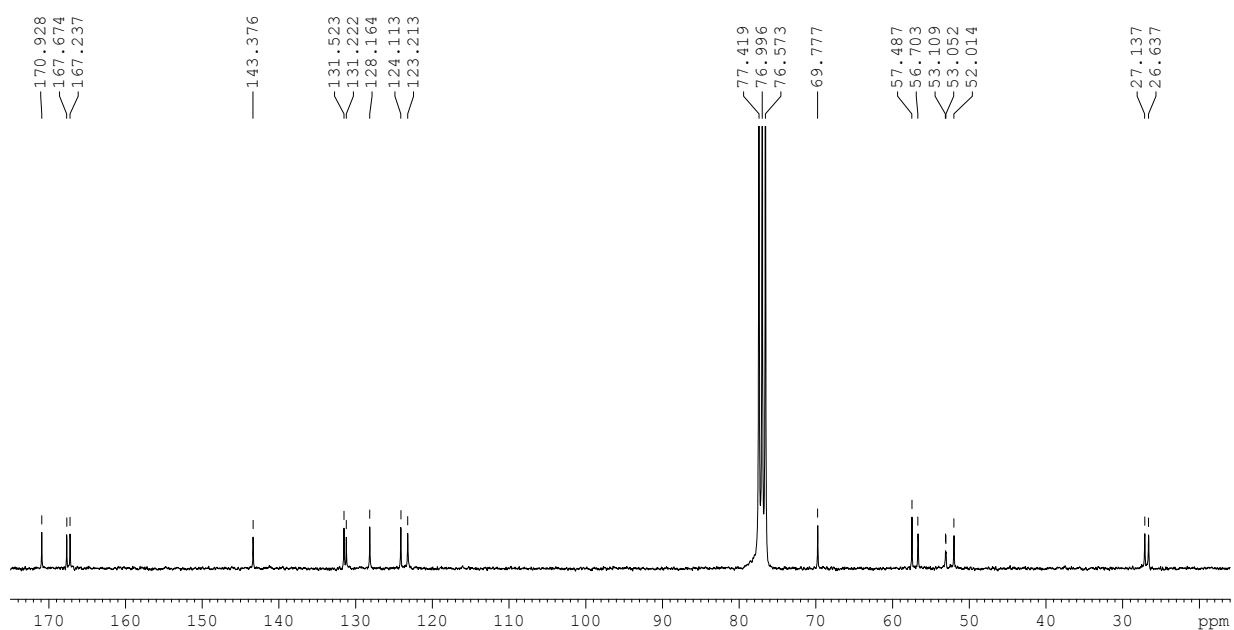
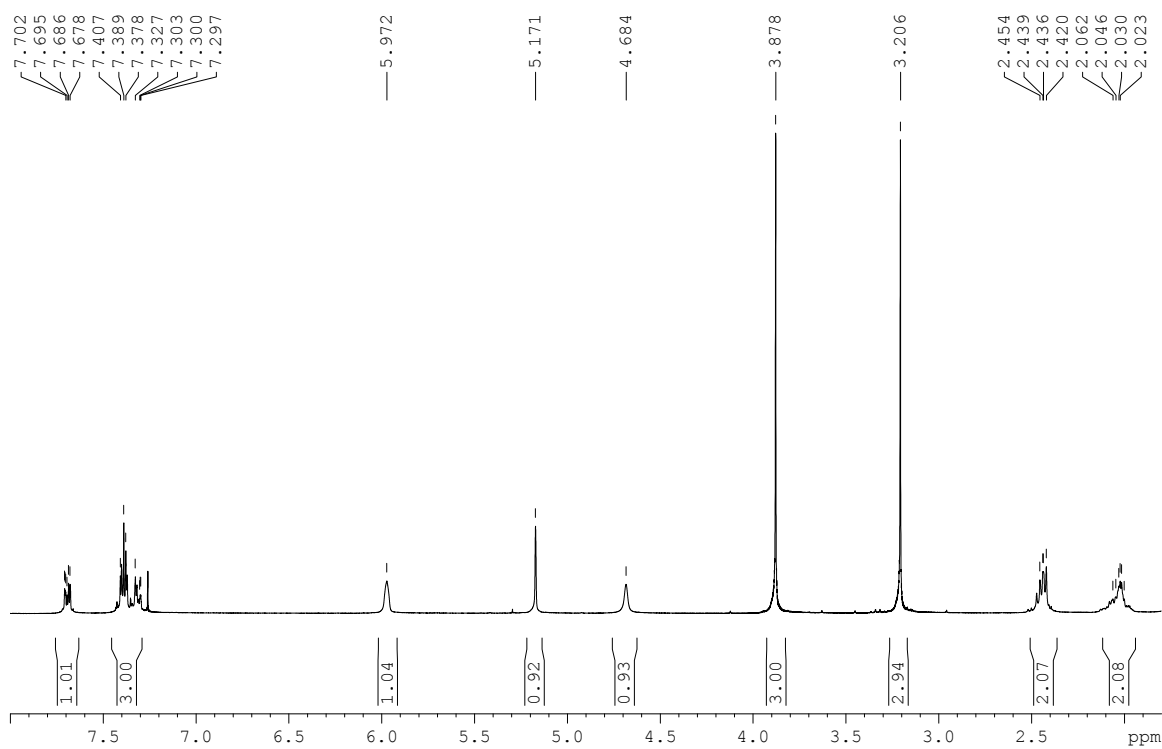


Diiso propyl 2-(5-bromo-1-oxoisindolin-3-yl)malonate. (3d)

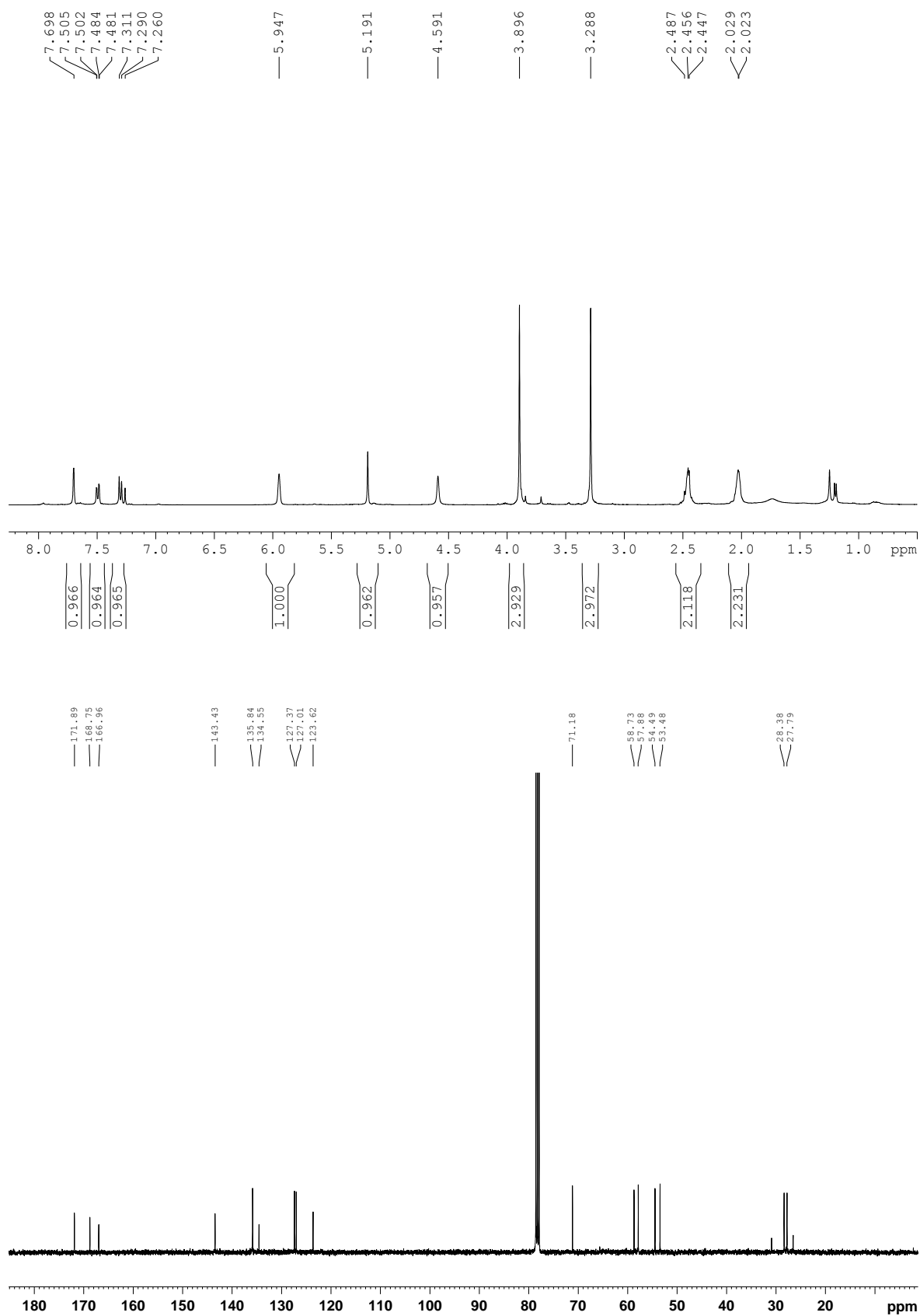
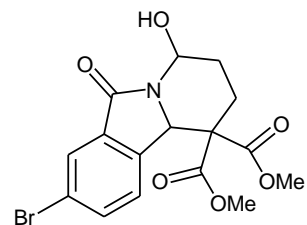




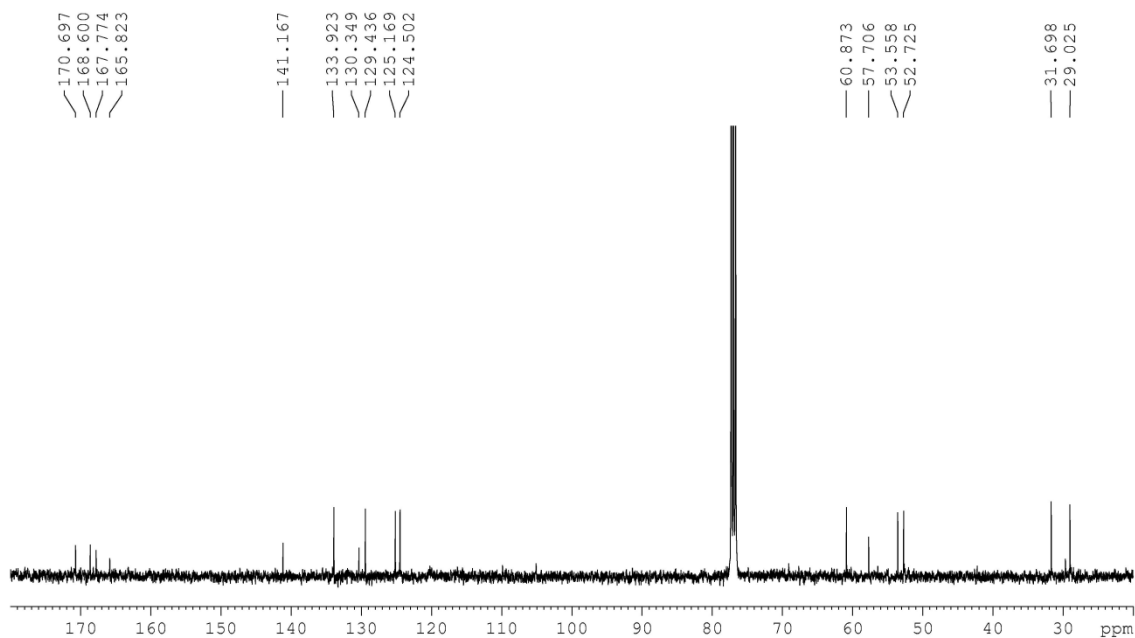
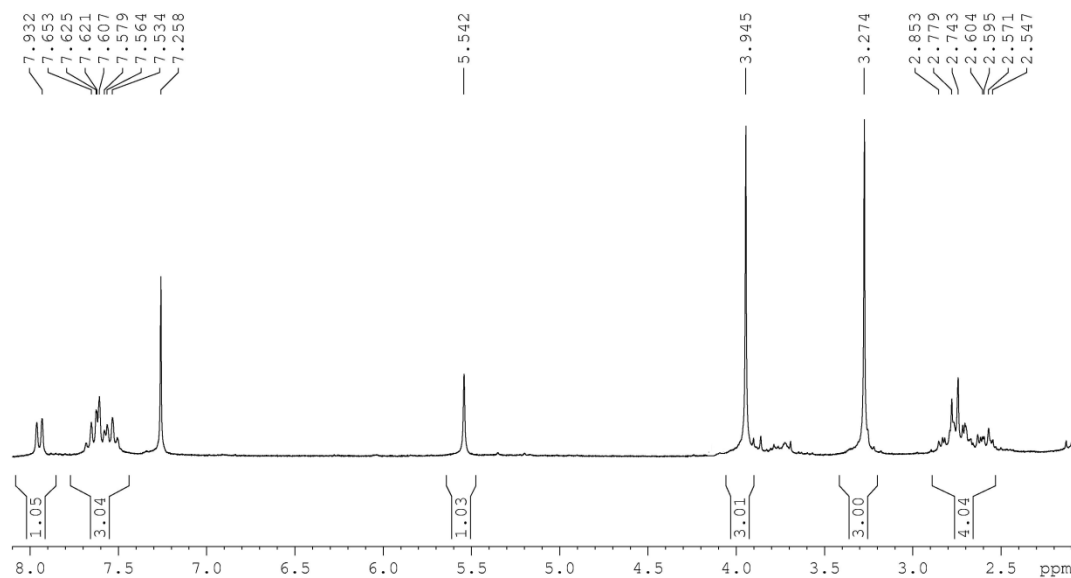
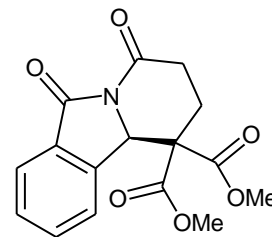
Dimethyl 3,4-dihydro-4-hydroxy-6-oxopyrido[2,1-a]isoindole-1,1(2H,6H,10bH)-dicarboxylate. (5a)



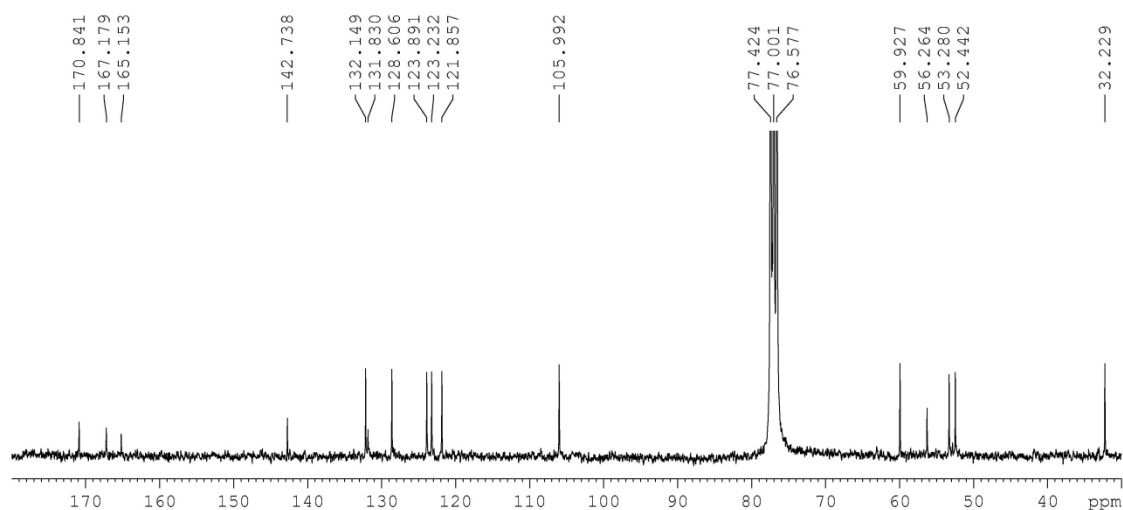
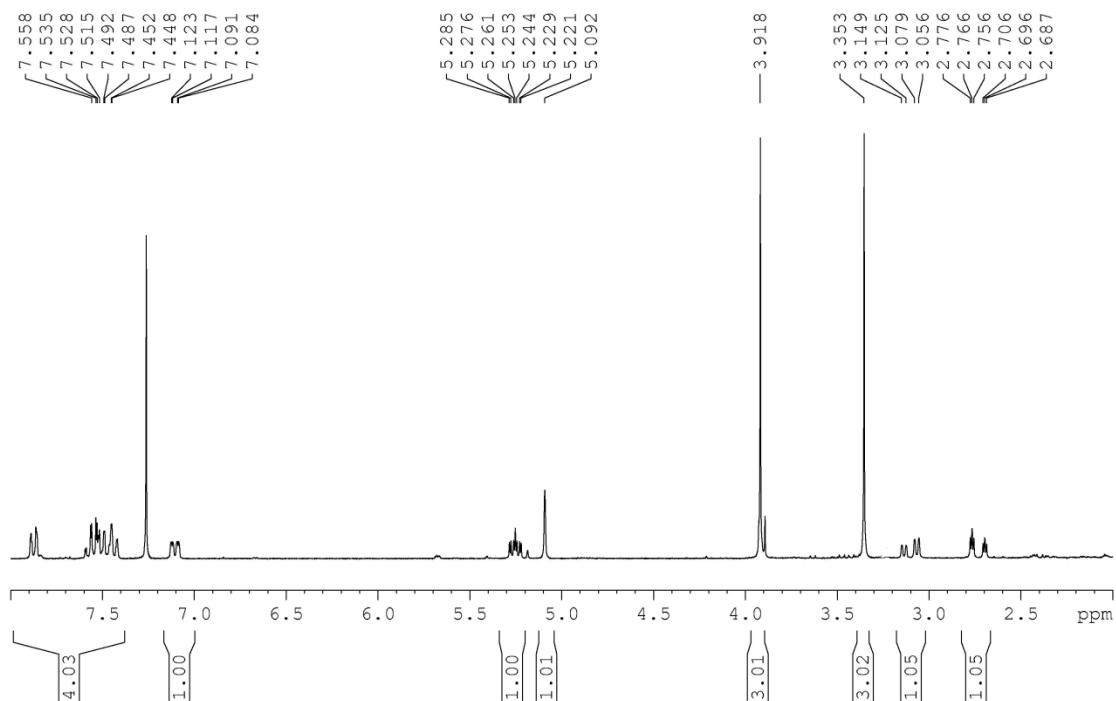
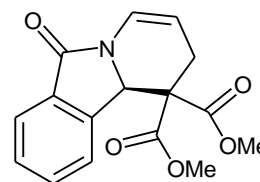
Dimethyl 8-bromo-3,4-dihydro-4-hydroxy-6-oxopyrido[2,1-a]isoindole-1,1(2H,6H,10bH)-dicarboxylate. (5b)



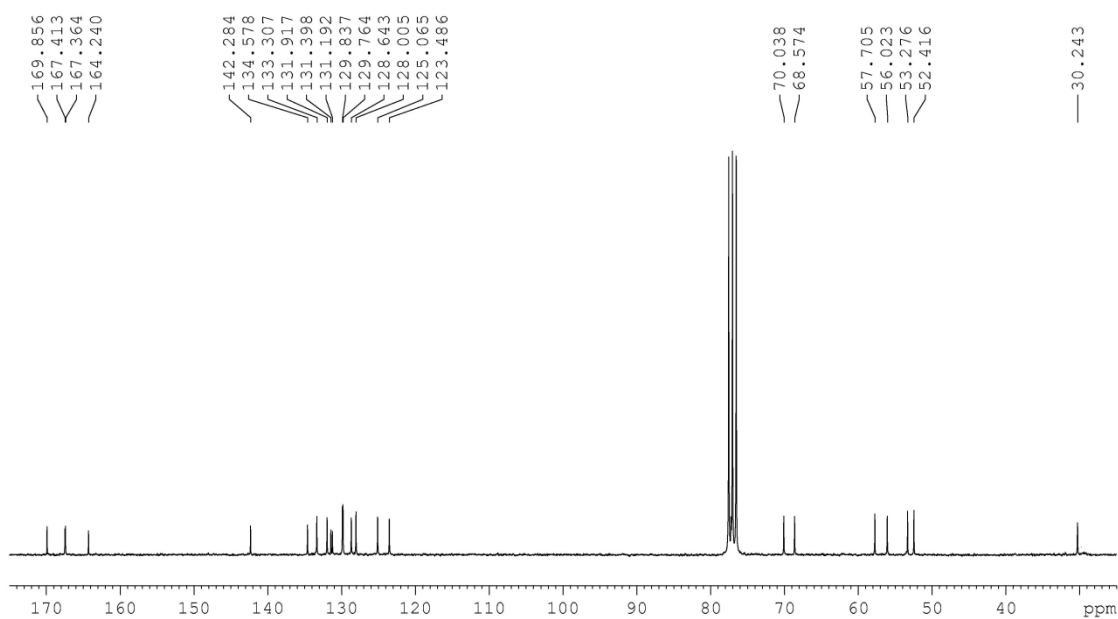
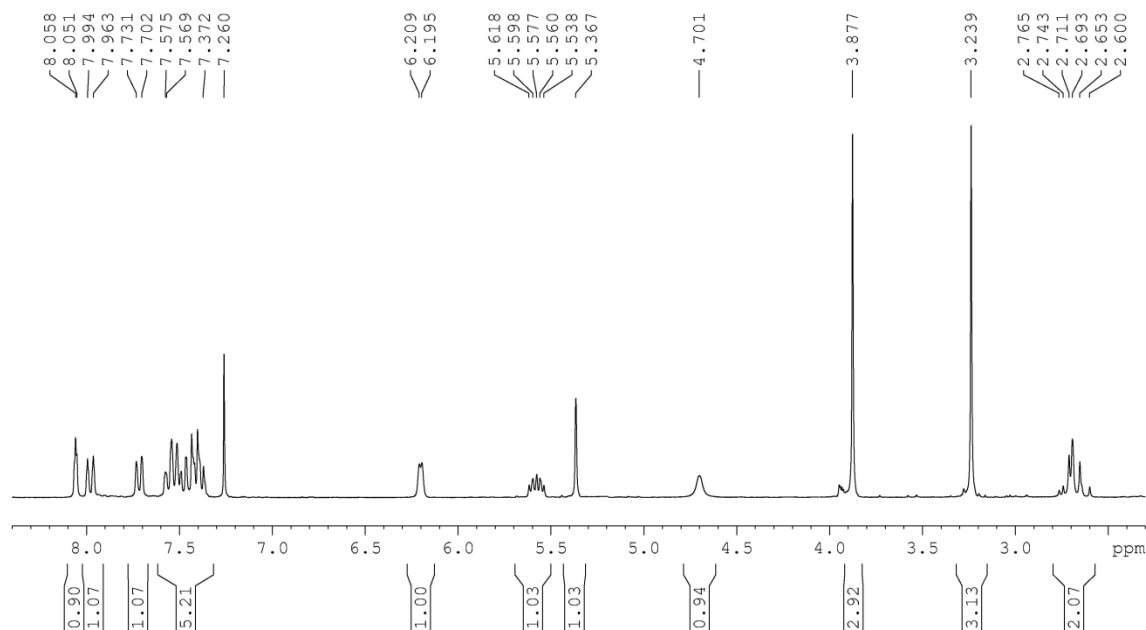
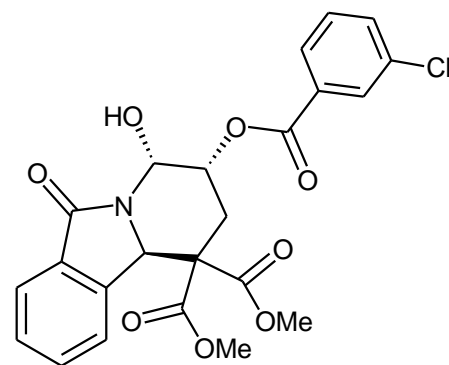
(R)-Dimethyl 3,4-dihydro-4,6-dioxopyrido[2,1-a]isoindole-1,1(2H,6H)-dicarboxylate. (6)

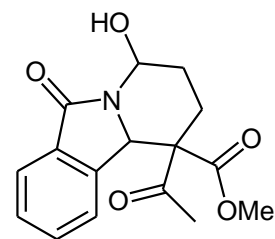


(R)-Dimethyl 6-oxopyrido[2,1-a]isoindole-1,1(2H,6H,10bH)-dicarboxylate. (7)

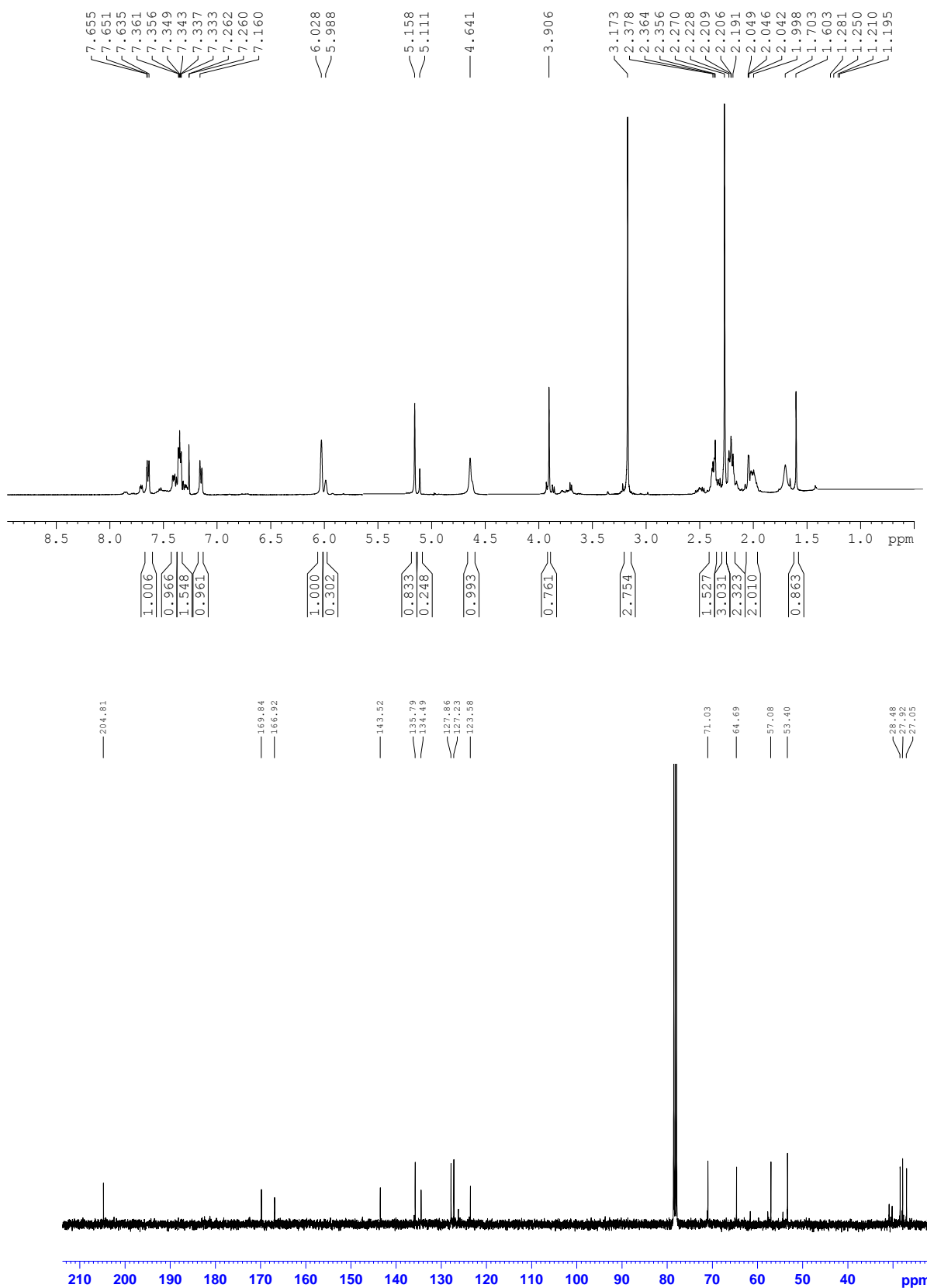


(*R, R, R*)-Dimethyl 3-(3-chlorobenzoyloxy)-3,4-dihydro-4-hydroxy-6-oxopyrido[2,1-*a*]isoindole-1,1(2*H*,6*H*,10*bH*)-dicarboxylate. (8)

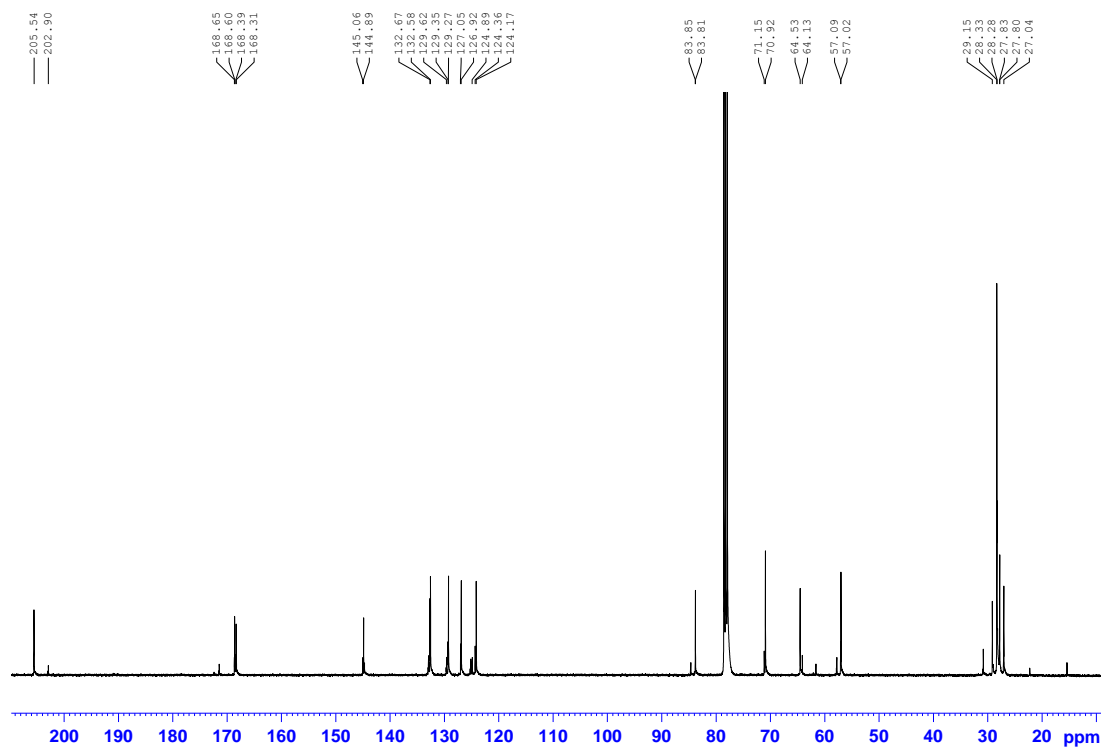
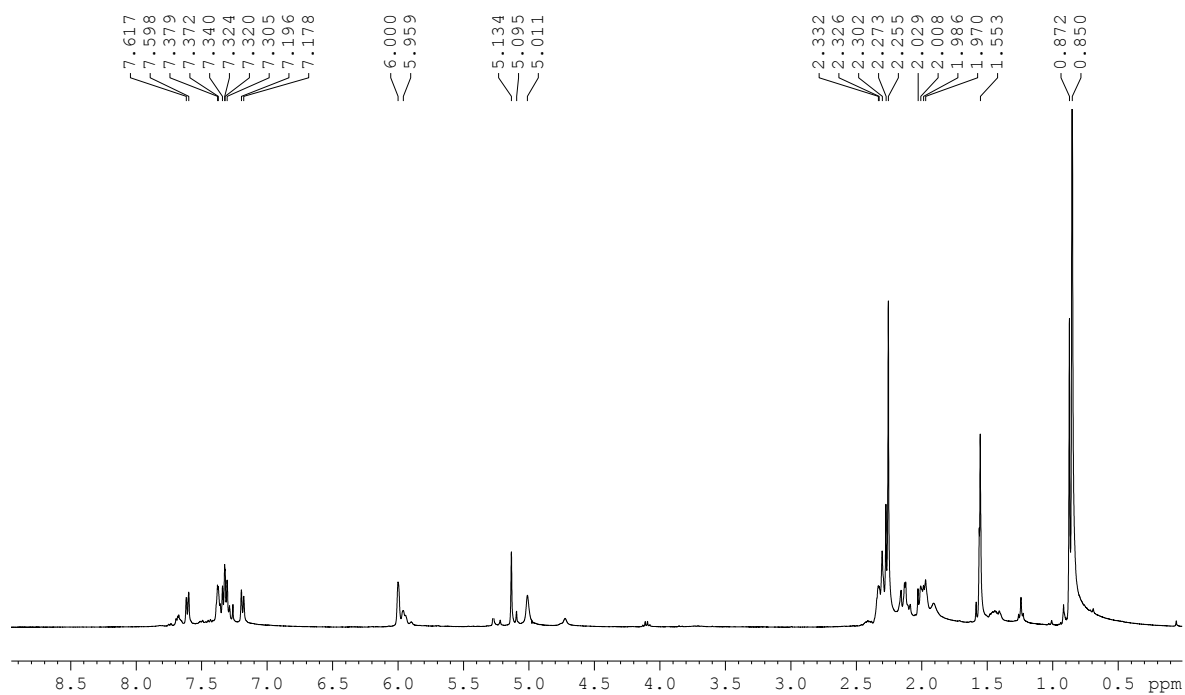
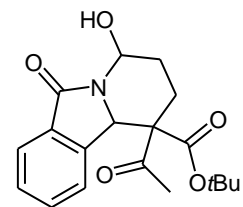


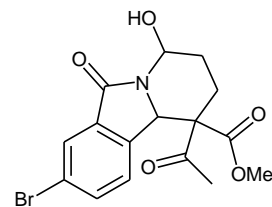


Methyl 1-acetyl-1,2,3,4,6,10b-hexahydro-4-hydroxy-6-oxopyrido[2,1-a]isoindole-1-carboxylate. (11a)

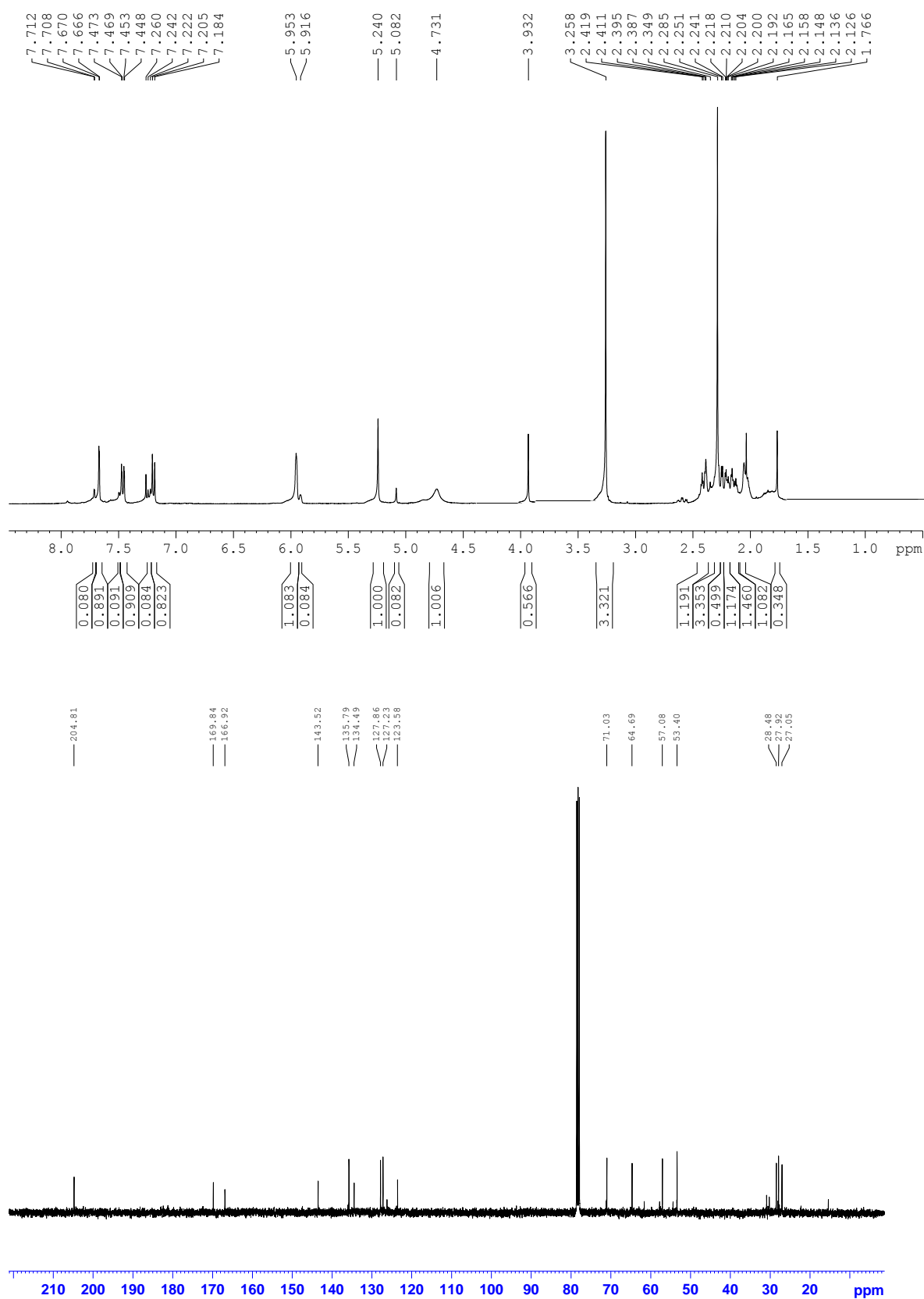


***tert*-Butyl 1-acetyl-4-hydroxy-6-oxo-1,2,3,4,6,10b-hexahydropyrido[2,1-*a*]isoindole-1-carboxylate. (11b)**

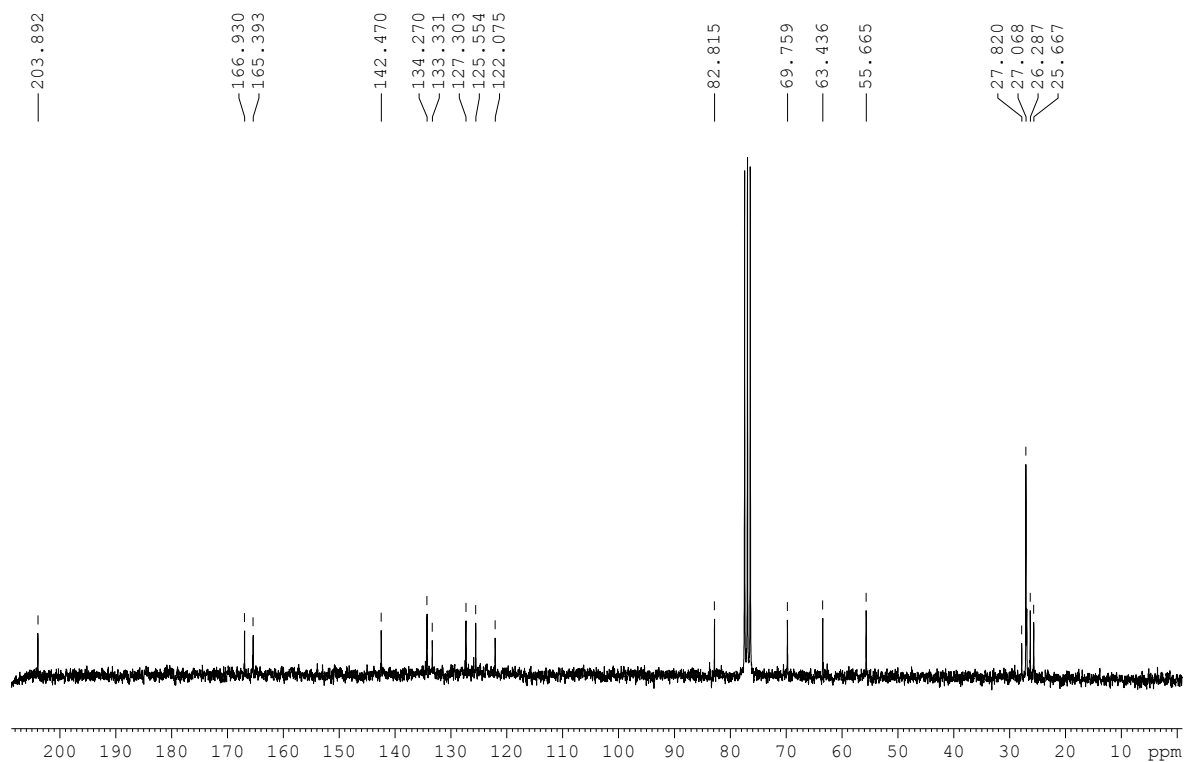
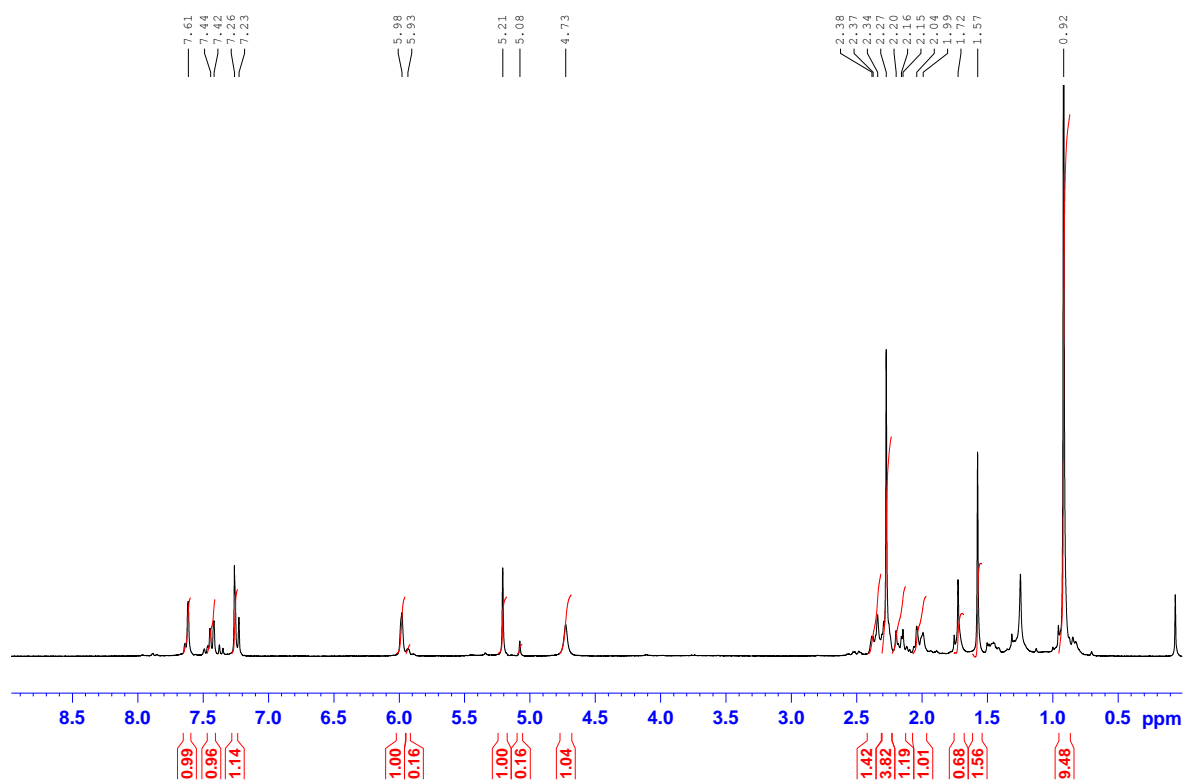
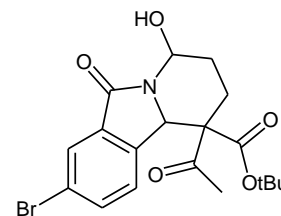


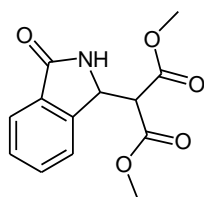


Methyl 1-acetyl-8-bromo-4-hydroxy-6-oxo-1,2,3,4,6,10b-hexahydropyrido[2,1-a]isoindole-1-carboxylate. (11c)

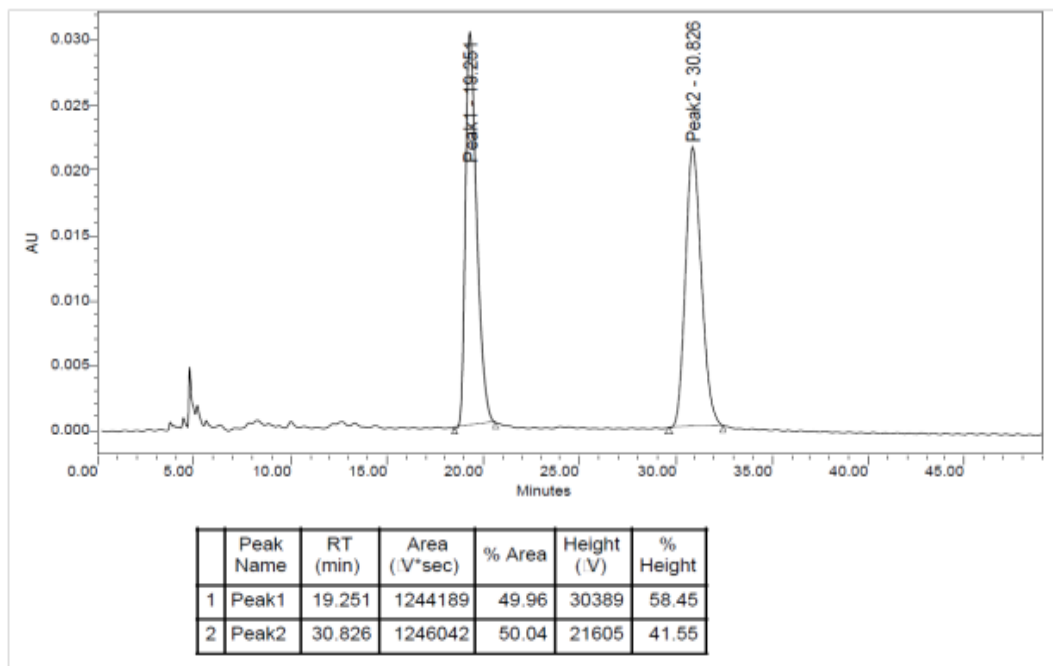


***tert*-Butyl 1-acetyl-8-bromo-4-hydroxy-6-oxo-1,2,3,4,6,10b-hexahydropyrido[2,1-a]isoindole-1-carboxylate. (11d)**

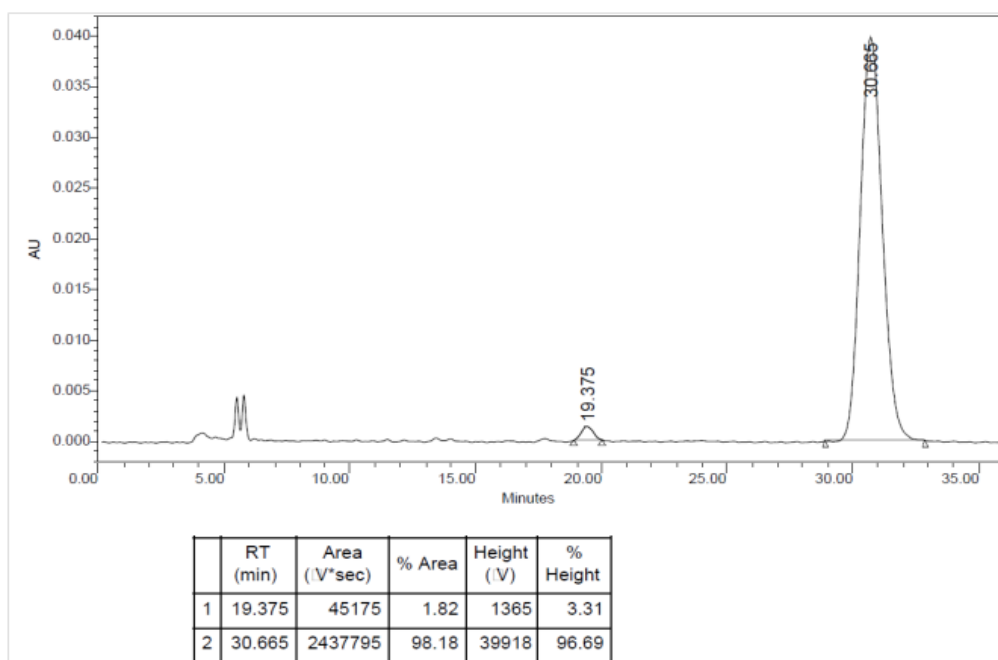


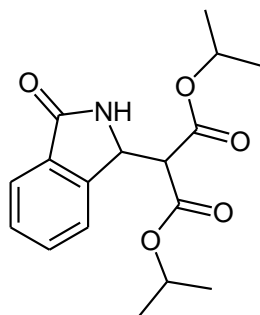


HPLC trace of racemic **3a**:

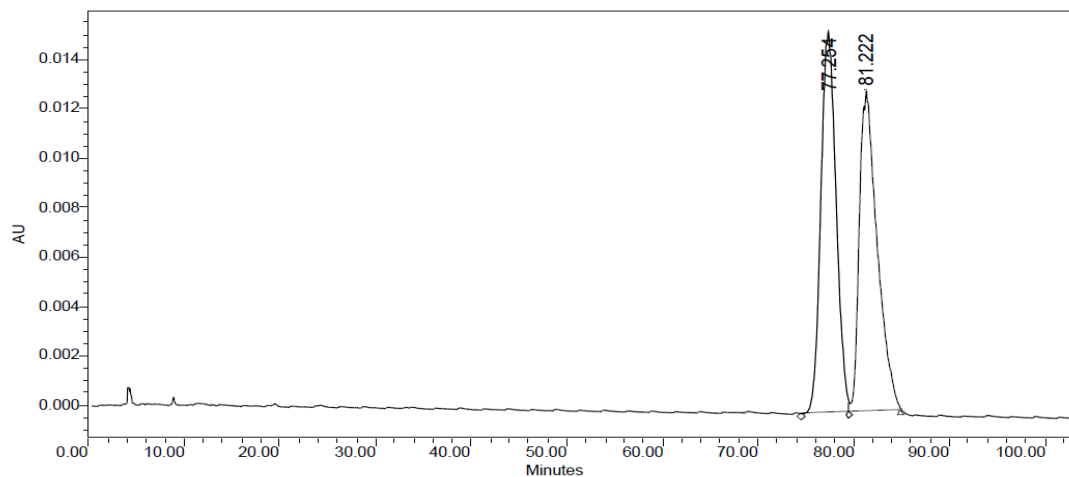


HPLC trace of enantioenriched **3a**:



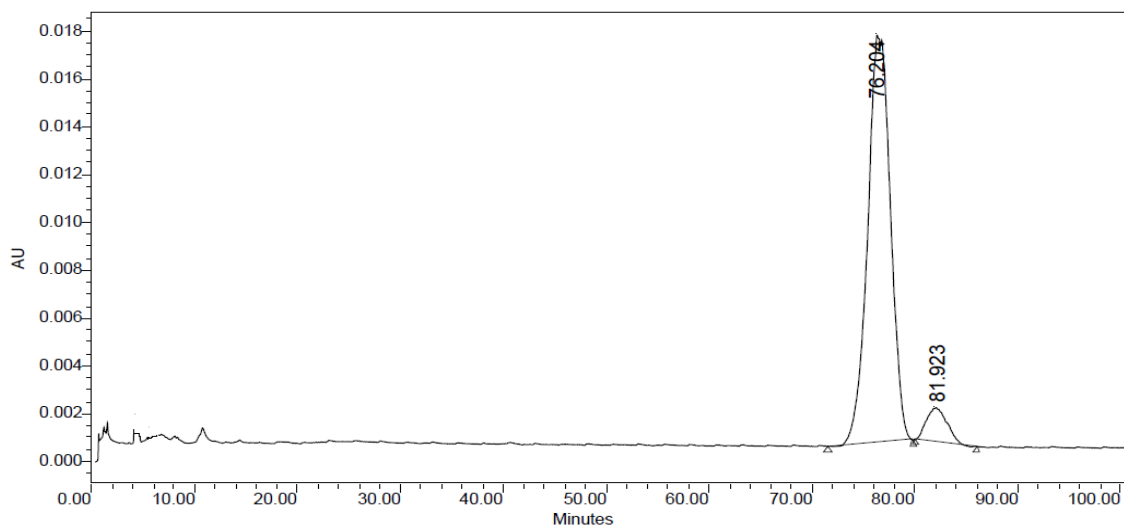


HPLC trace of racemic **3b**:

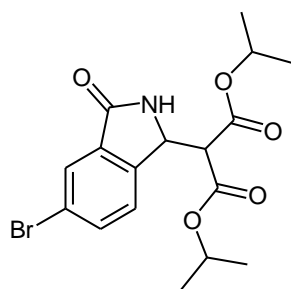


	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	77.254	1714466	50.47	15440	54.29
2	81.222	1682761	49.53	13001	45.71

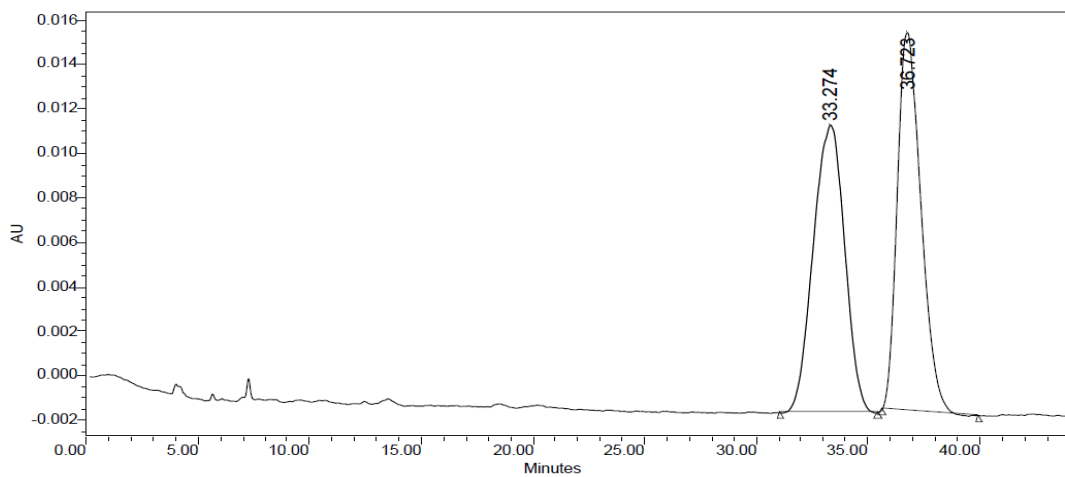
HPLC trace of enantioenriched **3b**:



	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	76.204	2631133	93.07	17048	92.35
2	81.923	195816	6.93	1412	7.65

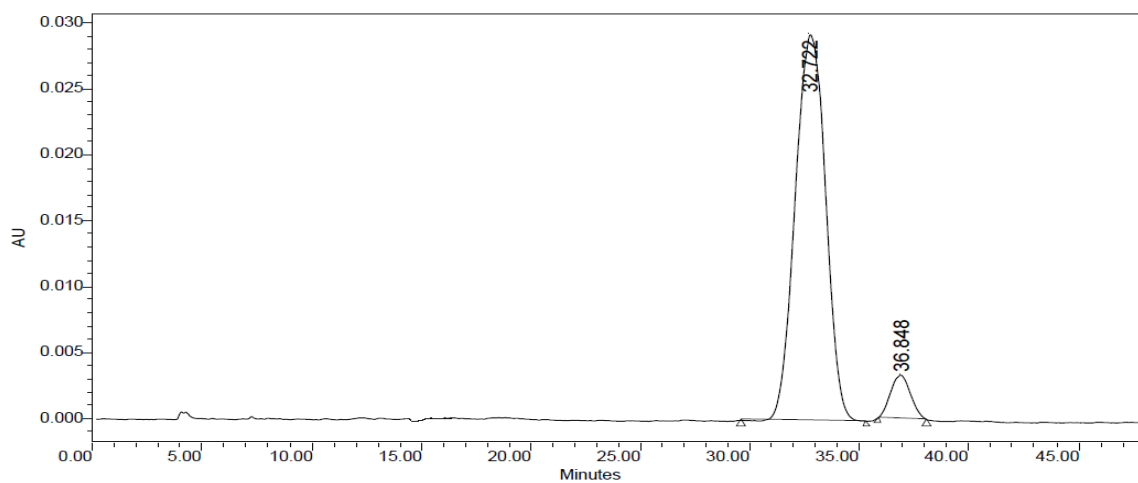


HPLC trace of racemic **3d**:

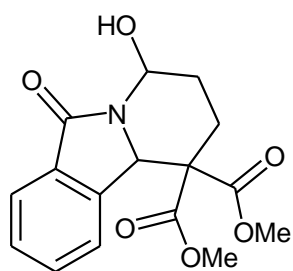


	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	33.274	1269266	50.27	12949	43.21
2	36.723	1255552	49.73	17021	56.79

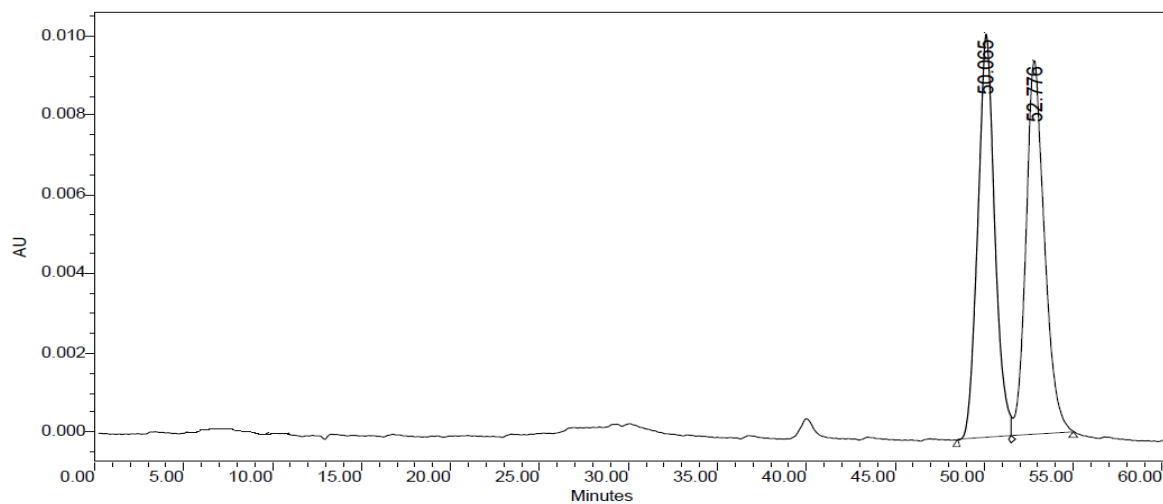
HPLC trace of enantioenriched **3d**:



	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	32.722	2840962	93.12	29277	89.88
2	36.848	210031	6.88	3297	10.12

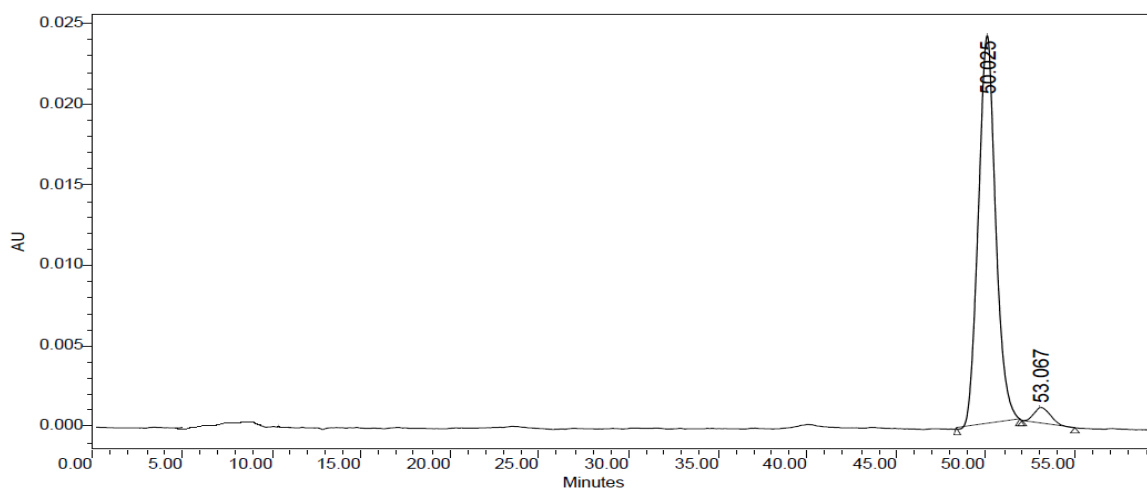


HPLC trace of racemic **5a**:

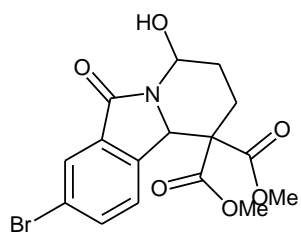


	RT (min)	Area (ΔV*sec)	% Area	Height (ΔV)	% Height
1	50.065	679079	49.78	10197	51.91
2	52.776	684993	50.22	9445	48.09

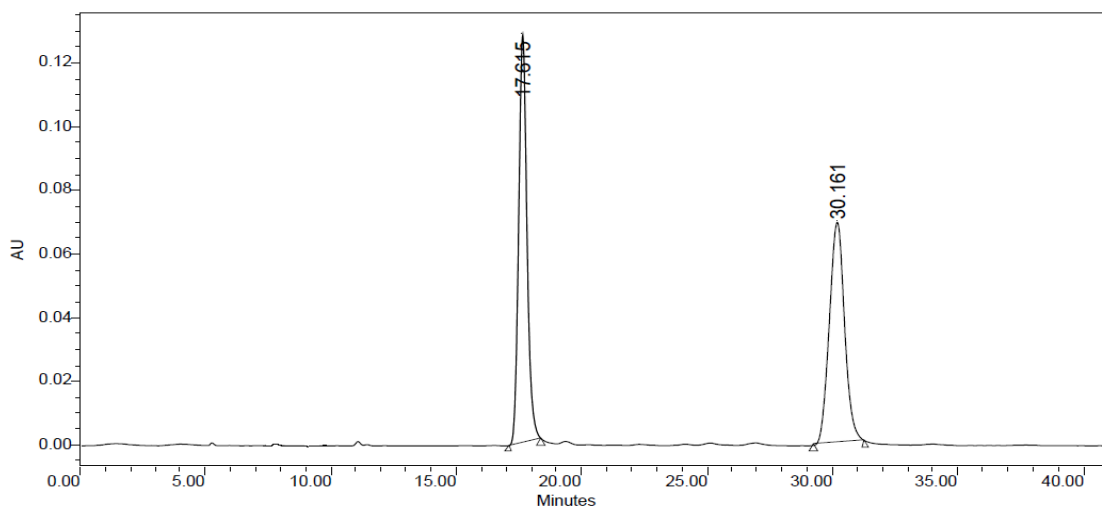
HPLC trace of enantioenriched **5a**:



	RT (min)	Area (ΔV*sec)	% Area	Height (ΔV)	% Height
1	50.025	1631089	96.39	24183	96.17
2	53.067	61162	3.61	964	3.83

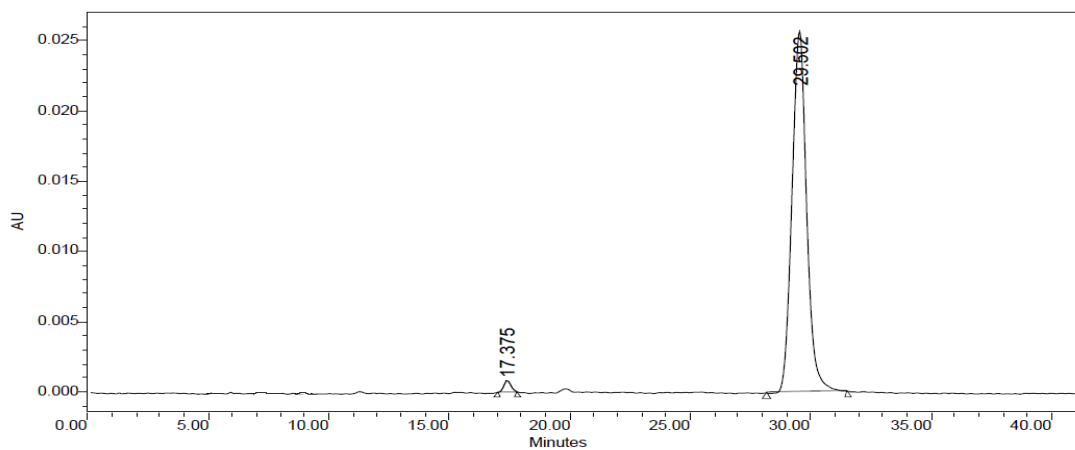


HPLC trace of racemic **5b**:

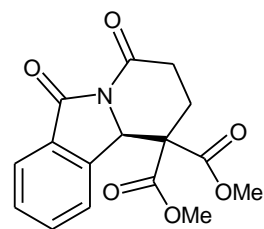


	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	17.615	2970998	50.22	128250	64.87
2	30.161	2945296	49.78	69461	35.13

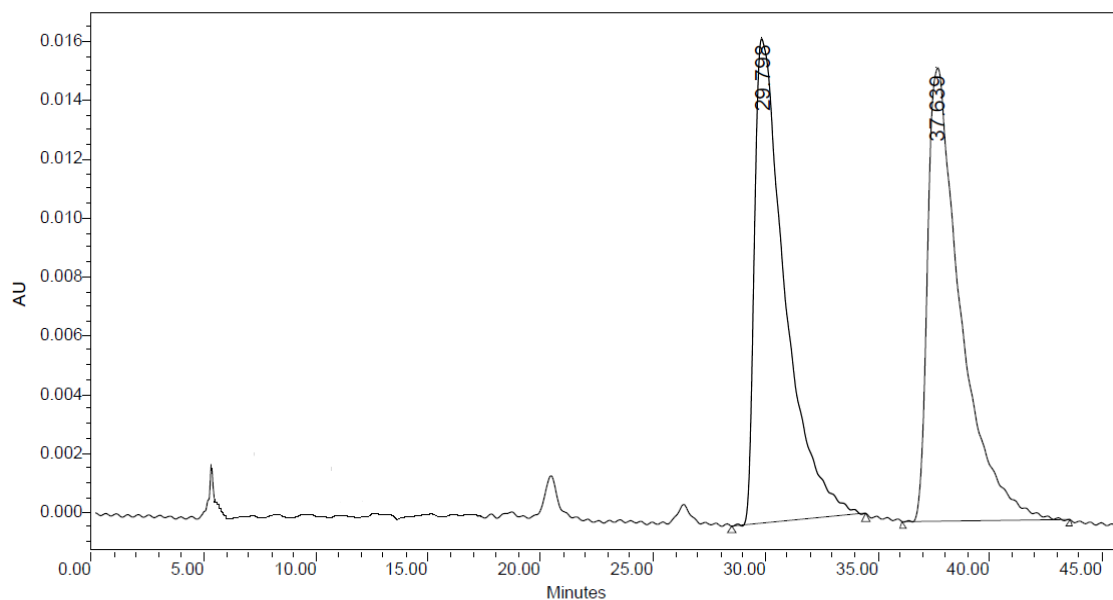
HPLC trace of enantioenriched **5b**:



	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	17.375	17607	1.59	823	3.11
2	29.502	1089500	98.41	25669	96.89

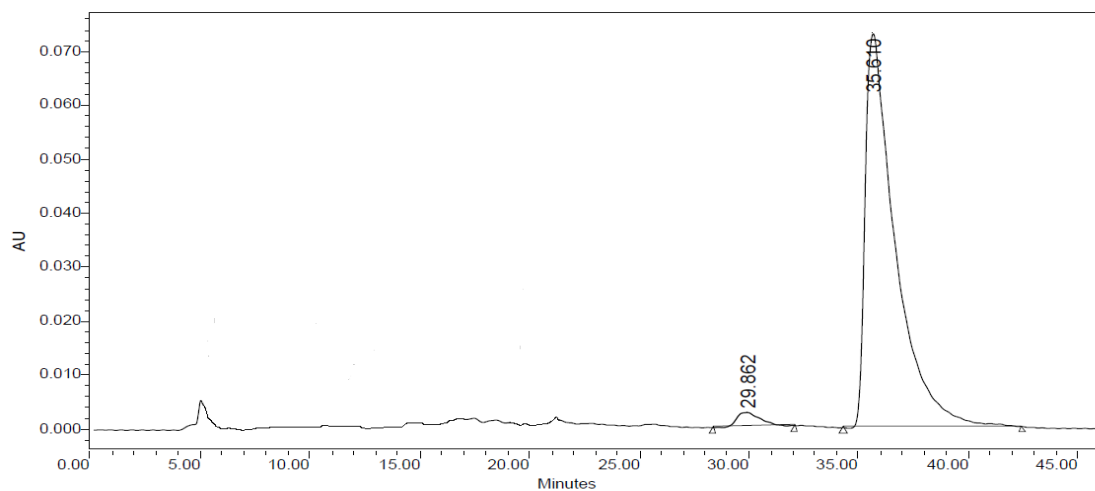


HPLC trace of racemic **6**:

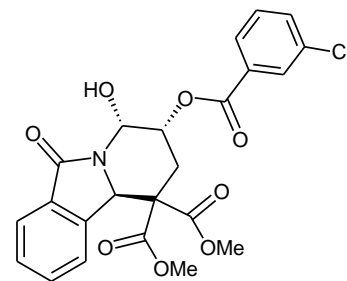


	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	29.798	1560902	49.70	16476	51.65
2	37.639	1579994	50.30	15425	48.35

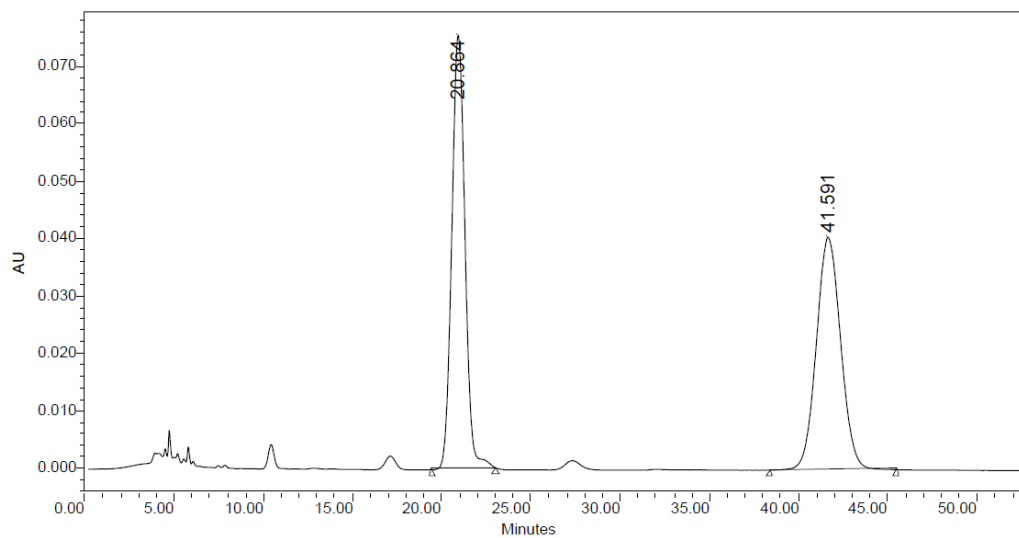
HPLC trace of enantioenriched **6**:



	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	29.862	203768	2.84	2670	3.53
2	35.610	6966598	97.16	72995	96.47

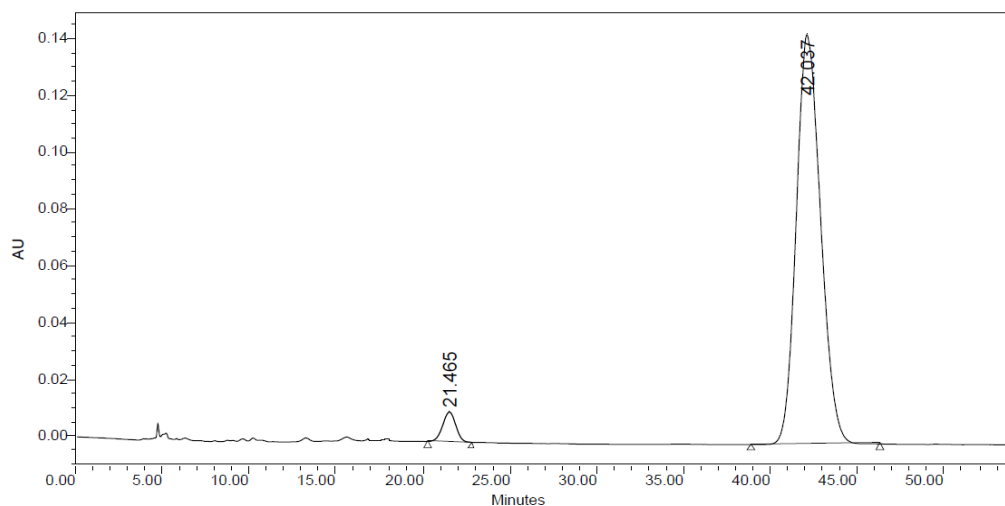


HPLC trace of racemic **8**:

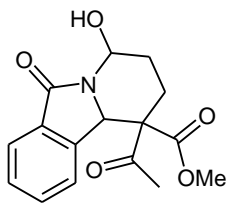


	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	20.864	3882831	50.21	75594	65.08
2	41.591	3850441	49.79	40565	34.92

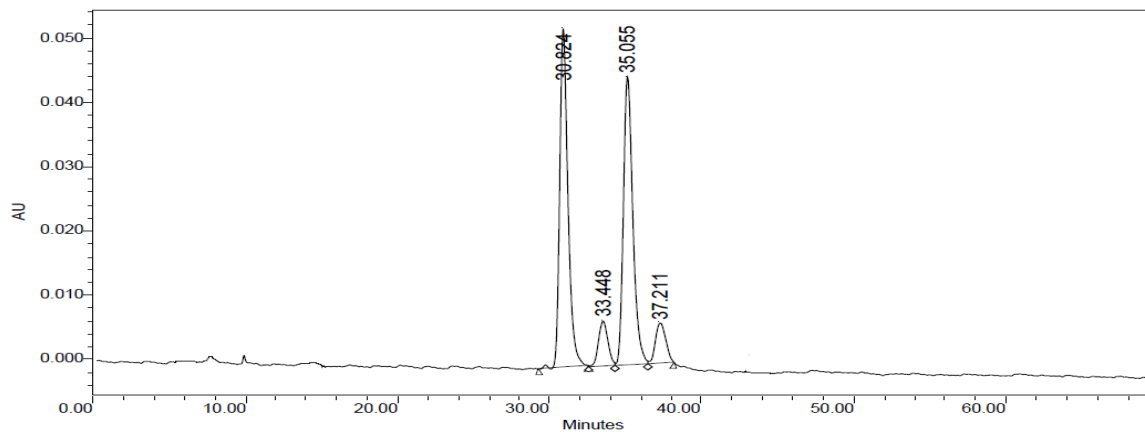
HPLC trace of enantioenriched **8**:



	RT (min)	Area (V*sec)	% Area	Height (V)	% Height
1	21.465	550426	3.76	10455	6.76
2	42.037	14070482	96.24	144235	93.24

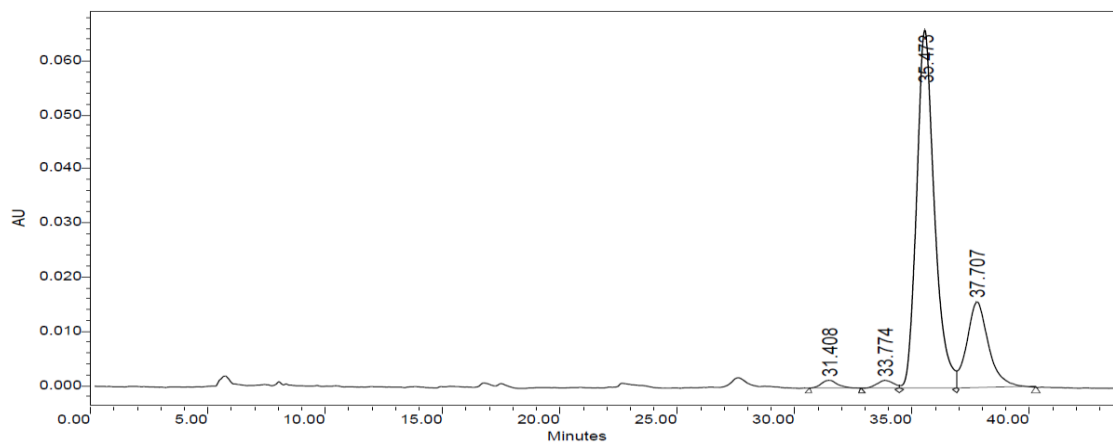


HPLC trace of racemic **11a**:

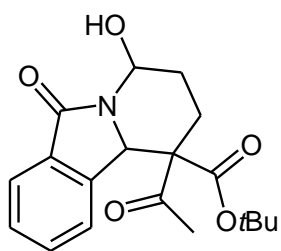


	RT (min)	Area (ΔV*sec)	% Area	Height (ΔV)	% Height
1	30.824	1999380	43.77	52711	47.47
2	33.448	310501	6.80	7075	6.37
3	35.055	1952083	42.73	44876	40.42
4	37.211	306118	6.70	6369	5.74

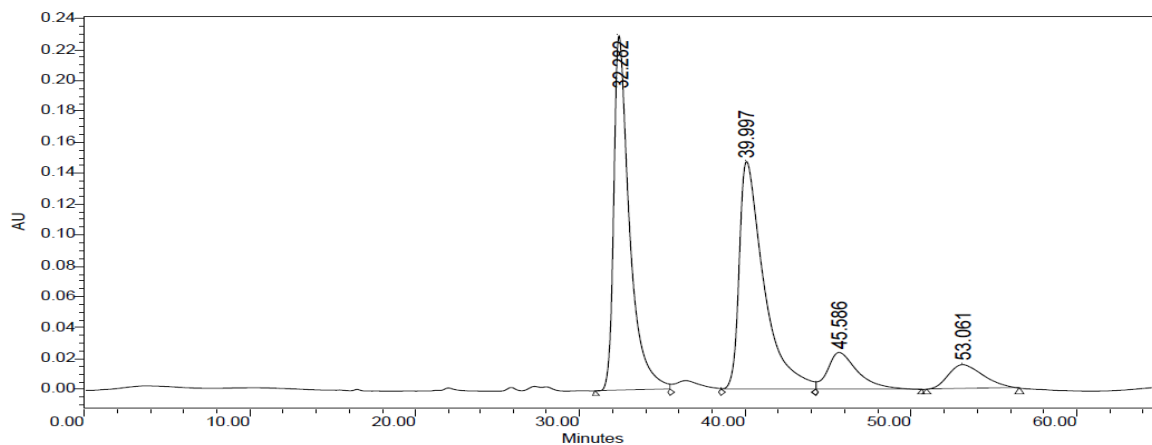
HPLC trace of enantioenriched **11a**:



	RT (min)	Area (ΔV*sec)	% Area	Height (ΔV)	% Height
1	31.408	71194	1.53	1460	1.72
2	33.774	71774	1.54	1435	1.69
3	35.473	3481778	74.85	66124	77.92
4	37.707	1027237	22.08	15838	18.66

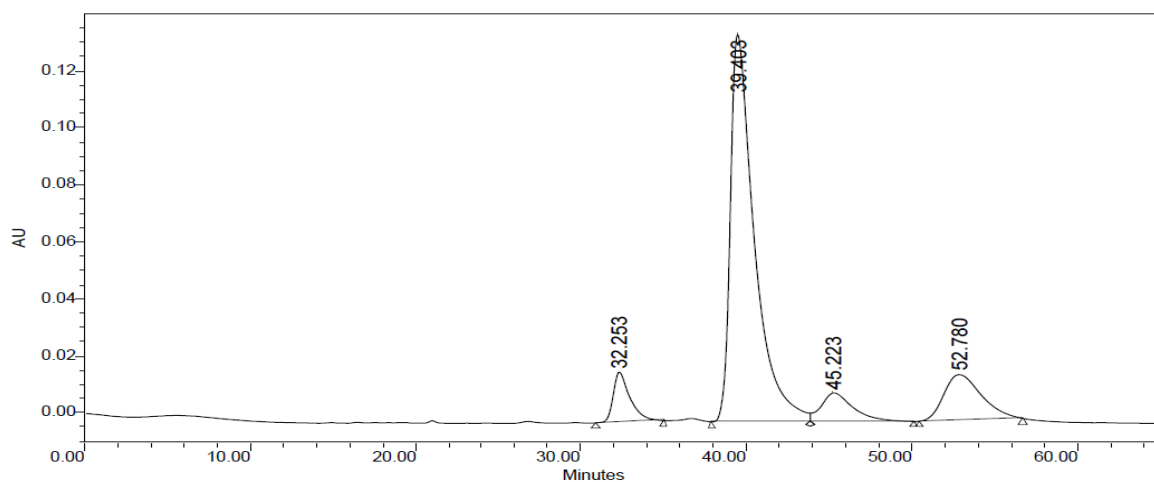


HPLC trace of racemic **11b**.

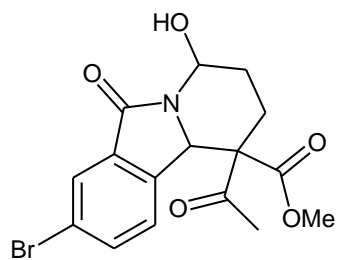


	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	32.282	15360121	42.34	229687	55.03
2	39.997	15488760	42.70	147947	35.44
3	45.586	3104800	8.56	24129	5.78
4	53.061	2323272	6.40	15659	3.75

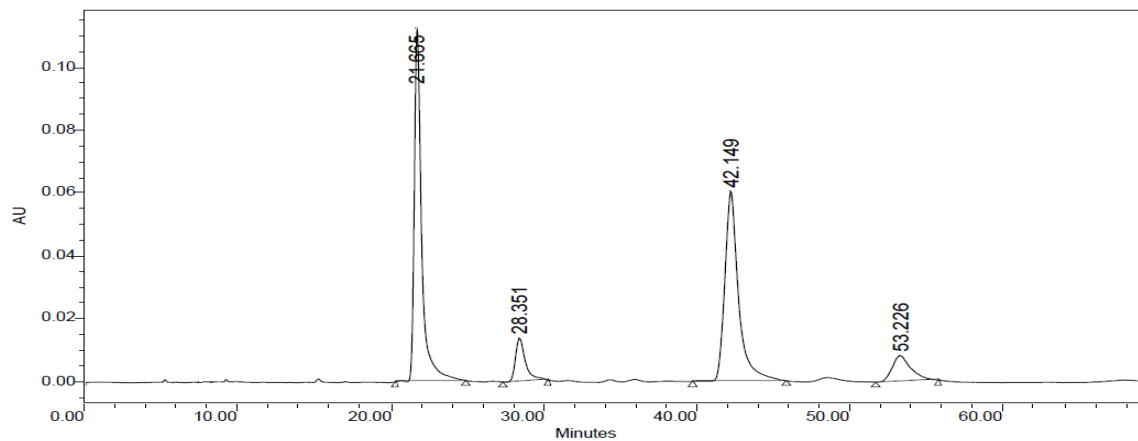
HPLC trace of enantioenriched **11b**.



	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	32.253	1235958	6.55	17508	9.76
2	39.403	13751416	72.84	135932	75.75
3	45.223	1360164	7.20	10059	5.61
4	52.780	2531939	13.41	15960	8.89

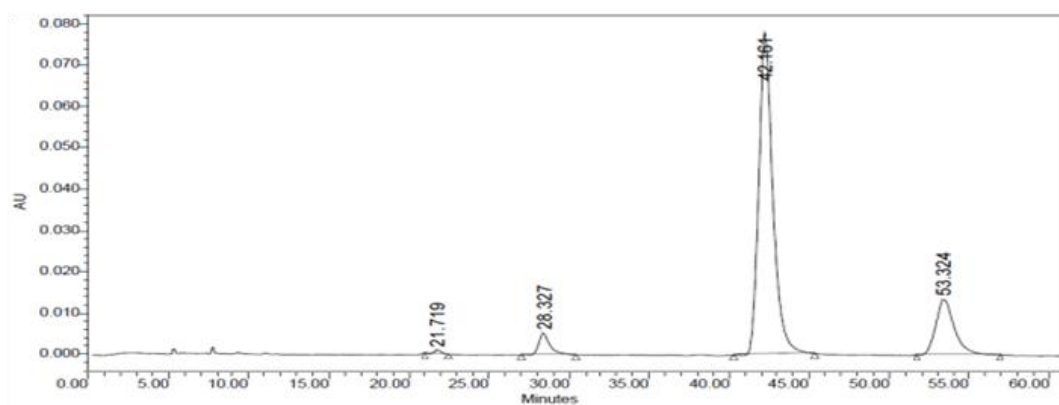


HPLC trace of racemic **11c**

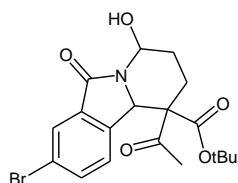


	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	21.665	3760040	42.45	111939	57.65
2	28.351	630552	7.12	13668	7.04
3	42.149	3760766	42.46	60333	31.07
4	53.226	705441	7.96	8217	4.23

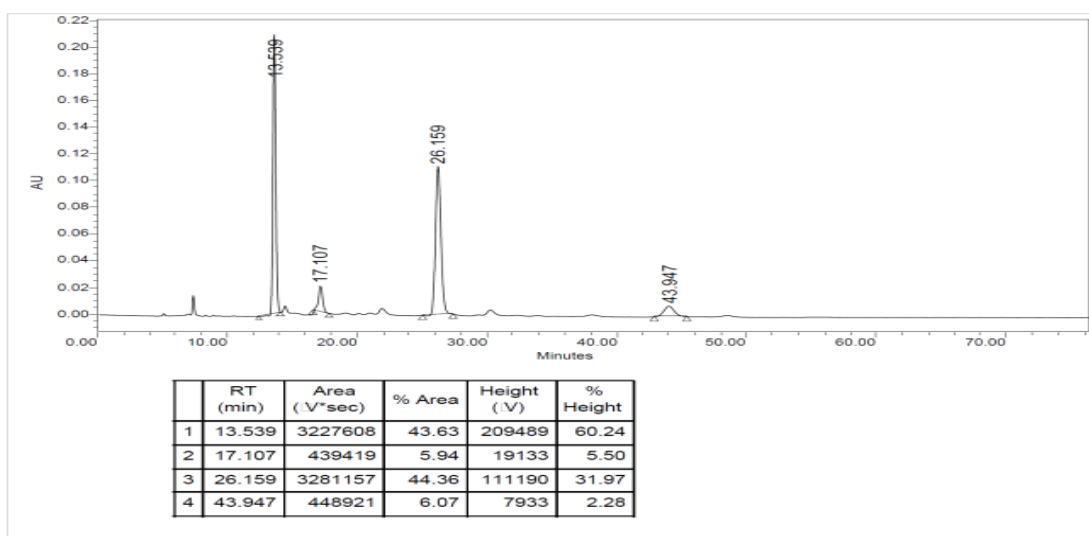
HPLC trace of enantioenriched **11c**:



	RT (min)	Area (UV*sec)	% Area	Height (UV)	% Height
1	21.719	27488	0.45	919	0.94
2	28.327	258071	4.18	5269	5.39
3	42.161	4783532	77.48	78059	79.89
4	53.324	1104912	17.90	13457	13.77



HPLC trace of racemic **11d**:



HPLC trace of enantioenriched **11d**:

