Supporting Information

Copper-catalyzed Oxidative C-H Amination of Tetrahydrofuran with Indole/ Carbazole Derivatives

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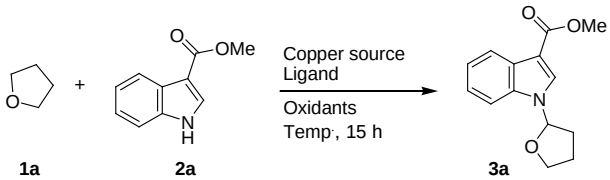
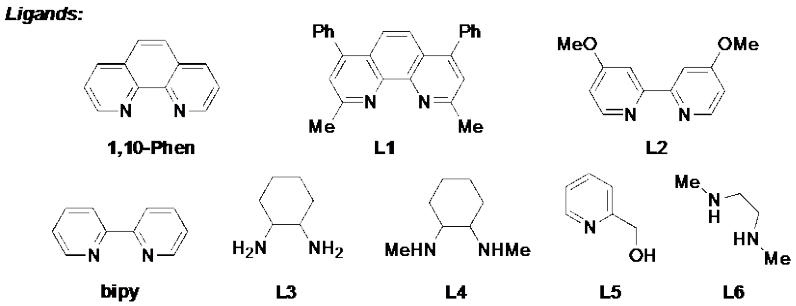
1. Screening Table for Cu-catalyzed Direct Amination (Table S1)



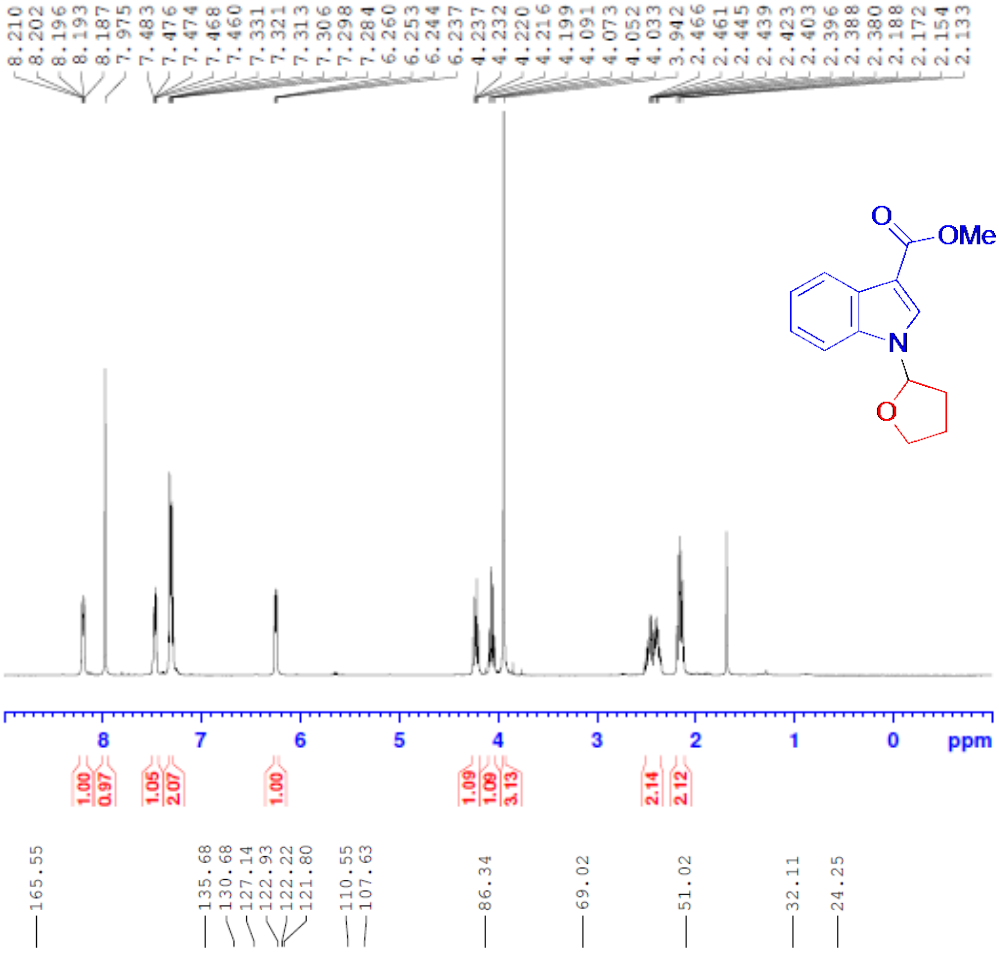
entry	catalyst	ligand	oxidant	% yield[b]
1	$CuCl_2$	--	DTBP	23
2	$Cu(OAc)_2$	--	DTBP	21
3	$CuBr_2$	--	DTBP	0
4	CuBr	--	DTBP	0
5	CuO	--	DTBP	15
6	--	--	DTBP	0
7	$CuCl_2$	--	$K_2S_2O_8$	0
8	$CuCl_2$	--	TBHP	0
9	$CuCl_2$	--	TBPB	0
10	$CuCl_2$	PPh_3	DTBP	22
11	$CuCl_2$	1,10-Phen	DTBP	57
12	$CuCl_2$	bipy	DTBP	72
13	$CuCl_2$	**L1**	DTBP	trace
14	$CuCl_2$	**L2**	DTBP	47
15	$CuCl_2$	**L3**	DTBP	36
16	$CuCl_2$	**L4**	DTBP	trace
17	$CuCl_2$	**L5**	DTBP	20
18	$CuCl_2$	**L6**	DTBP	25
19[c]	$CuCl_2$	bipy	DTBP	95
20[c,d]	$CuCl_2$	bipy	DTBP	82
21[c,e]	$CuCl_2$	bipy	DTBP	86

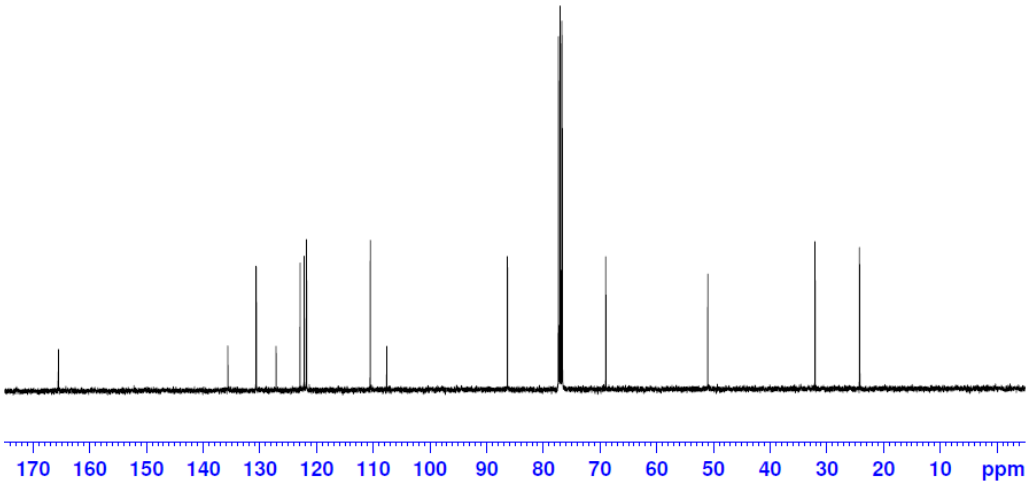


[a]Reaction conditions: THF **(1a)** (2 mL), substituted indole **2a** (0.5 mmol), Cu source (0.05 mmol, 10 mol%), ligand (0.05 mmol, 10 mol%), and DTBP (1.0 mmol, 2 equiv.) were stirred at 140 °C under air for 15 h. DTBP = di-*tert*-butyl peroxide; TBHP = *tert*-butyl hydroperoxide (70% in aqueous solution); TBPB = *tert*-butyl peroxybenzoate; 1,10-Phen = 1,10-Phenanthroline; bipy = 2,2'-bipyridine. [b]Isolated yields. [c]3 equivalent of DTBP was used. [d]The reaction was performed at 120 °C. [e]5 mol% of $CuCl_2$ and bipy were used.

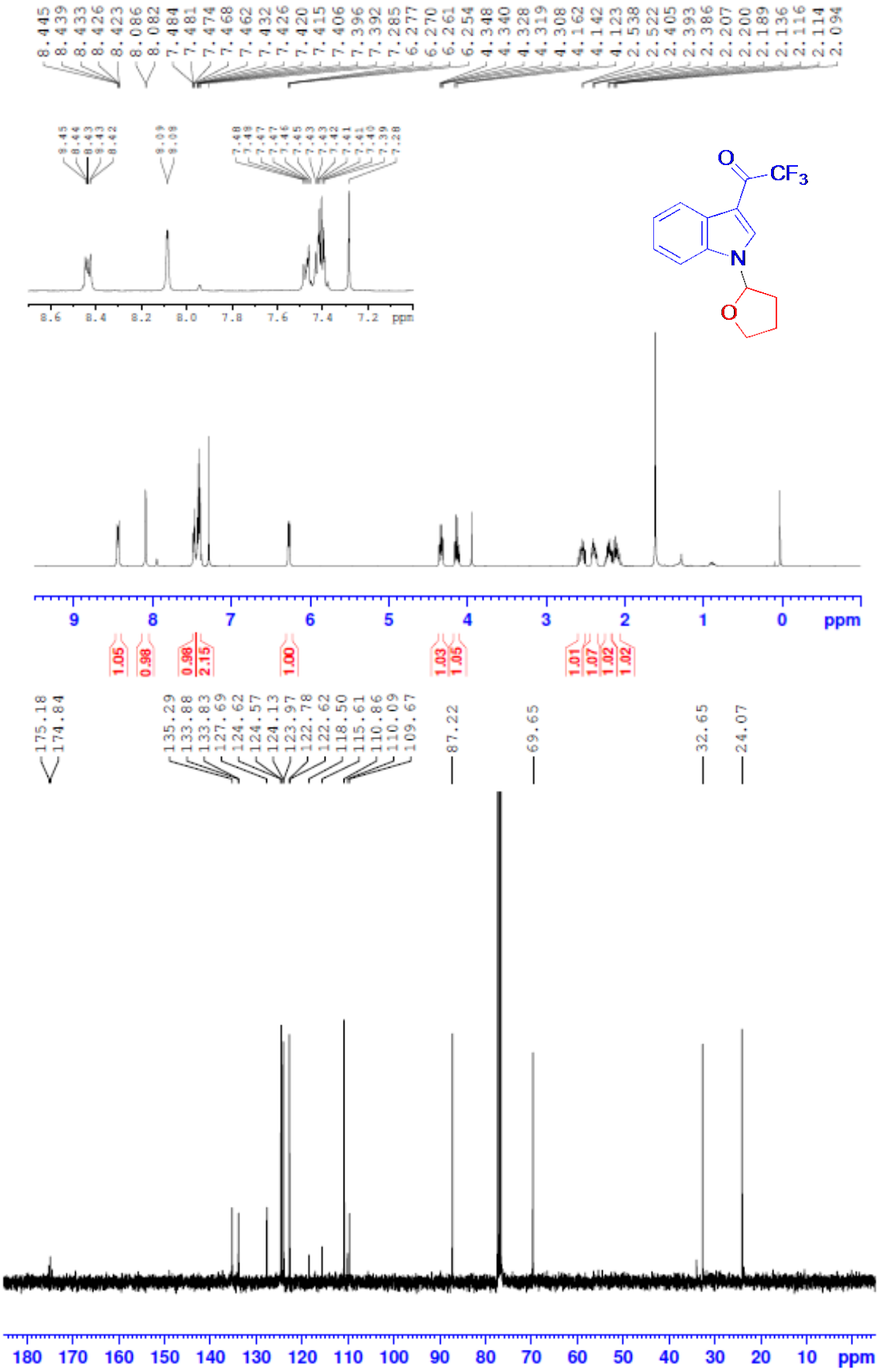
2. ^{1}H, and ^{13}C spectra

Methyl 1-(tetrahydrofuran-2-yl)-1*H*-indole-3-carboxylate (Table 1, product 3aa)

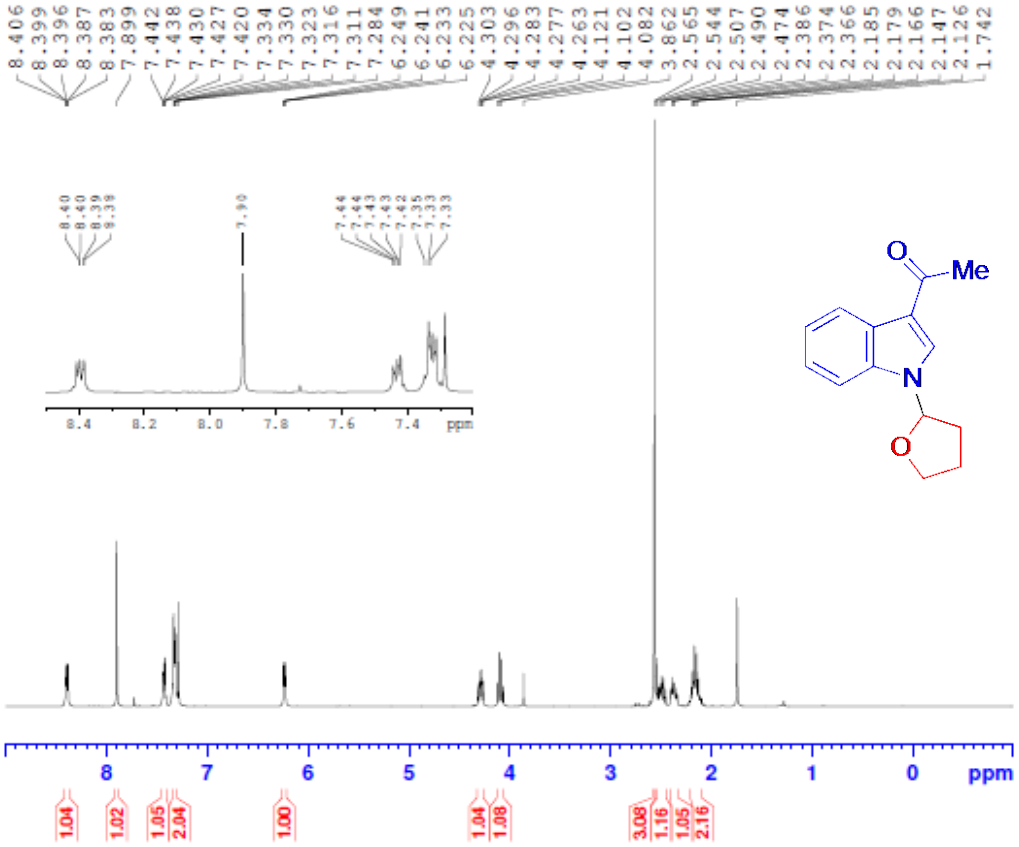


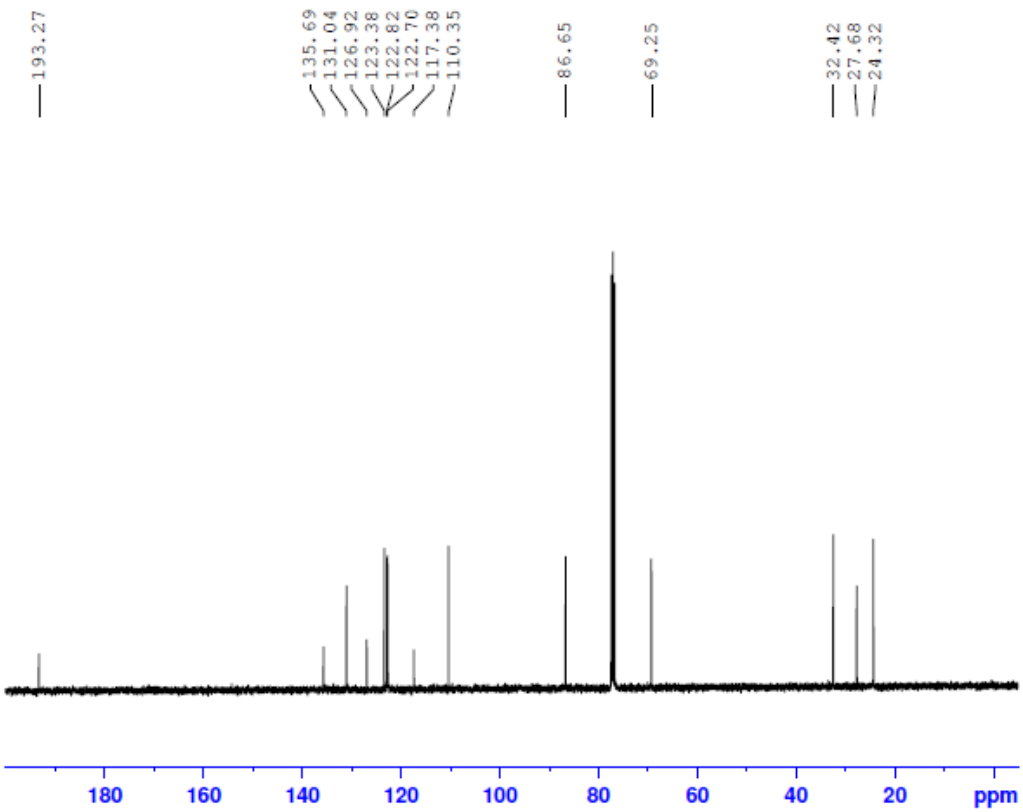


2,2,2-Trifluoro-1-(1-(tetrahydrofuran-2-yl)-1*H*-indol-3-yl)ethanone (Table 1, product 3ab)

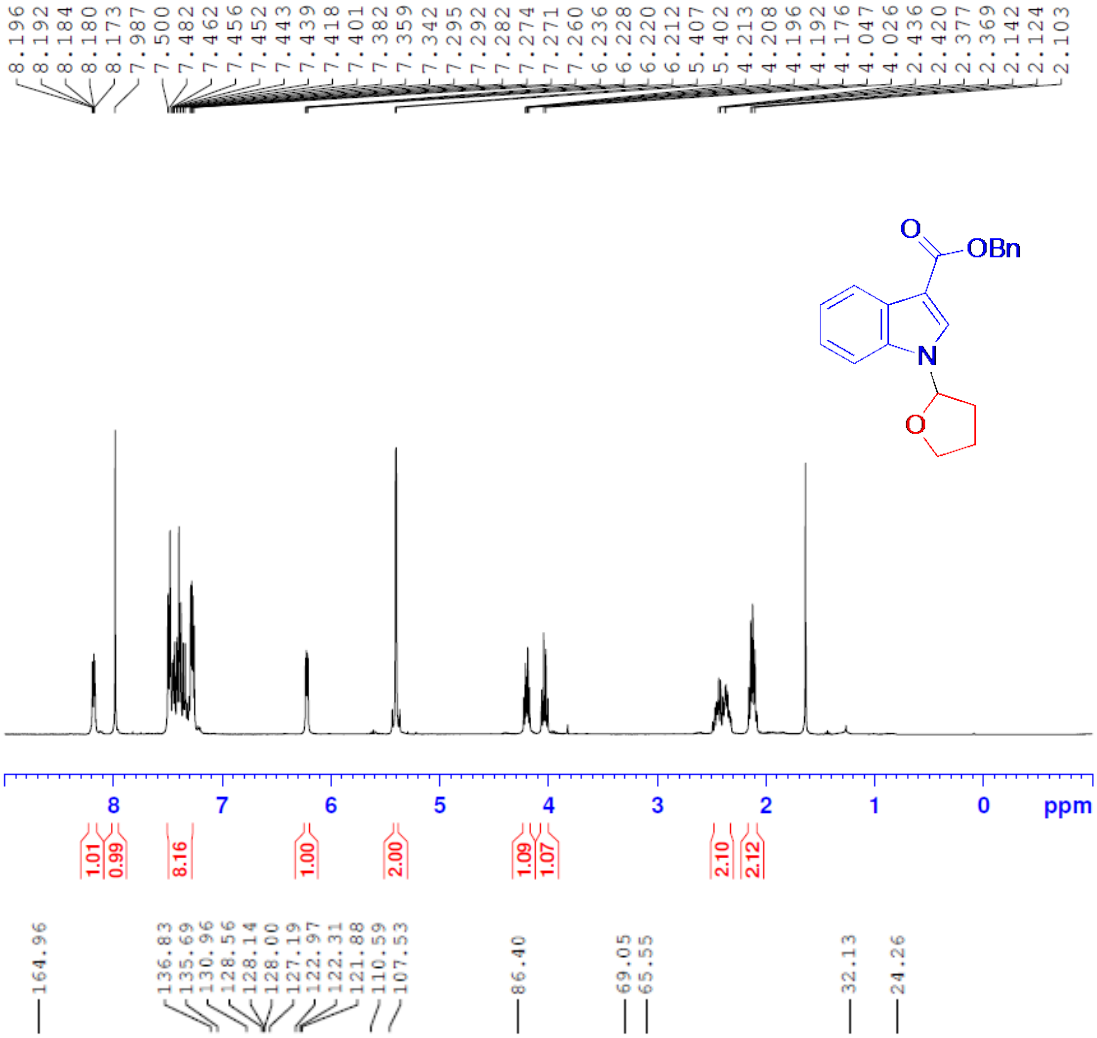


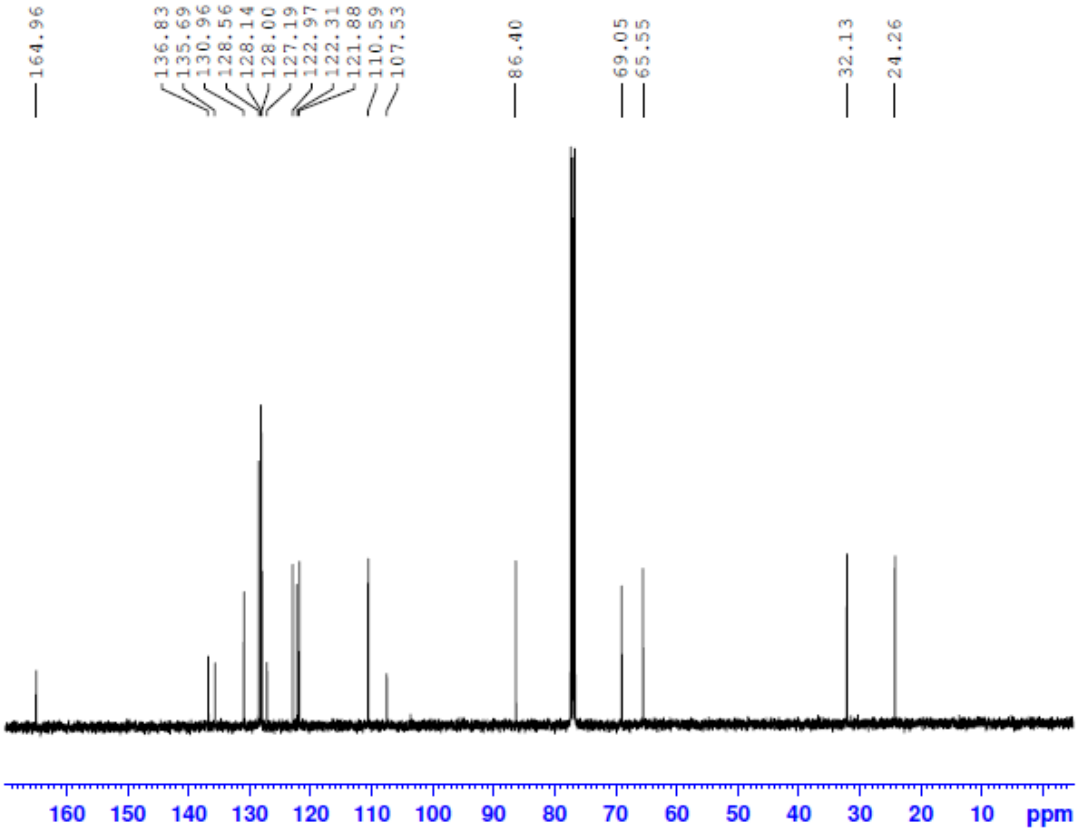
1-(1-(Tetrahydrofuran-2-yl)-1*H*-indol-3-yl)ethanone (Table 1, product 3ac)



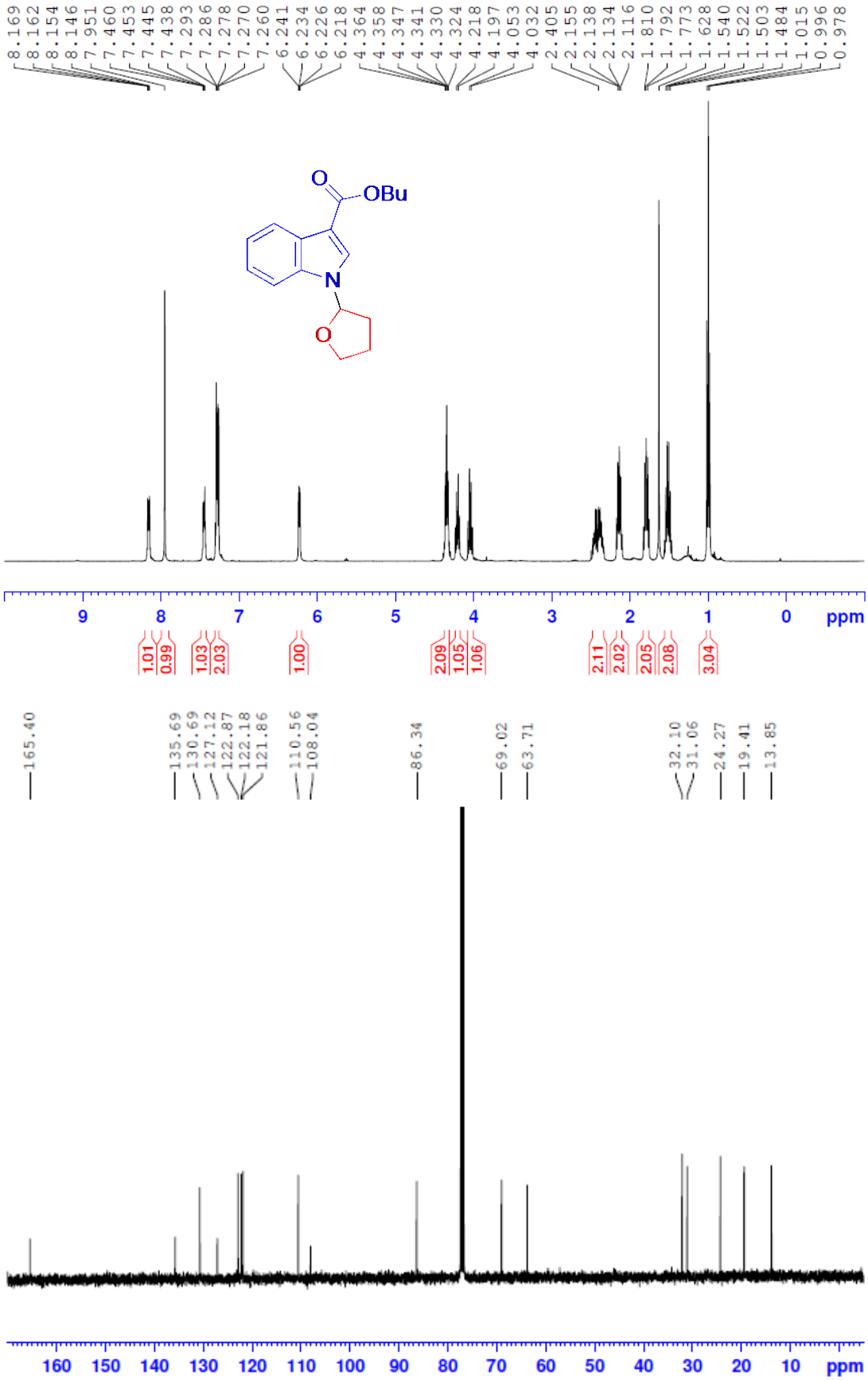


Benzyl 1-(tetrahydrofuran-2-yl)-1H-indole-3-carboxylate (Table 1, product 3ad)

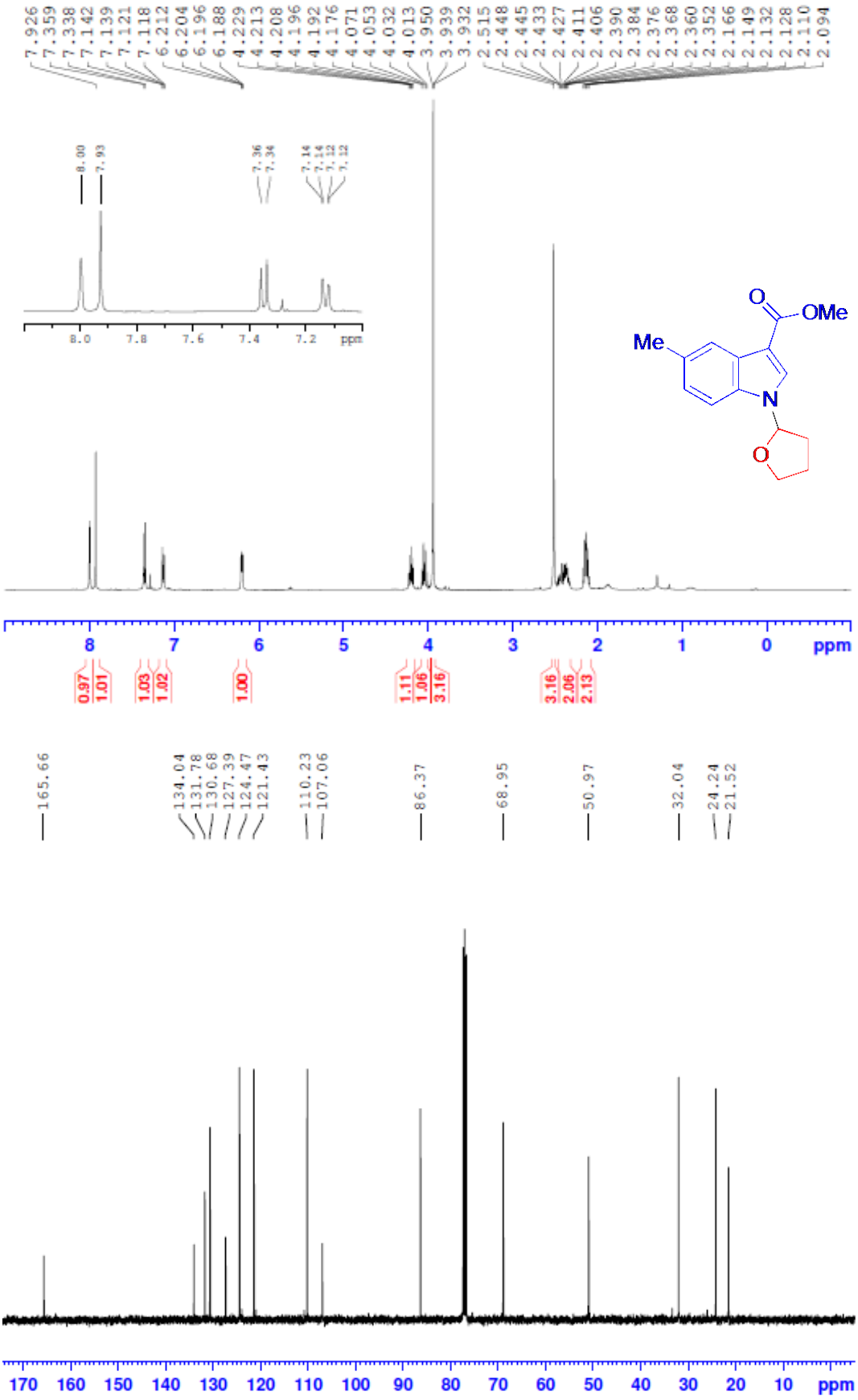




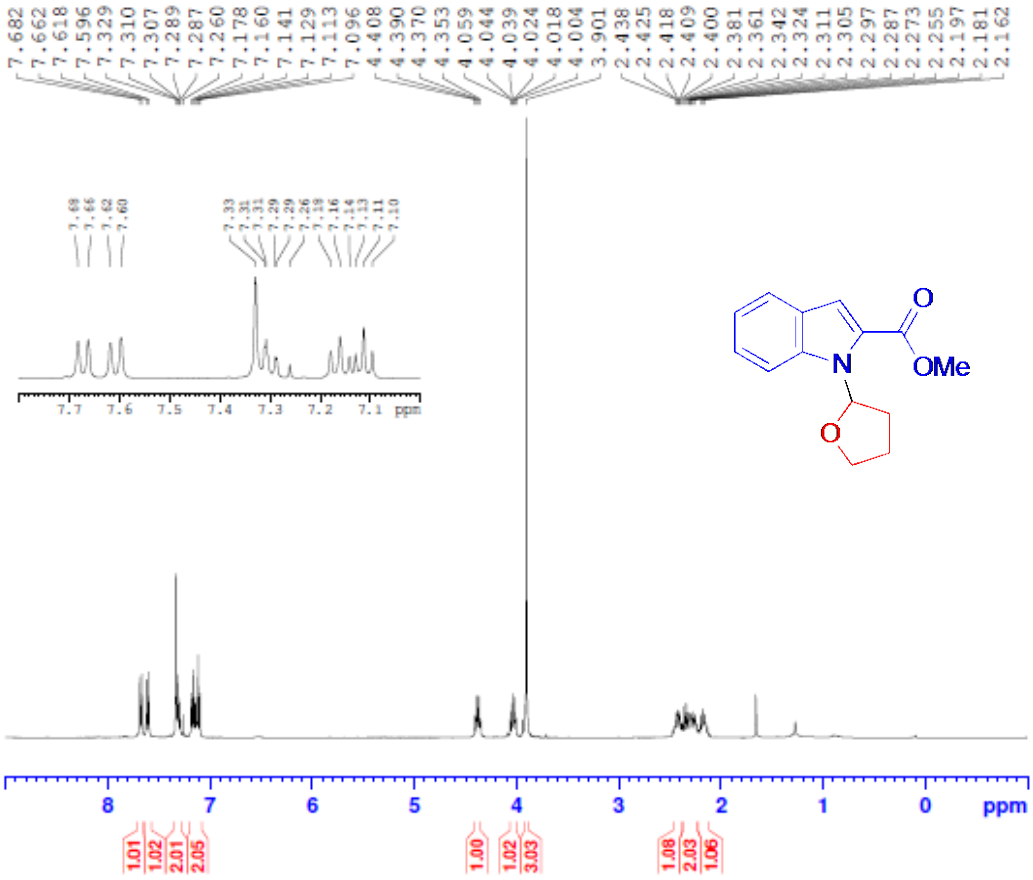
Butyl 1-(tetrahydrofuran-2-yl)-1*H*-indole-3-carboxylate (Table 1, product 3ae)

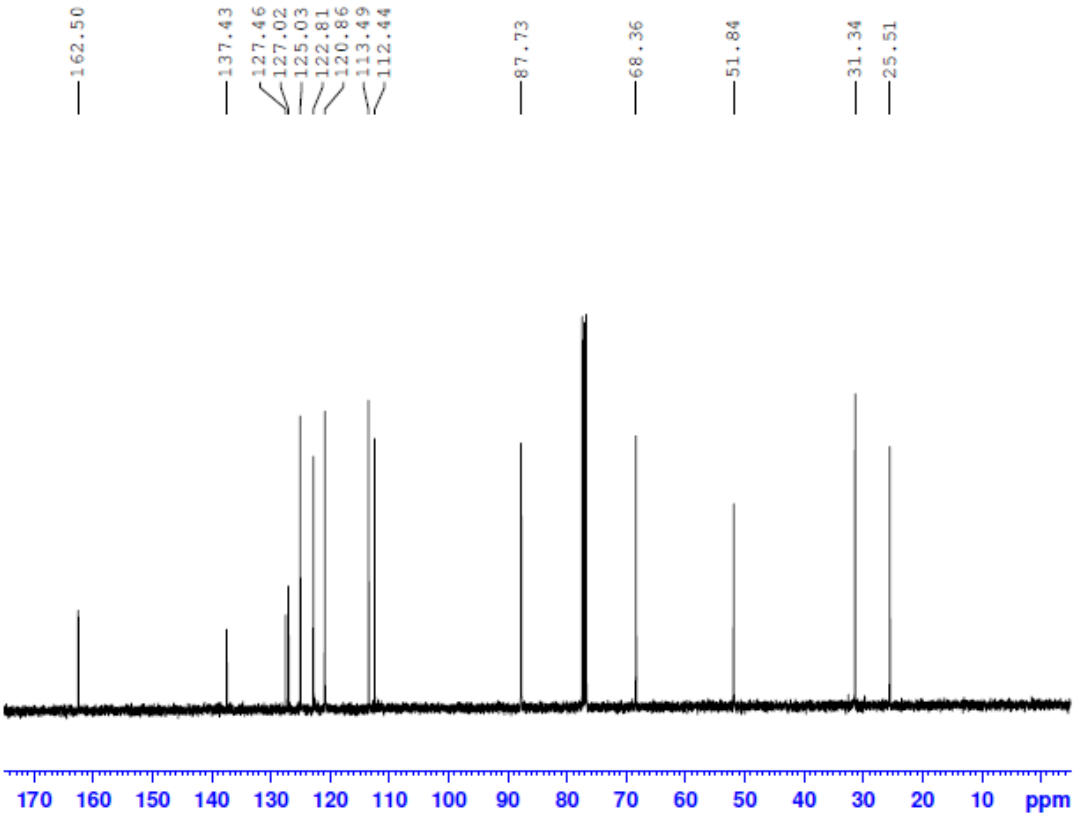


Methyl 5-methyl-1-(tetrahydrofuran-2-yl)-1*H*-indole-3-carboxylate (Table 1, product 3af)

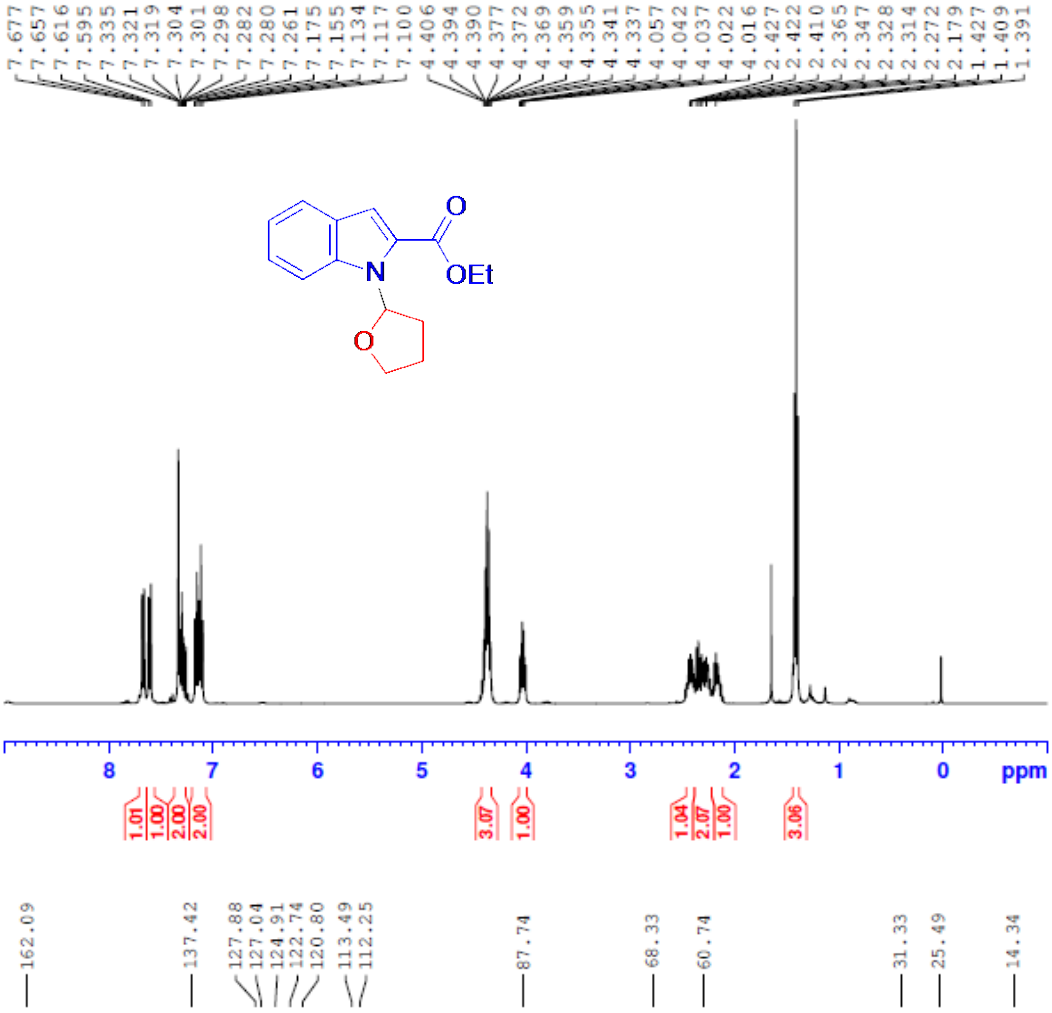


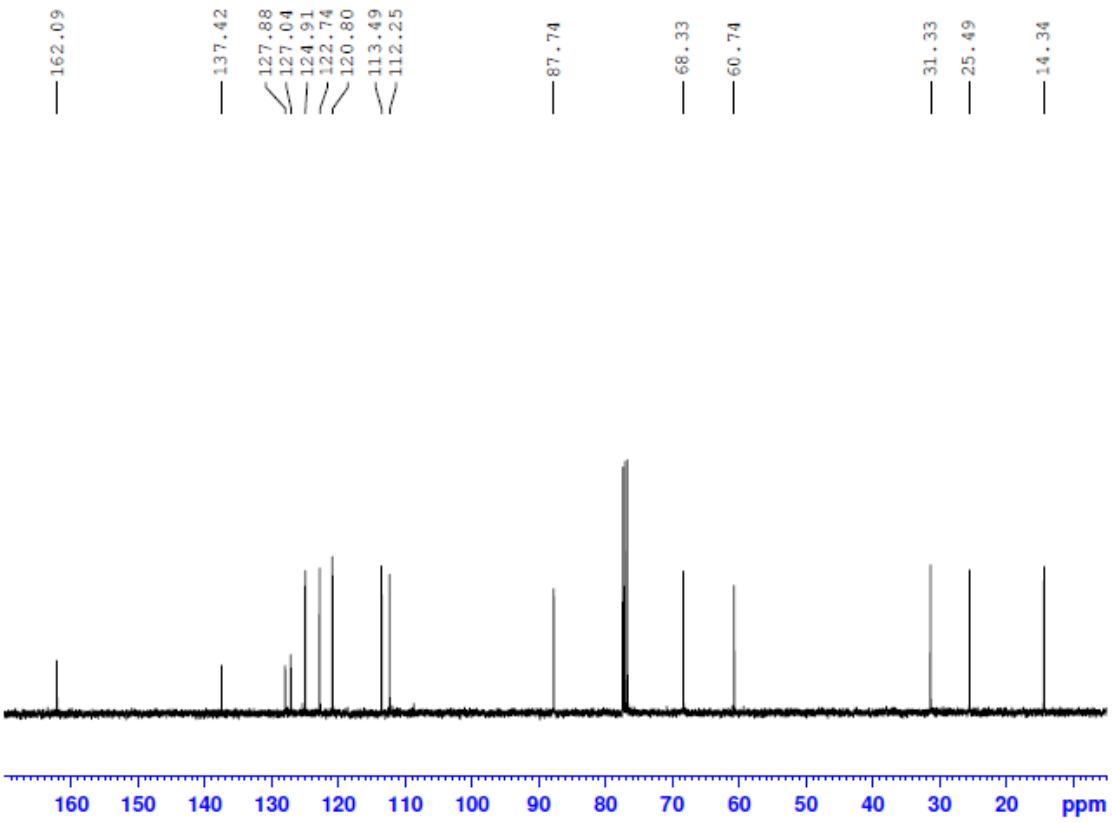
Methyl 1-(tetrahydrofuran-2-yl)-1*H*-indole-2-carboxylate (Table 1, product 3ag)



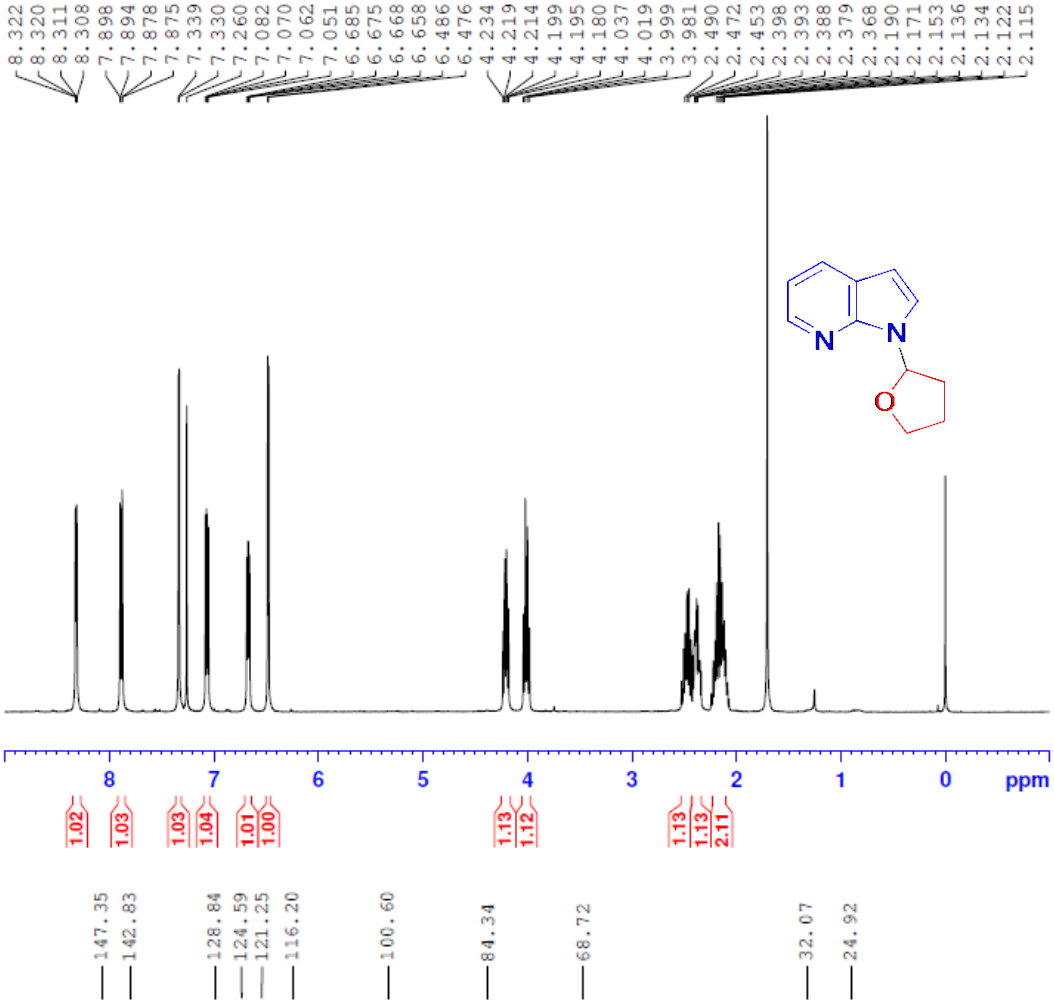


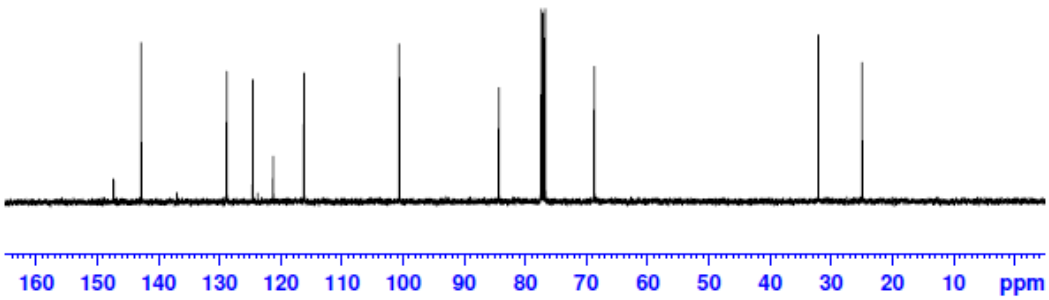
Ethyl 1-(tetrahydrofuran-2-yl)-1*H*-indole-2-carboxylate (Table 1, product 3ah)



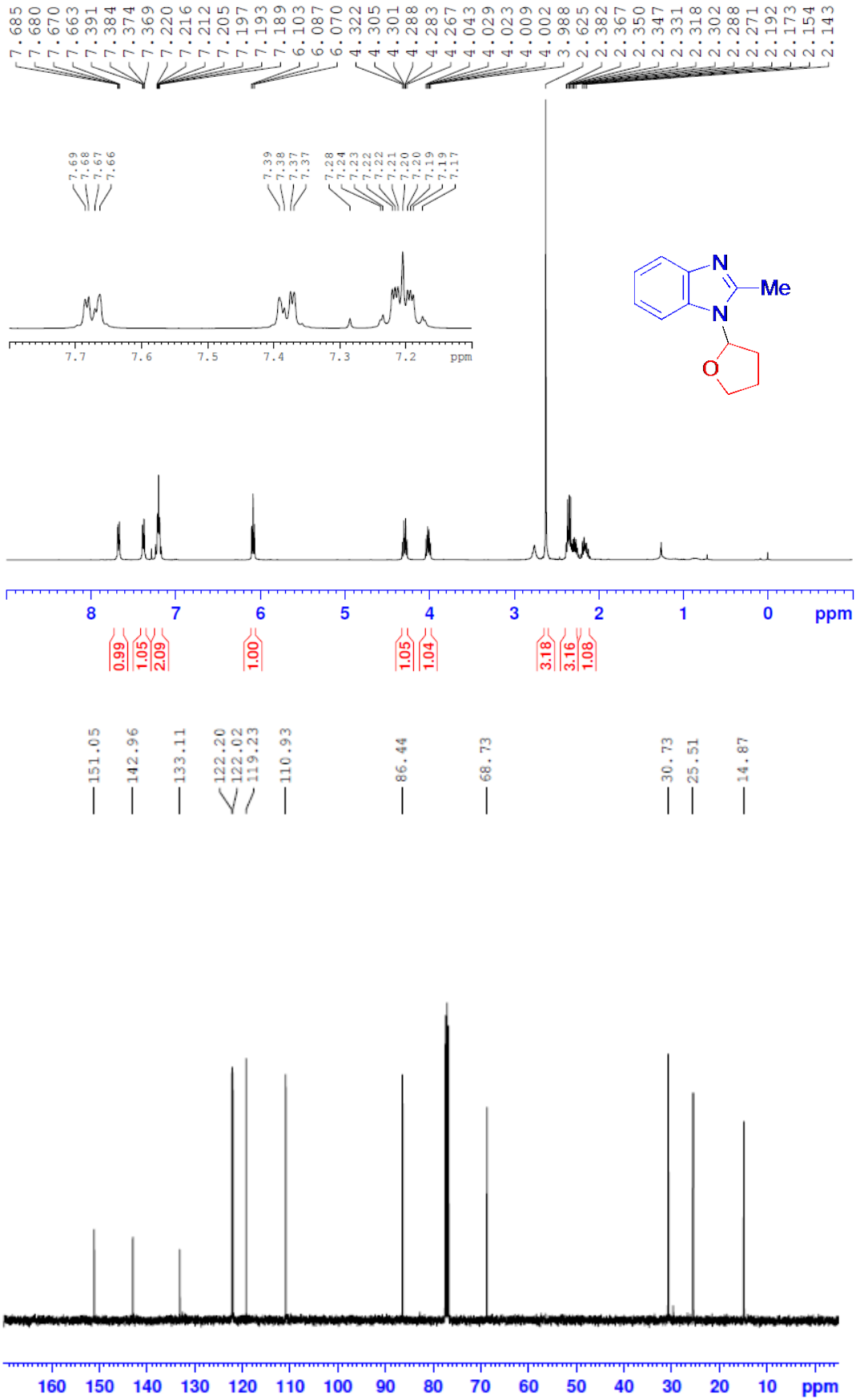


1-(Tetrahydrofuran-2-yl)-1*H*-pyrrolo[2,3-*b*]pyridine (Table 1, product 3ai)

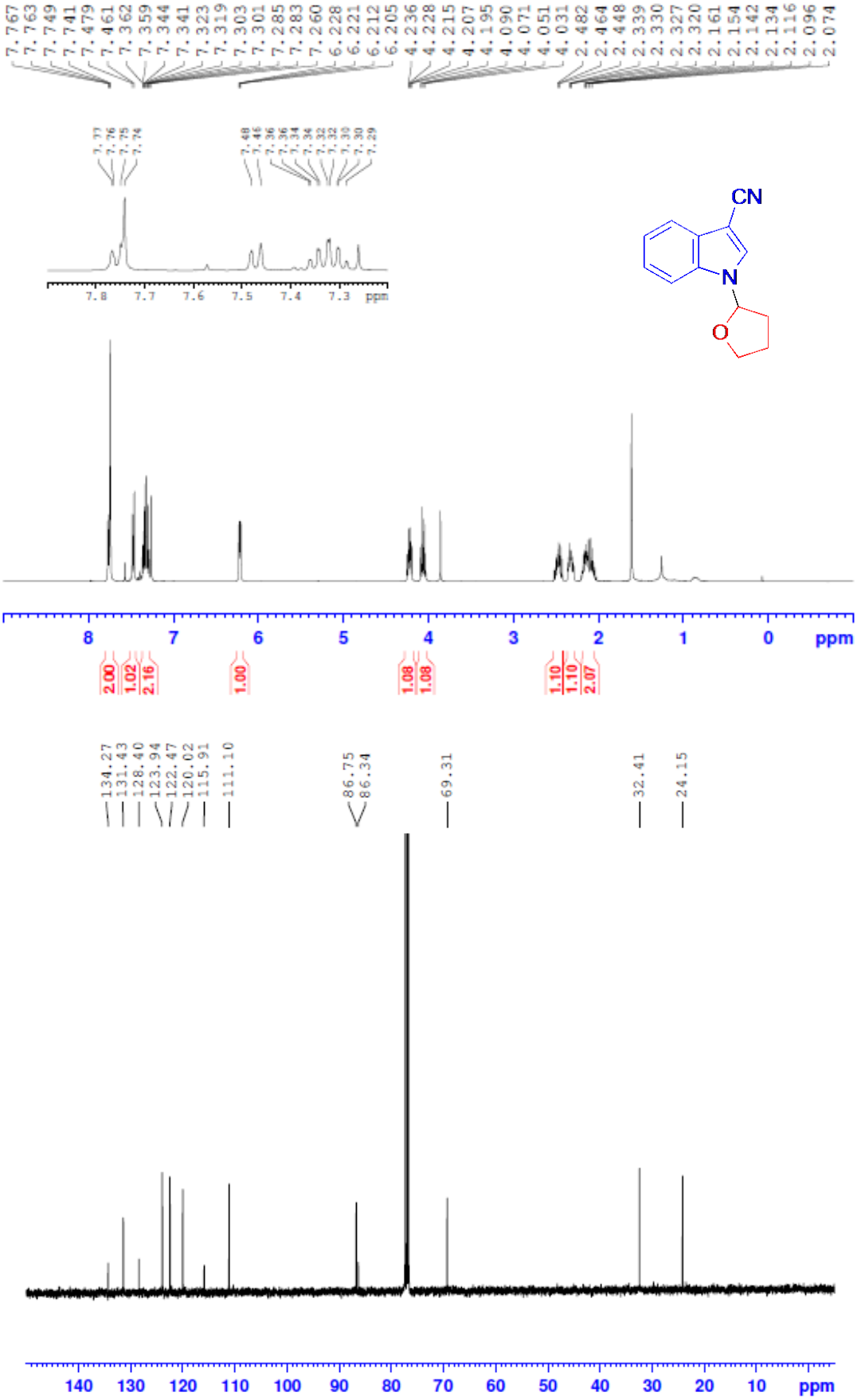




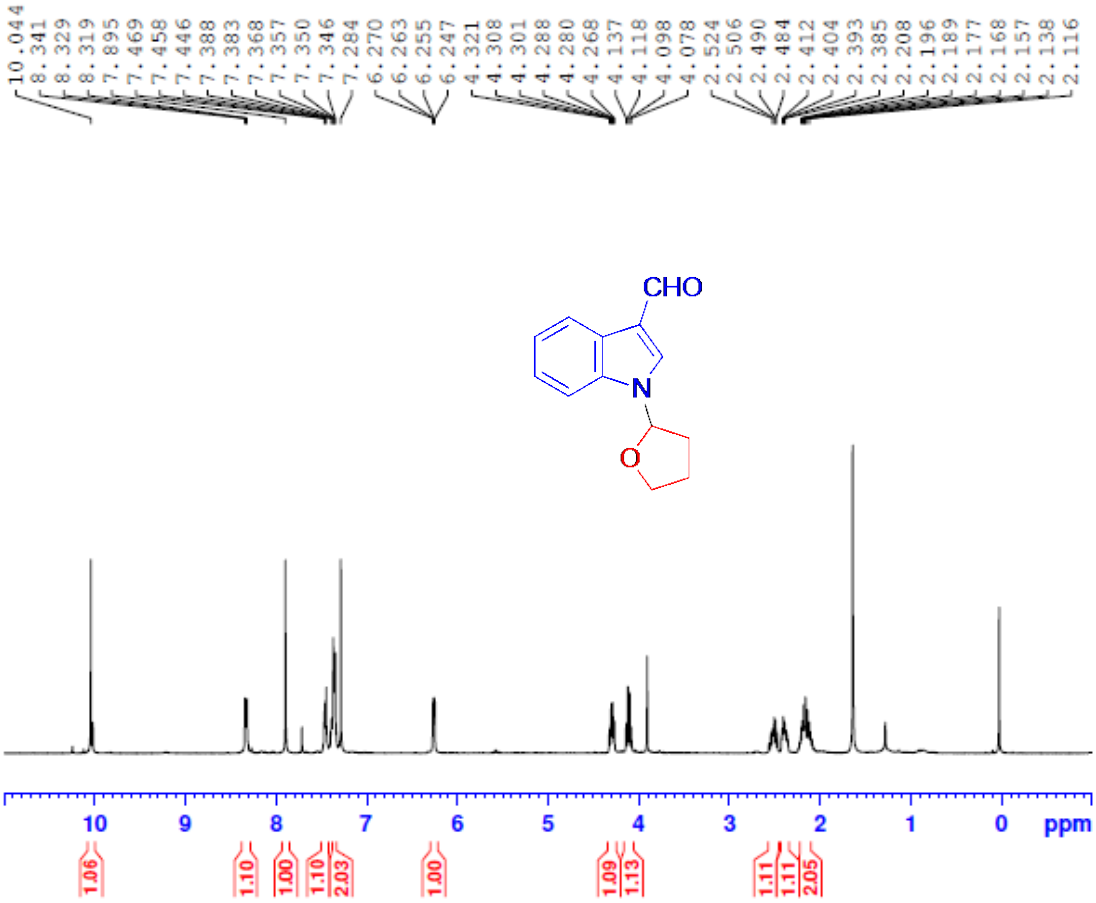
2-Methyl-1-(tetrahydrofuran-2-yl)-1*H*-benzo[*d*]imidazole (Table 1, product 3aj)

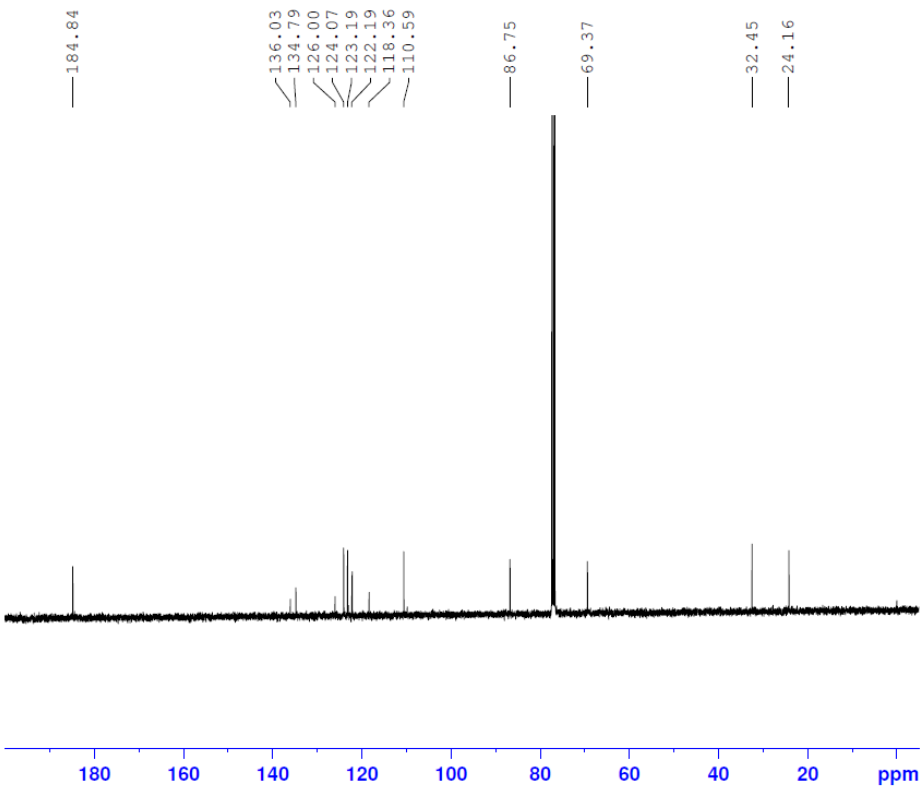


1-(Tetrahydrofuran-2-yl)-1*H*-indole-3-carbonitrile (Table 1, product 3ak)

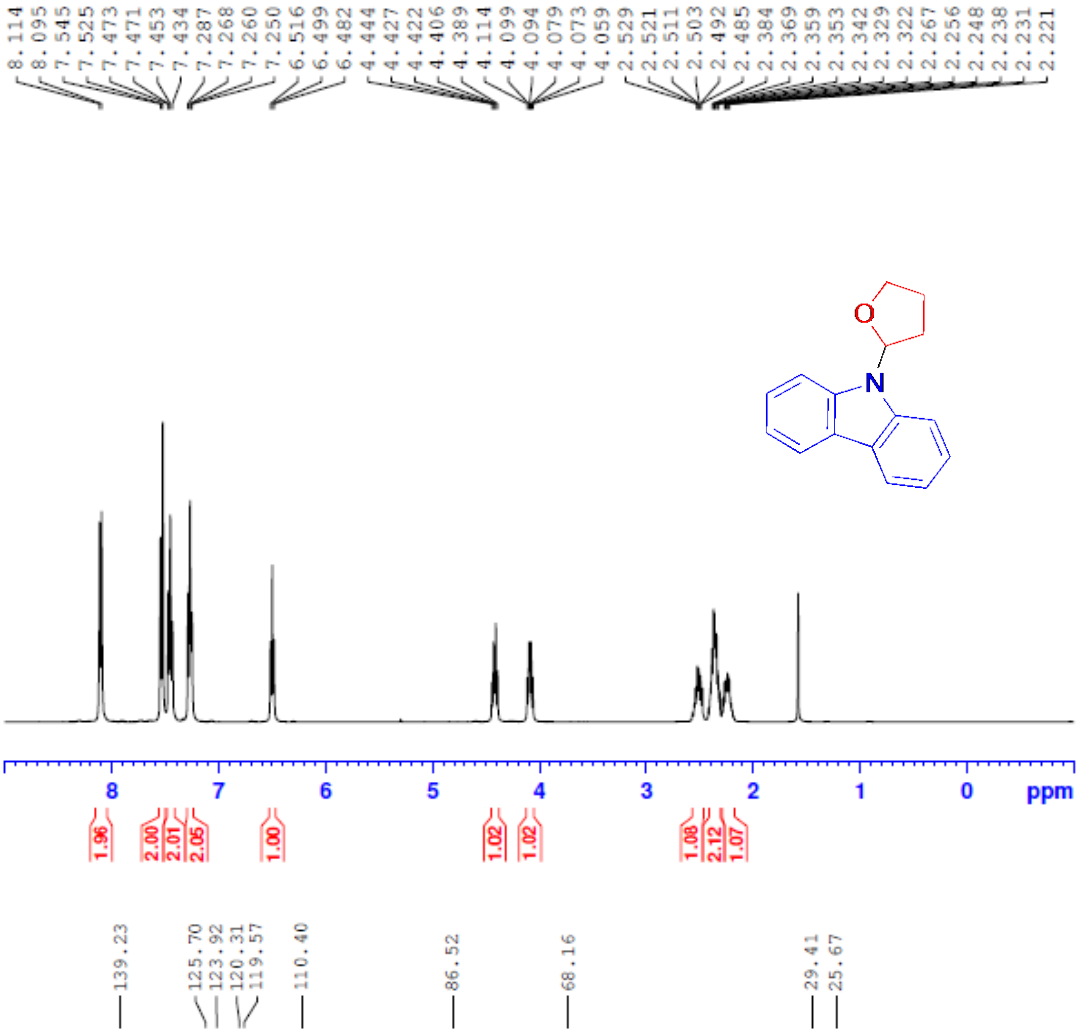


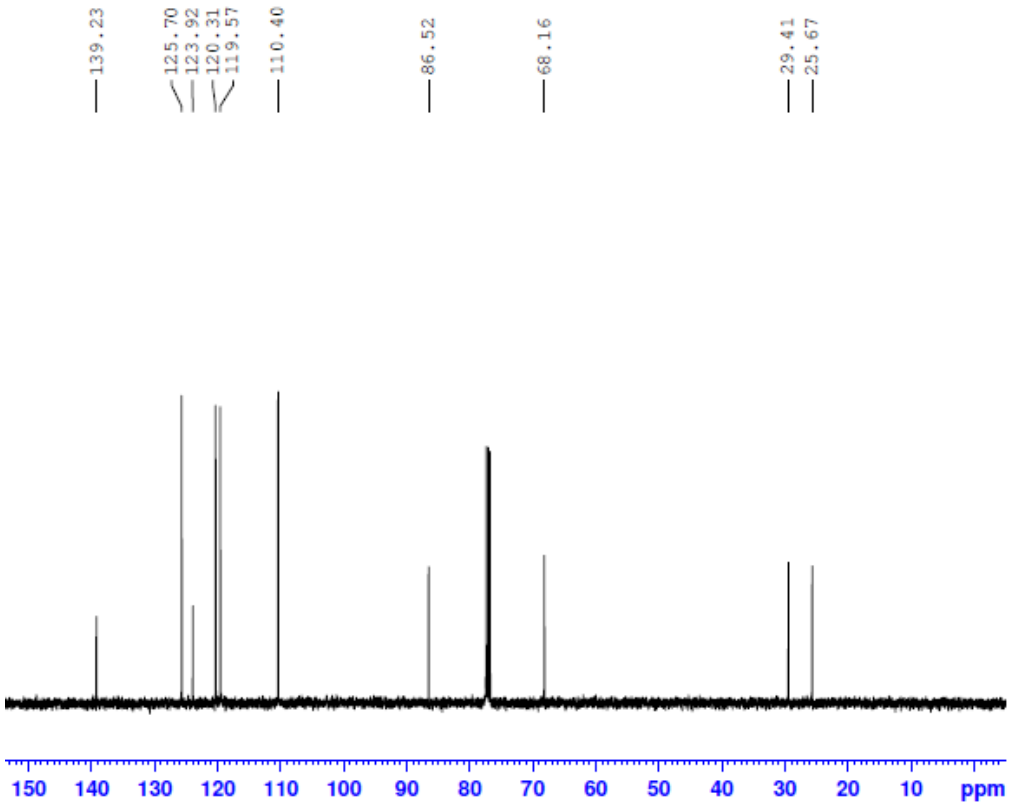
1-(Tetrahydrofuran-2-yl)-1*H*-indole-3-carbaldehyde (Table 1, product 3al)



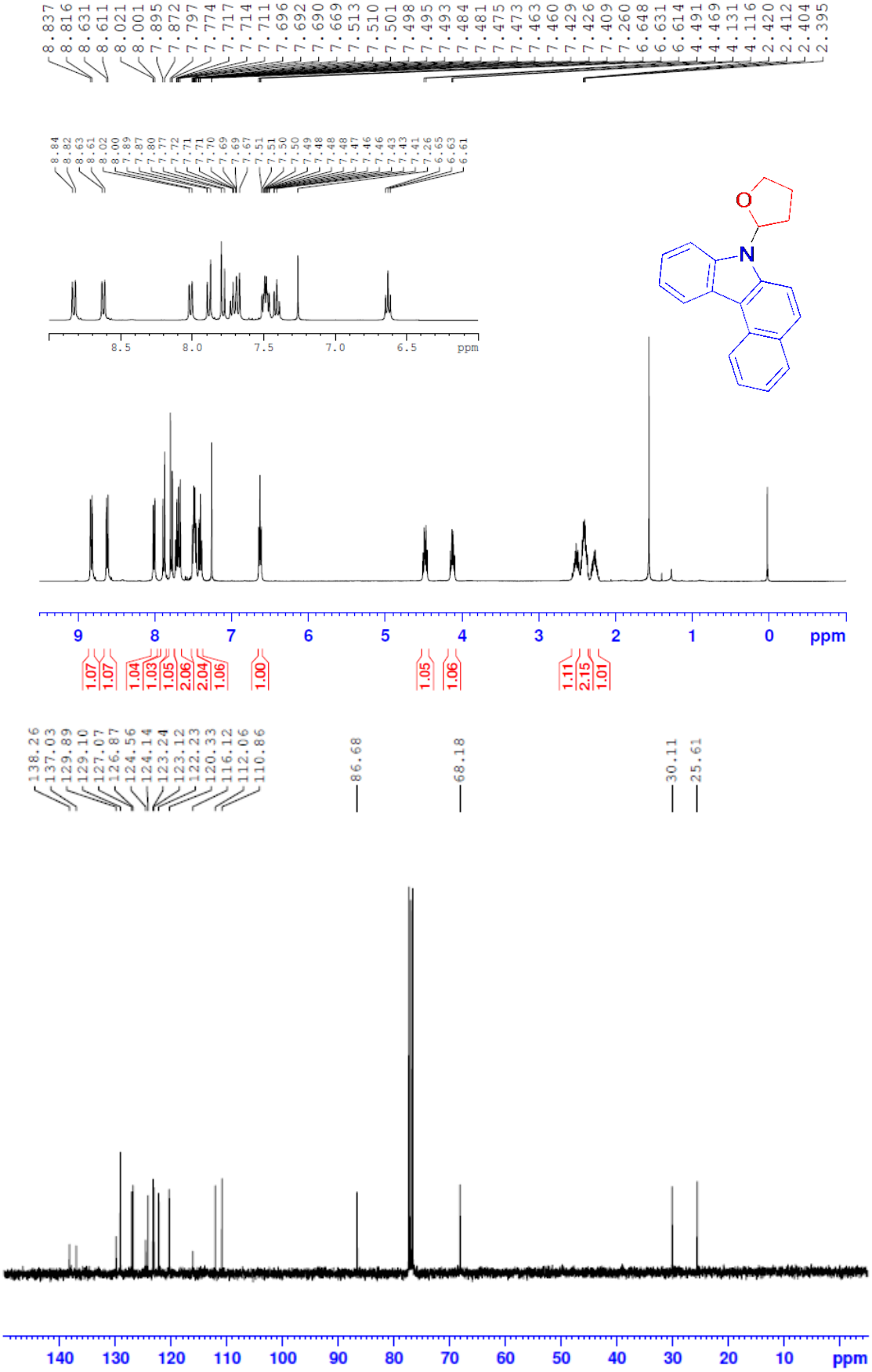


9-(Tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5aa)

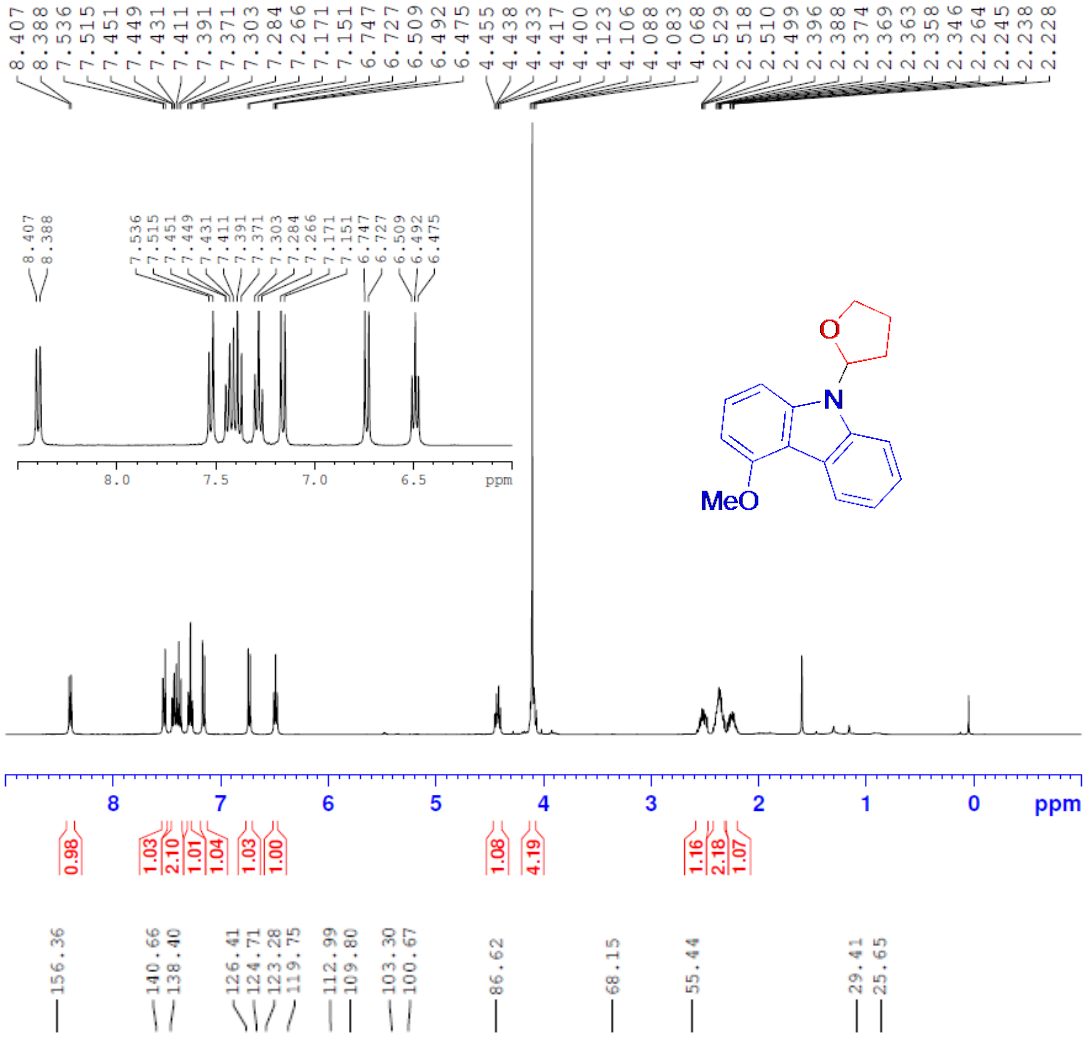


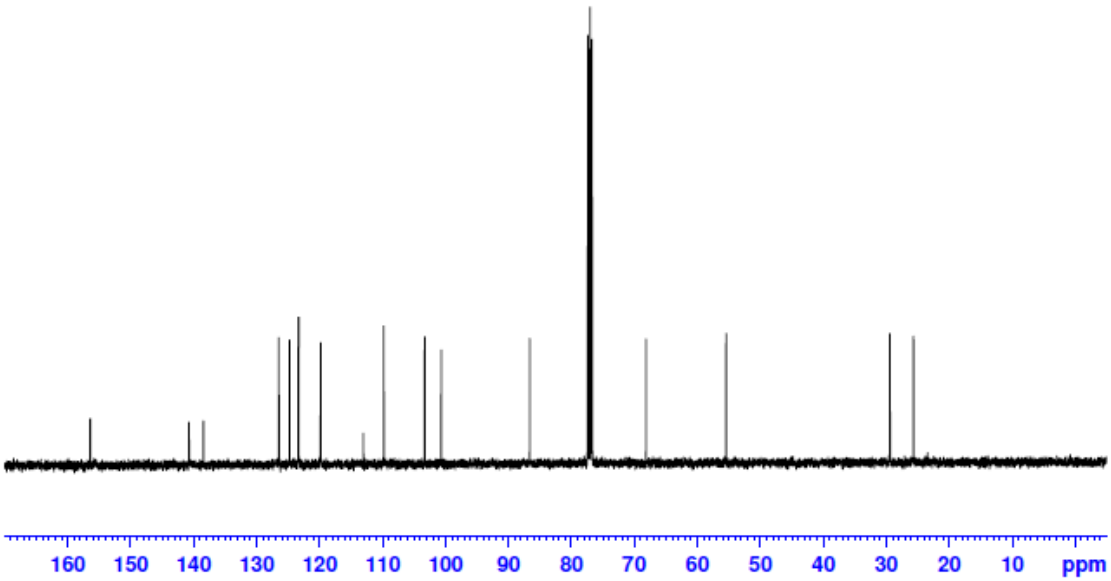


7-(Tetrahydrofuran-2-yl)-7*H*-benzo[*c*]carbazole (Table 2, product 5ab)

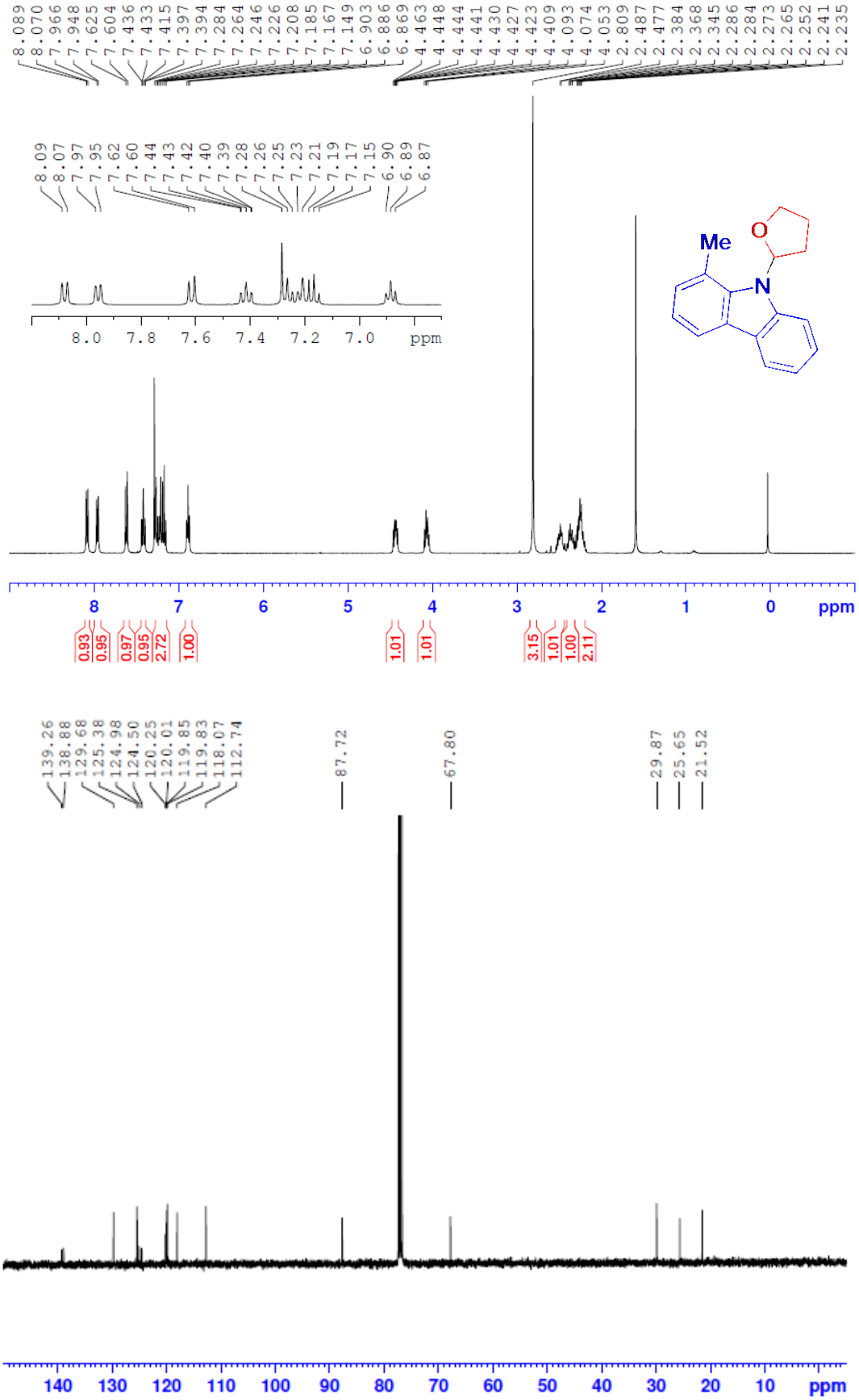


4-Methoxy-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ac)

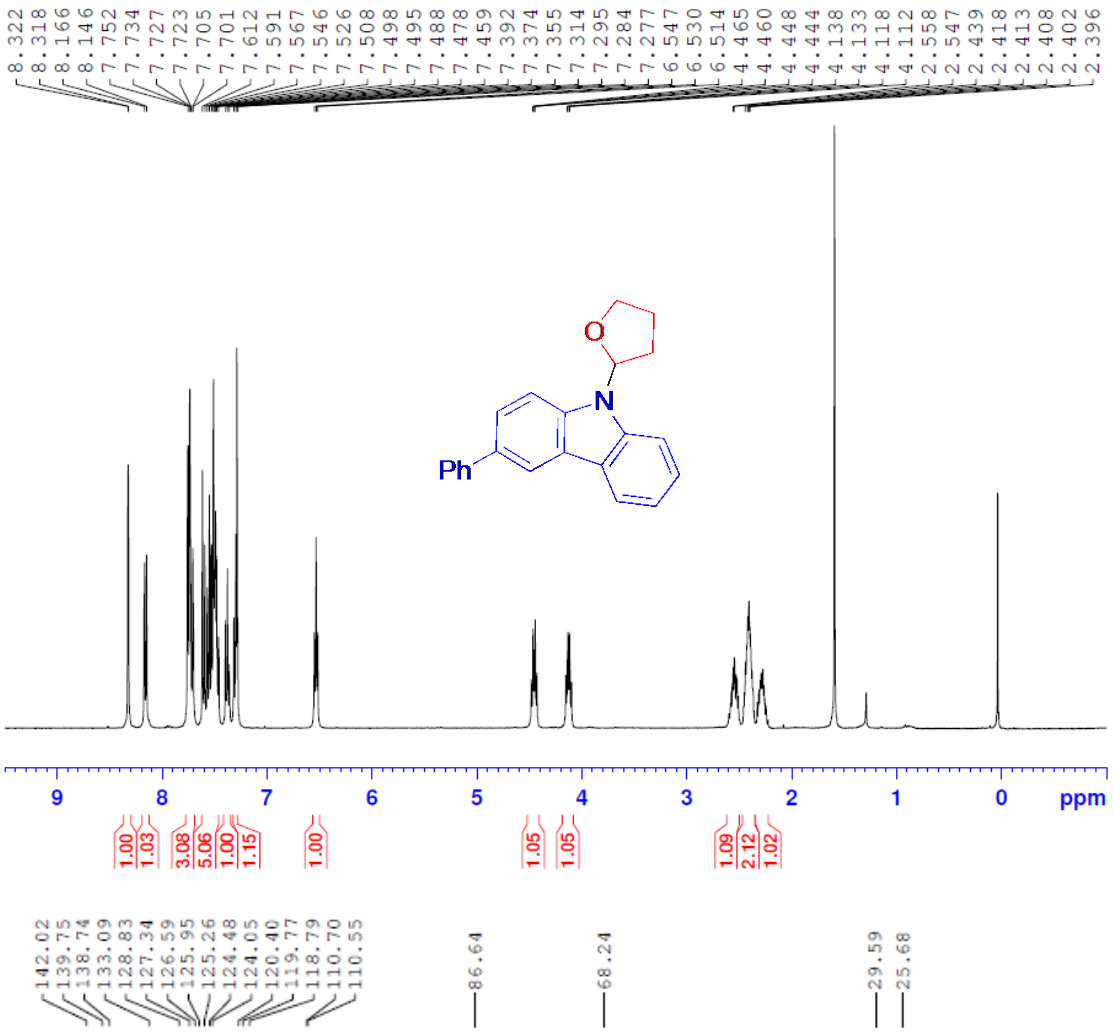


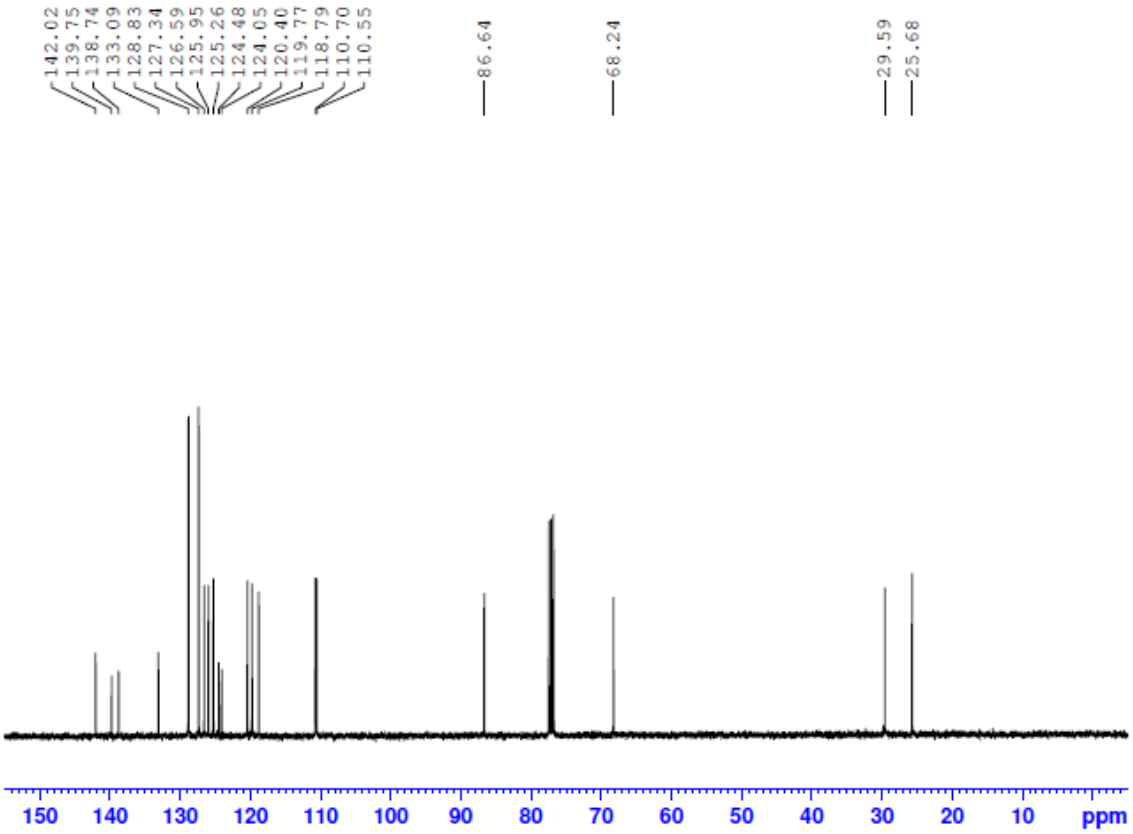


1-Methyl-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ad)

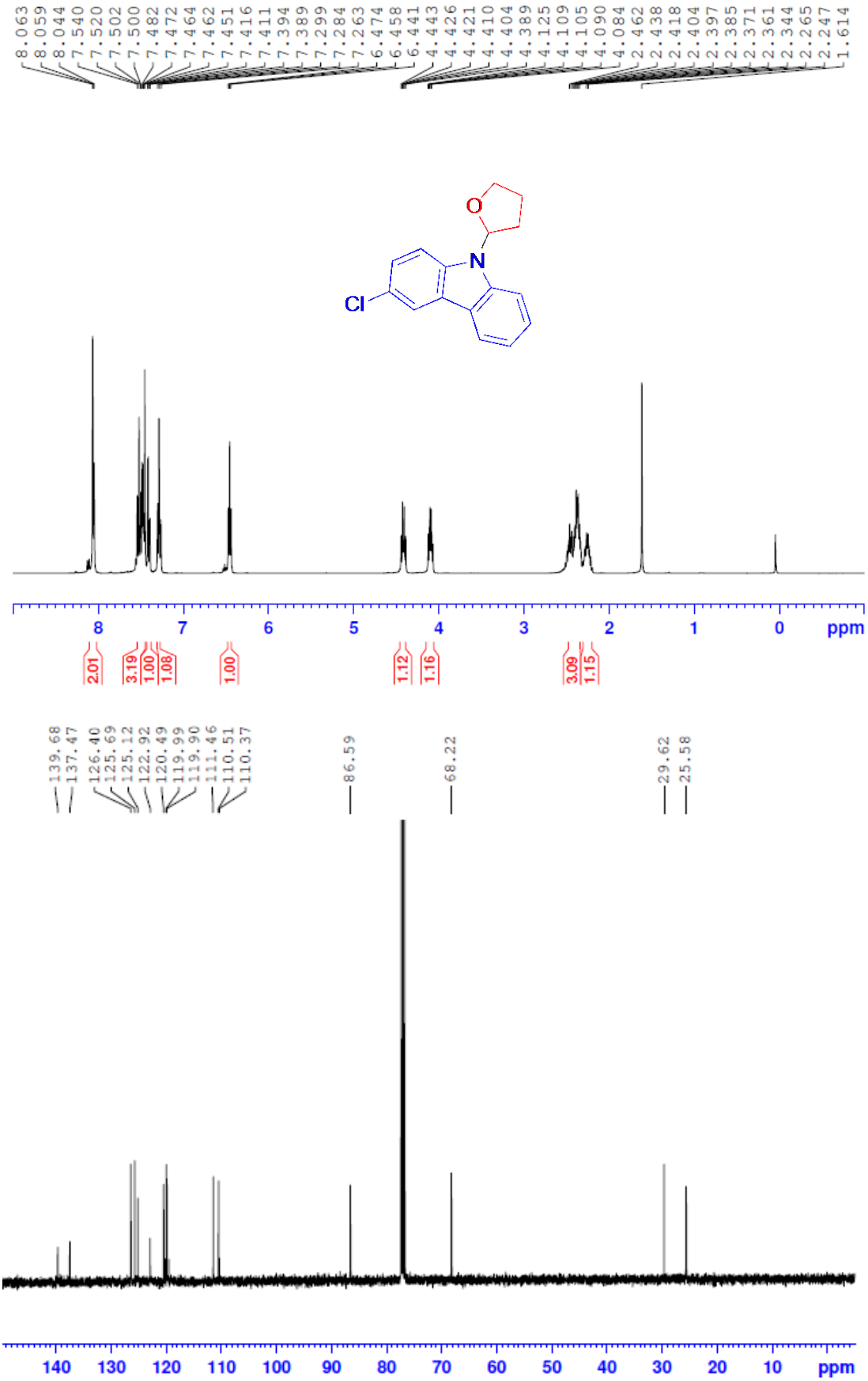


3-Phenyl-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ae)

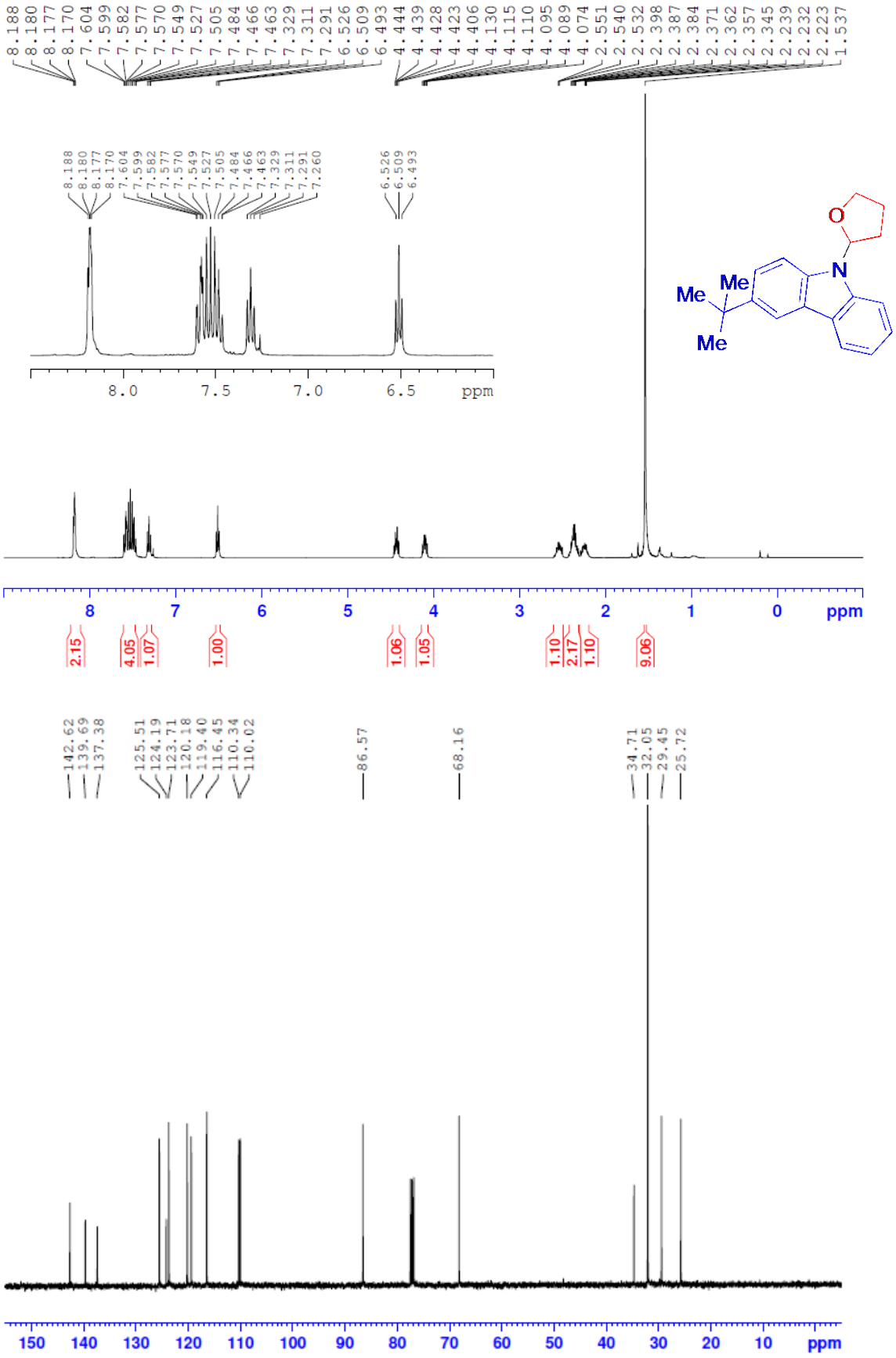




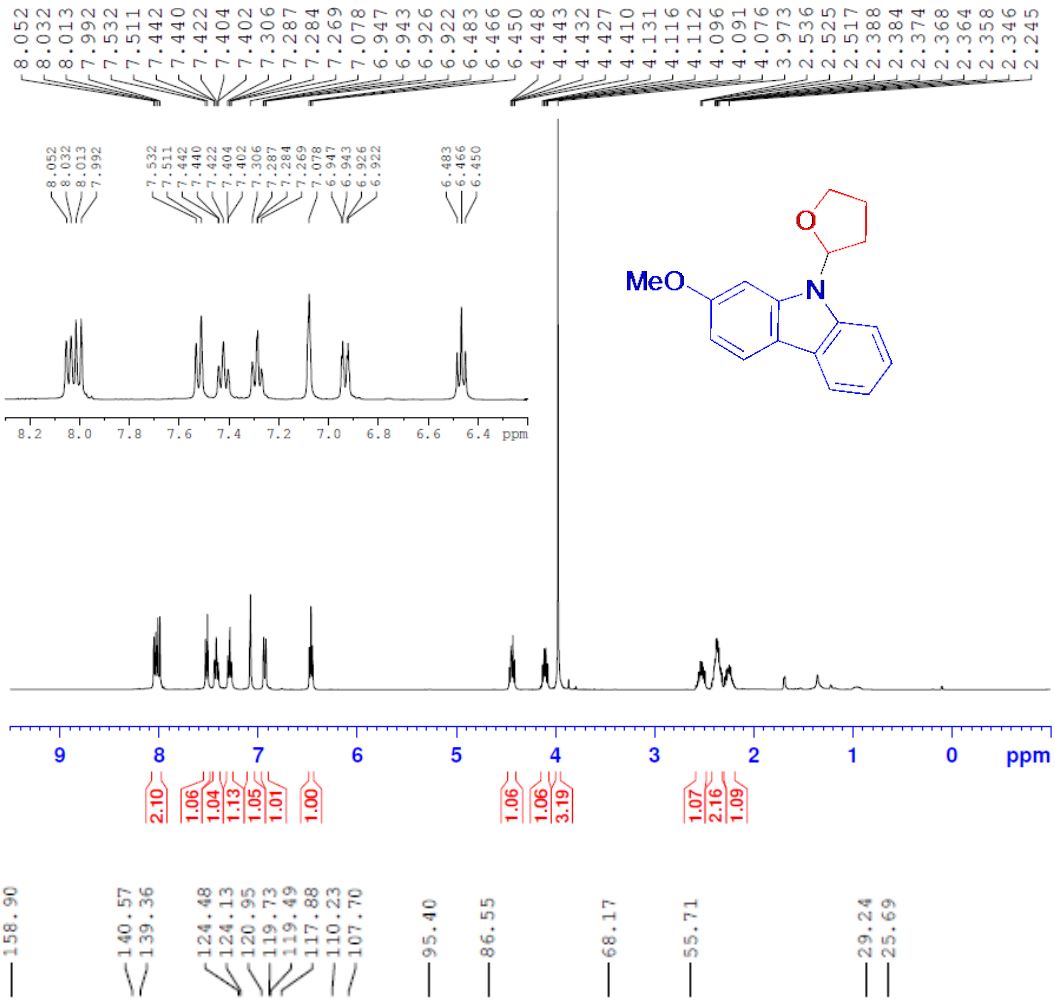
3-Chloro-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5af)

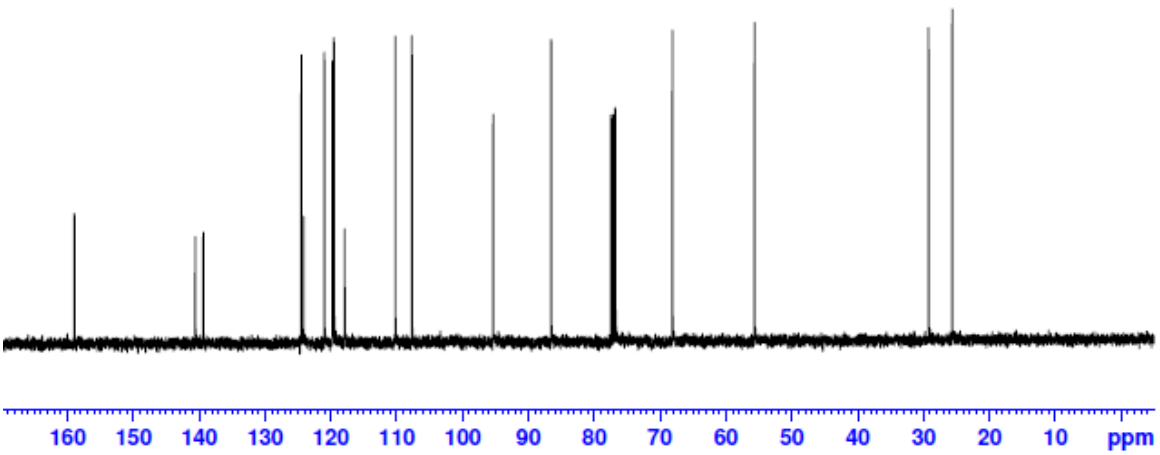


3-(*tert*-Butyl)-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ag)

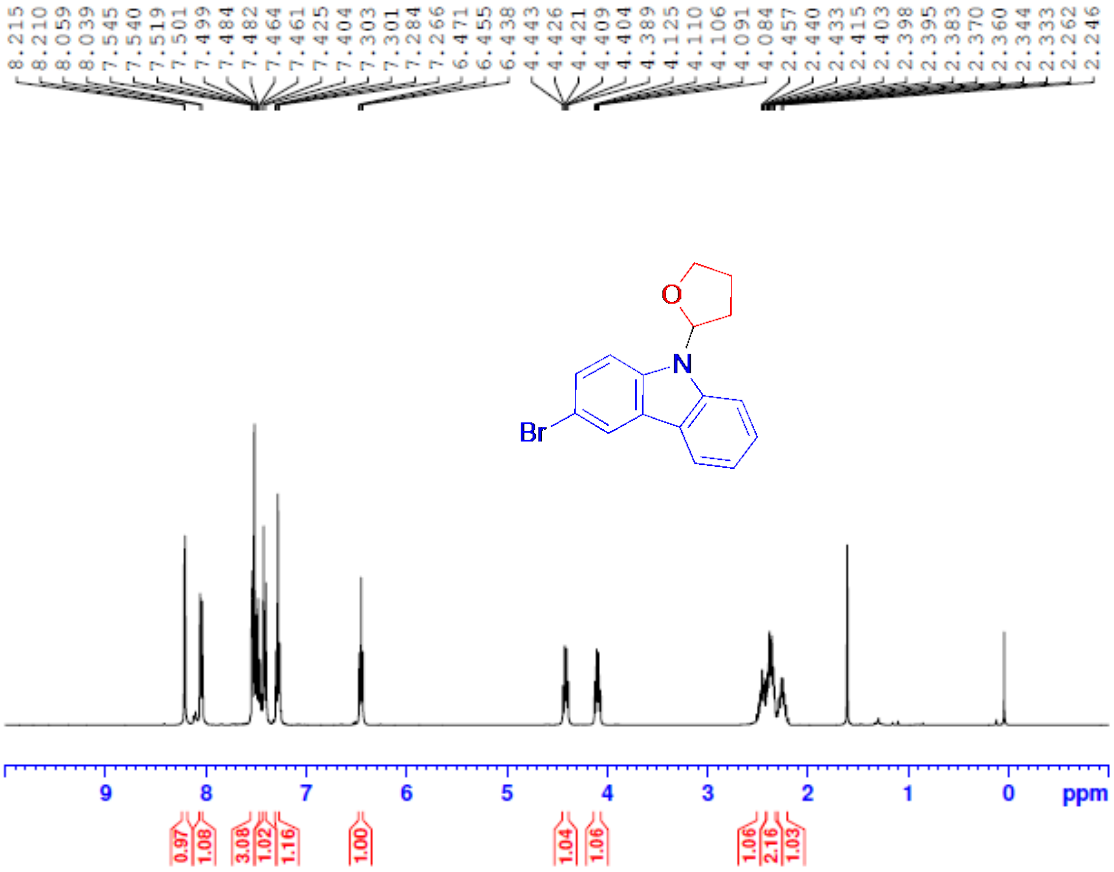


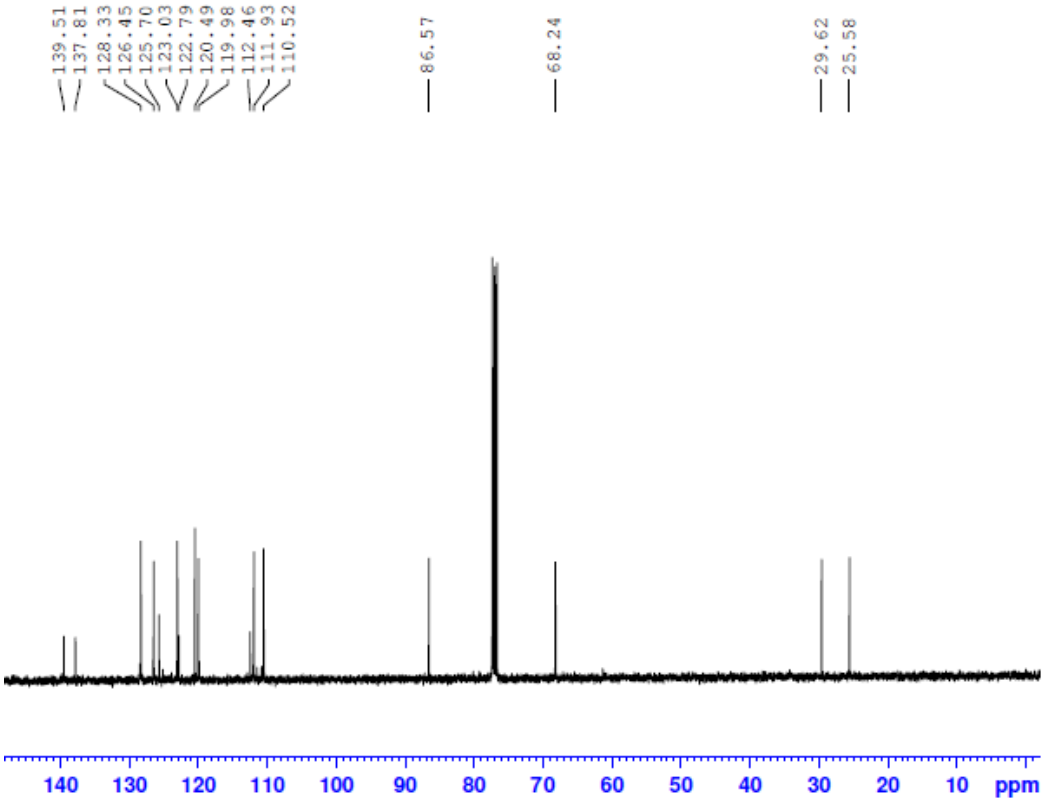
2-Methoxy-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ah)



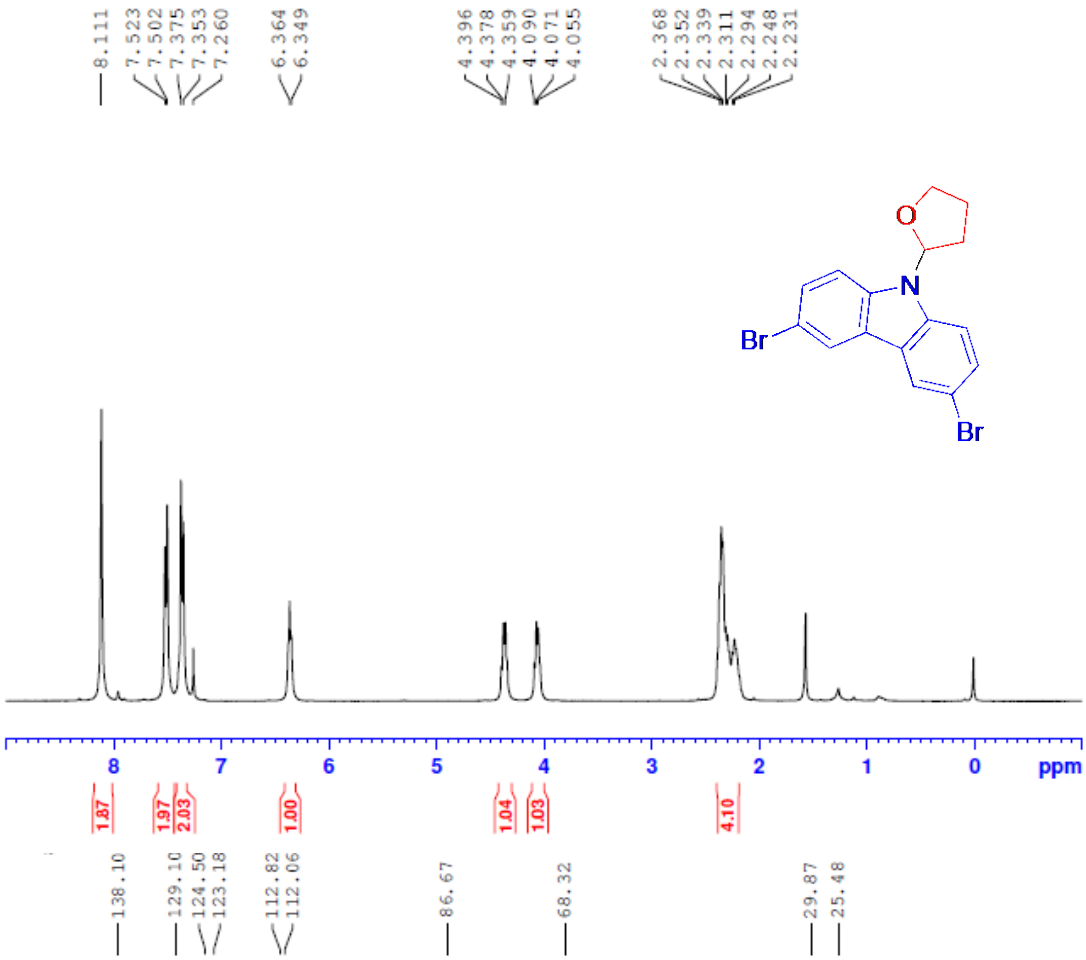


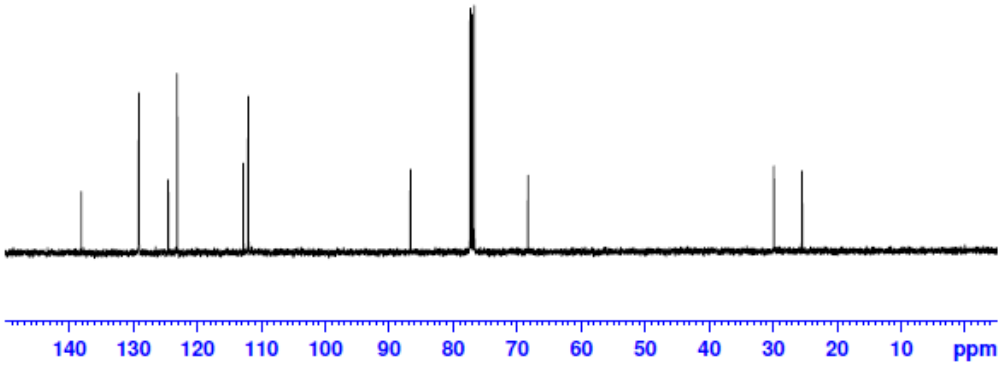
3-Bromo-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5ai)



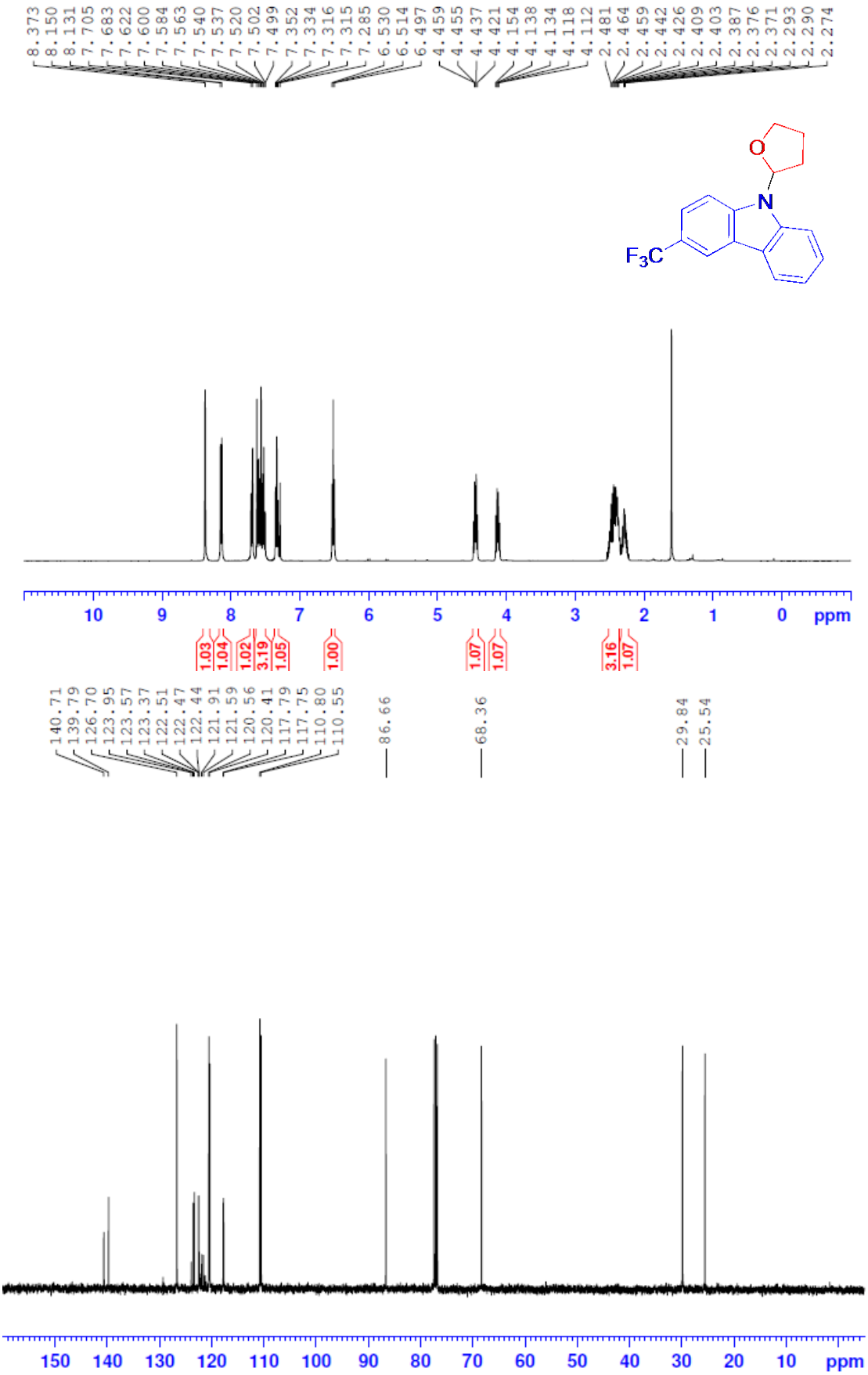


3,6-Dibromo-9-(tetrahydrofuran-2-yl)-9*H*-carbazole (Table 2, product 5aj)

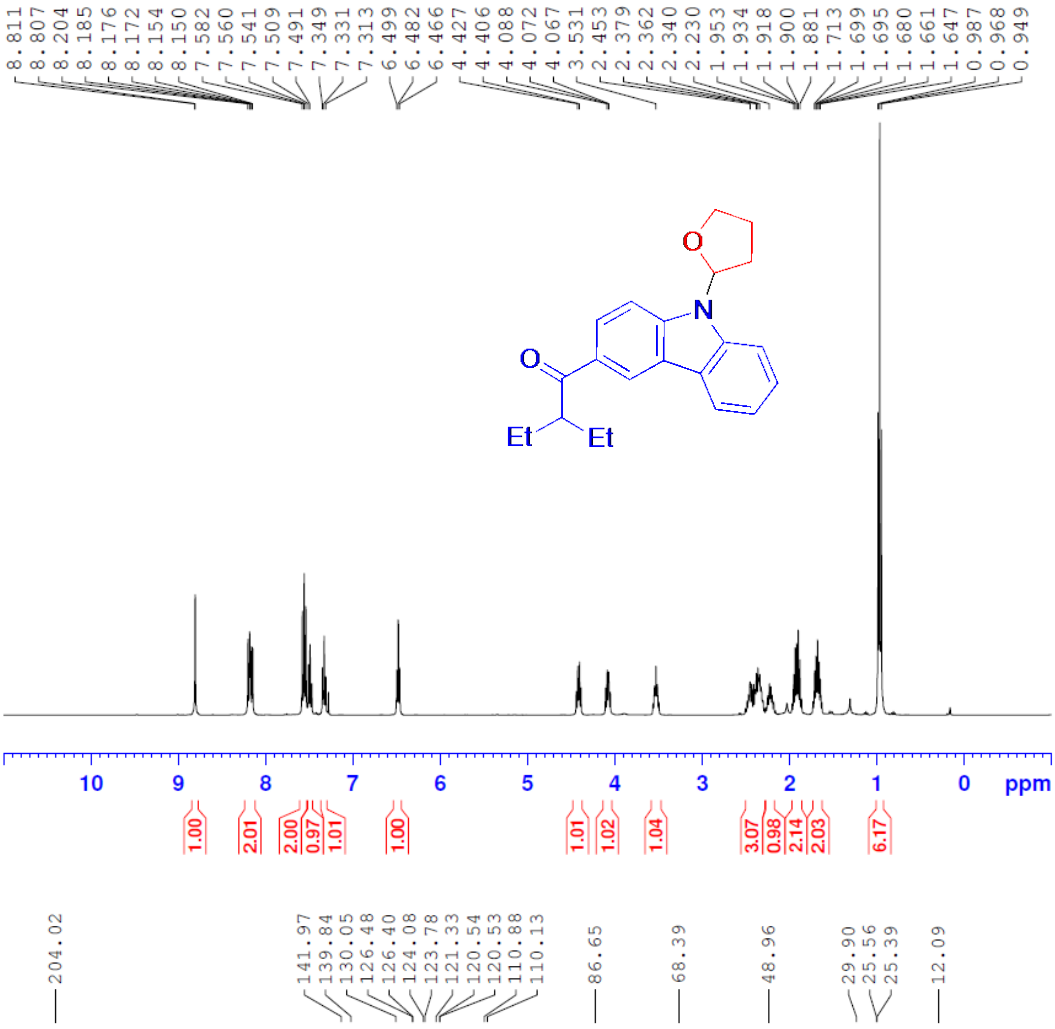


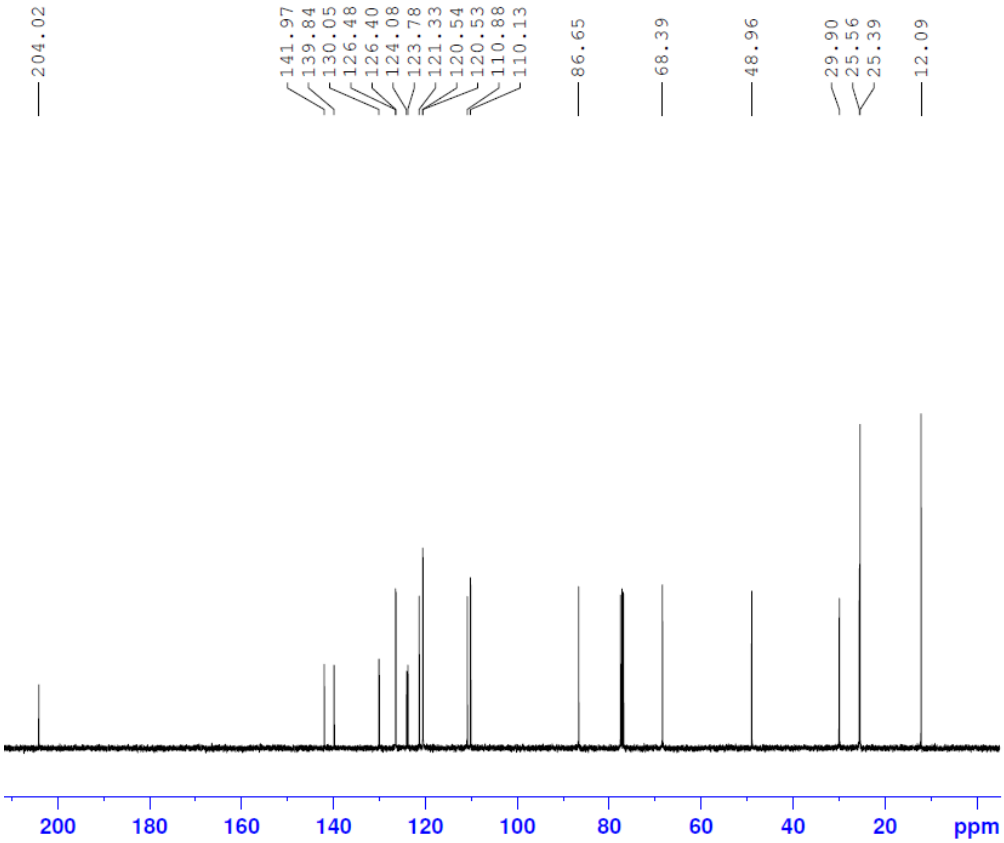


9-(Tetrahydrofuran-2-yl)-3-(trifluoromethyl)-9*H*-carbazole (Table 2, product 5ak)

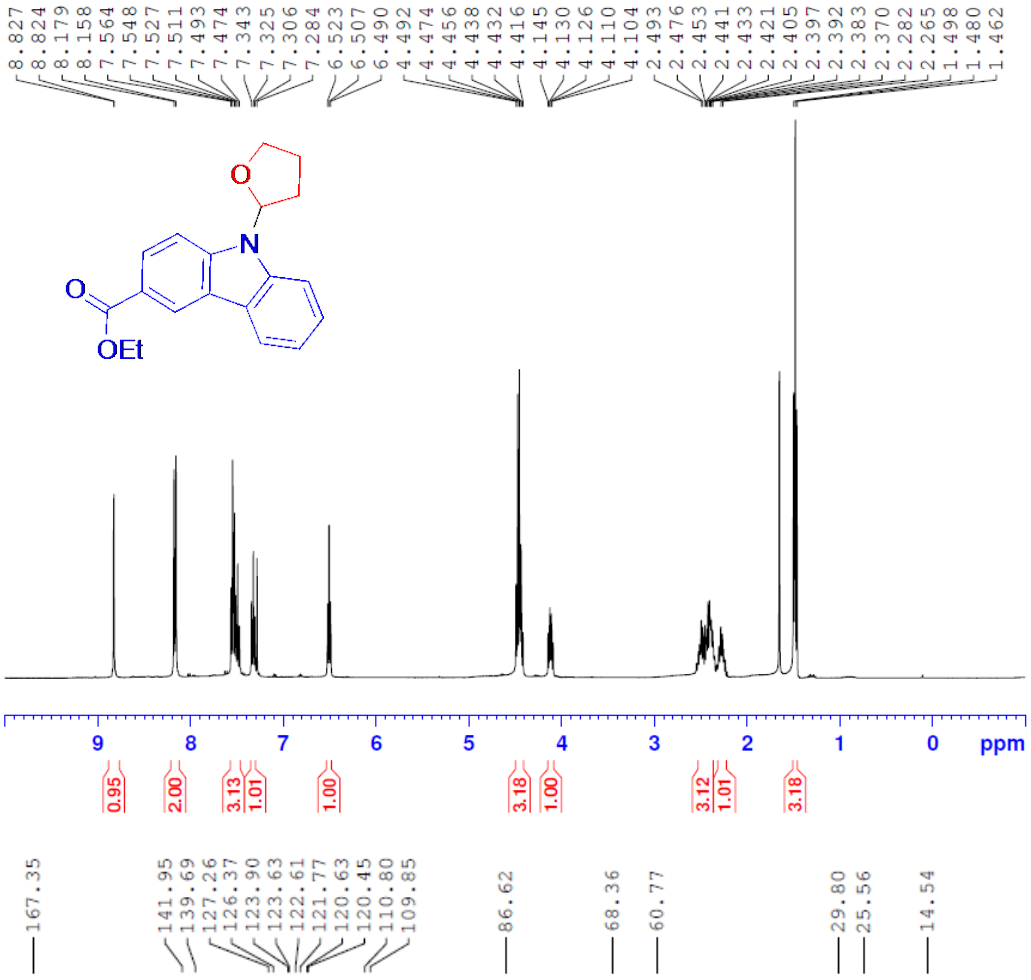


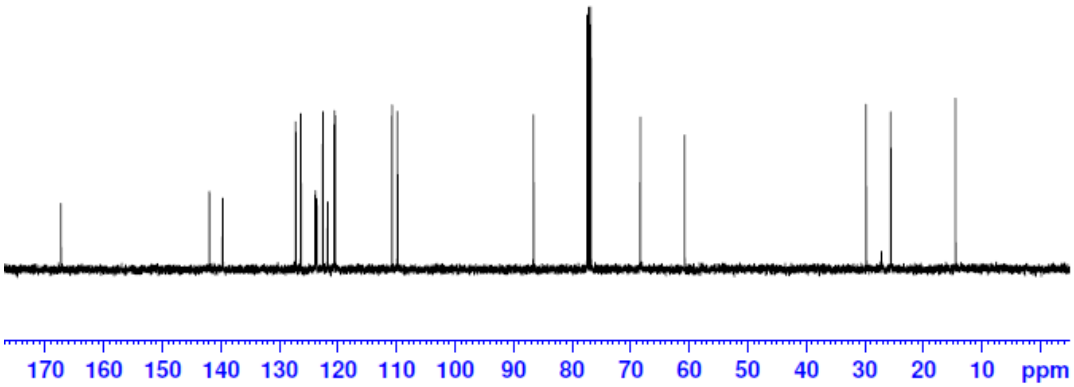
2-Ethyl-1-(9-(tetrahydrofuran-2-yl)-9*H*-carbazol-3-yl)butan-1-one (Table 2, product 5al)



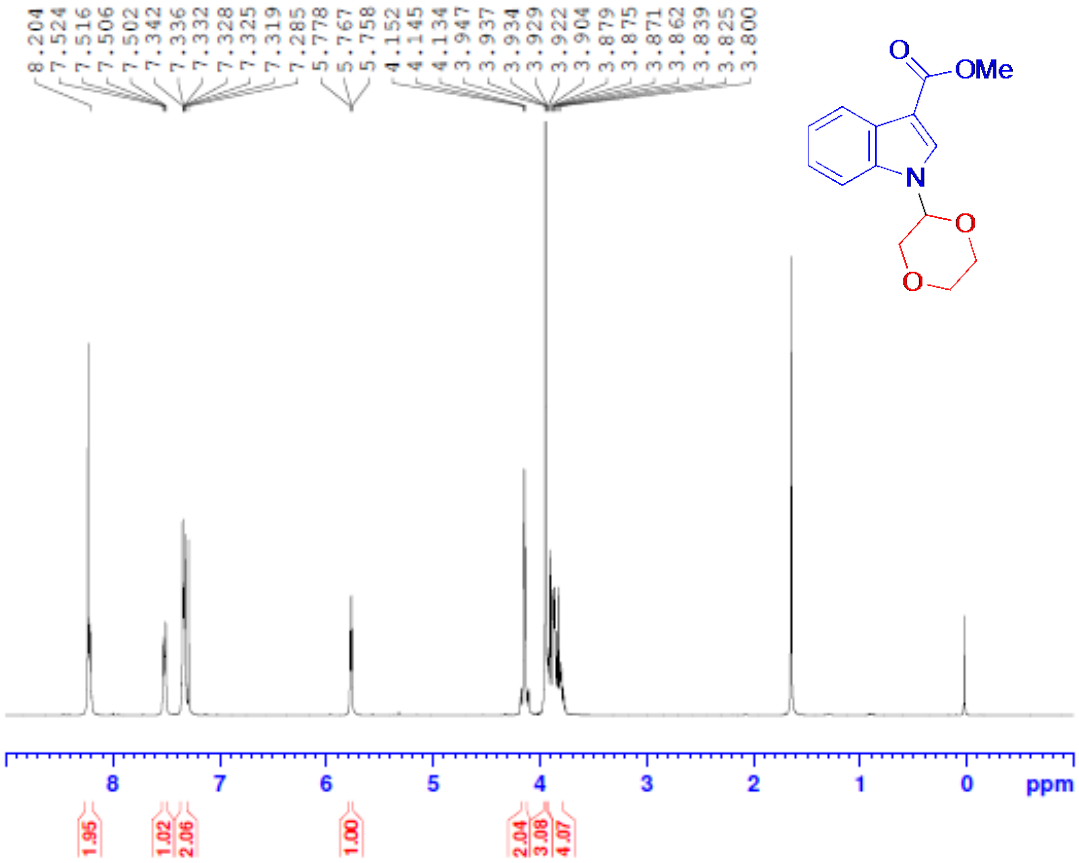


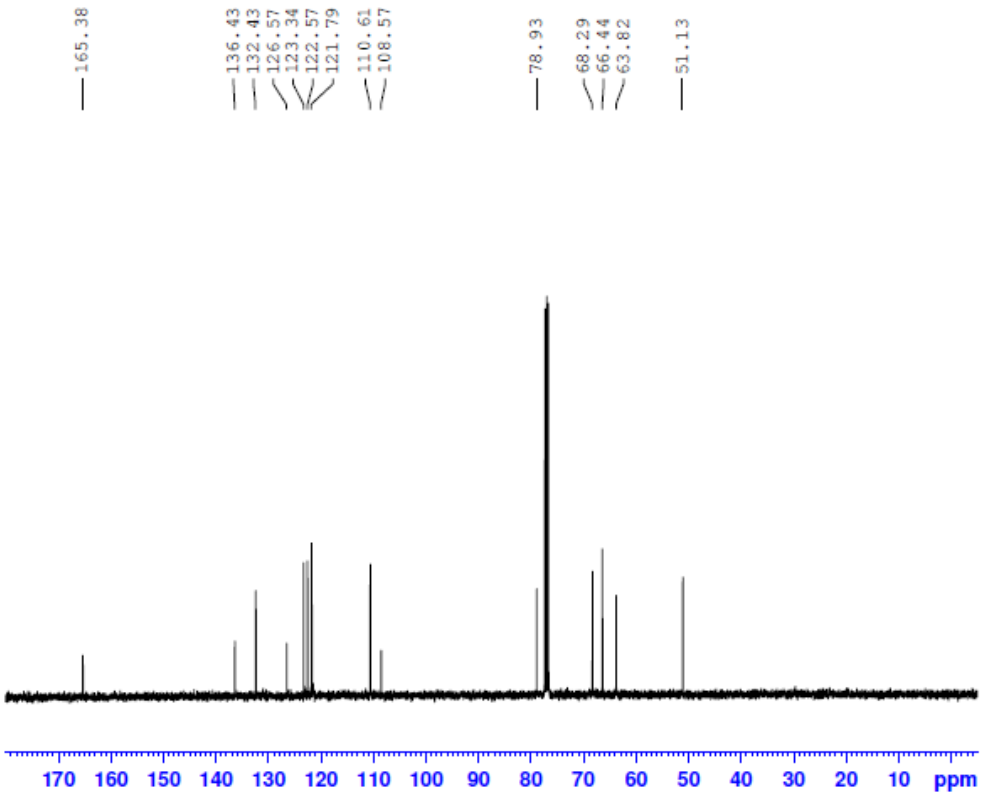
Ethyl 9-(tetrahydrofuran-2-yl)-9*H*-carbazole-3-carboxylate (Table 2, product 5am)



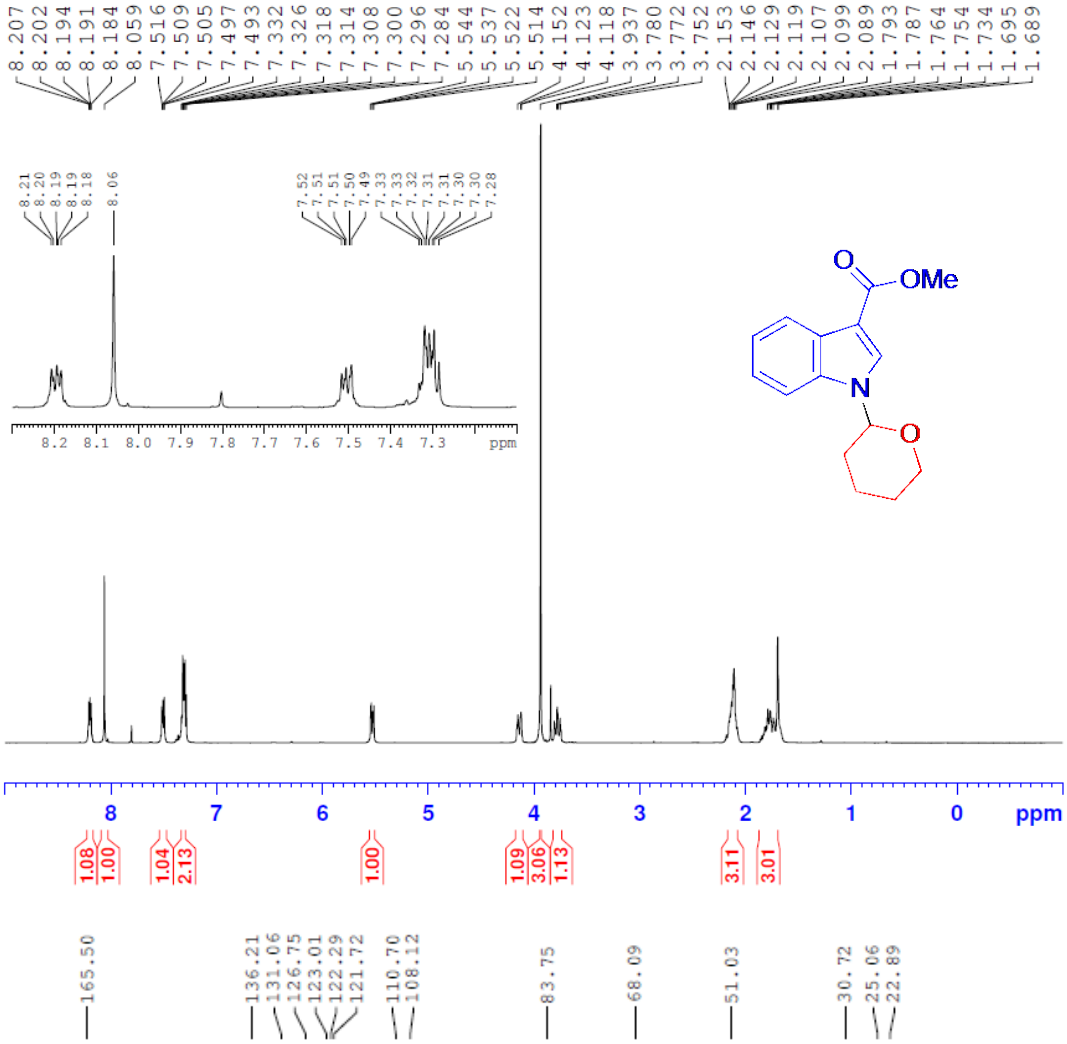


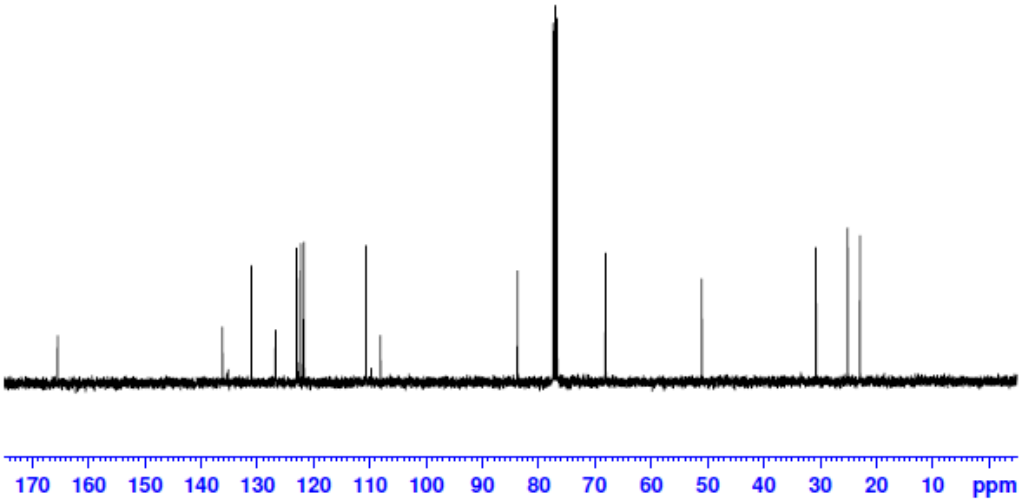
Methyl 1-(1,4-dioxan-2-yl)-1*H*-indole-3-carboxylate (Table 3, product 3ba)



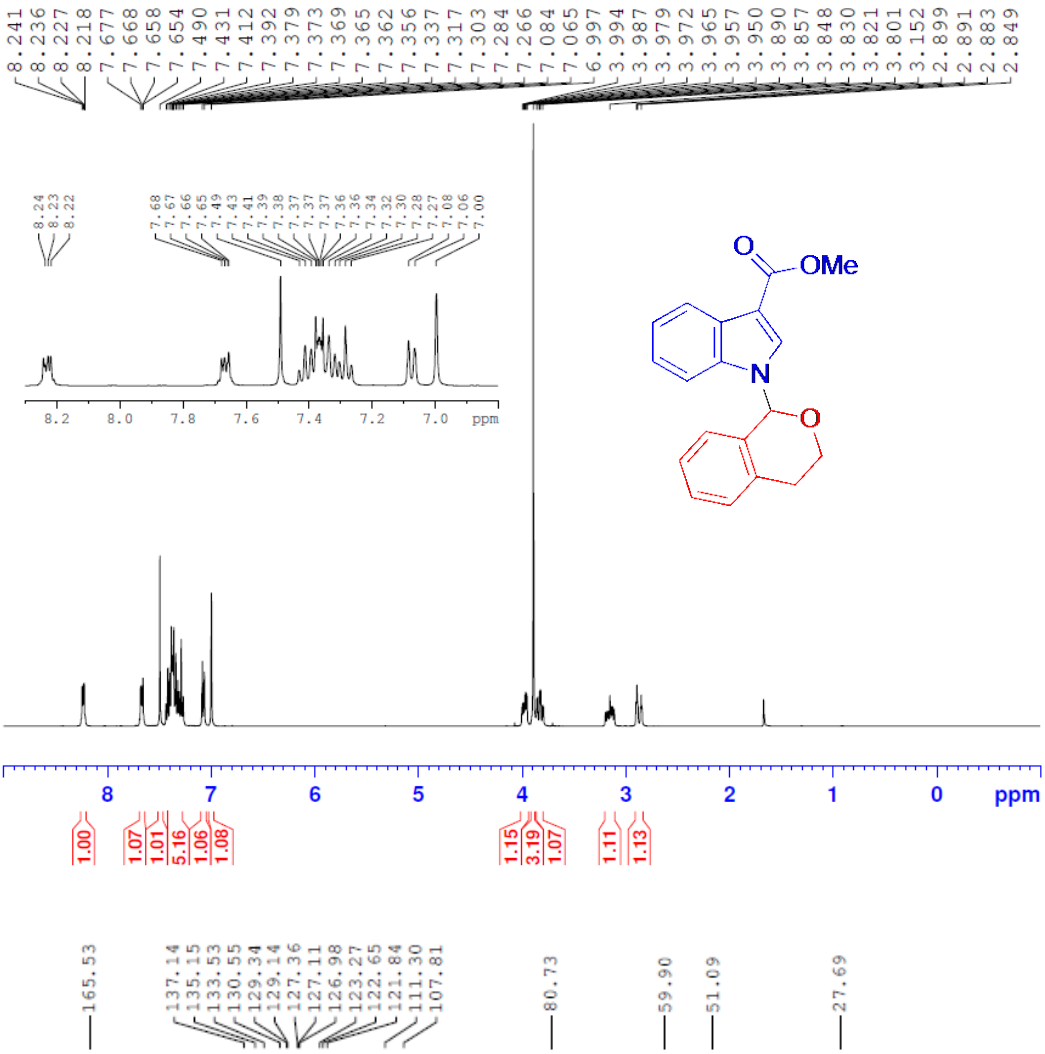


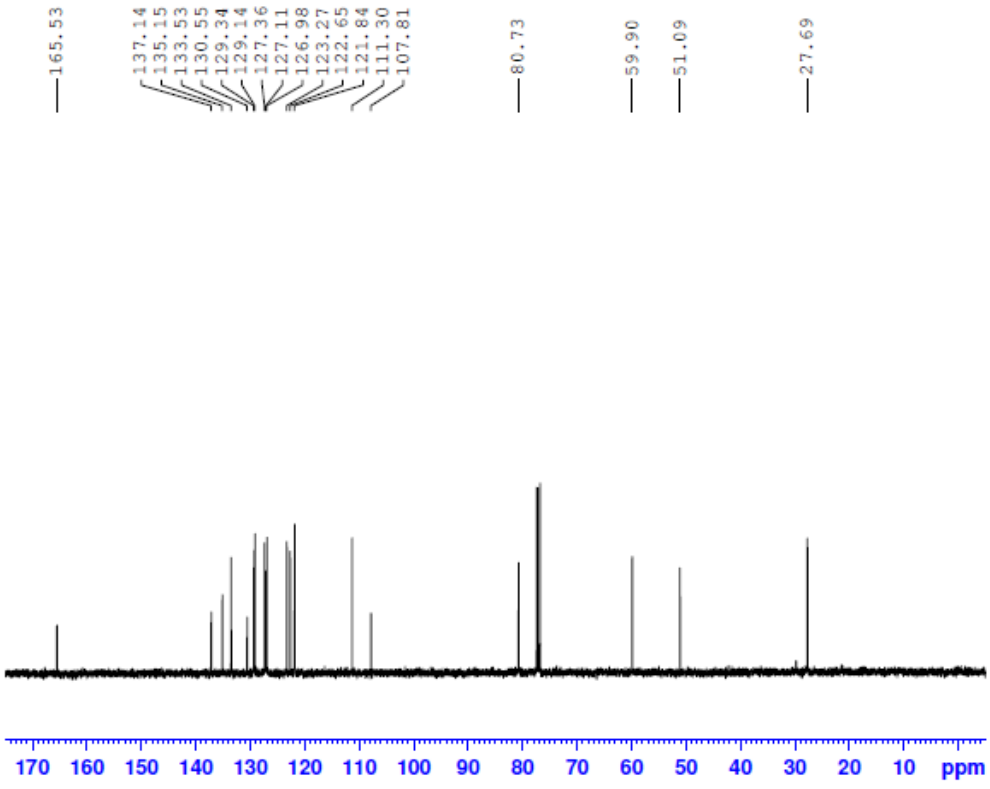
Methyl 1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-indole-3-carboxylate (Table 3, product 3ca)



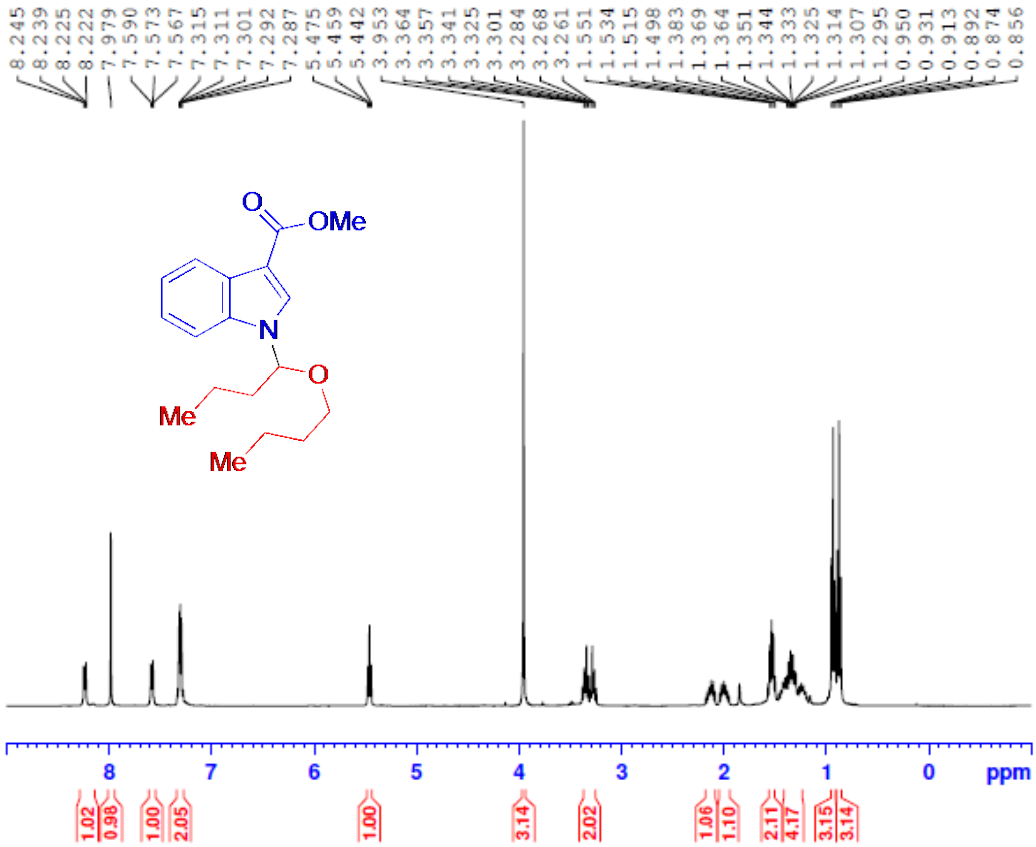


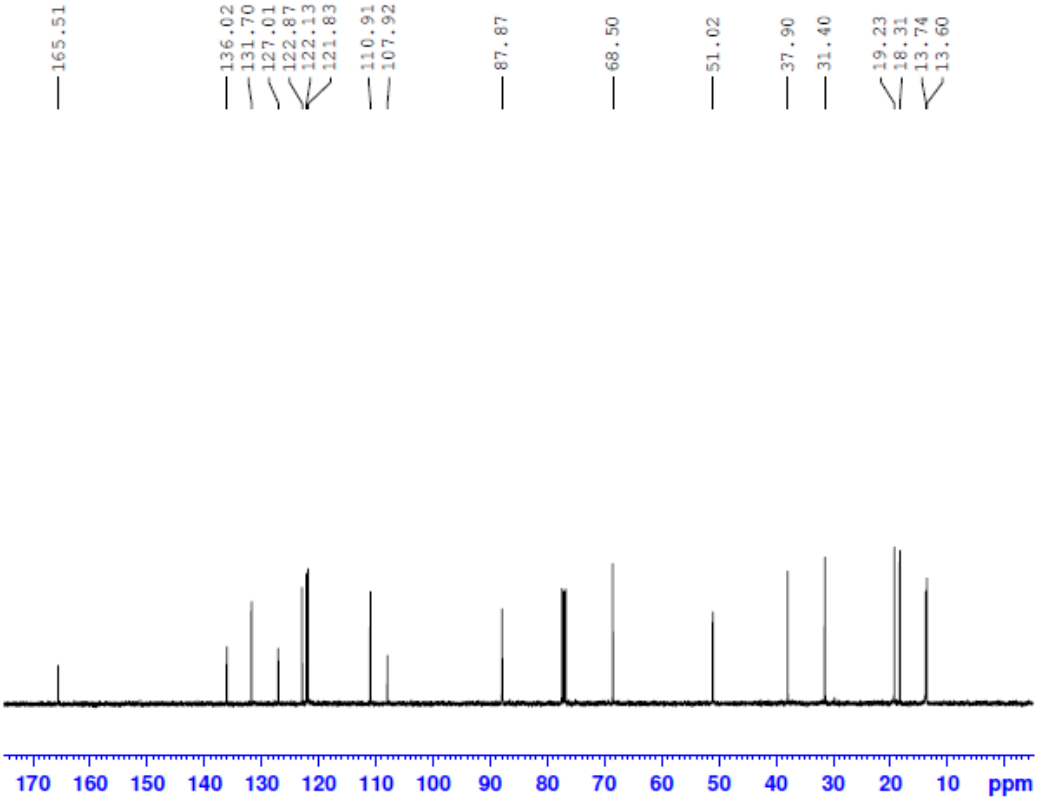
Methyl 1-(isochroman-1-yl)-1*H*-indole-3-carboxylate (Table 3, product 3da)



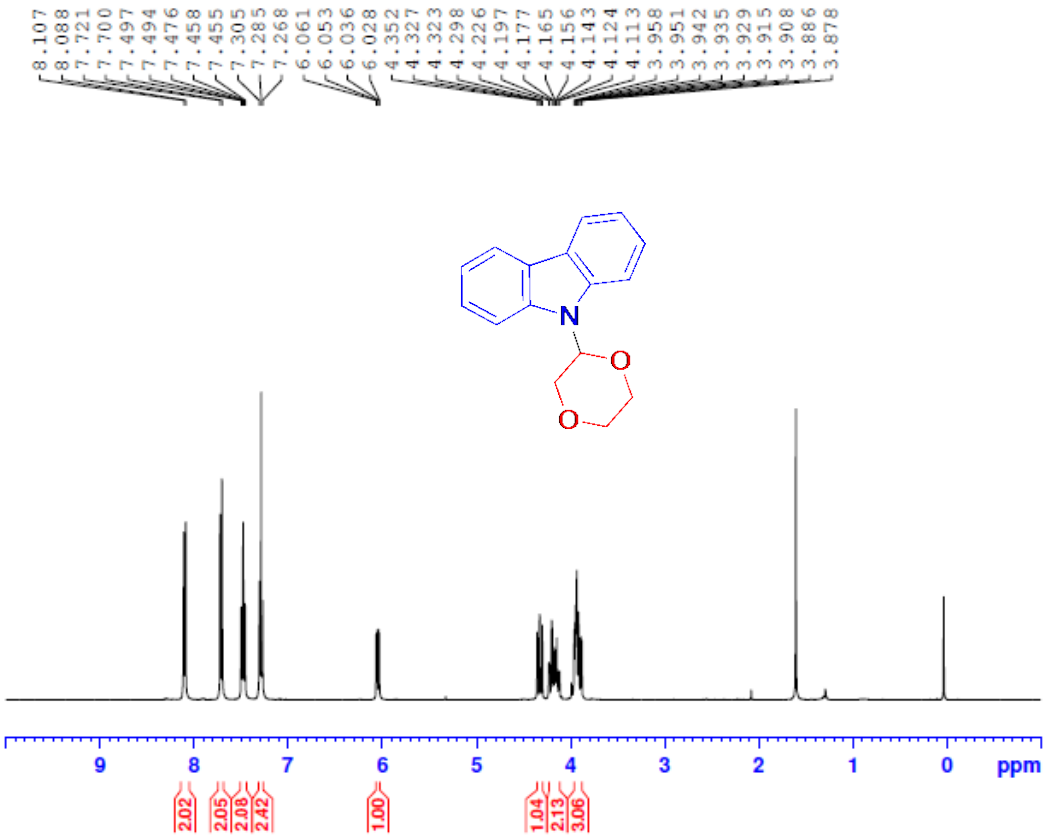


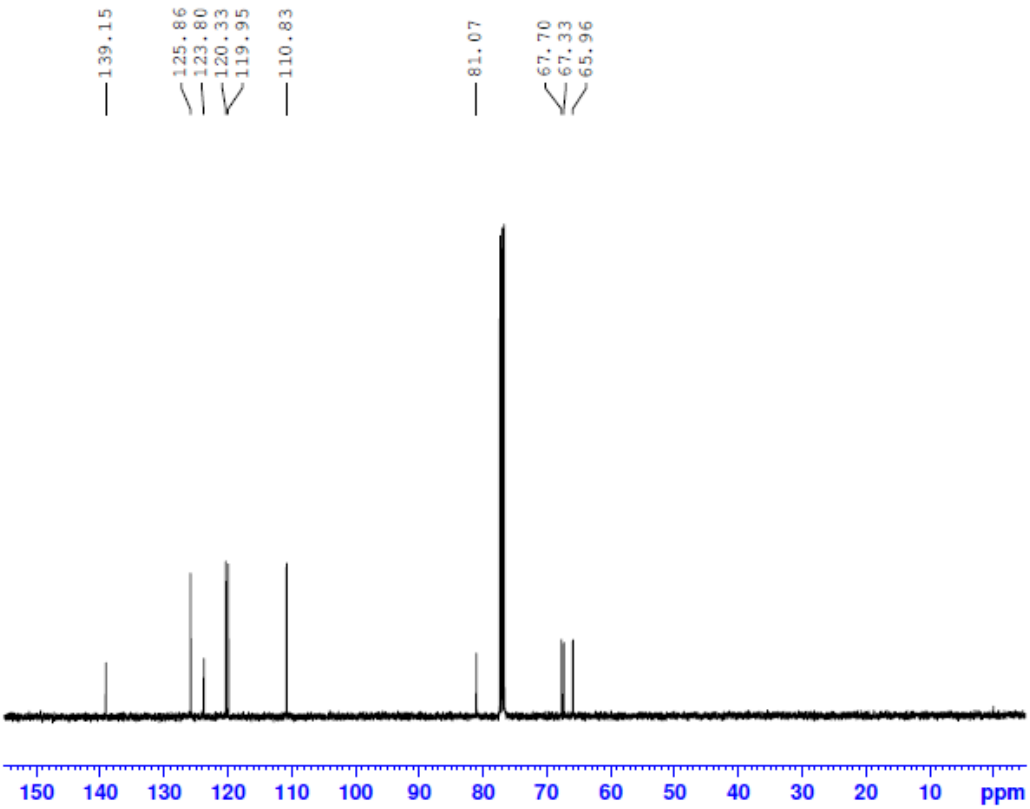
Methyl 1-(1-butoxybutyl)-1*H*-indole-3-carboxylate (Table 3, product 3ea)



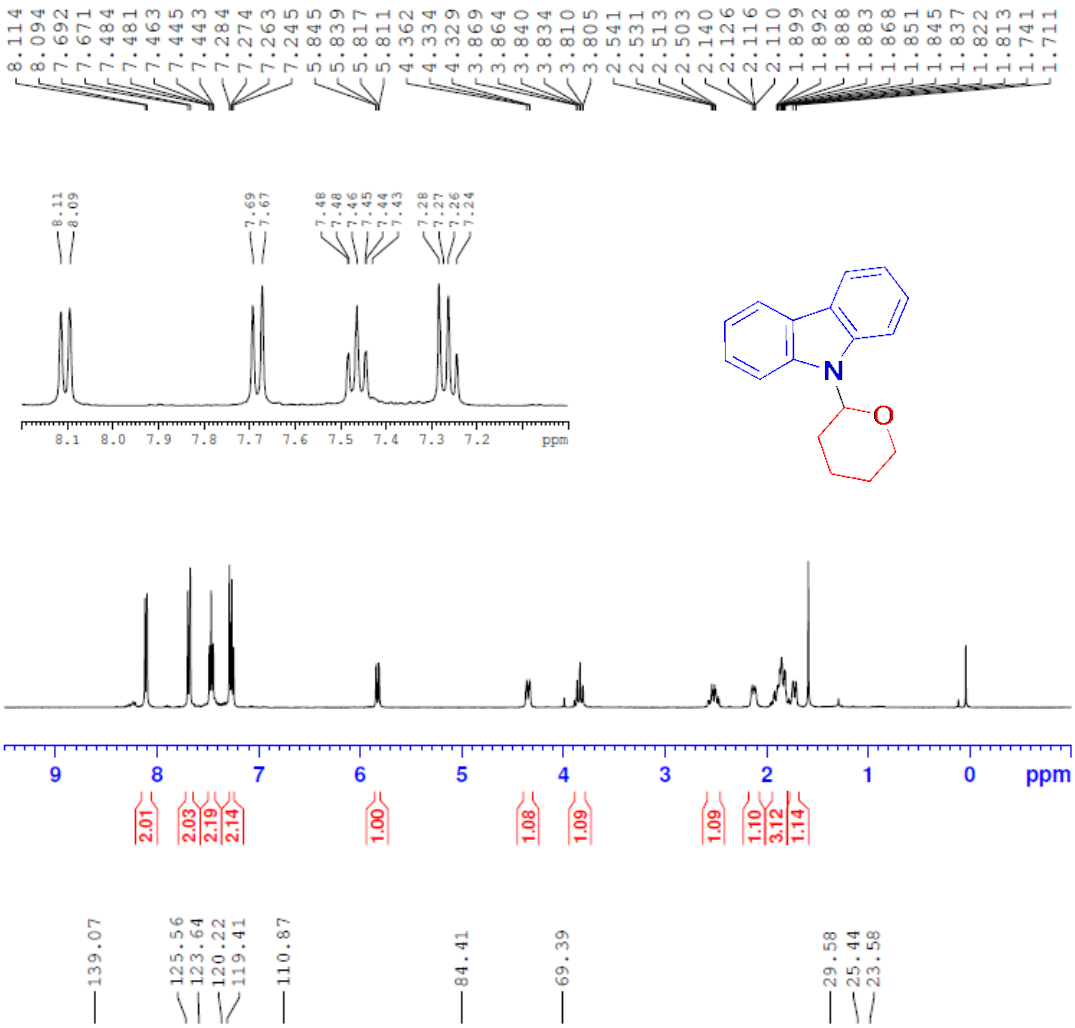


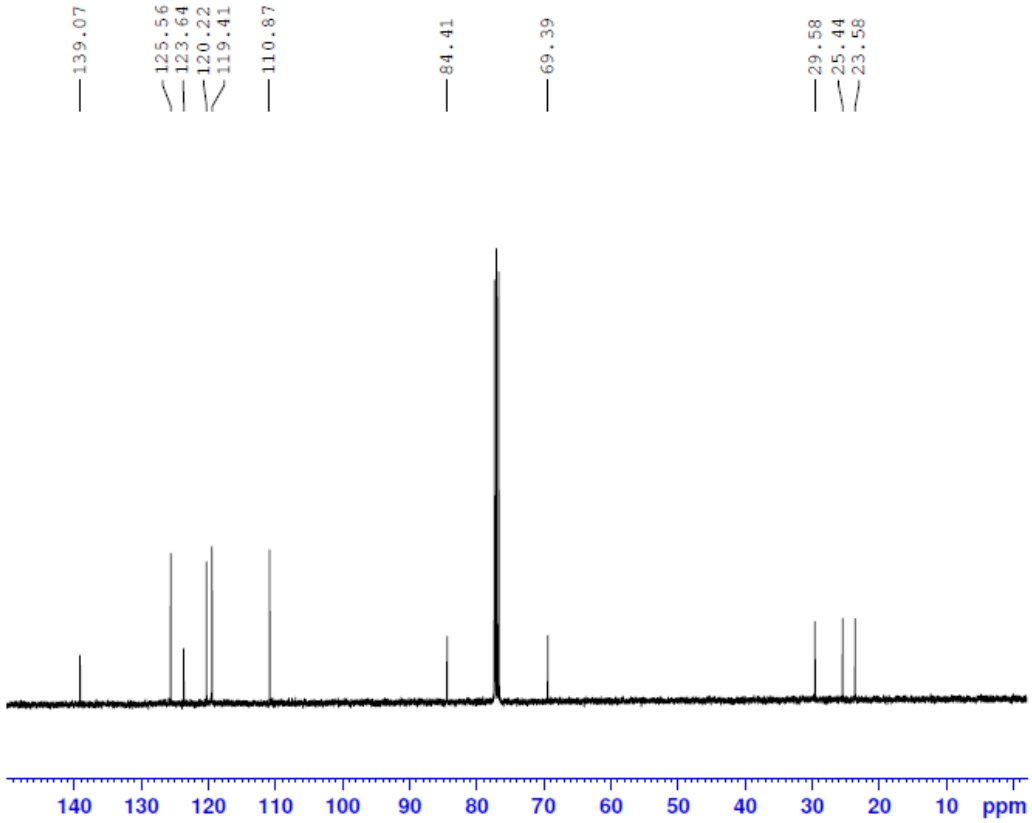
9-(1,4-Dioxan-2-yl)-9*H*-carbazole (Table 3, product 5ba)



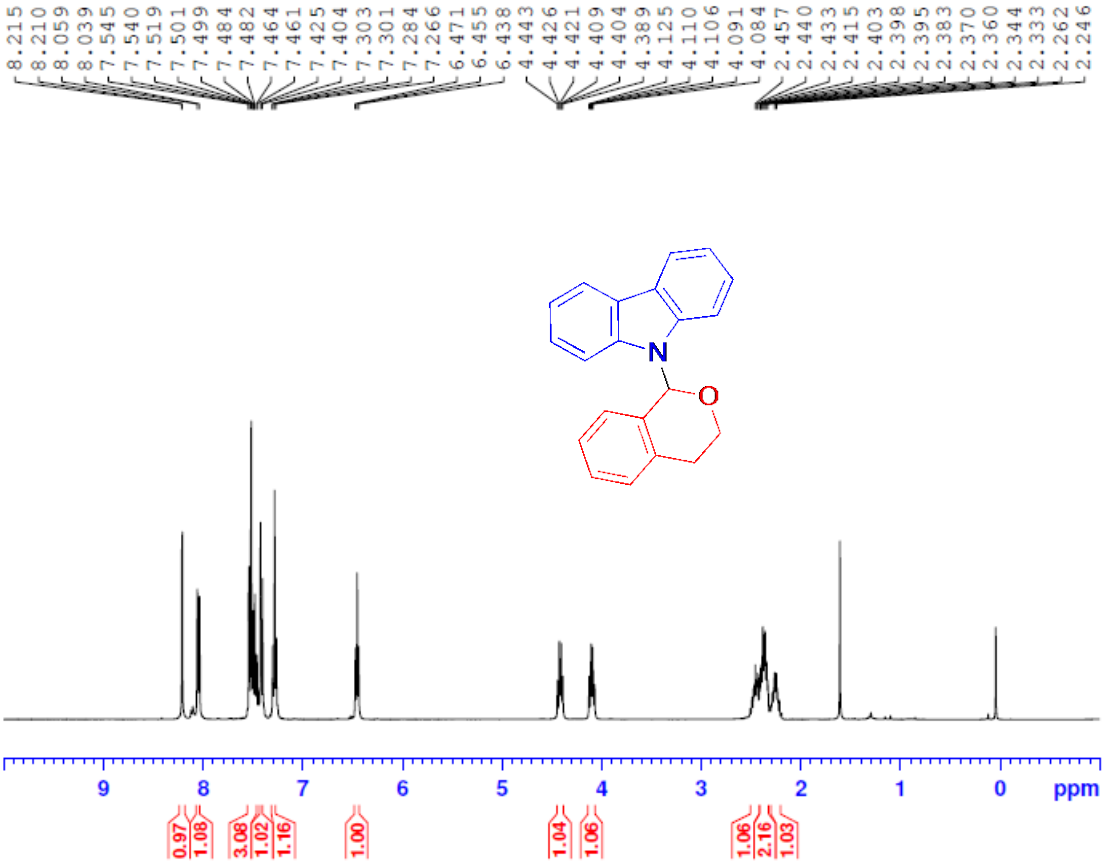


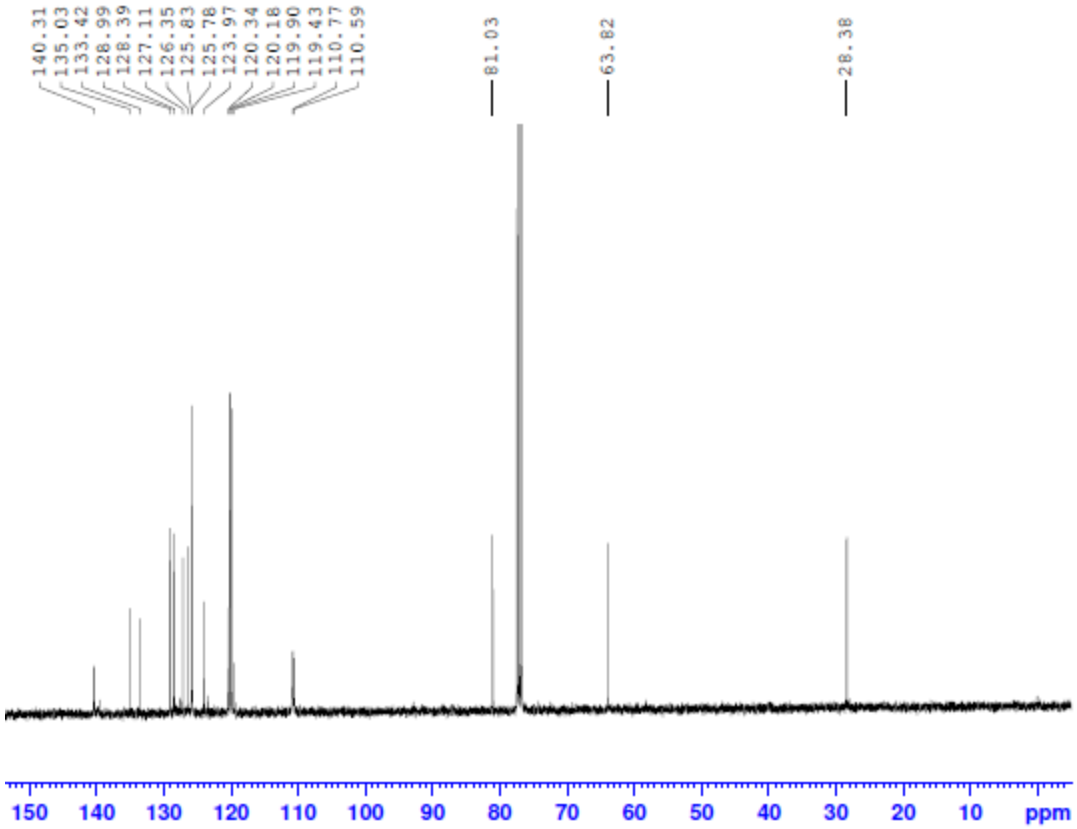
9-(Tetrahydro-2*H*-pyran-2-yl)-9*H*-carbazole (Table 3, product 5ca)



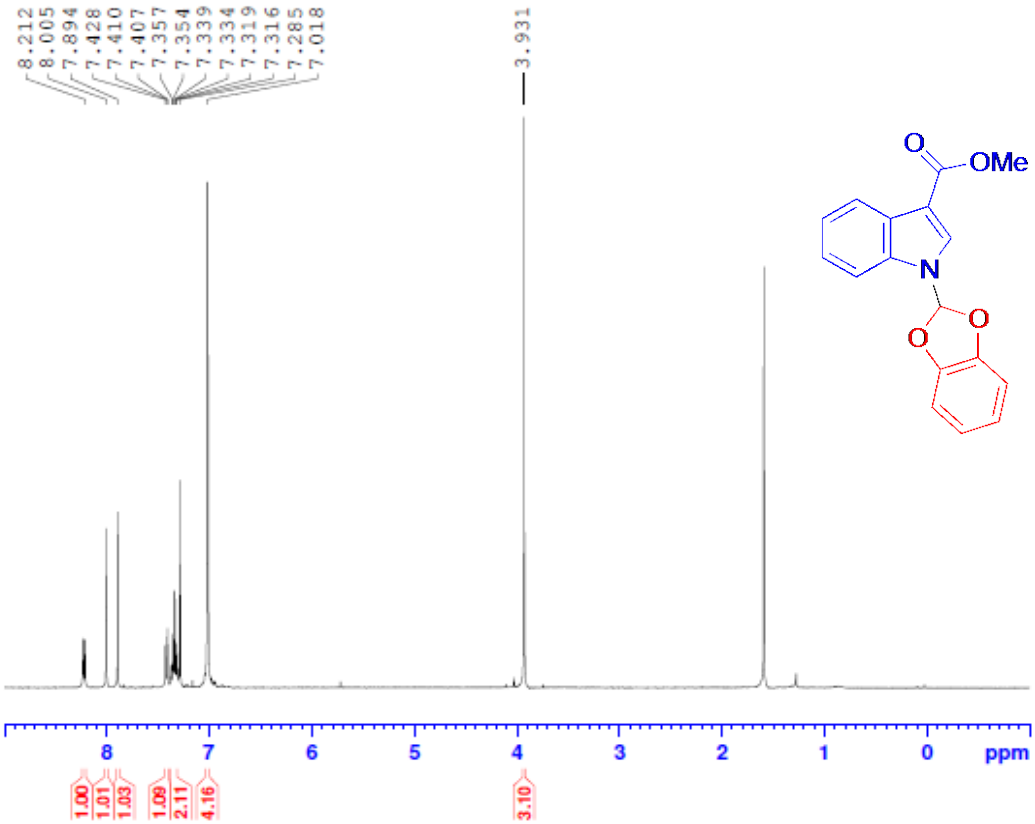


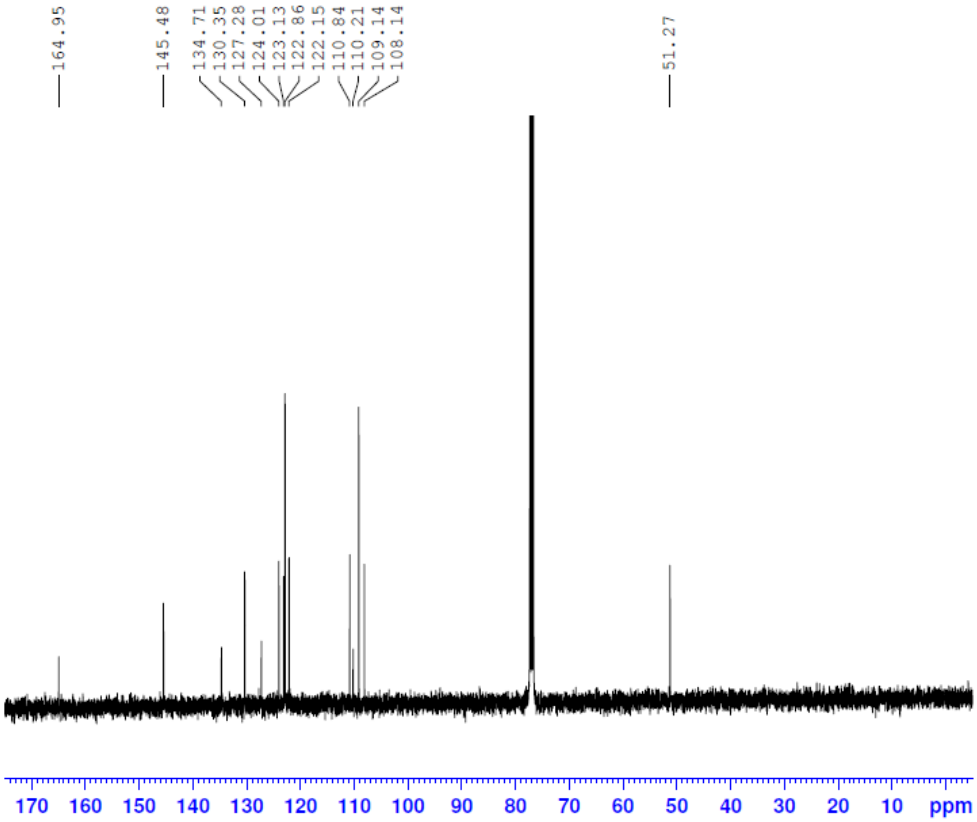
9-(Isochroman-1-yl)-9*H*-carbazole (Table 3, product 5da)



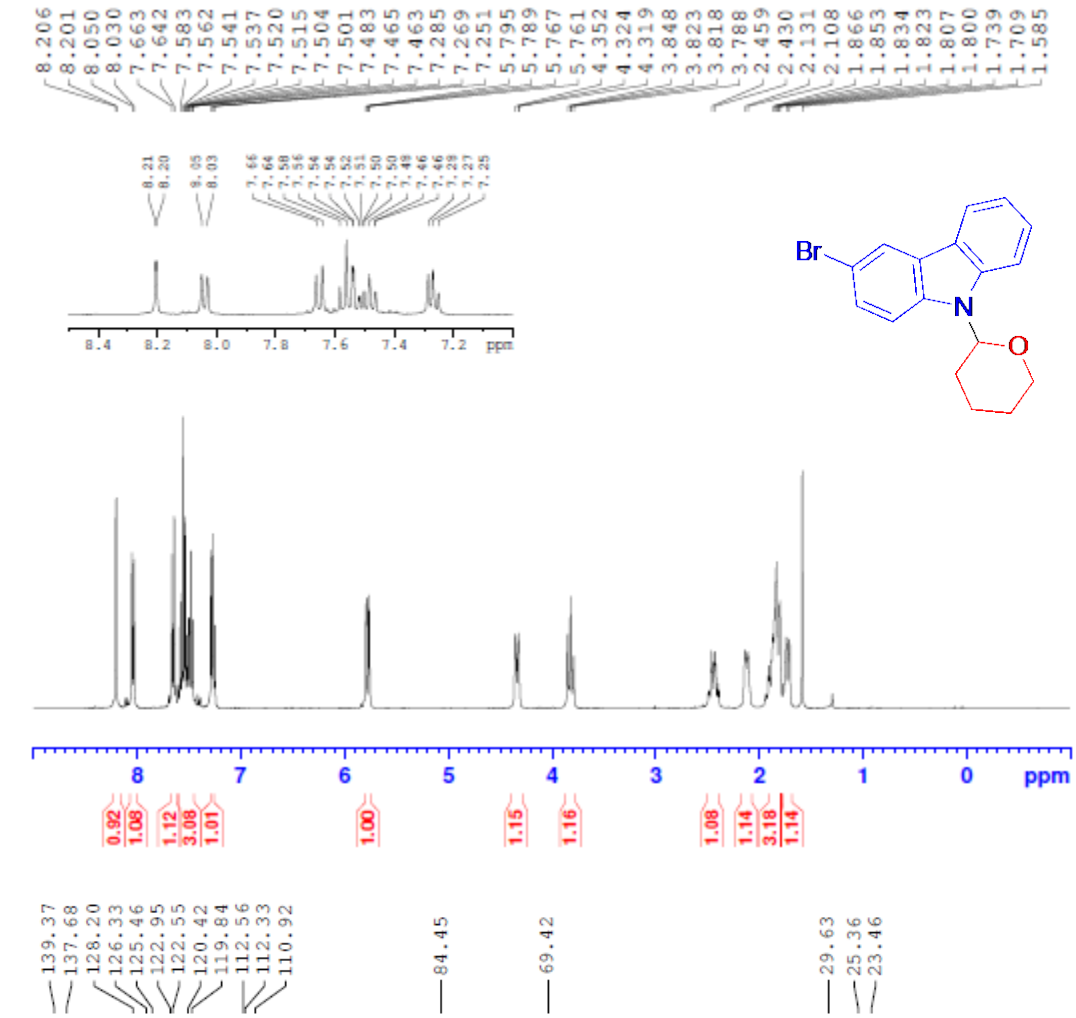


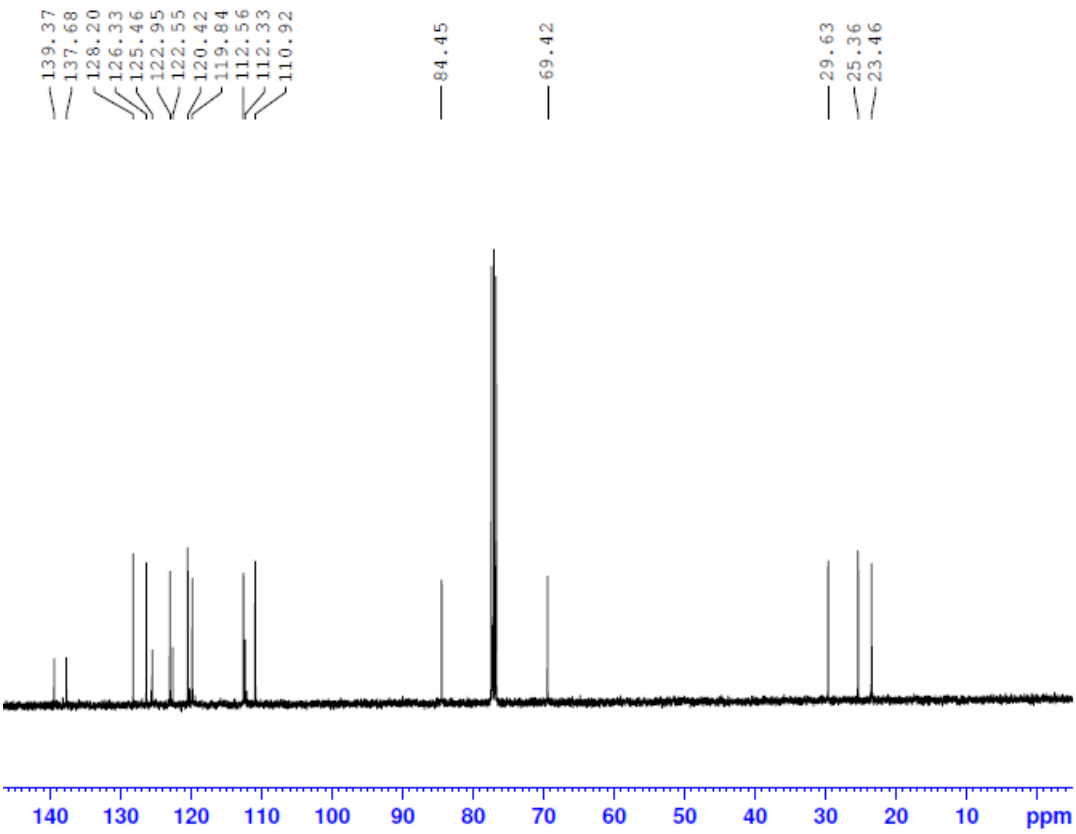
Methyl 1-(benzo[*d*][1,3]dioxol-2-yl)-1*H*-indole-3-carboxylate (Table 3, product 3fa)



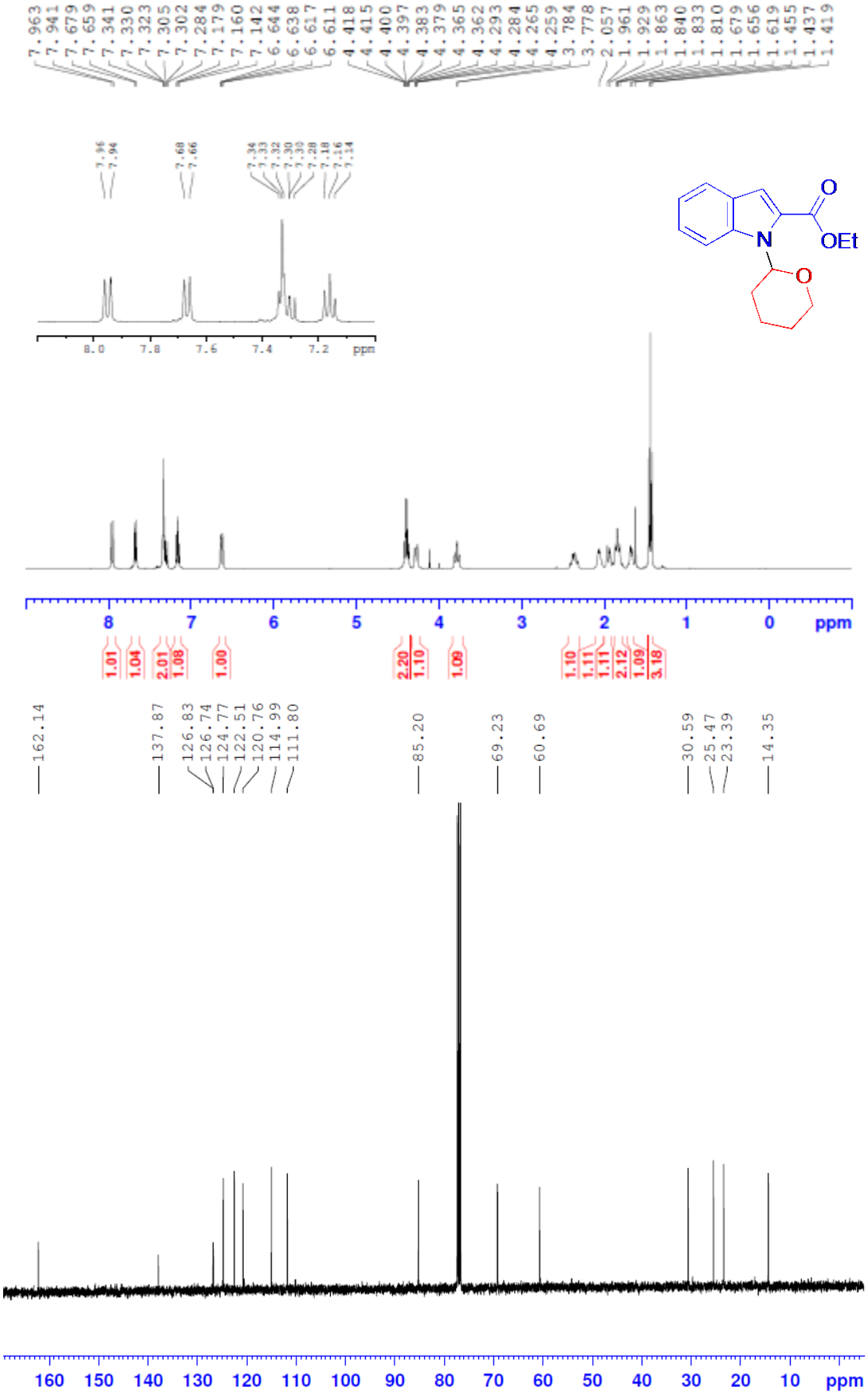
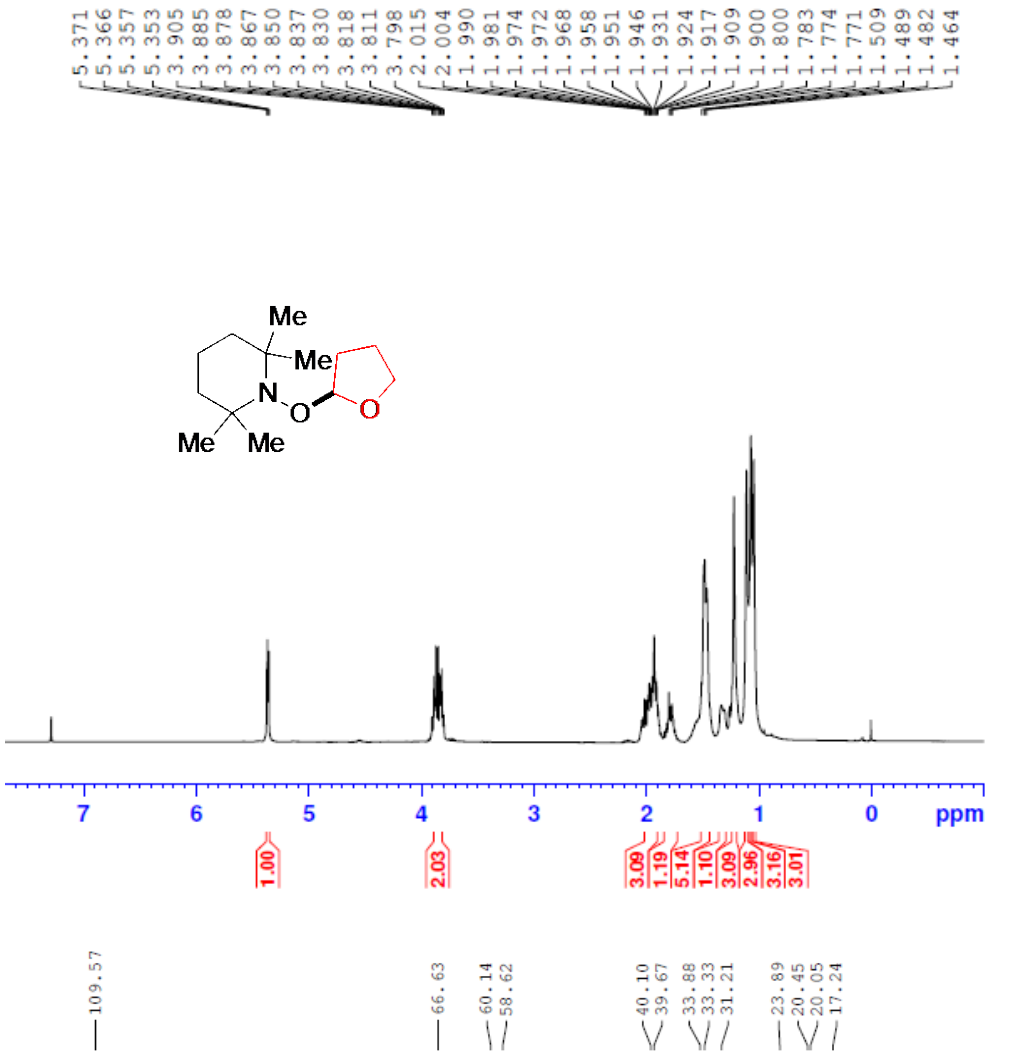
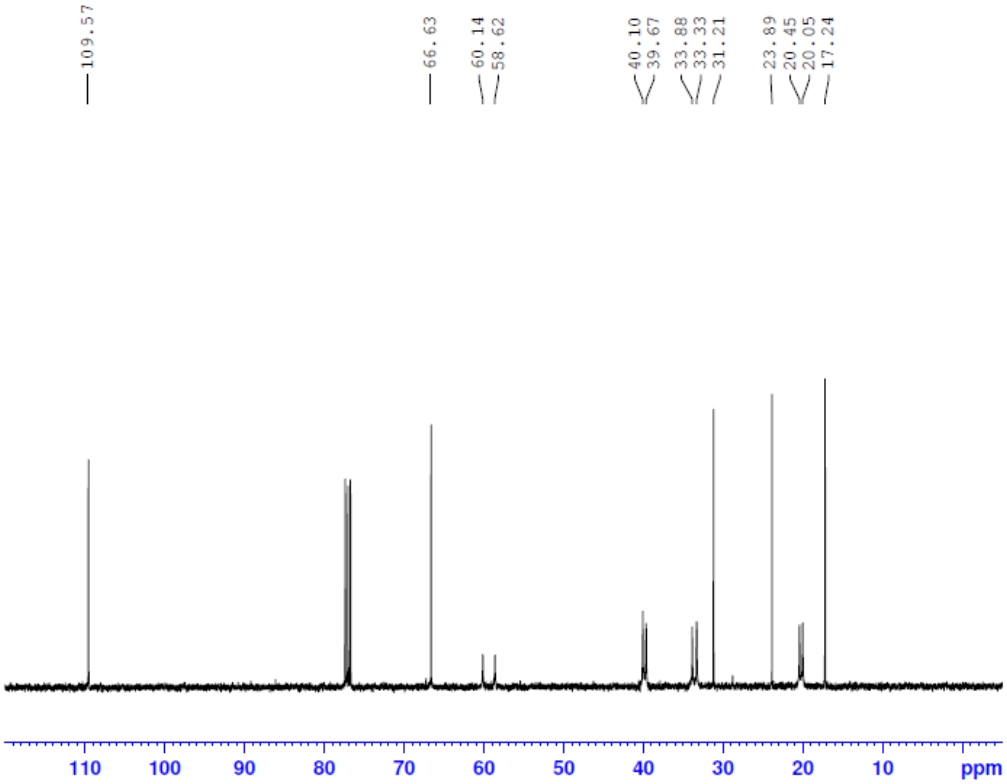


3-Bromo-9-(tetrahydro-2*H*-pyran-2-yl)-9*H*-carbazole (Table 3, product 5cf)





Ethyl 1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-indole-2-carboxylate (Table 3, product 3bh)



2,2,6,6-Tetramethyl-1-(tetrahydrofuran-2-yloxy)piperidine (Scheme 2, product 6)