Supporting Information

A Radical Smiles Rearrangement Difunctionalization of Activated Alkenes *via* Desulfonylation and Insertion of Sulfur Dioxide Relay Strategy

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1. General Information

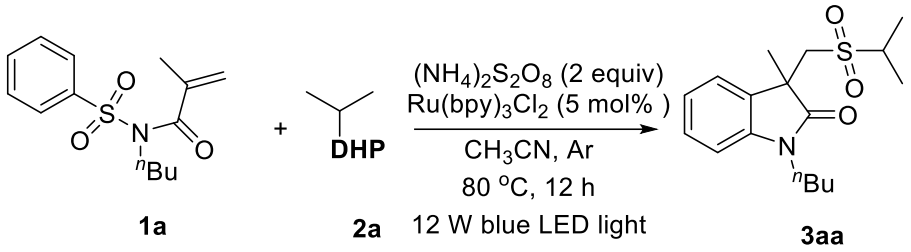
Unless otherwise stated, all commercial reagents were used as received. Propiophenone, aldehydes (Innochem, >98%), *o*-Phenylenediamine and Phenylhydrazine hydrochloride were used without further treatment. All reagents and solvents were commercially available and used without any further purification unless specified. All solvents were dried and distilled according to standard procedures. Flash column chromatography was performed using silica gel (0.25mm, 300-400 mesh). Analytical thin-layer chromatography was performed using glass plates pre-coated with 0.25mm 300-400 mesh silica gel impregnated with a fluorescent indicator (254 nm). All reactions were carried out with magnetic stirring and in dried glassware. Nuclear magnetic resonance (NMR) spectra are recorded in parts per million from internal tetramethylsilane on the δ scale. ^{1}H NMR, ^{19}F NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ on a Bruker DRX-400 spectrometer operating at 400 MHz, 282 MHz and 100 MHz, respectively. All chemical shift values are quoted in ppm and coupling constants quated in Hz. The solvent peak was used as a reference value, for ^{1}H NMR: TMS = 0.00 ppm, for ^{13}C NMR: $CDCl_3$ = 77.00 ppm. The following abbreviations were used to explain multiplicities: s = singlet, d = doublet, dd = doublet of doublet, t = triplet, td = triplet of doublet, q = quartet, m = multiplet, and br = broad. High-resolution mass spectra (HRMS) were obtained on an Agilent mass spectrometer using ESI-TOF (electrospray ionization-time of flight).

2. Experiment Section

2.1 General Procedure for the Synthesis of Substrates

N-(arylsulfonyl)acrylamide **1, 4**[1] and 4-alkyl-DHPs **2**[2]were synthesized according to the known methods.

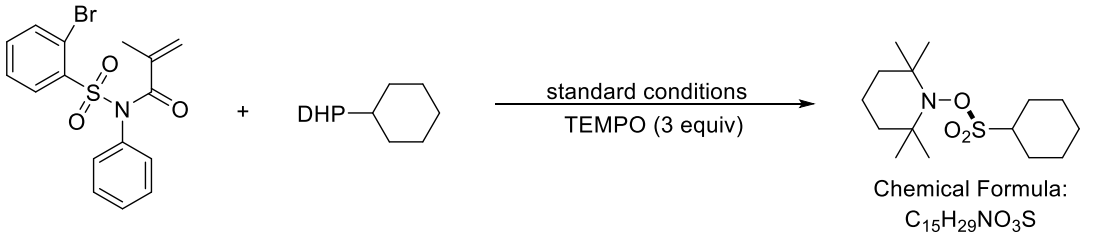
2.2 Typical Experimental Procedure for the Synthesis of Products 3



To a Schlenk tube were added **1** (0.2 mmol), **2** (0.4 mmol, 2.0 eqiuv), $Ru(bpy)_3Cl_2$ (5 mol%), $(NH_4)_2S_2O_8$ (0.4 mmol, 2.0 equiv), and MeCN (2 mL) at 80 °C for 12 h. Until complete consumption of the starting material was observed by TLC and/or GC-MS analysis. After the reaction was finished, the reaction mixture removal of the solvent, the crude product was purified by column chromatography (petroleum ether/ethyl acetate = 2 : 1) to provide the desired products **3**. A scaled-up experiment conducted in the presence of **1a** (1 g, 3.55 mmol), **2a** (2.08 g, 2.0 equiv), $Ru(bpy)_3Cl_2$ (133.12 mg, 5 mol%), $(NH_4)_2S_2O_8$ (2.0 equiv), and MeCN (50 mL) at 80 °C and 12 W blue LED irradiation for 72 h. gave the target product **3aa** in 73% yield.

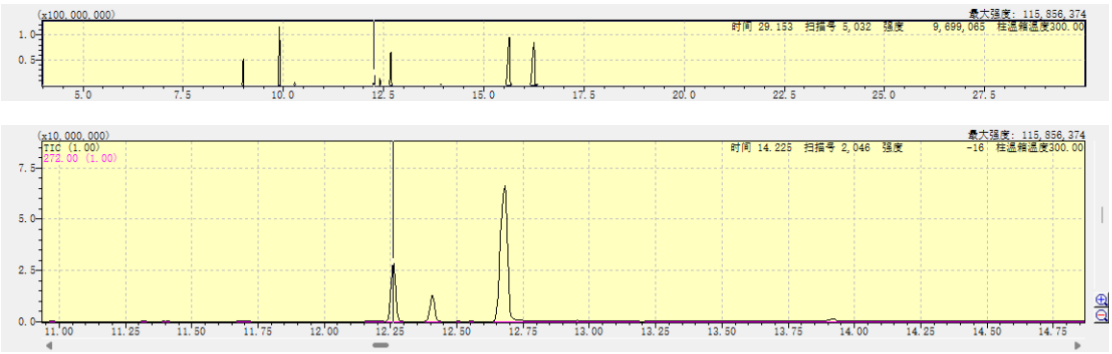
2.3 Control Experiments

2.3.1 GC-MS Analysis of Raw Reaction Mixture by Using TEMPO as Radical Inhibitor

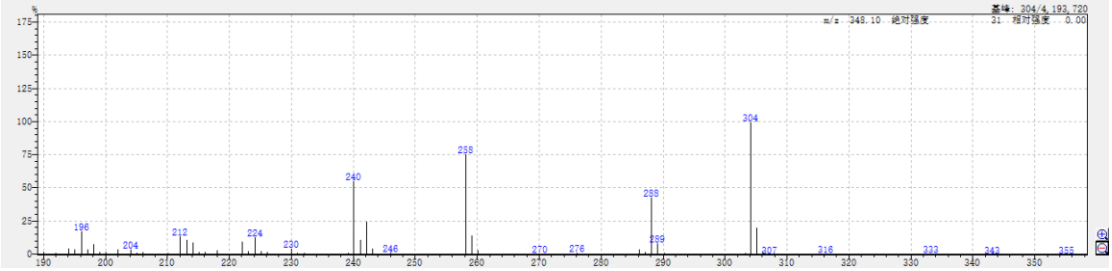


Chemical Formula: $C_{15}H_{29}NO_3S$
Exact Mass: 303.1868
Molecular Weight: 303.4610

Spectra of GC-MS



MS spectra of the peak at 12.260 min



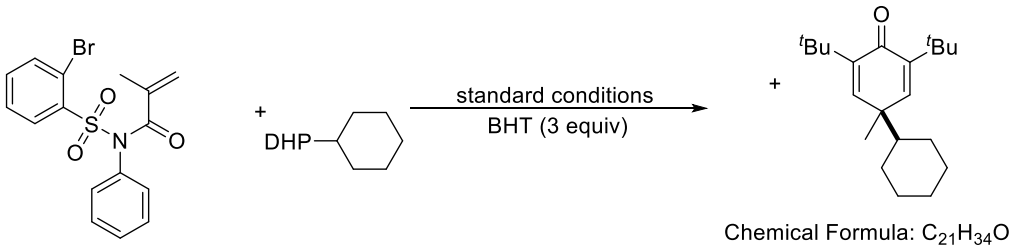
[MS Spectrum]
# of Peaks550
Raw Spectrum 12.260 (scan : 1653)
Background No
Background Spectrum
Base Peakm/z 304.15 (Inten : 4,193,720)
Event# 1

m/z	Absolute Intensity	Relative Intensity
50.05	10191	0.24
51.00	56866	1.36
52.05	26561	0.63
53.05	80663	1.92
54.10	31887	0.76
55.05	241751	5.76
56.10	16158	0.39
57.05	28024	0.67
58.05	3448	0.08
59.00	6028	0.14
60.05	834	0.02
61.05	1885	0.04
62.05	10400	0.25
63.05	65218	1.56
64.05	50417	1.20
65.05	163339	3.89
66.05	39036	0.93
67.05	184412	4.40
68.05	23602	0.56
69.05	36788	0.88
70.05	5920	0.14
71.05	9072	0.22
72.05	2253	0.05
73.05	5924	0.14
74.05	4125	0.10
75.00	14575	0.35
76.05	22849	0.54
77.05	268781	6.41
78.05	86595	2.06
79.05	165990	3.96
80.05	34336	0.82
81.05	33052	0.79
82.05	10281	0.25
83.05	31148	0.74
84.05	6768	0.16
85.00	8002	0.19
85.95	2513	0.06
87.05	4584	0.11
88.10	6569	0.16
89.05	71922	1.71
90.10	41252	0.98
91.05	274448	6.54
92.05	63653	1.52
93.10	46313	1.10
94.10	19991	0.48
95.10	12762	0.30
96.55	3451	0.08
97.55	3898	0.09
98.65	5860	0.14
99.55	2271	0.05
100.10	2412	0.06
101.10	11358	0.27
102.10	35786	0.85
103.10	131110	3.13
104.10	78465	1.87
105.10	106964	2.55
106.10	97650	2.33
107.10	54466	1.30
108.10	30898	0.74
109.10	11766	0.28
110.05	2922	0.07
110.75	1768	0.04
111.75	2394	0.06
112.70	6534	0.16
114.15	8081	0.19
115.10	243385	5.80
116.10	93689	2.23
117.10	167996	4.01
118.10	73178	1.74
119.10	50945	1.21
120.10	63153	1.51
121.10	44876	1.07
122.10	32129	0.77
123.10	5623	0.13
124.10	1850	0.04
125.15	1189	0.03
126.15	4567	0.11
127.10	57407	1.37
128.10	167438	3.99
129.10	122103	2.91
130.10	136353	3.25
131.10	150622	3.59
132.10	140097	3.34
133.10	72041	1.72
134.10	111191	2.65
135.10	24214	0.58
136.10	12247	0.29
137.05	3286	0.08
138.05	2938	0.07
139.05	7031	0.17
140.05	11994	0.29
141.10	55857	1.33
142.10	56058	1.34
143.10	103172	2.46
144.10	181199	4.32
145.10	135905	3.24
146.10	113386	2.70
147.10	69508	1.66
148.05	53260	1.27
149.05	17235	0.41

150.05	28862	0.69	194.05	202750	4.83	238.05	28138	0.67
151.05	15265	0.36	195.10	177073	4.22	239.15	41101	0.98
152.05	22943	0.55	196.10	732614	17.47	240.10	2330660	55.58
153.05	45291	1.08	197.10	163754	3.90	241.10	474215	11.31
154.10	54020	1.29	198.05	337355	8.04	242.10	1043121	24.87
155.10	62538	1.49	199.05	80153	1.91	243.10	179479	4.28
156.05	76605	1.83	200.05	86077	2.05	244.10	36732	0.88
157.05	71701	1.71	201.05	35603	0.85	245.10	10801	0.26
158.10	187238	4.46	202.05	161258	3.85	246.10	49414	1.18
159.10	78993	1.88	203.05	31440	0.75	247.10	84470.20	
160.05	148983	3.55	204.05	162363	3.87	248.10	16324	0.39
161.05	57272	1.37	205.05	46917	1.12	249.10	42500.10	
162.05	61466	1.47	206.00	79732	1.90	250.15	44110.11	
163.05	52270	1.25	207.00	26886	0.64	251.10	20150.05	
164.05	29078	0.69	208.00	24644	0.59	252.10	67770.16	
165.05	18125	0.43	209.05	12625	0.30	253.05	14300.03	
166.05	12708	0.30	210.05	52820	1.26	254.05	27240.06	
167.10	38478	0.92	211.15	38711	0.92	255.05	968 0.02	
168.10	52180	1.24	212.05	570179	13.60	256.05	73050.17	
169.10	55066	1.31	213.10	461858	11.01	257.15	33098	0.79
170.05	100508	2.40	214.10	392263	9.35	258.10	3174721	75.70
171.05	119451	2.85	215.05	85825	2.05	259.10	611822	14.59
172.05	137581	3.28	216.05	89382	2.13	260.10	129431	3.09
173.05	62340	1.49	217.05	28275	0.67	261.10	16786	0.40
174.05	92588	2.21	218.05	137342	3.27	262.10	47620.11	
175.05	30246	0.72	219.05	24921	0.59	263.15	784 0.02	
176.05	84605	2.02	220.05	38429	0.92	264.00	630 0.02	
177.05	31708	0.76	221.15	12795	0.31	265.05	401 0.01	
178.05	44258	1.06	222.05	408347	9.74	266.10	226 0.01	
179.05	15597	0.37	223.05	99982	2.38	267.05	20400.05	
180.05	33904	0.81	224.10	557036	13.28	268.10	16063	0.38
181.05	83167	1.98	225.10	106084	2.53	269.15	92060.22	
182.05	61395	1.46	226.10	76898	1.83	270.15	37432	0.89
183.10	36262	0.86	227.10	15561	0.37	271.10	75610.18	
184.05	229898	5.48	228.10	17729	0.42	272.10	16162	0.39
185.10	102543	2.45	229.15	79910.19		273.10	32100.08	
186.05	205902	4.91	230.05	180636	4.31	274.10	30680.07	
187.05	71736	1.71	231.05	51038	1.22	275.15	26380.06	
188.05	134300	3.20	232.05	44807	1.07	276.10	61889	1.48
189.05	41463	0.99	233.05	25338	0.60	277.10	11289	0.27
190.05	93066	2.22	234.05	13520	0.32	278.20	19690.05	
191.05	33817	0.81	235.05	34200.08		279.20	326 0.01	
192.05	43339	1.03	236.05	81570.19		280.00	249 0.01	
193.15	16298	0.39	237.05	16730.04		281.00	26060.06	

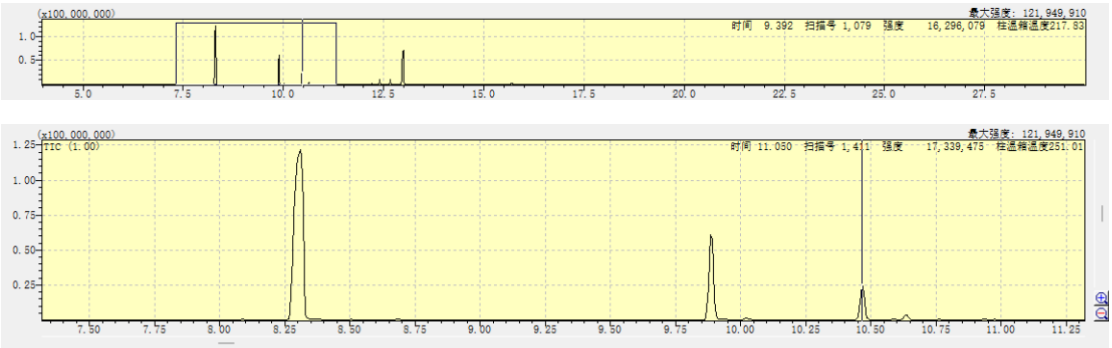
282.05	882	0.02	299.10	86	0.00	316.20	26474	0.63
283.00	609	0.01	300.10	708	0.02	317.15	5985	0.14
284.05	787	0.02	301.10	225	0.01	318.15	17184	0.41
285.15	4207	0.10	302.15	3177	0.08	319.20	3217	0.08
286.15	161919	3.86	**303.25**	**47695**	**1.14**	320.20	506	0.01
287.15	83210	1.98	**304.15**	**4193720**	**100.00**	321.20	87	0.00
288.15	1810871	43.18	**305.15**	**840202**	**20.03**	322.20	116	0.00
289.15	355479	8.48	306.15	118882	2.83	323.20	74	0.00
290.15	45391	1.08	307.15	11842	0.28	324.20	55	0.00
291.10	4274	0.10	308.20	903	0.02	325.20	124	0.00
292.10	343	0.01	309.20	238	0.01	326.20	74	0.00
293.10	202	0.00	310.20	74	0.00	327.20	254	0.01
294.10	97	0.00	311.20	87	0.00	328.20	105	0.00
295.10	218	0.01	312.20	102	0.00	329.20	129	0.00
296.10	116	0.00	313.20	41	0.00	330.20	92	0.00
297.10	47	0.00	314.15	446	0.01	331.20	314	0.01
298.10	140	0.00	315.25	1130	0.03			

2.3.2 GC-MS Analysis of Raw Reaction Mixture by Using BHT as Radical Inhibito

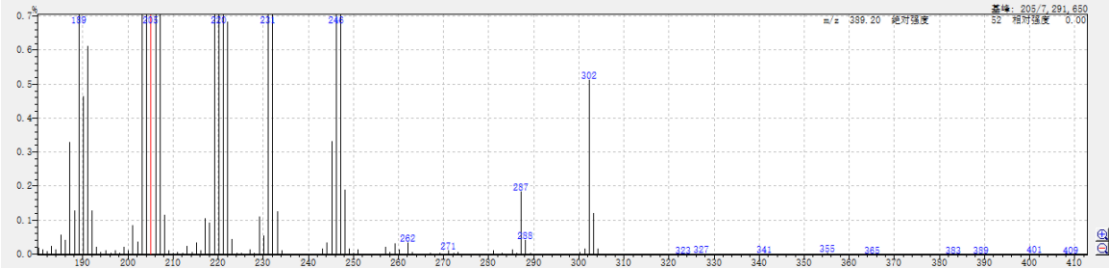


Chemical Formula: $C_{21}H_{34}O$
Exact Mass: 302.2610
Molecular Weight: 302.4941

Spectra of GC-MS



MS spectra of the peak at 10.465 min



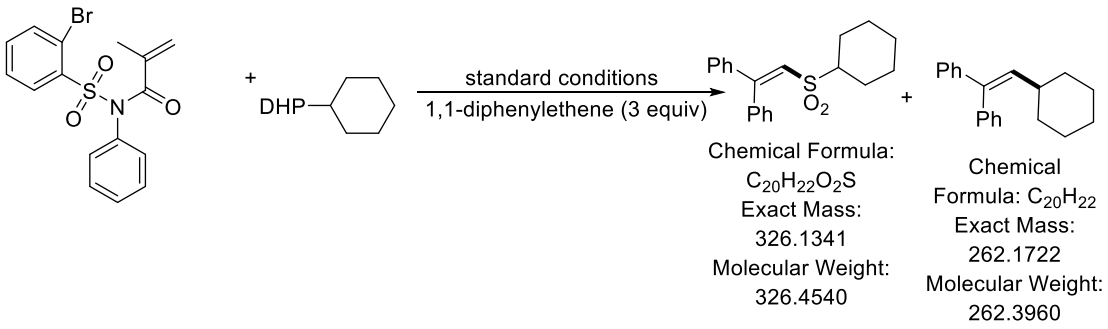
[MS Spectrum]
# of Peaks546
Raw Spectrum 10.465 (scan : 1294)
Background No
Background Spectrum
Base Peakm/z 205.10 (Inten : 7,291,650)
Event# 1
m/z Absolute Intensity Relative Intensity

50.05	3240	0.04	76.05	4064	0.06	113.15	769	0.01
51.00	19468	0.27	77.05	132956	1.82	114.15	1860	0.03
52.05	8946	0.12	78.05	31795	0.44	115.10	117847	1.62
53.05	110756	1.52	79.05	142545	1.95	116.10	52514	0.72
54.15	58013	0.80	80.10	17935	0.25	117.10	85134	1.17
55.05	1936465	26.56	81.05	96496	1.32	118.15	17017	0.23
56.15	109802	1.51	82.15	24033	0.33	119.10	222118	3.05
57.10	1589714	21.80	83.10	833596	11.43	120.15	41041	0.56
58.10	73359	1.01	84.10	59062	0.81	121.10	326831	4.48
59.10	9457	0.13	85.10	3983	0.05	122.10	43183	0.59
60.00	644	0.01	86.05	1574	0.02	123.10	31294	0.43
61.00	631	0.01	87.00	1636	0.02	124.10	3442	0.05
62.05	996	0.01	88.05	1155	0.02	125.15	1345	0.02
63.05	7075	0.10	89.05	7937	0.11	126.15	1924	0.03
64.15	7318	0.10	90.15	5467	0.07	127.10	31889	0.44
65.05	69449	0.95	91.05	276365	3.79	128.10	110518	1.52
66.10	14814	0.20	92.10	31239	0.43	129.10	118798	1.63
67.05	143637	1.97	93.10	81863	1.12	130.10	67788	0.93
68.10	12385	0.17	94.15	12997	0.18	131.10	129770	1.78
69.05	134366	1.84	95.10	56473	0.77	132.10	23921	0.33
70.10	10071	0.14	96.15	6494	0.09	133.10	154428	2.12
71.05	14538	0.20	97.10	34649	0.48	134.15	36991	0.51
72.05	2382	0.03	98.10	2977	0.04	135.10	140759	1.93
73.00	4231	0.06	99.15	1007	0.01	136.10	37236	0.51
74.05	1495	0.02	100.10	759	0.01	137.10	41940	0.58
75.00	2204	0.03	101.10	2201	0.03	138.10	6414	0.09
			102.10	7404	0.10	139.10	3534	0.05
			103.10	42710	0.59	140.15	1689	0.02
			104.15	17449	0.24	141.05	70317	0.96
			105.10	325524	4.46	142.10	44369	0.61
			106.10	40743	0.56	143.10	49687	0.68
			107.10	93553	1.28	144.15	27016	0.37
			108.10	21660	0.30	145.10	253620	3.48
			109.10	50428	0.69	146.10	49418	0.68
			110.10	5780	0.08	147.10	91487	1.25
			111.10	6399	0.09	148.15	28619	0.39
			112.15	798	0.01	149.10	267006	3.66

150.10	34716	0.48	194.00	542	0.01	238.20	110	0.00
151.10	16159	0.22	195.05	946	0.01	239.20	150	0.00
152.10	12961	0.18	196.15	439	0.01	240.20	116	0.00
153.05	15788	0.22	197.10	850	0.01	241.20	233	0.00
154.10	81290	0.11	198.10	463	0.01	242.20	145	0.00
155.10	27390	0.38	199.15	16130	0.02	243.15	13430	0.02
156.10	23276	0.32	200.10	942	0.01	244.20	25300	0.03
157.10	38124	0.52	201.05	63990	0.09	245.25	24357	0.33
158.10	13898	0.19	202.15	27810	0.04	246.15	762468	10.46
159.10	54602	0.75	203.10	70263	0.96	247.15	150737	2.07
160.15	16245	0.22	204.15	71812	0.98	248.15	13932	0.19
161.10	232846	3.19	205.10	7291650	100.00	249.15	12980	0.02
162.10	38735	0.53	206.10	1256882	17.24	250.05	296	0.00
163.10	142470	1.95	207.10	113626	1.56	251.05	10640	0.01
164.10	57500	0.79	208.10	84740	0.12	252.00	238	0.00
165.10	13343	0.18	209.05	886	0.01	253.00	241	0.00
166.05	38830	0.05	210.10	319	0.00	254.00	58	0.00
167.05	31750	0.04	211.05	512	0.01	255.00	182	0.00
168.10	15320	0.02	212.15	337	0.00	256.00	135	0.00
169.10	41740	0.06	213.10	17830	0.02	257.20	16140	0.02
170.10	36020	0.05	214.15	479	0.01	258.15	473	0.01
171.10	11871	0.16	215.10	26380	0.04	259.20	24140	0.03
172.10	10105	0.14	216.15	996	0.01	260.20	11930	0.02
173.10	21644	0.30	217.10	77640	0.11	261.20	231	0.00
174.05	10321	0.14	218.15	68640	0.09	262.15	25770	0.04
175.10	30769	0.42	219.15	90526	1.24	263.05	569	0.01
176.15	11037	0.15	220.15	3069696	42.10	264.00	97	0.00
177.10	482687	6.62	221.15	521328	7.15	265.00	263	0.00
178.10	71873	0.99	222.15	50010	0.69	266.00	102	0.00
179.10	78090	0.11	223.10	33620	0.05	267.05	399	0.01
180.15	15680	0.02	224.10	369	0.01	268.10	190	0.00
181.05	11470	0.02	225.10	343	0.00	269.10	338	0.00
182.05	796	0.01	226.20	239	0.00	270.10	231	0.00
183.10	18070	0.02	227.15	11990	0.02	271.15	979	0.01
184.05	10300	0.01	228.10	378	0.01	272.25	352	0.00
185.05	41790	0.06	229.10	81210	0.11	273.20	522	0.01
186.15	31700	0.04	230.15	40590	0.06	274.20	194	0.00
187.10	24057	0.33	231.15	401195	5.50	275.20	92	0.00
188.15	94900	0.13	232.10	73982	1.01	276.20	50	0.00
189.10	196756	2.70	233.15	93240	0.13	277.20	65	0.00
190.10	34036	0.47	234.15	10200	0.01	278.20	22	0.00
191.10	44825	0.61	235.20	185	0.00	279.20	24	0.00
192.10	94900	0.13	236.20	218	0.00	280.00	62	0.00
193.05	17310	0.02	237.20	54	0.00	281.00	839	0.01

282.00	204	0.00	296.30	52	0.00	311.20	102	0.00
283.00	342	0.00	297.30	24	0.00	312.20	55	0.00
284.00	63	0.00	298.30	66	0.00	313.20	65	0.00
285.20	1191	0.02	299.30	36	0.00	314.20	42	0.00
286.25	446	0.01	300.25	602	0.01	315.20	24	0.00
287.25	13640	0.19	**301.35**	**13210**	**0.02**	316.20	27	0.00
288.20	3073	0.04	**302.25**	**37577**	**0.52**	317.20	16	0.00
289.30	415	0.01	**303.25**	**8928**	**0.12**	318.20	76	0.00
290.30	68	0.00	**304.20**	**1212**	**0.02**	319.20	73	0.00
291.30	66	0.00	305.20	142	0.00	320.20	50	0.00
292.30	55	0.00	307.20	62	0.00	321.20	42	0.00
293.30	76	0.00	308.20	29	0.00	322.20	22	0.00
294.30	47	0.00	309.20	19	0.00	323.20	92	0.00
295.30	42	0.00	310.20	52	0.00	324.20	38	0.00

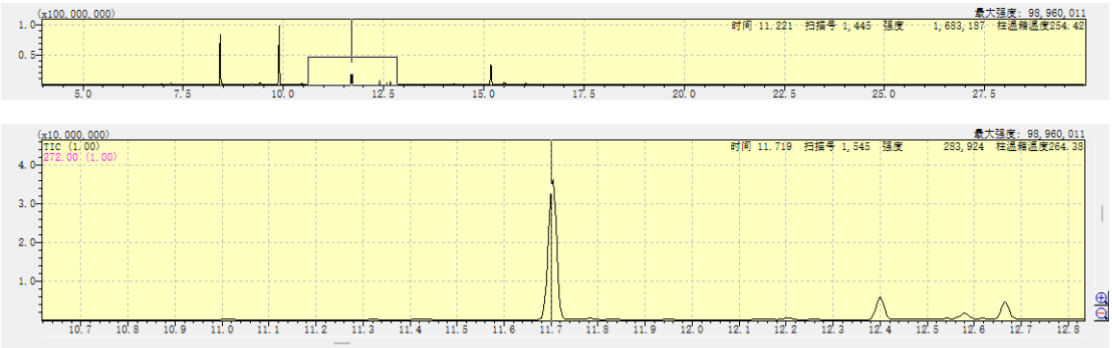
2.3.3 GC-MS Analysis of Raw Reaction Mixture by Using 1,1-diphenylethen as Radical Inhibito



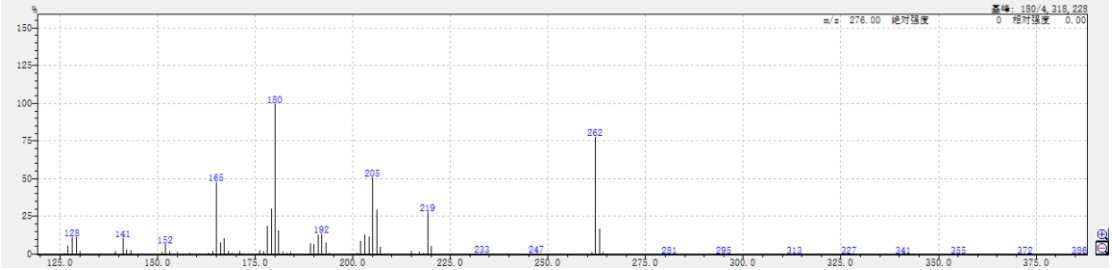
Chemical Formula: $C_{20}H_{22}O_2S$
Exact Mass: 326.1341
Molecular Weight: 326.4540

Chemical Formula: $C_{20}H_{22}$
Exact Mass: 262.1722
Molecular Weight: 262.3960

Spectra of GC-MS



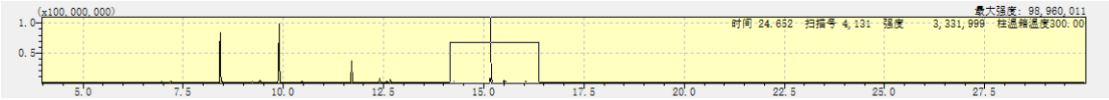
MS spectra of the peak at 11.705 min

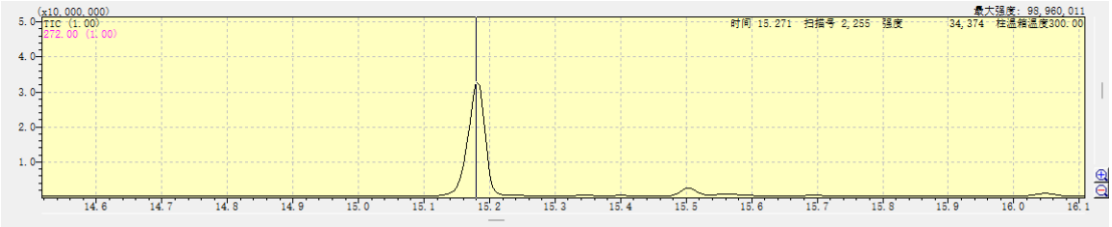


[MS Spectrum]
# of Peaks549
Raw Spectrum 11.705 (scan : 1542)
Background No
Background Spectrum
Base Peakm/z 180.10 (Inten : 4,502,721)
Event# 1
m/z Absolute Intensity Relative Intensity

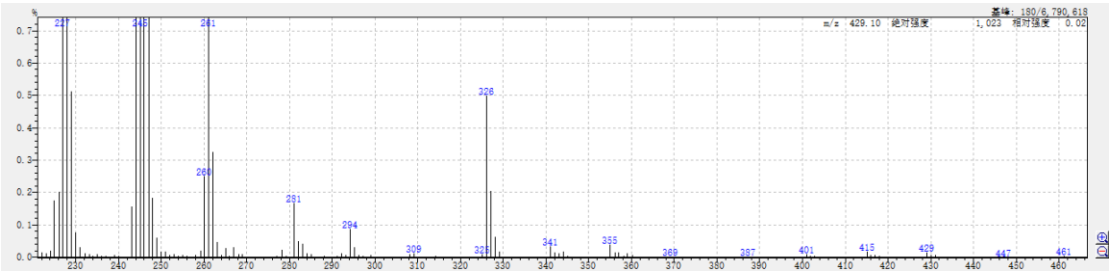
m/z	Absolute Intensity	Relative Intensity
50.05	21293	0.47
51.00	129930	2.89
52.05	29365	0.65
53.05	63852	1.42
54.05	29113	0.65
55.05	118907	2.64
56.10	10878	0.24
57.10	14153	0.31
58.05	1196	0.03
59.10	297	0.01
60.00	148	0.00
61.05	1165	0.03
62.05	14420	0.32
63.05	89700	1.99
64.05	15636	0.35
65.05	158878	3.53
66.05	18494	0.41
67.05	112803	2.51
68.10	8289	0.18
69.15	23124	0.51
70.05	5474	0.12
71.00	2386	0.05
72.05	276	0.01
73.05	2563	0.06
74.00	17583	0.39
75.05	41759	0.93
76.05	104288	2.32
77.05	306675	6.81
78.05	86529	1.92
79.05	77603	1.72
80.05	20188	0.45
81.10	59748	1.33
82.15	53803	1.19
83.05	30089	0.67
84.05	1900	0.04
85.15	943	0.02
86.05	6893	0.15
87.05	23846	0.53
88.05	58191	1.29
89.05	197792	4.39
90.15	49702	1.10
91.10	2590202	57.53
92.10	216586	4.81
92.80	21086	0.47
93.85	26643	0.59
94.80	110071	2.44
96.05	59659	1.32
96.95	5316	0.12
98.05	5906	0.13
99.10	7407	0.16
100.15	27896	0.62
101.10	188145	4.18
102.05	192861	4.28
103.10	248590	5.52
104.05	55637	1.24
105.10	73406	1.63
105.95	11811	0.26
106.95	28491	0.63
107.85	44446	0.99
109.00	17121	0.38
110.05	16256	0.36
110.95	4247	0.09
112.15	3110	0.07
113.10	36951	0.82
114.15	36455	0.81
115.10	1223575	27.17
116.10	161983	3.60
117.10	257210	5.71
118.10	27468	0.61
119.15	5668	0.13
120.05	2644	0.06
121.05	936	0.02
122.10	1159	0.03
123.05	1306	0.03
124.05	1380	0.03
125.10	7058	0.16
126.10	60465	1.34
127.10	269548	5.99
128.10	553294	12.29
129.10	534927	11.88
130.10	101454	2.25
131.10	34326	0.76
132.10	4202	0.09
133.10	3172	0.07
134.15	614	0.01
135.10	898	0.02
136.05	436	0.01
137.05	8387	0.19
138.15	8560	0.19
139.05	96173	2.14
140.15	20039	0.45
141.10	499135	11.09
142.10	152078	3.38
143.10	129698	2.88
144.10	23025	0.51
145.10	29548	0.66
146.10	3634	0.08
147.05	917	0.02
148.15	490	0.01
149.10	4447	0.10

150.05	32566	0.72	194.05	56707	1.26	238.05	410	0.01
151.05	86796	1.93	195.10	69160	0.15	239.05	2485	0.06
152.05	322292	7.16	196.10	16170	0.04	240.05	986	0.02
153.05	103486	2.30	197.00	471	0.01	241.10	10810	0.02
154.05	37861	0.84	198.05	682	0.02	242.05	644	0.01
155.10	71306	1.58	199.05	20740	0.05	243.15	555	0.01
156.10	24337	0.54	200.05	26077	0.58	244.10	329	0.01
157.10	18953	0.42	201.05	41149	0.91	245.10	2636	0.06
158.10	63206	1.40	202.05	398498	8.85	246.15	929	0.02
159.10	11714	0.26	203.05	575878	12.79	247.10	14044	0.31
160.05	11260	0.03	204.05	520462	11.56	248.20	3129	0.07
161.05	21550	0.05	205.05	2265629	50.32	249.15	540	0.01
162.05	77550	0.17	206.05	1320747	29.33	250.10	703	0.02
163.05	63116	1.40	207.05	219146	4.87	251.10	876	0.02
164.15	110704	2.46	208.05	30946	0.69	252.10	1086	0.02
165.05	2200130	48.86	209.05	39580	0.09	253.05	914	0.02
166.05	369233	8.20	210.00	593	0.01	254.05	395	0.01
167.05	479366	10.65	210.95	10750	0.02	255.15	470	0.01
168.05	94356	2.10	212.05	934	0.02	256.10	1412	0.03
169.10	50358	1.12	213.05	13162	0.29	257.05	521	0.01
170.10	16076	0.36	214.05	63660	0.14	258.10	761	0.02
171.10	105642	2.35	215.05	90483	2.01	259.15	1111	0.02
172.10	13929	0.31	216.05	35441	0.79	260.10	8078	0.18
173.05	12870	0.03	217.05	79502	1.77	**261.15**	**69775**	**1.55**
174.05	46030	0.10	218.15	47528	1.06	**262.15**	**3325740**	**73.86**
175.05	10532	0.23	219.10	1217953	27.05	**263.15**	**715055**	**15.88**
176.05	119184	2.65	220.05	255557	5.68	**264.10**	**76913**	**1.71**
177.10	95470	2.12	221.05	30319	0.67	265.10	4887	0.11
178.05	867383	19.26	222.05	28230	0.06	266.05	540	0.01
179.10	1389950	30.87	223.05	489	0.01	267.00	458	0.01
180.10	4502721	100.00	224.05	11880	0.03	268.00	231	0.01
181.05	709242	15.75	225.05	14230	0.03	269.00	222	0.00
182.05	61960	1.38	226.05	69810	0.16	270.00	89	0.00
183.10	44039	0.98	227.00	52410	0.12	271.00	65	0.00
184.10	73924	1.64	228.05	88180	0.20	272.00	46	0.00
185.10	31961	0.71	229.05	73550	0.16	273.00	62	0.00
186.05	51390	0.11	230.10	29450	0.07	274.00	36	0.00
187.00	16967	0.38	231.10	74790	0.17	275.00	44	0.00
188.05	22640	0.50	232.15	57630	0.13	276.00	38	0.00
189.05	340868	7.57	233.10	29631	0.66	277.20	423	0.01
190.05	316178	7.02	234.10	10276	0.23	278.20	127	0.00
191.05	578711	12.85	235.00	21750	0.05	279.20	60	0.00
192.05	608770	13.52	236.00	436	0.01	280.00	50	0.00
193.05	347131	7.71	236.95	499	0.01	281.05	1727	0.04





MS spectra of the peak at 15.180 min



[MS Spectrum]
# of Peaks548
Raw Spectrum 15.180 (scan : 2237)
Background No
Background Spectrum
Base Peakm/z 180.10 (Inten : 6,790,618)
Event# 1
m/z Absolute Intensity Relative Intensity

50.05	50070	0.74	65.05	67530	0.99	91.05	80859	1.19
51.00	276577	4.07	66.10	19167	0.28	92.10	96470.14	
52.05	52528	0.77	67.05	193819	2.85	93.05	331800.05	
53.05	150537	2.22	68.05	12907	0.19	94.05	181100.03	
54.15	145178	2.14	69.05	937900.14		95.05	382500.06	
55.05	3437568	50.62	69.95	239000.04		96.05	725300.11	
56.05	158178	2.33	71.00	359800.05		97.05	10372	0.15
57.05	671600.10		72.05	676 0.01		98.05	985000.15	
58.05	141200.02		73.00	10756	0.16	99.05	11218	0.17
59.00	211300.03		74.00	32782	0.48	100.05	796400.12	
60.05	822 0.01		75.05	63364	0.93	101.10	26578	0.39
61.05	225500.03		76.05	156709	2.31	102.05	242701	3.57
62.05	15008	0.22	77.05	339927	5.01	103.10	211782	3.12
63.05	73278	1.08	78.05	51754	0.76	104.10	22530	0.33
64.05	24025	0.35	79.05	91924	1.35	105.05	35665	0.53
			80.10	19762	0.29	106.05	356200.05	
			81.05	149050	2.19	107.05	113200.02	
			82.15	55645	0.82	108.05	268600.04	
			83.10	872836	12.85	109.05	351700.05	
			84.10	59588	0.88	110.05	221100.03	
			85.10	376200.06		111.05	408600.06	
			86.05	896400.13		112.05	178100.03	
			87.05	20802	0.31	113.05	21261	0.31
			88.10	25318	0.37	114.15	917600.14	
			89.05	82530	1.22	115.10	93841	1.38
			90.05	12597	0.19	116.10	12030	0.18

117.10	16023	0.24	161.00	17160	0.03	205.05	21715	0.32
118.10	45270	0.07	162.05	50520	0.07	206.05	74070	0.11
119.05	32150	0.05	163.05	33560	0.49	207.00	54399	0.80
120.05	11780	0.02	164.15	43423	0.64	208.00	34873	0.51
121.00	31612	0.47	165.05	785404	11.57	209.00	43308	0.64
122.05	44880	0.07	166.10	167207	2.46	210.00	43169	0.64
123.05	31860	0.05	167.10	1020618	15.03	211.00	51402	0.76
124.15	15700	0.02	168.05	163791	2.41	212.00	18508	0.27
125.10	13314	0.20	169.05	20859	0.31	213.00	62020	0.09
126.05	55817	0.82	170.05	27180	0.04	214.05	11600	0.02
127.10	43903	0.65	171.00	60020	0.09	215.00	91320	0.13
128.10	54993	0.81	172.00	12070	0.02	216.05	29050	0.04
129.10	22026	0.32	173.05	16680	0.02	217.05	68110	0.10
130.10	31590	0.05	174.05	83640	0.12	218.05	29880	0.04
131.10	11228	0.17	175.05	24962	0.37	219.10	55620	0.08
132.10	20170	0.03	176.05	410338	6.04	220.05	11130	0.02
133.05	10451	0.15	177.10	386071	5.69	221.00	28310	0.04
134.05	80621	1.19	178.05	2933916	43.21	222.05	11550	0.02
135.05	12150	0.18	179.10	3471164	51.12	223.05	918	0.01
136.05	49580	0.07	180.10	6790618	100.00	224.05	14370	0.02
137.05	89070	0.13	181.05	1033243	15.22	225.00	12058	0.18
138.10	92260	0.14	182.05	81559	1.20	226.05	13736	0.20
139.10	62522	0.92	183.05	20776	0.31	227.00	480186	7.07
140.05	79700	0.12	184.00	33167	0.49	228.00	103542	1.52
141.05	24439	0.36	185.00	79240	0.12	229.00	34870	0.51
142.10	45930	0.07	186.00	19700	0.03	230.00	52970	0.08
143.10	68420	0.10	187.00	10660	0.02	231.05	21380	0.03
144.10	10280	0.02	188.05	482	0.01	232.15	843	0.01
145.10	67220	0.10	189.00	73640	0.11	233.10	762	0.01
146.00	14760	0.02	190.05	65300	0.10	234.00	343	0.01
147.05	55870	0.08	191.00	26478	0.39	235.00	802	0.01
148.15	16750	0.02	192.05	85600	0.13	236.00	367	0.01
149.05	35777	0.53	193.05	31310	0.46	237.00	297	0.00
150.05	72023	1.06	194.05	183952	2.71	238.00	226	0.00
151.05	212047	3.12	195.05	1756389	25.86	238.95	528	0.01
152.05	568055	8.37	196.05	1230343	18.12	240.00	282	0.00
153.05	100429	1.48	197.05	197924	2.91	241.00	212	0.00
154.05	10164	0.15	198.00	21617	0.32	242.00	158	0.00
155.05	44440	0.07	199.00	94399	1.39	243.05	10665	0.16
156.05	975	0.01	200.00	14204	0.21	244.05	86991	1.28
157.10	82760	0.12	201.05	61250	0.09	245.05	1084992	15.98
158.10	28220	0.04	202.05	86080	0.13	246.00	187294	2.76
159.05	10840	0.02	203.05	11508	0.17	247.05	89039	1.31
159.95	922	0.01	204.05	11354	0.17	248.00	12574	0.19

249.00	4126	0.06	285.10	738	0.01	321.20	105	0.00
250.00	1185	0.02	286.10	230	0.00	322.20	186	0.00
251.05	1211	0.02	287.10	177	0.00	323.20	162	0.00
251.95	592	0.01	288.10	319	0.00	324.10	74	0.00
253.00	705	0.01	289.10	170	0.00	325.15	733	0.01
254.00	329	0.00	290.10	71	0.00	**326.10**	**34076**	**0.50**
255.10	457	0.01	291.10	345	0.01	**327.10**	**13938**	**0.21**
256.00	433	0.01	292.15	969	0.01	**328.10**	**4295**	**0.06**
257.00	138	0.00	293.15	618	0.01	329.15	1285	0.02
258.05	480	0.01	294.10	5927	0.09	330.20	210	0.00
259.15	1365	0.02	295.10	2183	0.03	331.20	60	0.00
260.15	17071	0.25	296.20	578	0.01	332.20	71	0.00
261.15	86070	1.27	297.20	303	0.00	333.20	170	0.00
262.10	22242	0.33	298.20	68	0.00	334.20	50	0.00
263.10	3242	0.05	299.10	518	0.01	335.20	41	0.00
264.05	498	0.01	300.10	102	0.00	336.20	134	0.00
265.00	1938	0.03	301.10	132	0.00	337.20	82	0.00
266.00	442	0.01	302.10	71	0.00	338.20	63	0.00
267.00	2268	0.03	303.10	196	0.00	339.20	270	0.00
268.05	722	0.01	304.10	94	0.00	340.00	100	0.00
269.00	786	0.01	305.10	87	0.00	341.05	2326	0.03
270.00	266	0.00	306.10	124	0.00	342.10	1098	0.02
271.00	202	0.00	307.10	138	0.00	343.15	956	0.01
272.00	170	0.00	308.15	685	0.01	344.15	1286	0.02
273.00	198	0.00	309.15	890	0.01	345.15	443	0.01
274.00	198	0.00	310.20	214	0.00	346.20	114	0.00
275.00	263	0.00	311.20	172	0.00	347.20	177	0.00
276.00	169	0.00	312.20	126	0.00	348.20	38	0.00
277.00	370	0.01	313.20	212	0.00	349.20	42	0.00
278.15	1621	0.02	314.20	282	0.00	350.20	44	0.00
279.20	454	0.01	315.20	102	0.00	351.20	22	0.00
280.00	153	0.00	316.20	154	0.00	352.20	49	0.00
281.00	11369	0.17	317.20	127	0.00	353.20	198	0.00
282.05	3488	0.05	318.20	86	0.00	354.10	183	0.00
283.00	2892	0.04	319.20	82	0.00			
284.05	867	0.01	320.20	174	0.00			

2.4 Quenching studies of $Ru(bpy)_3Cl_2$ with 1a and 2a

Formulation solution: *N*-^{n}butyl-*N*-(phenylsulfonyl)methacrylamide **1a** (562 mg) was dissolved in CH_3CN in a 5 mL volumetric flask to set the concentration to be 0.4 M. Diethyl 4-isopropyl-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate **2a** (590 mg)

was dissolved in CH_3CN in a 5 mL volumetric flask to set the concentration to be 0.4 M.

Additional experimental details: The samples were prepared by the photocatalyst $Ru(bpy)_3Cl_2$ (0.01 M) with different amount of quencher **1a** in CH_3CN in a light path quartz fluorescence cuvette. The concentration of quencher **1a** is 0.4 M in CH_3CN. For each quenching experiment, 5 μl of quencher solution was separately titrated to the photocatalyst $Ru(bpy)_3Cl_2$ (2.0 mL).

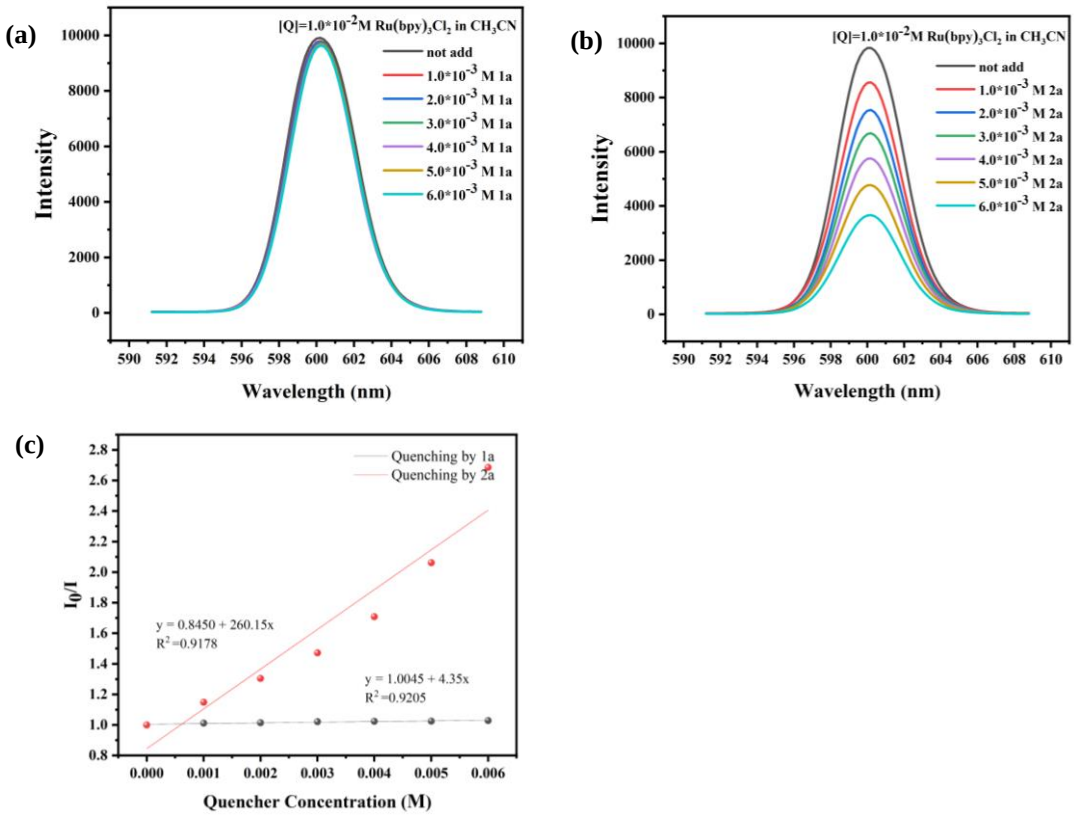


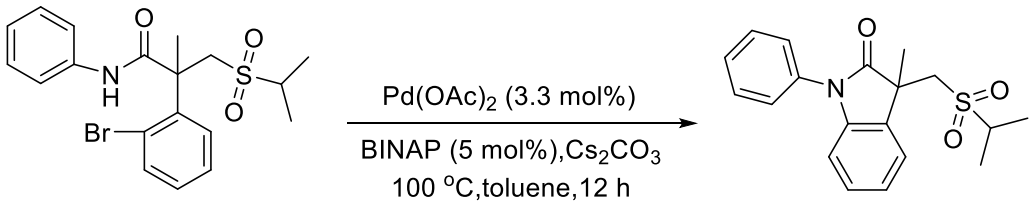
Figure S1 Stern-Volmer Quenching Experiments: (a) $Ru(bpy)_3Cl_2$ (1×10^{-2} M) quenched by **1a** in CH_3CN; (b) $Ru(bpy)_3Cl_2$ (1×10^{-2} M) quenched by **2a** in CH_3CN; (c) Stern-Volmer plot of photocatalyst at different concentration.

The resulting mixture was sparged with nitrogen for 3 minutes and then irradiated at 460 nm. Fluorescence emission spectra were recorded (3 trials per sample). Into this solution, 5.0 μL of **1a** solution was successively added and uniformly stirred, and the resulting mixture was bubbled with nitrogen for 3 minutes and irradiated at 460 nm. Fluorescence emission spectra of 0 μL, 5.0 μL, 10.0 μL, 15.0 μL, 20.0 μL, 25.0 μL,

30.0 μL fluorescence intensity. Follow this method and make changes to the amount to obtain the Stern-Volmer relationship in turn.

Compared the Figure **S1 (a)** of Stern-Volmer quenching experiments results, the emission intensity of the photocatalyst $Ru(bpy)_3Cl_2$ solution was strongly affected by the gradual increase of the amount of **2a**, and the influence is not observed to **1a**. These indicated that the single electron transfer (SET) process occurred in photocatalyst and 4-isopropyl-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate.

2.5 Applications



5aa **6,** 82%

To a Schlenk tube were added $Pd(OAc)_2$ (0.67mg, 3.3 mol%) and BINAP (3.11 mg, 5.0 mol%). The reaction vessel was evacuated and flushed with argon. A solution of **5aa** (0.1 mmol, 1.0 equiv) in toluene (0.4 mL)was added *via* cannula. The mixture was heated at 100 °C for 2 min to make a homogeneous solution. Cs_2CO_3 (0.14 mmol, 1.4 equiv) and toluene (0.2 mL) were added to the solution. The reaction tube was sealed properly and allowed to heat at 100 °C until all the aryl bromide was consumed (12 h). The reaction mixture was allowed to cool to room temperature and concentrated. By separation and purification, product **6** was obtained at a yield of 82%.

3.Characterization Data for All Products

1-Butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3aa): Yield: 53.6 mg, 83%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.42-7.37 (m, 1H), 7.33-7.25 (m, 1H), 7.11-7.02 (m, 1H), 6.91-6.86 (m, 1H), 3.73-3.70 (m, 2H), 3.64-3.59 (m, 1H), 3.49 (d, *J* = 14.0 Hz, 1H), 2.92-2.81 (m, 1H), 1.70-1.66 (m, 2H), 1.46-1.36 (m, 5H), 1.32-1.24 (m, 6H), 0.95 (t, *J* = 6.2 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.9, 142.5, 130.6, 128.6, 123.8, 122.1, 108.7, 54.7, 45.1, 40.0, 29.0, 25.4, 20.1, 15.1, 14.9, 13.6; HRMS

(ESI-TOF) *m/z*: $C_{17}H_{26}NO_3S$ $(M + H)^+$ calcd for 324.1628, found 324.1631.

3-((isopropylsulfonyl)methyl)-3-methyl-1-propylindolin-2-one (3ba): Yield: 49.4 mg, 80%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40 (d, *J* = 7.2 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 1H), 7.11 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 3.61 (d, *J* = 14.0 Hz, 1H), 3.48 (d, *J* = 14.0 Hz, 1H), 3.26 (s, 3H), 2.57-2.49 (m, 1H), 2.09-2.04 (m, 2H), 1.89-1.85 (m, 2H), 1.69-1.67 (m, 1H), 1.46-1.39 (m, 6H), 1.19-1.15 (m, 2H).; ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 178.2, 143.2, 130.3, 128.8, 123.8, 122.4, 108.6, 62.8, 55.1, 45.2, 26.6, 25.1, 24.9, 24.8, 24.7, 24.7; HRMS (ESI-TOF) *m/z*: $C_{16}H_{24}NO_3S$ $(M + H)^+$ calcd for 310.1471, found 310.1476.

1-Cyclohexyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ca): Yield: 49.6 mg, 71%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 7.2 Hz, 1H), 7.30 (t, *J* = 7.8 Hz, 1H), 7.08 (t, *J*= 7.4 Hz, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 3.73 (t, *J* = 7.4 Hz, 2H), 3.61 (d, *J* = 14.0 Hz, 1H), 3.48 (d, *J* = 14.0 Hz, 1H), 2.68-2.60 (m, 1H), 1.73-1.65 (m, 2H), 1.47-1.38 (m, 6H), 1.32-1.26 (m, 4H), 1.00-0.94 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ:178.0, 142.6, 130.7, 128.6, 123.9, 122.2, 108.8, 60.9, 55.0, 45.2, 40.1, 29.1, 25.5, 22.1, 21.8, 20.1, 13.7, 12.1, 11.0; HRMS (ESI-TOF) *m/z*: $C_{19}H_{28}NO_3S$ $(M + H)^+$ calcd for 350.1784, found 350.1782.

1-Butyl-3-((isopropylsulfonyl)methyl)-3,6-dimethylindolin-2-one (3da): Yield: 55.2 mg, 82%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.29 (d, *J*= 3.6 Hz, 1H), 6.90 (d, *J*= 7.6 Hz, 1H), 6.71 (s, 1H), 3.71 (t, *J*= 7.4 Hz, 2H), 3.60 (d, *J* = 14.0 Hz, 1H), 3.46 (d, *J* = 14.0 Hz, 1H), 2.95-2.87 (m, 1H), 2.39 (s, 3H), 1.73-1.64 (m, 2H), 1.46-1.36 (m, 5H), 1.30 (t, *J*= 7.6 Hz, 6H), 0.97 (t, *J* = 7.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 178.3, 142.7, 138.8, 127.6, 123.7, 122.8, 109.7, 54.9, 54.7, 45.0, 40.0, 29.2, 25.6, 21.9, 20.2, 15.3, 14.9, 13.7; HRMS (ESI-TOF) *m/z*: $C_{18}H_{28}NO_3S$ $(M + H)^+$ calcd for 338.1784, found 338.1786.

6-(tert-butyl)-1-butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ea): Yield: 63.7 mg, 84%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46 (s, 1H), 7.30 (d, *J* = 8.8 Hz, 1H), 6.81 (d, *J* = 8.4 Hz, 1H), 3.71-3.68 (m, 2H), 3.60-3.50 (m, 2H), 2.79 (s, 1H), 1.68-1.62 (m, 2H), 1.47-1.44 (m, 3H), 1.42-1.35 (m, 2H), 1.33-1.30 (m, 9H), 1.28-1.24 (m, 6H), 0.93 (t, *J* = 7.2 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.9, 145.0, 140.1, 130.1, 124.8, 121.1, 107.9, 54.7, 54.4, 45.3, 39.8, 34.3, 31.3, 29.0, 25.1, 19.9, 15.0, 14.7, 13.5; HRMS (ESI-TOF) *m/z*: $C_{21}H_{34}NO_3S$ $(M + H)^+$ calcd for 380.2254, found 380.2251.

6-Bromo-1-butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3fa):

Yield: 56.9 mg, 71%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ:7.24 (d, *J* = 8.0 Hz, 1H), 7.01 (d, *J* = 8.4 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 1H), 3.98 (d, *J* = 13.6 Hz, 1H), 3.72 (t, *J* = 7.2 Hz, 2H), 3.65 (d, *J* = 13.6 Hz, 1H), 2.97-2.86 (m, 1H), 1.71-1.64 (m, 2H), 1.53 (s, 3H), 1.46-1.36 (m, 2H), 1.31 (d, *J* = 6.8 Hz, 6H), 0.96 (t, *J* = 7.4 Hz, 3H).; ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.4, 144.7, 131.2, 129.9, 127.0, 123.1, 107.4, 54.7, 52.8, 45.8, 40.4, 29.0, 22.6, 20.2, 15.2, 15.0, 13.7; HRMS (ESI-TOF) *m/z*: $C_{17}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 402.0733, found 402.0736.

1-Butyl-3-((isopropylsulfonyl)methyl)-3-methyl-6-(trifluoromethyl)indolin-2-one (3ga): Yield: 46.9 mg, 60%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.66 (s, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 6.98 (d, *J* = 8.0 Hz, 1H), 3.76 (t, *J* = 7.8 Hz, 2H), 3.68 (d, *J* = 14.4 Hz, 1H), 3.53 (d, *J* = 14.4 Hz, 1H), 2.95-2.89 (m, 1H), 1.73-1.67 (m, 2H), 1.46 (s, 3H), 1.44-1.36 (m, 2H), 1.34-1.26 (m, 6H), 0.96 (t, *J* =7.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 178.0, 145.7, 132.0, 126.2 (q, *J* = 4.0 Hz, 1C), 124.3 (q, *J* = 270.0 Hz, 1C), 124.2 (q, *J* = 32.6 Hz, 1C), 121.0 (q, *J* = 3.7 Hz, 1C), 108.4, 54.9, 54.3, 45.0, 40.2, 29.1, 25.4, 20.0, 15.1, 14.7, 13.5; ^{19}F NMR (282 MHz, $CDCl_3$) δ: -61.3 (s, 3F); HRMS (ESI-TOF) *m/z*: $C_{18}H_{25}F_3NO_3S$ $(M + H)^+$ calcd for 392.1502, found 392.1504.

1-Butyl-3-((isopropylsulfonyl)methyl)-3-methyl-2-oxoindoline-6-carbonitrile (3ha): Yield: 43.2 mg, 62%; yellow oil;^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 (d, *J* = 7.6 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.12 (s, 1H), 3.76-3.69 (m, 3H), 3.47 (d, *J* = 14.0 Hz, 1H), 3.03-2.95 (m, 1H), 1.72-1.66 (m, 2H), 1.46-1.38 (m, 5H), 1.36-1.30 (m, 6H), 0.98 (t, *J* = 7.6 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.4, 143.5, 136.0, 126.7, 124.7, 118.7, 112.4, 111.3, 55.2, 54.3, 45.3, 40.4, 28.9, 25.4, 20.1, 15.4, 14.7, 13.7; HRMS (ESI-TOF) *m/z*: $C_{18}H_{25}N_2O_3S$ $(M + H)^+$ calcd for 349.1580, found 349.1578.

1-Butyl-3-((isopropylsulfonyl)methyl)-3,4-dimethylindolin-2-one (3ia): Yield: 51.2 mg, 76%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.22 (d, *J* = 7.2 Hz, 1H), 7.04 (d, *J* = 7.6 Hz, 1H), 6.98 (t, *J* = 7.6 Hz, 1H), 3.96-3.89 (m, 2H), 3.64 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.0 Hz, 1H), 2.94-2.86 (m, 1H), 2.53 (s, 3H), 1.74-1.61 (m, 2H), 1.48-1.36 (m, 5H), 1.32-1.25 (m, 6H), 0.97 (t, *J* = 7.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 178.9, 140.5, 132.7, 131.4, 122.2, 121.6, 119.6, 54.9, 54.8, 44.6, 41.8, 31.3, 26.1, 20.0, 19.0, 15.2, 14.9, 13.8; HRMS (ESI-TOF) *m/z*: $C_{18}H_{28}NO_3S$ $(M + H)^+$ calcd for 338.1784, found 338.1781.

1-Butyl-4-chloro-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ja): Yield: 55.7 mg, 78%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.24 (d, *J* = 8.0 Hz, 1H), 7.01 (d, *J* = 8.0 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 1H), 3.98 (d, *J* = 13.6 Hz, 1H), 3.72

(t, *J* = 7.8 Hz, 2H), 3.65 (d, *J* = 13.6 Hz, 1H), 2.97-2.89 (m, 1H), 1.72-1.64 (m, 2H), 1.53 (s, 3H), 1.46-1.36 (m, 2H), 1.33-1.30 (m, 6H), 0.96 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ:177.4, 144.7, 131.2, 129.9, 127.0, 123.1, 107.4, 54.7, 52.8, 45.8, 40.4, 29.0, 22.6, 20.2, 15.2, 15.0, 13.7.; HRMS (ESI-TOF) *m/z*: $C_{17}H_{25}ClNO_3S$ $(M + H)^+$ calcd for 358.1238, found 358.1233.

1-Butyl-3-methyl-3-(((pentan-2-yl)sulfonyl)methyl)indolin-2-one (3ab): Yield: 56.2 mg, 80%; d.r. = 3: 2; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 7.2 Hz, 1H), 7.30 (t, *J* = 7.4 Hz, 1H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 3.73 (t, *J* = 7.4 Hz, 2H), 3.63-3.58 (m, 1H), 3.51-3.45 (m, 1H), 2.85-2.68 (m, 1H), 1.91-1.84 (m, 1H), 1.73-1.65 (m, 2H), 1.46-1.37 (m, 6H), 1.32-1.23 (m, 5H), 0.98-0.86 (m, 6H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 178.0, 178.0, 142.7, 142.6, 130.6, 130.6, 128.6, 128.6, 124.0, 123.9, 122.2, 122.2, 108.8, 59.4, 59.1, 54.9, 54.8, 45.2, 40.1, 30.5, 30.4, 29.1, 29.1, 25.5, 25.4, 20.1, 19.7, 13.7, 13.6, 12.7, 12.5; HRMS (ESI-TOF) *m/z*: $C_{19}H_{30}NO_3S$ $(M + H)^+$ calcd for 352.1941, found 352.1943.

3-(((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)methyl)-1-butyl-3-methylindolin-2-one (3ac): Yield: 61.1 mg, 69%; d.r. = 5: 3; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.44-7.30 (m, 2H), 7.14-7.06 (m, 1H), 6.94-6.90 (m, 1H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.62-6.53 (m, 2H), 5.94 (s, 2H), 3.74 (t, *J* = 7.4 Hz, 2H), 3.67-3.59 (m, 1H), 3.52-3.45 (m, 1H), 3.30-3.19 (m, 1H), 3.01-2.84 (m, 1H), 2.50-2.42 (m, 1H), 1.74-1.66 (m, 2H), 1.46-1.39 (m, 5H), 1.21-1.17 (m, 3H), 0.98-0.94 (m, 3H).; $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 177.9, 177.8, 147.8, 146.5, 142.7, 142.5, 130.6, 130.5, 130.4, 130.3, 128.7, 128.7, 124.0, 123.7, 122.3, 122.2, 109.3, 109.2, 108.9, 108.8, 108.3, 108.3, 101.0, 61.0, 60.8, 55.6, 55.5, 45.3, 40.1, 34.4, 34.0, 29.1, 25.5, 25.4, 20.1, 13.7, 12.4, 12.2; HRMS (ESI-TOF) *m/z*: $C_{24}H_{30}NO_5S$ $(M + H)^+$ calcd for 444.1839, found 444.1836.

1-Butyl-3-((cyclohexylsulfonyl)methyl)-3-methylindolin-2-one (3ad): Yield: 50.8 mg, 70%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40 (d, *J* = 7.6 Hz, 1H), 7.30 (t, *J* = 7.8 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 3.73 (t, *J* = 7.6 Hz, 2H), 3.60 (d, *J* = 14.4 Hz, 1H), 3.47 (d, *J* = 14.4 Hz, 1H), 2.58-2.53 (m, 1H), 2.09 – 2.05 (m, 2H), 1.90-1.87 (m, 2H), 1.73-1.65 (m, 3H), 1.47-1.38 (m, 7H), 1.21-1.15 (m, 3H), 0.96 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 178.0, 142.6, 130.6, 128.6, 123.9, 122.2, 108.8, 62.7, 54.8, 45.2, 40.1, 29.1, 25.4, 24.9, 24.8, 24.7, 20.1, 13.7; HRMS (ESI-TOF) *m/z*: $C_{20}H_{30}NO_3S$ $(M + H)^+$ calcd for 364.1941, found 364.1944.

1-Butyl-3-(((cyclohex-3-en-1-yl)sulfonyl)methyl)-3-methylindolin-2-one (3ae):

Yield: 52.1 mg, 72%; d.r. = 1: 1; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.09 (t, *J* = 8.0 Hz, 1H), 6.90 (d, *J* = 7.6 Hz, 1H), 5.72- 5.63 (m, 2H), 3.73 (t, *J* = 7.2 Hz, 2H), 3.65 (d, *J* = 14.0 Hz, 1H), 3.50 (d, *J* = 14.0 Hz, 1H), 2.94-2.81 (m, 1H), 2.37-2.32 (m, 2H), 2.20-2.04 (m, 3H), 1.73-1.65 (m, 3H), 1.45-1.38 (m, 5H), 0.96 (t, *J* = 7.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.9, 177.9, 142.6, 142.6, 130.6, 130.5, 128.6, 128.6, 126.7, 126.6, 123.8, 123.8, 123.3, 123.3, 122.2, 108.8, 108.8, 59.3, 59.3, 55.2, 55.1, 45.2, 45.1, 40.0, 29.1, 25.5, 25.4, 24.2, 24.1, 23.8, 23.8, 21.0, 20.9, 20.1, 13.7; HRMS (ESI-TOF) *m/z*: $C_{20}H_{28}NO_3S$ $(M + H)^+$ calcd for 362.1784, found 362.1785.

1-Butyl-3-((butylsulfonyl)methyl)-3-methylindolin-2-one (3af): Yield: 37.1 mg, 55%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40 (d, *J* = 7.2 Hz, 1H), 7.34-7.29 (m, 1H), 7.09 (t, *J* = 7.6 Hz, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 3.91-3.81 (m, 1H), 3.78- 3.70 (m, 1H), 3.61 (d, *J* = 14.0 Hz, 1H), 3.46 (d, *J* = 14.0 Hz, 1H), 2.59-2.50 (m, 1H), 2.07 (d, *J* = 14.8 Hz, 2H), 1.89-1.85 (m, 2H), 1.77-1.66 (m, 2H), 1.44 (s, 5H), 1.31- 1.27 (m, 3H), 1.18-1.05 (m, 4H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.7, 142.3, 130.6, 128.7, 123.9, 122.2, 108.7, 62.8, 54.9, 45.1, 34.9, 25.3, 25.0, 25.0, 24.9, 24.7, 24.7, 12.2; HRMS (ESI-TOF) *m/z*: $C_{18}H_{28}NO_3S$ $(M + H)^+$ calcd for 338.1784, found 338.1787.

1-Butyl-3-methyl-3-((pentylsulfonyl)methyl)indolin-2-one (3ag): Yield: 33.0 mg, 47%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41 (d, *J* = 7.2 Hz, 1H), 7.31 (t, *J* = 8.4 Hz, 1H), 7.09 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 3.76-3.71 (m, 2H), 3.61 (d, *J* = 14.4 Hz, 1H), 3.50 (d, *J* = 14.0 Hz, 1H), 3.26-3.13 (m, 1H), 1.99-1.88 (m, 5H), 1.75-1.69 (m, 4H), 1.61-1.57 (m, 3H), 1.45-1.36 (m, 5H), 0.96 (t, *J* = 7.2 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 178.0, 142.6, 130.6, 128.6, 123.9, 122.2, 108.8, 63.0, 56.9, 45.3, 40.1, 29.1, 26.6, 26.5, 26.0, 26.0, 25.5, 20.1, 13.7; HRMS (ESI-TOF) *m/z*: $C_{19}H_{30}NO_3S$ $(M + H)^+$ calcd for 352.1941, found 352.1943.

1-Butyl-3-methyl-3-((phenethylsulfonyl)methyl)indolin-2-one (3ah): Yield: 53.9 mg, 70%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40-7.21 (m, 5H), 7.13 (d, *J* = 6.8 Hz, 2H), 7.11-7.06 (m, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 3.72 (t, *J* = 7.4 Hz, 2H), 3.60 (d, *J* = 14.8 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 3.01 (s, 4H), 1.72 -1.63 (m, 2H), 1.44 - 1.39 (m, 5H), 0.96 (t, *J* = 7.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 177.6, 142.7, 137.4, 130.4, 128.8, 128.8, 128.4, 126.9, 123.6, 122.3, 109.0, 58.6, 56.4, 45.4, 40.1, 29.1, 27.8, 25.3, 20.1, 13.7; HRMS (ESI-TOF) *m/z*: $C_{22}H_{28}NO_3S$ $(M + H)^+$ calcd for 386.1784, found 386.1782.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5aa): Yield: 71.9 mg, 85%; white solid; mp 143.5-143.7 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.50 -7.46 (m, 1H), 7.33-7.26 (m, 5H), 7.13-7.09(m, 1H), 6.88(s, 1H), 4.42 (d, *J* = 14.8 Hz, 1H), 3.75 (d, *J* = 14.4 Hz, 1H), 2.76-2.65 (m, 1H), 2.18 (s, 3H), 1.30-1.25 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.5, 137.2, 137.2, 134.8, 131.2, 130.4, 128.9, 128.1, 124.8, 124.5, 120.6, 55.2, 51.9, 50.8, 25.3, 15.4, 14.8; HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}BrNO_3S(M + H)^+$ calcd for 424.0577, found 424.0579.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(p-tolyl)propanamide (5ba): Yield: 61.2 mg, 70%; white solid; mp 157.9-158.1 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 8.0 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.4 Hz, 2H), 7.10 (d, *J* = 8.4 Hz, 2H), 6.78 (s, 1H), 4.43 (d, *J* = 14.8 Hz, 1H), 3.75 (d, *J* = 14.4Hz, 1H), 2.78-2.67 (m, 1H), 2.29 (s, 3H), 2.18 (s, 3H), 1.31-1.25 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.7, 137.3, 134.8, 134.6, 131.2, 130.4, 129.(2C), 128.1, 124.6, 120.7, 55.3, 52.0, 50.8, 25.5, 20.8, 15.4, 14.9; HRMS (ESI-TOF) *m/z*: $C_{20}H_{25}BrNO_3S(M + H)^+$ calcd for 438.0733, found 438.0737.

2-(2-bromophenyl)-N-(4-fluorophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ca): Yield: 50.3 mg, 57%; white solid; mp 159.4-159.6 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.75 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 8.0 Hz, 1H), 7.32-7.24 (m, 3H), 6.98 (t, *J* = 8.8 Hz, 2H), 6.88 (s, 1H), 4.39 (d, *J* = 14.8 Hz, 1H), 3.73 (d, *J* = 14.4 Hz, 1H), 2.76 -2.65 (m, 1H), 2.17 (s, 3H), 1.30 -1.24 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.9, 159.7 (d, *J* = 243 Hz, 1C), 137.2, 134.8, 133.1 (d, *J* = 2.9 Hz, 1C), 131.2, 130.5, 128.2, 124.4, 122.8 (d, *J* =8.0 Hz, 1C), 115.5 (d, *J* = 22.4 Hz, 1C), 55.3, 51.9, 50.7, 25.3, 15.4, 14.8; ^{19}F NMR (282 MHz, $CDCl_3$) δ: -117.1 (s, 1F); HRMS (ESI-TOF) *m/z*: $C_{19}H_{22}BrFNO_3S(M + H)^+$ calcd for 442.0482, found 442.0480.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(m-tolyl)propanamide (5da): Yield: 73.4 mg, 84%; white solid; mp 172.2-172.4 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.75 (d, *J* =7.6 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.18- 7.14 (m, 2H), 7.10(d, *J* = 7.6 Hz, 1H), 6.93 (d, *J* = 7.2 Hz, 1H), 6.84 (s, 1H), 4.42(d, *J* = 14.4 Hz, 1H), 3.74 (d, *J* = 14.8 Hz, 1H), 2.76-2.65 (m, 1H), 2.30 (s, 3H), 2.17 (s, 3H), 1.30-1.24 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.7, 138.8, 137.2, 137.1, 134.7, 131.2, 130.4, 128.7, 128.1, 125.6, 124.5,

121.1, 117.6, 55.2, 51.9, 50.8, 25.3, 21.3, 15.4, 14.8; RMS (ESI-TOF) *m/z*: $C_{20}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 438.0733, found 438.0738.

2-(2-bromophenyl)-N-(3-bromophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ea): Yield: 83.6 mg, 83%; white solid; mp 172.2-172.4 oC (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 7.6 Hz, 1H), 7.58 (t, *J* = 2.0 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.34 -7.29 (m, 1H), 7.24 (d, *J* = 9.2 Hz, 2H), 7.17-7.13 (m, 1H), 6.89 (s, 1H), 4.39 (d, *J* = 14.4 Hz, 1H), 3.74 (d, *J* = 14.4 Hz, 1H), 2.80-2.70 (m, 1H), 2.17 (s, 3H), 1.31 -1.26 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.9, 138.5, 137.0, 134.9, 131.2, 130.6, 130.2, 128.3, 127.8, 124.5, 123.4, 122.5, 119.0, 55.4, 51.9, 51.0, 25.3, 15.4, 14.9; HRMS (ESI-TOF) *m/z*: $C_{19}H_{22}Br_2NO_3S$ $(M + H)^+$ calcd for 503.9682, found 503.9684.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(o-tolyl)propanamide(5fa): Yield: 39.4 mg, 45%; white solid; mp 141.9-142.1 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.81-7.74 (m, 2H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.32 (t, *J* = 7.6 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.13-7.00 (m, 2H), 6.70 (s, 1H), 4.52 (d, *J* = 14.4 Hz, 1H), 3.77 (d, *J* = 14.4 Hz, 1H), 2.85-2.74 (m, 1H), 2.23 (s, 3H), 1.92 (s, 3H), 1.33-1.28 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.7, 137.5, 135.1, 134.8, 131.1, 130.5, 130.4, 129.1, 128.3, 126.8, 125.4, 124.7, 122.7, 55.4, 51.8, 51.0, 25.9, 17.0, 15.4, 15.0; HRMS (ESI-TOF) *m/z*: $C_{20}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 438.0733, found 438.0731.

2-(2-bromophenyl)-N-(2-chlorophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ga): Yield: 53.1 mg, 58%; yellow solid; mp 134.5-134.7 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.23 (d, *J* = 9.2 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.51 (t, *J* = 8.0 Hz, 1H), 7.41 (s, 1H), 7.33 -7.26 (m, 3H), 7.03 (t, *J* = 7.6 Hz, 1H), 4.51 (d, *J* = 14.4 Hz, 1H), 3.75 (d, *J* = 14.8 Hz, 1H), 2.78-2.70 (m, 1H), 2.22 (s, 3H), 1.33-1.27 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.8, 136.8, 134.8, 134.1, 131.1, 130.6, 128.9, 128.2, 127.7, 125.0, 124.8, 123.4, 121.5, 55.3, 51.8, 51.3, 25.6, 15.5, 14.9; HRMS (ESI-TOF) *m/z*: $C_{19}H_{22}BrClNO_3S$ $(M + H)^+$ calcd for 458.0187, found 458.0192.

3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(p-tolyl)propanamide (5ha): Yield: 44.6 mg, 62%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.39-7.35 (m, 4H), 7.29 (t, *J* = 8.0 Hz, 2H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.10 (t, *J* = 7.2 Hz, 2H), 3.95(d, *J* = 14.4 Hz, 1H), 3.53 (d, *J* = 14.4 Hz, 1H), 2.87-2.76 (m, 1H), 2.36 (s, 3H), 2.10 (s, 3H), 1.29 (d, *J* = 6.8 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.8, 138.1, 137.3, 137.2, 129.8,

128.9, 126.7, 124.7, 120.3, 57.2, 55.2, 49.8, 22.8, 21.0, 15.3, 15.0; HRMS (ESI-TOF) *m/z*: $C_{20}H_{26}NO_3S$ (M + H)+ calcd for 360.1628, found 360.1631.

3-(isopropylsulfonyl)-2-(4-methoxyphenyl)-2-methyl-N-phenylpropanamide(5ia): Yield: 44.3 mg, 59%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.39 (t, *J* = 9.0 Hz, 4H), 7.29 (t, *J* = 7.8 Hz, 2H), 7.10 (t, *J* = 7.4 Hz, 2H), 6.94 (d, *J* = 8.8 Hz, 2H), 3.92 (d, *J* = 14.4 Hz, 1H), 3.82 (s, 3H), 3.53 (d, *J* = 14.4 Hz, 1H), 2.85-2.75 (m, 1H), 2.09 (s, 3H), 1.29 (d, *J* = 6.8 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 173.0, 159.3, 137.3, 131.9, 128.9, 128.1, 124.7, 120.2, 114.3, 57.1, 55.2, 55.1, 49.5, 22.9, 15.3, 15.0; HRMS (ESI-TOF) *m/z*: $C_{20}H_{26}NO_4S$ $(M + H)^+$ calcd for 376.1577, found 376.1576.

2-(4-(tert-butyl)phenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5ja): Yield: 44.1 mg, 55%; white solid; mp 159.4-159.6 ºC (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.44 -7.38 (m, 6H), 7.29 (t, *J* = 7.8 Hz, 2H), 7.20 (s, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 4.00 (d, *J* = 14.4 Hz, 1H), 3.48 (d, *J* = 14.4 Hz, 1H), 2.83- 2.72 (m, 1H), 2.11 (s, 3H), 1.32 (s, 9H), 1.30-1.27 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.5, 151.2, 137.5, 137.3, 128.9, 126.3, 126.0, 124.7, 120.4, 57.5, 55.0, 49.7, 34.5, 31.2, 22.7, 15.2, 15.1; HRMS (ESI-TOF) *m/z*: $C_{23}H_{32}NO_3S$ $(M + H)^+$ calcd for 402.2097, found 402.2095.

2-(4-fluorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5ka): Yield: 37.9 mg, 52%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.50 -7.45 (m, 2H), 7.39 (d, *J* = 7.6 Hz, 2H), 7.31 (t, *J* = 8.0 Hz, 2H), 7.15 -7.09 (m, 3H), 7.06 (s, 1H), 3.96 (d, *J* = 14.0 Hz, 1H), 3.50 (d, *J* = 14.4 Hz, 1H), 2.97-2.86 (m, 1H), 2.12 (s, 3H), 1.33 (d, *J* = 6.8 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.3, 162.4 (d, *J* = 247.2 Hz, 1C) 137.2, 136.1 (d, *J* = 3.1 Hz, 1C), 129.0, 128.7(d, *J* = 8.2 Hz, 1C), 124.9, 120.3, 116.1 (d, *J* = 21.2 Hz, 1C), 57.1, 55.5, 49.6, 23.0, 15.2 (2C); ^{19}F NMR (282 MHz, $CDCl_3$) δ: -113.3 (s, 1F); HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}FNO_3S$ $(M + H)^+$ calcd for 364.1377, found 364.1379.

2-(4-chlorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5la): Yield: 38.7 mg, 51%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.44- 7.36 (m, 6H), 7.30 (t, *J* = 7.8 Hz, 2H), 7.14 -7.10 (m, 2H), 3.97 (d, *J* = 14.4 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 3.00-2.89 (m, 1H), 2.10 (s, 3H), 1.33 (d, *J* = 7.2 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.0, 139.0, 137.1, 134.3, 129.2, 129.0, 128.2, 125.0, 120.4, 57.0, 55.5, 49.6, 22.7, 15.2, 15.1; HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}ClNO_3S$ $(M + H)^+$ calcd for 380.1082, found 380.1085.

2-(4-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide(5ma): Yield: 54.1 mg, 64%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.56-7.51 (m, 2H), 7.40-7.34 (m, 4H), 7.32-7.28 (m, 2H), 7.14-7.09 (m, 2H), 3.96 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.4 Hz, 1H), 3.00-2.90 (m, 1H), 2.09 (s, 3H), 1.32 (d, *J* = 6.8 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.9, 139.5, 137.1, 132.2, 129.0, 128.5, 125.0, 122.4, 120.4, 57.0, 55.5, 49.7, 22.6, 15.2, 15.1; HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}BrNO_3S$ $(M + H)^+$ calcd for 424.0577, found 424.0579.

3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(4-(trifluoromethyl)phenyl)propanamide (5na): Yield: 41.3 mg, 50%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.68-7.60 (m, 4H), 7.42-7.39 (m, 2H), 7.33-7.29 (m, 2H), 7.20 (s, 1H), 7.14-7.11 (m, 1H), 4.00 (d, *J* = 14.0 Hz, 1H), 3.46 (d, *J* = 14.4 Hz, 1H), 3.06-2.97 (m, 1H), 2.14 (s, 3H), 1.36 -1.33 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.5, 144.7, 137.0, 130.3 (q, *J* = 32.6 Hz, 1C), 129.0, 127.1, 126.0 (q, *J* = 3.7 Hz, 1C), 125.1, 123.7 (q, *J* = 270.5 Hz, 1C), 120.6, 57.1, 55.7, 50.0, 22.6, 15.3, 15.0; ^{19}F NMR (282 MHz, $CDCl_3$) δ: -62.7 (s, 3F); HRMS (ESI-TOF) *m/z*: $C_{20}H_{23}F_3NO_3S$(M + $H)^+$ calcd for 414.1345, found 414.1350.

2-(4-cyanophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5oa): Yield: 56.2 mg, 76%; white solid; mp 138.2-138.4 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.69 (d, *J* = 8.4Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.40 (d, *J* = 8.4 Hz, 2H), 7.32 (t, *J* = 8.0 Hz, 2H), 7.22 (s, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 4.00 (d, *J* = 14.0 Hz, 1H), 3.46 (d, *J* = 14.0 Hz, 1H), 3.08-3.00 (m, 1H), 2.14 (s, 3H), 1.36-1.33 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 145.9, 136.9, 132.7, 129.0, 127.6, 125.2, 120.5, 118.1, 112.1, 56.8, 55.8, 50.2, 22.4, 15.3, 15.0; HRMS (ESI-TOF) *m/z*: : $C_{20}H_{23}N_2O_3S$ $(M + H)^+$ calcd for 371.1424 found 371.1421.

3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(m-tolyl)propanamide (5pa): Yield: 45.2 mg, 63%; white solid; mp 108.9-109.1 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.39 (d, *J* = 8.0 Hz, 2H), 7.32-7.26 (m, 5H), 7.17 (d, *J* = 7.2 Hz, 1H), 7.13-7.09 (m, 2H), 4.00-3.95 (m, 1H), 3.53-3.49 (m, 1H), 2.81-2.74 (m, 1H), 2.38 (s, 3H), 2.11 (s, 3H), 1.29 (d, *J* = 6.8 Hz, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.6, 140.3, 138.8, 137.3, 129.0, 129.0, 128.9, 127.4, 124.7, 123.7, 120.3, 57.3, 55.1, 50.0, 22.6, 21.6, 15.3, 15.0; HRMS (ESI-TOF) *m/z*: $C_{20}H_{26}NO_3S$ $(M + H)^+$ calcd for 360.1628, found 360.1633.

2-(3-chlorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5qa): Yield: 37.1 mg, 49%; white solid; mp 144.3-144.5 °C (uncorrected); ^{1}H NMR (400

MHz, $CDCl_3$) δ: 7.49 (s, 1H), 7.43-7.35 (m, 5H), 7.35-7.31 (m, 2H), 7.14 (s, 2H), 4.02 (d, *J* = 14.4 Hz, 1H), 3.45 (d, *J* = 14.4 Hz, 1H), 3.02-2.93 (m, 1H), 2.13 (s, 3H), 1.37-1.33 (m, 6H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ: 171.6, 142.7, 137.1, 135.1, 130.3, 129.0, 128.5, 126.9, 125.0, 124.9, 120.5, 57.1, 55.6, 49.9, 22.5, 15.3, 15.1; HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}ClNO_3S$ $(M + H)^+$ calcd for 380.1082, found 380.1084.

3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(o-tolyl)propanamide (5ra): Yield: 35.1 mg, 51%; yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ: 7.68-7.65 (m, 1H), 7.35-7.28 (m, 6H), 7.24-7.21 (m, 1H), 7.13-7.09 (m, 1H), 6.94 (s, 1H), 3.90 (d, *J* = 14.8 Hz, 1H), 3.70 (d, *J* = 14.8 Hz, 1H), 2.62-2.54 (m, 1H), 2.33 (s, 3H), 2.15 (s, 3H), 1.26-1.23 (m, 6H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ: 174.5, 137.4, 137.2, 136.1, 132.3, 129.0 (2C), 128.8, 126.7, 124.8, 120.0, 54.9, 53.2, 49.6, 25.8, 20.6, 15.6, 14.7; HRMS (ESI-TOF) *m/z*: $C_{20}H_{26}NO_3S(M + H)^+$ calcd for 360.1628, found 360.1626.

3-(isopropylsulfonyl)-2-methyl-N,2-diphenylpropanamide (5sa): Yield: 42.7 mg, 62%; yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, *J* = 7.2 Hz, 2H), 7.44-7.33 (m, 5H), 7.29 (t, *J* = 7.6 Hz, 2H), 7.11 (t, *J* = 7.6 Hz, 2H), 3.98 (d, *J* = 14.4 Hz, 1H), 3.54 (d, *J* = 14.4 Hz, 1H), 2.86-2.75 (m, 1H), 2.12 (s, 3H), 1.35 (d, *J* = 6.8 Hz, 6H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ: 172.5, 140.4, 137.3, 129.1, 128.9, 128.3, 126.8, 124.8, 120.3, 57.3, 55.2, 50.1, 22.7, 15.3, 15.1; HRMS (ESI-TOF) *m/z*: $C_{19}H_{24}NO_3S$ $(M + H)^+$ calcd for 346.1471, found 346.1475.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-N-phenylpropanamide (5ta): Yield: 42.5 mg, 52%; white solid; mp 145.5-145.7 °C (uncorrected); ^1H NMR (400 MHz, $CDCl_3$) δ: 7.76 (s, 1H), 7.62 (d, *J* =8.0 Hz, 1H), 7.50-7.44 (m, 3H), 7.35-7.27 (m, 3H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 4.85-4.80 (m, 1H), 4.28-4.21 (m, 1H), 3.24-3.10 (m, 2H), 1.43 (d, *J* = 6.8 Hz, 3H), 1.36 (d, *J* = 6.8 Hz, 3H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ: 167.9, 137.4, 136.3, 133.5, 129.8, 129.3, 128.9, 128.5, 124.7, 123.9, 120.1, 54.1, 51.2, 46.3, 15.7, 14.6; HRMS (ESI-TOF) *m/z*: $C_{18}H_{21}BrNO_3S$ $(M + H)^+$ calcd for 410.0420, found 410.0423.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-*N*,2-diphenylpropanamide (5ua): Yield: 43.6mg, 45%; yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ: 7.69-7.63 (m, 3H), 7.53 (d, *J* = 8.0 Hz, 2H), 7.42-7.34 (m, 6H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.28-7.23 (m, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 4.66 (d, *J* = 15.2 Hz, 1H), 4.51 (d, *J* = 15.2 Hz, 1H), 3.21-3.10 (m, 1H), 1.35 (t, *J* = 6.8 Hz, 6H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ: 169.2, 139.2, 138.9, 137.2, 135.8, 133.1, 130.0, 129.0, 128.8, 128.8, 128.0, 127.6, 125.1, 124.8, 120.8, 62.4, 55.7, 55.0, 15.4, 15.2; HRMS (ESI-TOF) *m/z*: $C_{24}H_{25}BrNO_3S$ $(M + H)^+$ calcd for

486.0733, found 486.0733.

3-(isopropylsulfonyl)-2-methyl-*N*-phenyl-2-(thiophen-2-yl)propanamide(5wa): Yield: 36.5 mg, 52%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.59 (s, 1H), 7.42 (d, *J* = 8.4 Hz, 2H), 7.34-7.27 (m, 3H), 7.21-7.18 (m, 1H), 7.11 (t, *J* = 7.2 Hz, 1H), 7.05-7.01 (m, 1H), 4.08 (d, *J* = 14.0 Hz, 1H), 3.47 (d, *J* = 14.0 Hz, 1H), 3.03-2.96 (m, 1H), 2.16 (s, 3H), 1.36-1.33 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 170.9, 145.0, 137.6, 128.7, 127.2, 125.8, 125.8, 124.8, 120.6, 58.1, 55.3, 47.9, 23.8, 15.2, 14.9; HRMS (ESI-TOF) *m/z*: $C_{17}H_{22}NO_3S_2$ $(M + H)^+$ calcd for 352.1036, found 352.1041.

2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(quinolin-8-yl)propanamide (5xa): Yield: 46.4 mg, 49%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 9.68 (s, 1H), 8.65 (d, *J* = 7.6 Hz, 1H), 8.45 (d, *J* = 4.4 Hz, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.62-7.46 (m, 4H), 7.34-7.29 (m, 2H), 4.58 (d, *J* = 14.4 Hz, 1H), 3.83 (d, *J* = 14.8 Hz, 1H), 2.78-2.67 (m, 1H), 2.29 (s, 3H), 1.34-1.27 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 173.1, 148.2, 138.6, 137.4, 136.1, 134.6, 134.3, 131.3, 130.2, 128.1, 127.8, 127.2, 124.9, 121.7, 121.5, 116.1, 55.3, 52.2, 51.4, 25.6, 15.6, 14.9; HRMS (ESI-TOF) *m/z*: $C_{22}H_{24}BrN_2O_3S$ $(M + H)^+$ calcd for 475.0686, found 475.0689.

2-(2-bromophenyl)-3-(sec-butylsulfonyl)-2-methyl-N-phenylpropanamide (5ab): Yield: 69.1 mg, 79%; d.r. = 1: 1; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 6.0 Hz, 1H), 7.67 (d, *J* = 7.6 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.33-7.27 (m, 5H), 7.11 (t, *J* = 6.6 Hz, 1H), 6.87 (s, 1H), 4.46-4.39 (m, 1H), 3.72 (d, *J* = 14.8 Hz, 1H), 2.41-2.35 (m, 1H), 218 (s, 3H), 1.97-1.93 (m, 1H), 1.49-1.37 (m, 1H), 1.29-1.23 (m, 3H), 0.96-0.90 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.8, 137.2, 137.2, 134.8, 134.8, 131.3, 131.2, 130.4, 130.4, 128.9, 128.1, 128.1, 124.8, 124.5, 124.5, 120.6, 61.3, 61.3, 52.2, 52.1, 50.9, 50.8, 25.4, 22.3, 21.6, 12.5, 11.6, 11.1, 11.1; HRMS (ESI-TOF) *m/z*:$C_{20}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 438.0733, found 438.0738.

3-((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)-2-(2-bromophenyl)-2-methyl-N-phenylpropanamide (5ac): Yield: 85.8 mg, 74%; d.r. = 1: 1; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.79 (t, *J* = 7.8 Hz, 1H), 7.67 (t, *J* = 8.6 Hz, 1H), 7.55-7.47 (m, 1H), 7.34-7.25 (m, 5H), 7.11 (t, *J* = 7.0 Hz, 1H), 6.89 (s, 1H), 6.71 (t, *J* = 9.2 Hz, 1H), 6.56 (d, *J* = 12.0 Hz, 1H), 6.47 (d, *J* = 12.0 Hz, 1H), 5.92 (s, 2H), 4.50-4.44 (m, 1H), 3.80-3.74 (m, 1H), 3.28-3.18 (m, 1H), 2.50-2.34 (m, 2H), 2.20 (s, 3H), 1.16 (t, *J* = 6.6 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.7, 172.6, 147.8, 147.7, 146.4, 146.4, 137.2, 137.2, 137.1, 134.9, 134.8, 131.4, 131.2, 130.5, 130.5, 130.3, 130.2, 128.9,

128.2, 128.2, 124.8, 124.5, 122.2, 122.2, 120.6, 109.2, 109.1, 108.3, 108.2, 100.9, 100.9, 61.6, 61.1, 52.9, 52.6, 50.9, 50.9, 34.6, 33.6, 25.4, 12.7, 12.0; HRMS (ESI-TOF) *m/z*:$C_{26}H_{27}BrNO_5S$ $(M + H)^+$ calcd for 544.0788, found 544.0786.

2-(2-bromophenyl)-3-(heptan-3-ylsulfonyl)-2-methyl-N-phenylpropanamide (5ad): Yield: 66.1 mg, 69%; d.r. = 4: 5; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.32-7.27 (m, 5H), 7.12 (t, *J* = 6.4 Hz, 1H), 6.82 (s, 1H), 4.45 (d, *J* = 14.8 Hz, 1H), 3.71 (d, *J* = 14.8 Hz, 1H), 2.28-2.25 (m, 1H), 2.19 (s, 3H), 1.85-1.76 (m, 2H), 1.70-1.60 (m, 2H), 1.33-1.25 (m, 4H), 0.99-0.93 (m, 3H), 0.91-0.85 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.9, 172.9, 137.3, 137.2, 134.8, 131.4, 131.4, 130.5, 128.9, 128.2, 124.9, 124.6, 124.6, 120.6, 65.8, 65.7, 53.0, 51.0, 29.7, 29.1, 28.9, 27.1, 26.3, 25.5, 22.7, 22.6, 21.1, 20.4, 13.8, 13.8, 11.3, 11.1; HRMS (ESI-TOF) *m/z*: $C_{23}H_{31}BrNO_3S$ $(M + H)^+$ calcd for 480.1203, found 480.1206.

2-(2-bromophenyl)-3-(cyclopentylsulfonyl)-2-methyl-N-phenylpropanamide (5ae): Yield: 55.7 mg, 62%; white solid; mp 135.9-136.1 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78-7.74 (m, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 8.0 Hz, 1H), 7.33-7.27 (m, 5H), 7.11 (t, *J* = 6.6 Hz, 1H), 6.86 (s, 1H), 4.42 (d, *J* = 14.8 Hz, 1H), 3.75 (d, *J* = 14.8 Hz, 1H), 3.00-2.91 (m, 1H), 2.18 (s, 3H), 1.98-1.85 (m, 4H), 1.73-1.68 (m, 2H), 1.59-1.52 (m, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.8, 137.2, 137.2, 134.8, 131.2, 130.5, 128.9, 128.2, 124.8, 124.6, 120.6, 63.3, 54.3, 50.9, 26.9, 26.3, 26.0, 26.0, 25.4; HRMS (ESI-TOF) *m/z*: $C_{21}H_{25}BrNO_3S$$(M + H)^+$ calcd for 450.0733, found 450.0737.

2-(2-bromophenyl)-3-(cyclohexylsulfonyl)-2-methyl-N-phenylpropanamide (5af): Yield: 65.7 mg, 71%; white solid; mp 137.1-137.3 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.76 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 7.6 Hz, 1H), 7.32-7.26 (m, 5H), 7.12 (t, *J* = 7.0 Hz, 1H), 6.88 (s, 1H), 4.41 (d, *J* = 14.8 Hz, 1H), 3.70 (d, *J* = 14.8 Hz, 1H), 2.29-2.22 (t, *J* = 12.2 Hz, 1H), 2.17 (s, 3H), 2.09-2.00 (m, 2H), 1.85 (d, *J* = 3.6 Hz, 2H), 1.47-1.32 (m, 2H), 1.26 (s, 1H), 1.16-1.05 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 172.8, 137.3, 137.2, 134.8, 131.3, 130.4, 128.9, 128.2, 124.8, 124.6, 120.6, 63.0, 52.2, 50.8, 25.4, 25.4, 25.1, 24.9, 24.9, 24.2; HRMS (ESI-TOF) *m/z*: $C_{22}H_{27}BrNO_3S$$(M + H)^+$ calcd for 464.0890, found 464.0887.

2-(2-bromophenyl)-3-(cyclohex-3-en-1-ylsulfonyl)-2-methyl-N-phenylpropanamide (5ag): Yield: 60.9 mg, 66%; d.r. = 1: 1; white solid; mp 169.5-169.7 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (d, *J* = 8.0 Hz, 1H), 7.69-

7.65 (m, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.33-7.27 (m, 5H), 7.14-7.10 (m, 1H), 6.84 (d, *J* = 6.8 Hz, 1H), 5.67-5.59 (m, 2H), 4.45 (t, *J* = 15.0 Hz, 1H), 3.79-3.73 (m, 1H), 2.69-2.50 (m, 1H), 2.42-2.25 (m, 2H), 2.28-2.19 (m, 4H), 2.04-1.98 (m, 1H), 1.67-1.59 (m, 2H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.7, 137.3, 137.2, 137.2, 134.9, 131.3, 131.2, 130.6, 130.5, 128.9, 128.3, 128.2, 126.7, 126.6, 124.9, 124.6, 124.6, 123.5, 123.3, 120.6, 59.8, 59.5, 52.7, 52.5, 50.9, 50.9, 25.5, 24.4, 24.3, 23.4, 21.5, 20.5; HRMS (ESI-TOF) *m/z*:$C_{22}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 462.0733, found 462.0735.

2-(2-bromophenyl)-3-((1-(2-(tert-butoxy)acetyl)piperidin-4-yl)sulfonyl)-2-methyl-N-phenylpropanamide (5ah): Yield: 89.1 mg, 79%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, *J* = 6.4 Hz, 1H), 7.69 (d, *J* = 7.6 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.35-7.28 (m, 5H), 7.15-7.11 (m, 1H), 6.80 (s, 1H), 4.44 (d, *J* = 15.2 Hz, 1H), 4.21 (s, 2H), 3.74 (d, *J* = 14.8 Hz, 1H), 2.54 (s, 2H), 2.39 (t, *J* = 12.4 Hz, 1H), 2.19 (s, 3H), 2.02-1.90 (m, 2H), 1.65-1.59 (m, 2H), 1.44 (s, 9H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 154.2, 137.2, 137.1, 135.0, 131.3, 130.7, 129.0, 128.4, 125.0, 124.6, 120.6, 80.1, 61.1, 52.7, 50.9, 42.2, 28.3, 25.5, 24.9, 23.8; HRMS (ESI-TOF) *m/z*: $C_{27}H_{36}BrN_2O_5S(M + H)^+$ calcd for 579.1523, found 579.1526.

2-(2-bromophenyl)-3-(ethylsulfonyl)-2-methyl-N-phenylpropanamide (5ai): Yield: 54.0 mg, 66%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (d, *J* = 8.0 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.51 (t, *J* = 7.8 Hz, 1H), 7.35-7.27 (m, 5H), 7.14-7.09 (m, 1H), 6.81 (s, 1H), 4.45 (d, *J* = 15.2 Hz, 1H), 3.79 (d, *J* = 15.2 Hz, 1H), 2.60-2.41 (m, 2H), 2.18 (s, 3H), 1.24 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 137.1, 137.1, 135.0, 131.3, 130.7, 128.9, 128.4, 124.9, 124.6, 120.7, 55.3, 50.9, 49.4, 25.4, 6.3; HRMS (ESI-TOF) *m/z*: $C_{18}H_{21}BrNO_3S(M + H)^+$ calcd for 410.0420, found 410.0425.

2-(2-bromophenyl)-2-methyl-N-phenyl-3-(propylsulfonyl)propanamide (5aj): Yield: 46.5 mg, 55%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, *J* = 7.6 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.35-7.28 (m, 5H), 7.12 (t, *J* = 6.6 Hz, 1H), 6.80 (s, 1H), 4.45 (d, *J* = 15.2 Hz, 1H), 3.77 (d, *J* = 14.8 Hz, 1H), 2.51-2.34 (m, 2H), 2.18 (s, 3H), 1.78-1.68 (m, 2H), 0.91 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 137.2, 137.2, 135.0, 131.4, 130.7, 129.0, 128.4, 124.9, 124.7, 120.6, 56.7, 55.9, 50.9, 25.4, 15.5, 13.0; HRMS (ESI-TOF) *m/z*: $C_{19}H_{23}BrNO_3S(M + H)+$ calcd for 424.0577, found 424.0580.

2-(2-bromophenyl)-3-(butylsulfonyl)-2-methyl-N-phenylpropanamide (5ak): Yield: 51.6 mg, 59%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, *J* = 8.0 Hz,

1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.35-7.28 (m, 5H), 7.14-7.10 (m, 1H), 6.79 (s, 1H), 4.46 (d, *J* = 15.2 Hz, 1H), 3.77 (d, *J* = 15.2 Hz, 1H), 2.52-2.34 (m, 2H), 2.18 (s, 3H), 1.71-1.63 (m, 2H), 1.33-1.25 (m, 2H), 0.84 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 137.2, 137.2, 135.0, 131.4, 130.7, 129.0, 128.4, 124.9, 124.7, 120.6, 56.0, 54.8, 50.9, 25.4, 23.5, 21.6, 13.4; HRMS (ESI-TOF) *m/z*: $C_{20}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 438.0733, found 438.0731.

2-(2-bromophenyl)-2-methyl-3-(pentylsulfonyl)-N-phenylpropanamide (5al): Yield: 48.7 mg, 54%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.78 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.35-7.27 (m, 5H), 7.15-7.10 (m, 1H), 6.79 (s, 1H), 4.45 (d, *J* = 15.2 Hz, 1H), 3.78 (d, *J* = 15.2 Hz, 1H), 2.51-2.36 (m, 2H), 2.18 (s, 3H), 1.71-1.59 (m, 2H), 1.28-1.20 (m, 4H), 0.86 (t, *J* = 7.0 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 137.2 (2C), 135.0, 131.4, 130.7, 129.0, 128.4, 124.9, 124.7, 120.6, 56.0, 55.0, 51.0, 30.4, 25.4, 22.0, 21.3, 13.7; HRMS (ESI-TOF) *m/z*: $C_{21}H_{27}BrNO_3S$ $(M + H)^+$ calcd for 452.0890, found 452.0894.

2-(2-bromophenyl)-3-(hexylsulfonyl)-2-methyl-N-phenylpropanamide (5am): Yield: 53.0 mg, 57%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.77 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* =8.0 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.35-7.29 (m, 5H), 7.15-7.10 (m, 1H), 6.80 (s, 1H), 4.45 (d, *J* = 15.2 Hz, 1H), 3.77 (d, *J* = 15.2 Hz, 1H), 2.50-2.30 (m, 2H), 2.18 (s, 3H), 1.70-1.65 (m, 2H), 1.27-1.20 (m, 6H), 0.86 (t, *J* = 7.0 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.6, 137.2, 137.2, 135.0, 131.4, 130.6, 129.0, 128.4, 124.9, 124.7, 120.6, 55.9, 55.0, 50.9, 31.0, 27.9, 25.4, 22.2, 21.5, 13.9; HRMS (ESI-TOF) *m/z*: $C_{22}H_{29}BrNO_3S$ $(M + H)^+$ calcd for 466.1047, found 466.1049.

2-(2-bromophenyl)-2-methyl-3-(phenethylsulfonyl)-N-phenylpropanamide (5an): Yield: 46.6 mg, 48%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.80 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 7.2 Hz, 1H), 7.33-7.26 (m, 6H), 7.24-7.20 (m, 2H), 7.15-7.11 (m, 1H), 7.06 (d, *J* = 7.2 Hz, 2H), 6.79 (s, 1H), 4.50 (d, *J* = 15.2 Hz, 1H), 3.83 (d, *J* = 15.2 Hz, 1H), 3.02-2.97 (m, 2H), 2.81-2.72 (m, 1H), 2.69-2.61 (m, 1H), 2.20 (s, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 172.5, 137.4, 137.1, 137.1, 135.0, 131.4, 130.7, 129.0, 128.8, 128.5, 128.3, 126.9, 125.0, 124.7, 120.6, 56.4, 51.0, 29.7, 27.6, 25.4; HRMS (ESI-TOF) *m/z*: $C_{24}H_{25}BrNO_3S$ $(M + H)^+$ calcd for 486.0734, found 486.0731.

3-((isopropylsulfonyl)methyl)-3-methyl-1-phenylindolin-2-one (6): Yield: 28.1 mg, 82%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.55-7.50 (m, 2H), 7.49-7.45 (m, 2H), 7.45-7.38 (m, 2H), 7.26-7.22 (m, 1H), 7.16-7.11 (m, 1H), 6.81 (d, *J* = 7.6 Hz, 1H), 3.77

(d, *J* = 14.0 Hz, 1H), 3.57 (d, *J* = 14.4 Hz, 1H), 2.96-2.85 (m, 1H), 1.57 (s, 3H), 1.33-1.30 (m, 6H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 177.7, 143.5, 134.5, 130.0, 129.6, 128.7, 128.2, 126.8, 123.8, 122.8, 109.8, 55.3, 54.9, 45.3, 25.7, 15.2, 15.0; HRMS (ESI-TOF) *m/z*: $C_{19}H_{22}NO_3S$ $(M + H)^+$ calcd for 344.1315, found 344.1318.

4. References

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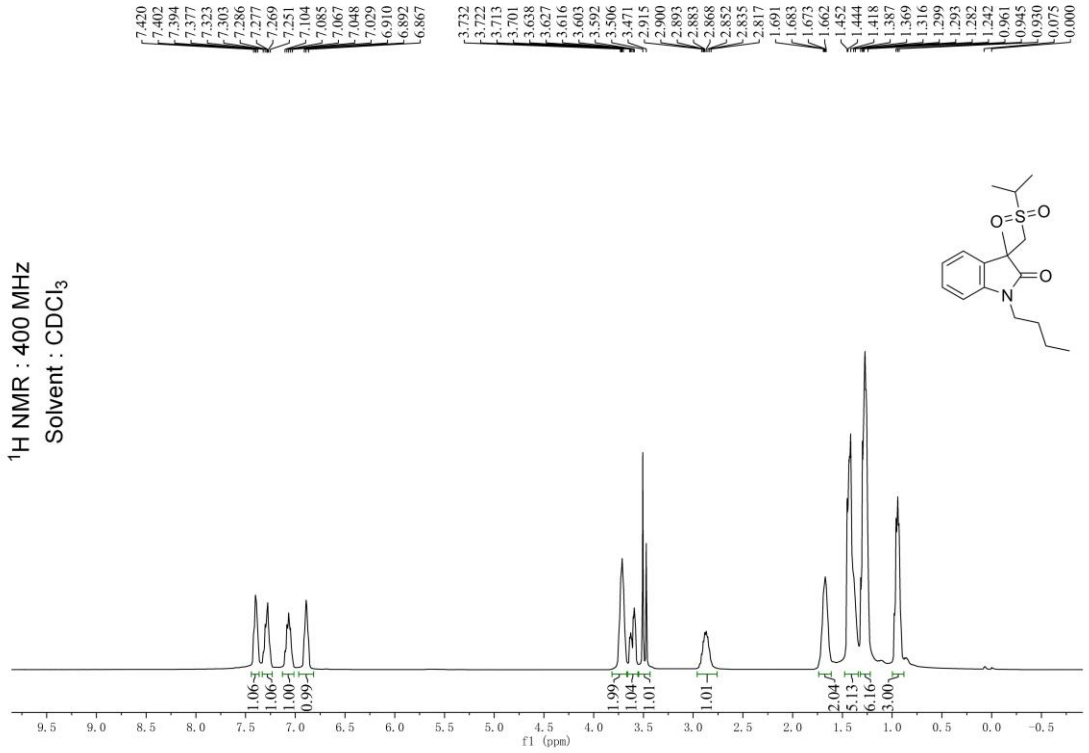
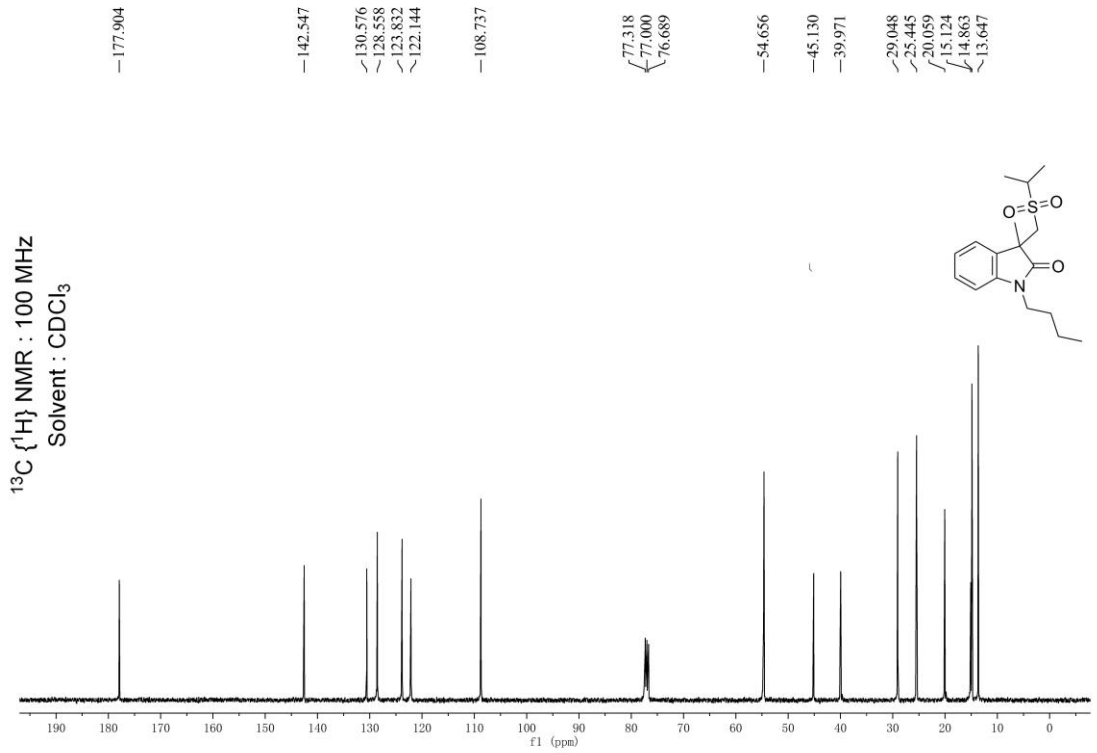
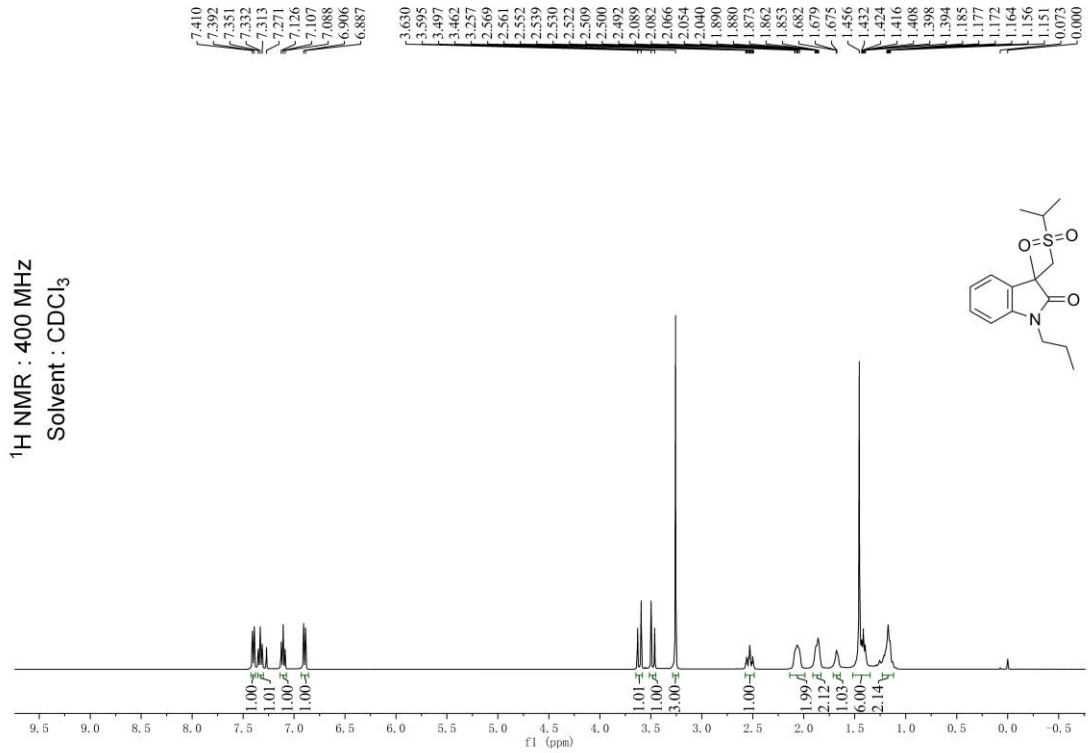
5. Spectra

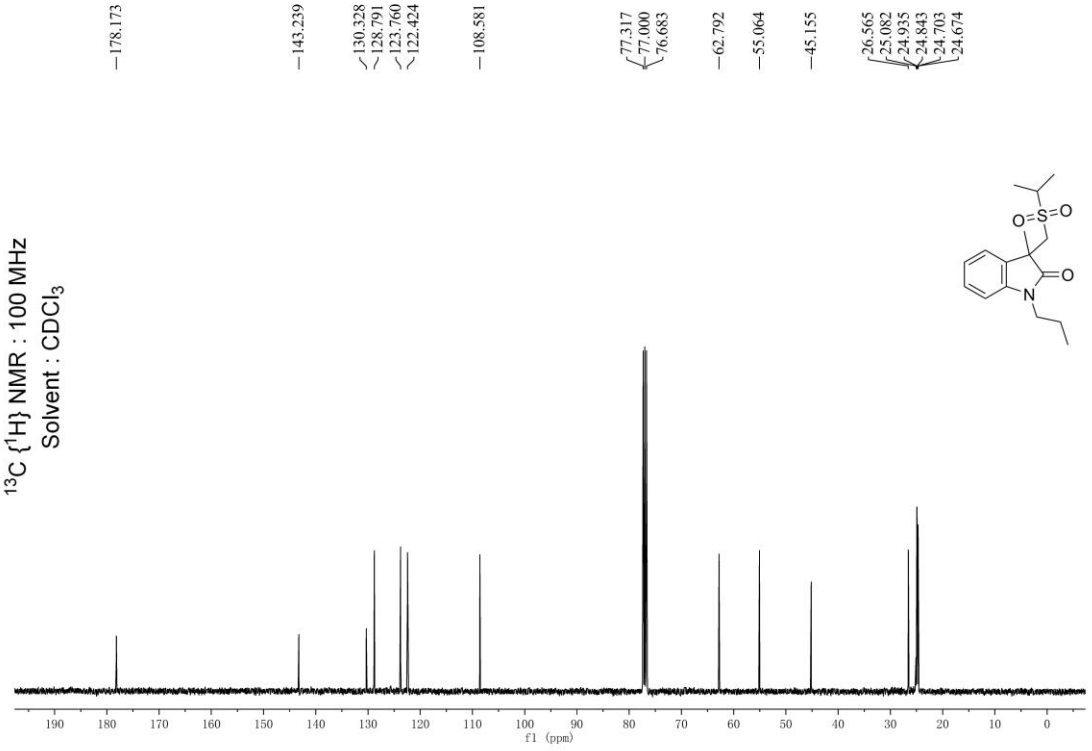
1-Butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3aa)



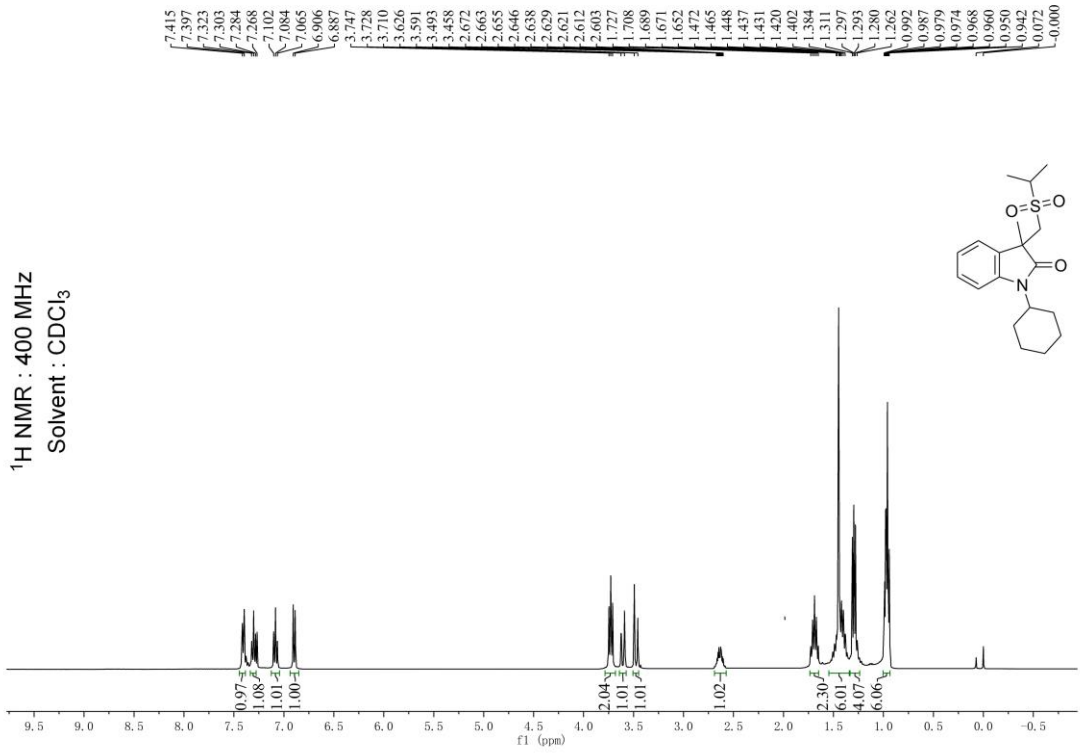


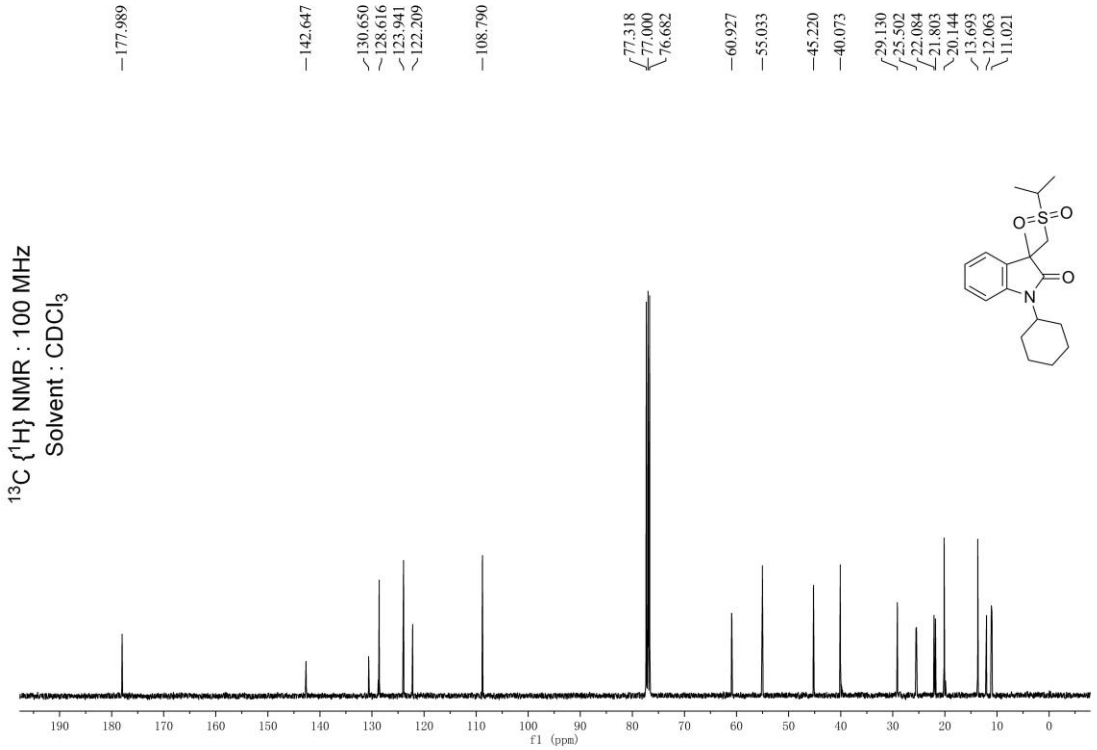
3-((isopropylsulfonyl)methyl)-3-methyl-1-propylindolin-2-one (3ba)





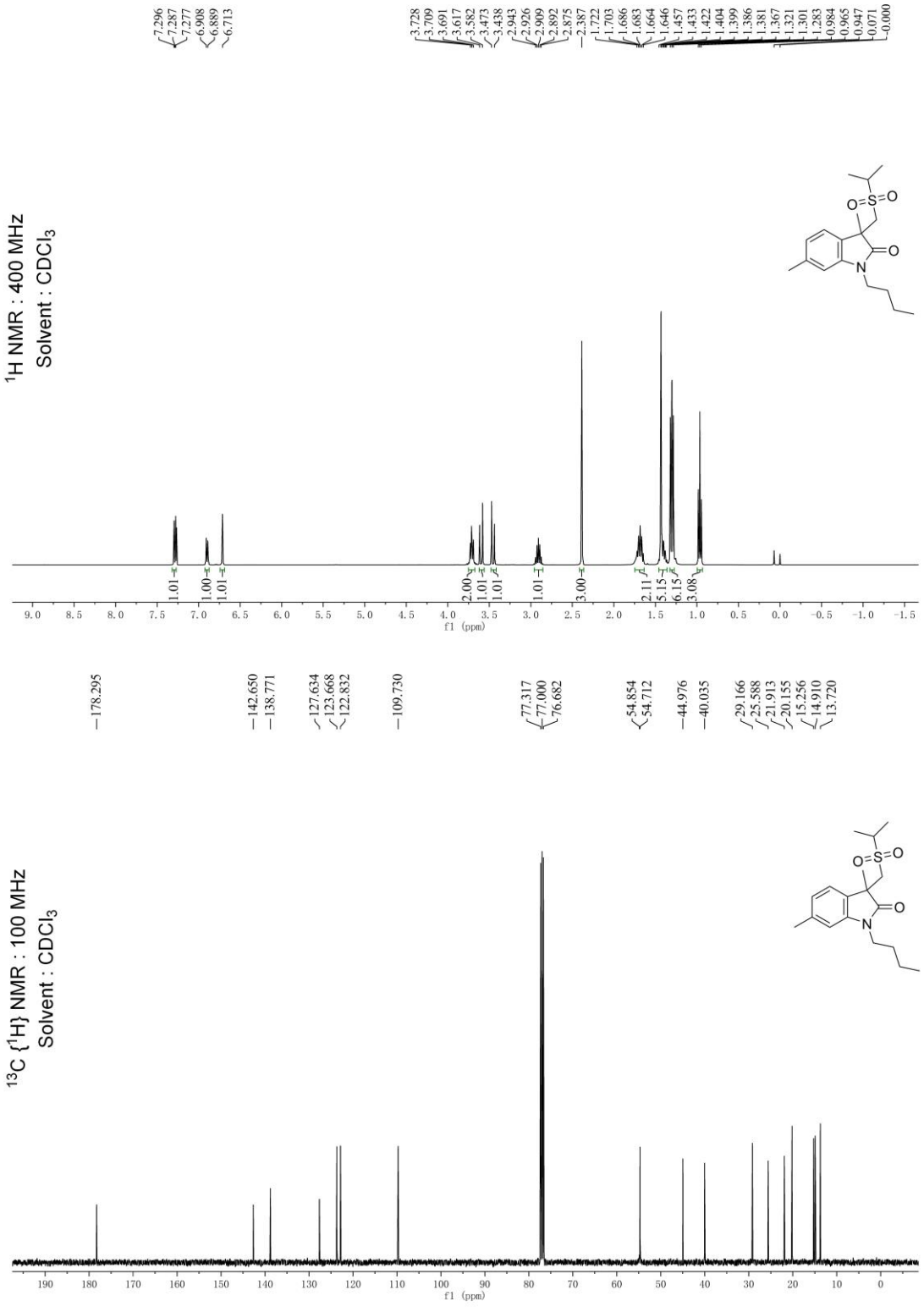
1-Cyclohexyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ca)



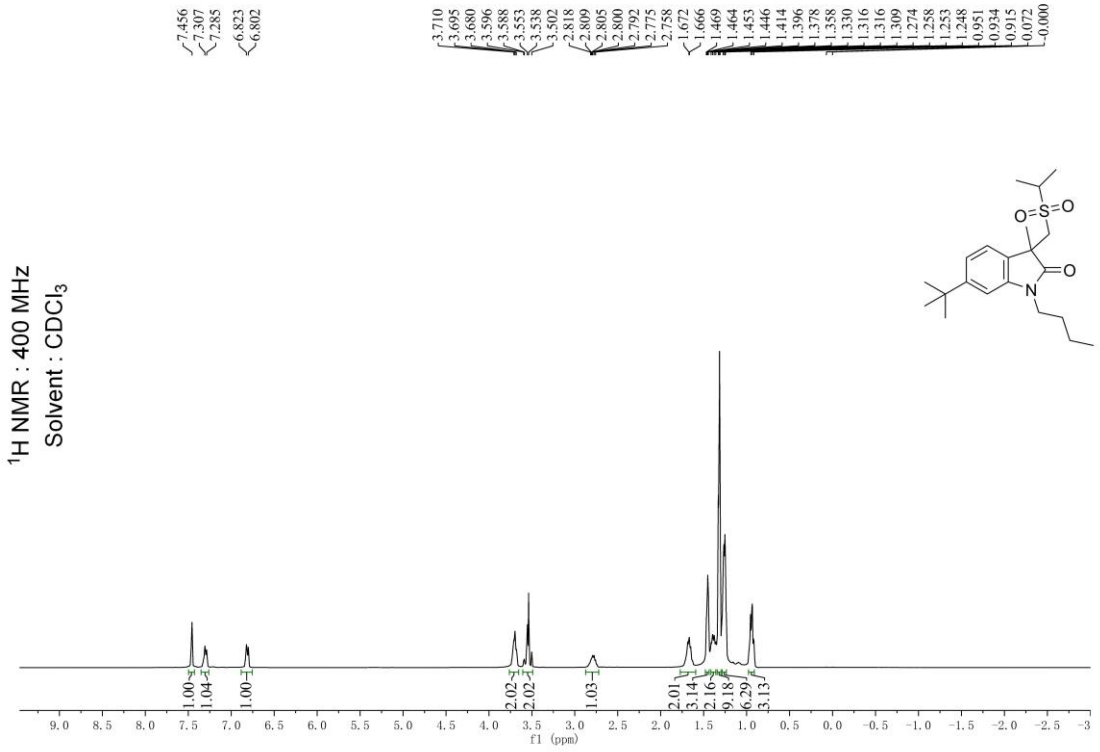


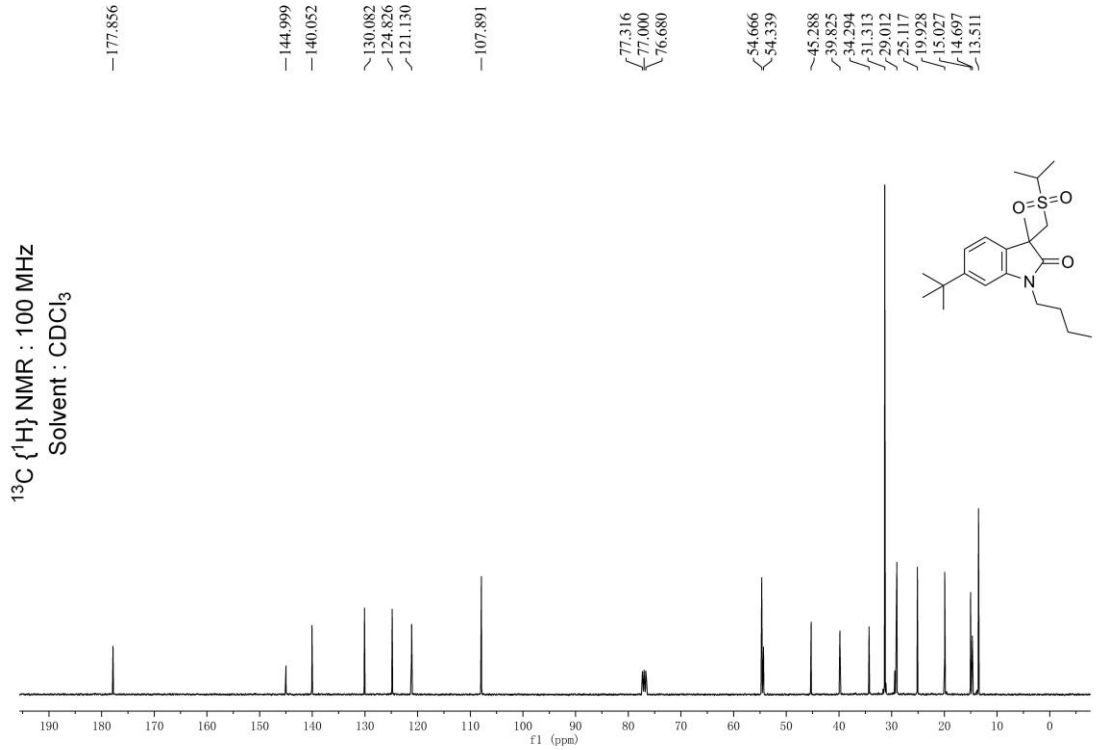
1-Butyl-3-((isopropylsulfonyl)methyl)-3,6-dimethylindolin-2-one

(3da)

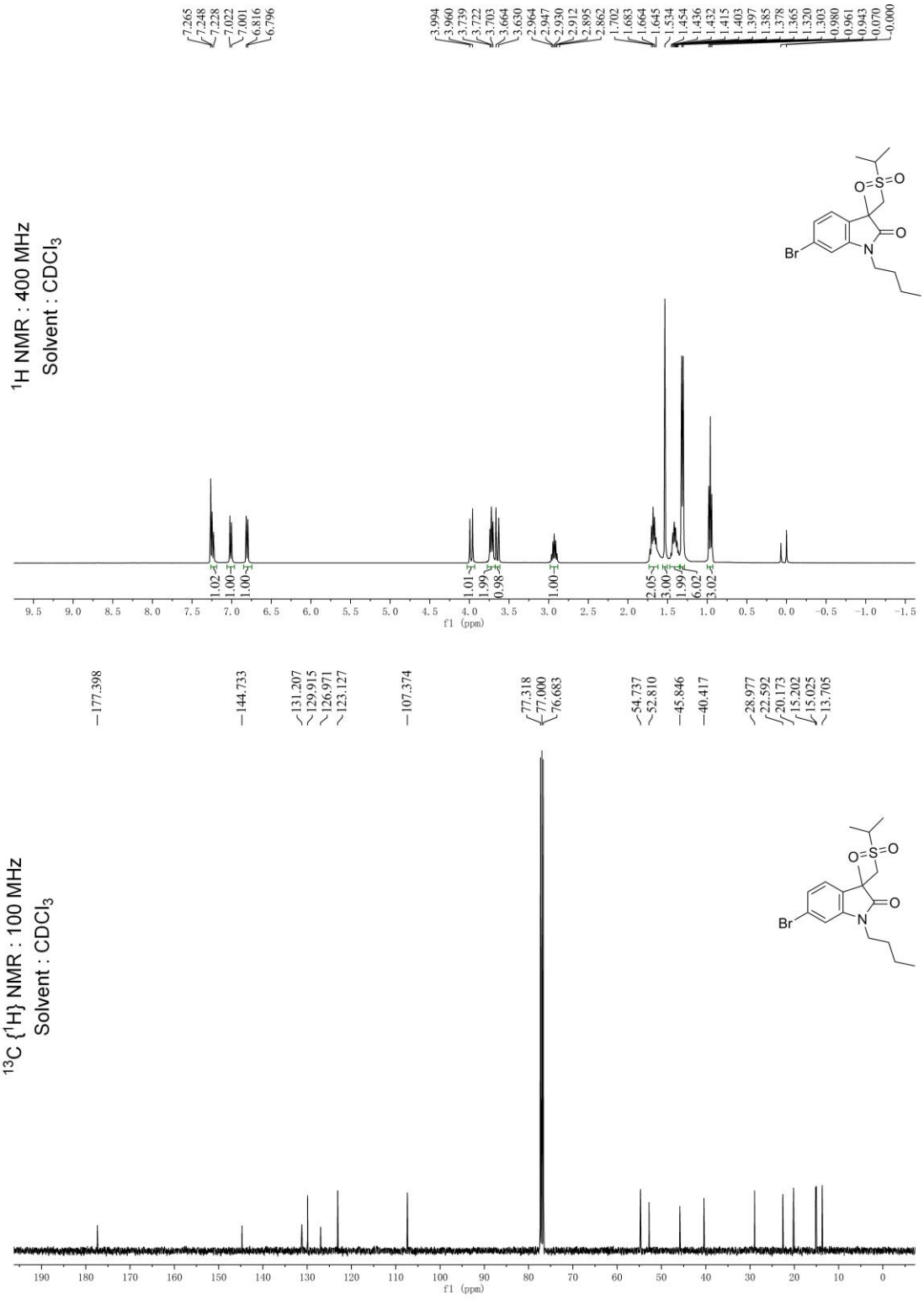


6-(tert-butyl)-1-butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ea)

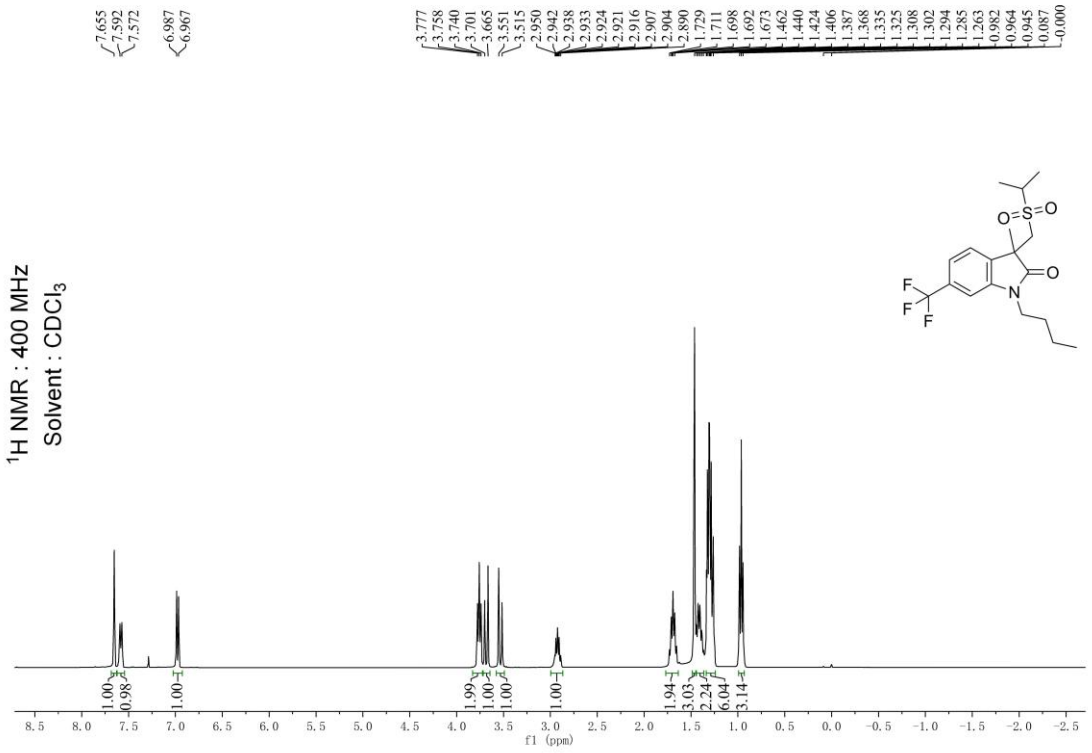


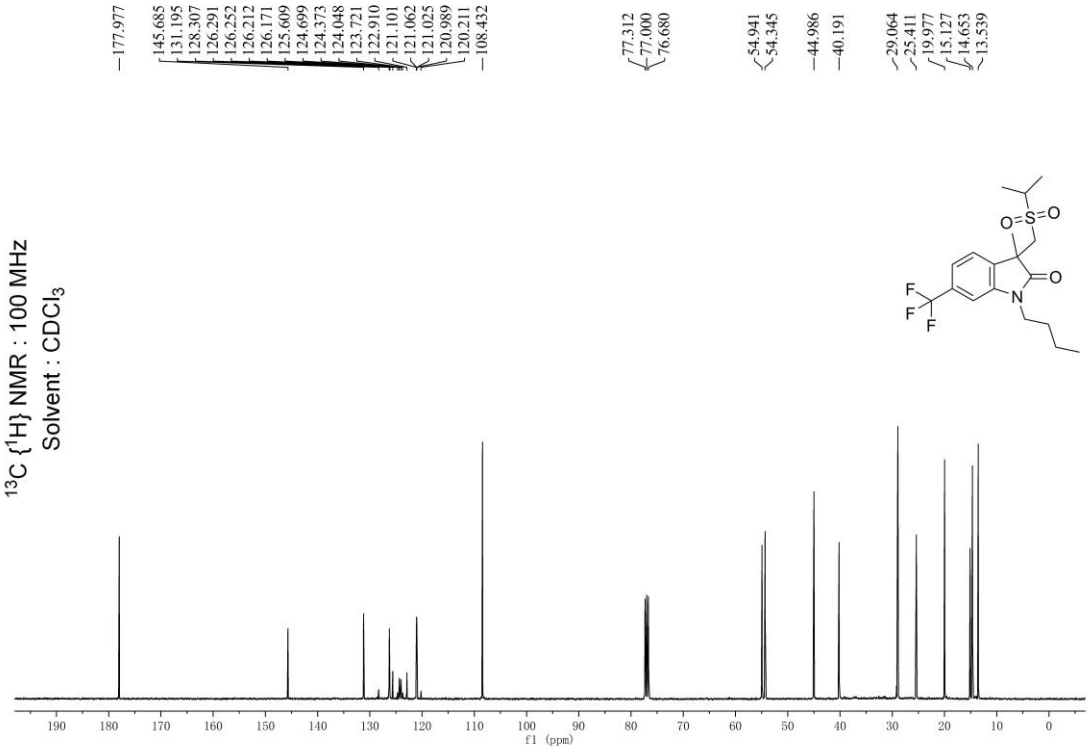


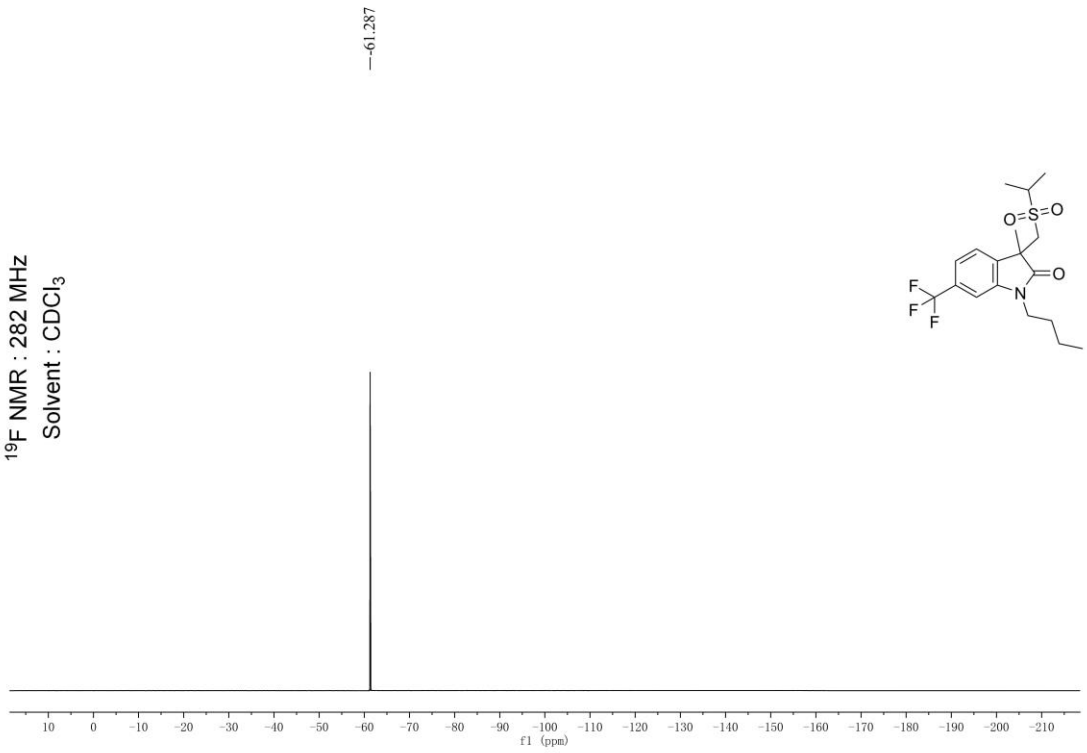
6-Bromo-1-butyl-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3fa)



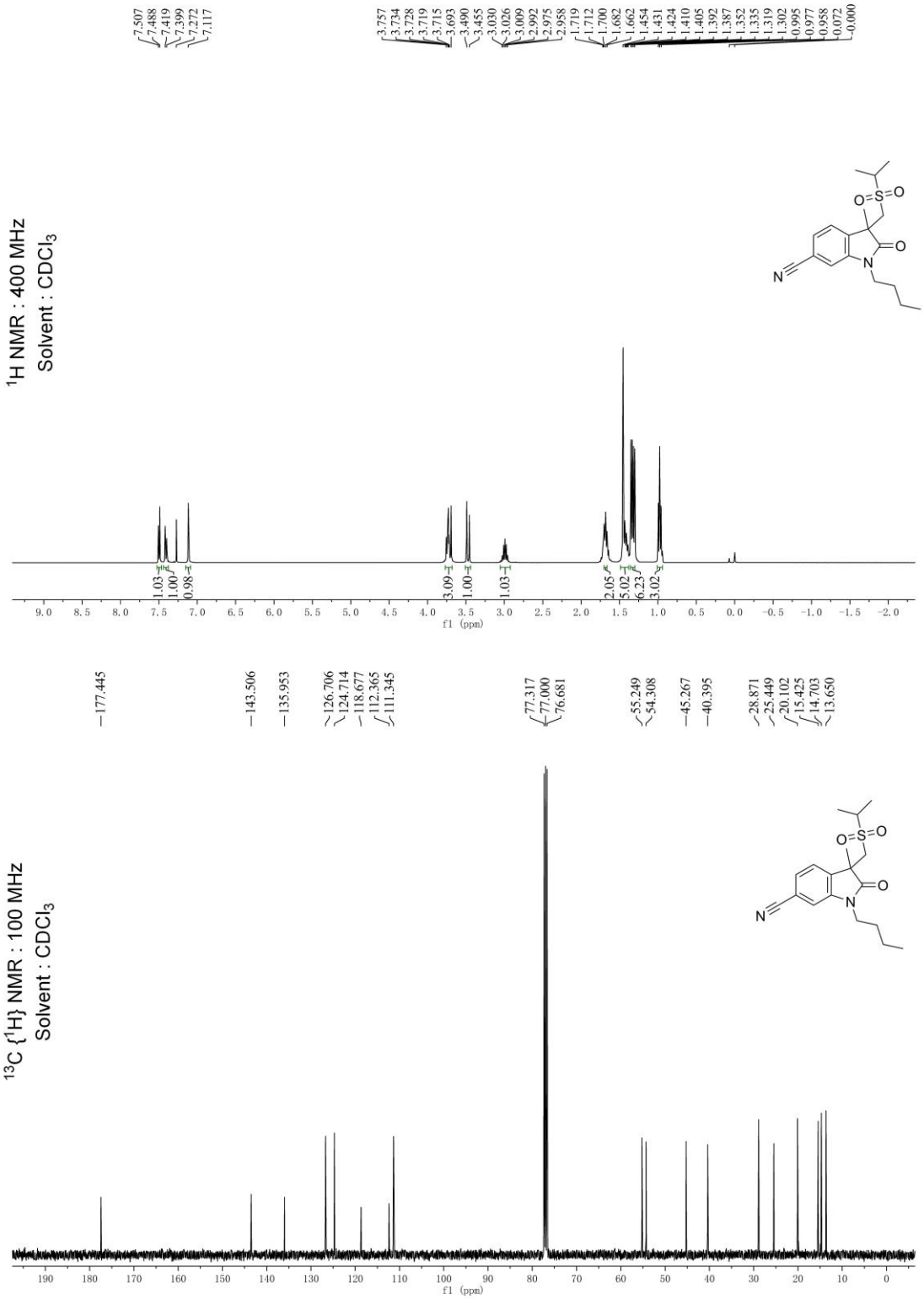
1-Butyl-3-((isopropylsulfonyl)methyl)-3-methyl-6-(trifluoromethyl)indolin-2-one (3ga)



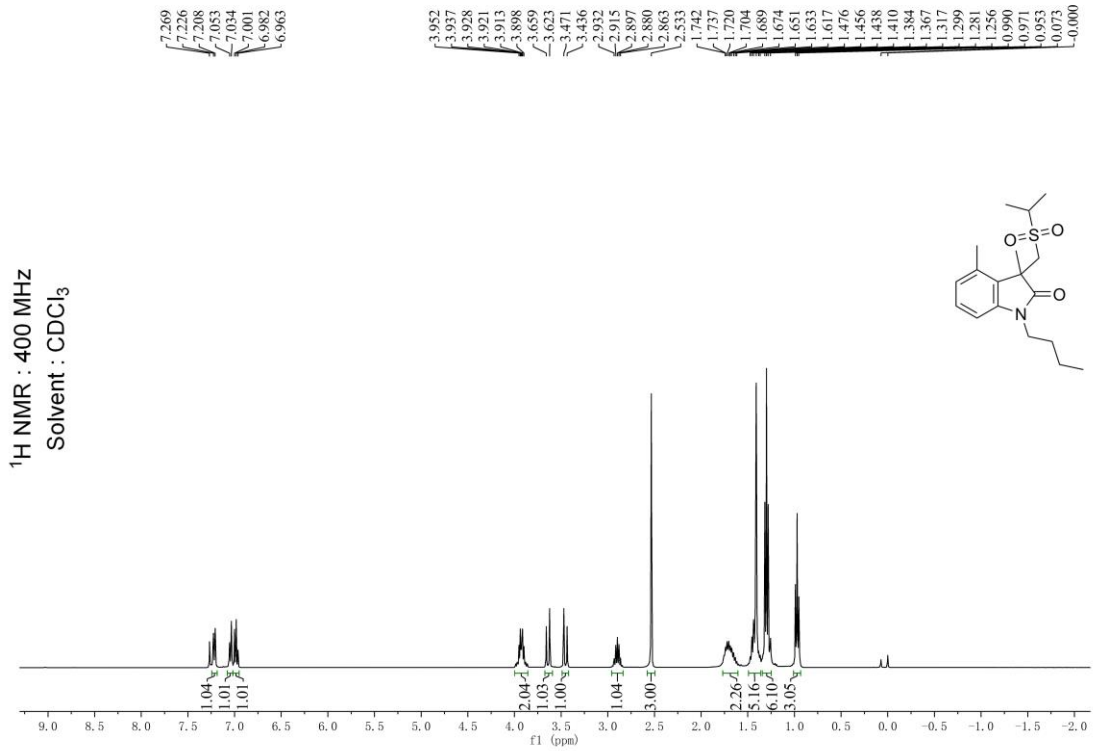


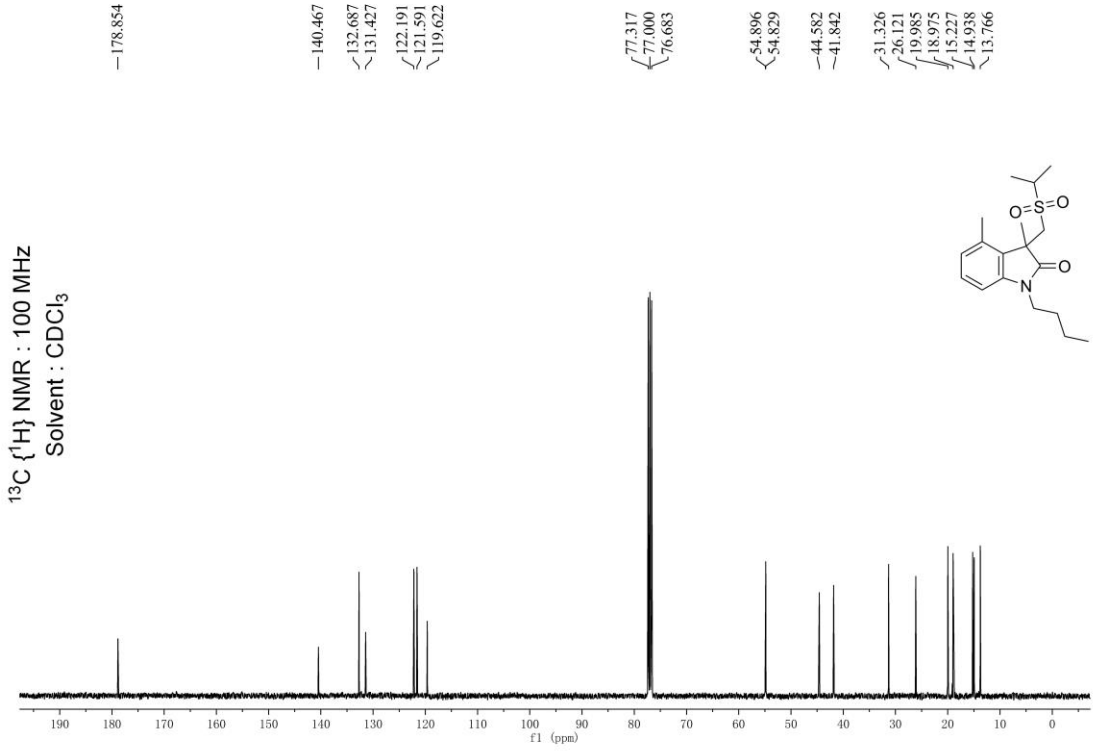

−61.287
^{19}F NMR : 282 MHz
Solvent : $CDCl_3$
O=S=O
O
F
F
F
N
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)

1-Butyl-3-((isopropylsulfonyl)methyl)-3-methyl-2-oxoindoline-6-carbonitrile (3ha)

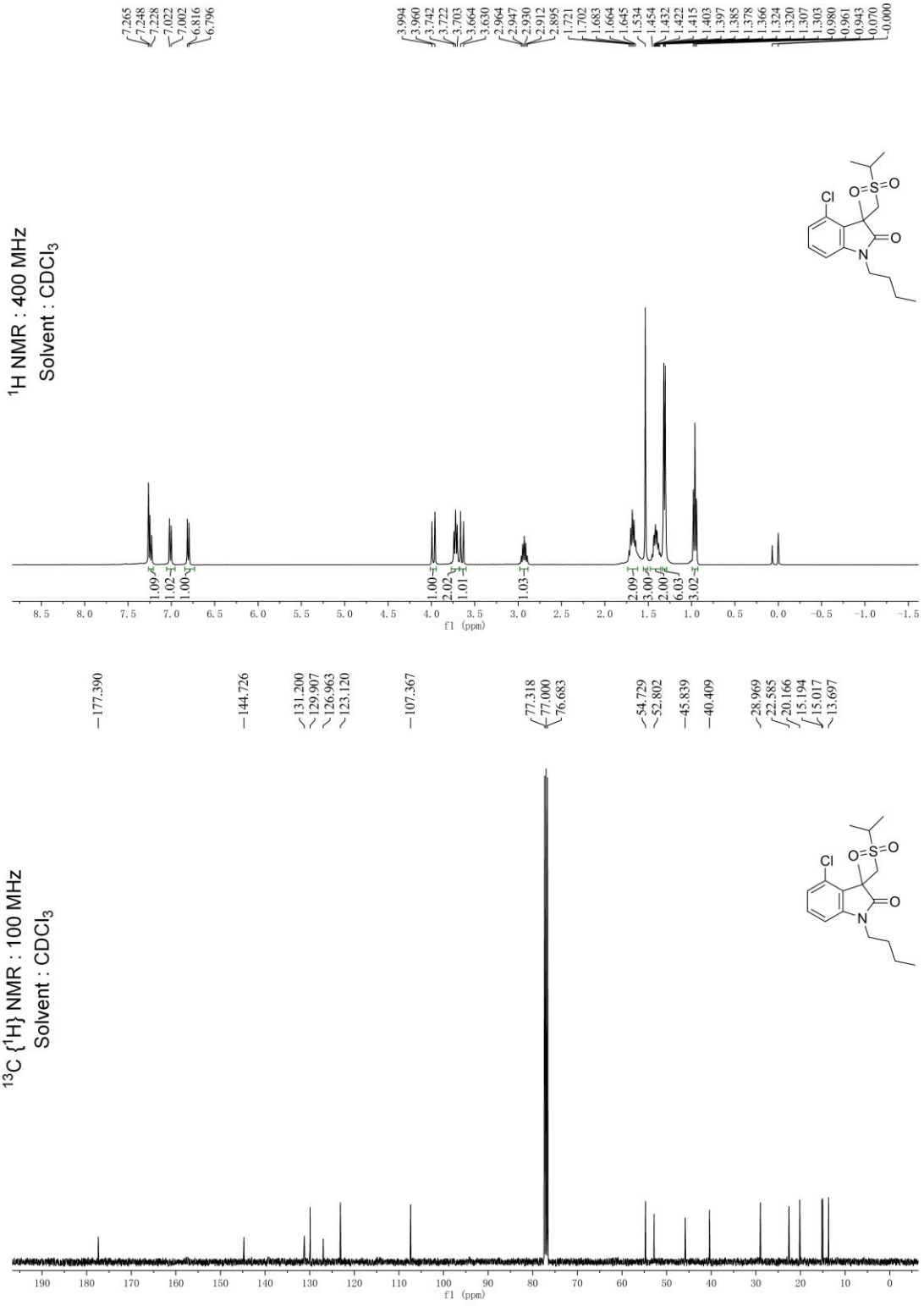


1-Butyl-3-((isopropylsulfonyl)methyl)-3,4-dimethylindolin-2-one (3ia)



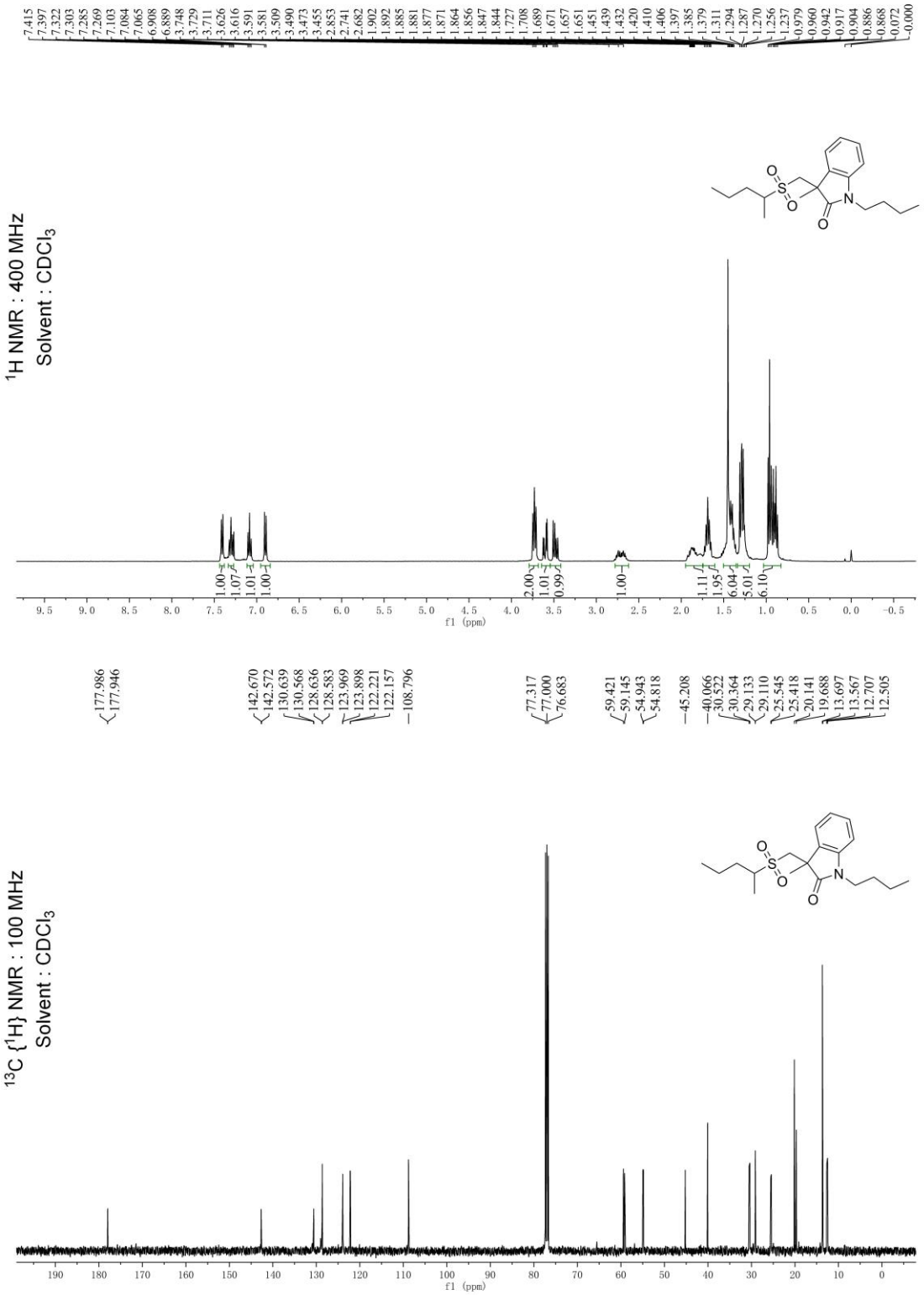


1-Butyl-4-chloro-3-((isopropylsulfonyl)methyl)-3-methylindolin-2-one (3ja)

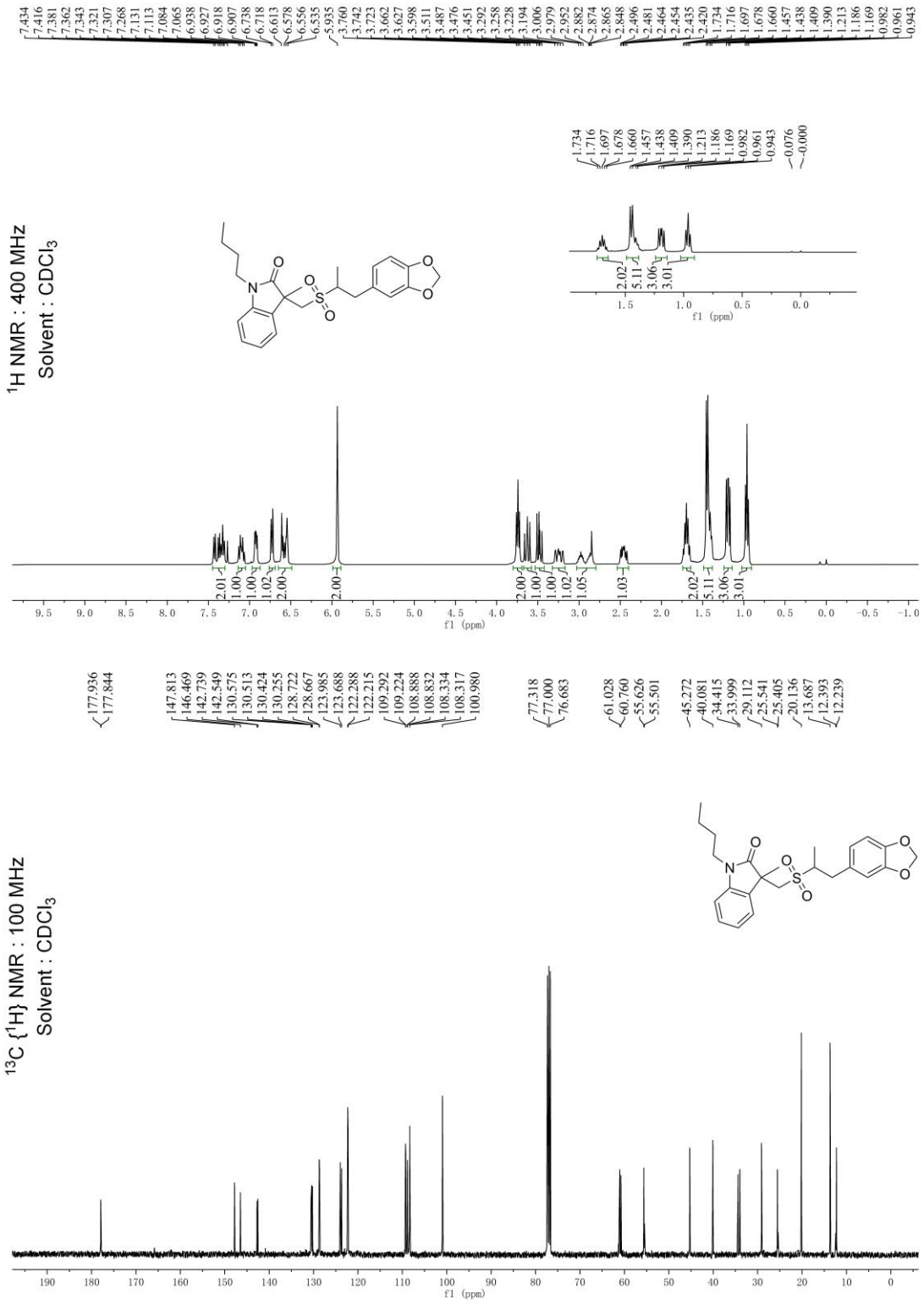


1-Butyl-3-methyl-3-(((pentan-2-yl)sulfonyl)methyl)indolin-2-one

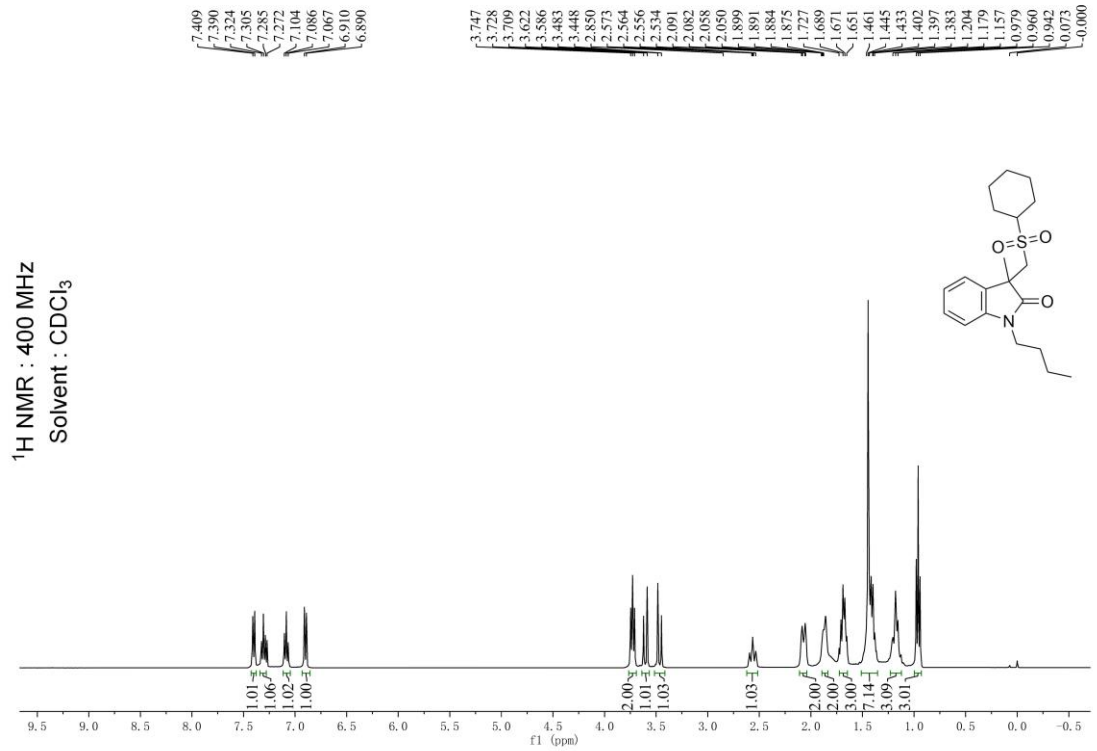
(3ab)

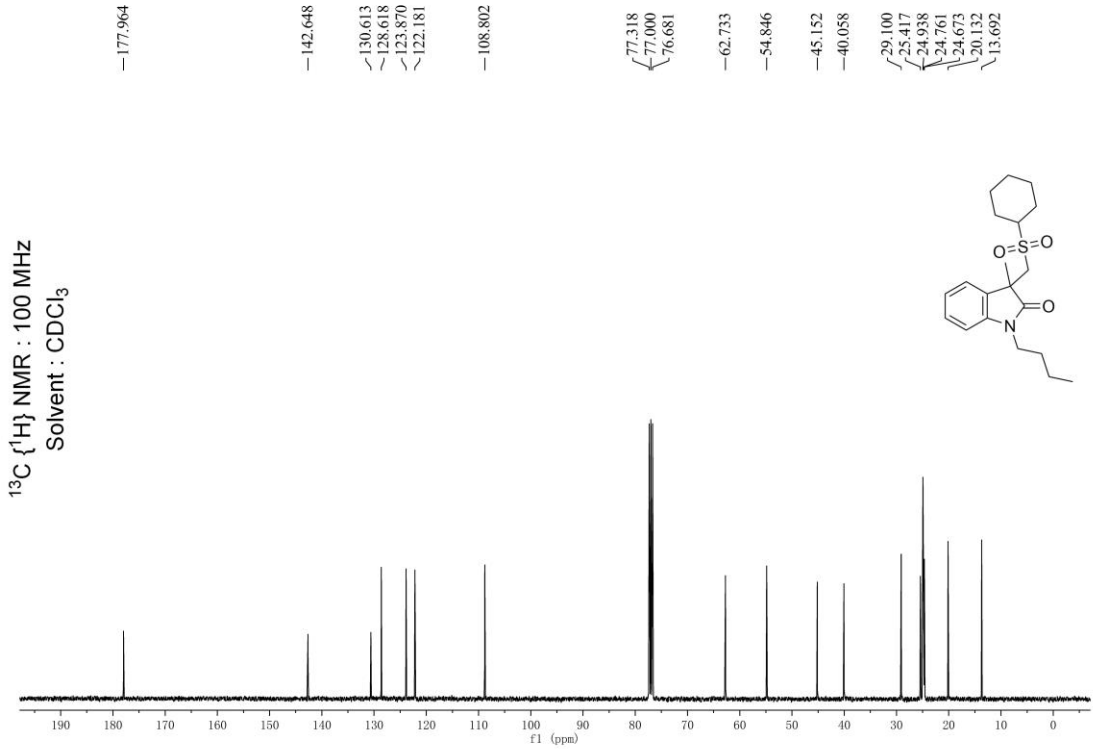


3-(((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)methyl)-1-butyl-3-methylindolin-2-one (3ac)

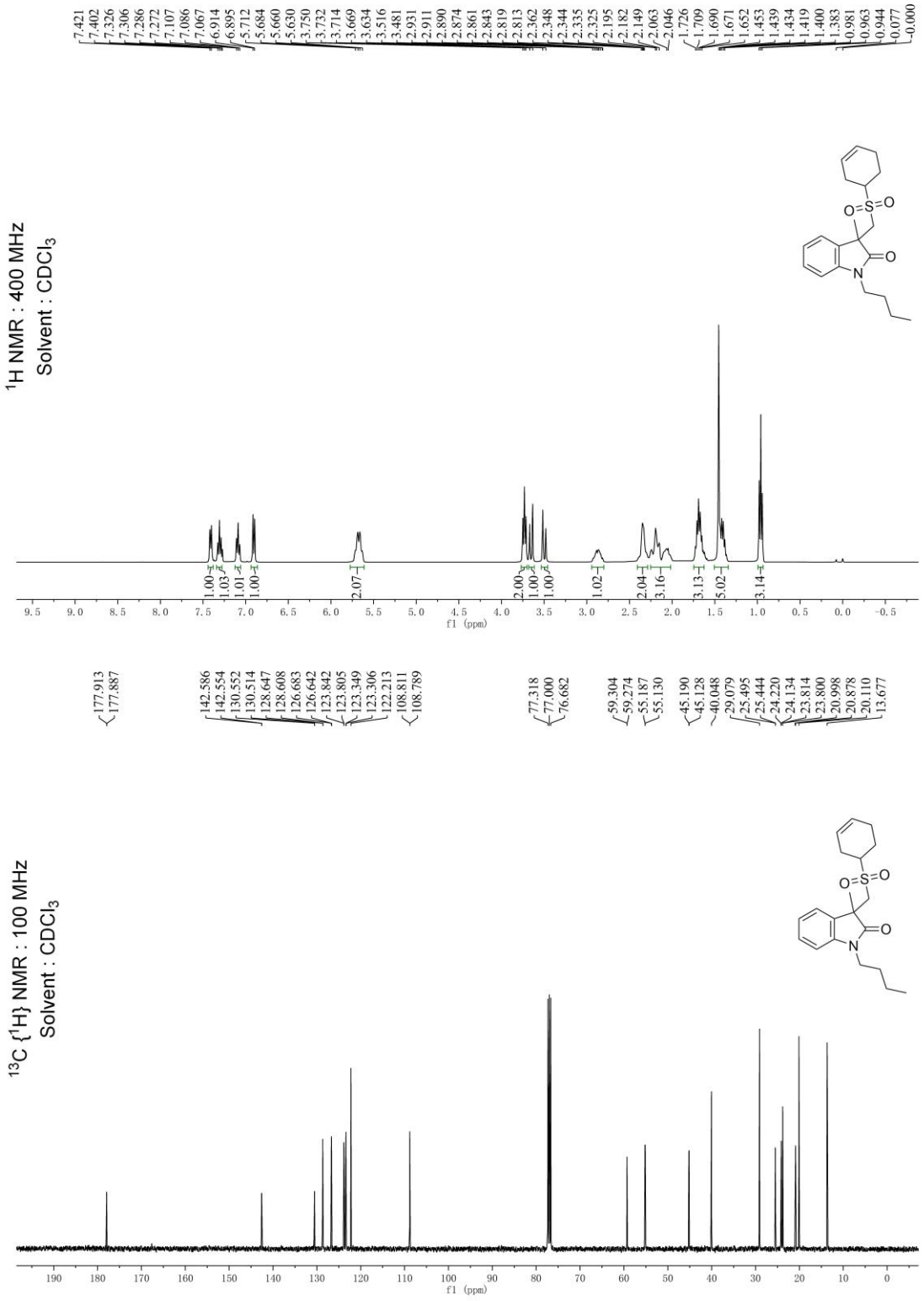


1-Butyl-3-((cyclohexylsulfonyl)methyl)-3-methylindolin-2-one (3ad)

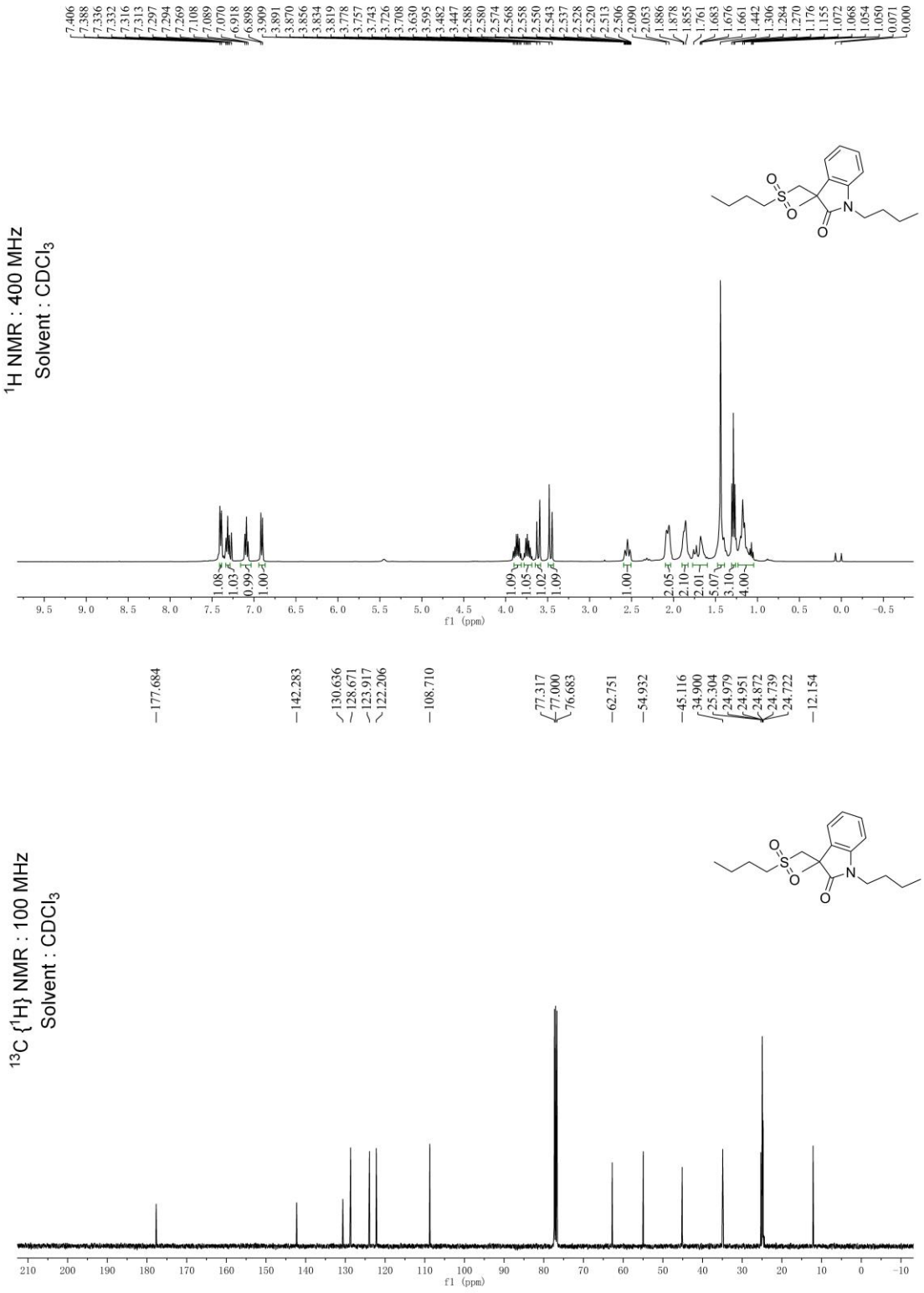




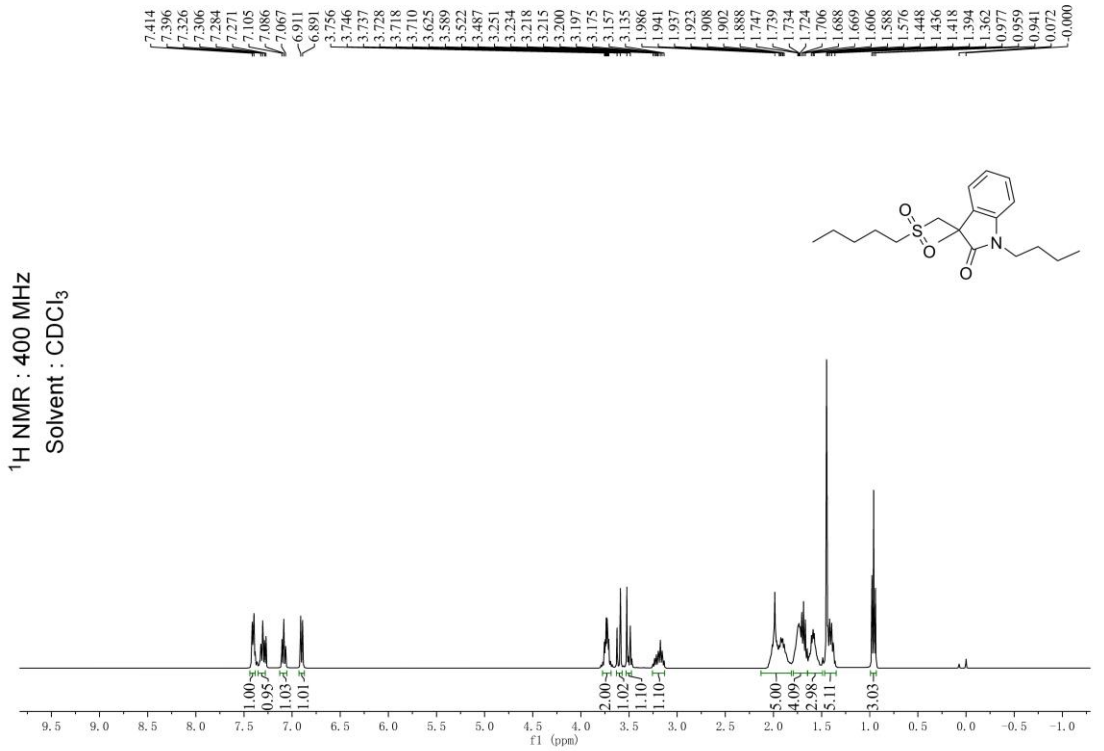
1-Butyl-3-(((cyclohex-3-en-1-yl)sulfonyl)methyl)-3-methylindolin-2-one (3ae)

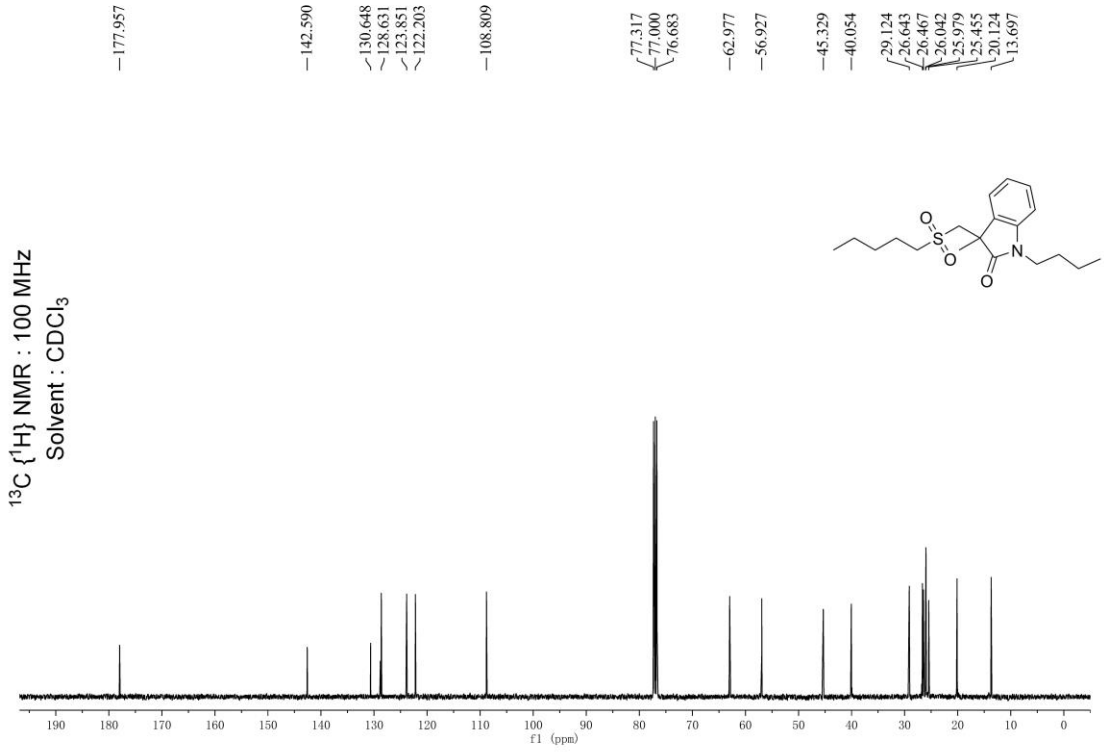


1-Butyl-3-((butylsulfonyl)methyl)-3-methylindolin-2-one (3af)

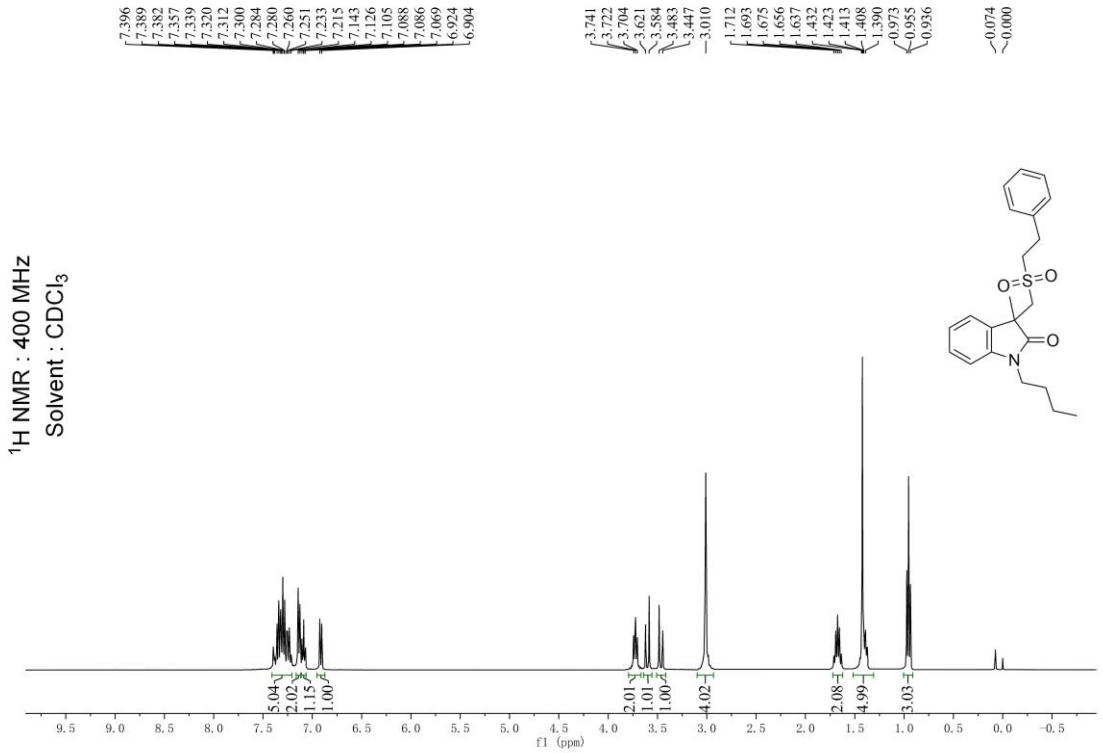


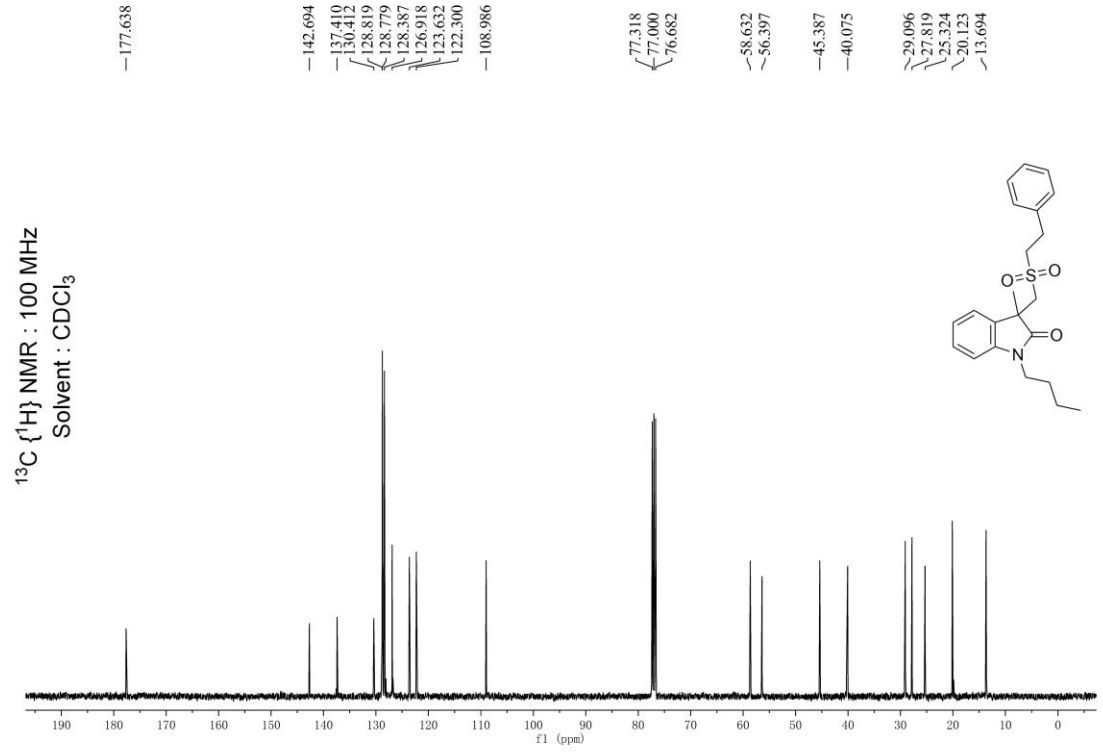
1-Butyl-3-methyl-3-((pentylsulfonyl)methyl)indolin-2-one (3ag)



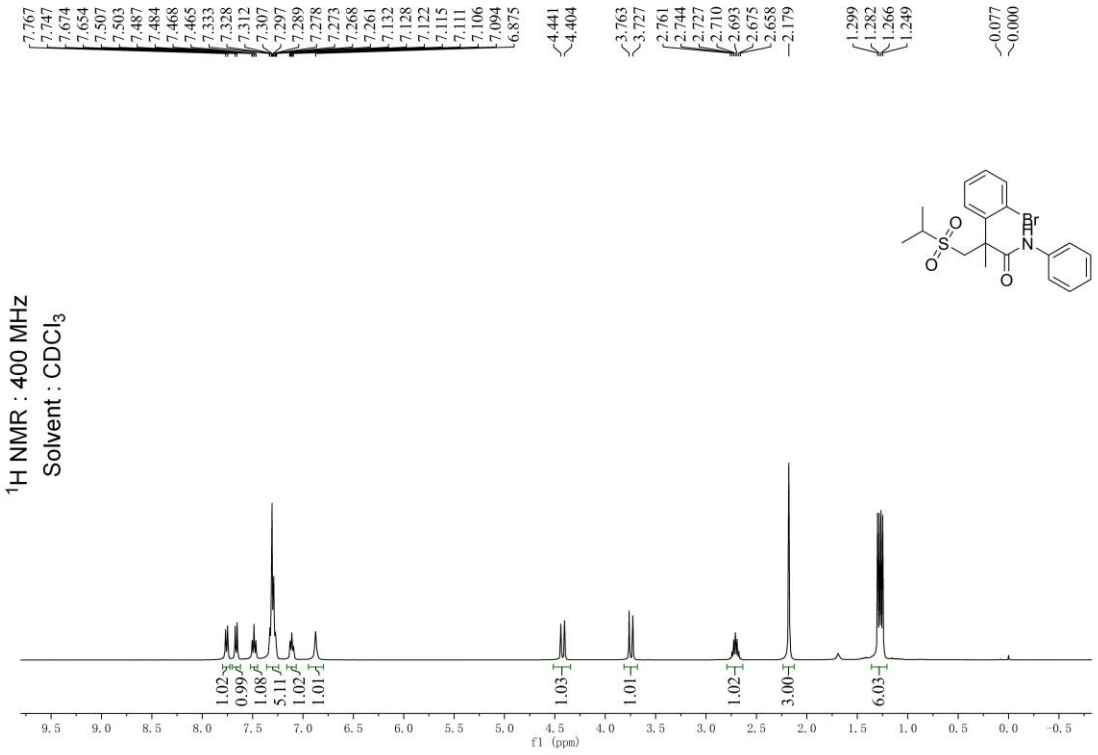


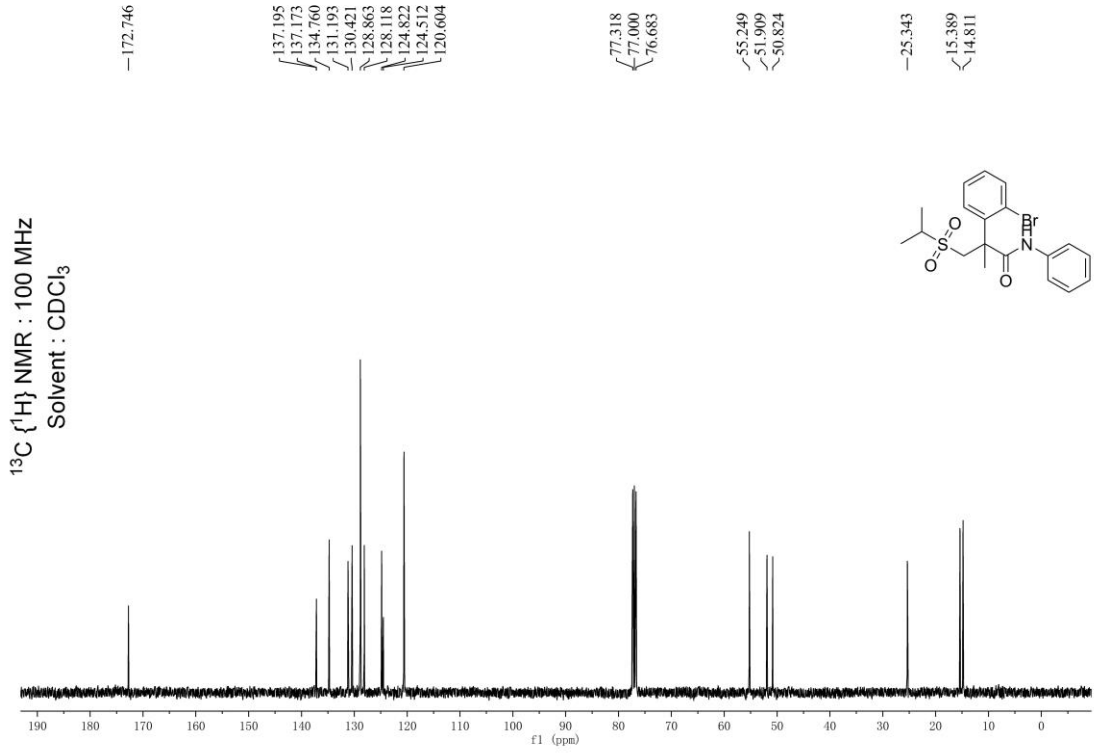
1-Butyl-3-methyl-3-((phenethylsulfonyl)methyl)indolin-2-one (3ah)



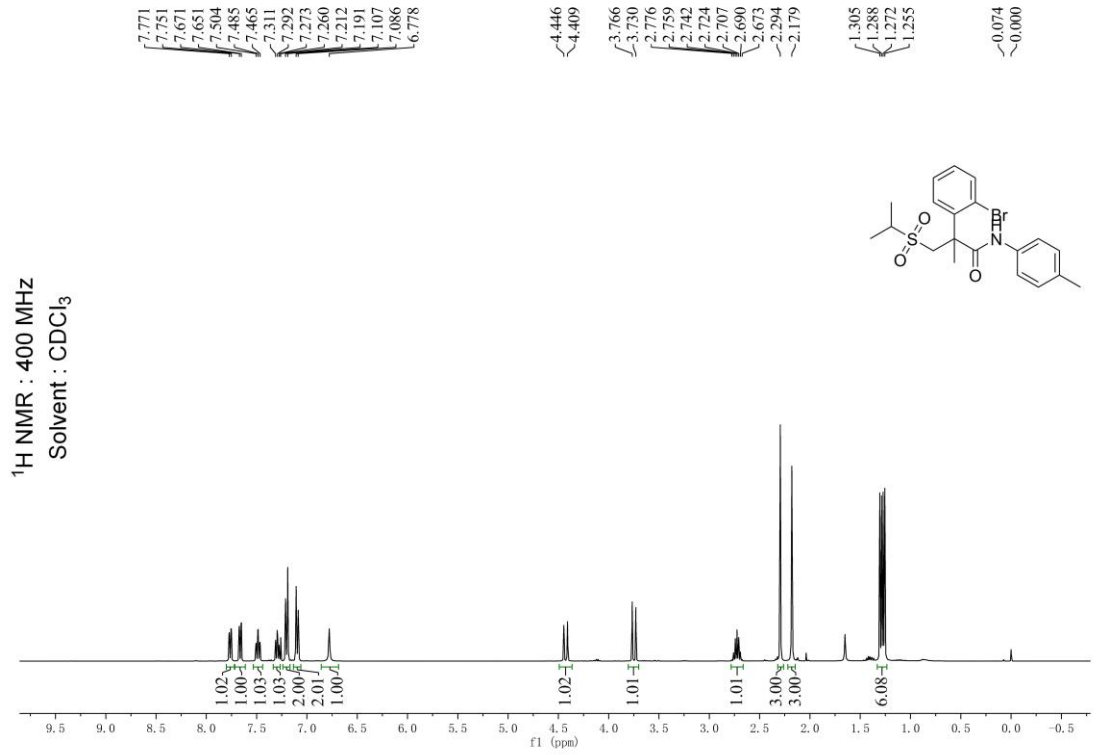


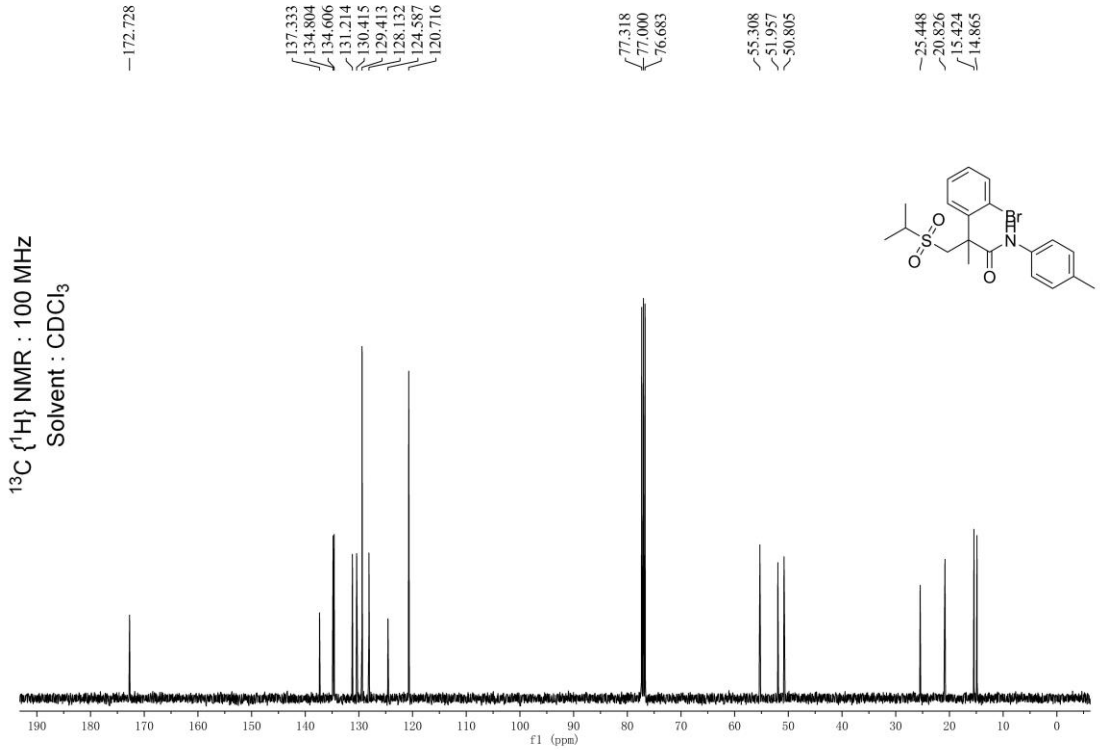
2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5aa)



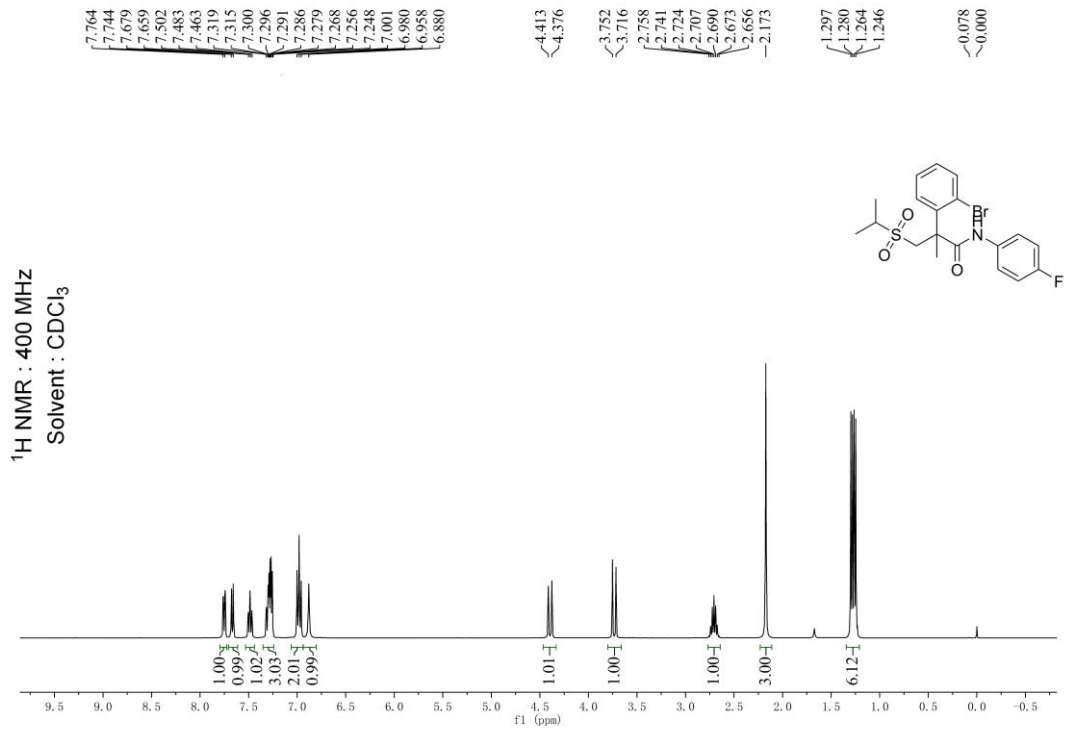


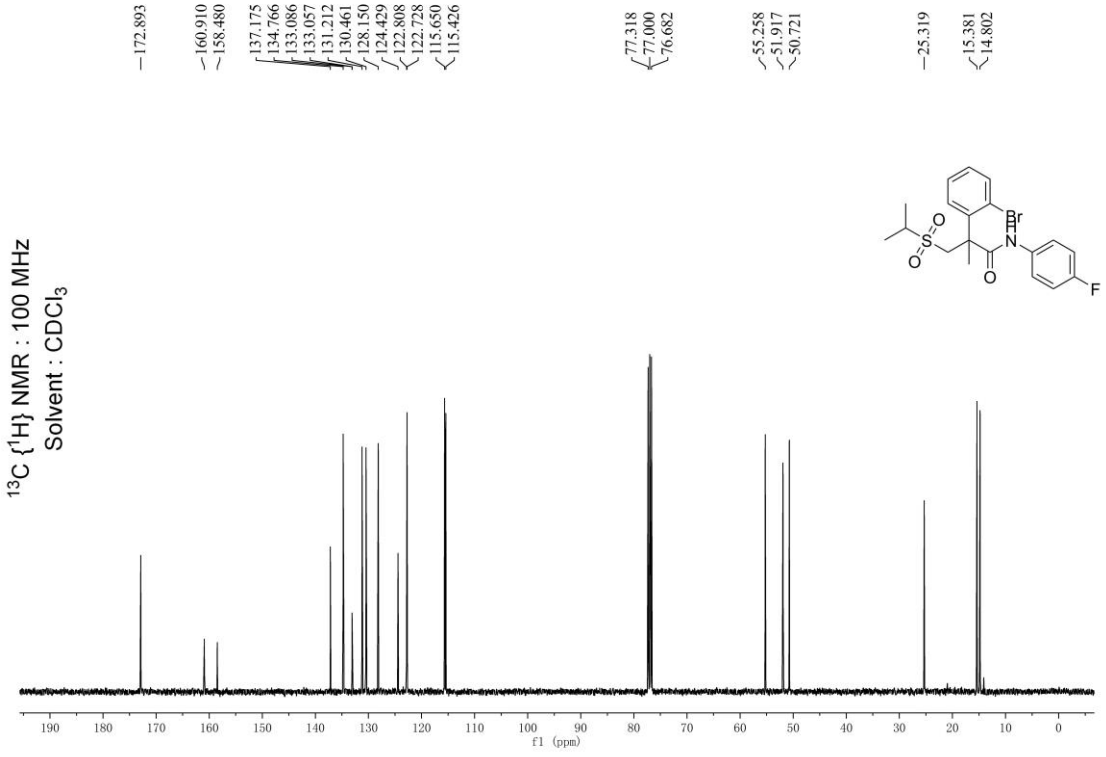
2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(p-tolyl)propanamide (5ba)

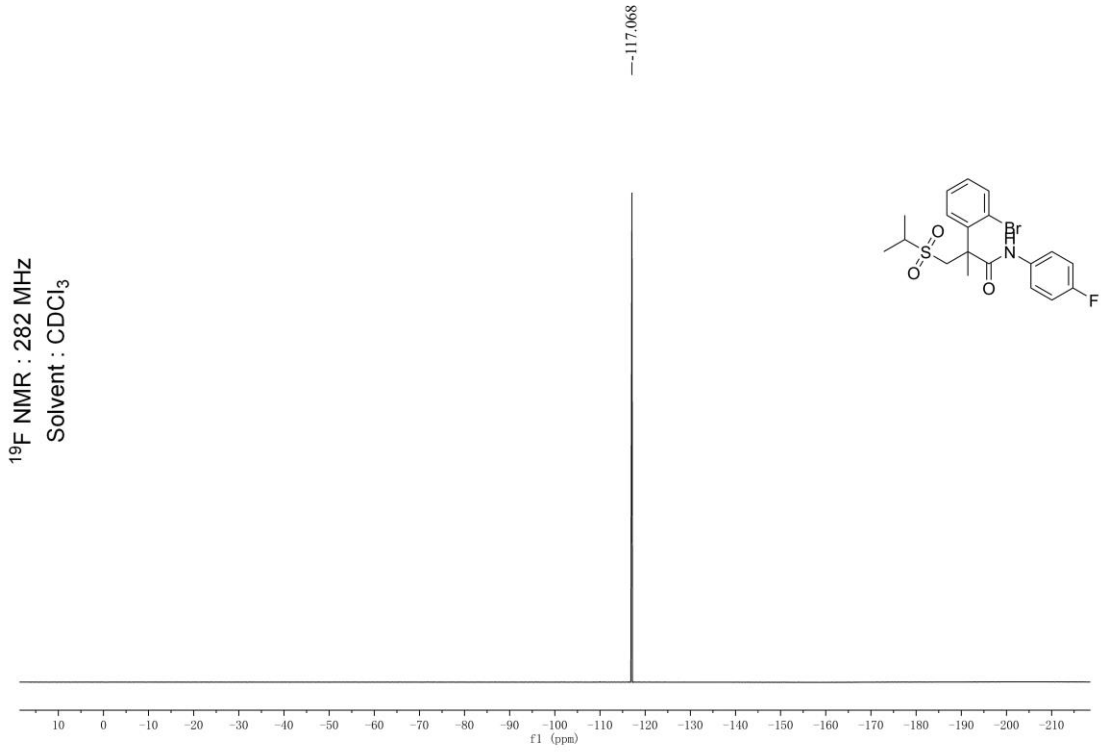




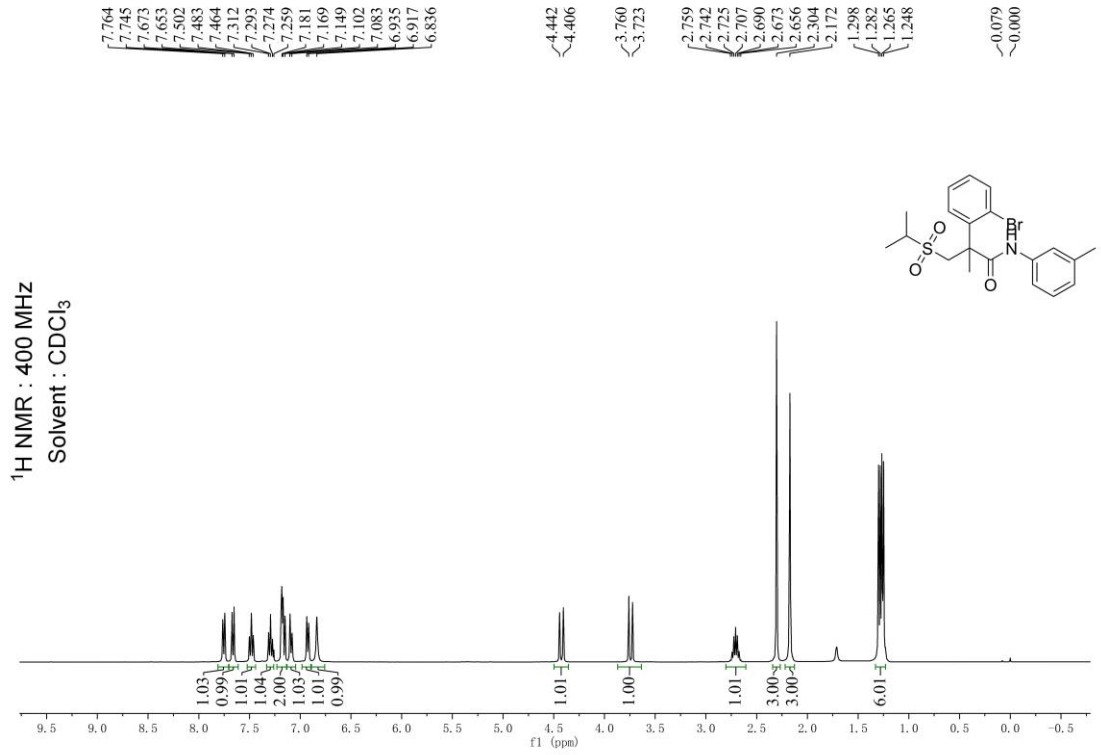
2-(2-bromophenyl)-N-(4-fluorophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ca)

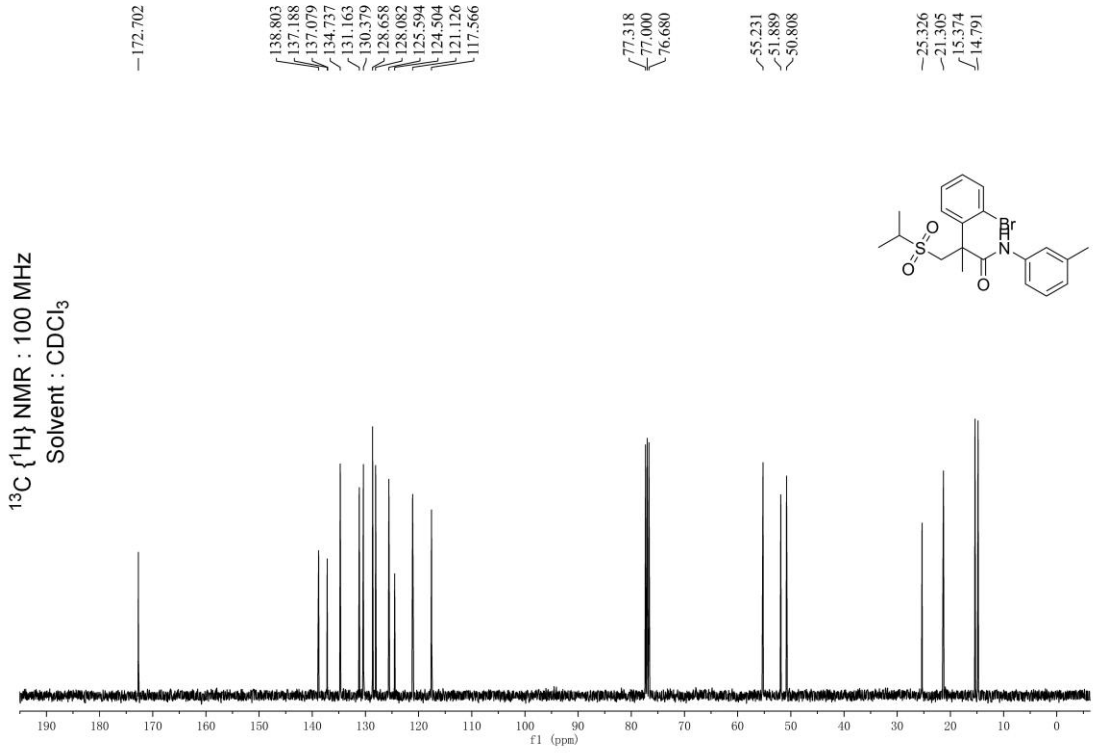




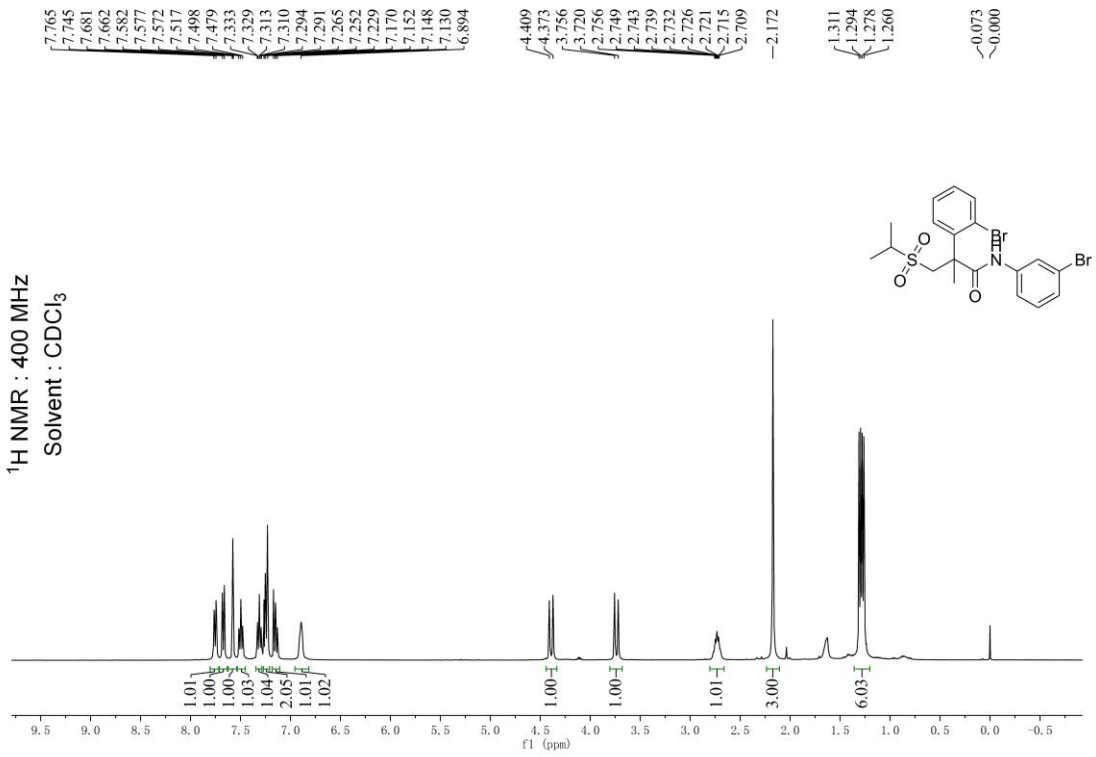

-117.068
19F NMR : 282 MHz
Solvent : CDCl3
Br
H
N
O
S
O
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

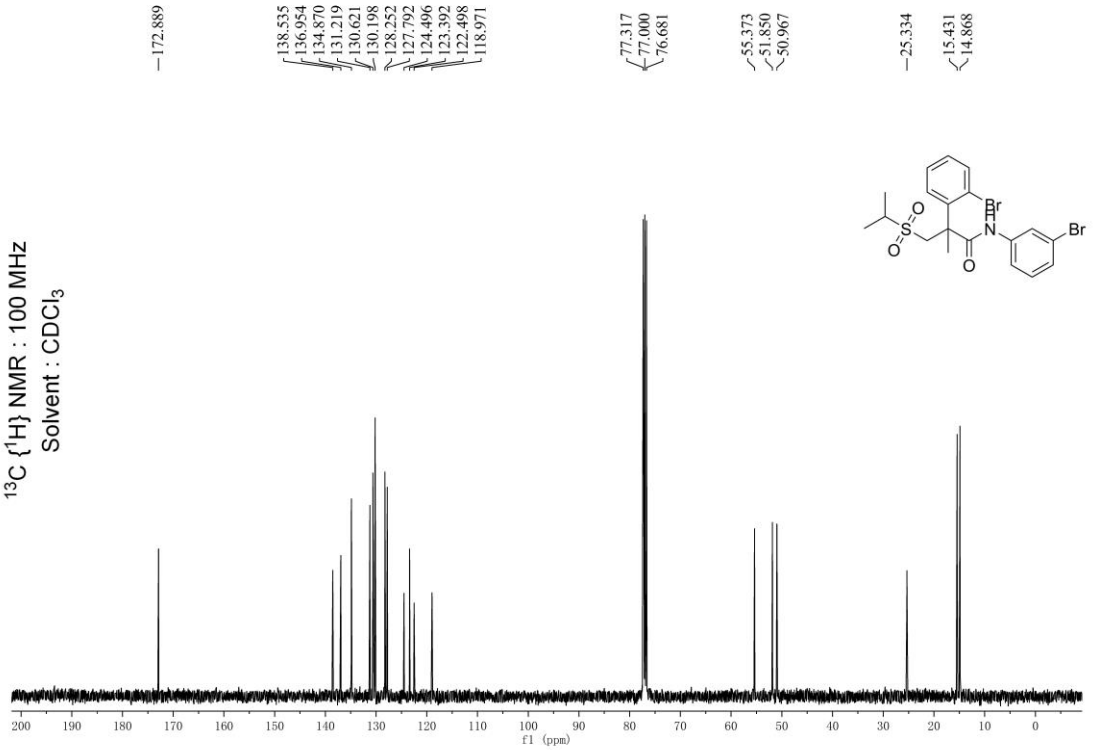
2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(m-tolyl)propanamide (5da)



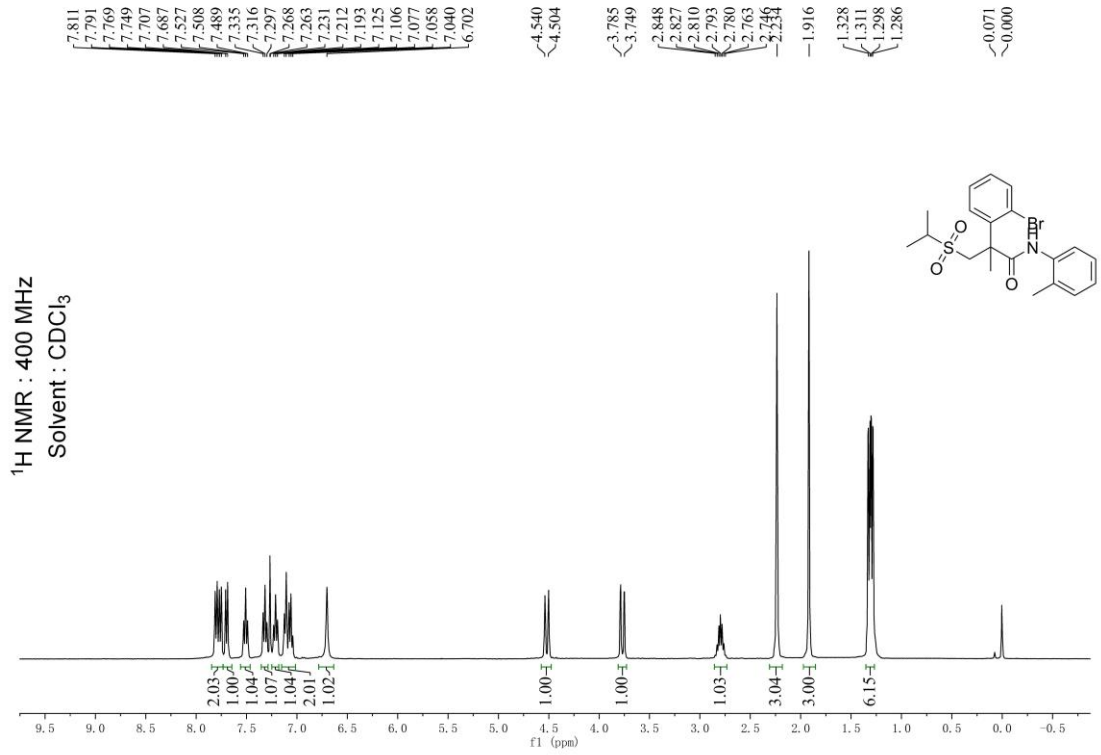


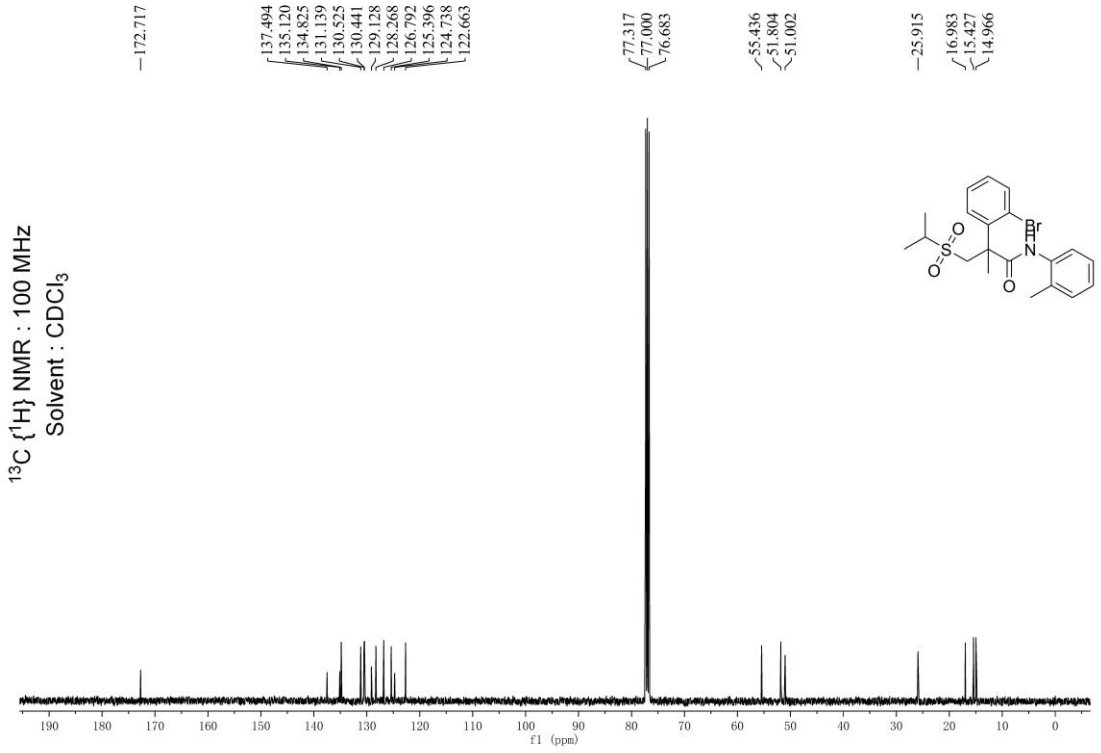
2-(2-bromophenyl)-N-(3-bromophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ea)



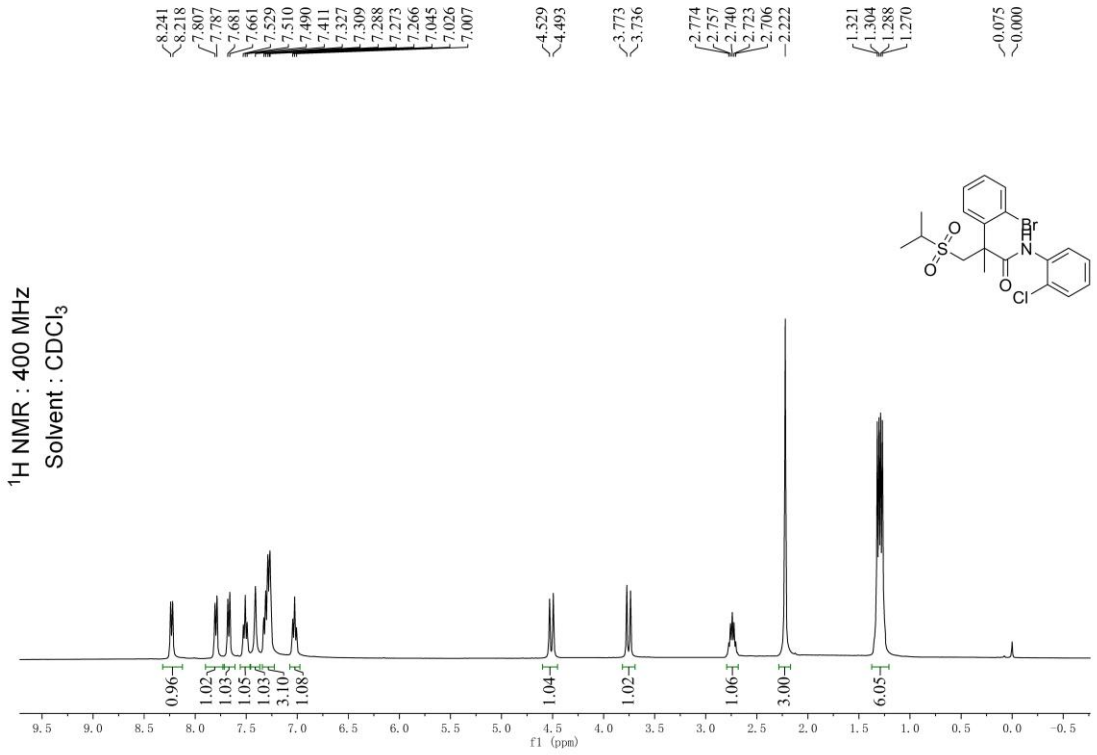


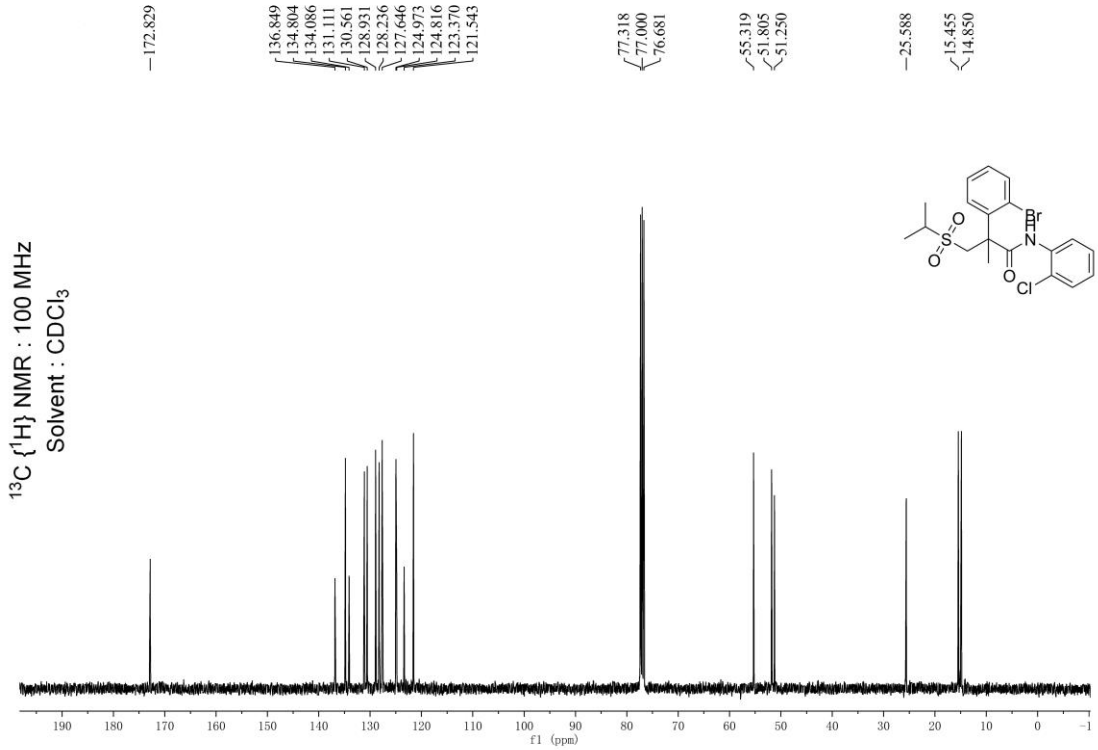
2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(o-tolyl)propanamide (5fa)



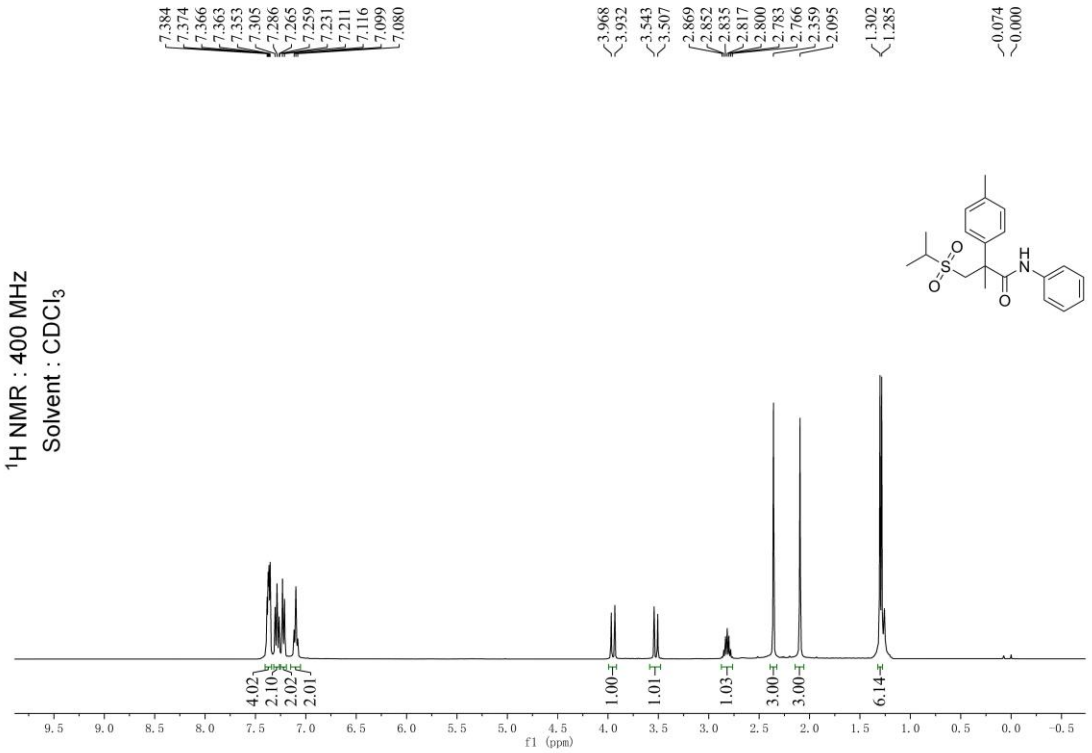


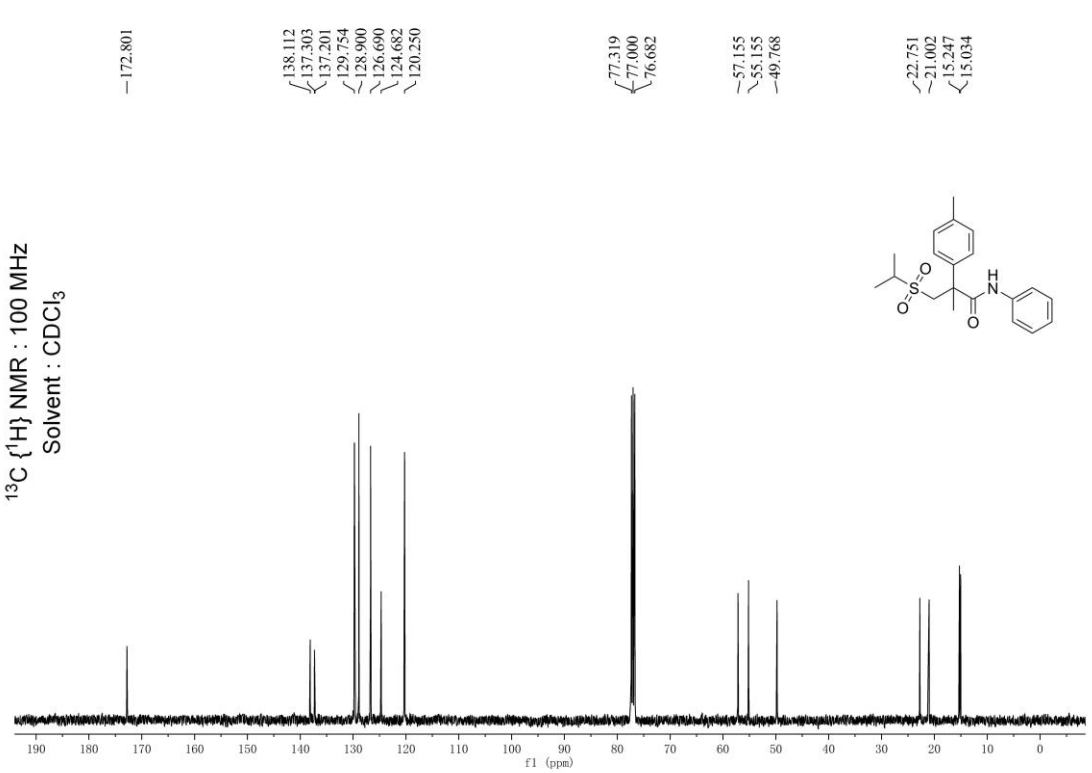
2-(2-bromophenyl)-N-(2-chlorophenyl)-3-(isopropylsulfonyl)-2-methylpropanamide (5ga)



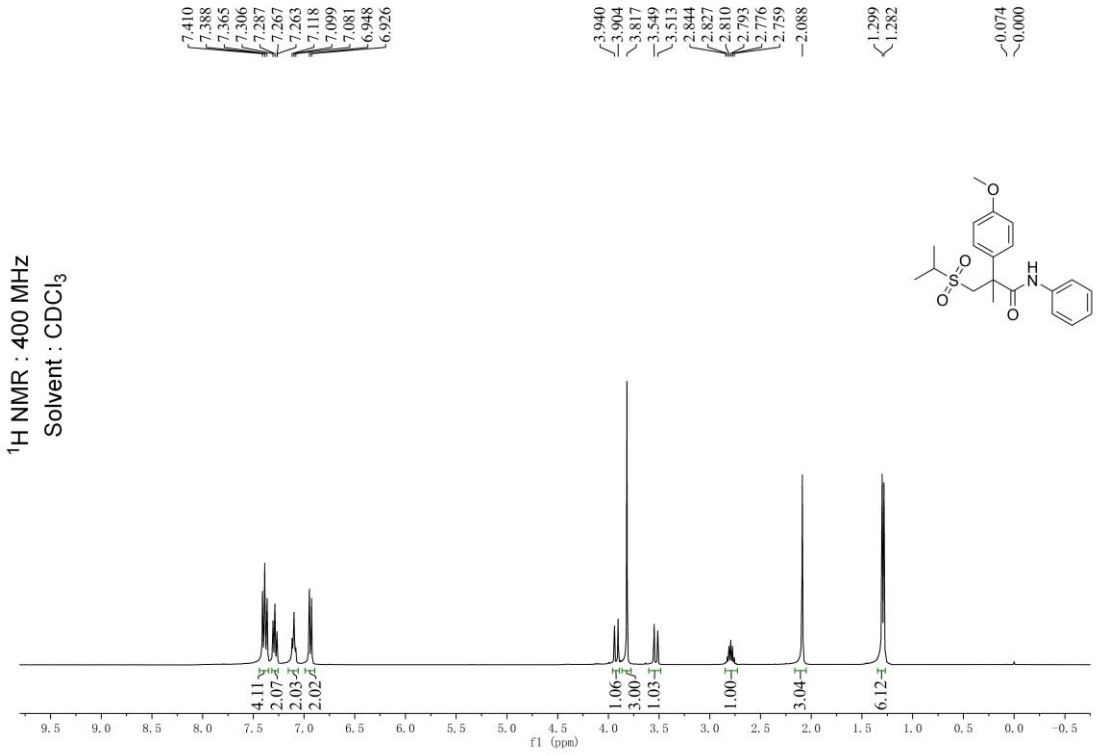


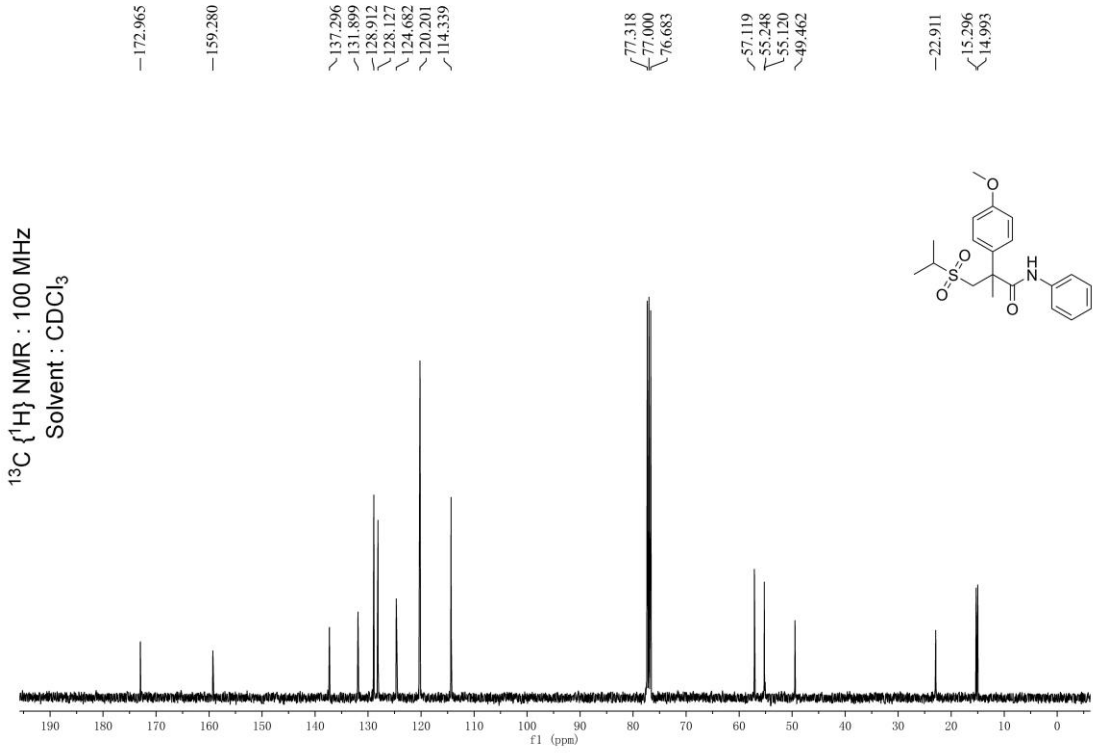
3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(p-tolyl)propanamide (5ha)



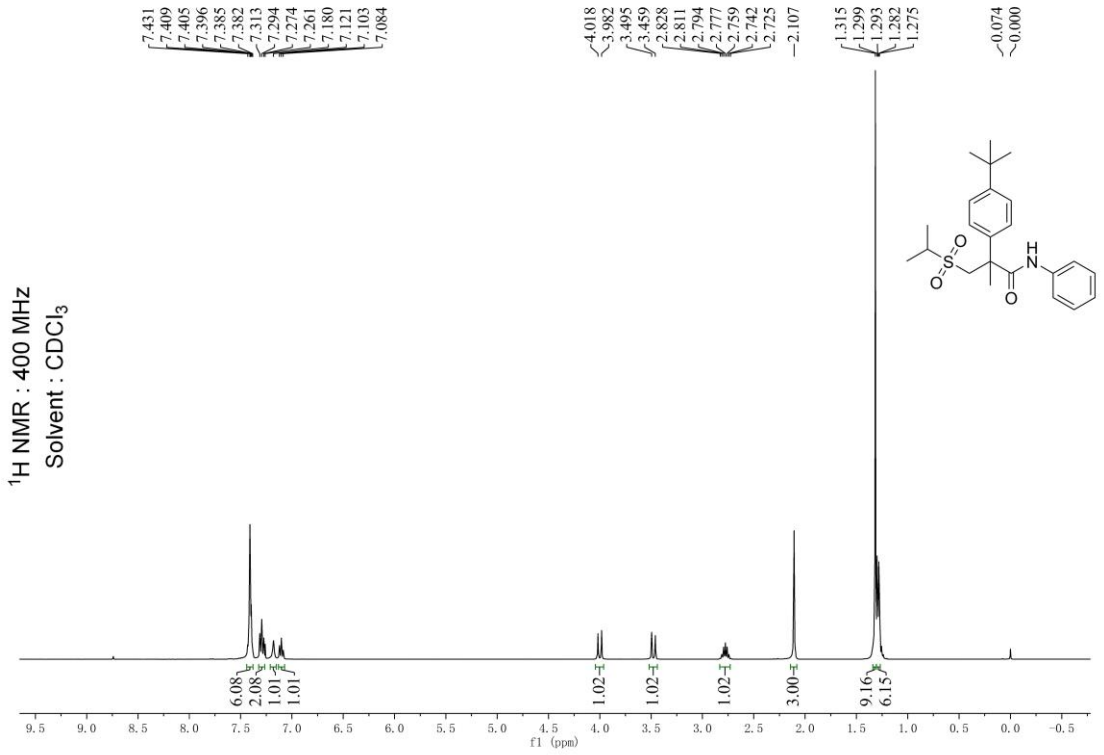


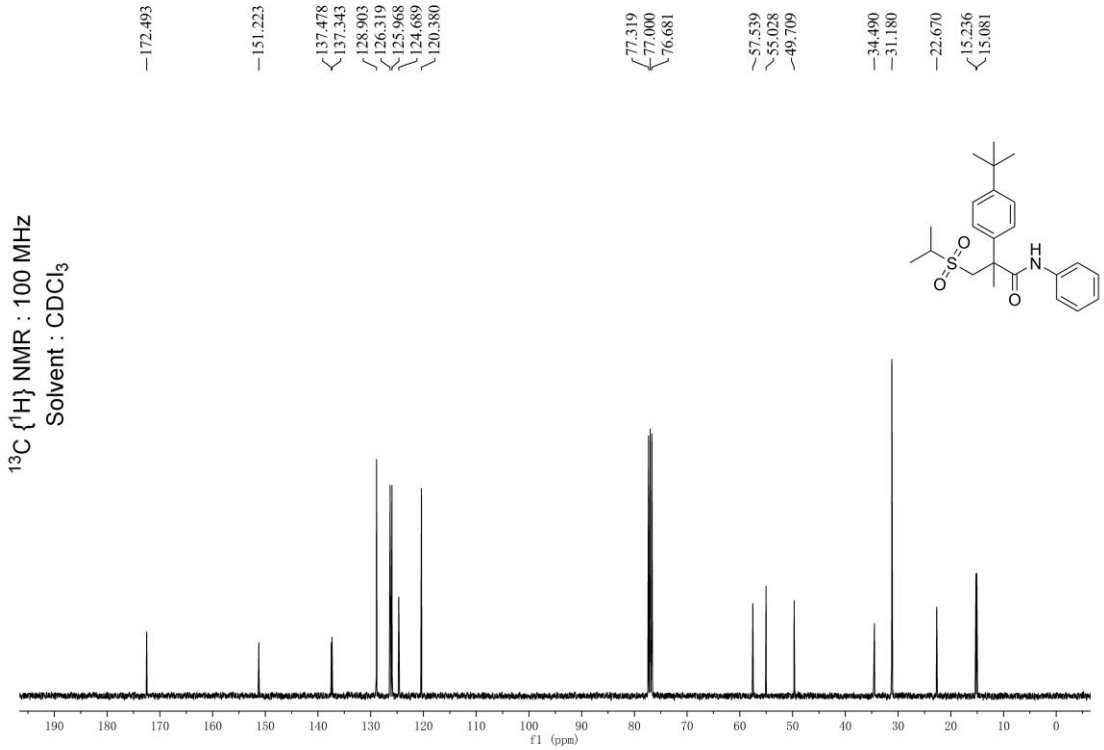
3-(isopropylsulfonyl)-2-(4-methoxyphenyl)-2-methyl-N-phenylpropanamide (5ia)



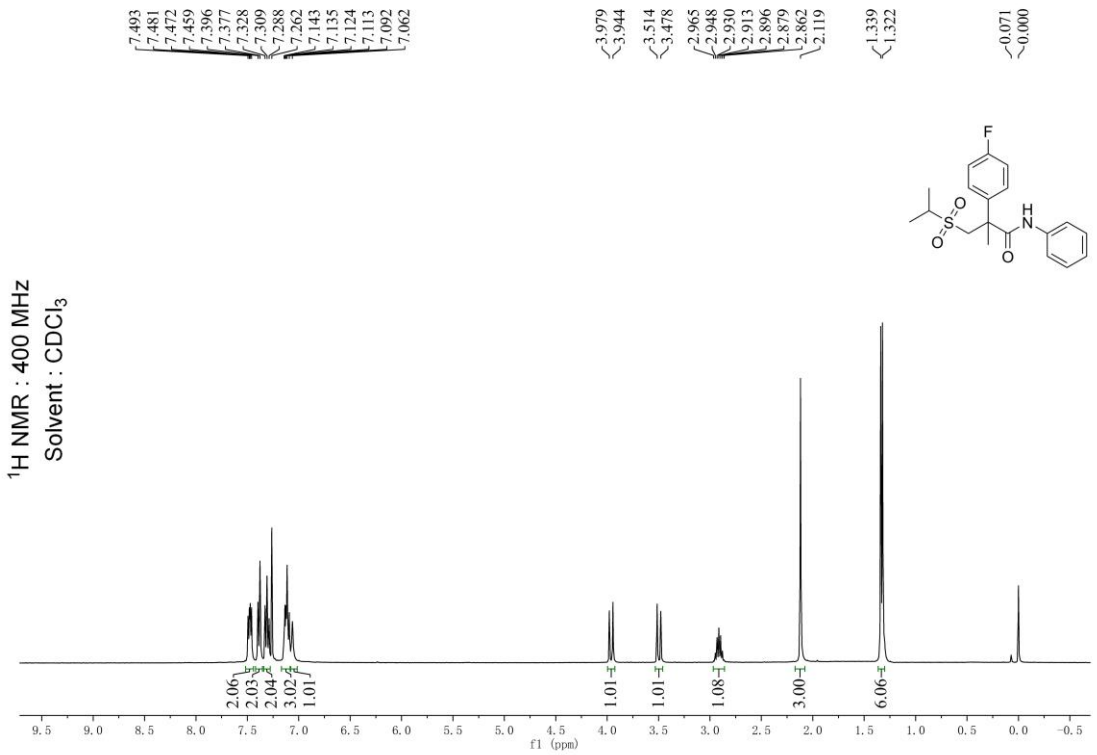


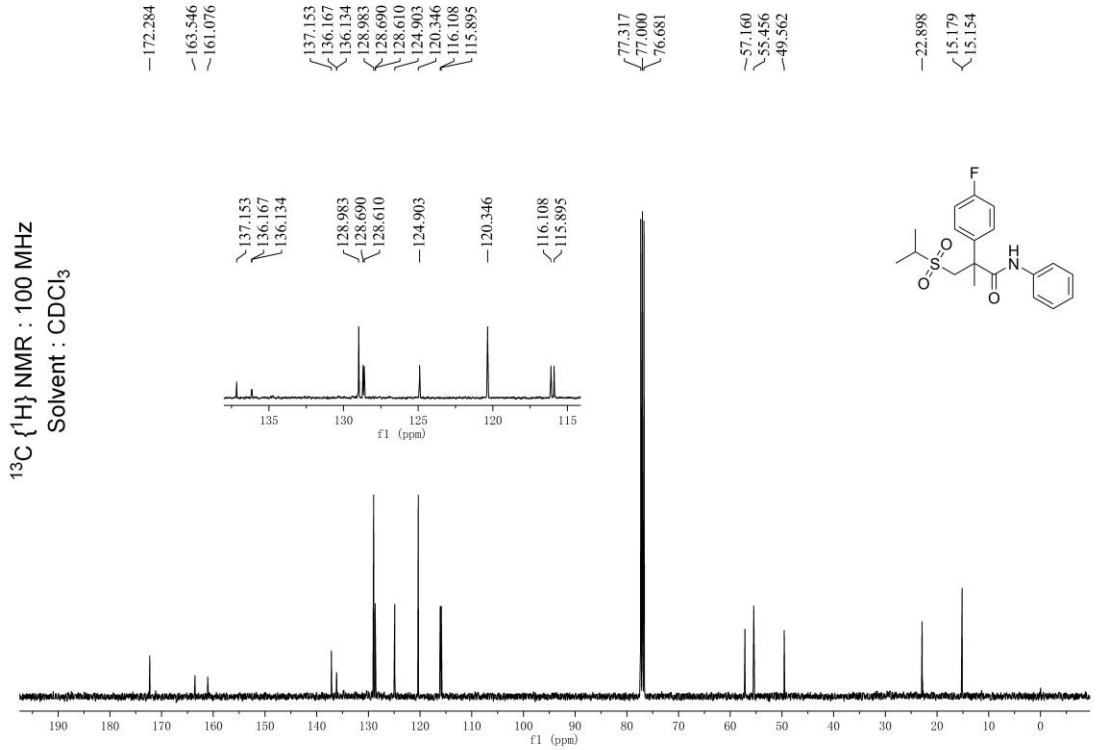
2-(4-(tert-butyl)phenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5ja)

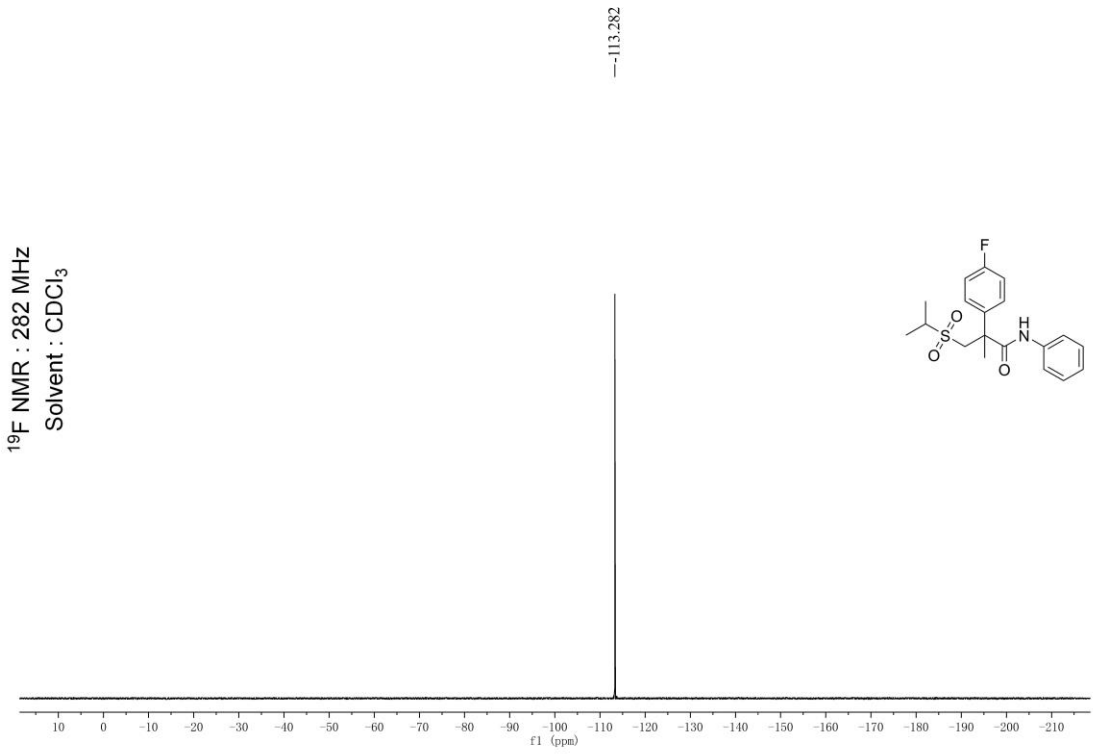




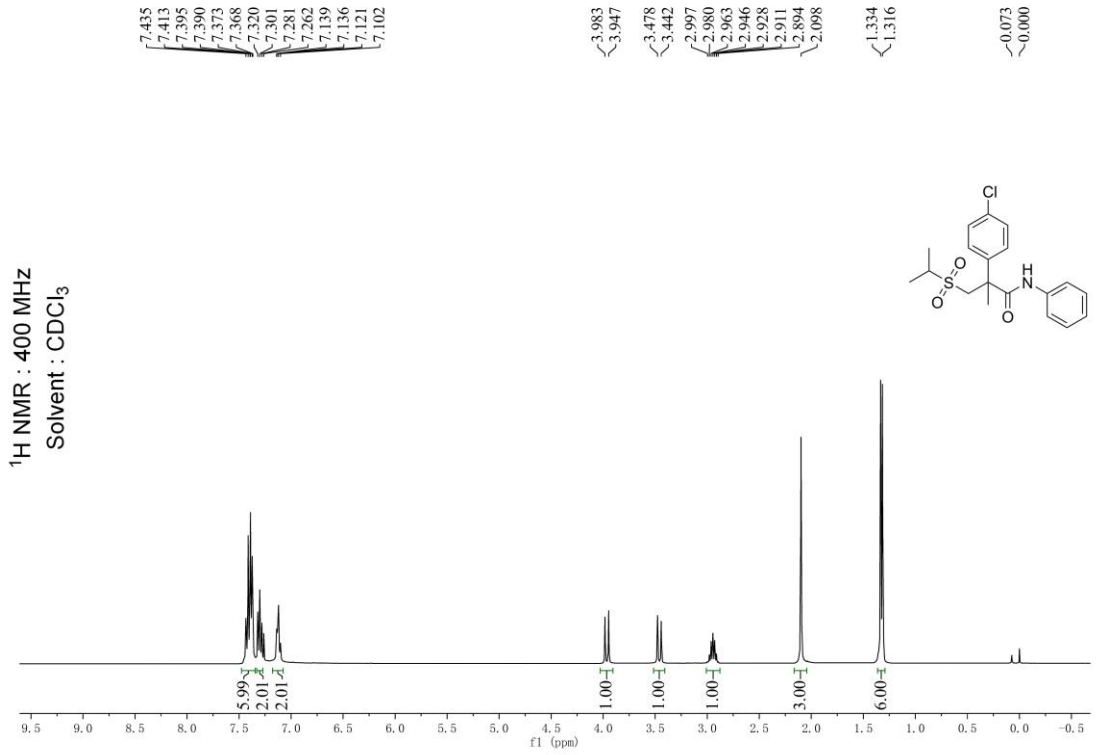
2-(4-fluorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5ka)

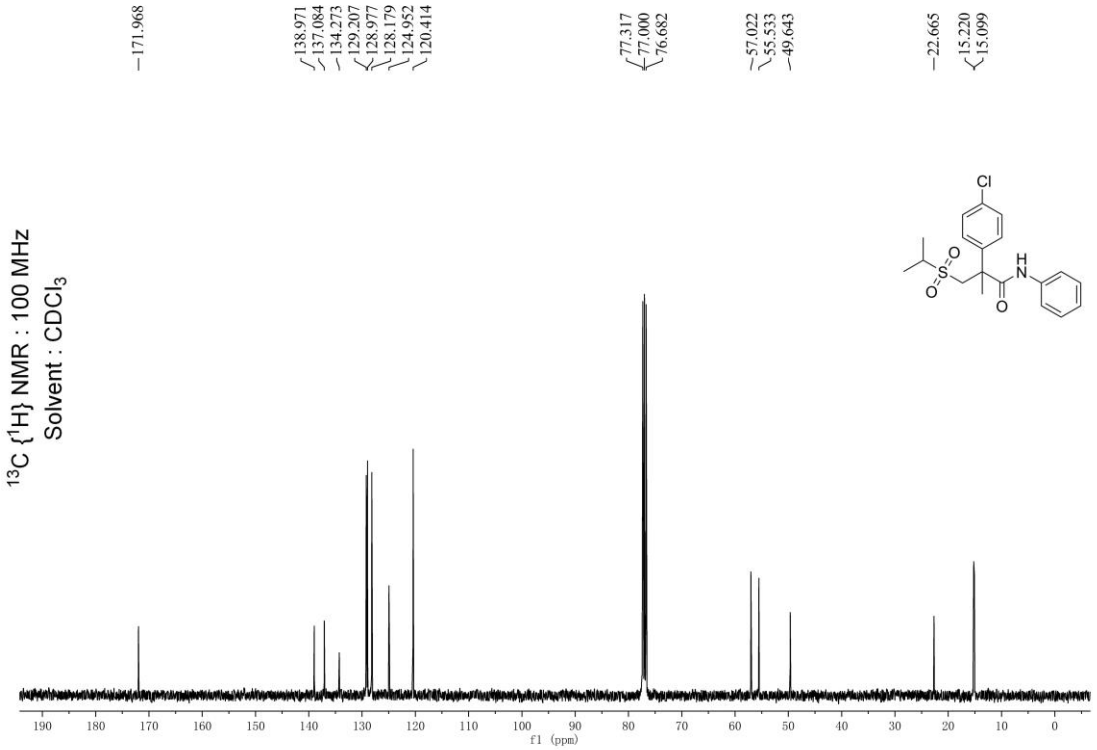




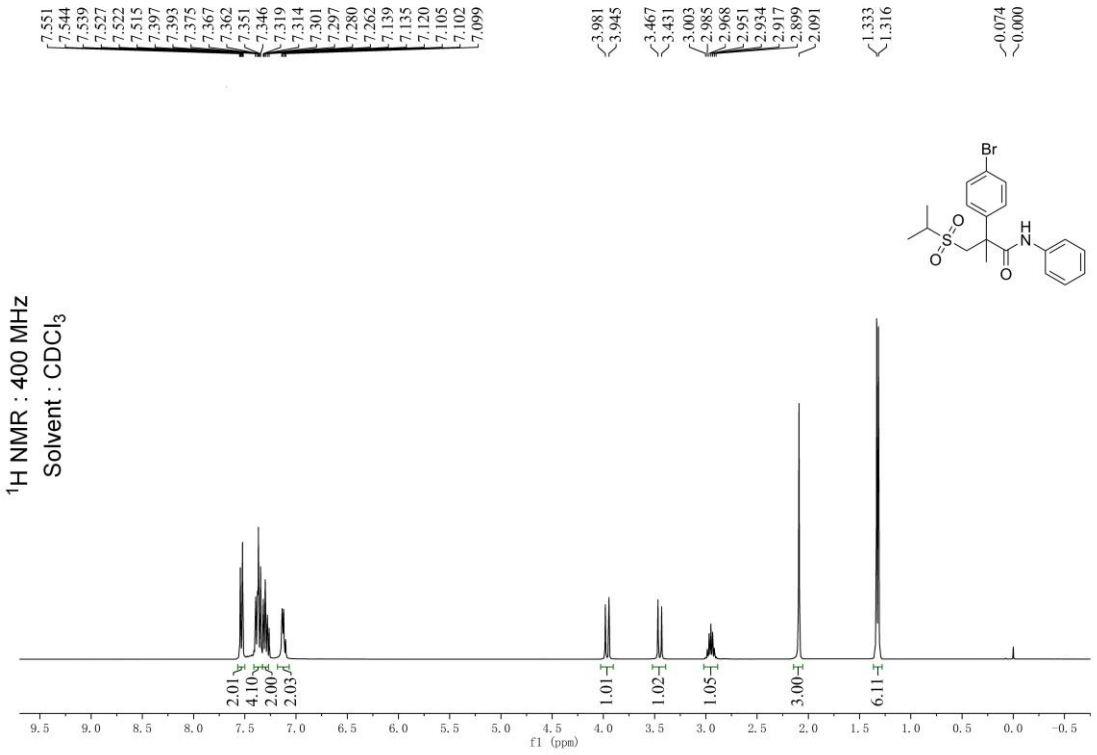

-113.282
^{19}F NMR : 282 MHz
Solvent : $CDCl_3$
F
O
S
O
H
N
O
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)

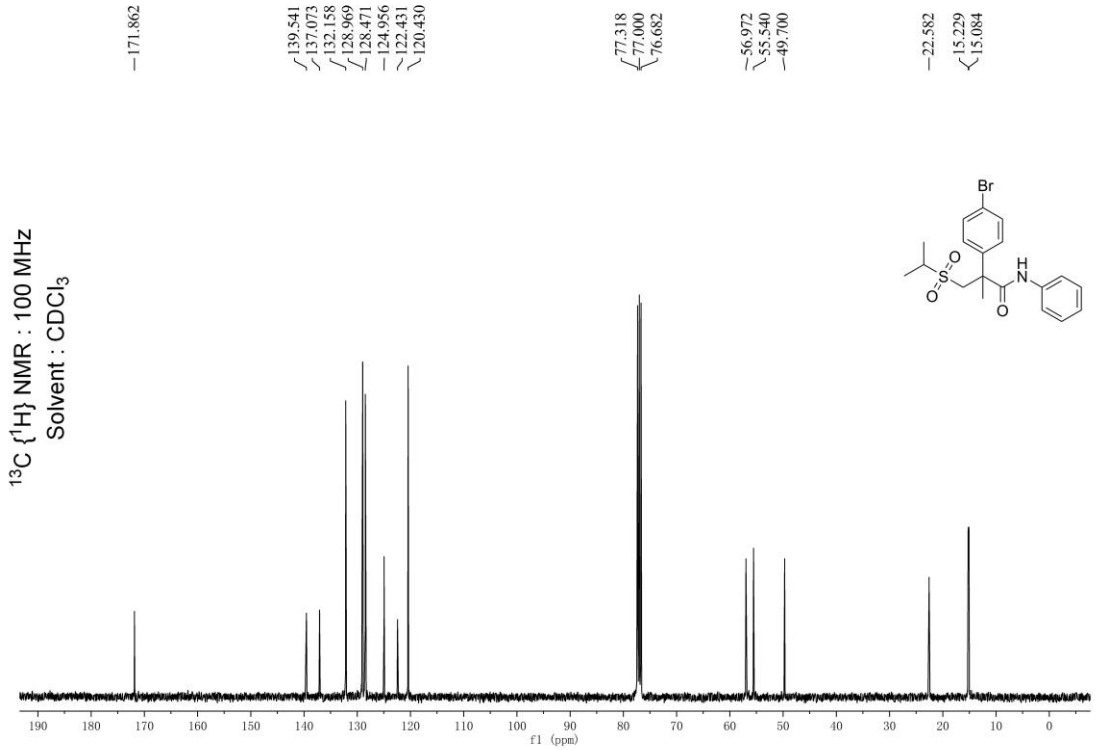
2-(4-chlorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5la)



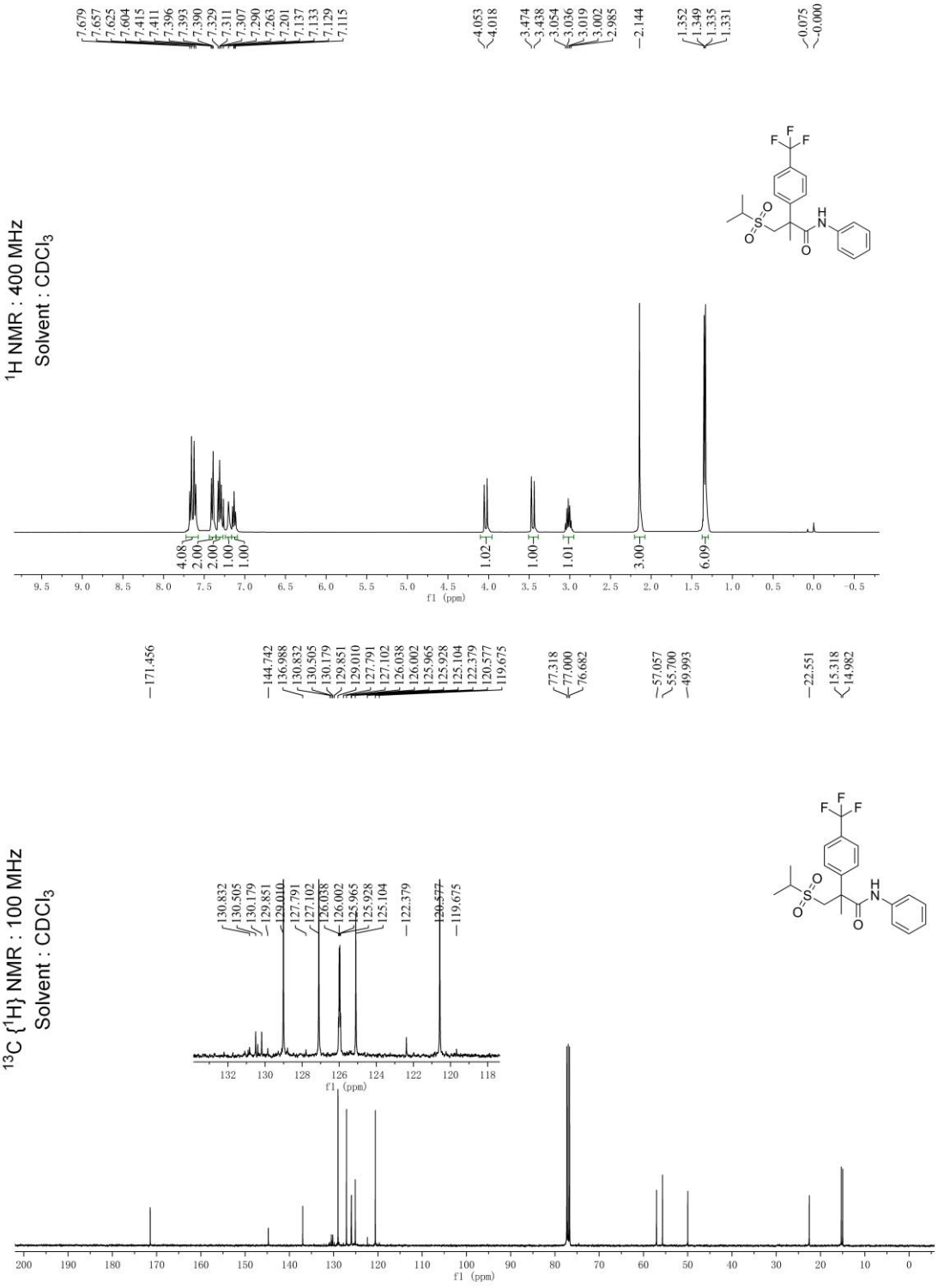


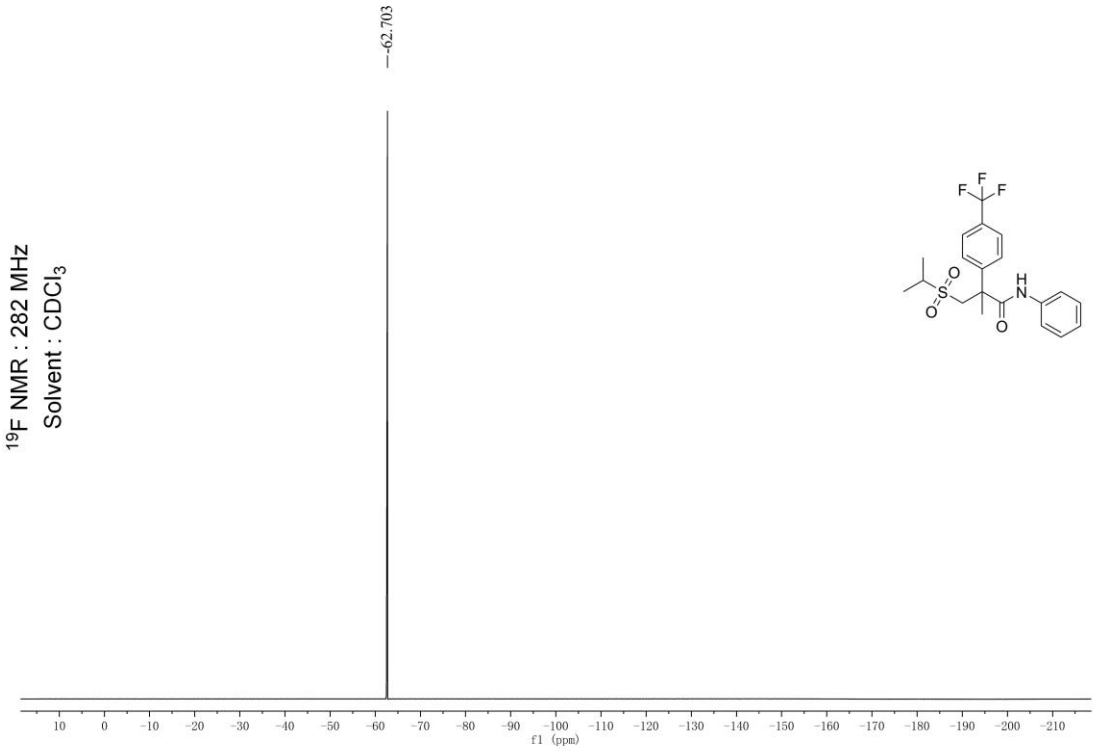
2-(4-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5ma)



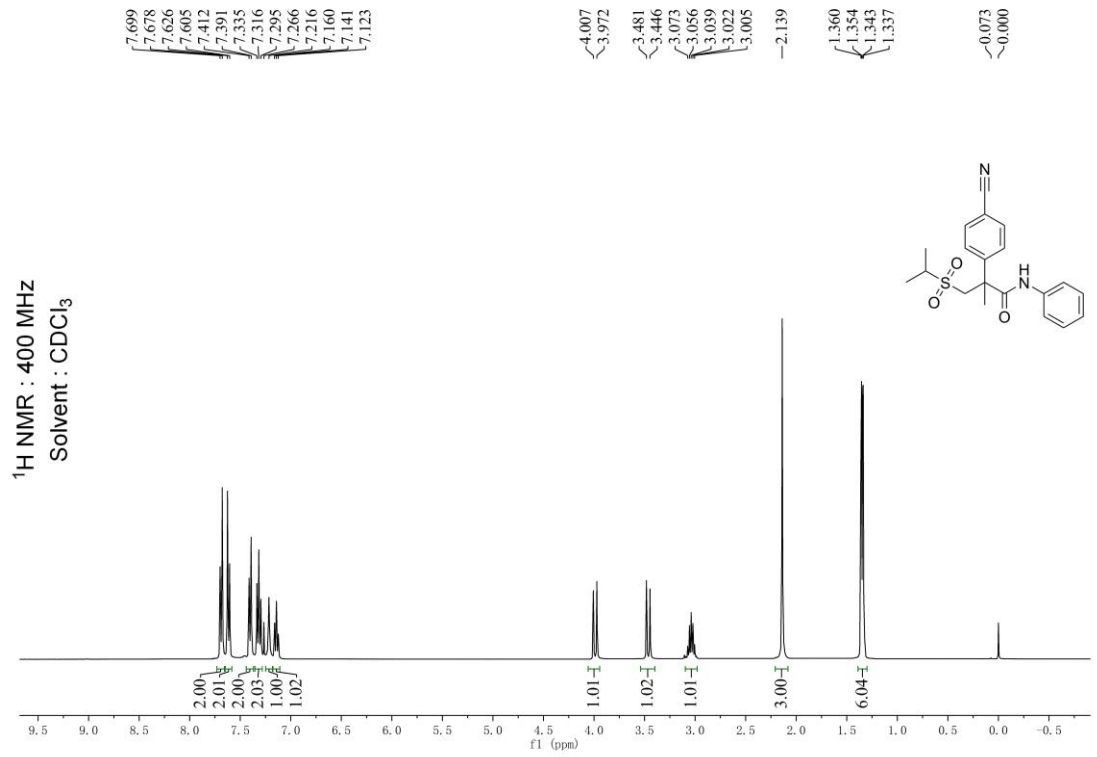


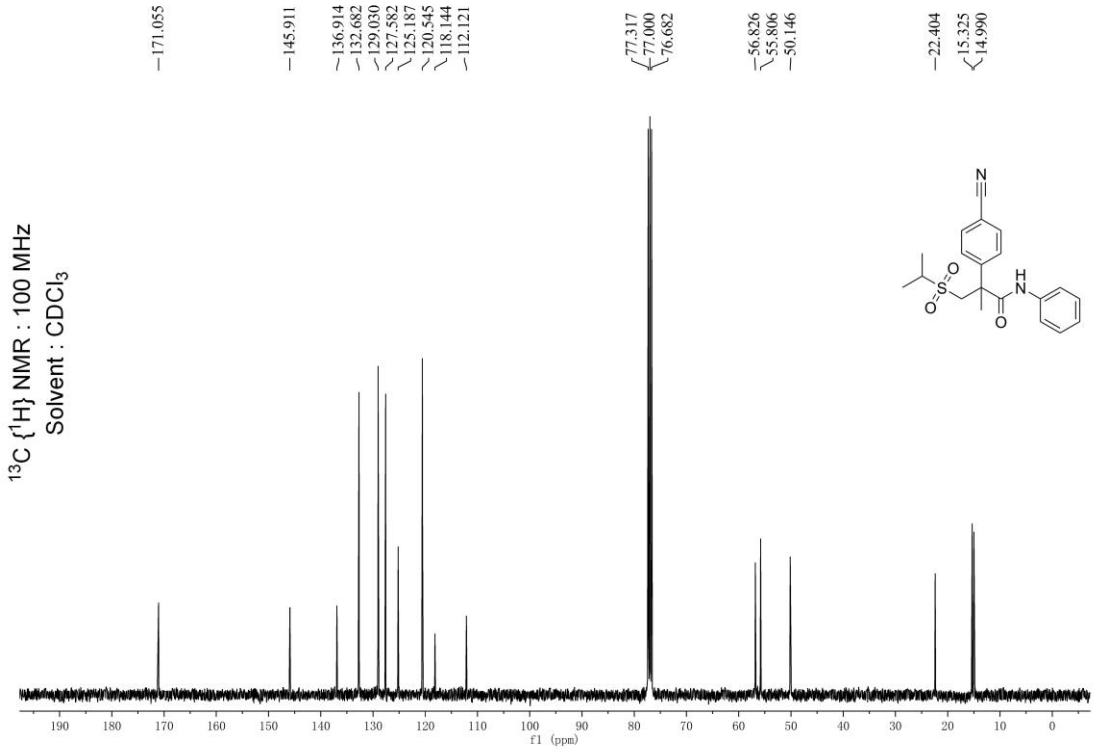
3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(4-(trifluoromethyl)phenyl)propanamide(5na)



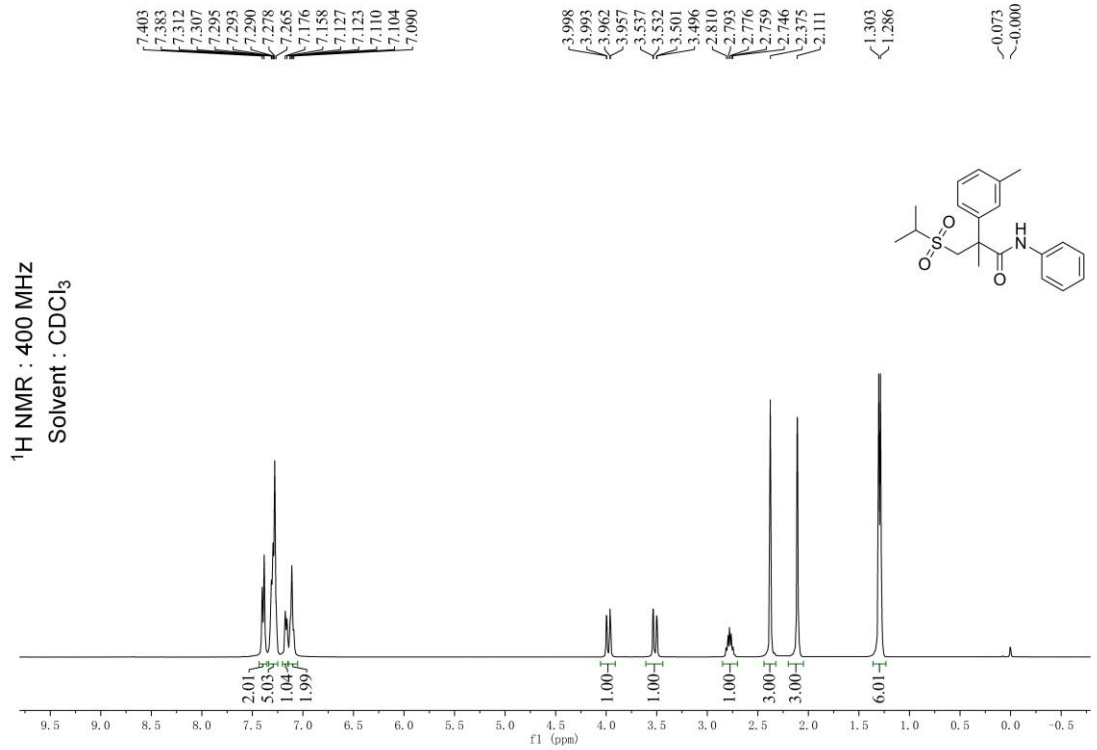

-62.703
^{19}F NMR : 282 MHz
Solvent : $CDCl_3$
F
F
F
O
S
O
H
N
O
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)

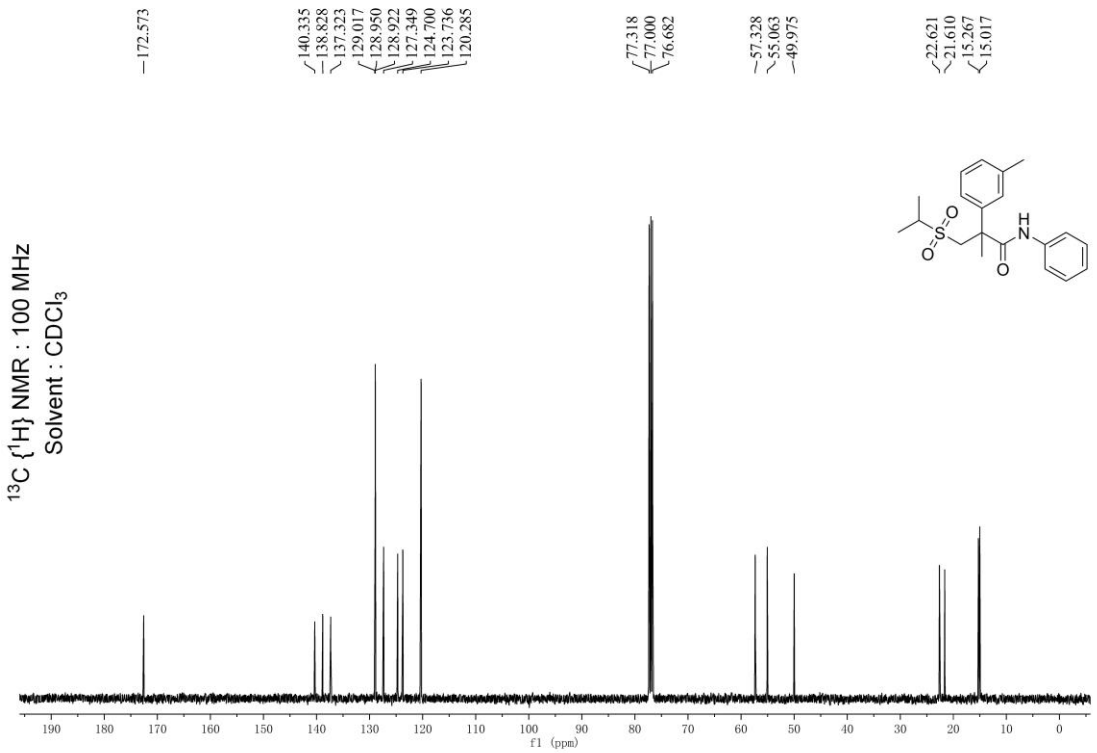
2-(4-cyanophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5oa)



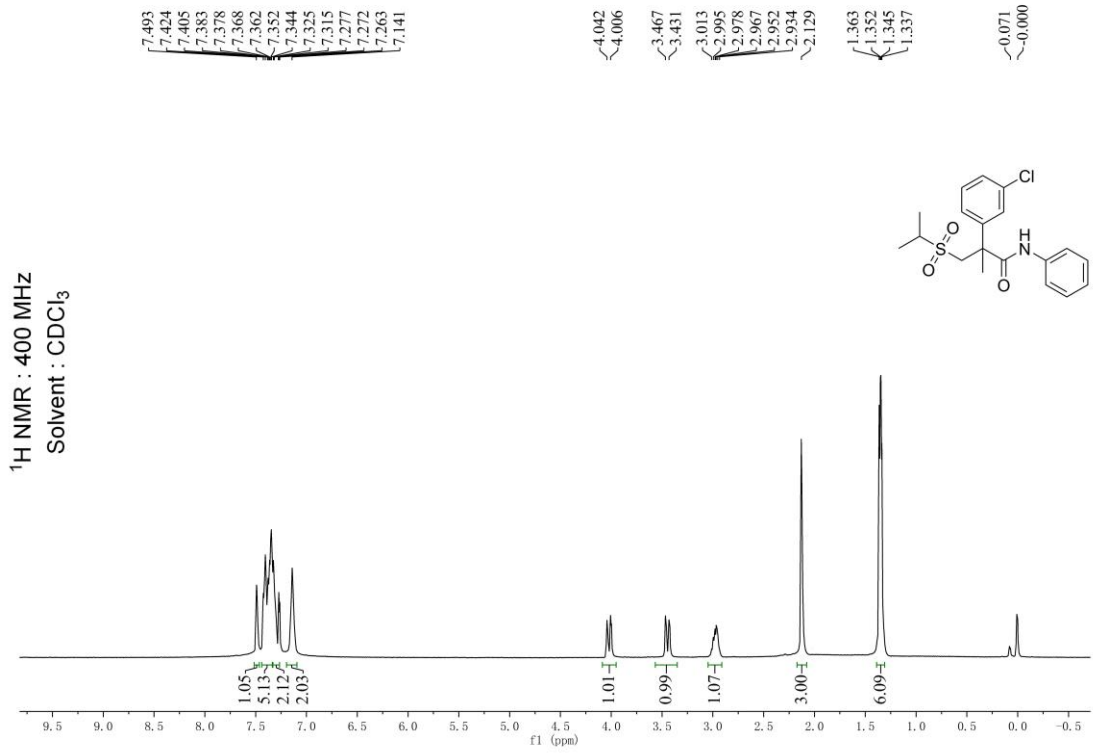


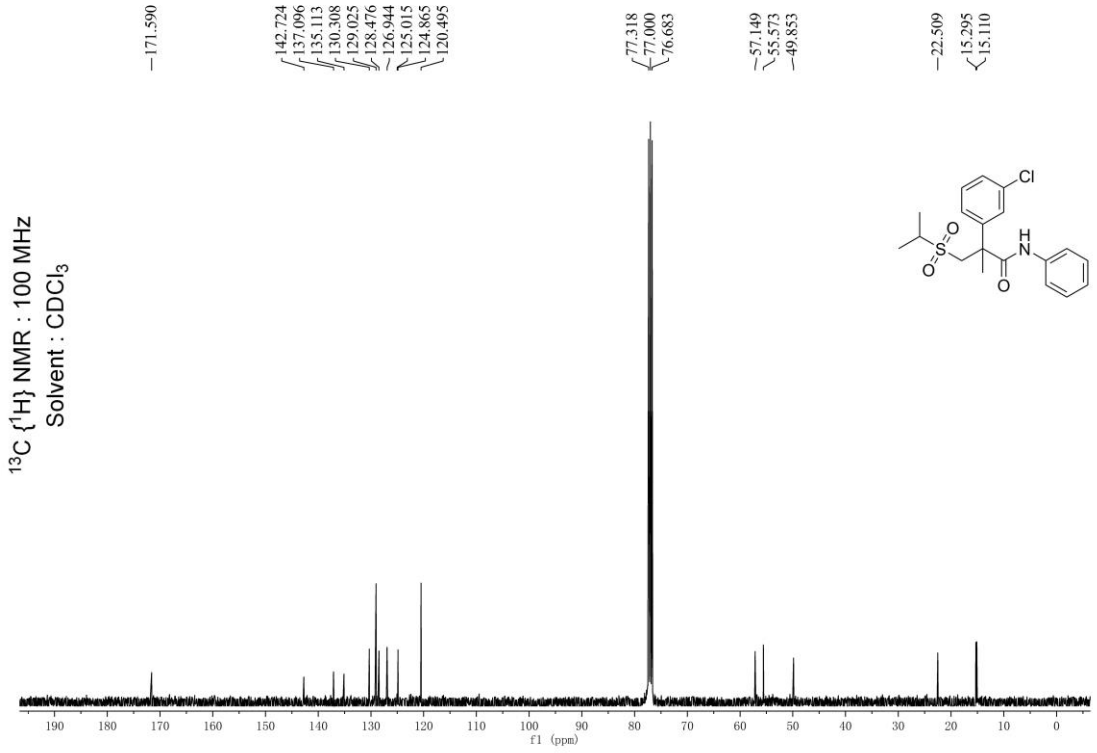
3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(m-tolyl)propanamide (5pa)



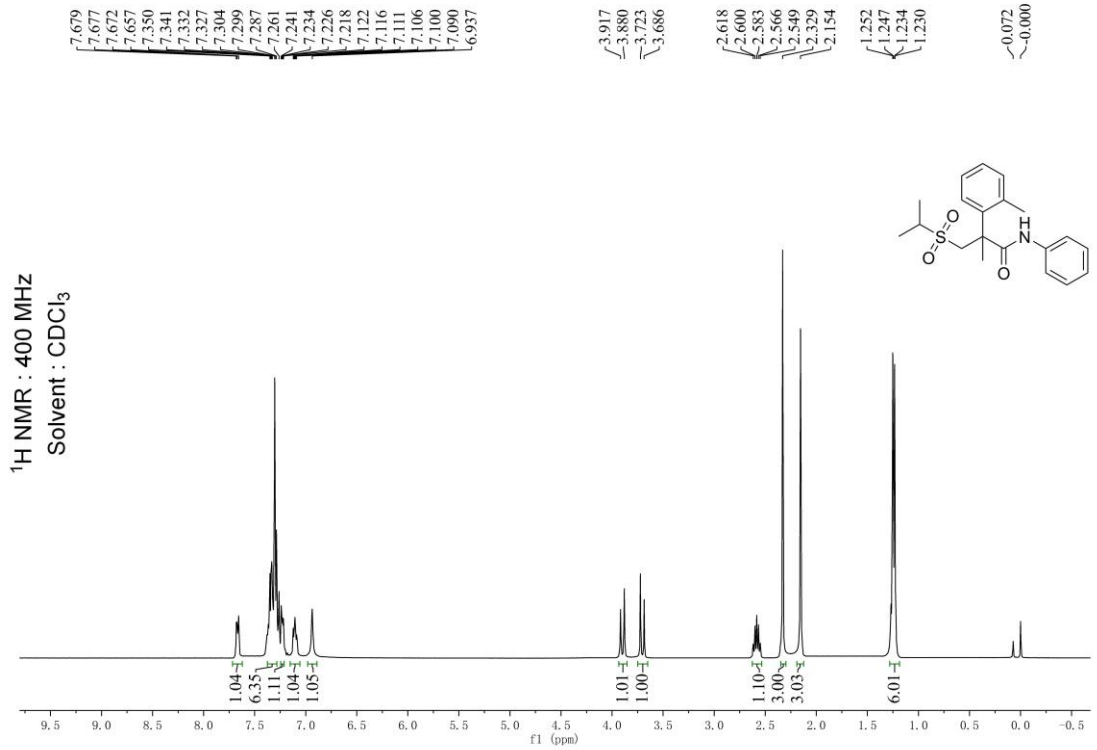


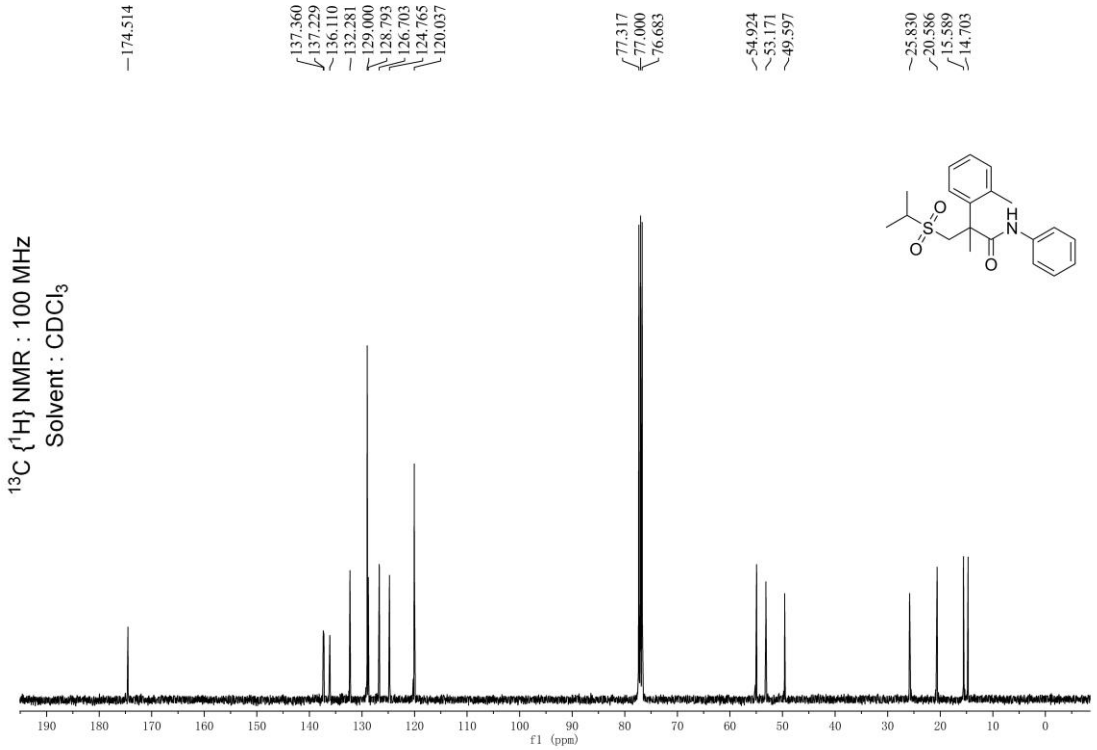
2-(3-chlorophenyl)-3-(isopropylsulfonyl)-2-methyl-N-phenylpropanamide (5qa)



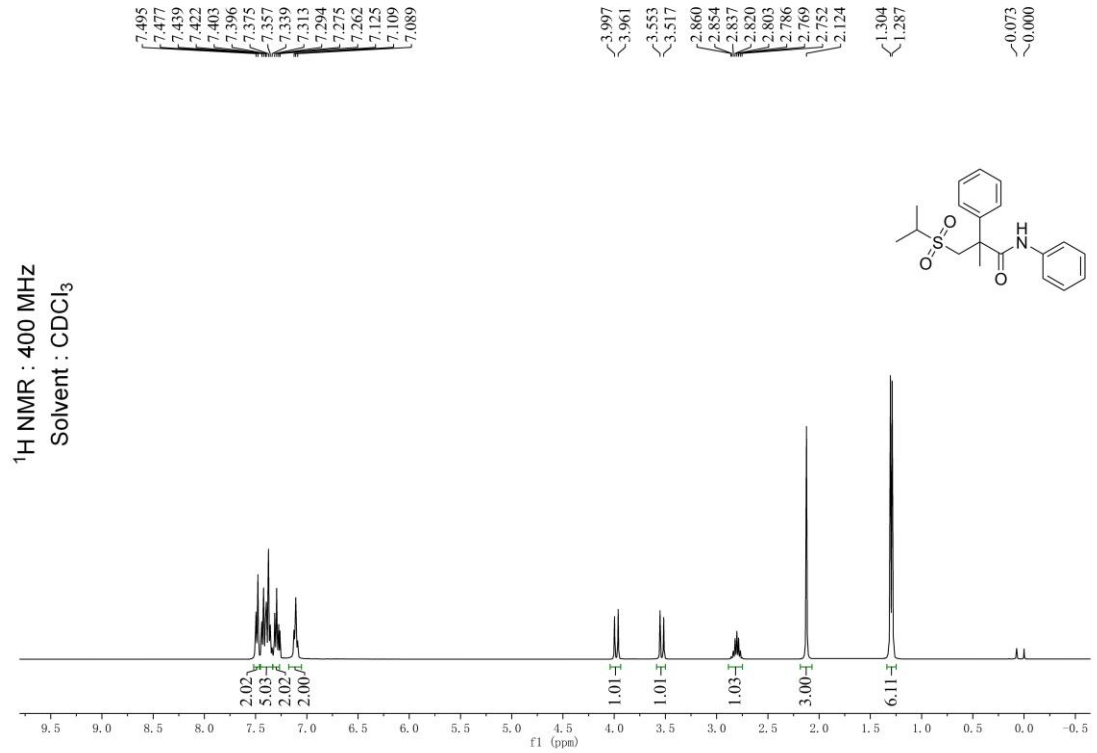


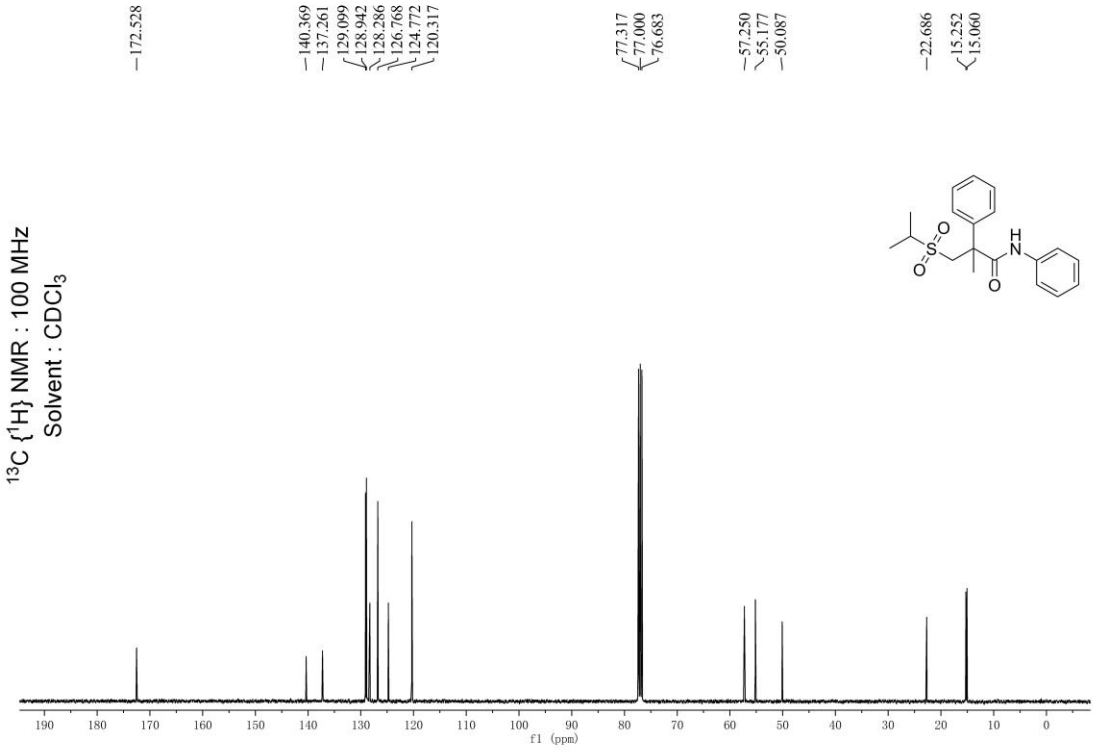
3-(isopropylsulfonyl)-2-methyl-N-phenyl-2-(o-tolyl)propanamide (5ra)



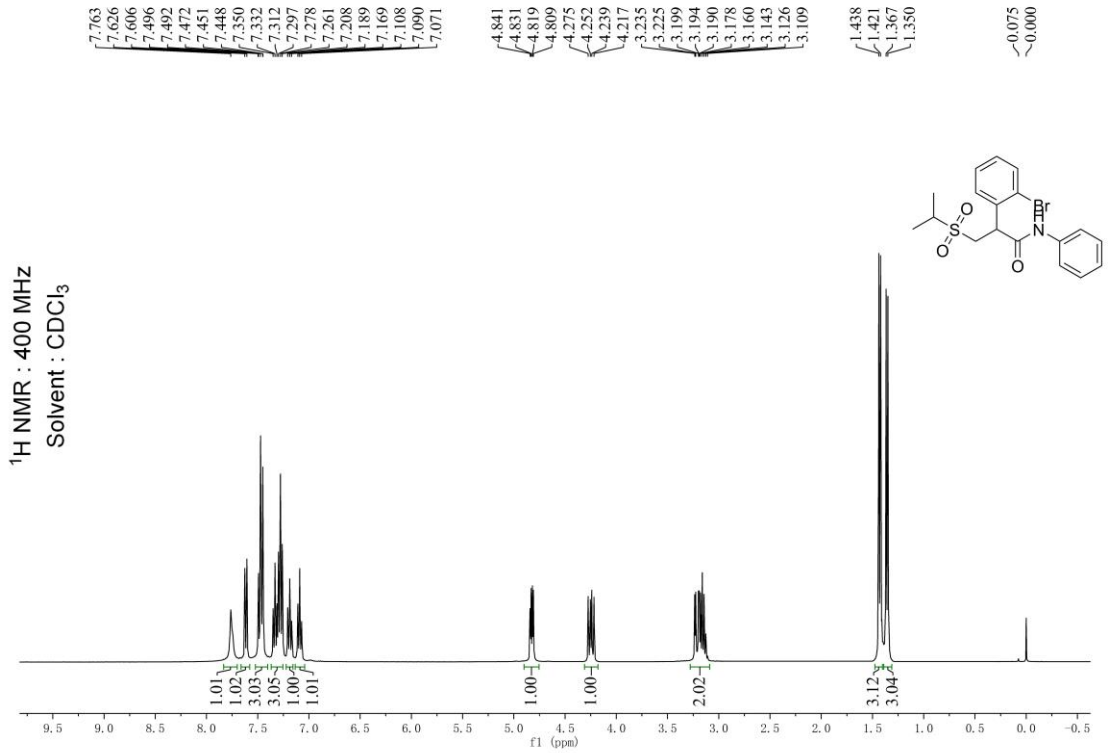


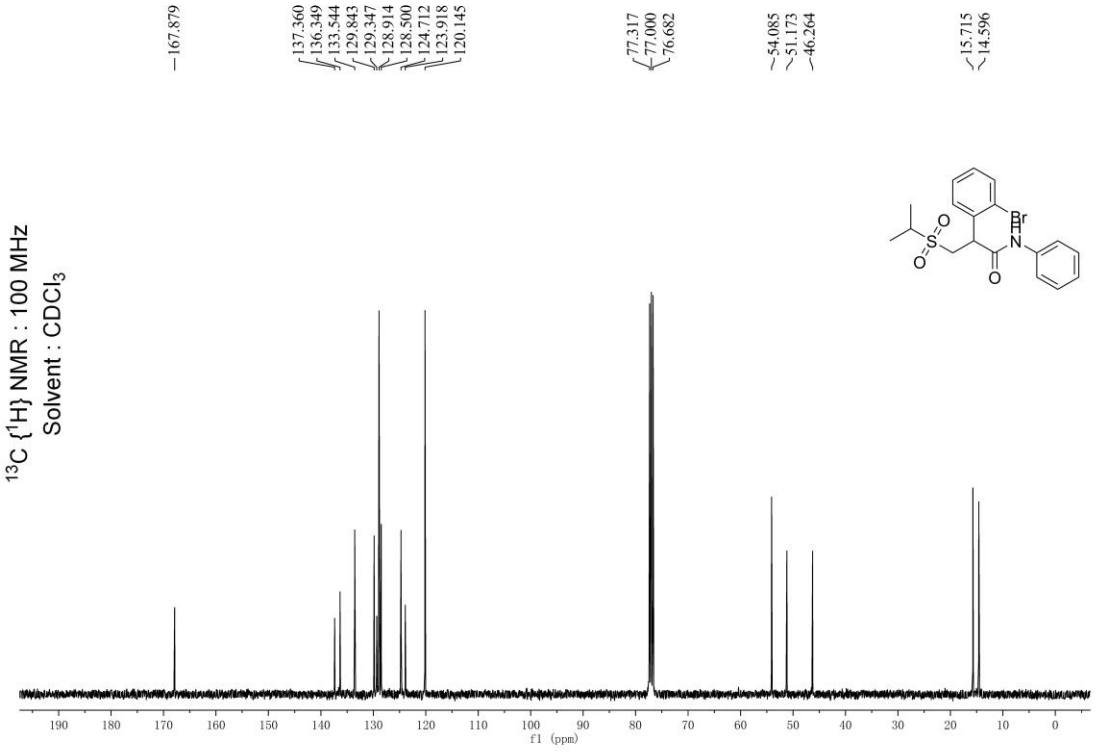
3-(isopropylsulfonyl)-2-methyl-N,2-diphenylpropanamide (5sa)



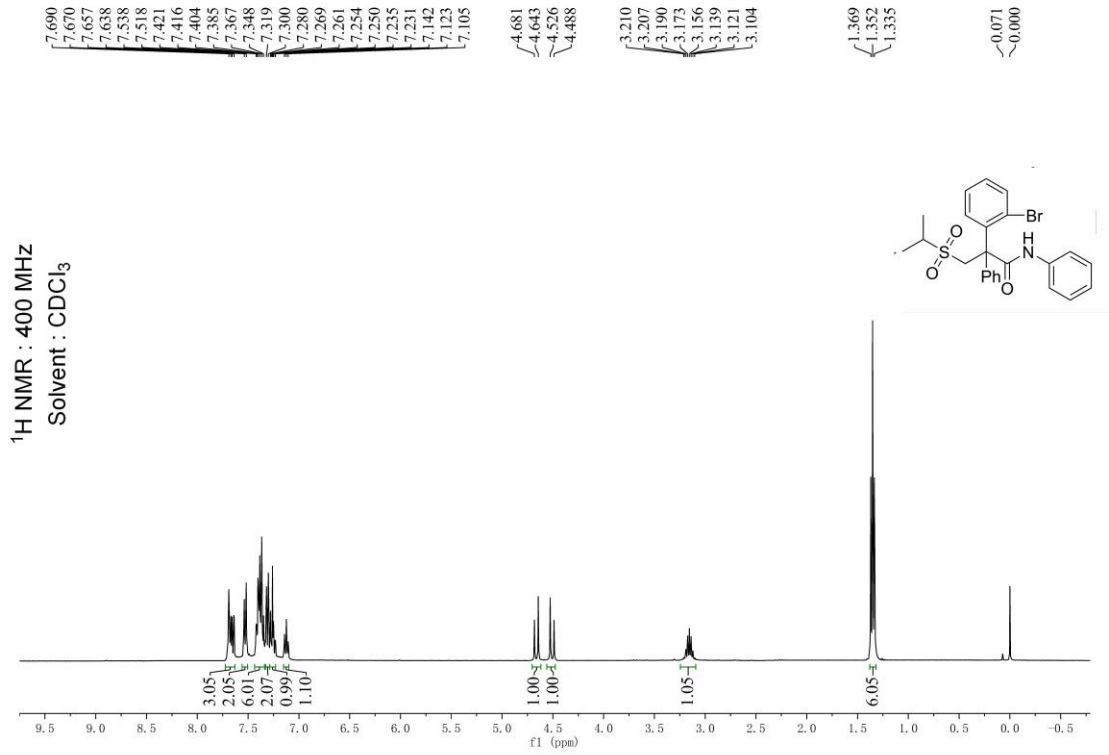


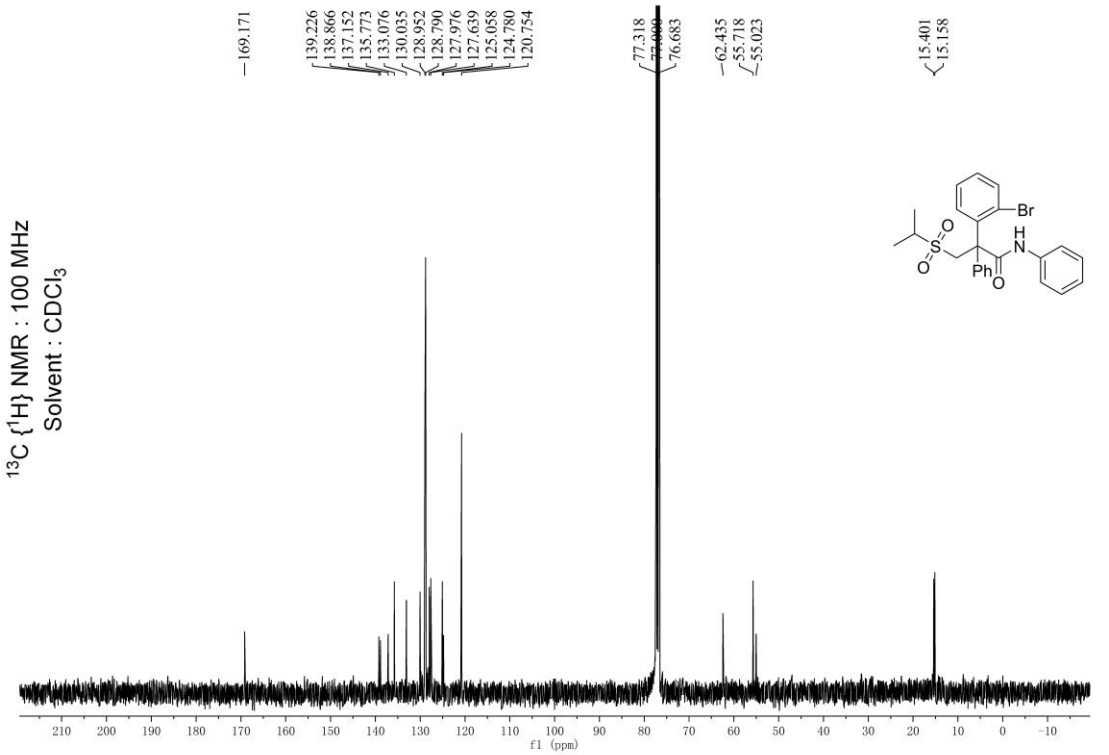
2-(2-bromophenyl)-3-(isopropylsulfonyl)-N-phenylpropanamide (5ta)



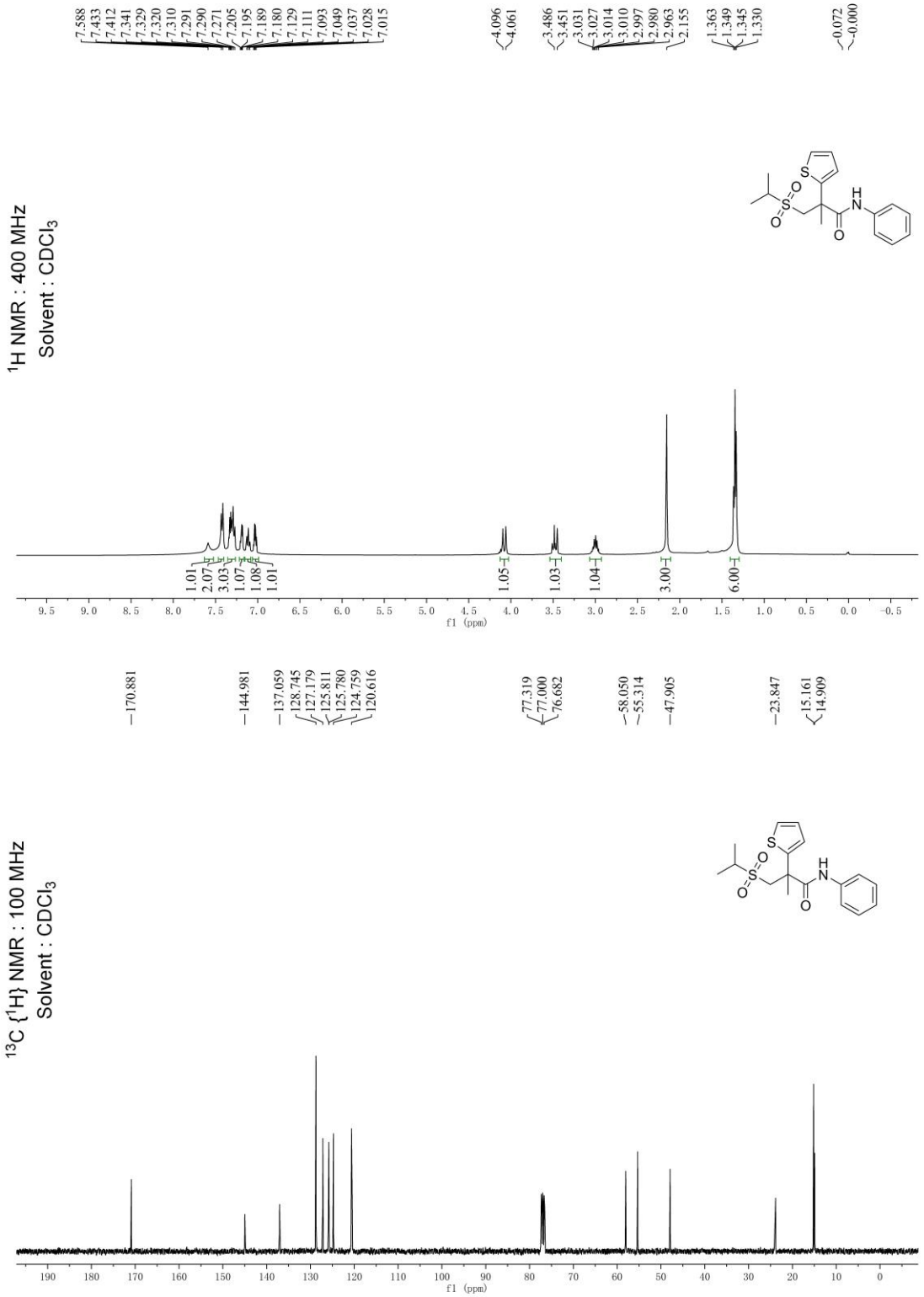


2-(2-bromophenyl)-3-(isopropylsulfonyl)-*N*,2-diphenylpropanamide (5ua)

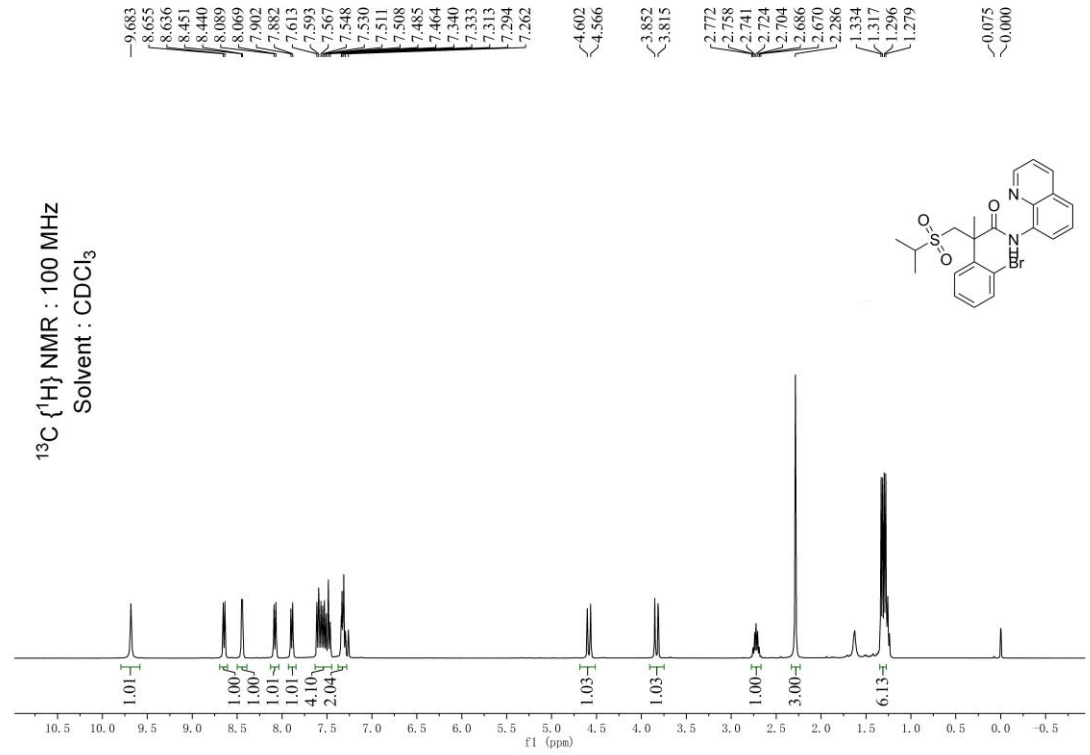


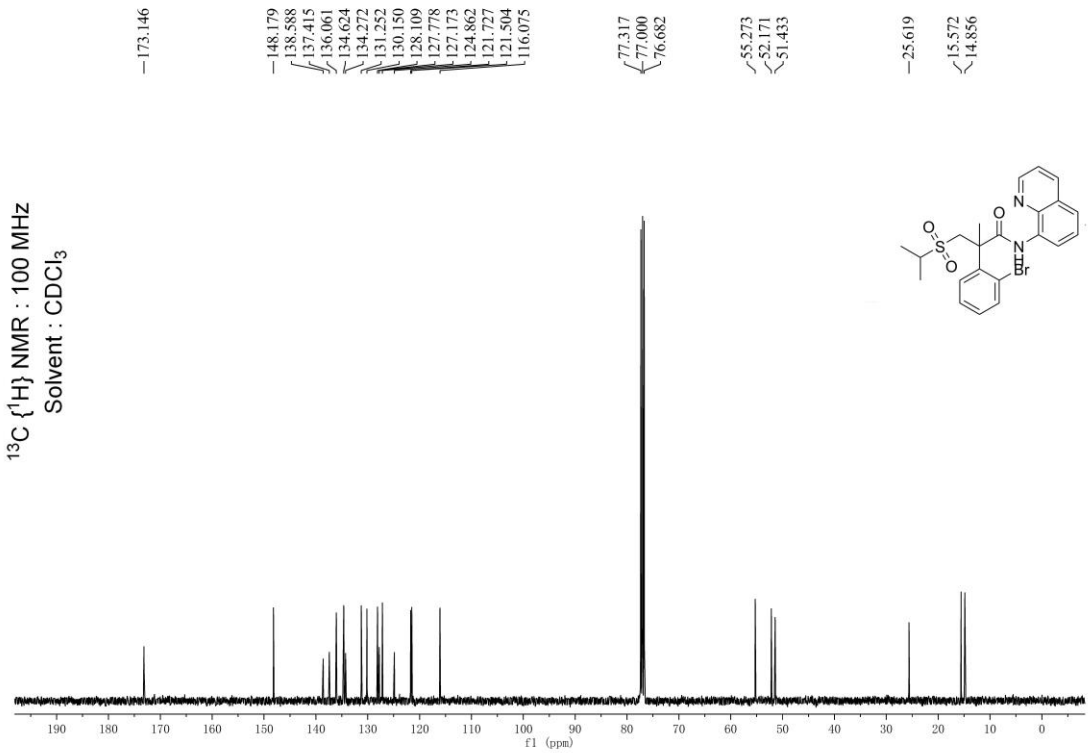


3-(isopropylsulfonyl)-2-methyl-*N*-phenyl-2-(thiophen-2-yl)propanamide(5wa)

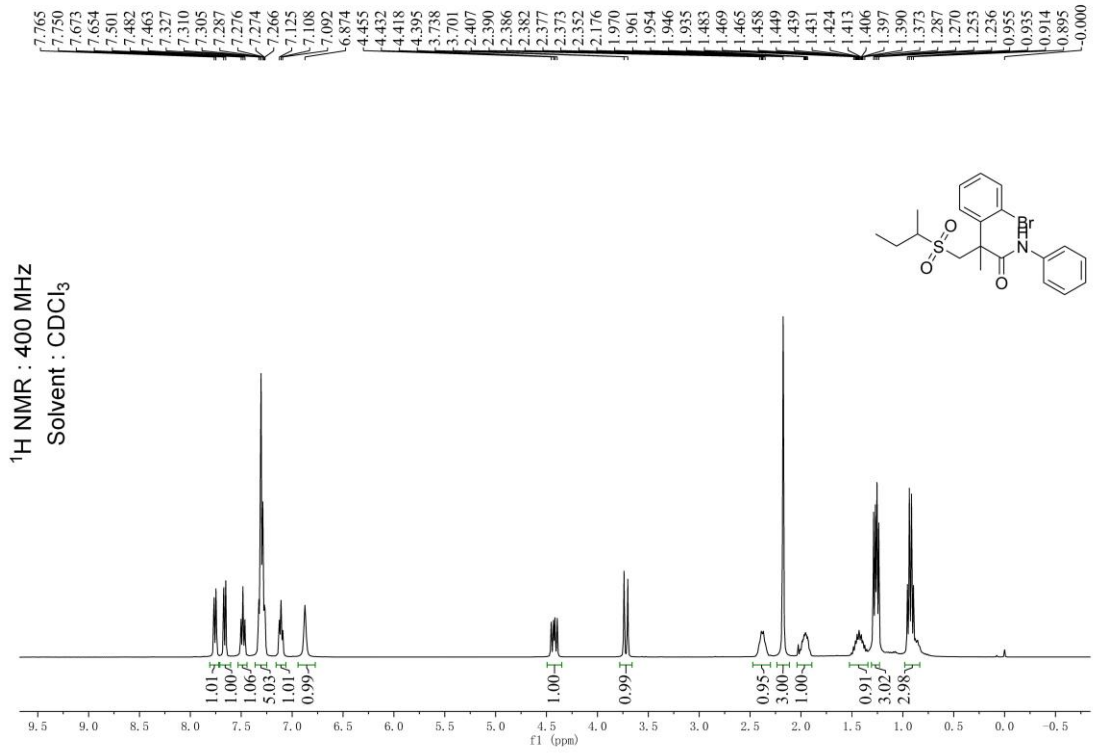


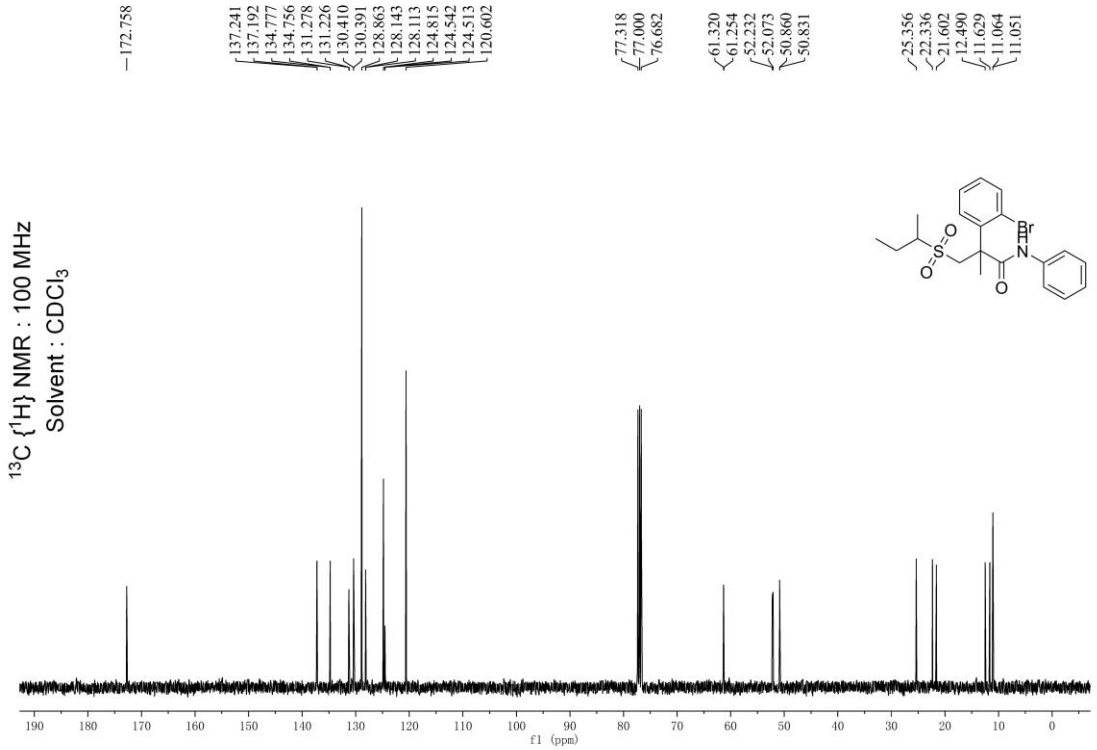
2-(2-bromophenyl)-3-(isopropylsulfonyl)-2-methyl-N-(quinolin-8-yl)propanamide (5xa)



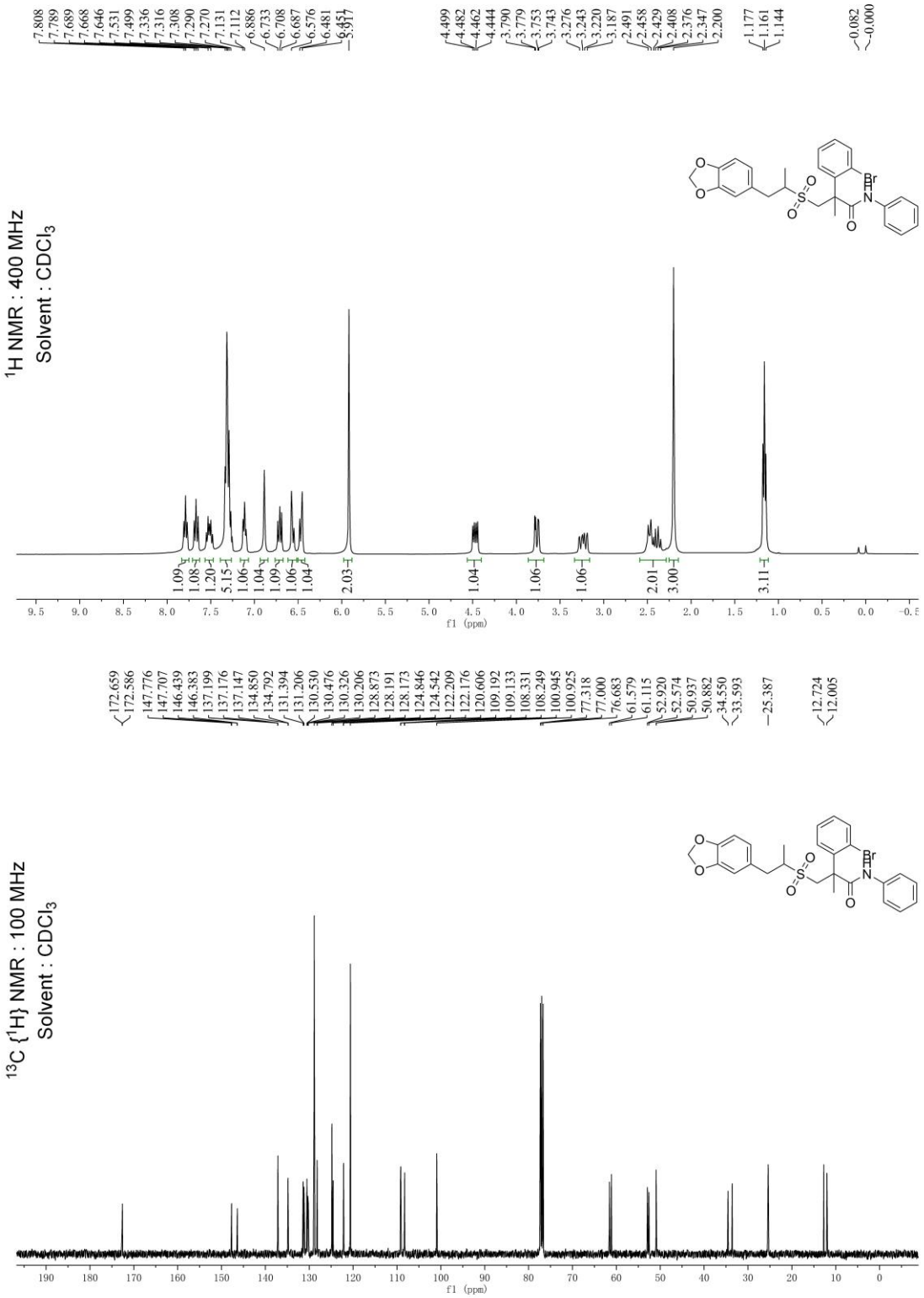


2-(2-bromophenyl)-3-(sec-butylsulfonyl)-2-methyl-N-phenylpropanamide (5ab)

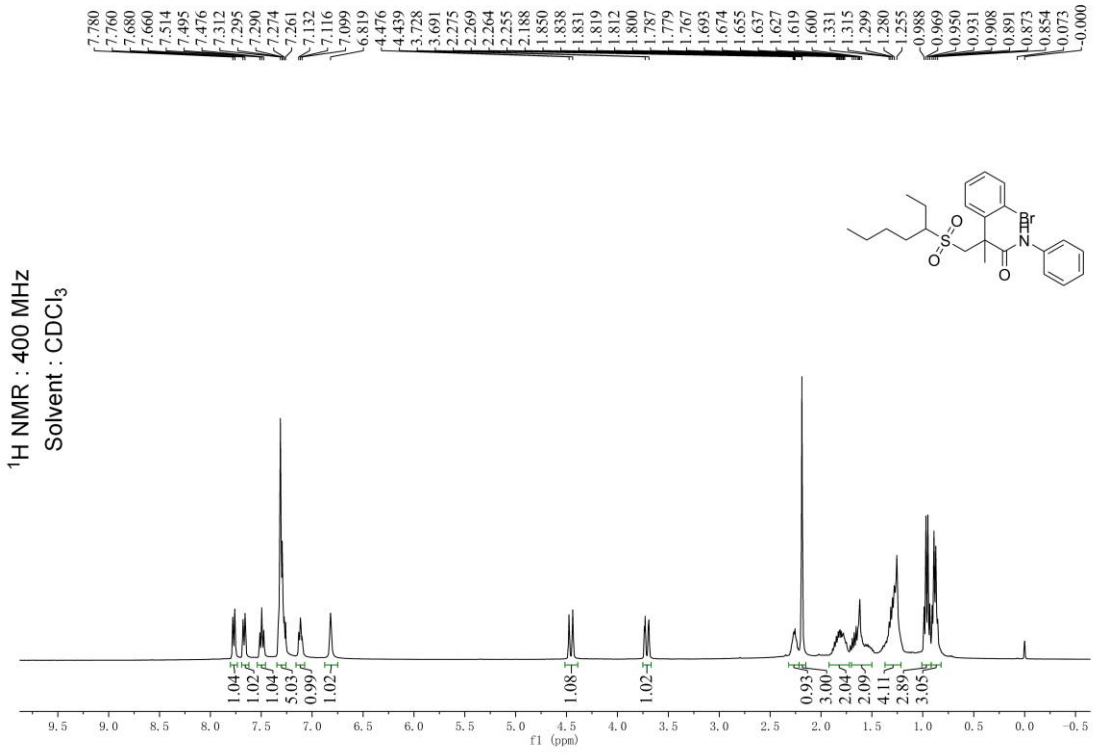


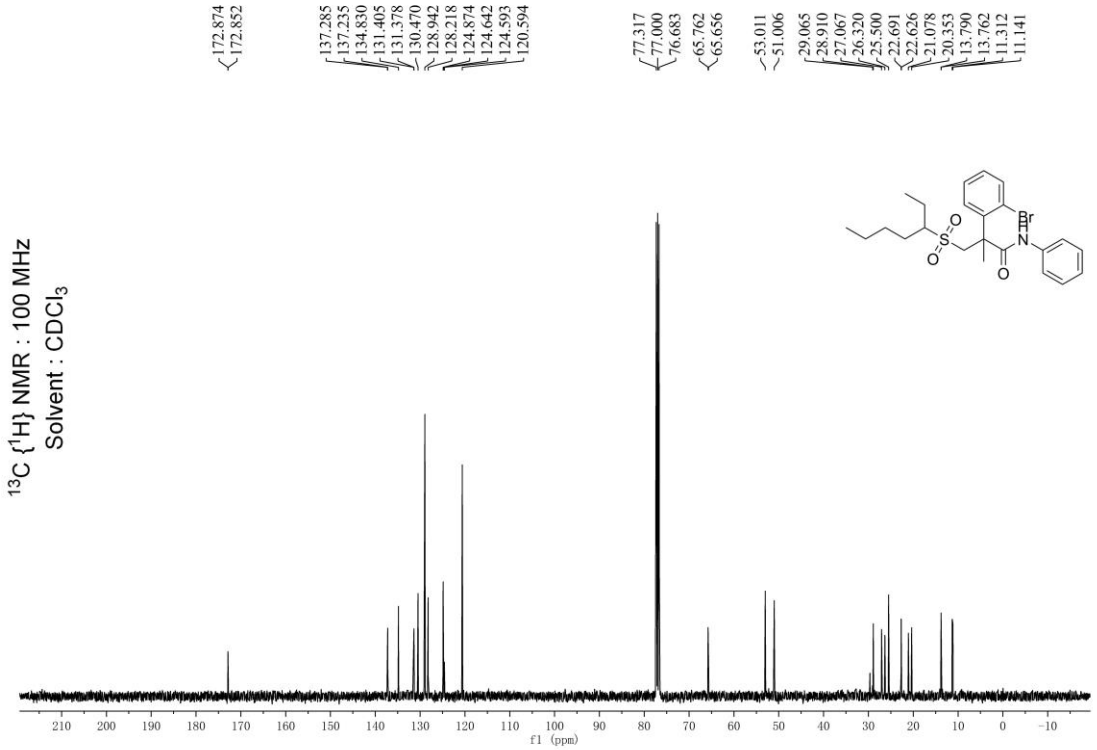


3-((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)-2-(2-bromophenyl)-2-methyl-N-phenylpropanamide (5ac)

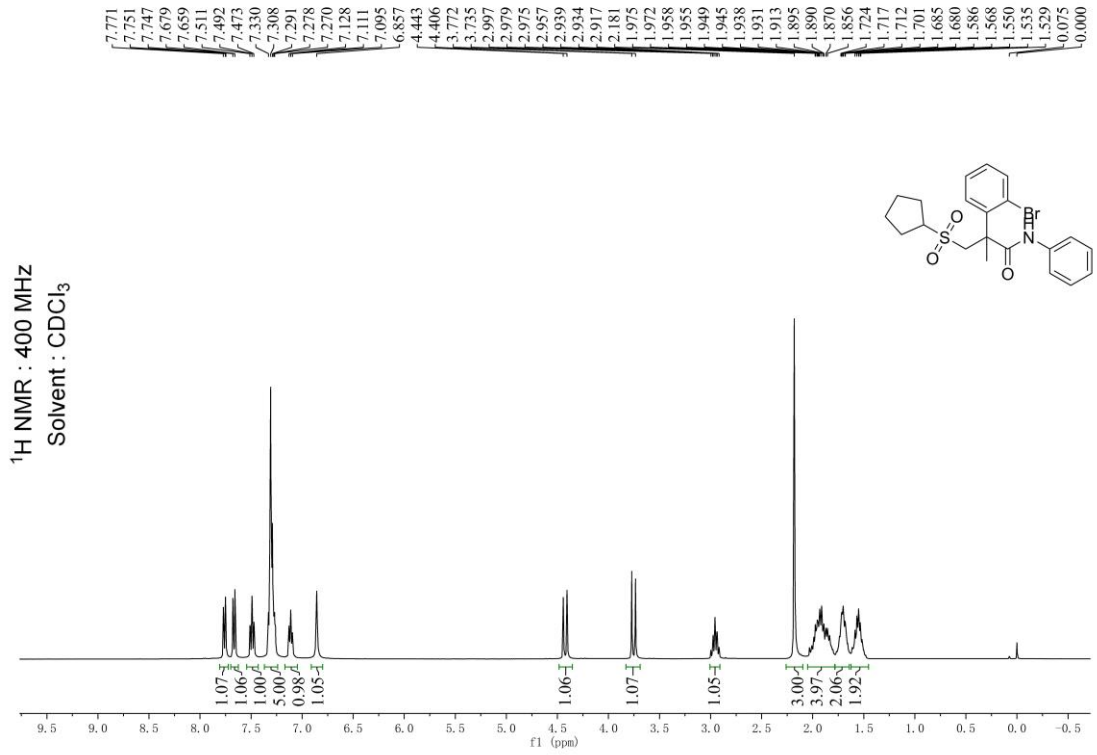


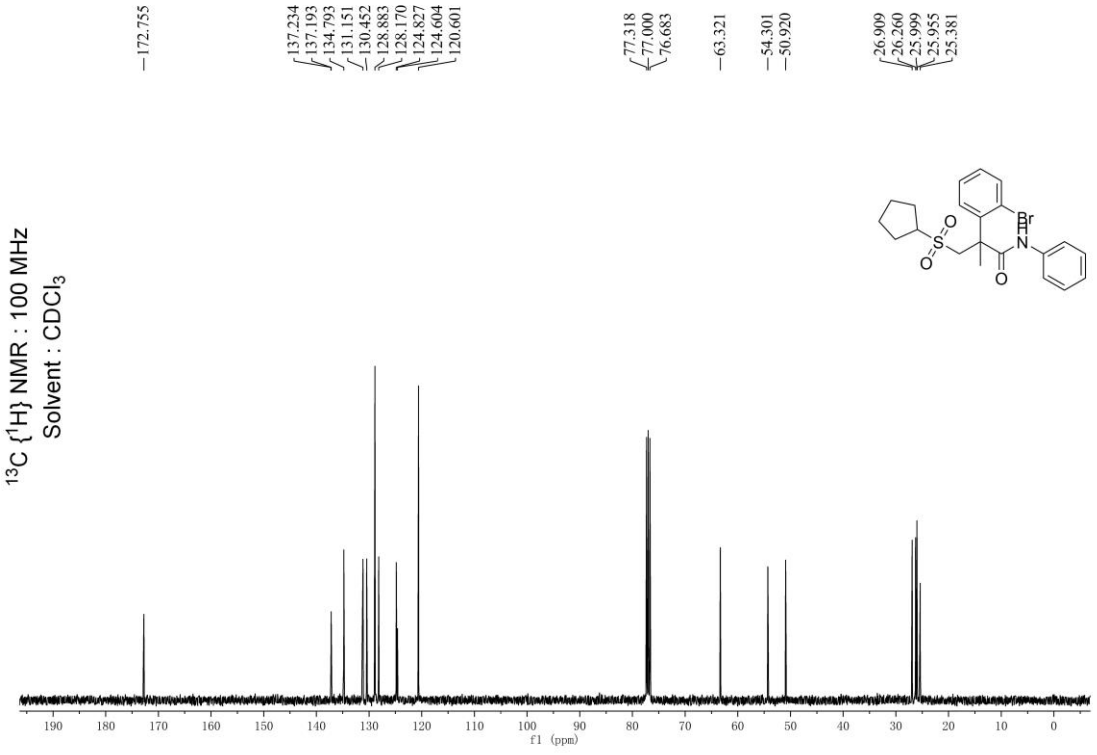
2-(2-bromophenyl)-3-(heptan-3-ylsulfonyl)-2-methyl-N-phenylpropanamide (5ad)



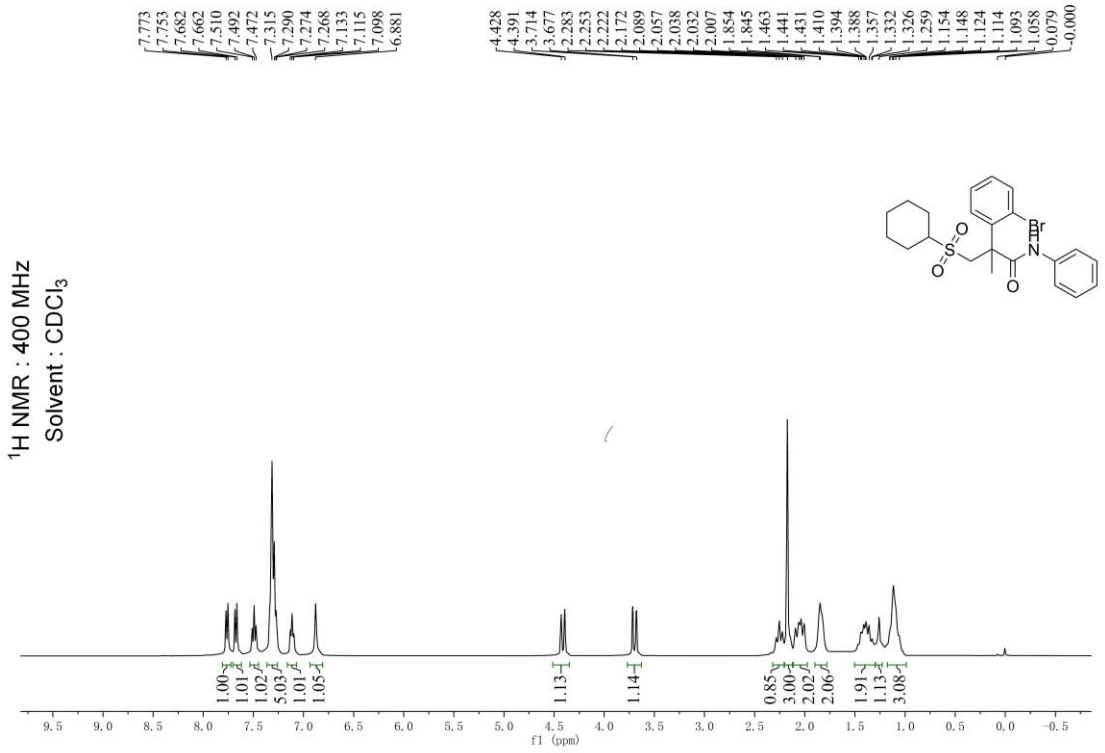


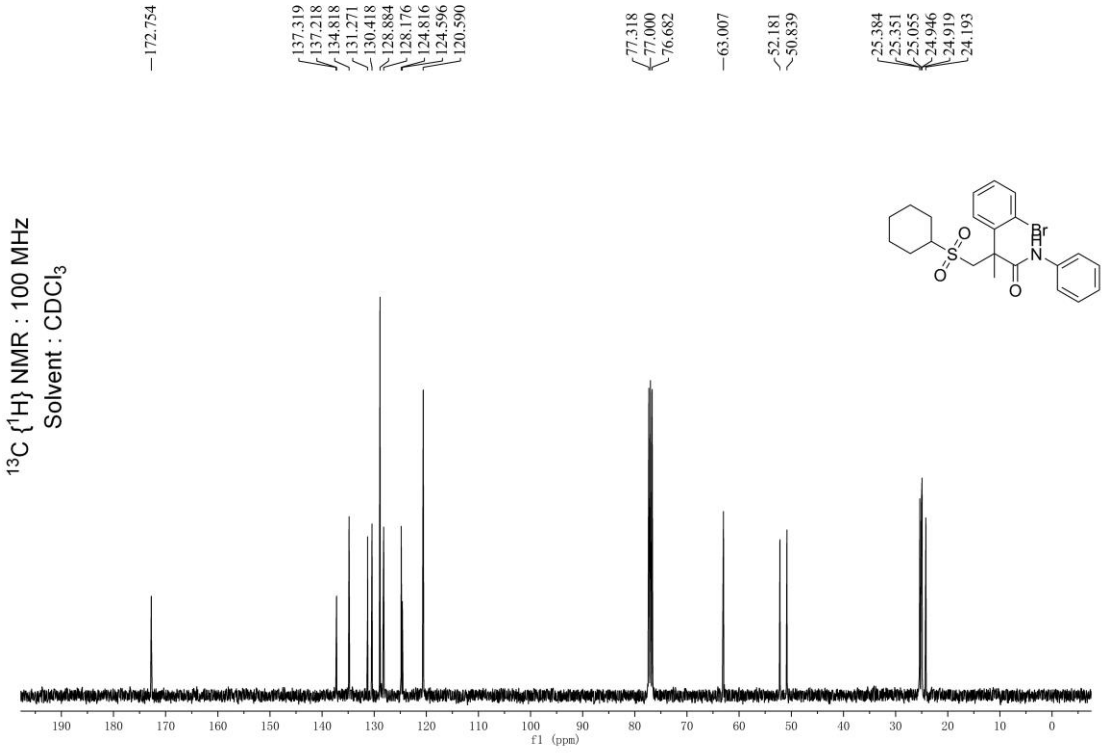
2-(2-bromophenyl)-3-(cyclopentylsulfonyl)-2-methyl-N-phenylpropanamide (5ae)



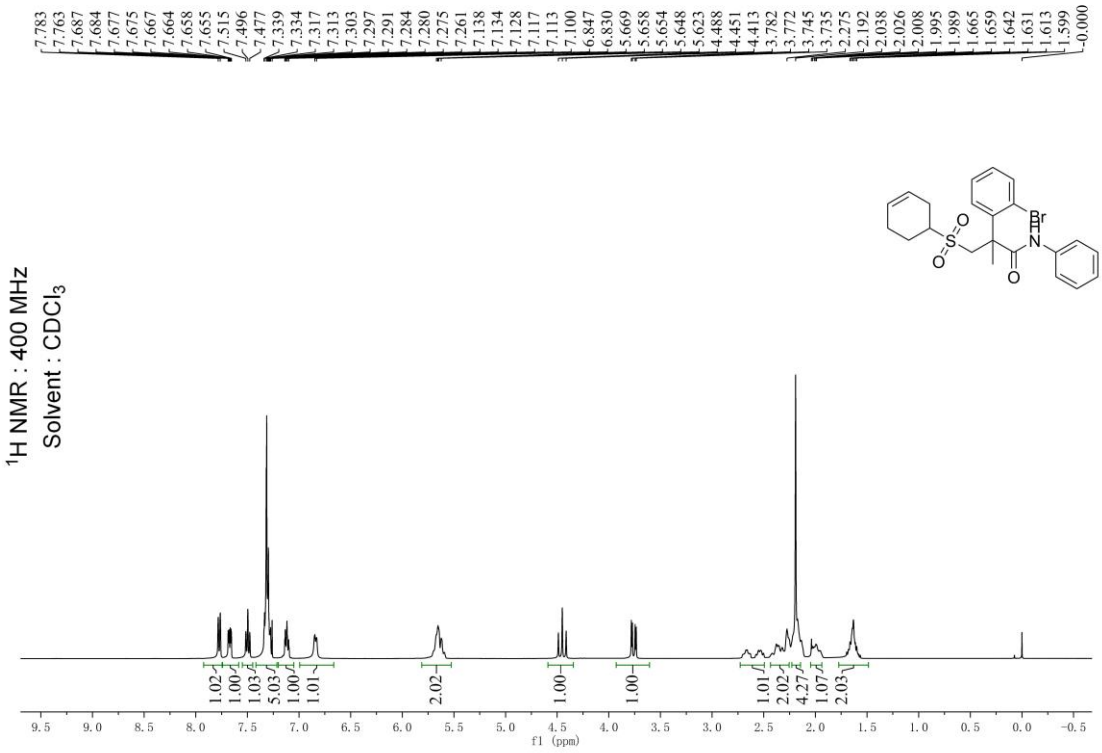


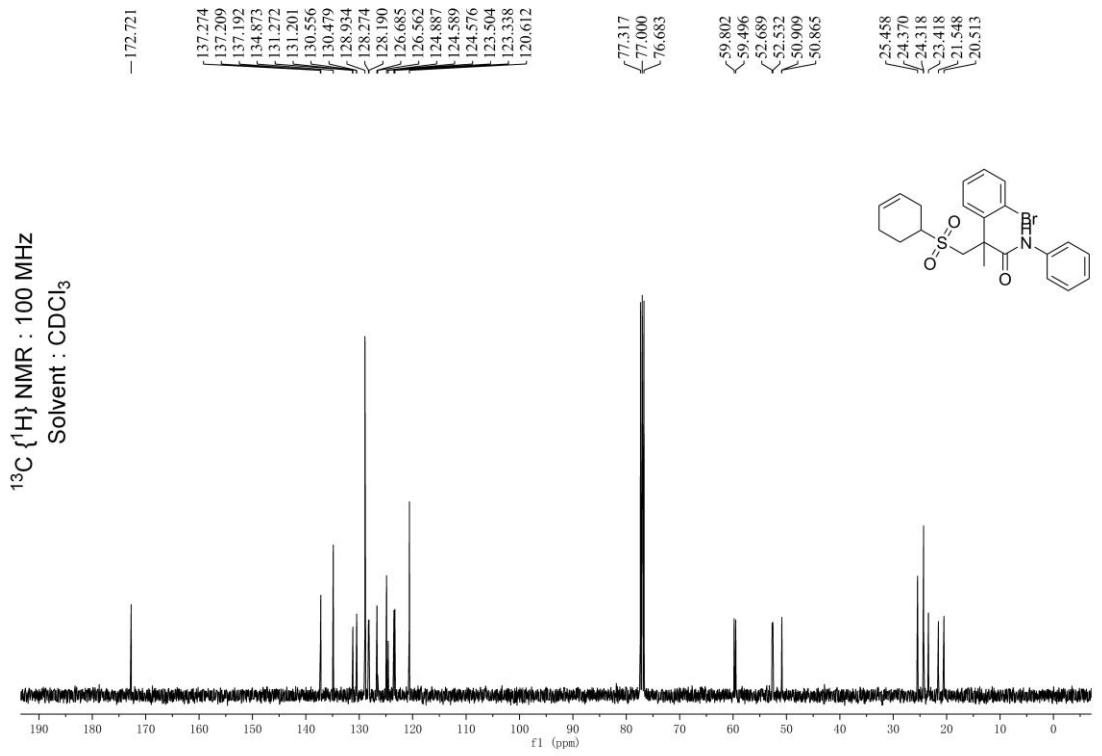
2-(2-bromophenyl)-3-(cyclohexylsulfonyl)-2-methyl-N-phenylpropanamide (5af)



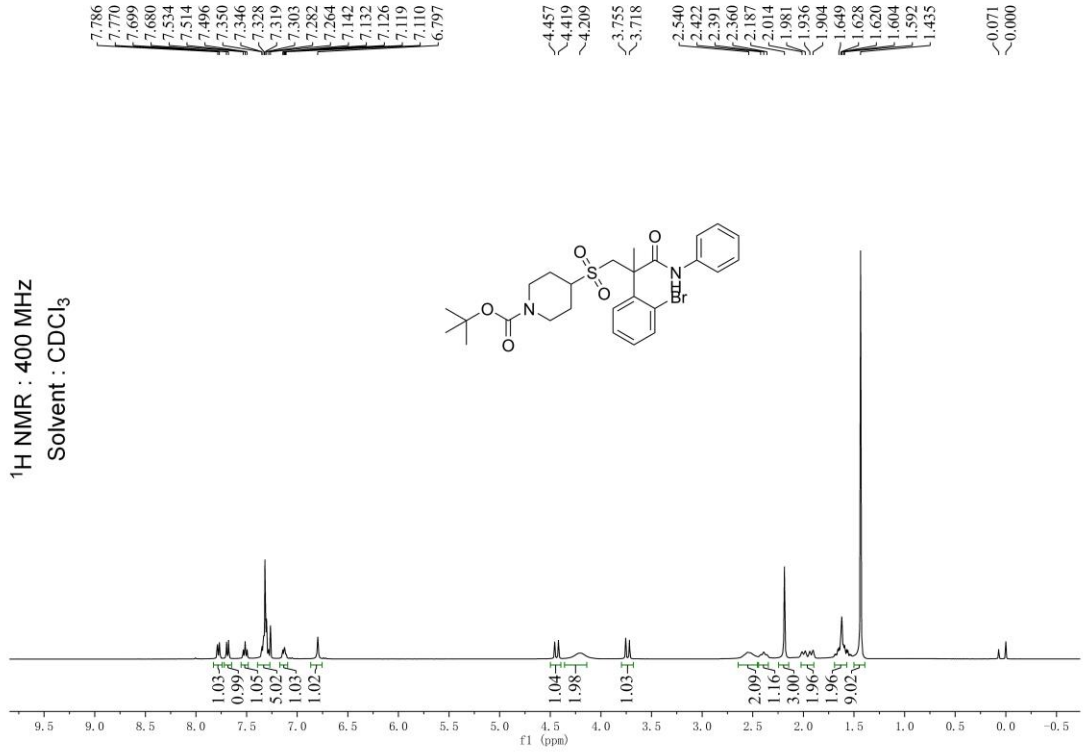


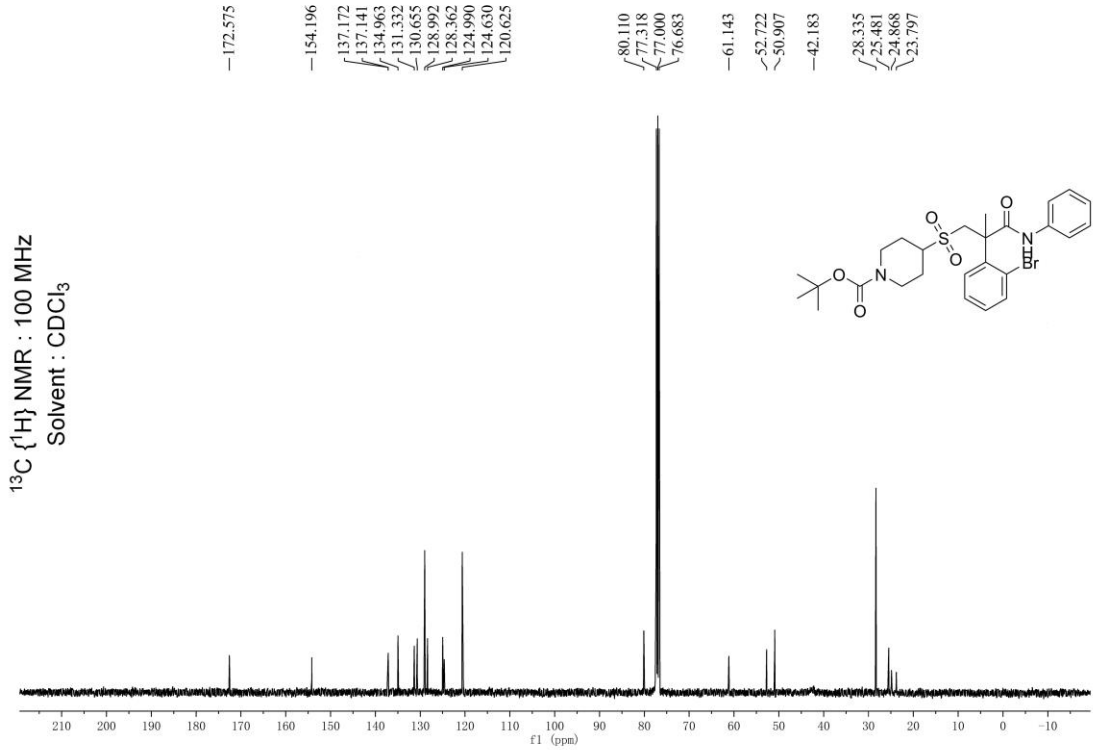
2-(2-bromophenyl)-3-(cyclohex-3-en-1-ylsulfonyl)-2-methyl-N-phenylpropanamide (5ag)



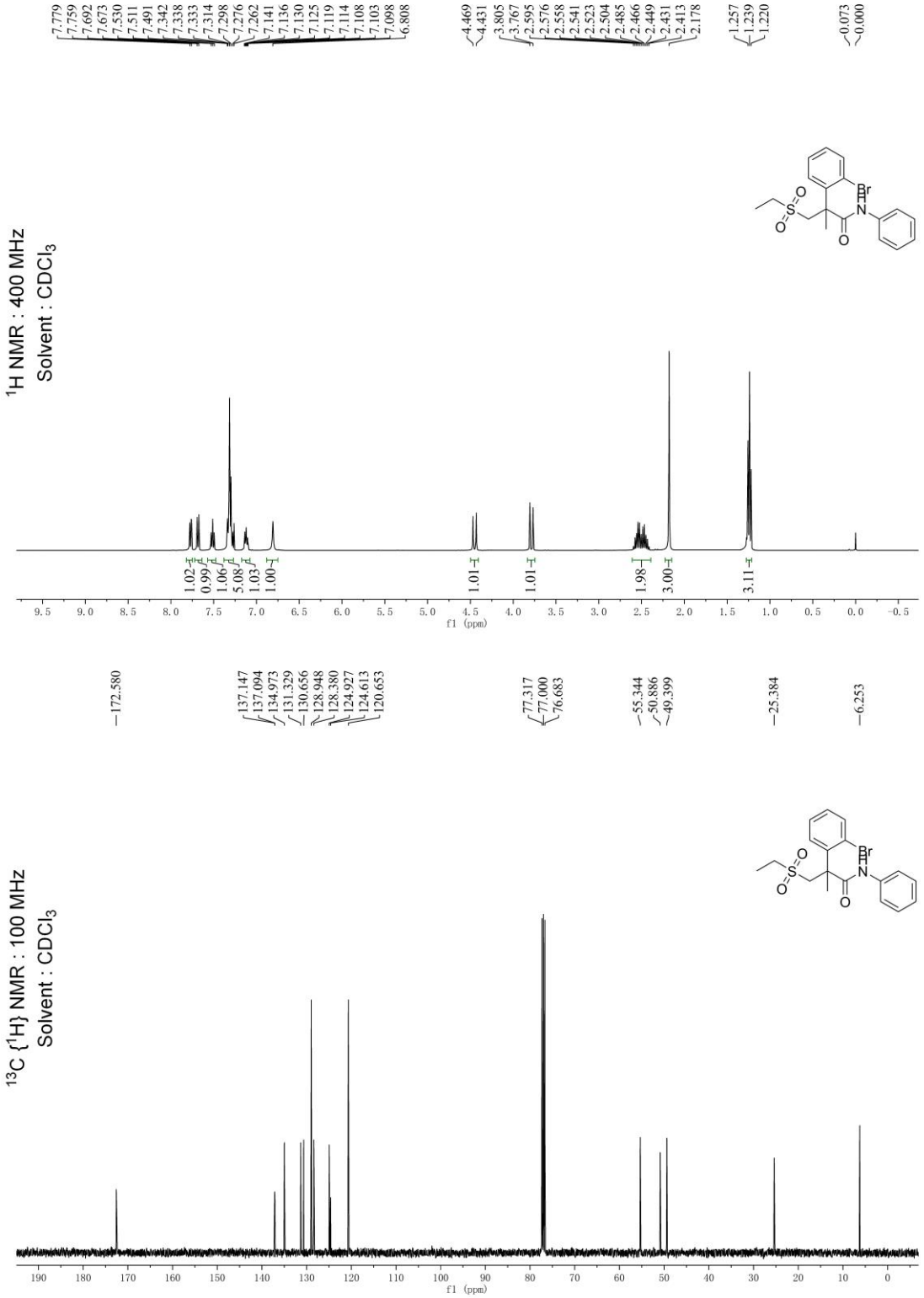


2-(2-bromophenyl)-3-((1-(2-(tert-butoxy)acetyl)piperidin-4-yl)sulfonyl)-2-methyl-N-phenylpropanamide (5ah)

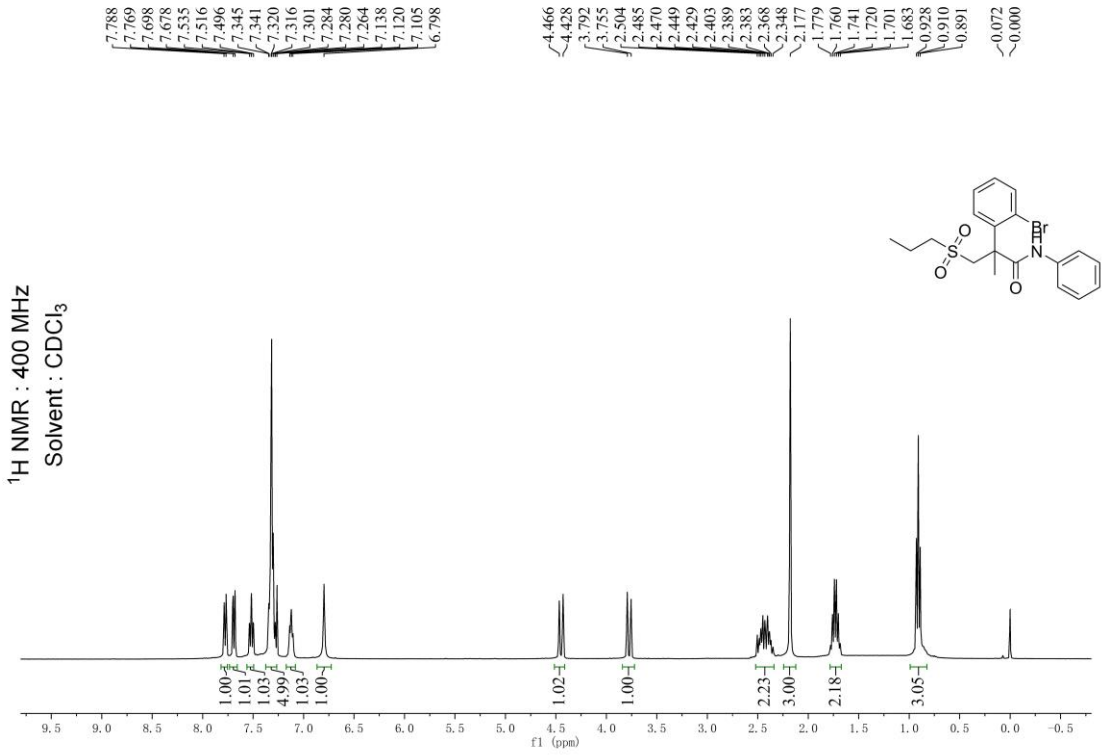


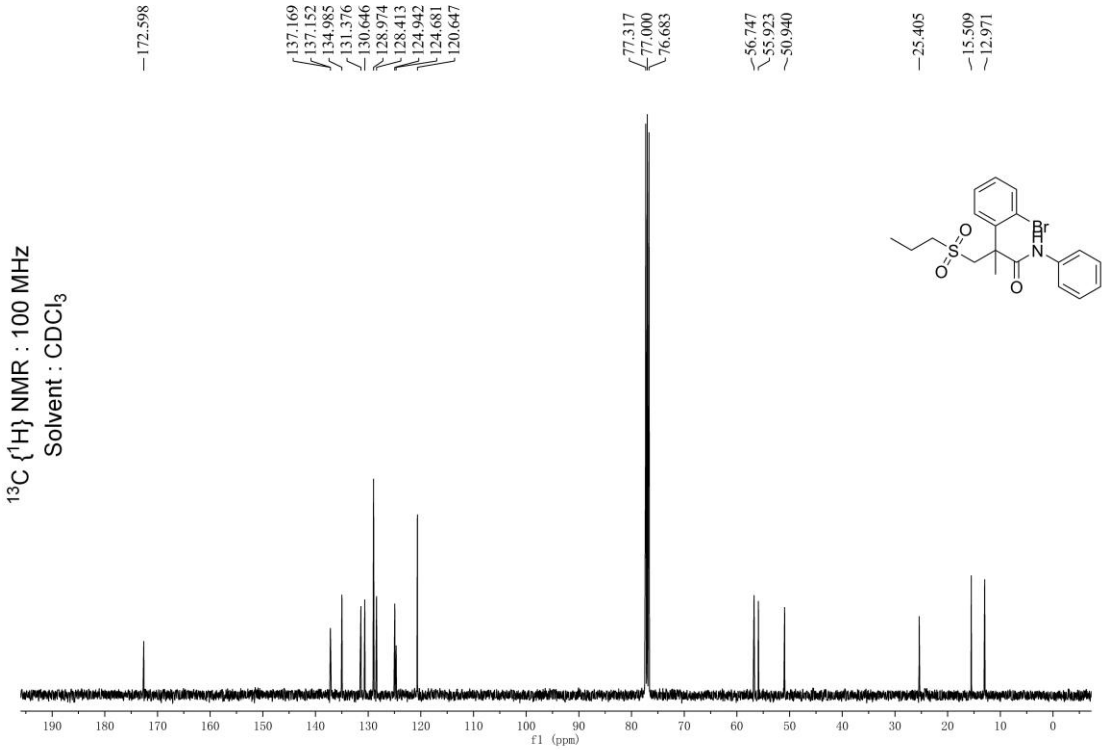


3-(2-bromophenyl)-3-(ethylsulfonyl)-2-methyl-N-phenylpropanamide (5ai)

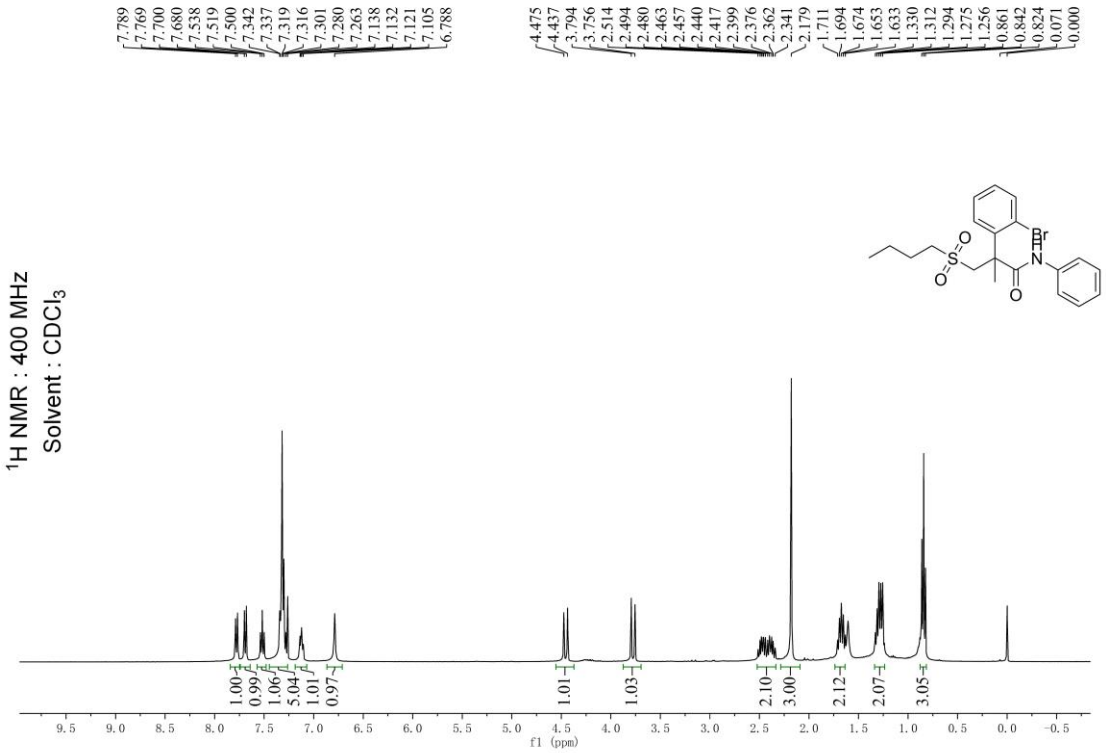


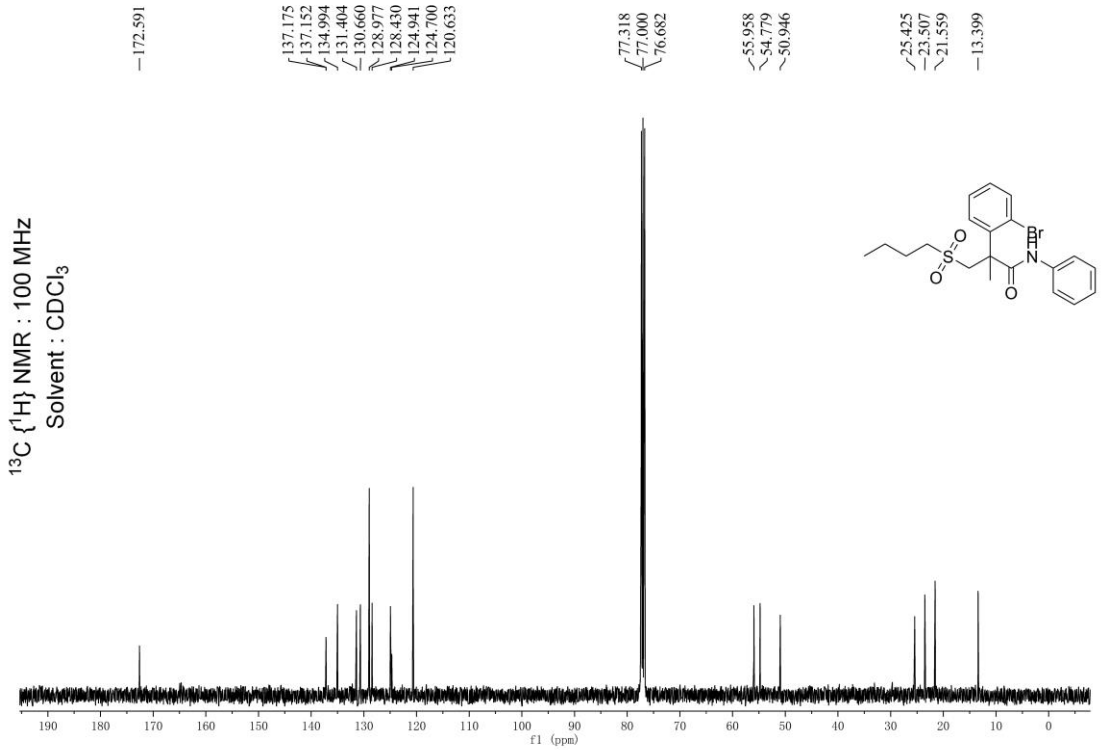
2-(2-bromophenyl)-2-methyl-N-phenyl-3-(propylsulfonyl)propanamide (5aj)



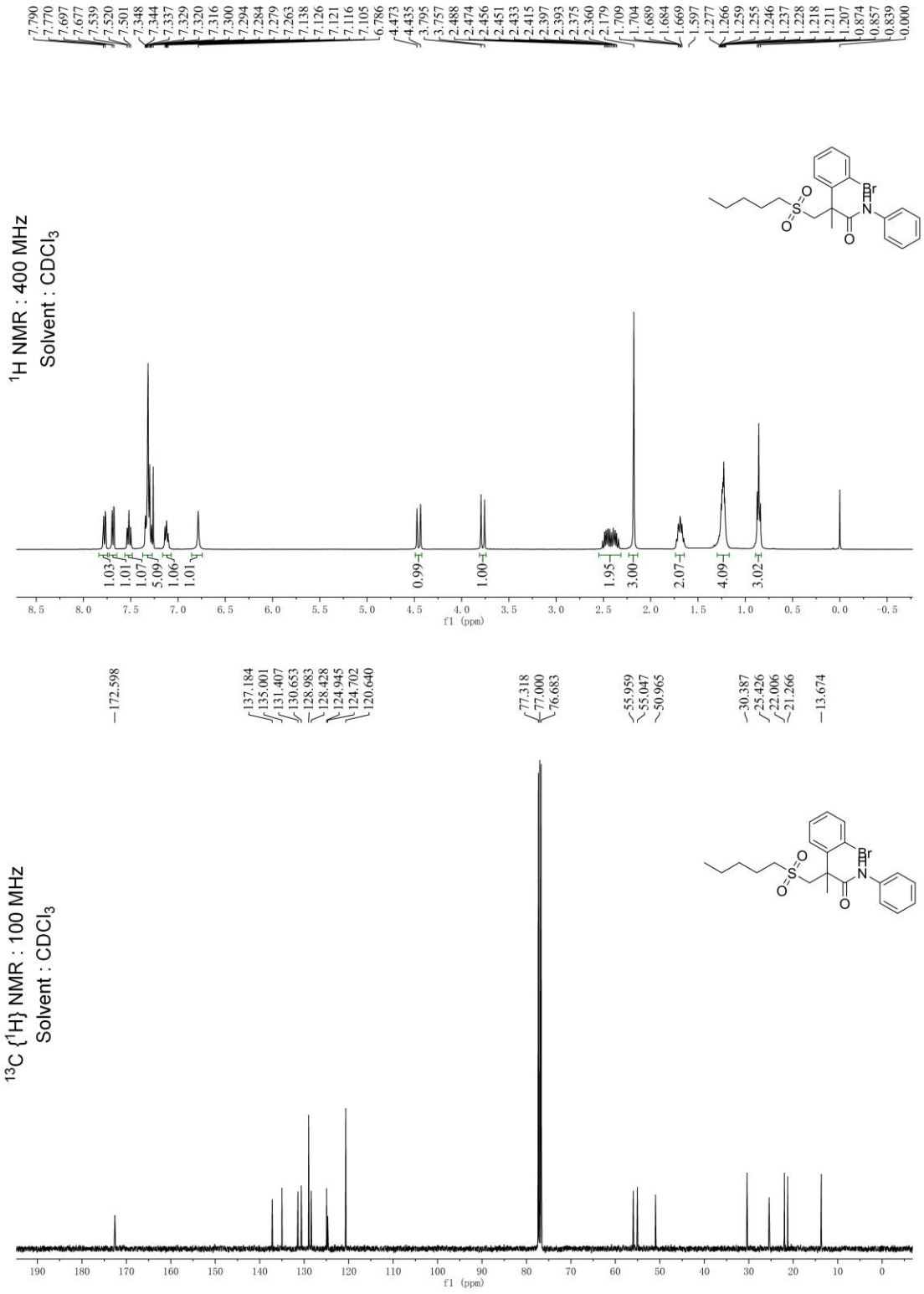


2-(2-bromophenyl)-3-(butylsulfonyl)-2-methyl-N-phenylpropanamide (5ak)

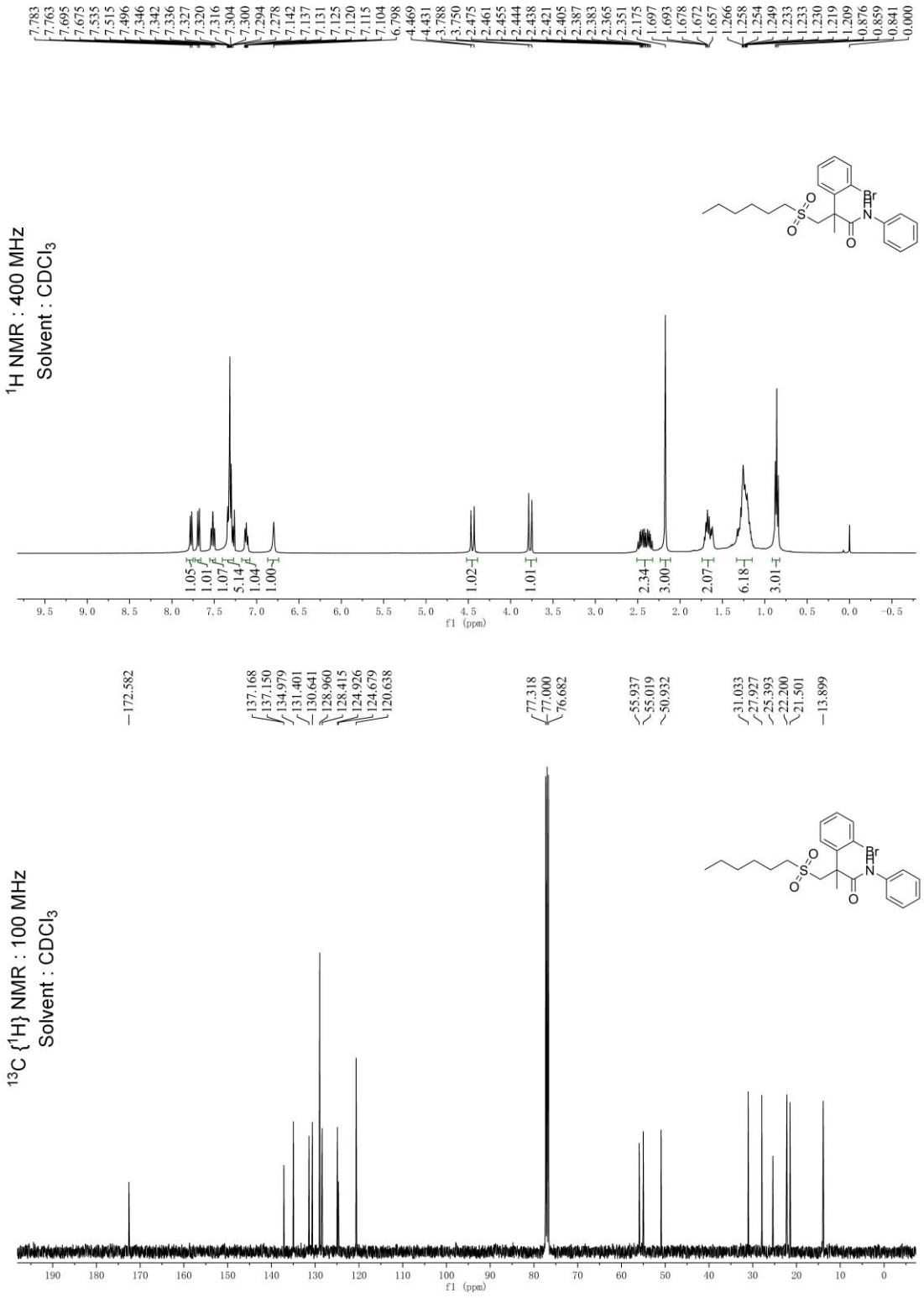




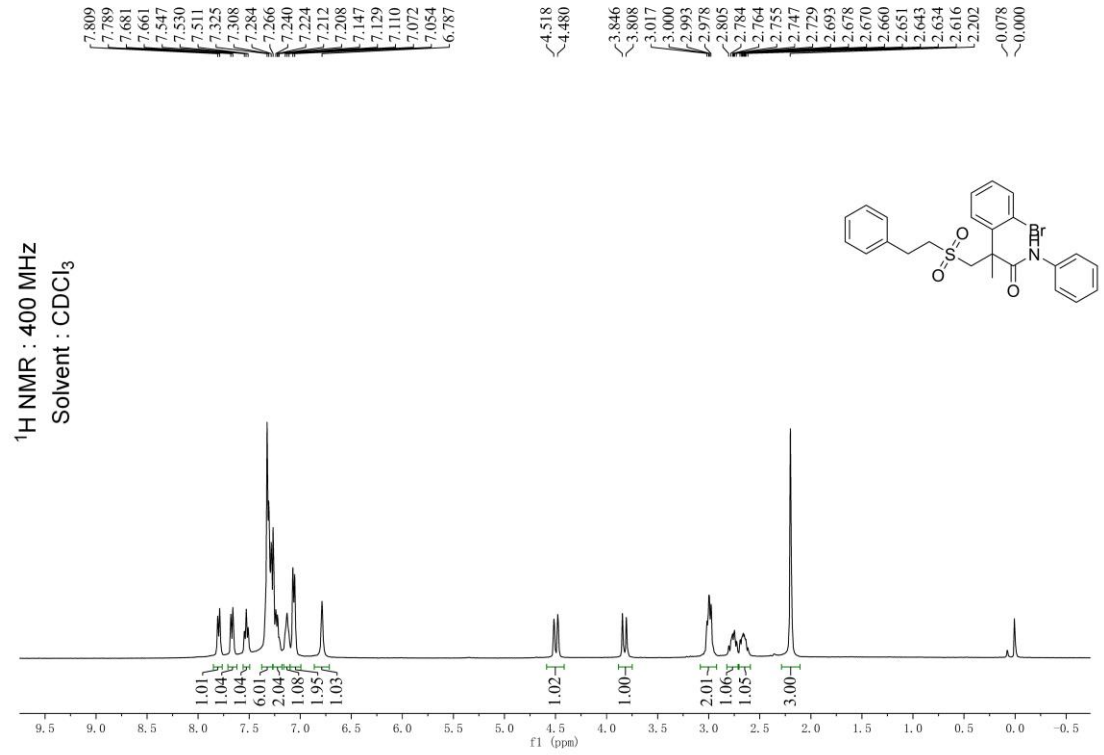
3-(2-bromophenyl)-2-methyl-3-(pentylsulfonyl)-N-phenylpropanamide (5al)

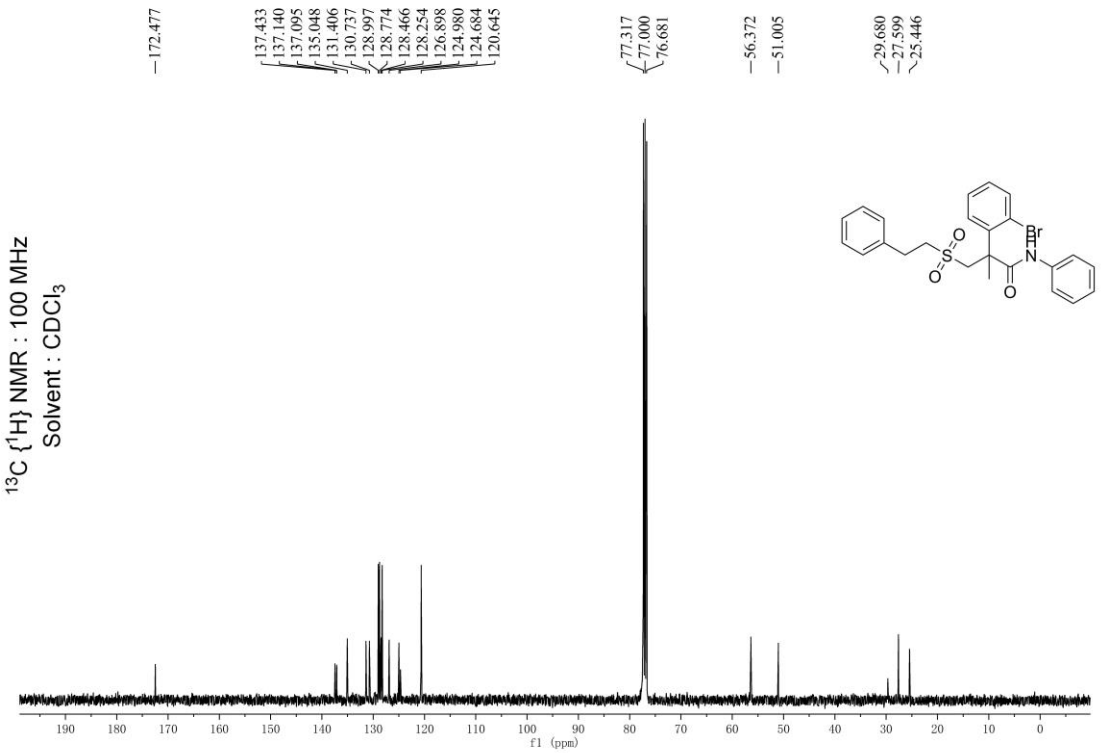


2-(2-bromophenyl)-3-(hexylsulfonyl)-2-methyl-N-phenylpropanamide (5am)



3-(2-bromophenyl)-2-methyl-3-(phenethylsulfonyl)-N-phenylpropanamide (5an)





4-((isopropylsulfonyl)methyl)-3-methyl-1-phenylindolin-2-one (6)