Supporting Information

Radical relay strategy for the construction of alkylsulfonated indolo[2,1-*a*]isoquinoline-6(*5H*)-ones *via* SO_2 insertion/Smiles rearrangement

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1. General Information

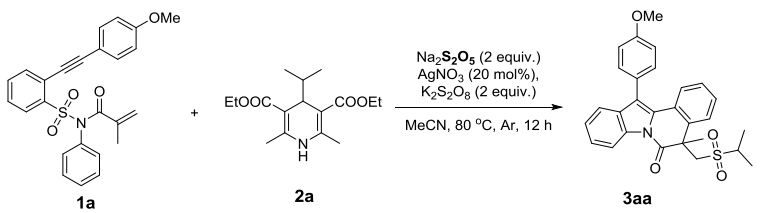
Unless otherwise stated, all commercial reagents were used as received. Propiophenone, aldehydes (Innochem, >98%), *o*-Phenylenediamine and Phenylhydrazine hydrochloride were used without further treatment. All reagents and solvents were commercially available and used without any further purification 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

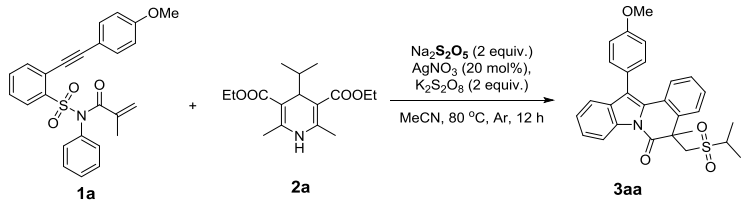
All *N*-(aryl)[(2-ethynyl)arylsulfonyl]acrylamide **1a**[1] and Hantzsch esters **2a**[2] were synthesized according to the known methods.

2.2 Typical Experimental Procedure



To a schlenk tube were added *N*-(aryl)[(2-ethynyl)arylsulfonyl]acrylamide **1a** (0.2 mmol, 86.2 mg), Hantzsch esters **2a** (2 equiv., 0.4 mmol, 118.0 mg), $Na_2S_2O_5$ (2 equiv., 0.4 mmol, 76.0 mg), $AgNO_3$ (20 mol%, 6.8 mg), $K_2S_2O_8$ (2 equiv, 0.4 mmol, 108.0 mg) and MeCN (2 mL). Then, the tube was stirred at 80 ^oC in Ar atmosphere for 12 h, until complete consumption of starting material as monitored by TLC analysis. The residue was purified by silica gel flash column chromatography (petroleum ether/ethyl acetate = 3 : 1) to afford the desired products.

2.3 Table S1. Screening of the Optimal Reaction Conditions[a]



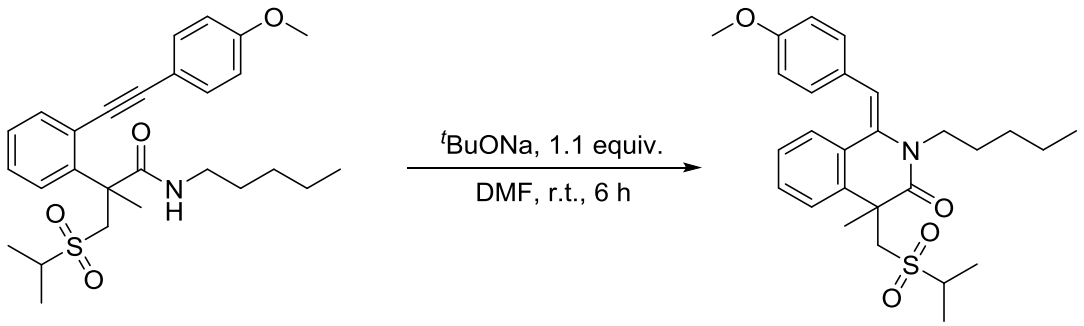
1a 2a 3aa

Entry	Variation from the standard conditions	Yield (%)
1	None	70
2	AgOAC instead of $AgNO_3$	28
3	Ag_2CO_3 instead of $AgNO_3$	32
4	$AgIO_3$ instead of $AgNO_3$	49
5	Ag_2SO_4 instead of $AgNO_3$	47
6	No $AgNO_3$	16
7	$(NH)_2S_2O_8$ instead of $K_2S_2O_8$	50
8	$PhI(OAc)_2$ instead of $K_2S_2O_8$	18
9	TBHP instead of $K_2S_2O_8$	16
10	Oxone instead of $K_2S_2O_8$	0
11	No $K_2S_2O_8$	0
12	NaS_2O_8 instead of $K_2S_2O_8$	0
13	DCE instead of MeCN	47
14	Toluene instead of MeCN	27
15	THF instead of MeCN	43
16	DMSO instead of MeCN	36
17	DMF instead of MeCN	0
18	Acetone instead of MeCN	24
19	1，4-dioxane instead of MeCN	26
20	$K_2S_2O_5$ instead of $Na_2S_2O_5$	41
21	$DABCO{\cdot}(SO_2)_2$ instead of $Na_2S_2O_5$	53
22	No $Na_2S_2O_5$	28
23	at 60 ^oC	27
24	at 100 ^oC	46
25	at 24 h	54
26	at 8 h	36

[a]Reaction conditions: 1a (0.2 mmol), 2a (0.4 mmol), $Na_2S_2O_5$ (2.0 equiv.), $AgNO_3$ (20 mol %), $K_2S_2O_8$ (2.0 equiv.), CH_3CN (2 mL; 0.1 M), argon, 80 ^oC and 12 h.

2.4 Synthetic Utilizations

To a stirred solution of **4ua** (0.0938 g, 0.2 mmol) in 3 mL DMF was added ^{t}BuONa (21.1 mg, 0.22 mmol, 1.1 equiv.) at room temperature for 6 h. The crude product was further purified by silica gel flash chromatography to give **5** (74% yield) as a yellow oil.

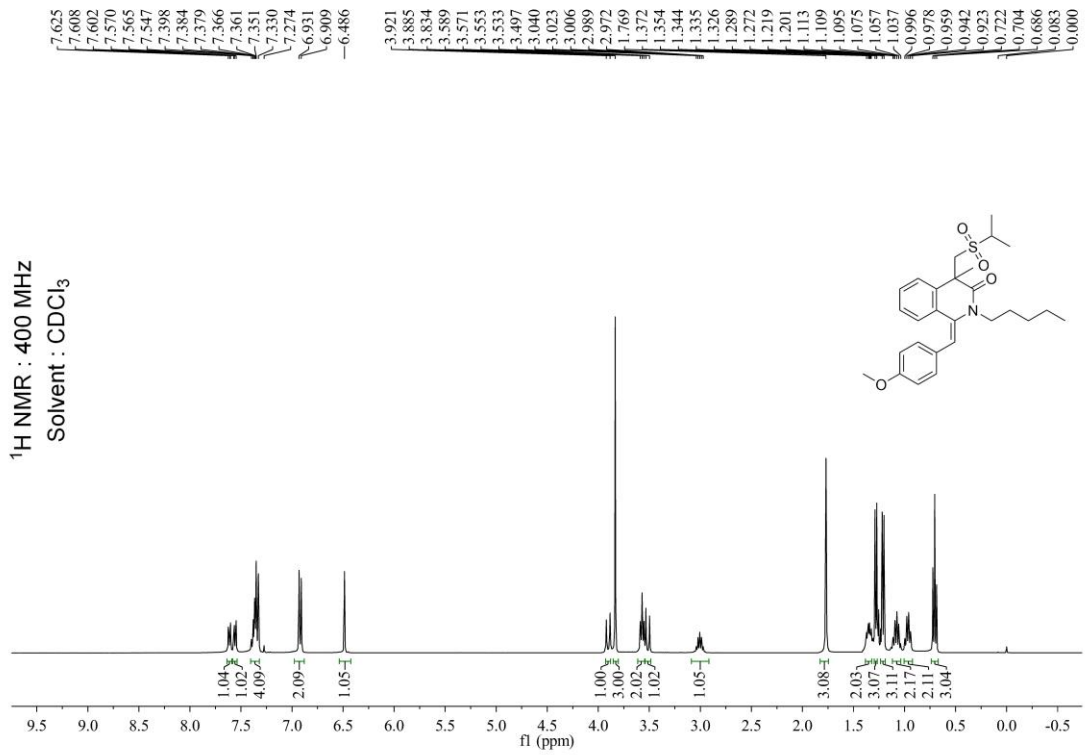


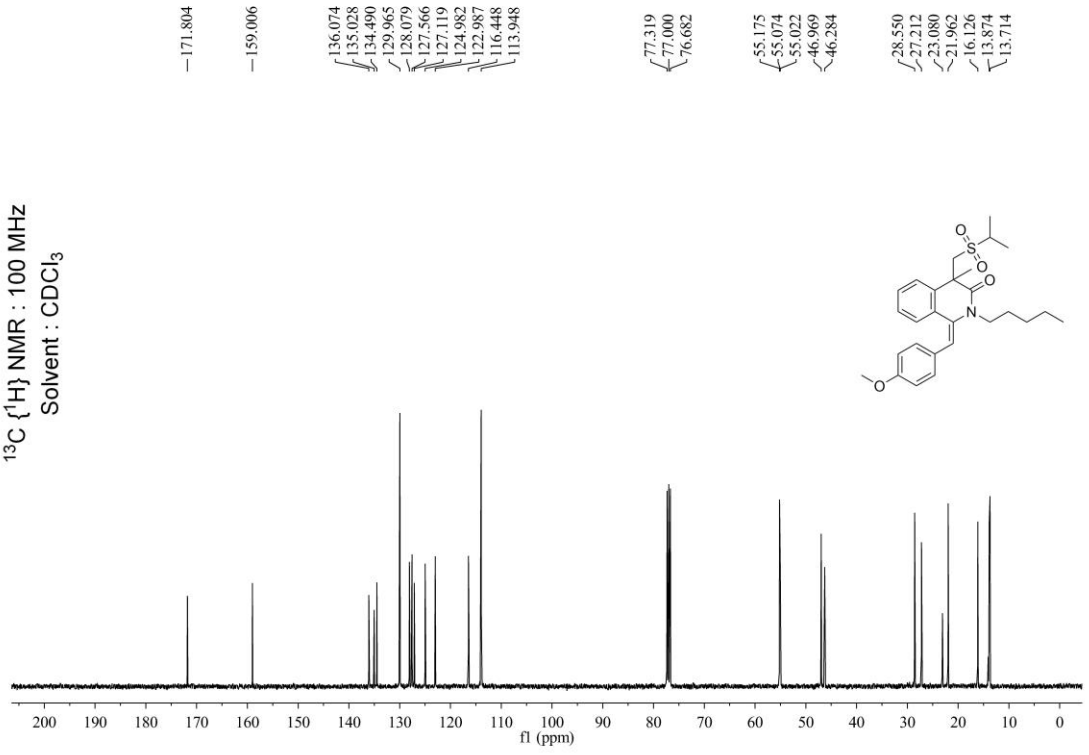
4ua **5**

The date and NMR spectra of 5.

(*E*)-4-((isopropylsulfonyl)methyl)-1-(4-methoxybenzylidene)-4-methyl-2-pentyl-1,4-dihydroisoquinolin-3-(2*H*)-one (5): by silica gel column chromatography (hexane/ethyl acetate = 4 : 1); Yield: 69.4 mg, 74%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.63-7.60 (m, 1H), 7.57-7.54 (m, 1H), 7.40-7.35 (m, 4H), 6.92 (d, *J* = 8.8 Hz, 2H), 6.49 (s, 1H), 3.90 (d, *J* = 14.4 Hz, 1H), 3.83 (s, 3H), 3.57 (t, *J* = 7.2 Hz, 2H), 3.52 (d, *J* = 14.4 Hz, 1H), 3.04-2.97 (m, 1H), 1.77 (s, 3H), 1.38-1.32 (m, 2H), 1.28 (d, *J* = 6.8 Hz, 3H), 1.21 (d, *J* = 7.2 Hz, 3H), 1.12-1.03 (m, 2H), 1.00-0.92 (m, 2H), 0.70 (t, *J* = 7.2 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.8, 159.0, 136.1, 135.0, 134.5, 130.0, 128.1, 127.6, 127.1, 125.0, 123.0, 116.5, 114.0, 55.2, 55.1, 55.0, 47.0, 46.3, 28.6, 27.2, 23.1, 22.0, 16.1, 13.9, 13.7; HRMS (ESI-TOF) *m/z*: $C_{27}H_{36}NO_4S$ $(M + H)^+$ calcd for 470.2360, found 470.2365.

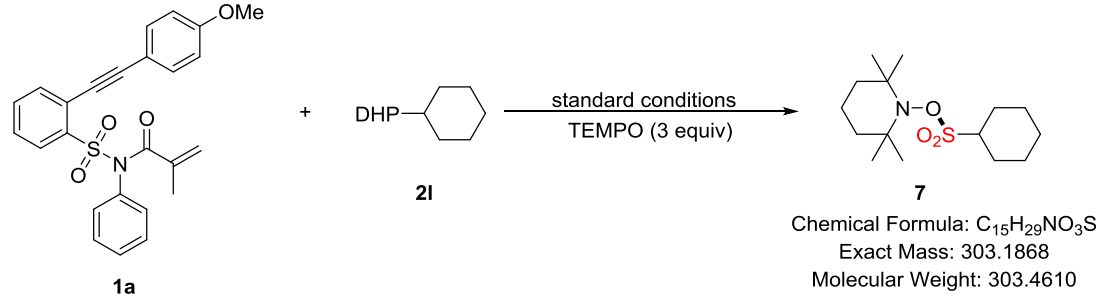
(*E*)-4-((isopropylsulfonyl)methyl)-1-(4-methoxybenzylidene)-4-methyl-2-pentyl-1,4-dihydroisoquinolin-3-(2*H*)-one (5)





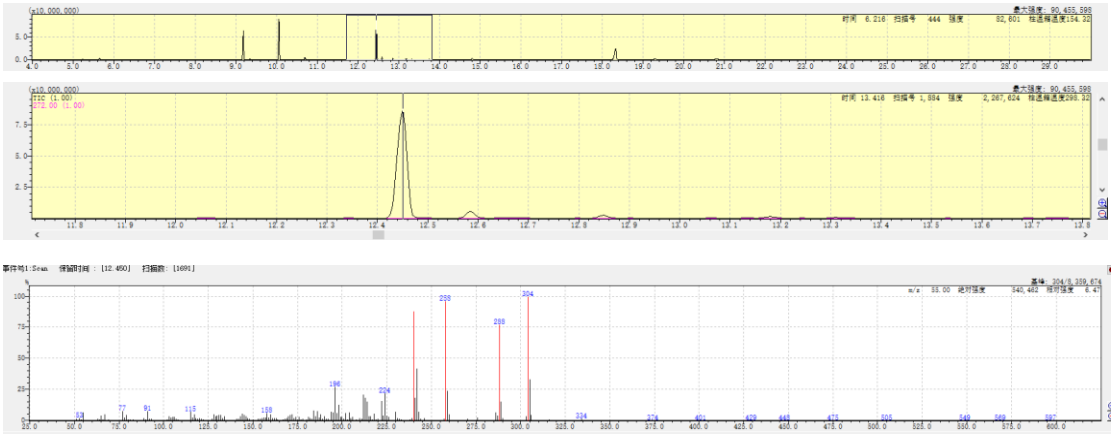
2.5 Control Experiments

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



1a **2l** **7**

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



[MS Spectrum]
# of Peaks 533
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Background No Background Spectrum
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Event# 1

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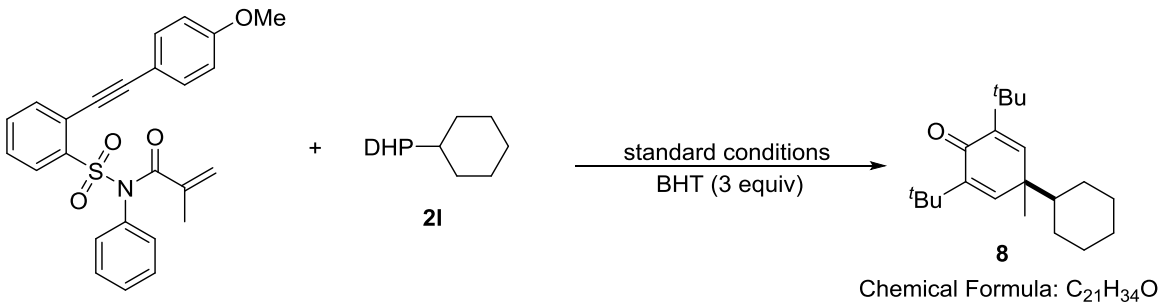
51.00	120620	1.44	67.05	437016	5.23	83.00	78942	0.94
52.00	56444	0.68	68.05	59390	0.71	84.05	16628	0.20
53.00	174044	2.08	69.05	97772	1.17	84.95	21751	0.26
54.05	74977	0.90	70.05	12067	0.14	85.95	6559	0.08
55.00	540462	6.47	71.05	20841	0.25	86.95	8797	0.11
56.00	37049	0.44	72.05	4389	0.05	88.05	15131	0.18
57.00	59838	0.72	73.00	10010	0.12	89.00	158366	1.89
57.95	7284	0.09	74.00	8002	0.10	90.05	95644	1.14
58.95	11075	0.13	75.00	31610	0.38	91.00	635620	7.60
60.05	1455	0.02	76.05	55581	0.66	92.05	141429	1.69
61.05	3726	0.04	77.00	632838	7.57	93.05	111305	1.33
62.05	19976	0.24	78.05	202818	2.43	94.00	45809	0.55
63.00	129148	1.54	79.05	398233	4.76	95.00	30234	0.36
64.05	105425	1.26	80.05	85088	1.02	95.60	7002	0.08
65.00	336895	4.03	81.05	85579	1.02	96.65	8048	0.10

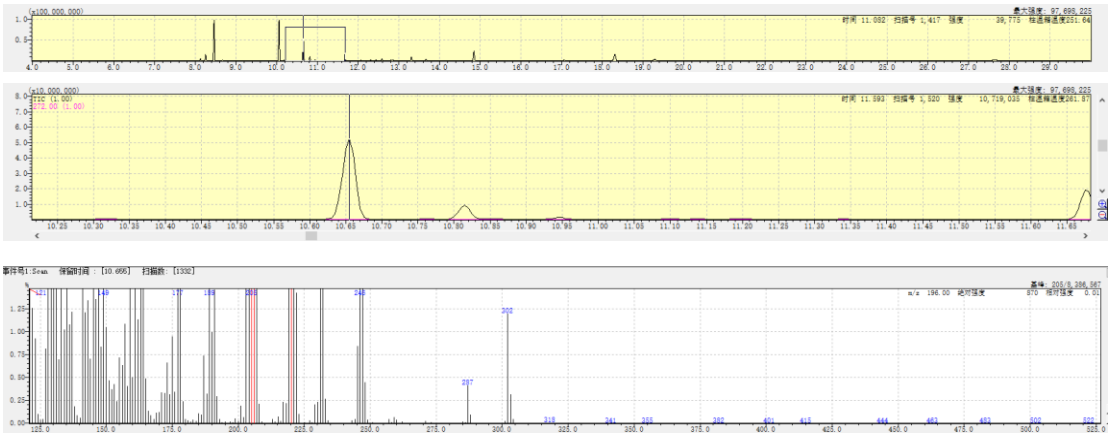
97.55	9295	0.11	141.05	143418	1.72	185.00	318543	3.81
98.55	20050	0.24	142.05	140629	1.68	186.00	628111	7.51
99.55	5504	0.07	143.05	281297	3.36	187.00	230601	2.76
100.05	6435	0.08	144.05	463767	5.55	188.00	428918	5.13
101.00	28220	0.34	145.05	364217	4.36	189.00	137121	1.64
102.05	82047	0.98	146.05	295538	3.54	190.00	297018	3.55
103.05	300507	3.59	147.00	184318	2.20	191.00	108145	1.29
104.05	198395	2.37	148.00	142135	1.70	192.00	140850	1.68
105.05	263013	3.15	149.05	45052	0.54	193.05	54204	0.65
106.05	244007	2.92	150.00	75910	0.91	194.00	607809	7.27
107.05	140042	1.68	151.00	40929	0.49	195.00	555026	6.64
108.05	79452	0.95	152.00	61137	0.73	196.00	2272230	27.18
109.05	30999	0.37	153.00	123526	1.48	197.00	530898	6.35
109.60	7258	0.09	154.00	144086	1.72	198.00	1060799	12.69
110.65	4273	0.05	155.00	176161	2.11	199.00	242692	2.90
111.55	7175	0.09	156.00	207223	2.48	200.00	269079	3.22
112.60	20170	0.24	157.05	199748	2.39	201.00	110498	1.32
114.05	21271	0.25	158.00	511932	6.12	201.95	507206	6.07
115.05	587003	7.02	159.00	224806	2.69	202.95	101018	1.21
116.05	231564	2.77	160.00	416833	4.99	203.95	538956	6.45
117.05	421159	5.04	161.00	170742	2.04	204.95	153294	1.83
118.05	183721	2.20	162.00	174879	2.09	205.95	259690	3.11
119.05	127301	1.52	163.00	156604	1.87	206.95	59447	0.71
120.05	160423	1.92	164.00	87822	1.05	208.00	70078	0.84
121.10	113846	1.36	165.00	48354	0.58	209.00	32558	0.39
122.05	86492	1.03	166.00	34482	0.41	209.95	154895	1.85
123.05	13626	0.16	167.00	106746	1.28	211.05	117225	1.40
124.00	3695	0.04	168.00	138594	1.66	212.00	1775295	21.24
125.05	2429	0.03	169.00	158670	1.90	213.00	1549850	18.54
126.05	10392	0.12	170.00	290889	3.48	214.00	1265294	15.14
127.05	140910	1.69	171.00	365322	4.37	215.00	279140	3.34
128.05	407008	4.87	172.00	408774	4.89	215.95	291886	3.49
129.05	308792	3.69	173.00	181425	2.17	217.00	93252	1.12
130.05	336567	4.03	174.00	270625	3.24	218.00	466735	5.58
131.05	369668	4.42	175.00	91377	1.09	219.00	82682	0.99
132.05	369948	4.43	176.00	251073	3.00	219.95	119376	1.43
133.05	188058	2.25	177.00	95932	1.15	221.05	47847	0.57
134.05	281814	3.37	177.95	136822	1.64	222.00	1307022	15.63
135.05	61488	0.74	179.00	45929	0.55	223.00	330039	3.95
136.05	33213	0.40	180.00	98722	1.18	224.00	1859470	22.24
137.00	8932	0.11	181.00	249628	2.99	225.00	350902	4.20
138.05	7340	0.09	182.00	180858	2.16	226.00	256175	3.06
139.05	16533	0.20	183.05	112389	1.34	227.00	50279	0.60
140.05	30807	0.37	184.00	691993	8.28	228.00	56834	0.68

229.05	28165	0.34	261.00	59545	0.71	293.00	204	0.00
230.00	586868	7.02	262.00	17759	0.21	294.00	127	0.00
231.00	166292	1.99	263.00	3300	0.04	295.00	188	0.00
232.00	147690	1.77	263.90	966	0.01	296.00	50	0.00
233.00	84204	1.01	265.00	753	0.01	297.00	79	0.00
234.00	43910	0.53	266.05	471	0.01	298.00	140	0.00
234.95	9862	0.12	267.05	1981	0.02	299.10	166	0.00
236.00	26902	0.32	268.00	50361	0.60	300.10	2471	0.03
237.05	6244	0.07	269.05	29231	0.35	301.15	953	0.01
238.00	97401	1.17	270.05	124402	1.49	**302.05**	**10890**	**0.13**
239.05	155467	1.86	271.00	28574	0.34	**303.15**	**302057**	**3.61**
240.00	7376954	88.24	272.05	59750	0.71	**304.05**	**8359674**	**100.00**
241.00	1535662	18.37	272.95	11221	0.13	**305.05**	**2785656**	**33.32**
242.00	3507620	41.96	274.00	10804	0.13	**306.00**	**385220**	**4.61**
243.00	605910	7.25	275.05	9848	0.12	307.00	40990	0.49
244.00	117116	1.40	276.00	213298	2.55	307.95	3394	0.04
245.00	37098	0.44	277.00	40862	0.49	309.00	356	0.00
246.00	157905	1.89	278.00	6967	0.08	310.00	73	0.00
247.00	26847	0.32	278.95	796	0.01	311.00	52	0.00
247.95	58194	0.70	280.05	404	0.00	312.00	13	0.00
248.95	11335	0.14	280.95	1895	0.02	313.10	87	0.00
250.00	14122	0.17	281.95	500	0.01	314.05	1862	0.02
251.05	6821	0.08	283.00	218	0.00	315.15	4545	0.05
252.00	21729	0.26	284.00	767	0.01	316.05	96406	1.15
253.00	4367	0.05	285.05	12625	0.15	317.05	22383	0.27
254.00	7462	0.09	286.05	574097	6.87	318.00	63617	0.76
255.05	2279	0.03	287.05	321084	3.84	319.00	13580	0.16
256.00	21222	0.25	288.05	6478418	77.50	320.00	1826	0.02
257.05	149687	1.79	289.00	1271367	15.21	321.00	140	0.00
258.00	8061702	96.44	290.00	171799	2.06			
259.00	2018130	24.14	290.95	16673	0.20			
260.00	433985	5.19	292.00	11450.01				



1a **2l** **8**

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



[MS Spectrum]

# of Peaks 538

Raw Spectrum 10.655 (scan : 1332)

Background No Background Spectrum

Base Peak m/z 205.15 (Inten : 8,386,567)

Event# 1

m/z	Absolute Intensity	Relative Intensity						
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51.00	40332	0.48	77.00	304729	3.63	103.05	91667	1.09
52.05	19594	0.23	78.05	70327	0.84	104.15	47553	0.57
53.00	236070	2.81	79.05	341159	4.07	105.05	756068	9.02
54.05	122027	1.46	80.05	42643	0.51	106.05	93873	1.12
55.00	4199505	50.07	81.05	234551	2.80	107.05	215700	2.57
56.05	239346	2.85	82.15	71898	0.86	108.10	52647	0.63
57.05	3382874	40.34	83.05	2114389	25.21	109.10	124522	1.48
58.05	156380	1.86	84.05	144025	1.72	110.10	16620	0.20
59.05	19516	0.23	85.05	8848	0.11	111.05	16405	0.20
60.00	11030.01		86.05	3796	0.05	112.05	1489	0.02
61.00	660	0.01	87.10	2761	0.03	113.05	1720	0.02
62.05	1835	0.02	88.05	2281	0.03	114.05	5612	0.07
63.00	14010	0.17	89.05	15866	0.19	115.05	273736	3.26
64.05	14792	0.18	90.05	11726	0.14	116.05	126372	1.51
65.00	145498	1.73	91.05	603855	7.20	117.05	196642	2.34
66.05	35253	0.42	92.05	71391	0.85	118.15	47222	0.56
67.05	321014	3.83	93.05	182759	2.18	119.10	543036	6.48
68.15	29980	0.36	94.10	26769	0.32	120.15	99672	1.19
69.05	309892	3.70	95.05	132991	1.59	121.05	801221	9.55
70.10	23412	0.28	96.10	15004	0.18	122.10	106156	1.27
71.05	38769	0.46	97.05	82787	0.99	123.10	77820	0.93
72.00	5238	0.06	98.05	6815	0.08	124.10	8794	0.10
73.00	6785	0.08	99.10	2106	0.03	125.15	3286	0.04
74.00	2823	0.03	100.05	1702	0.02	126.15	4042	0.05
75.05	4159	0.05	100.95	3923	0.05	127.05	68405	0.82

128.05	238850	2.85	172.05	28108	0.34	216.15	2424	0.03
129.05	262977	3.14	173.00	56058	0.67	217.05	19796	0.24
130.10	154434	1.84	174.05	26562	0.32	218.15	18528	0.22
131.10	289782	3.46	175.05	79585	0.95	219.15	304440	3.63
132.10	58922	0.70	176.05	29307	0.35	220.10	7353194	87.68
133.10	344977	4.11	177.05	1267394	15.11	221.05	1345980	16.05
134.10	86180	1.03	178.00	186532	2.22	222.05	120129	1.43
135.05	339701	4.05	179.05	20347	0.24	223.00	8823	0.11
136.10	90906	1.08	180.00	4103	0.05	223.95	803	0.01
137.10	102348	1.22	180.95	3146	0.04	225.05	986	0.01
138.10	15606	0.19	181.95	1608	0.02	225.95	298	0.00
139.00	7354	0.09	183.00	3625	0.04	227.10	3103	0.04
140.15	5419	0.06	184.05	2481	0.03	228.05	982	0.01
141.05	158961	1.90	185.00	9479	0.11	229.05	17616	0.21
142.05	101892	1.21	186.05	7958	0.09	230.15	19593	0.23
143.05	113123	1.35	187.05	62106	0.74	231.05	1042142	12.43
144.05	59236	0.71	188.05	27144	0.32	232.05	192490	2.30
145.05	640304	7.63	189.05	490030	5.84	233.05	22753	0.27
146.05	114086	1.36	190.05	84052	1.00	234.10	2817	0.03
147.05	213571	2.55	191.05	122603	1.46	235.05	466	0.01
148.05	70545	0.84	192.05	25284	0.30	236.00	506	0.01
149.05	694343	8.28	193.00	4081	0.05	237.00	143	0.00
150.05	88283	1.05	193.95	1280	0.02	238.00	100	0.00
151.05	39775	0.47	195.00	1889	0.02	239.00	313	0.00
152.05	31247	0.37	196.00	870	0.01	240.00	354	0.00
153.00	35881	0.43	197.05	1787	0.02	241.15	669	0.01
154.00	20559	0.25	198.00	914	0.01	242.05	451	0.01
155.05	60745	0.72	199.00	4458	0.05	243.10	2742	0.03
156.05	53540	0.64	200.05	2424	0.03	244.15	4333	0.05
157.05	91156	1.09	201.00	16167	0.19	245.15	71076	0.85
158.05	34526	0.41	202.05	6107	0.07	246.10	2027169	24.17
159.05	132056	1.57	203.05	179979	2.15	247.05	391228	4.66
160.05	42642	0.51	204.15	361699	4.31	248.05	37736	0.45
161.00	553814	6.60	205.15	8386567	100.00	249.00	3492	0.04
162.05	95458	1.14	206.05	3925366	46.81	250.00	508	0.01
163.05	380380	4.54	207.05	279605	3.33	251.00	1446	0.02
164.05	150176	1.79	208.05	18085	0.22	252.00	398	0.00
165.05	41217	0.49	208.95	1514	0.02	253.00	284	0.00
166.05	11783	0.14	210.00	439	0.01	254.00	145	0.00
167.00	7780	0.09	211.00	799	0.01	255.00	276	0.00
168.00	39110.05		212.00	844	0.01	256.10	218	0.00
169.00	10004	0.12	213.05	3889	0.05	257.10	4273	0.05
170.05	10266	0.12	214.05	1542	0.02	258.10	11260.01	
171.05	28473	0.34	215.05	6276	0.07	259.10	5820	0.07

260.05	3486	0.04	280.00	156	0.00	**301.15**	**2265**	**0.03**
261.05	742	0.01	280.90	657	0.01	**302.15**	**100451**	**1.20**
262.05	1480	0.02	281.90	145	0.00	**303.15**	**26701**	**0.32**
262.95	559	0.01	282.90	302	0.00	**304.10**	**3831**	**0.05**
264.00	212	0.00	283.90	60	0.00	305.10	233	0.00
265.00	156	0.00	285.10	1756	0.02	306.10	132	0.00
266.00	84	0.00	286.15	1013	0.01	307.10	38	0.00
267.00	202	0.00	287.10	35177	0.42	308.10	10	0.00
268.00	284	0.00	288.05	8209	0.10	309.10	27	0.00
269.10	636	0.01	289.10	871	0.01	310.10	11	0.00
270.10	246	0.00	290.10	180	0.00	311.10	18	0.00
271.10	2377	0.03	291.10	54	0.00	312.10	78	0.00
272.05	721	0.01	292.10	19	0.00	314.10	84	0.00
273.15	969	0.01	294.10	19	0.00	315.10	5	0.00
274.00	423	0.01	295.10	57	0.00	316.10	14	0.00
275.00	110	0.00	296.10	18	0.00	317.10	55	0.00
276.00	100	0.00	297.10	62	0.00	318.05	363	0.00
277.00	113	0.00	298.10	57	0.00	319.10	84	0.00
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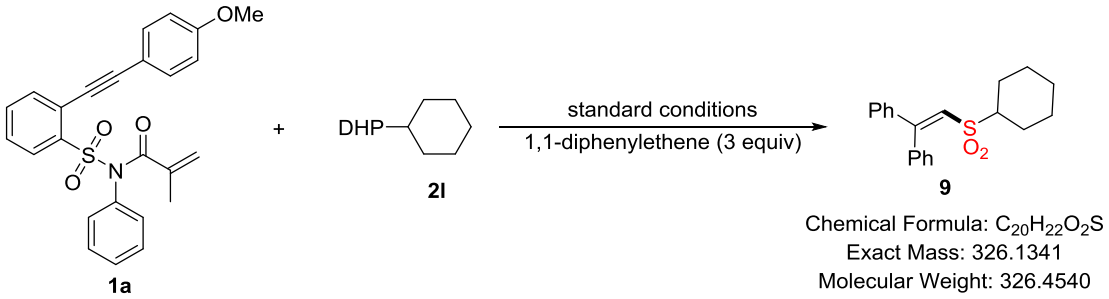
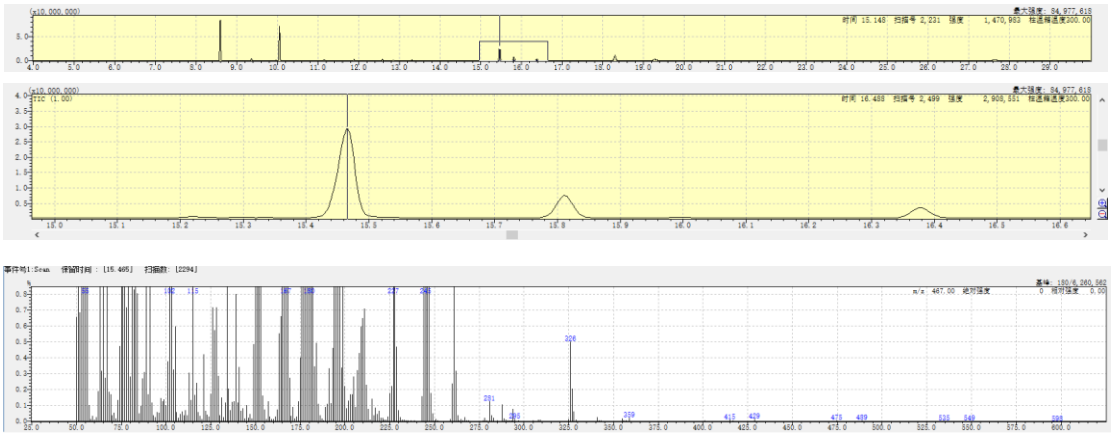
279.00	50	0.00	300.05	703	0.01			



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



[MS Spectrum]
# of Peaks 547
Raw Spectrum 15.465 (scan : 2294)
Background No Background Spectrum
Base Peak m/z 180.00 (Inten : 6,260,562)
Event# 1

m/z	Absolute Intensity	Relative Intensity	m/z	Absolute Intensity	Relative Intensity	m/z	Absolute Intensity	Relative Intensity
50.00	41393	0.66	87.00	17070	0.27	124.05	2029	0.03
51.00	222874	3.56	88.05	19585	0.31	125.05	11097	0.18
52.00	43022	0.69	89.05	66916	1.07	126.05	45140	0.72
53.00	122551	1.96	90.00	10772	0.17	127.05	36064	0.58
54.05	111968	1.79	91.05	62792	1.00	128.05	45091	0.72
55.05	2705470	43.21	92.05	7563	0.12	129.05	18015	0.29
56.05	122769	1.96	93.00	2463	0.04	130.05	2442	0.04
57.05	6622	0.11	94.05	1844	0.03	131.10	9542	0.15
57.95	1047	0.02	95.00	3804	0.06	132.05	1815	0.03
59.00	2274	0.04	96.05	3566	0.06	133.05	7604	0.12
59.95	765	0.01	97.00	9225	0.15	134.00	66126	1.06
61.00	1809	0.03	98.00	7970	0.13	135.05	12940	0.21
62.00	12033	0.19	98.95	8819	0.14	136.00	4466	0.07
63.00	60458	0.97	100.05	6492	0.10	137.05	7642	0.12
64.00	20033	0.32	101.05	23762	0.38	138.05	7931	0.13
65.05	57339	0.92	102.05	208570	3.33	139.05	50287	0.80
66.15	17319	0.28	103.05	186824	2.98	140.05	7517	0.12
67.05	175589	2.80	104.05	20535	0.33	141.05	21524	0.34
68.05	11780	0.19	105.05	37502	0.60	142.05	4157	0.07
69.00	10799	0.17	106.00	3745	0.06	143.10	5340	0.09
69.95	2399	0.04	107.05	1502	0.02	144.10	11460.02	
71.00	3548	0.06	108.05	2882	0.05	145.05	6565	0.10
72.05	1367	0.02	109.00	3894	0.06	146.05	1527	0.02
73.05	8394	0.13	110.05	2614	0.04	146.95	3009	0.05
74.00	29674	0.47	111.00	4471	0.07	148.05	1337	0.02
75.00	55631	0.89	112.05	2533	0.04	149.00	30626	0.49
76.00	140106	2.24	113.05	19328	0.31	150.00	62402	1.00
77.00	302484	4.83	114.05	85110.14		151.05	186571	2.98
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79.05	76929	1.23	116.05	10439	0.17	153.00	91327	1.46
80.05	17847	0.29	117.05	15360	0.25	154.00	10207	0.16
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82.15	52142	0.83	119.00	2231	0.04	156.15	1082	0.02
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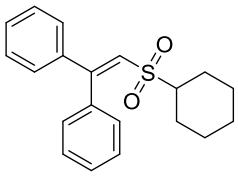
84.05	50696	0.81	121.00	26530	0.42	158.00	2062	0.03
85.05	3375	0.05	122.00	4273	0.07	159.05	932	0.01
86.00	6303	0.10	123.00	2788	0.04	160.00	983	0.02

161.05	2033	0.03	205.00	17894	0.29	248.95	3338	0.05
162.05	4793	0.08	205.95	5639	0.09	249.95	581	0.01
163.00	34727	0.55	206.95	20357	0.33	250.90	935	0.01
164.05	41541	0.66	207.95	26982	0.43	251.95	379	0.01
165.00	717175	11.46	208.95	37576	0.60	252.95	357	0.01
166.05	156699	2.50	209.95	40832	0.65	253.90	162	0.00
167.00	967154	15.45	210.95	44580	0.71	254.90	255	0.00
168.00	150026	2.40	211.95	14468	0.23	255.90	351	0.01
169.00	17186	0.27	212.95	5072	0.08	256.90	327	0.01
170.00	2278	0.04	213.95	819	0.01	258.00	342	0.01
170.95	5676	0.09	214.95	8912	0.14	259.05	984	0.02
172.00	1274	0.02	216.00	2474	0.04	260.05	14925	0.24
173.05	2009	0.03	216.95	5401	0.09	261.05	76302	1.22
174.00	8084	0.13	218.00	2966	0.05	262.00	20150	0.32
175.05	25195	0.40	219.05	4314	0.07	263.05	2439	0.04
176.00	369460	5.90	220.00	1428	0.02	263.95	404	0.01
177.05	354760	5.67	220.95	1591	0.03	264.90	1119	0.02
178.00	2695054	43.05	221.95	740	0.01	265.95	577	0.01
179.00	3261786	52.10	223.00	794	0.01	266.90	1639	0.03
180.00	6260562	100.00	224.00	1942	0.03	268.00	550	0.01
181.00	982846	15.70	224.95	11225	0.18	269.00	399	0.01
182.00	78798	1.26	225.95	13907	0.22	270.00	111	0.00
183.00	21687	0.35	226.95	436966	6.98	271.00	130	0.00
183.95	31101	0.50	227.90	92298	1.47	272.00	70	0.00
184.95	7118	0.11	228.90	29599	0.47	273.00	146	0.00
185.95	2590	0.04	229.95	4522	0.07	274.00	220	0.00
187.00	1167	0.02	231.00	1686	0.03	275.00	132	0.00
187.95	507	0.01	232.05	794	0.01	276.00	287	0.00
189.00	5692	0.09	233.00	766	0.01	277.10	406	0.01
190.00	6986	0.11	234.10	518	0.01	278.10	1535	0.02
191.00	21129	0.34	235.05	492	0.01	279.05	394	0.01
192.00	7335	0.12	236.00	326	0.01	280.00	143	0.00
193.05	29108	0.46	237.05	391	0.01	280.95	7928	0.13
194.05	176487	2.82	238.00	199	0.00	281.95	2443	0.04
195.00	1628287	26.01	238.90	442	0.01	282.90	1575	0.03
196.00	1145332	18.29	239.90	247	0.00	283.90	335	0.01
196.95	188937	3.02	240.90	124	0.00	285.00	494	0.01
198.00	21260	0.34	241.90	210	0.00	286.00	159	0.00
198.95	84565	1.35	242.95	9891	0.16	286.90	140	0.00
199.95	14010	0.22	243.95	77592	1.24	287.95	6829	0.11
200.95	5172	0.08	244.95	1056508	16.88	288.90	1255	0.02
201.95	8367	0.13	245.95	172713	2.76	290.00	796	0.01
203.00	10820	0.17	246.95	81324	1.30	291.00	394	0.01
204.00	10887	0.17	247.90	11220	0.18	292.00	386	0.01

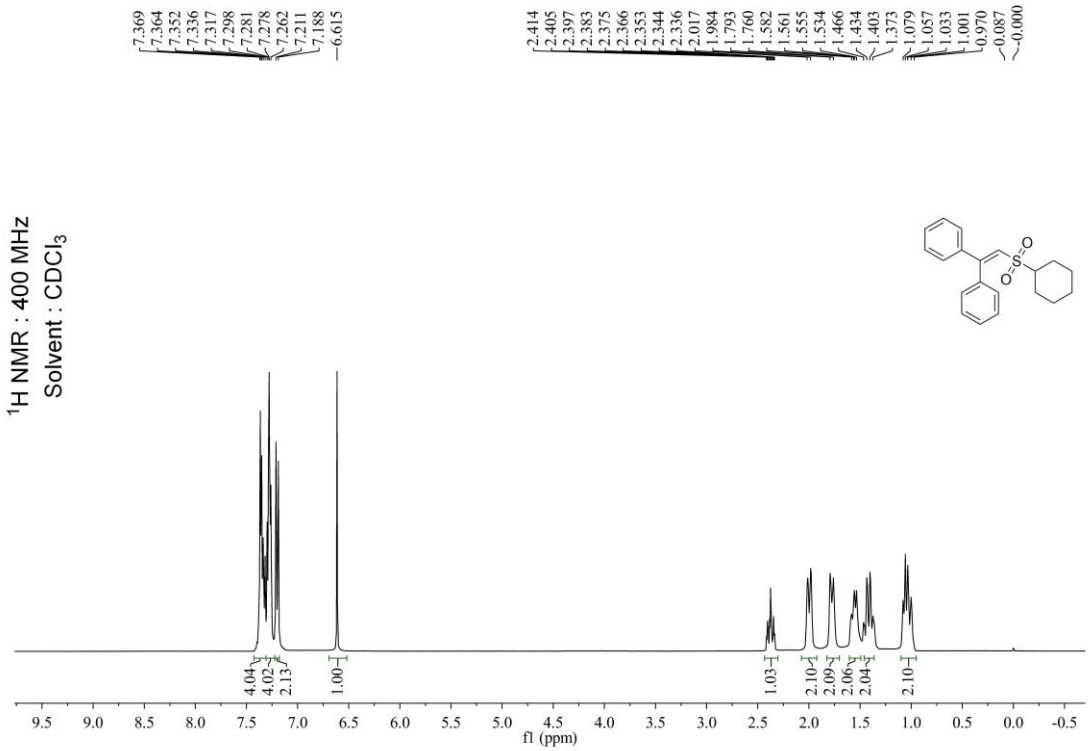
293.05	411	0.01	309.00	742	0.01	**325.05**	**1021**	**0.02**
294.00	4934	0.08	310.00	270	0.00	**326.00**	**31548**	**0.50**
295.00	1076	0.02	311.00	262	0.00	**326.95**	**13098**	**0.21**
296.00	329	0.01	312.00	106	0.00	**327.95**	**4127**	**0.07**
297.00	388	0.01	313.00	300	0.00	329.05	743	0.01
298.00	175	0.00	314.00	65	0.00	330.00	348	0.01
299.00	188	0.00	315.00	169	0.00	331.00	94	0.00
300.00	239	0.00	316.00	82	0.00	332.00	21	0.00
301.00	60	0.00	317.00	132	0.00	333.00	94	0.00
302.00	76	0.00	318.00	134	0.00	334.00	66	0.00
303.00	97	0.00	319.00	220	0.00	335.00	78	0.00
304.00	247	0.00	320.00	183	0.00	336.00	58	0.00
305.05	396	0.01	321.00	90	0.00			
306.00	70	0.00	322.00	81	0.00			
307.00	58	0.00	323.00	24	0.00			
307.95	750	0.01	324.00	204	0.00			

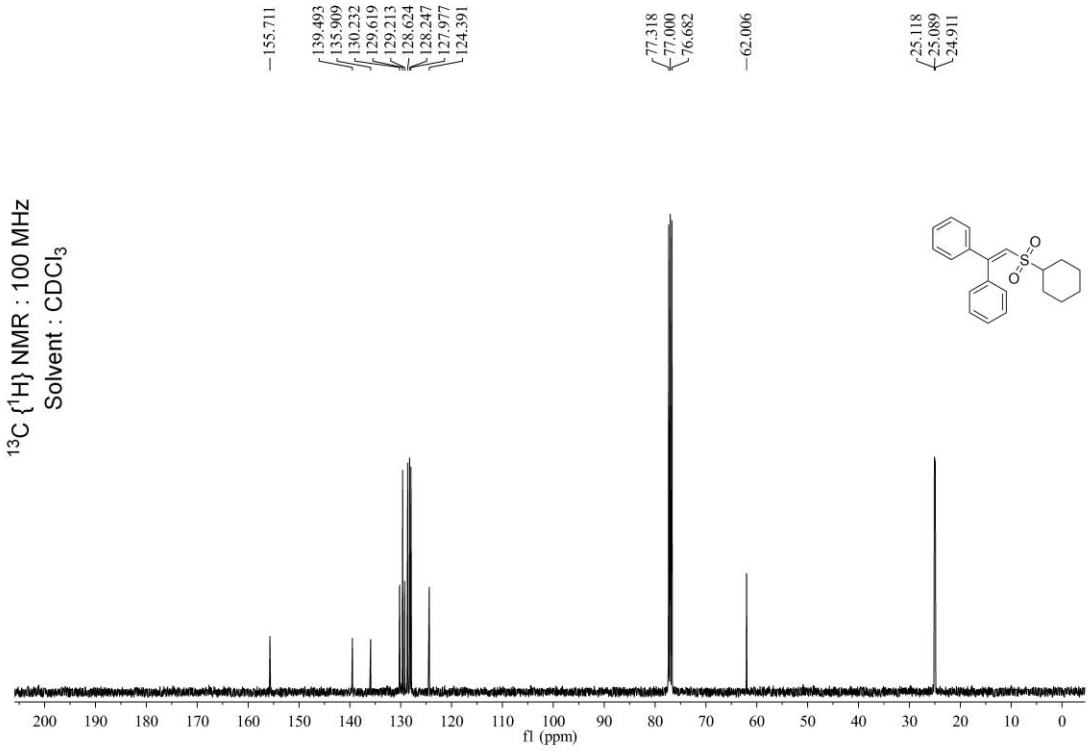
The date and NMR spectra of 9.

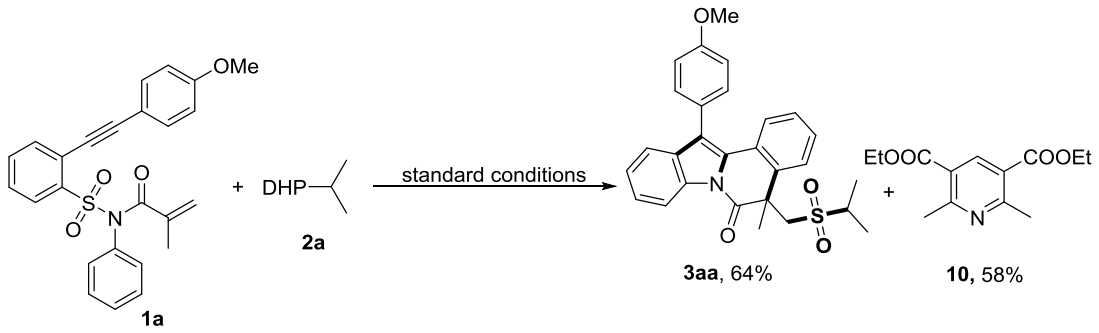


(2-(cyclohexylsulfonyl)ethene-1,1-diyl)dibenzene (9): yield: 33.2 mg, 51%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.37-7.31 (m, 4H), 7.30-7.26 (m, 2H), 7.20 (d, *J* = 9.2 Hz, 2H), 6.62 (s, 1H), 2.42-2.33 (m, 1H), 2.00 (d, *J* = 13.2 Hz, 1H), 1.78 (d, *J* = 13.2 Hz, 1H), 1.59-1.53 (m, 2H), 1.47-1.37 (m, 2H), 1.08-0.97 (m, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 155.7, 139.5, 135.9, 130.2, 129.6, 129.2, 128.6, 128.3, 128.0, 124.4, 62.0, 25.1, 25.1, 24.9; HRMS (ESI-TOF) *m/z*: $C_{20}H_{23}O_2S(M + H)^+$ calcd for 327.1413, found 327.1416.

(2-(cyclohexylsulfonyl)ethene-1,1-diyl)dibenzene (9)



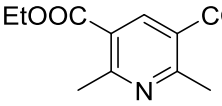


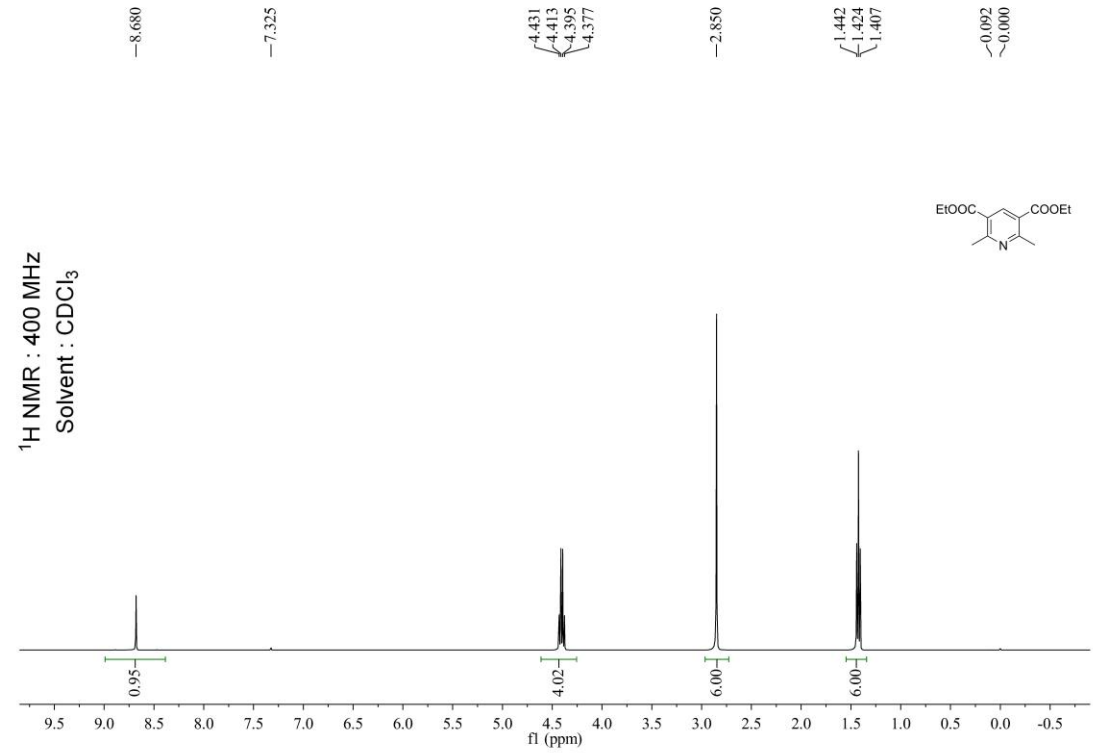


To a schlenk tube were added *N*-(aryl)[(2-ethynyl)arylsulfonyl]acrylamide **1a** (1.0 mmol, 431.1 mg), Hantzsch esters **2a** (2 equiv., 2.0 mmol, 590.4 mg), under standard conditions and argon atmosphere for 36 hours. The residue was purified by silica gel rapid column chromatography to give **10** (58% yield) as a white solid.

The date and NMR spectra of 10.

Diethyl-2,6-dimethylpyridine-3,5-dicarboxylate (10): Yield: 291.3 mg, 58%; white solid, mp 63.1-63.6 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.68 (s, 1H), 4.44-4.37 (m, 4H), 2.85 (s, 6H), 1.42 (t, J = 7.0 Hz, 6H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 165.8, 162.1, 140.8, 122.9, 61.3, 24.8, 14.2; HRMS (ESI-TOF) *m/z*: $C_{13}H_{18}NO_4$ $(M + H)^+$ calcd for 252.2820, found 252.2825.

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7.325
4.431
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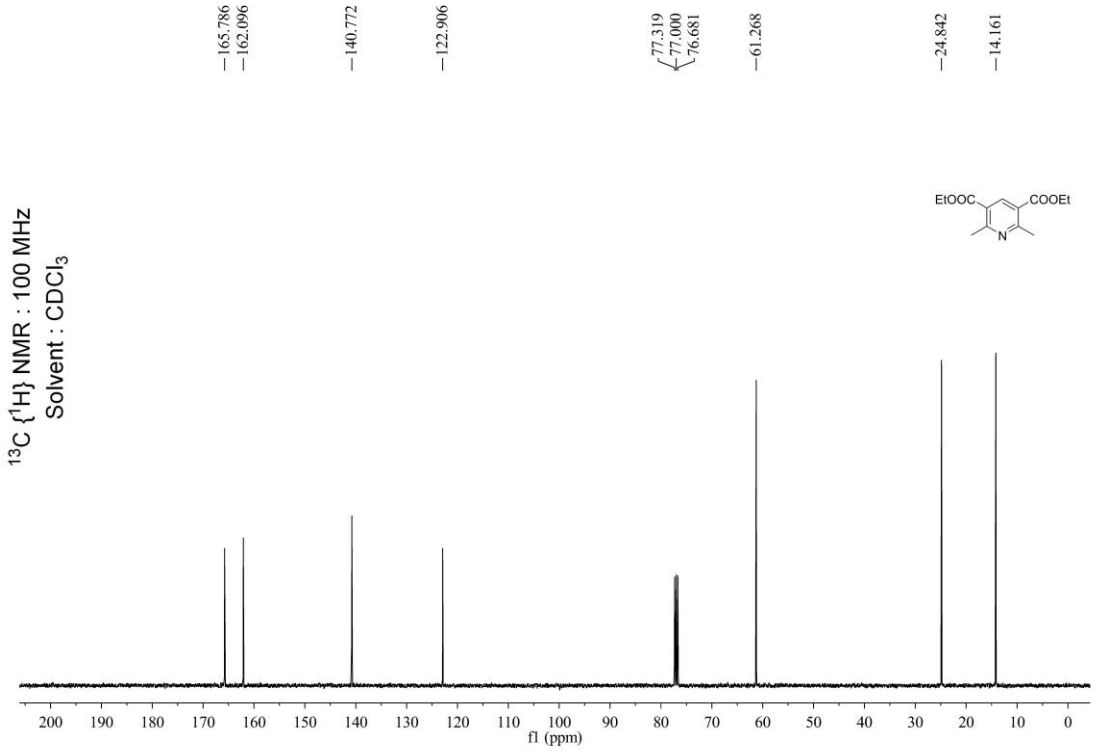
4.395
4.377
2.850
1.442
1.424
1.407
0.092
0.000
EtOOC
COOEt
N
1H NMR : 400 MHz
Solvent : CDCl3
0.95
4.02
6.00
6.00
f1 (ppm)


165.786
162.096
140.772
122.906
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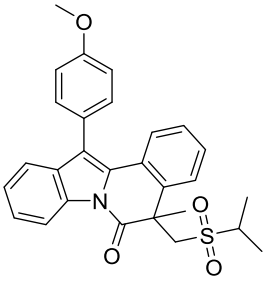
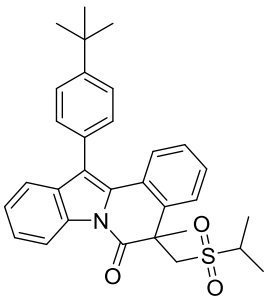
77.000
76.681
61.268
24.842
14.161
EtOOC
COOEt
N
13C {1H} NMR : 100 MHz
Solvent : CDCl3
f1 (ppm)

3. Characterization Data for All Products

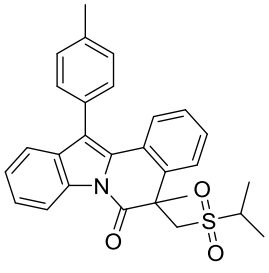
5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3aa): Yield: 66.2 mg, 70%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.60 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.44-7.37 (m, 3H), 7.33-7.24 (m, 3H), 7.10-7.05 (m, 3H), 4.46 (d, *J* = 14.4 Hz, 1H), 3.92 (s, 3H), 3.79 (d, *J* = 14.4 Hz, 1H), 3.03-2.92 (m, 1H), 1.71 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 159.4, 135.4, 134.3, 132.7, 131.3, 129.2, 128.0, 127.3, 126.2, 125.9, 125.8, 125.6, 125.0, 124.5, 120.6, 119.5, 116.6, 114.6, 57.1, 55.5, 55.3, 46.8, 31.3, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_4S$ $(M + H)^+$ calcd for 474.1734, found 474.1738.

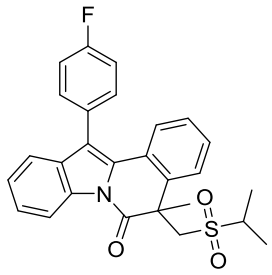


12-(4-(tert-butyl)phenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ba): Yield: 53.9 mg, 54%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.4 Hz, 1H), 7.55-7.52 (m, 3H), 7.48-7.36 (m, 4H), 7.33-7.23 (m, 3H), 7.06 (t, *J* = 8.2 Hz, 1H), 4.45 (d, *J* = 14.4 Hz, 1H), 3.79 (d, *J* = 14.4 Hz, 1H), 3.00-2.93 (m, 1H), 1.71 (s, 3H), 1.43 (s, 9H), 1.35 (d, *J* = 6.8 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 150.9, 135.4, 134.3, 132.6, 130.7, 129.7, 129.1, 128.0, 127.3, 126.2, 126.0, 125.7, 125.7, 125.0, 124.5, 120.9, 119.7, 116.5, 57.1, 55.5, 46.8, 34.7, 31.4, 31.3, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{31}H_{34}NO_3S$ $(M + H)^+$ calcd for 500.2245, found 500.2247

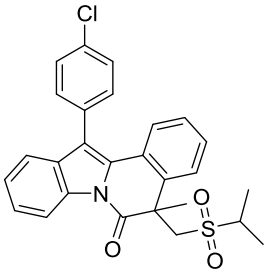


5-((isopropylsulfonyl)methyl)-5-methyl-12-(p-tolyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ca): Yield: 53.0 mg, 58%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.60 (d, *J* = 8.0 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.41-7.31 (m, 5H), 7.30-7.24 (m, 3H), 7.06 (t, *J* = 7.6 Hz, 1H), 4.46 (d, *J* = 14.4 Hz, 1H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.03-2.92 (m, 1H), 2.48 (s, 3H), 1.71 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 137.8, 135.4, 134.3, 132.5, 130.8, 130.0, 129.9, 129.1, 128.0, 127.3, 126.2, 125.8, 125.7, 125.0, 124.5, 120.9, 119.5, 116.5, 57.1, 55.5, 46.8, 31.3, 21.4, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_3S$ $(M + H)^+$ calcd for 458.1784, found 458.1788.

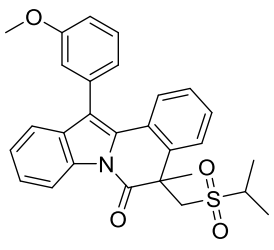


12-(4-fluorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3da): 
Yield: 55.3 mg, 60%; white solid, mp 171.7-172.3 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.50-7.46 (m, 3H), 7.45-7.38 (m, 2H), 7.35-7.22 (m, 5H), 7.08 (t, *J* = 7.0 Hz, 1H), 4.46 (d, *J* = 14.4 Hz, 1H), 3.79 (d, *J* = 14.4 Hz, 1H), 3.03-2.92 (m, 1H), 1.71 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{19}F NMR (282 MHz, $CDCl_3$) δ: -113.5 (s, 1F); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 162.6 (d, *J* = 245.9 Hz, 1C), 135.6, 134.2, 132.3, 131.9 (d, *J* = 8.1 Hz, 1C), 129.8 (d, *J* = 3.4 Hz, 1C), 129.5, 128.2, 127.4, 126.4, 125.9, 125.5, 124.7, 124.7, 119.6, 119.3, 116.6, 116.3 (d, *J* = 21.3 Hz, 1C), 57.1, 55.6, 46.7, 31.3, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{27}H_{25}FNO_3S$ $(M + H)^+$ calcd for 462.1534, found 462.1531.

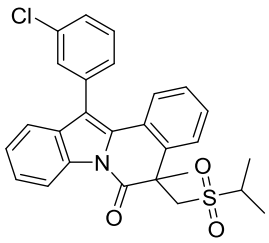
12-(4-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ea): Yield: 59.1 mg, 62%; yellow solid, mp 193.8-194.3 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.55-7.44 (m, 6H), 7.43-7.38 (m, 1H), 7.36-7.31 (m, 1H), 7.29-7.24 (m, 2H), 7.10 (t, *J* = 7.6 Hz, 1H), 4.47 (d, *J* = 14.4 Hz, 1H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.03-2.93 (m, 1H), 1.72 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.30 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 135.6, 134.3, 134.1, 132.5, 132.1, 131.7, 129.5, 129.5, 128.3, 127.5, 126.4, 126.0, 125.6, 124.7, 124.6, 119.4, 119.2, 116.7, 57.1, 55.6, 46.8, 31.4, 15.5, 14.5; HRMS (ESI-TOF) *m/z*: $C_{27}H_{25}ClNO_3S$ $(M + H)^+$ calcd for 478.1238, found 478.1234.



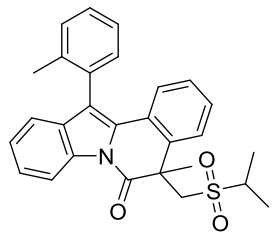
5-((isopropylsulfonyl)methyl)-12-(3-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3fa): Yield: 49.2 mg, 52%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.53-7.43 (m, 3H), 7.42-7.37 (m, 1H), 7.34-7.25 (m, 3H), 7.10-7.02 (m, 4H), 4.46 (d, *J* = 14.0 Hz, 1H), 3.84-3.77 (m, 4H), 3.01-2.93 (m, 1H), 1.72 (s, 3H), 1.36 (d, *J* = 7.2 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 160.2, 135.4, 135.3, 134.2, 132.4, 130.3, 129.2, 128.1, 127.4, 126.2, 125.8, 125.8, 124.8, 124.6, 122.5, 120.6, 119.5, 116.5, 115.1, 114.0, 57.1, 55.5, 55.3, 46.8, 31.4, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_4S$ $(M + H)^+$ calcd for 474.1734, found 474.1739.



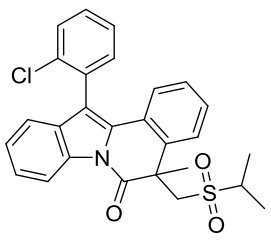
12-(3-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one(3ga): Yield: 66.8 mg, 70%; yellow solid, mp 198.4-198.9 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.52-7.47 (m, 4H), 7.45-7.38 (m, 3H), 7.35-7.24 (m, 3H), 7.10 (t, *J* = 7.8 Hz, 1H), 4.46 (d, *J* = 14.0 Hz, 1H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.03-2.92 (m, 1H), 1.72 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.29 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 135.9, 135.6, 135.0, 134.2, 132.0, 130.5, 130.2, 129.6, 128.5, 128.4, 128.3, 127.5, 126.4, 126.0, 125.6, 124.7, 124.5, 119.2, 119.2, 116.6, 57.1, 55.6, 46.7, 31.3, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{27}H_{25}ClNO_3S$ $(M + H)^+$ calcd for 478.1238, found 478.1234.



5-((isopropylsulfonyl)methyl)-5-methyl-12-(o-tolyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one(3ha): diastereoisomer mixture: *dr* = 1:1; Yield: 53.9 mg, 59%; yellow oil;^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.64-8.60 (m, 1H), 7.49-7.46 (m, 1H), 7.43-7.35 (m, 3H), 7.35-7.21 (m, 5H), 7.13 (t, *J* = 7.6 Hz, 1H), 7.05 (t, *J* = 7.0 Hz, 1H), 4.50-4.40 (m, 1H), 3.82-3.76 (m, 1H), 3.01-2.93 (m, 0.5H), 2.87-2.80 (m, 0.5H), 2.13 (s, 1.6H), 2.10 (s, 1.4H), 1.74 (s, 1.6H), 1.71 (s, 1.4H), 1.37-1.28 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 171.1, 138.00, 137.1, 135.3, 135.3, 134.4, 134.4, 133.3, 133.2, 132.2, 132.0, 130.7, 130.7, 130.5, 130.2, 129.5, 129.4, 128.4, 128.3, 128.1, 128.0, 127.8, 127.7, 126.6, 126.5, 126.3, 126.2, 125.8, 125.8, 125.3, 125.2, 125.0, 124.9, 124.6, 120.6, 119.6, 119.6, 116.7, 116.5, 57.5, 57.0, 55.5, 55.3, 46.7, 46.4, 31.6, 31.3, 19.8, 19.7, 15.4, 15.1, 14.8, 14.6; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_3S$ $(M + H)^+$ calcd for 458.1784, found 458.1788.

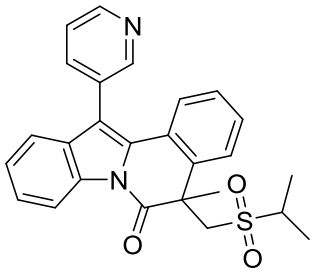


12-(2-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ia): diastereoisomer mixture: *dr* = 1:1; Yield: 73.5 mg, 77%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.62 (d, *J* = 8.0 Hz, 1H), 7.65-7.58 (m, 1H), 7.53-7.38 (m, 5H), 7.34-7.20 (m, 3H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.08 (t, *J* = 7.6 Hz, 1H), 4.49-4.39 (m, 1H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.01-2.94 (m, 0.5H), 2.88-2.81 (m, 0.5H), 1.71 (s, 3H), 1.37-1.25 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 171.0, 135.6, 135.5, 135.0, 134.4, 134.3, 134.3, 133.0, 132.9, 132.5, 132.0, 131.7, 131.4, 130.4, 130.3, 130.2, 129.8, 129.7, 128.3, 128.3, 127.7, 127.6, 127.5, 127.4, 126.3, 126.2, 125.9, 125.8, 125.4, 125.1,



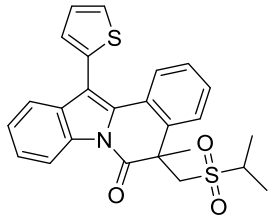
124.9, 124.8, 124.6, 124.6, 119.5, 119.2, 117.6, 117.1, 116.6, 57.2, 57.0, 55.5, 55.2, 46.8, 46.7, 31.6, 31.2, 15.4, 15.1, 14.8, 14.5; HRMS (ESI-TOF) *m/z*: $C_{27}H_{25}ClNO_3S$ $(M + H)^+$ calcd for 478.1238, found 478.1234.

5-((isopropylsulfonyl)methyl)-5-methyl-12-(pyridin-3-yl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ja): Yield: 48.8 mg, 55%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ:



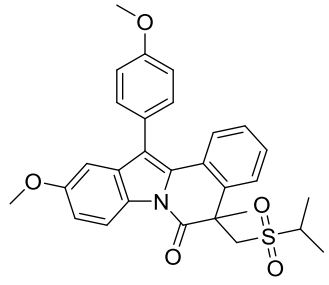
8.79-8.75 (m, 2H), 8.63 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 9.2 Hz, 1H), 7.51 (d, *J* = 7.6 Hz, 2H), 7.45-7.23 (m, 5H), 7.09 (t, *J* = 7.6 Hz, 1H), 4.47 (d, *J* = 14.4 Hz, 1H), 3.81 (d, *J* = 15.2 Hz, 1H), 3.04-2.93 (m, 1H), 1.74 (s, 3H), 1.37 (d, *J* = 6.8 Hz, 3H), 1.30 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 151.0, 149.3, 138.0, 135.7, 134.3, 132.0, 130.3, 130.3, 128.5, 127.6, 126.6, 126.2, 125.4, 124.9, 124.5, 124.0, 119.0, 116.8, 116.7, 57.2, 55.6, 46.8, 31.3, 15.4, 14.6; HRMS (ESI-TOF) *m/z*:$C_{26}H_{25}N_2O_3S$ $(M + H)^+$ calcd for 445.1580, found 445.1583.

5-((isopropylsulfonyl)methyl)-5-methyl-12-(thiophen-2-yl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ka): Yield: 56.6 mg, 63%; black oil; ^{1}H NMR (400 MHz,



$CDCl_3$) δ: 8.59 (d, *J* = 8.0 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.55(d, *J* = 5.2 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.43-7.36 (m, 2H), 7.35-7.28 (m, 2H), 7.26-7.23 (m, 1H), 7.20 (d, *J* = 3.6 Hz, 1H), 7.14 (t, *J* = 7.8 Hz, 1H), 4.46 (d, *J* = 14.4 Hz, 1H), 3.80 (d, *J* = 14.0 Hz, 1H), 3.02-2.91 (m, 1H), 1.71 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.30 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.2, 135.7, 134.1, 134.1, 132.8, 131.2, 128.5, 128.5, 127.9, 127.6, 127.3, 126.3, 126.0, 126.0, 124.8, 124.5, 119.5, 116.5, 113.0, 57.1, 55.6, 46.9, 31.3, 15.4, 14.6; HRMS (ESI-TOF) *m/z*: $C_{25}H_{24}NO_3S_2$$(M + H)^+$ calcd for 450.1192, found 450.1196.

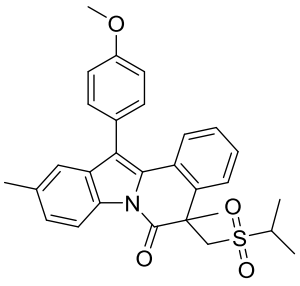
5-((isopropylsulfonyl)methyl)-10-methoxy-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3la): Yield: 80.4 mg, 80%; yellow



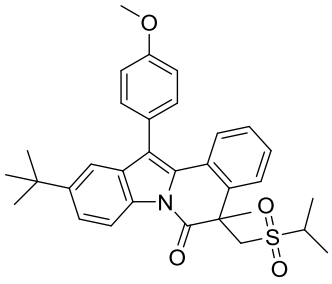
solid, mp 164.3-164.8 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.49 (d, *J* = 8.8 Hz, 1H), 7.50-7.40 (m, 4H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.09-7.03 (m, 3H), 6.98 (d, *J* = 9.2 Hz, 1H), 6.72 (s, 1H), 4.42 (d, *J* = 14.4 Hz, 1H), 3.91 (s, 3H), 3.78-3.74 (m, 4H), 3.00-2.89 (m, 1H), 1.69 (s, 3H), 1.34 (d, *J* = 6.8 Hz, 3H), 1.27 (d, *J* = 6.8 Hz, 3H);

$^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 170.6, 159.3, 157.3, 135.5, 133.7, 131.2, 129.9, 128.8, 127.9, 127.3, 126.3, 125.8, 125.5, 125.0, 120.3, 117.4, 114.7, 114.0, 102.2, 57.1, 55.6, 55.4, 55.2, 46.5, 31.3, 15.3, 14.5; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_5S$ $(M + H)^+$ calcd for 504.1839, found 504.1842.

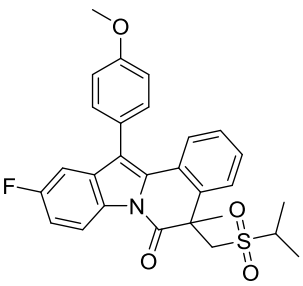
5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,10-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ma): Yield: 69.1 mg, 71%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.46 (d, *J* = 8.0 Hz, 1H), 7.52-7.40 (m, 4H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.24-7.16 (m, 1H), 7.09-6.99 (m, 4H), 4.44 (d, *J* = 14.4 Hz, 1H), 3.91 (s, 3H), 3.78 (d, *J* = 14.0 Hz, 1H), 3.00-2.93 (m, 1H), 2.39 (s, 3H), 1.69 (s, 3H), 1.35 (d, *J* = 6.8 Hz, 3H), 1.28 (d, *J* = 6.8 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 170.8, 159.3, 135.4, 134.2, 132.8, 132.4, 131.3, 129.3, 127.9, 127.3, 127.0, 126.2, 126.0, 125.5, 125.1, 120.4, 119.4, 116.2, 114.6, 57.0, 55.4, 55.3, 46.6, 31.3, 21.4, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_4S$ $(M + H)^+$ calcd for 488.1890, found 488.1894.



10-(tert-butyl)-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3na): Yield: 79.2 mg, 75%; yellow solid, mp 179.8-180.3 °C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.52 (d, *J* = 8.4 Hz, 1H), 7.51-7.42 (m, 5H), 7.31-7.27 (m, 2H), 7.10-7.03 (m, 3H), 4.44 (d, *J* = 14.4 Hz, 1H), 3.92 (s, 3H), 3.77 (d, *J* = 14.4 Hz, 1H), 3.00-2.92 (m, 1H), 1.69 (s, 3H), 1.36-1.32 (m, 12H), 1.28 (d, *J* = 6.8 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 170.8, 159.3, 147.8, 135.4, 132.4, 132.3, 131.3, 129.3, 127.8, 127.2, 126.2, 126.0, 125.5, 125.1, 123.7, 120.8, 116.0, 115.5, 114.6, 57.1, 55.4, 55.2, 46.6, 34.8, 31.6, 31.2, 15.4, 14.5; HRMS (ESI-TOF) *m/z*: $C_{32}H_{36}NO_4S$ $(M + H)^+$ calcd for 530.2360, found 530.2365.

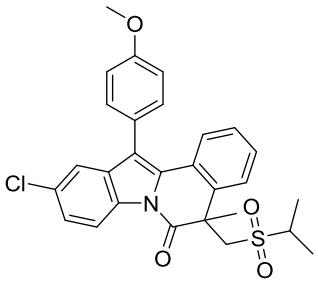


10-fluoro-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3oa): Yield: 49.9 mg, 51%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.57-8.53 (m, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.09 (t, *J* = 7.6 Hz, 4H), 6.96-6.92 (m, 1H), 4.44 (d, *J* = 14.4 Hz, 1H), 3.92 (s, 3H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.00-2.92 (m, 1H), 1.72 (s, 3H),

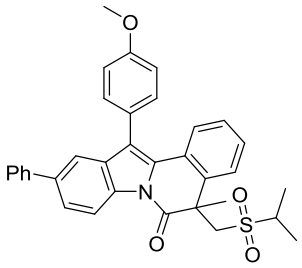


1.36 (d, *J* = 6.8 Hz, 3H), 1.30 (d, *J* = 6.8 Hz, 3H); ^{19}F NMR (282 MHz, $CDCl_3$) δ: -117.7 (s, 1F); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.0, 160.5 (d, *J* = 240.0 Hz, 1C), 159.5, 135.5, 134.0 (d, *J* = 9.5 Hz, 1C), 131.2, 130.7, 130.5, 128.3, 127.4, 126.3, 125.7, 125.3, 124.7, 120.1 (d, *J* = 4.0 Hz, 1C), 117.7 (d, *J* = 9.0 Hz, 1C), 114.8, 113.2 (d, *J* = 24.4 Hz, 1C), 105.2 (d, *J* = 24.3 Hz, 1C), 57.1, 55.5, 55.3, 46.6, 31.3, 15.4, 14.6; HRMS (ESI-TOF) *m/z*: $C_{28}H_{27}FNO_4S$ $(M + H)^+$ calcd for 492.1639, found 492.1636.

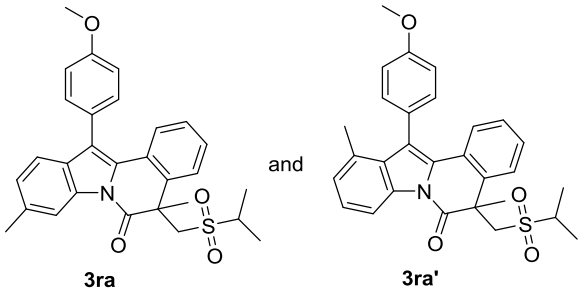
10-chloro-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3pa): Yield: 56.7 mg, 56%; yellow solid, mp 203.2-203.7 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.52 (d, *J* = 8.8 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.36-7.31 (m, 2H), 7.24 (d, *J* = 2.0 Hz, 1H), 7.12-7.07 (m, 3H), 4.44 (d, *J* = 14.0 Hz, 1H), 3.93 (s, 3H), 3.79 (d, *J* = 14.0 Hz, 1H), 3.02-2.91 (m, 1H), 1.72 (s, 3H), 1.36 (d, *J* = 6.8 Hz, 3H), 1.30 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 13C NMR (101 MHz, CDCl3) δ 171.1, 159.6, 135.5, 134.1, 132.6, 131.3, 130.5, 130.3, 128.4, 127.5, 126.4, 125.8, 125.8, 125.2, 124.7, 119.7, 119.1, 117.6, 114.8, 57.2, 55.6, 55.4, 46.6, 31.3, 15.4, 14.6; HRMS (ESI-TOF) *m/z*: $C_{28}H_{27}ClNO_4S$ $(M + H)^+$ calcd for 508.1344, found 508.1340.



5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methyl-10-phenylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3qa): Yield: 81.3 mg, 74%; yellow solid, mp 189.4-189.9 ^{o}C(uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.67 (d, J = 8.0 Hz, 1H), 7.63 (d, J = 8.4 Hz, 1H), 7.59-7.53 (m, 3H), 7.48-7.45 (m, 4H), 7.39 (t, J = 7.6 Hz, 2H), 7.29 (t, J = 7.2 Hz, 2H), 7.07 (t, J = 7.6 Hz, 3H), 4.44 (d, J = 14.4 Hz, 1H), 3.90 (s, 3H), 3.78 (d, J = 14.4 Hz, 1H), 2.98-2.90 (m, 1H), 1.70 (s, 3H), 1.34 (d, J = 6.8 Hz, 3H), 1.28 (d, J = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.0, 159.4, 141.4, 138.0, 135.4, 133.6, 133.2, 131.3, 129.8, 128.6, 128.0, 127.3, 127.3, 126.9, 126.3, 125.7, 125.6, 125.2, 124.9, 120.6, 117.8, 116.7, 114.7, 57.1, 55.4, 55.2, 46.6, 31.2, 15.3, 14.5; HRMS (ESI-TOF) m/z: $C_{34}H_{32}NO_4S$$(M + H)^+$ calcd for 550.2047, found 550.2051.

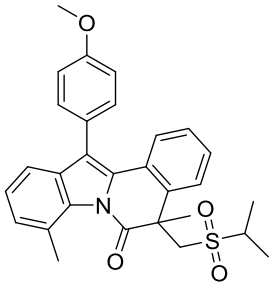


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,9-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one(3ra) and 5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,11-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ra') mixture: 3ra : 3ra' = 3:1; Yield: 65.3 mg, 67%;

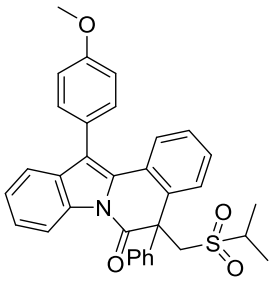


yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.54 (d, *J* = 8.0 Hz, 0.75H), 8.44 (s, 0.25H), 7.52-7.40 (m, 2H), 7.33-7.24 (m, 3H), 7.18 (t, *J* = 7.2 Hz, 1H), 7.12-6.99 (m, 4H), 4.48-4.43 (m, 1H), 3.93 (s, 2H), 3.92 (s, 1H), 3.81-3.75 (m, 1H), 3.02-2.93 (m, 1H), 2.51 (s, 0.75H), 1.97 (s, 2.25H), 1.70 (d, *J* = 8.4 Hz, 3H), 1.38-1.34 (m, 3H), 1.31-1.28 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 171.1, 159.4, 159.3, 136.1, 135.3, 135.2, 134.6, 134.5, 131.9, 131.5, 131.3, 131.2, 130.5, 129.9, 129.6, 128.3, 127.8, 127.4, 127.3, 126.7, 126.2, 126.1, 125.9, 125.5, 125.5, 125.2, 125.2, 121.4, 120.7, 119.2, 116.8, 114.6, 114.6, 114.5, 114.4, 57.2, 57.1, 55.5, 55.3, 55.3, 46.7, 31.5, 31.4, 19.9, 15.5, 15.5, 14.6; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_4S$ $(M + H)^+$ calcd for 488.1890, found 488.1894.

5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,8-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3sa): Yield: 56.5 mg, 58%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.46-7.37 (m, 4H), 7.27 (t, *J* = 7.8 Hz, 1H), 7.22-7.14 (m, 3H), 7.07-7.03 (m, 3H), 4.39 (d, *J* = 14.0 Hz, 1H), 3.91 (s, 3H), 3.72 (d, *J* = 14.0 Hz, 1H), 2.97-2.86 (m, 1H), 2.63 (s, 3H), 1.79 (s, 3H), 1.34 (d, *J* = 6.8 Hz, 3H), 1.27 (d, *J* = 6.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 170.8, 159.3, 135.6, 134.6, 134.3, 131.6, 131.4, 128.8, 127.9, 127.3, 126.9, 126.3, 126.2, 125.9, 125.8, 124.9, 120.9, 117.3, 114.6, 57.3, 55.4, 55.3, 47.1, 30.9, 22.3, 15.4, 14.6; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_4S$ $(M + H)^+$ calcd for 488.1890, found 488.1894.

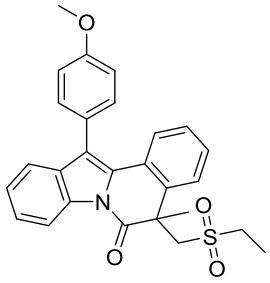


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-phenylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ta): Yield: 64.1 mg, 60%; yellow solid; mp 168.5-169.0 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.49 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 7.6 Hz, 3H), 7.34-7.12 (m, 10H), 7.08 (d, *J* = 8.0 Hz, 2H), 5.01 (d, *J* = 14.0 Hz, 1H), 4.09 (d, *J*

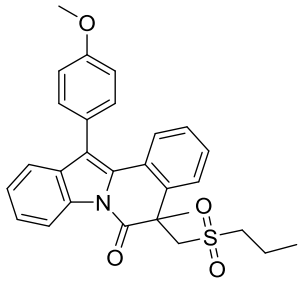


= 14.0 Hz, 1H), 3.92 (s, 3H), 3.22-3.15 (m, 1H), 1.43 (d, *J* = 6.4 Hz, 3H), 1.35 (d, *J* = 6.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 169.0, 159.4, 141.1, 134.5, 134.1, 132.5, 131.3, 129.3, 129.0, 129.0, 128.5, 128.1, 128.0, 127.8, 126.7, 126.6, 125.8, 125.7, 124.5, 120.9, 119.5, 116.4, 114.6, 56.8, 56.1, 55.3, 54.7, 15.7, 14.5; HRMS (ESI-TOF) *m/z*: $C_{33}H_{30}NO_4S(M + H)^+$ calcd for 536.1890, found 536.1895.

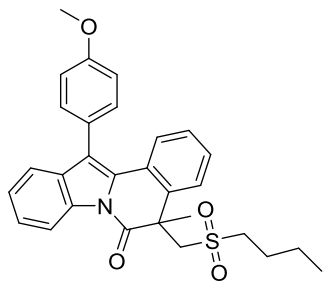
5-((ethylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one(3ab): Yield: 55.0 mg, 60%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.47-7.38 (m, 4H), 7.34-7.28 (m, 3H), 7.09 (t, *J* = 7.0 Hz, 3H), 4.42 (d, *J* = 14.8 Hz, 1H), 3.93 (s, 3H), 3.84 (d, *J* = 14.8 Hz, 1H), 2.90-2.76 (m, 2H), 1.73 (s, 3H), 1.31 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 171.0, 159.4, 135.5, 134.3, 132.6, 131.3, 129.1, 128.2, 127.4, 125.9, 125.9, 125.8, 125.8, 125.2, 124.7, 120.8, 119.6, 116.6, 114.7, 59.8, 55.3, 50.4, 46.9, 31.2, 6.4; HRMS (ESI-TOF) *m/z*: $C_{27}H_{26}NO_4S$ $(M + H)^+$ calcd for 460.1577, found 460.1579.



12-(4-methoxyphenyl)-5-methyl-5-((propylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ac): Yield: 54.8 mg, 58%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.47-7.38 (m, 4H), 7.34-7.28 (m, 3H), 7.09 (t, *J* = 7.8 Hz, 3H), 4.40 (d, *J* = 14.4 Hz, 1H), 3.93 (s, 3H), 3.83 (d, *J* = 14.4 Hz, 1H), 2.83-2.68 (m, 2H), 1.82-1.72 (m, 5H), 0.97 (t, *J* = 7.4 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 171.0, 159.4, 135.4, 134.3, 132.6, 131.3, 129.1, 128.2, 127.4, 126.0, 126.0, 125.8, 125.8, 125.3, 124.7, 120.8, 119.6, 116.6, 114.7, 60.6, 57.7, 55.3, 46.9, 31.1, 15.6, 13.0; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_4S$ $(M + H)^+$ calcd for 474.1734, found 474.1737.

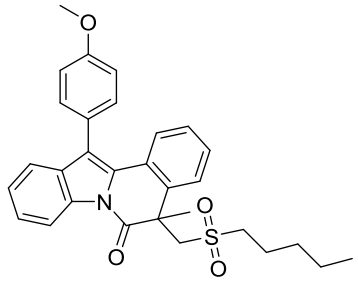


5-((butylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one(3ad): Yield: 54.5 mg, 56%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.47-7.38 (m, 4H), 7.33-7.28 (m, 3H), 7.09 (t, *J* = 7.2 Hz, 3H), 4.39 (d, *J* = 14.4 Hz, 1H), 3.92 (s, 3H), 3.83 (d, *J* = 14.0 Hz, 1H), 2.83-2.68 (m, 2H), 1.76-1.67 (m, 5H), 1.40-1.32 (m, 2H), 0.88 (t, *J* = 7.2 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 170.9, 159.4, 135.4,

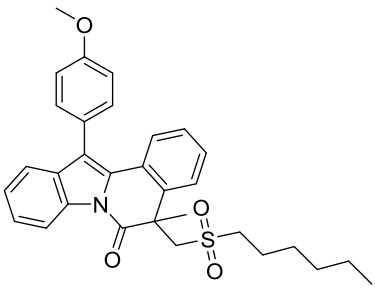


134.3, 132.6, 131.3, 129.0, 128.1, 127.4, 126.0, 125.9, 125.8, 125.8, 125.3, 124.6, 120.8, 119.6, 116.6, 114.7, 60.7, 55.7, 55.3, 46.9, 31.1, 23.6, 21.5, 13.5; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_4S$ $(M + H)^+$ calcd for 488.1890, found 488.1886.

12-(4-methoxyphenyl)-5-methyl-5-((pentylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ae): Yield: 57.1 mg, 57%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.46-7.37 (m, 4H), 7.32-7.28 (m, 3H), 7.08 (t, *J* = 6.2 Hz, 3H), 4.38 (d, *J* = 14.8 Hz, 1H), 3.91 (s, 3H), 3.83 (d, *J* = 15.2 Hz, 1H), 2.81-2.67 (m, 2H), 1.75-1.67 (m, 5H), 1.31-1.25 (m, 4H), 0.86 (t, *J* = 6.4 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 170.9, 159.4, 135.4, 134.3, 132.6, 131.3, 129.0, 128.1, 127.4, 126.0, 125.9, 125.8, 125.7, 125.3, 124.6, 120.8, 119.5, 116.6, 114.7, 60.7, 55.9, 55.3, 46.9, 31.0, 30.3, 22.0, 21.3, 13.7; HRMS (ESI-TOF) *m/z*: $C_{30}H_{32}NO_4S$ $(M + H)^+$ calcd for 502.2047, found 502.2050.



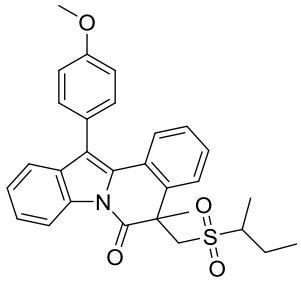
5-((hexylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3af): Yield: 56.7 mg, 55%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.47-7.38 (m, 4H), 7.33-7.27 (m, 3H), 7.09 (t, *J* = 6.6 Hz, 3H), 4.39 (d, *J* = 14.4 Hz, 1H), 3.93 (s, 3H), 3.83 (d, *J* = 14.4 Hz, 1H), 2.82-2.68 (m, 2H), 1.77-1.68 (m, 5H), 1.33-1.22 (m, 6H), 0.86 (t, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 170.9, 159.4, 135.4, 134.3, 132.6, 131.3, 129.1, 128.1, 127.4, 126.0, 126.0, 125.8, 125.8, 125.3, 124.7, 120.8, 119.6, 116.6, 114.7, 60.7, 56.0, 55.3, 46.9, 31.1, 31.1, 27.9, 22.3, 21.6, 13.9; HRMS (ESI-TOF) *m/z*: $C_{31}H_{34}NO_4S$ (M + H)+ calcd for 516.2203, found 516.2207.



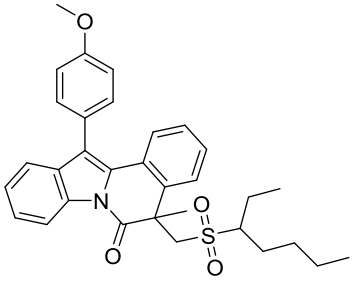
12-(4-methoxyphenyl)-5-methyl-5-((phenethylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one(3ag): Yield: 53.4 mg, 50%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.44-7.38 (m, 4H), 7.34-7.28 (m, 5H), 7.24-7.20 (m, 2H), 7.15 (d, *J* = 7.2 Hz, 2H), 7.09 (t, *J* = 7.6 Hz, 2H), 4.37 (d, *J* = 14.8 Hz, 1H), 3.93 (s, 3H), 3.83-3.76 (m, 2H), 3.05 (d, *J* = 6.4 Hz, 3H), 1.71 (s, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 170.9, 159.4,

137.5, 135.4, 134.3, 133.1, 132.6, 131.3, 129.0, 128.8, 128.7, 128.5, 128.2, 127.5, 126.9, 126.0, 125.8, 125.3, 124.7, 120.9, 119.6, 116.6, 114.7, 61.1, 57.3, 55.3, 47.0, 31.0, 27.8; HRMS (ESI-TOF) *m/z*: $C_{33}H_{30}NO_4S$ $(M + H)^+$ calcd for 536.1890, found 536.1894.

5-((sec-butylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ah): diastereoisomer mixture: *dr* = 1:1; Yield: 67.2 mg, 69%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.49-7.38 (m, 4H), 7.34-7.29 (m, 3H), 7.08 (d, *J* = 6.4 Hz, 3H), 4.46 (d, *J* = 14.4 Hz, 1H), 3.93 (s, 1.5H), 3.92 (s, 1.5H), 3.80 (d, *J* = 14.0 Hz, 1H), 2.74-2.70 (m, 1H), 2.03-2.01 (m, 1H), 1.72 (s, 3H), 1.57-1.42 (m, 1H), 1.36 (d, *J* = 6.8 Hz, 1.4H), 1.29 (d, *J* = 6.8 Hz, 1.6H), 1.02-0.94 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 171.1, 159.3, 135.3, 135.3, 134.2, 132.6, 131.3, 129.2, 128.0, 127.9, 127.3, 126.3, 126.3, 125.8, 125.8, 125.6, 125.0, 125.0, 124.5, 120.5, 119.5, 116.5, 114.6, 61.4, 57.4, 57.3, 55.3, 46.7, 46.7, 31.3, 31.3, 22.2, 21.3, 12.2, 11.4, 11.1, 10.9; HRMS (ESI-TOF) *m/z*: $C_{29}H_{30}NO_4S$ $(M + H)^+$ calcd for 488.1890, found 488.1887.

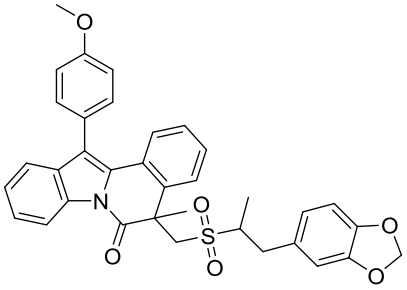


5-((heptan-3-ylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ai): diastereoisomer mixture: *dr* = 1:1; Yield: 78.1 mg, 74%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.48-7.37 (m, 4H), 7.32-7.28 (m, 3H), 7.07 (d, *J* = 6.4 Hz, 3H), 4.45-4.40 (m, 1H), 3.92 (s, 3H), 3.84-3.75 (m, 1H), 2.63-2.56 (m, 1H), 1.97-1.82 (m, 2H), 1.76-1.60 (m, 5H), 1.38-1.24 (m, 4H), 1.04-0.95 (m, 3H), 0.92-0.85 (m, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.1, 159.4, 135.4, 135.4, 134.3, 132.7, 131.3, 129.2, 128.0, 128.0, 127.3, 127.3, 126.3, 126.3, 125.9, 125.8, 125.6, 125.1, 125.1, 124.5, 120.6, 119.5, 116.6, 114.6, 65.9, 65.7, 58.6, 55.3, 46.8, 46.7, 31.3, 29.0, 28.7, 26.5, 26.1, 22.7, 21.0, 20.7, 14.2, 13.8, 11.3, 11.0; HRMS (ESI-TOF) *m/z*: $C_{32}H_{36}NO_4S$ $(M + H)^+$ calcd for 530.2360, found 530.2358.

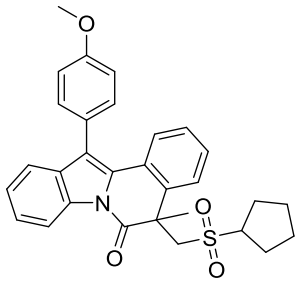


5-(((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3aj): diastereoisomer mixture: *dr* = 3:2; Yield: 73.4 mg, 62%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.62 (d, *J* = 8.4 Hz, 1H), 7.57-7.53 (m, 1H), 7.49-7.37 (m, 4H), 7.35-

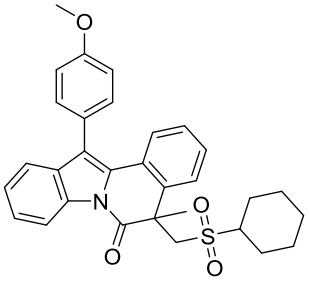
7.27 (m, 3H), 7.09 (t, *J* = 8.0 Hz, 3H), 6.74 (t, *J* = 8.2 Hz, 1H), 6.62-6.56 (m, 2H), 5.94 (d, *J* = 4.4 Hz, 2H), 4.48 (d, *J* = 14.4 Hz, 0.6H), 4.41 (d, *J* = 14.4 Hz, 0.4H), 3.92 (s, 3H), 3.85-3.77 (m, 1H), 3.32-3.23 (m, 1H), 3.08-3.02 (m, 0.6H), 2.94-2.88 (m, 0.4H), 2.57-2.50 (m, 0.4H), 2.47-2.40 (m, 0.6H), 1.72 (d, *J* = 2.8 Hz, 3H), 1.28-1.23 (m, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 171.1, 171.0, 159.4, 147.9, 147.8, 146.5, 146.5, 135.4, 135.4, 134.3, 133.0, 133.0, 132.7, 132.6, 131.3, 130.5, 130.4, 129.2, 129.2, 128.1, 128.0, 127.4, 127.4, 126.2, 125.9, 125.7, 125.2, 125.1, 124.6, 124.6, 122.4, 122.3, 120.7, 120.7, 120.6, 119.6, 119.5, 116.6, 116.6, 114.7, 114.1, 114.1, 109.4, 109.3, 108.4, 108.4, 101.0, 101.0, 61.8, 61.5, 58.2, 58.0, 55.3, 46.9, 46.7, 34.8, 33.6, 31.3, 31.2, 12.5, 12.0; HRMS (ESI-TOF) *m/z*: $C_{35}H_{32}NO_6S$ $(M + H)^+$ calcd for 594.1945, found 594.1947.



5-((cyclopentylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one(3ak): Yield: 67.8 mg, 68%; yellow solid, mp 171.2-171.7 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 6.8 Hz, 1H), 7.47 (d, *J* = 6.8 Hz, 1H), 7.44-7.37 (m, 3H), 7.33-7.27 (m, 3H), 7.10-7.05 (m, 3H), 4.41 (d, *J* = 14.4 Hz, 1H), 3.92 (s, 3H), 3.84 (d, *J* = 14.4 Hz, 1H), 3.29-3.20 (m, 1H), 2.03-1.84 (m, 4H), 1.76-1.70 (m, 5H), 1.64-1.56 (m, 2H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 171.1, 159.4, 135.4, 134.2, 132.6, 131.3, 129.2, 128.0, 127.3, 126.3, 125.9, 125.8, 125.6, 125.0, 124.5, 120.6, 119.5, 116.6, 114.6, 63.8, 59.4, 55.3, 46.8, 31.3, 26.7, 26.3, 26.0, 26.0; HRMS (ESI-TOF) *m/z*: $C_{30}H_{30}NO_4S$ $(M + H)^+$ calcd for 500.1890, found 500.1894.

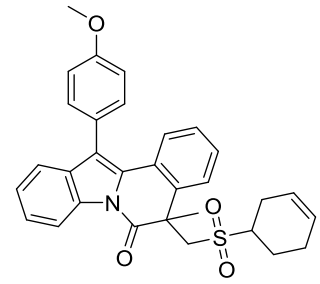


5-((cyclohexylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3al): Yield: 64.6 mg, 63%; yellow solid, mp 176.8-177.3 ^{o}C (uncorrected); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.61 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.44-7.37 (m, 3H), 7.33-7.27 (m, 3H), 7.09 (t, *J* = 7.8 Hz, 3H), 4.43 (d, *J* = 14.4 Hz, 1H), 3.93 (s, 3H), 3.75 (d, *J* = 14.0 Hz, 1H), 2.65-2.57 (m, 1H), 2.11-2.08 (m, 2H), 1.92-1.85 (m, 2H), 1.58 (s, 3H), 1.52-1.38 (m, 2H), 1.30-1.14 (m, 4H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 171.1, 159.4, 135.3, 134.3, 132.7,

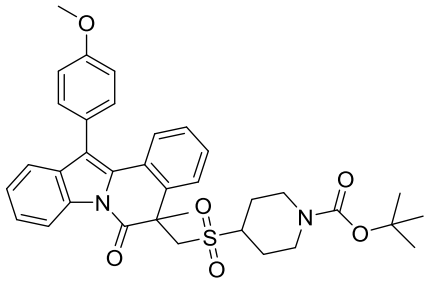


131.3, 128.8, 128.0, 127.4, 126.4, 125.9, 125.8, 125.7, 125.1, 124.6, 120.6, 119.5, 116.6, 114.6, 63.3, 57.3, 55.3, 46.6, 25.1, 25.0, 25.0, 24.9, 24.2, 14.2; HRMS (ESI-TOF) *m/z*: $C_{31}H_{32}NO_4S(M + H)^+$ calcd for 514.2047, found 514.2051.

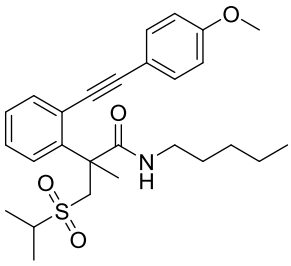
5-((cyclohex-3-en-1-ylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3am): diastereoisomer mixture: *dr* = 3:2; Yield: 68.5 mg, 67%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.63-8.59 (m, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.44-7.37 (m, 3H), 7.33-7.27 (m, 3H), 7.10-7.06 (m, 3H), 5.68 (d, *J* = 2.8 Hz, 2H), 4.46 (t, *J* = 13.8 Hz, 1H), 3.92 (s, 3H), 3.81 (t, *J* = 7.2 Hz, 0.6H), 3.77 (d, *J* = 2.8 Hz, 0.4H), 3.00-2.87 (m, 1H), 2.40-2.00 (m, 6H), 1.72 (s, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.0, 171.0, 159.4, 135.3, 135.3, 134.2, 133.0, 132.6, 131.3, 129.2, 128.8, 128.0, 127.4, 127.4, 126.8, 126.6, 126.3, 126.2, 125.8, 125.8, 125.6, 125.1, 125.0, 124.6, 123.5, 123.3, 120.6, 120.6, 119.5, 116.6, 116.5, 114.6, 114.0, 114.0, 60.0, 59.9, 57.6, 57.5, 55.3, 46.7, 46.6, 31.2, 31.2, 24.3, 24.2, 24.0, 23.4, 21.3, 20.6; HRMS (ESI-TOF) *m/z*: : $C_{31}H_{30}NO_4S$ $(M + H)^+$ calcd for 512.1890 found 512.1891.



Tert-butyl-4-(((12-(4-methoxyphenyl)-5-methyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)sulfonyl)piperidine-1-carboxylate (3an): Yield: 72.4 mg, 59%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.60 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.44-7.39 (m, 3H), 7.34-7.29 (m, 3H), 7.10 (t, *J* = 8.4 Hz, 3H), 4.46 (d, *J* = 14.0 Hz, 1H), 4.32-4.18 (m, 2H), 3.93 (s, 3H), 3.78 (d, *J* = 14.0 Hz, 1H), 2.86-2.63 (m, 3H), 2.03 (s, 2H), 1.73-1.60 (m, 5H), 1.44 (s, 9H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 171.0, 159.3, 154.2, 135.2, 134.2, 132.6, 131.2, 129.0, 128.1, 127.5, 126.1, 125.9, 125.9, 125.7, 125.0, 124.7, 120.8, 119.6, 116.5, 114.6, 80.1, 61.4, 57.4, 55.3, 42.8, 41.8, 31.2, 28.7, 28.3, 24.6, 24.5; HRMS (ESI-TOF) *m/z*: $C_{35}H_{39}N2O_6S$ $(M + H)^+$ calcd for 615.2523, found 615.2526.

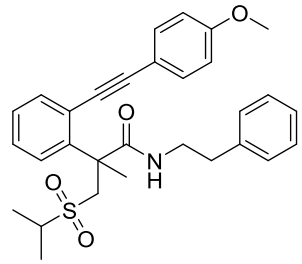


3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methyl-*N*-pentylpropanamide (4ua): Yield: 66.5 mg, 71%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.64 (d, J = 7.6 Hz, 1H), 7.60-7.53 (m, 3H), 7.44-7.34 (m, 2H), 6.89 (d, J = 8.8 Hz, 2H), 5.21 (t, J = 5.8 Hz, 1H), 4.57 (d, J = 14.8 Hz, 1H), 3.85-3.80 (m, 4H),



3.26-3.16 (m, 1H), 3.13-3.04 (m, 1H), 2.44-2.36 (m, 1H), 2.06 (s, 3H), 1.40-1.32 (m, 2H), 1.18 (d, J = 6.8 Hz, 3H), 1.15-1.06 (m, 7H), 0.76 (t, J = 6.8 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 174.8, 159.9, 140.0, 133.2, 132.8, 128.6, 128.3, 128.1, 123.3, 114.5, 114.0, 96.8, 86.3, 55.1, 54.4, 53.2, 49.3, 39.9, 28.7, 28.6, 24.5, 22.0, 15.5, 14.3, 13.7; HRMS (ESI-TOF) *m/z*: $C_{27}H_{36}NO_4S_2(M + H)^+$ calcd for 470.2360, found 470.2364.

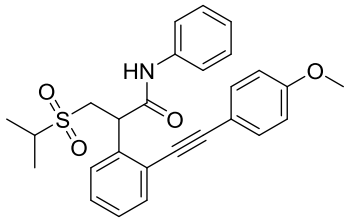
3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methyl-*N*-phenethylpropanamide (4va): Yield: 62.3 mg, 62%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.58-7.54 (m, 4H), 7.38-7.34 (m, 2H), 7.14 (t, J = 7.0 Hz, 3H), 6.98-6.59 (m, 2H), 6.91 (d, J = 8.8 Hz, 2H), 5.19 (t, J = 5.8 Hz, 1H), 4.56 (d, J = 14.8 Hz, 1H), 3.83 (s, 3H), 3.78 (d, J = 8.4 Hz, 1H), 3.60-3.51 (m, 1H), 3.36-3.26 (m, 1H), 2.76-2.68 (m, 1H), 2.65-2.57 (m, 1H), 2.46-2.38 (m, 1H), 1.99 (s, 3H), 1.19 (d, J = 6.4 Hz, 3H), 1.15 (d, J = 7.2 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 175.0, 160.0, 139.9, 138.6, 133.4, 133.0, 128.7, 128.5, 128.4, 128.4, 128.2, 126.3, 123.4, 114.6, 114.2, 97.0, 86.5, 55.3, 54.7, 53.3, 49.4, 41.1, 35.3, 24.5, 15.7, 14.5; HRMS (ESI-TOF) *m/z*: $C_{30}H_{34}NO_4S(M + H)^+$ calcd for 504.2203, found 504.2206.



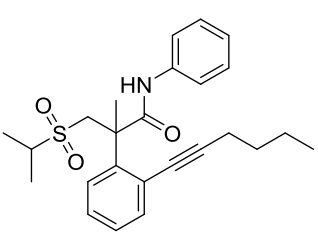
***N*-(3,3-diphenylpropyl)-3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methylpropanamide (4wa):** Yield: 81.7 mg, 69%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.55-7.52 (m, 2H), 7.40 (d, J = 8.8 Hz, 2H), 7.39-7.31 (m, 2H), 7.13-6.99 (m, 6H), 6.93 (d, J = 6.4 Hz, 2H), 6.85 (d, J = 6.8 Hz, 2H), 6.77 (d, J = 7.2 Hz, 2H), 5.12 (t, J = 6.2 Hz, 1H), 4.52 (d, J = 14.8 Hz, 1H), 3.79-3.66 (m, 5H), 3.30-3.21 (m, 1H), 2.83-2.72 (m, 1H), 2.39-2.31 (m, 1H), 2.15-2.05 (m, 1H), 2.03-1.95 (m, 1H), 1.93 (s, 3H), 1.10 (d, J = 6.8 Hz, 3H), 1.07 (d, J = 6.8 Hz, 3H); $^{13}C\{^{1}H\}$NMR (100 MHz, $CDCl_3$) δ: 175.1, 160.0, 144.2, 143.6, 140.0, 133.3, 132.9, 128.8, 128.5, 128.4, 128.3, 128.3, 127.5, 127.4, 126.2, 126.0, 123.4, 114.4, 114.1, 97.1, 86.5, 55.2, 54.6, 53.2,

49.4, 48.6, 38.7, 34.4, 24.5, 15.6, 14.5; HRMS (ESI-TOF) *m/z*: $C_{37}H_{40}NO_4S_2(M + H)^+$ calcd for 594.2673, found 594.2671.

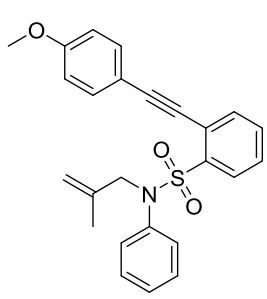
5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (4xa): Yield: 63.6 mg, 69%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.68 (s, 1H), 7.59 (d, *J* = 8.8 Hz, 3H), 7.44-7.37 (m, 3H), 7.34-7.31 (m, 2H), 7.24 (t, *J* = 7.6 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 6.91 (d, *J* = 8.4 Hz, 2H), 4.97-4.93 (m, 1H), 4.38-4.31 (m, 1H), 3.83 (s, 3H), 3.47-3.30 (m, 1H), 3.17-3.10 (m, 1H), 1.42 (d, *J* = 6.8 Hz, 3H), 1.35 (d, *J* = 6.8 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 168.4, 160.2, 138.2, 137.5, 133.3, 132.7, 129.0, 128.9, 128.2, 127.7, 124.5, 122.8, 120.0, 114.3, 114.1, 96.1, 85.6, 55.3, 54.0, 51.1, 45.3, 15.7, 14.7; HRMS (ESI-TOF) *m/z*: $C_{27}H_{28}NO_4S$ $(M + H)^+$ calcd for 462.1734, found 462.1738.



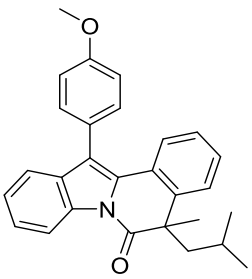
2-(2-(hex-1-yn-1-yl)phenyl)-3-(isopropylsulfonyl)-2-methyl-*N*-phenylpropanamide (4ya): Yield: 24.3 mg, 40%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.68 (d, *J* = 8.0 Hz, 1H), 7.50 (d, *J* = 7.6 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.33-7.24 (m, 4H), 7.08 (t, *J* = 7.0 Hz, 1H), 6.80 (s, 1H), 4.50 (d, *J* = 14.2 Hz, 1H), 3.80 (d, *J* = 14.8 Hz, 1H), 2.42-2.34 (m, 1H), 2.29 (t, *J* = 14.8 Hz, 2H), 2.13 (s, 3H), 1.51-1.45 (m, 2H), 1.44-1.35 (m, 2H), 1.24 (d, J = 6.8 Hz, 3H), 1.20 (d, J = 6.8 Hz, 3H), 0.81 (t, *J* = 7.2 Hz, 3H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 173.3, 139.7, 137.7, 134.0, 128.8, 128.8, 128.6, 128.4, 124.4, 124.0, 120.2, 99.2, 78.40, 54.7, 53.2, 50.2, 30.1, 24.3, 22.1, 19.2, 16.5, 16.0, 15.7, 14.5, 13.5;HRMS (ESI-TOF) *m/z*: $C_{25}H_{32}NO_4S$ $(M + H)^+$ calcd for 426.2097, found 426.2099.



2-((4-methoxyphenyl)ethynyl)-*N*-(2-methylallyl)-*N*-phenylbenzenesulfonamide (1z): ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.70 (d, *J* = 6.8 Hz, 1H), 7.65 (d, *J* = 7.6 Hz, 1H), 7.50-7.43 (m, 3H), 7.26-7.11 (m, 6H), 6.89 (d, *J* = 8.8 Hz, 2H), 4.73 (d, *J* = 8.0 Hz, 2H), 4.40 (s, 2H), 3.84 (s, 3H), 1.75 (s, 3H),; ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 160.1, 140.5, 139.2, 138.6, 134.5, 133.3, 132.0, 130.7, 128.7, 128.7, 127.4, 127.4, 122.2, 114.7, 114.6, 114.0, 97.1, 85.9, 58.4, 55.3, 19.9; HRMS (ESI-TOF) *m/z*: $C_{25}H_{24}NO_3S$ $(M + H)^+$ calcd for 418.1471, found 418.1475.



5-isobutyl-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (6aa): Yield: 53.6 mg, 70%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ: 8.67 (d, *J* = 8.0 Hz, 1H), 7.49 (d, *J* = 8.4 Hz, 1H), 7.43-7.36 (m, 4H), 7.30-7.24 (m, 3H), 7.09 (d, *J* = 6.8 Hz, 2H), 7.02 (t, J = 7.6 Hz, 1H), 3.93 (s, 3H), 2.46-2.39 (m, 1H), 2.02-1.97 (m, 1H), 1.69 (s, 3H), 1.42-1.36 (m, 1H), 0.68-0.62 (m, 6H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ: 173.7, 159.4, 139.0, 134.1, 132.6, 131.4, 129.6, 128.1, 126.7, 126.4, 126.2, 125.7, 125.3, 125.1, 124.4, 119.7, 119.3, 116.8, 114.7, 55.3, 50.9, 47.8, 30.9, 25.6, 23.9, 22.6; HRMS (ESI-TOF) *m/z*: $C_{28}H_{28}NO_4S$ $(M + H)^+$ calcd for 410.2115, found 410.2118.



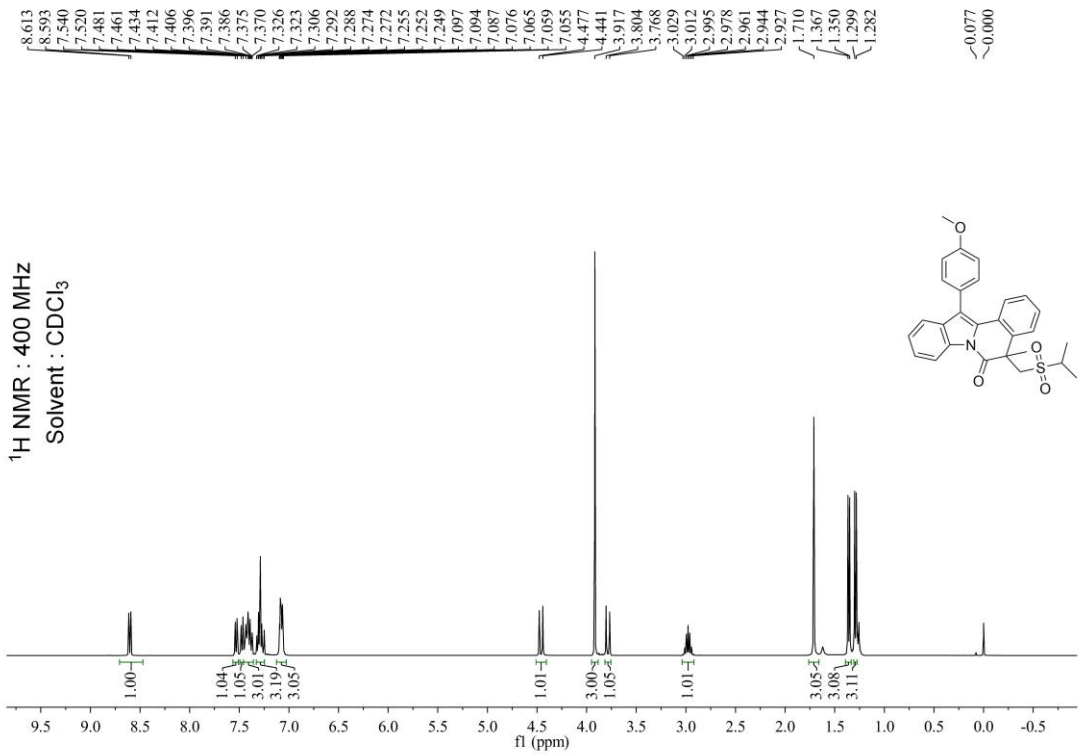
4. Reference

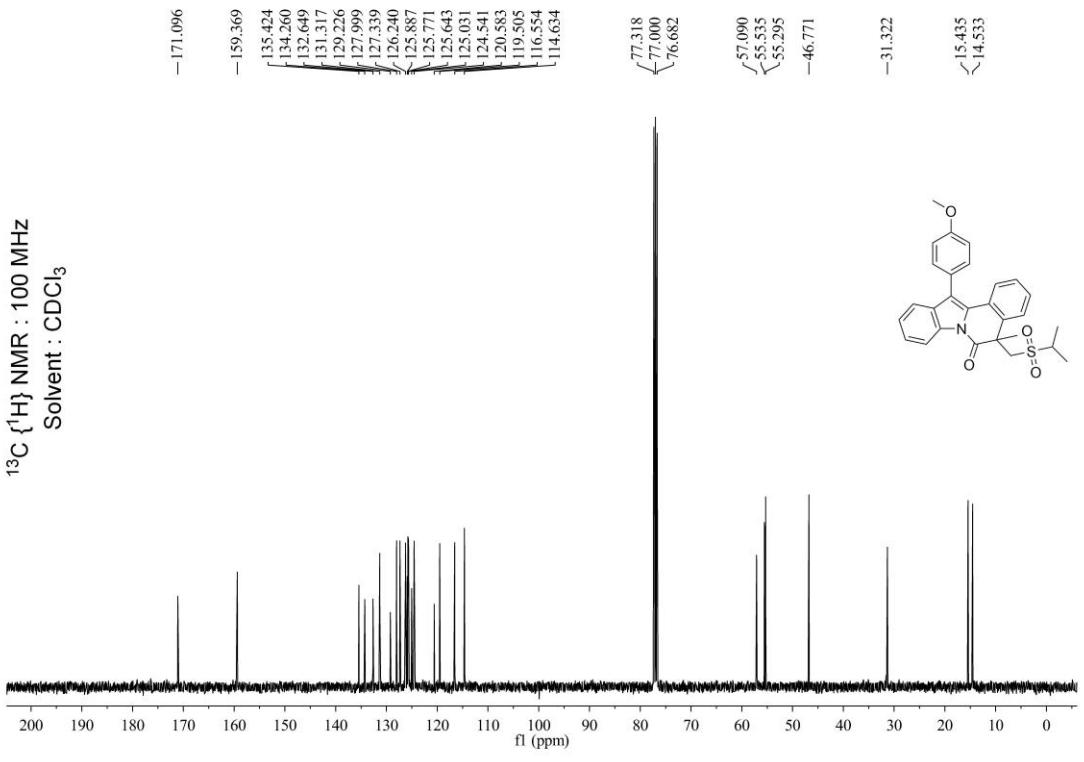
[1] Noelia Fuentes, Wangqing Kong, Luis Fernández-Sánchez, Esbaliz Merino, and Cristina Nevado, Cyclization Cascades via N-Amidyl Radicals toward Highly Functionalized Heterocyclic Scaffolds. *J. Am. Chem. Soc.* **2015**, 137, 2, 964-973.

[2] (a) Á. Gutiérrez-Bonet, J.-C. Tellis, J.-K. Matsui, B.-A. Vara, G.-A. Molander, 1,4- Dihydropyridines as Alkyl Radical Precursors: Introducing the Aldehyde Feedstock to Nickel/Photoredox Dual Catalysis. *ACS Catal.*, **2016**, 6, 8004-8008. (b) W. Chen, Z. Liu, J. Tian, J. Lia, J. Ma, X. Cheng, G. Li, Building Congested Ketones: Substituted Hantzsch Ester and Nitrile as Alkylation Reagents in Photoredox Catalysis. *J. Am. Chem. Soc*. **2016**, 138, 12312-12315.

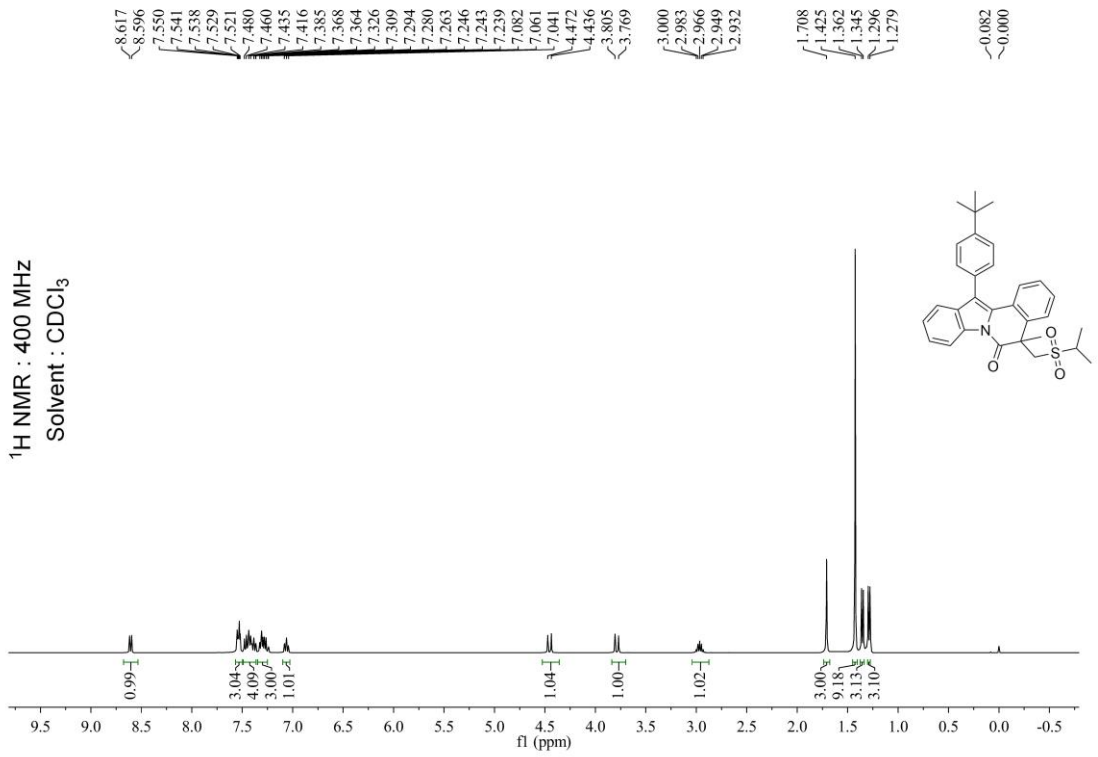
5. Spectra

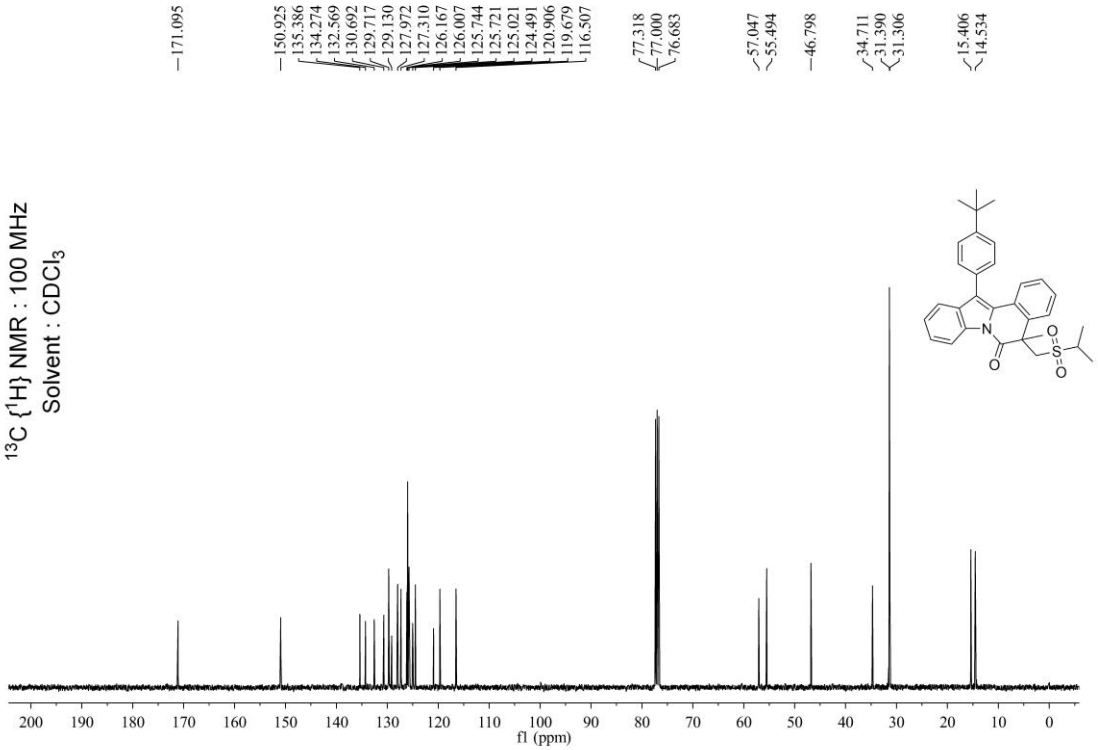
5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3aa)



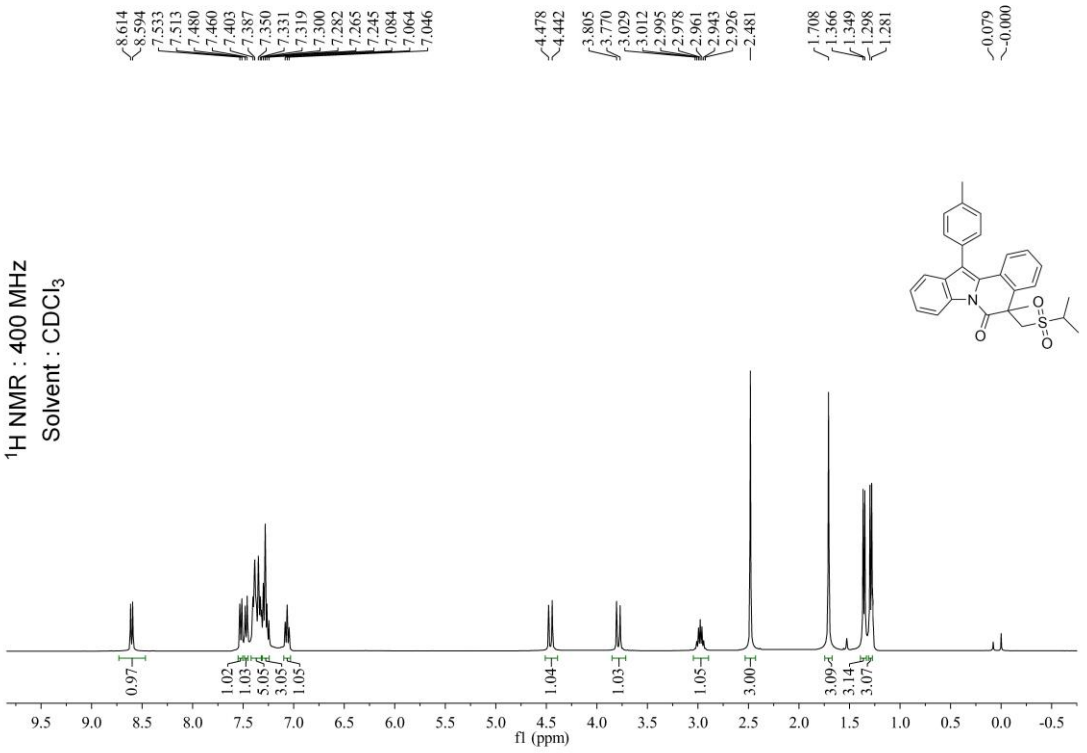


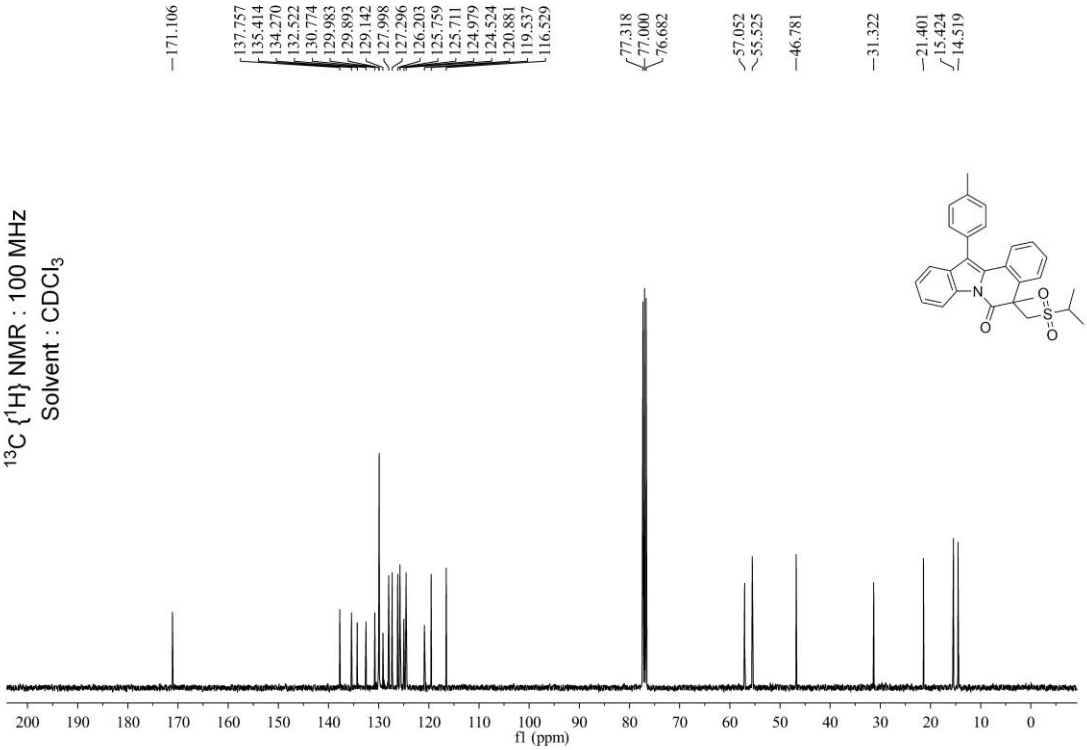
12-(4-(tert-butyl)phenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ba)



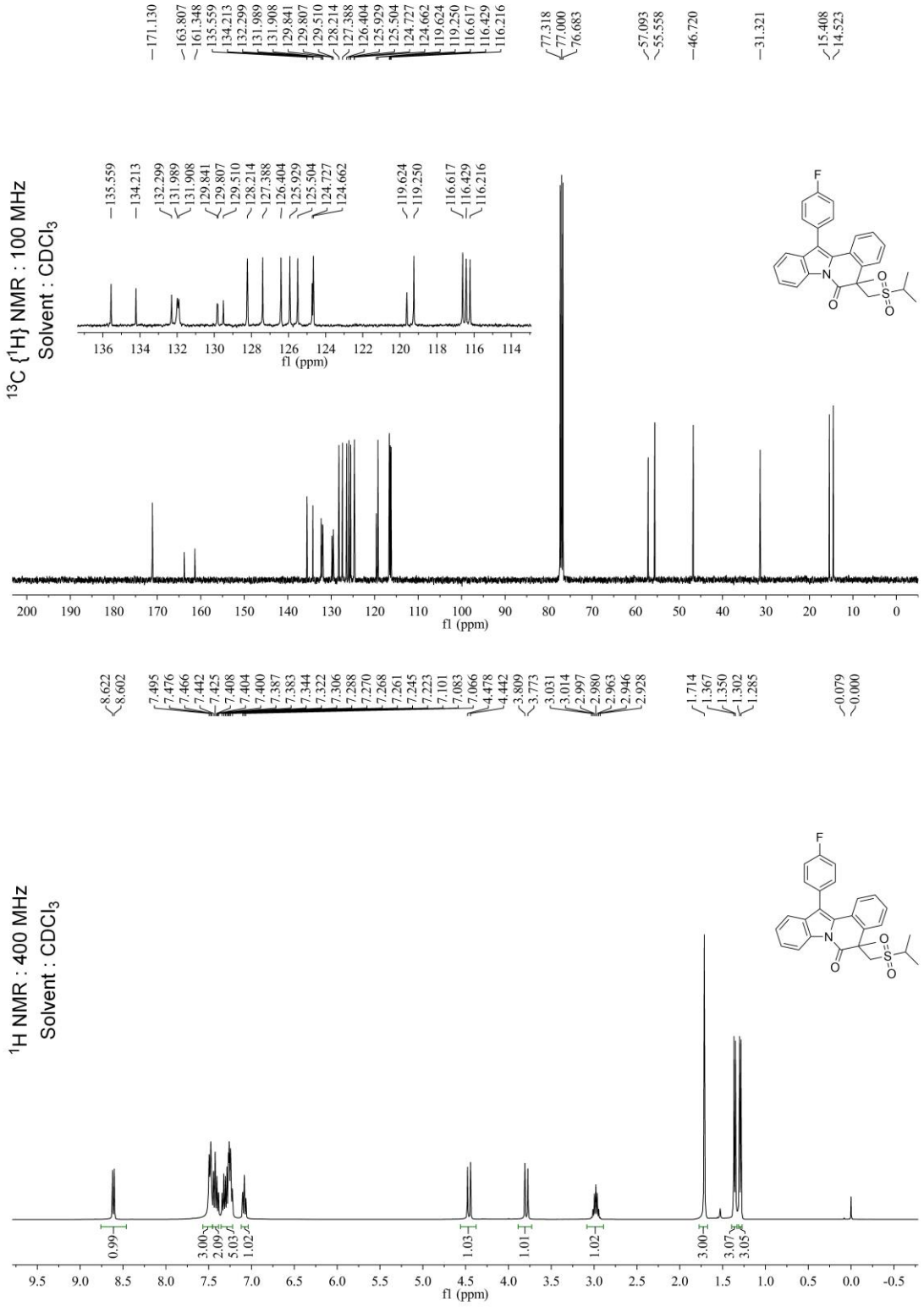


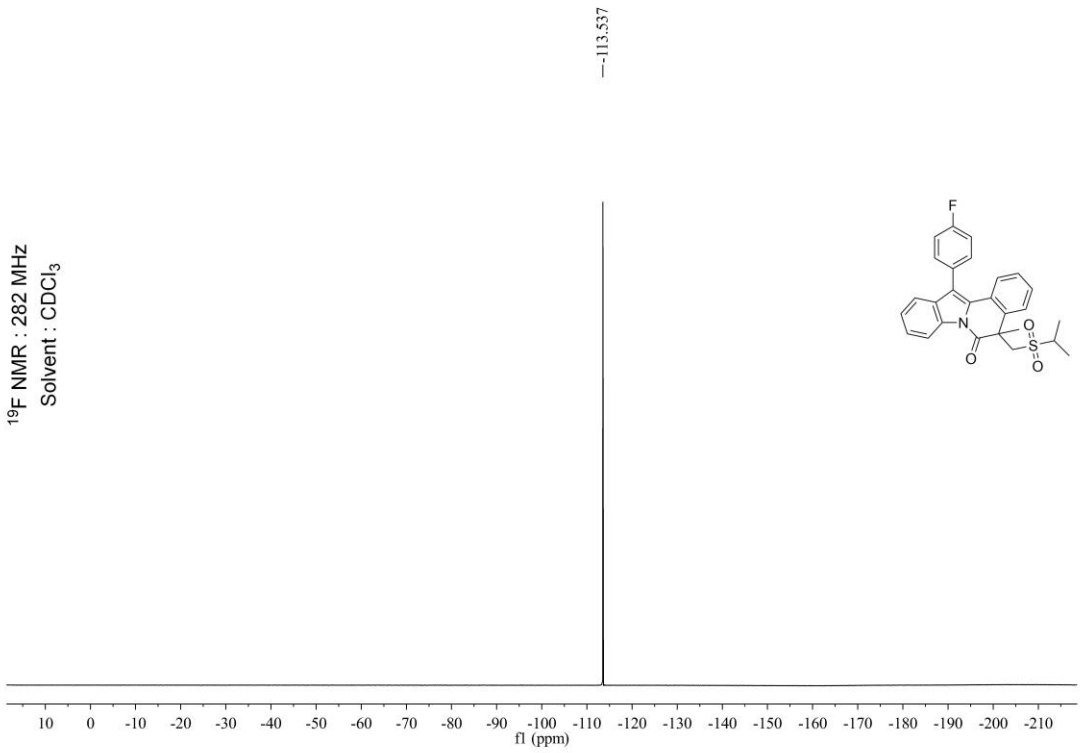
5-((isopropylsulfonyl)methyl)-5-methyl-12-(p-tolyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ca)



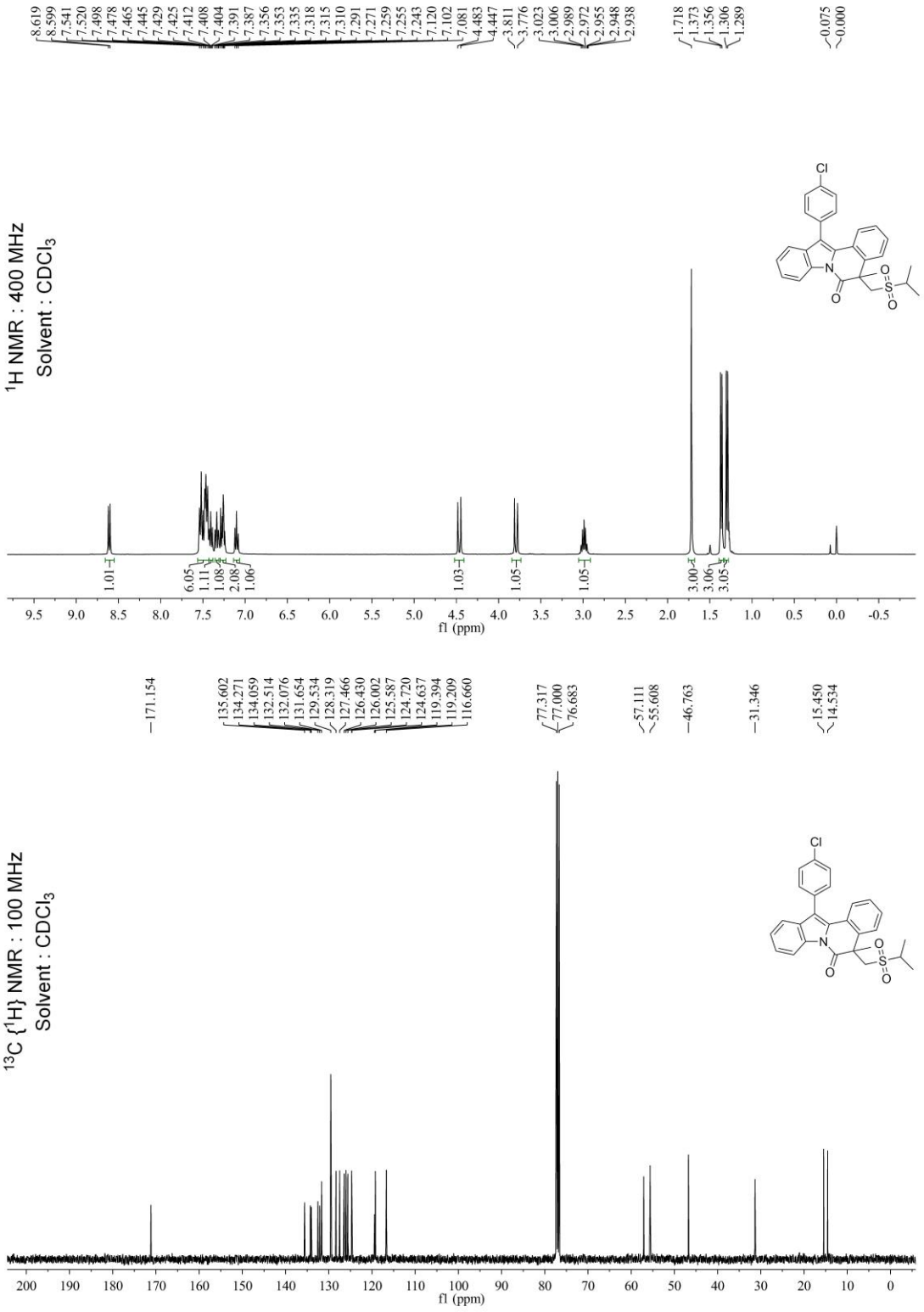


12-(4-fluorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3da)

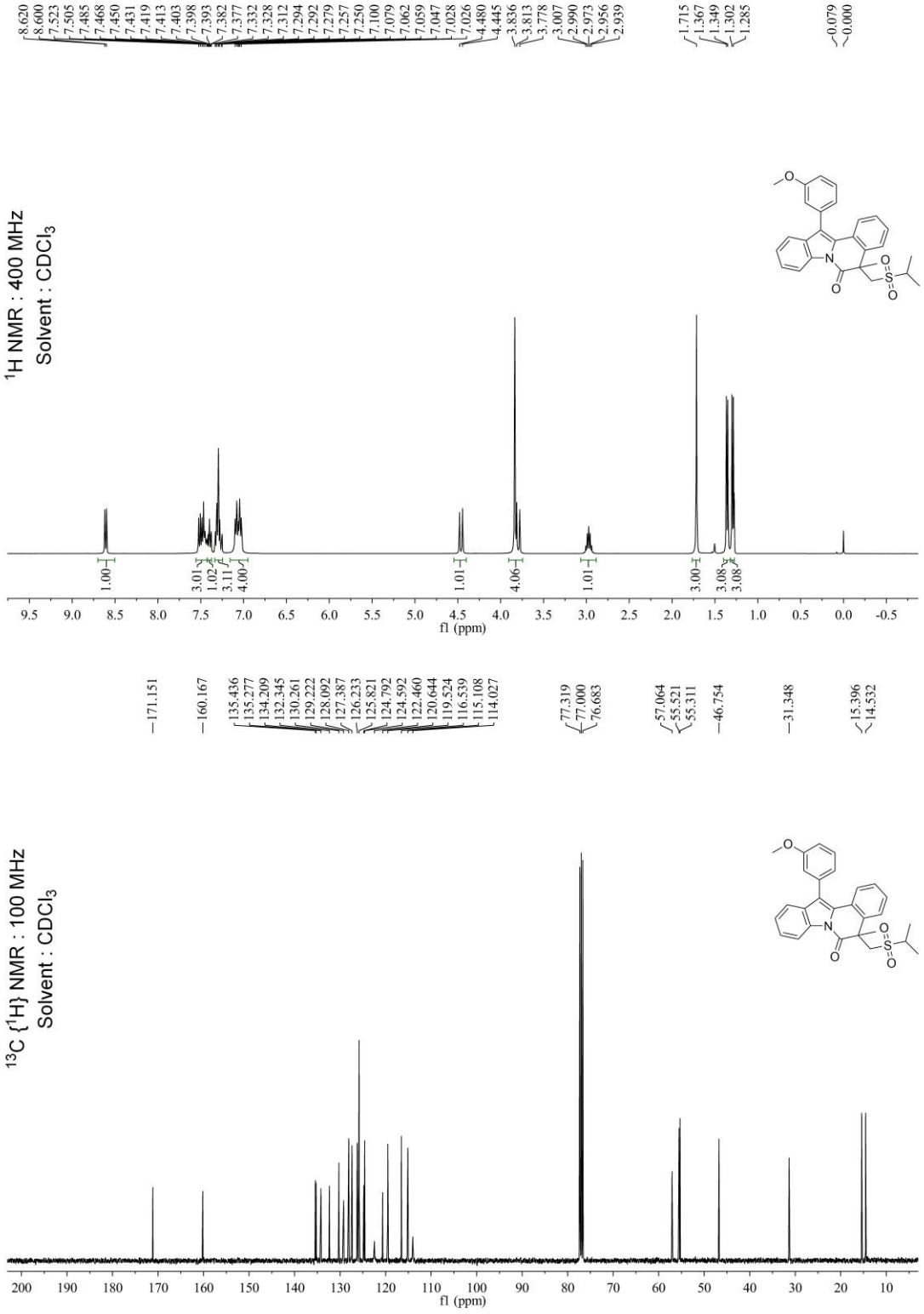



-113.537
^{19}F NMR : 282 MHz
Solvent : $CDCl_3$
F
N
O
O
S
O
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

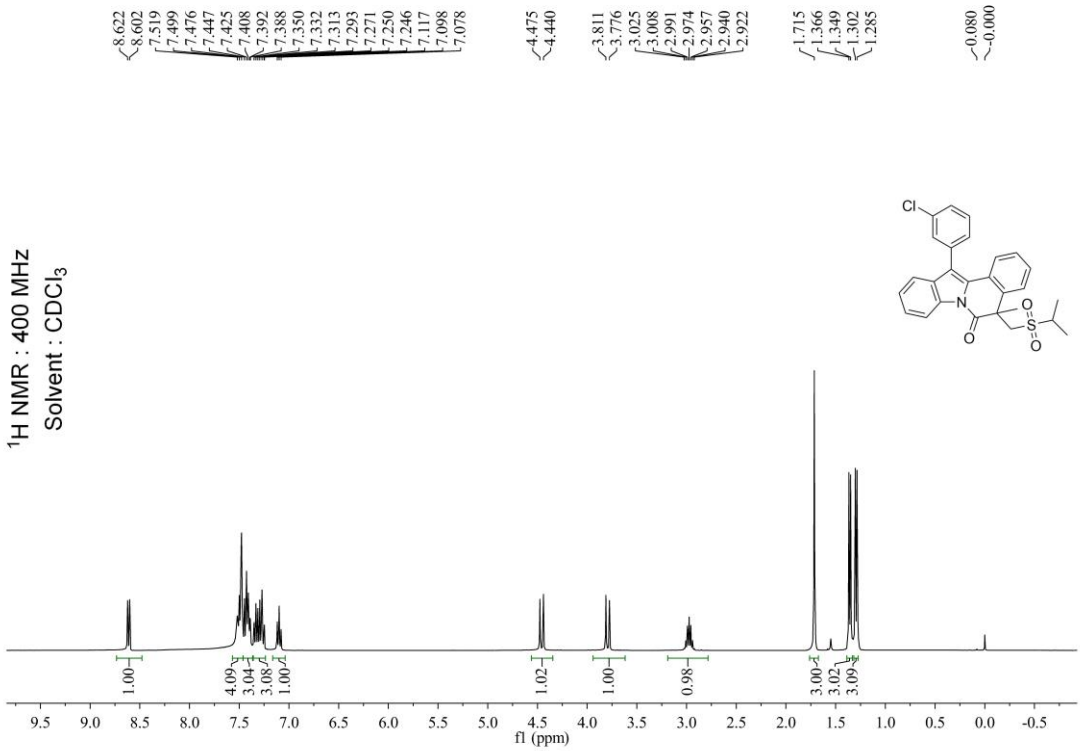
12-(4-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ea)

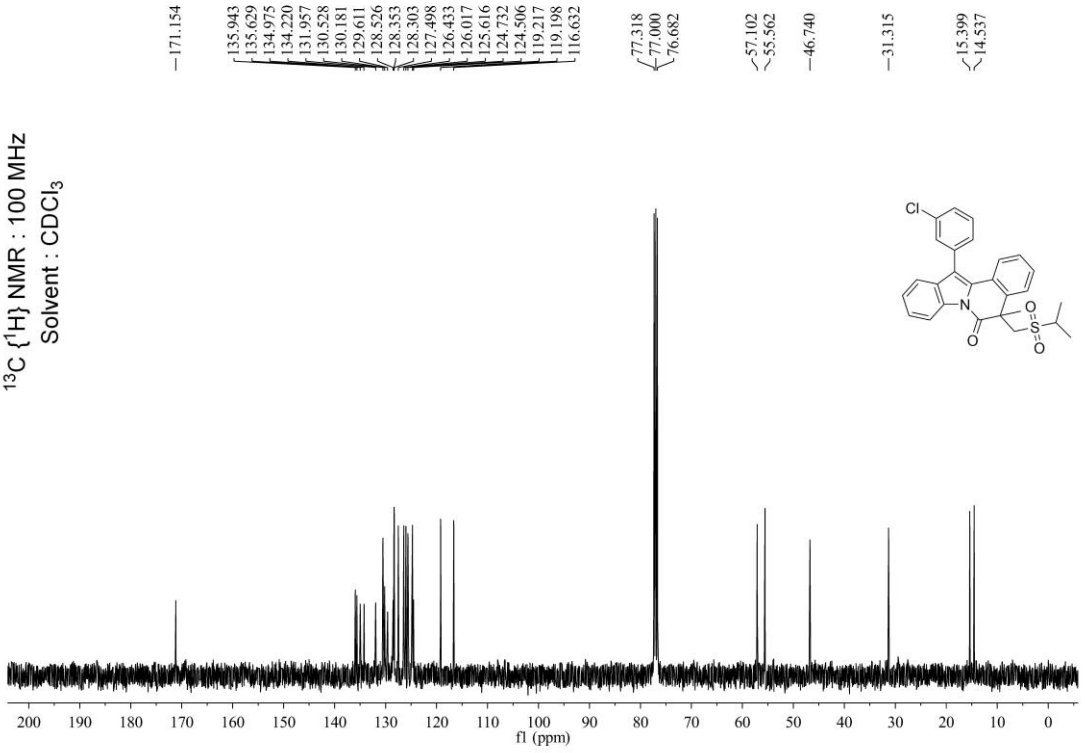


5-((isopropylsulfonyl)methyl)-12-(3-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3fa)

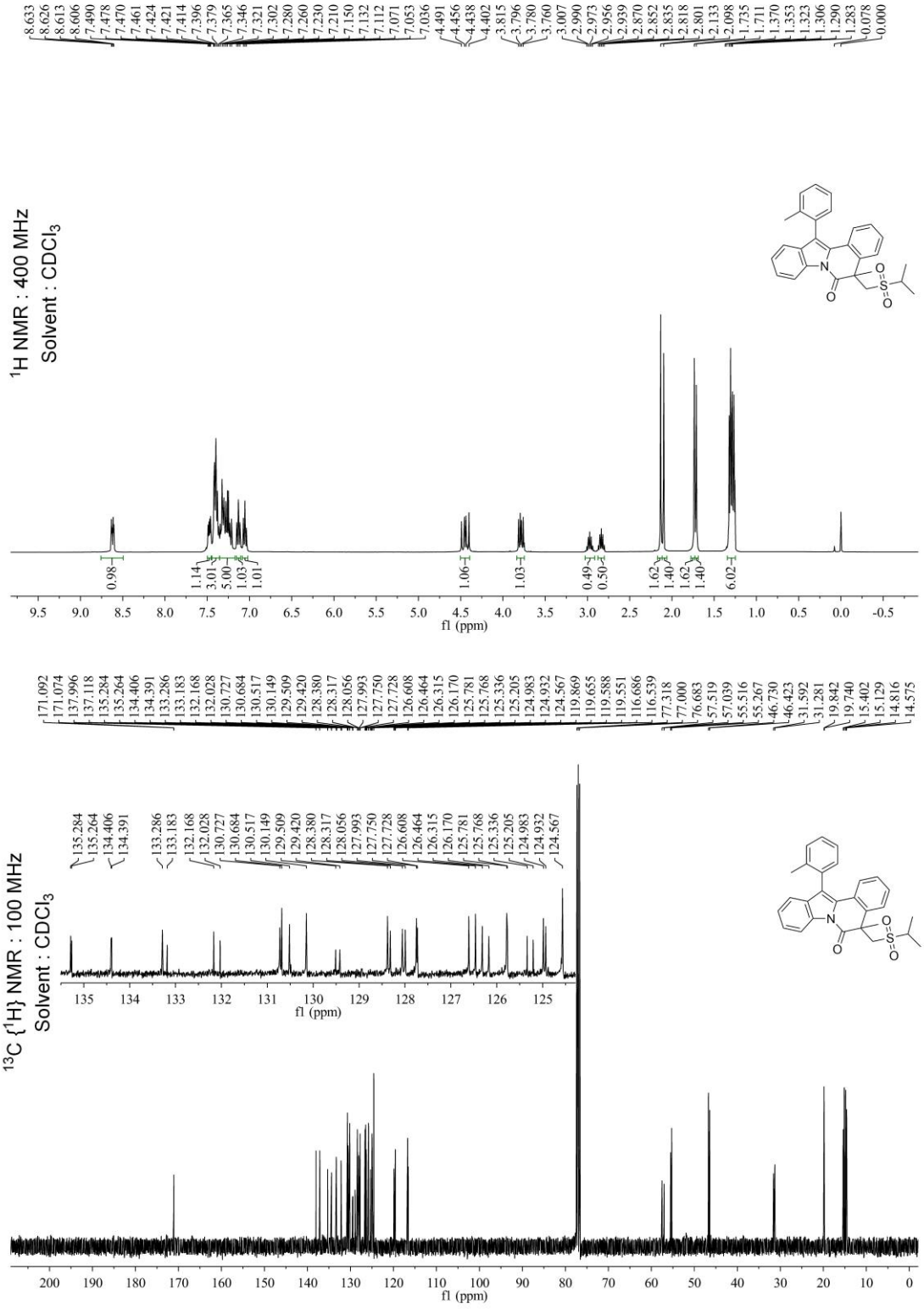


12-(3-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ga)



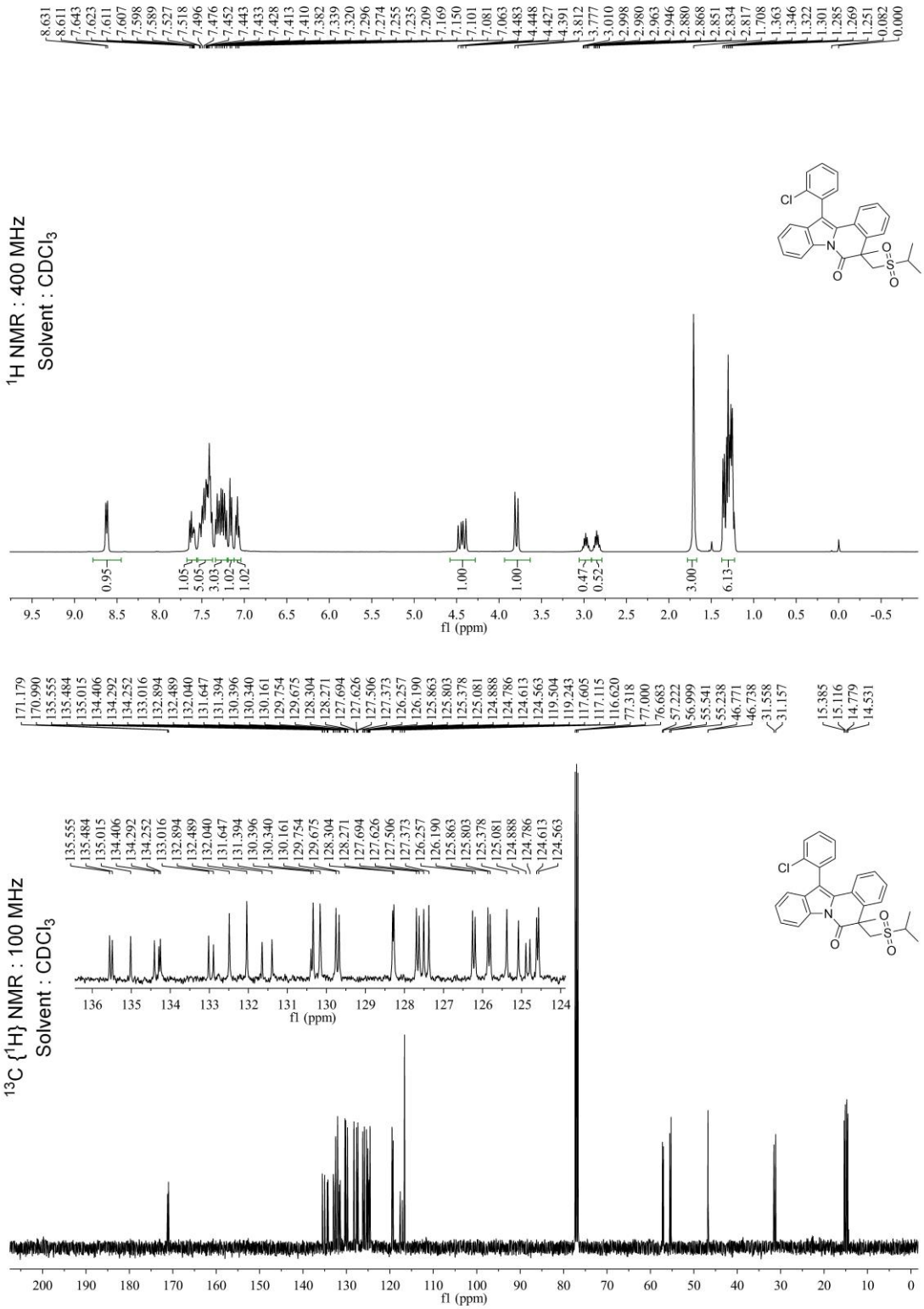


5-((isopropylsulfonyl)methyl)-5-methyl-12-(o-tolyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ha). diastereoisomer mixture: *dr* = 1:1

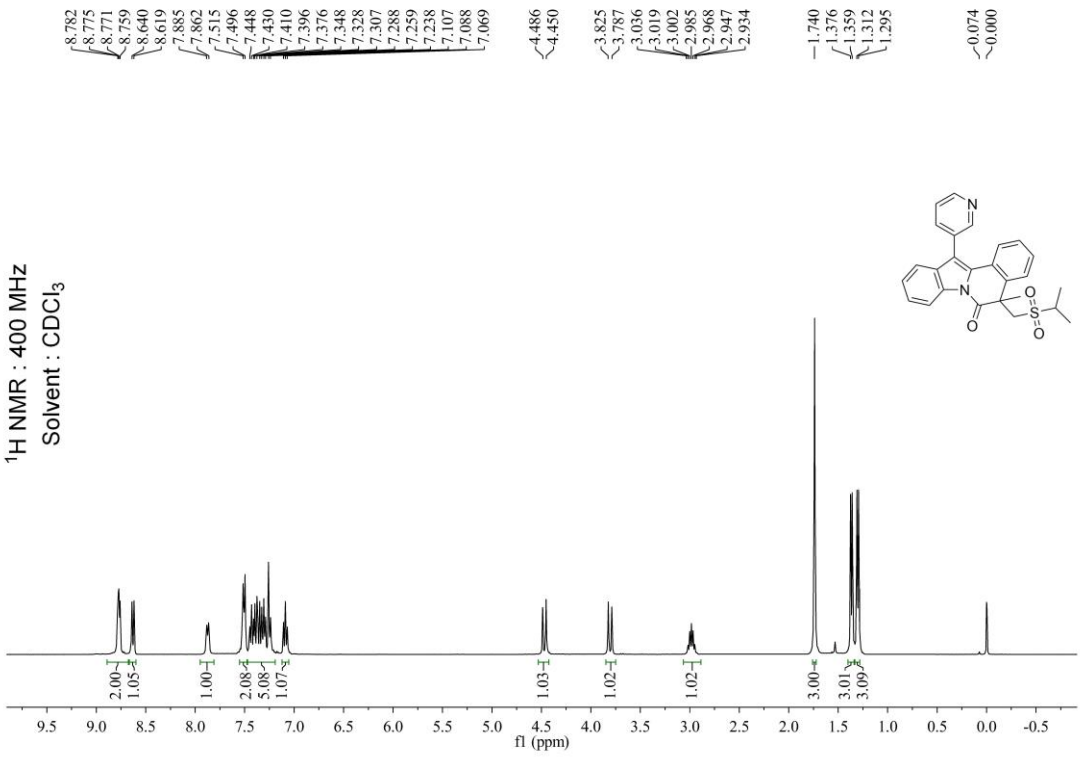


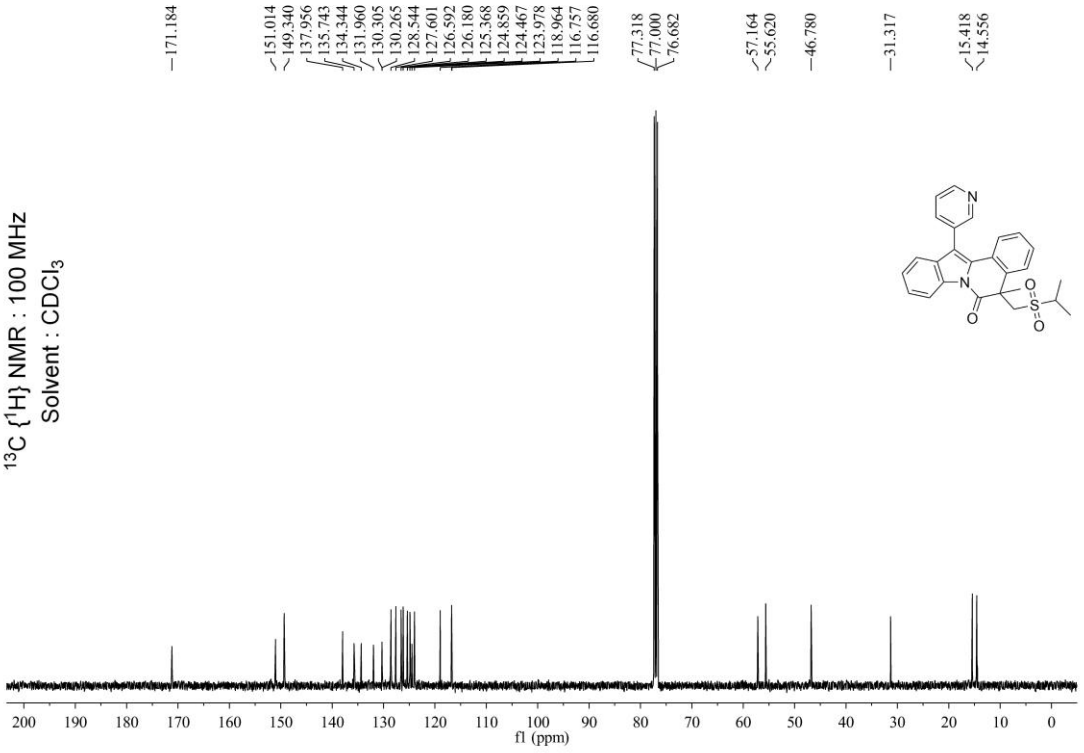
12-(2-chlorophenyl)-5-((isopropylsulfonyl)methyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ia).

diastereoisomer mixture: *dr* = 1:1

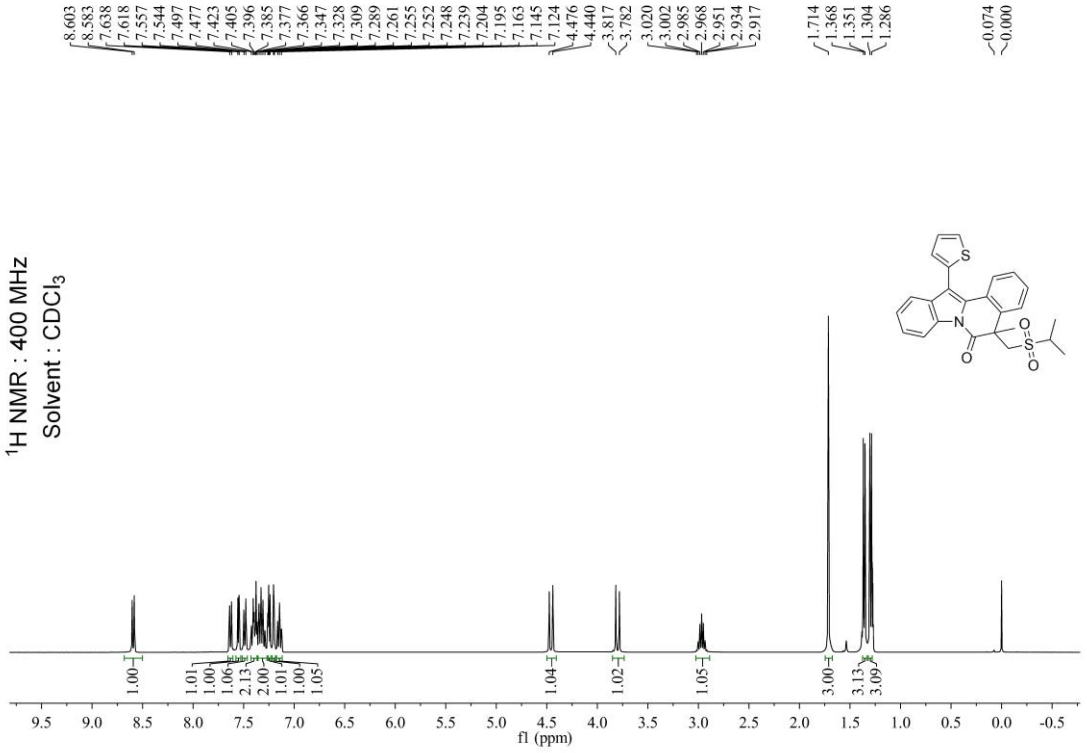


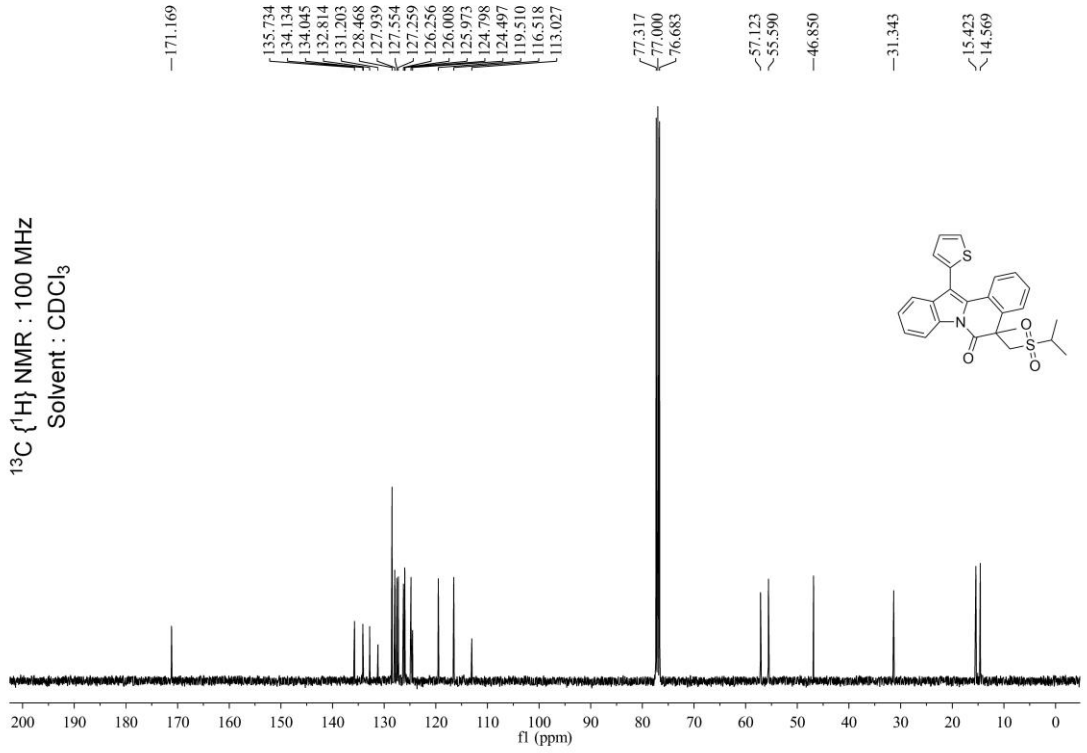
5-((isopropylsulfonyl)methyl)-5-methyl-12-(pyridin-3-yl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ja)



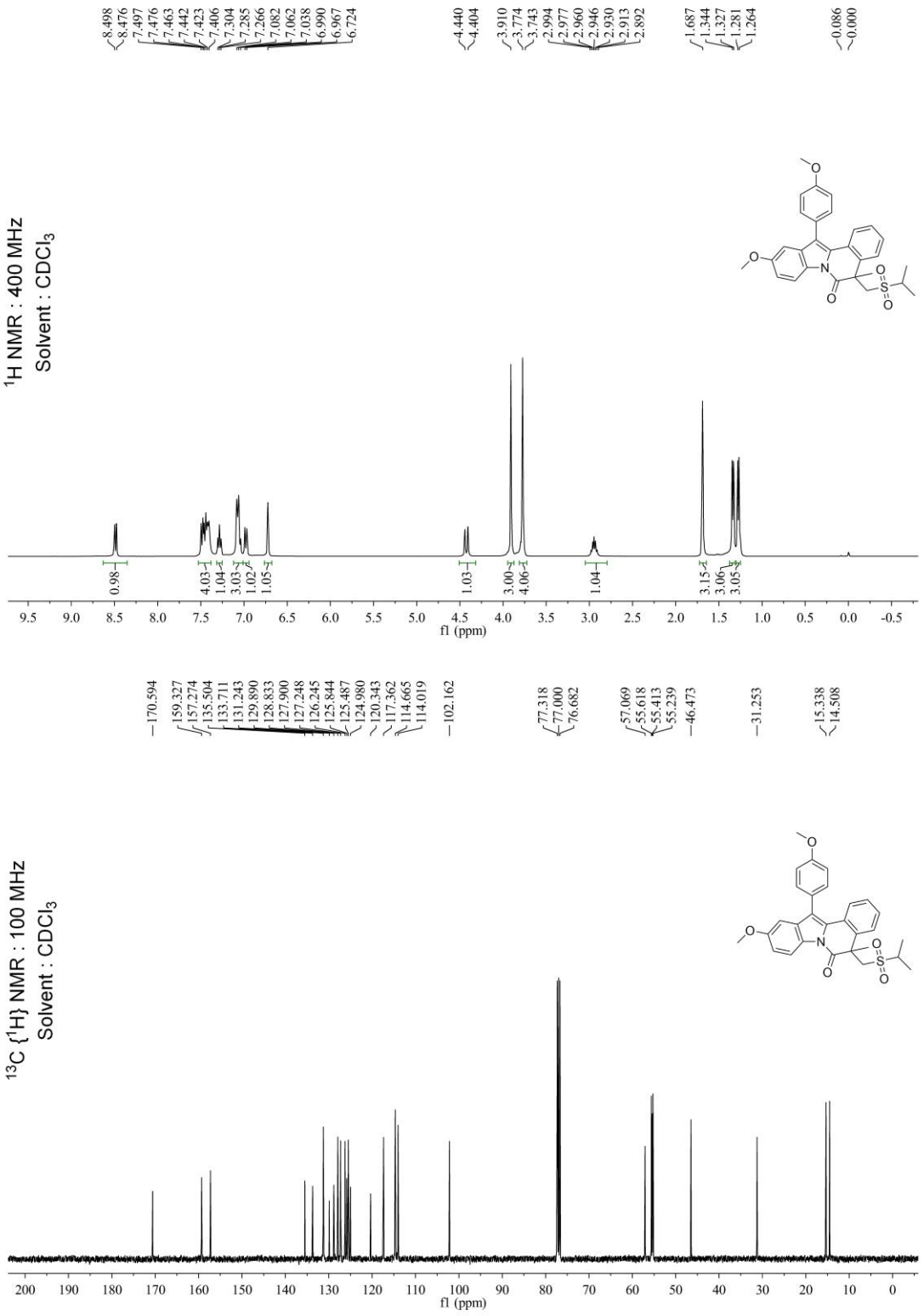


5-((isopropylsulfonyl)methyl)-5-methyl-12-(thiophen-2-yl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ka)

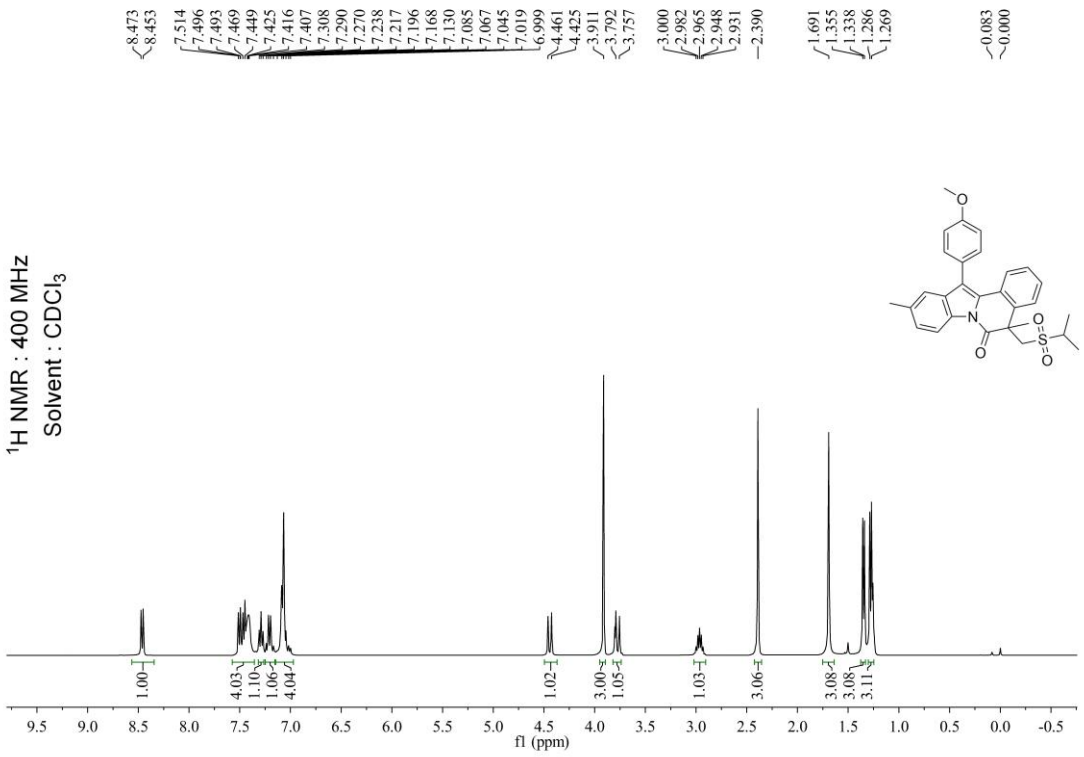


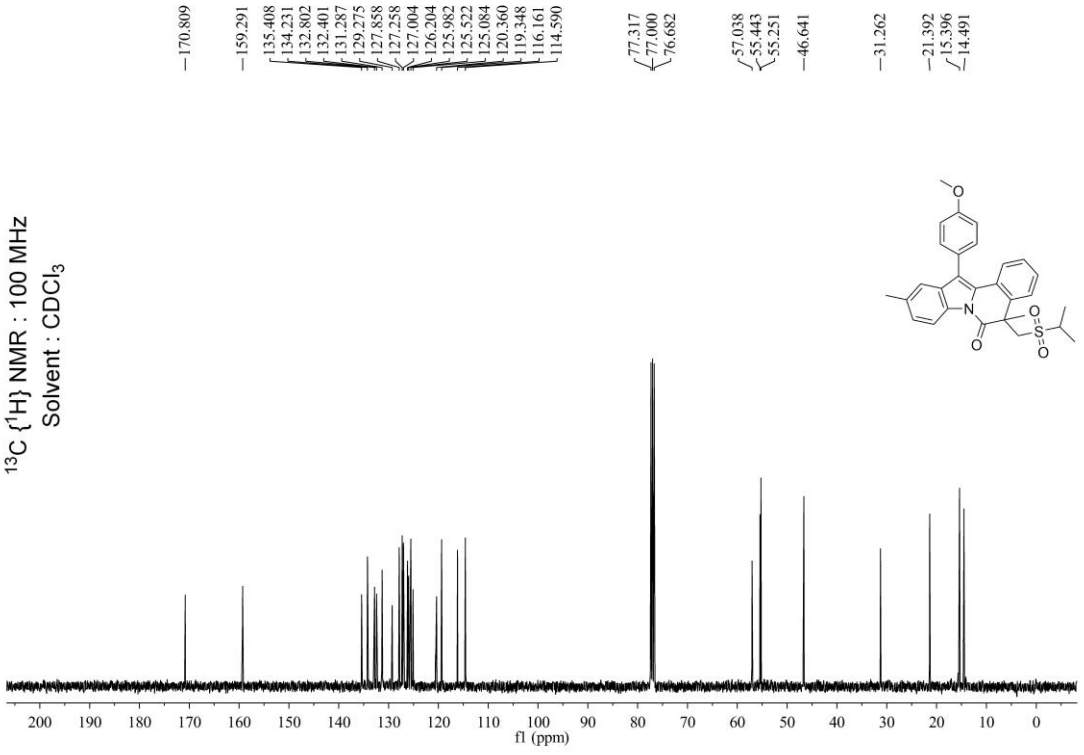


5-((isopropylsulfonyl)methyl)-10-methoxy-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3la)

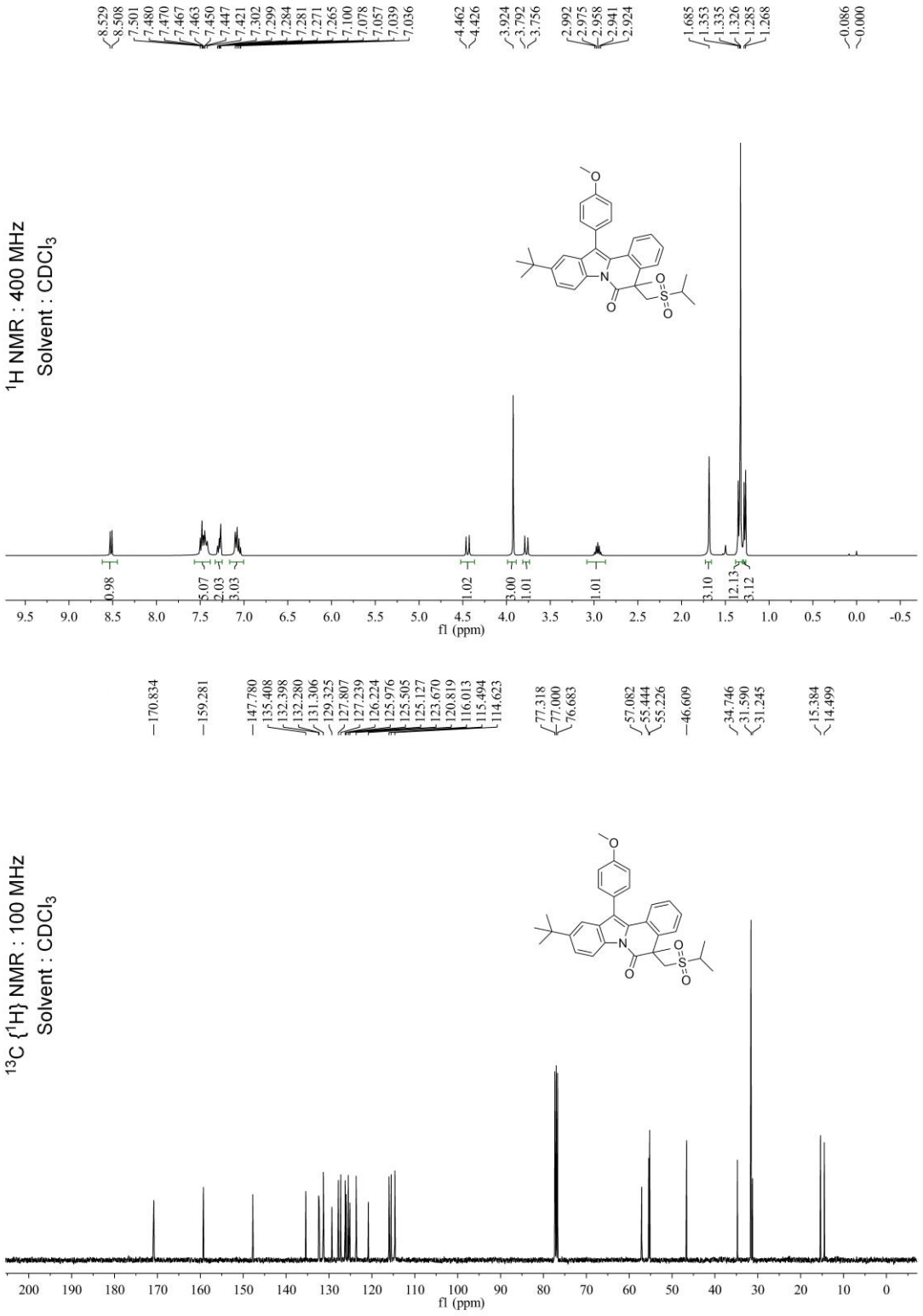


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,10-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ma)

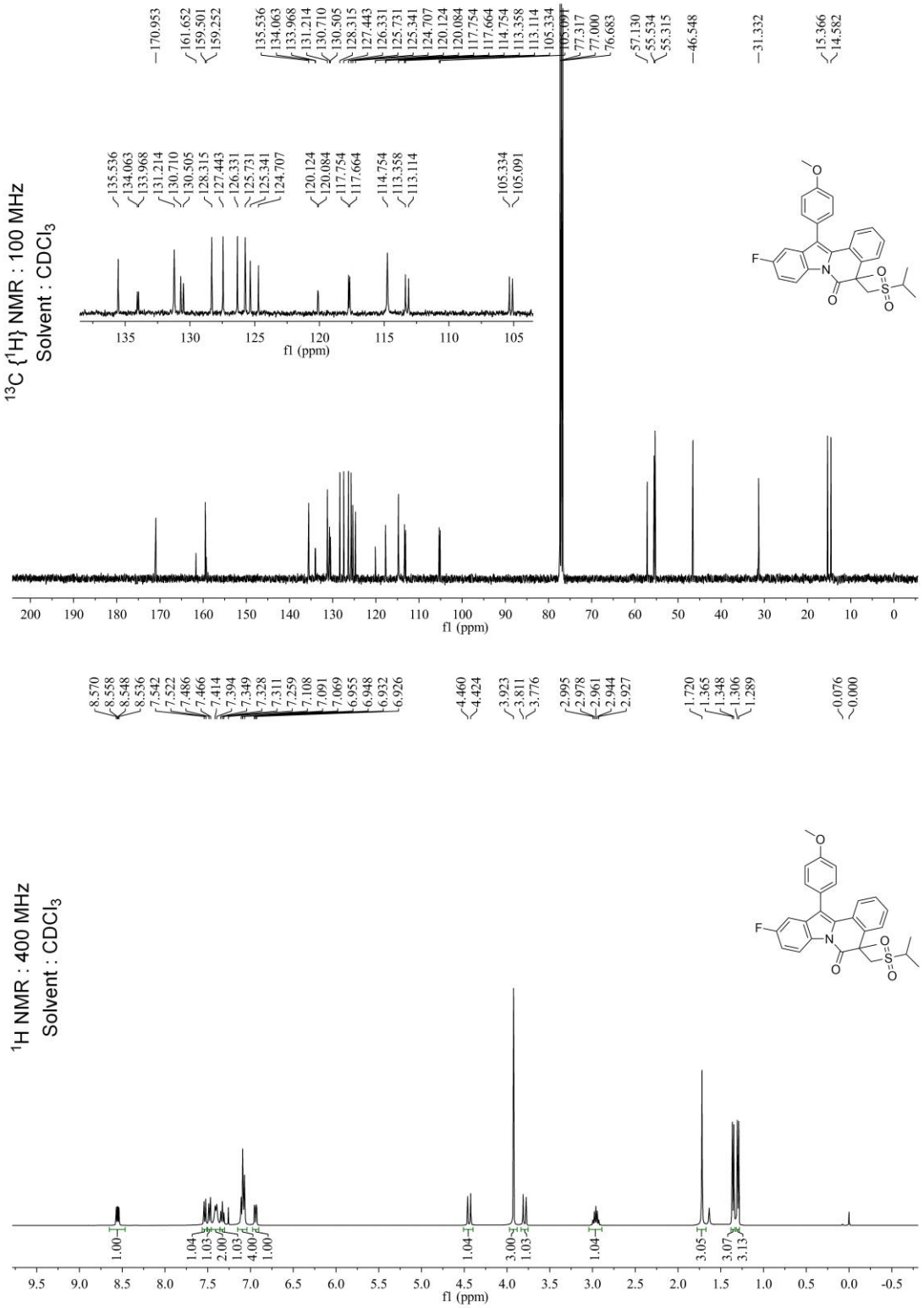


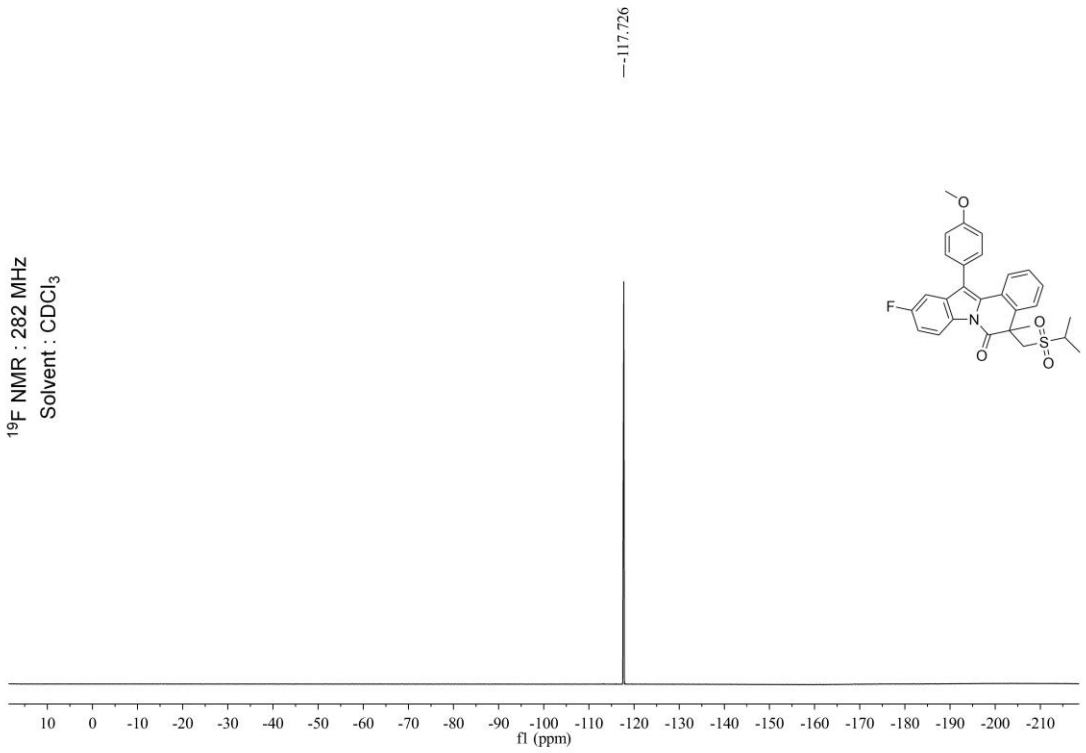


10-(tert-butyl)-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3na)

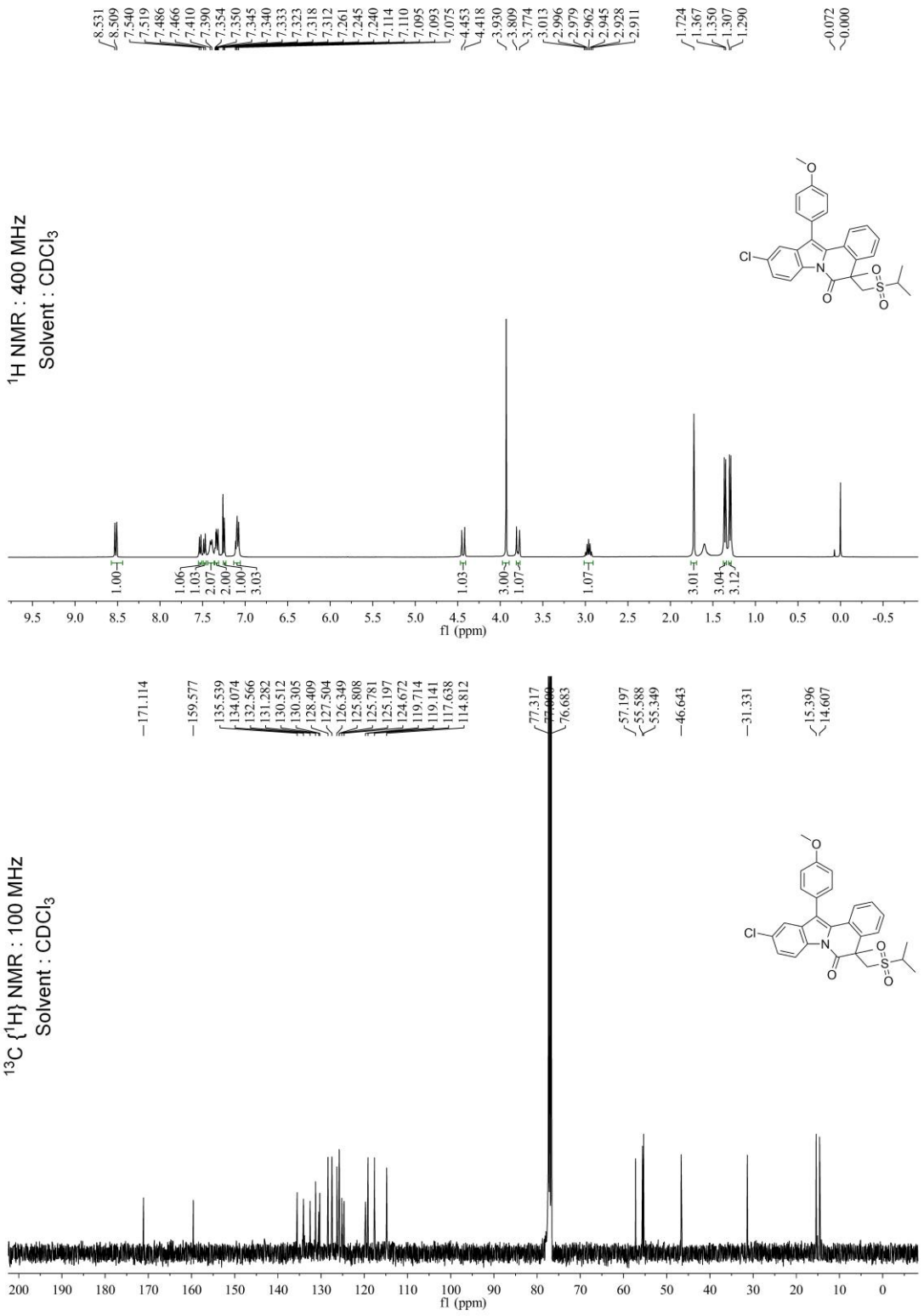


10-fluoro-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3oa)

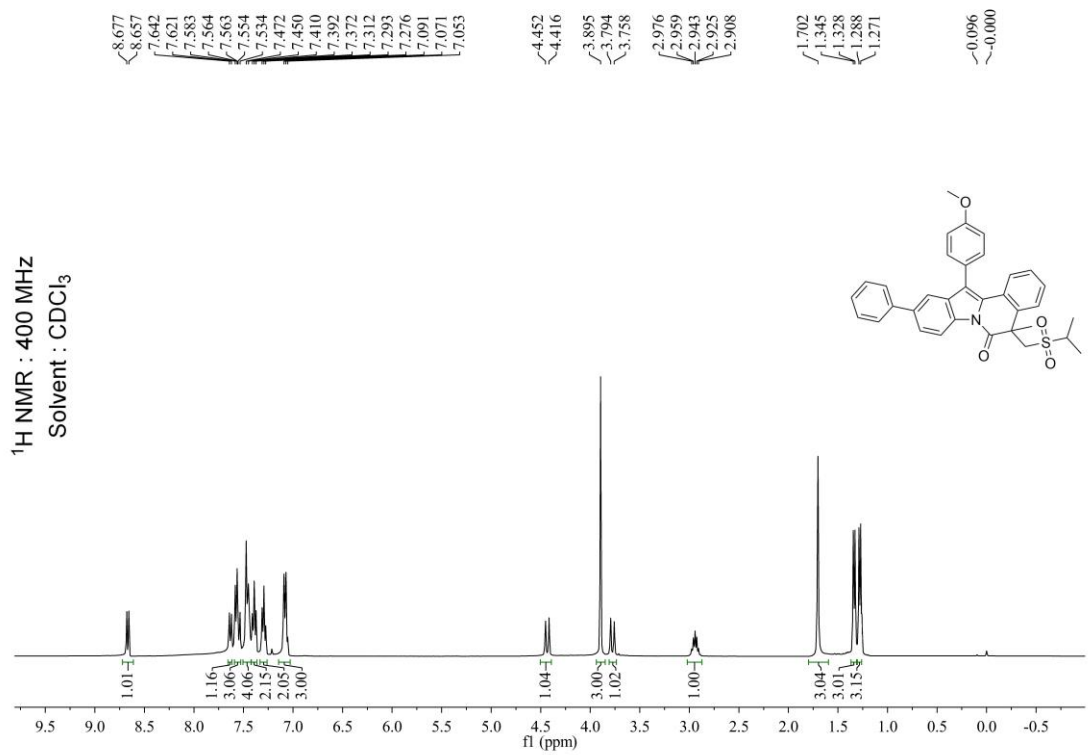


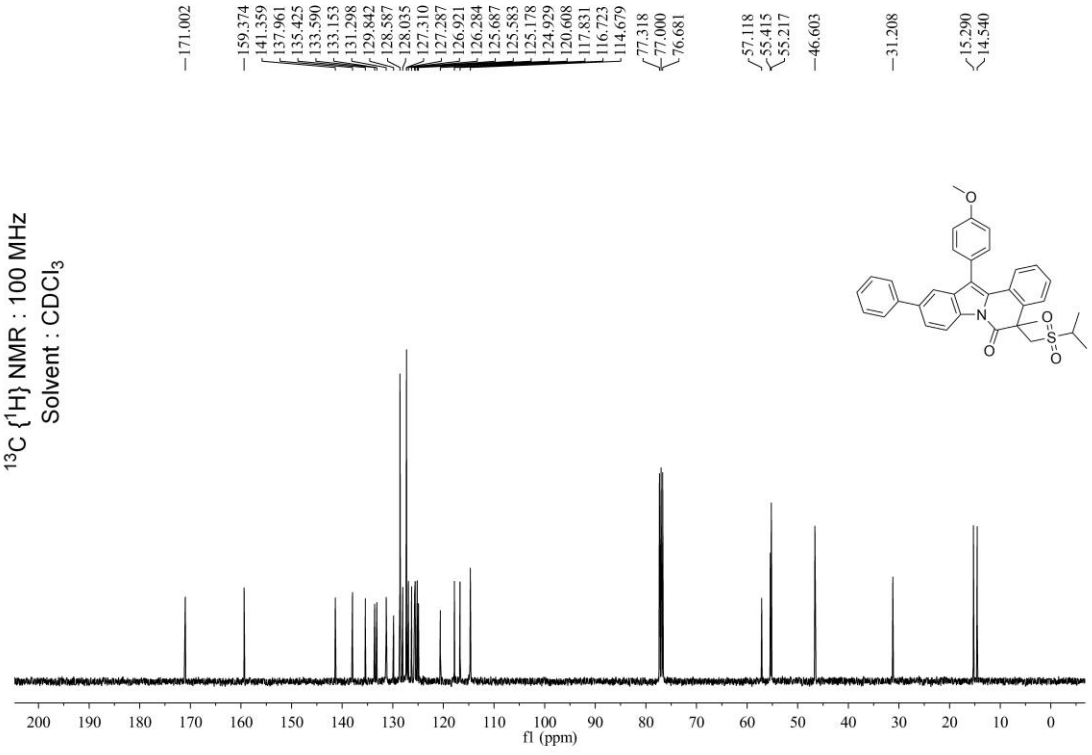

-117.726
^{19}F NMR : 282 MHz
Solvent : $CDCl_3$
F
N
O
O
S
O
O
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

10-chloro-5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3pa)

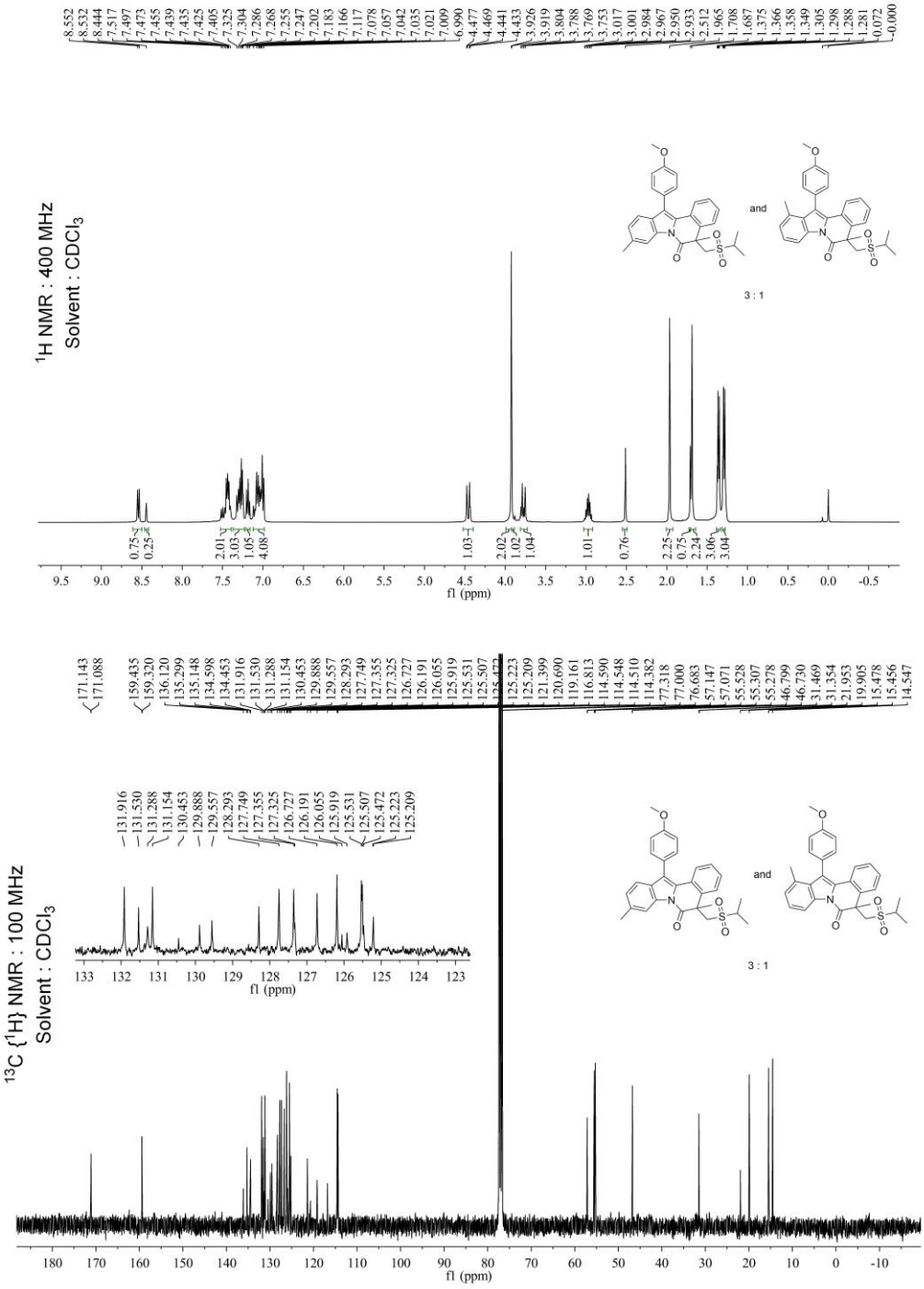


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methyl-10-phenylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3qa)

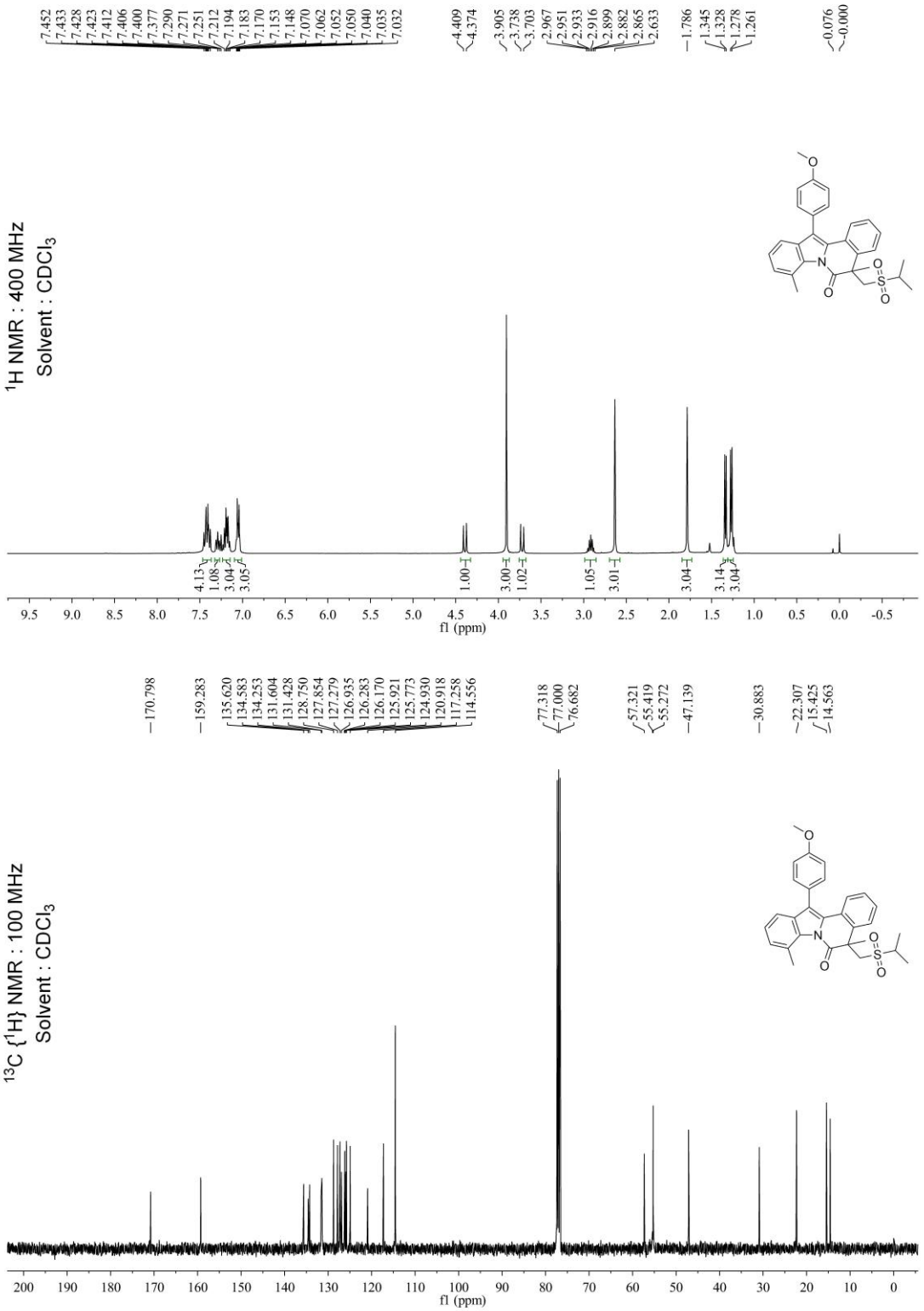




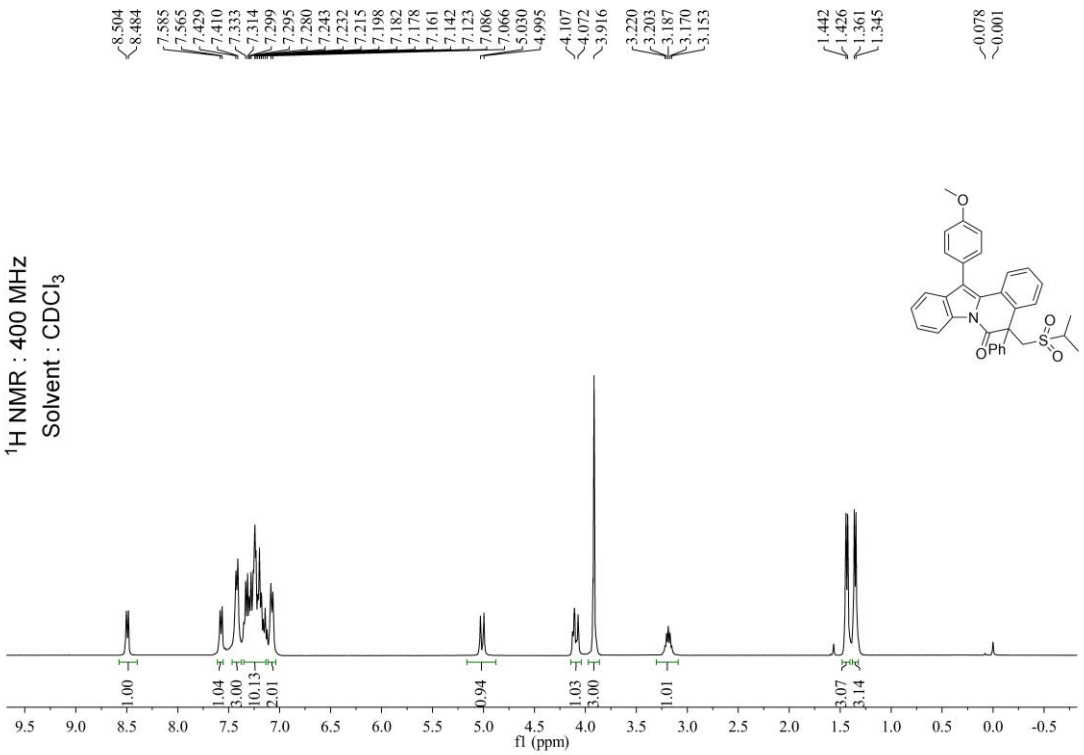
5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,9-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ra) and 5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,11-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ra') mixture.

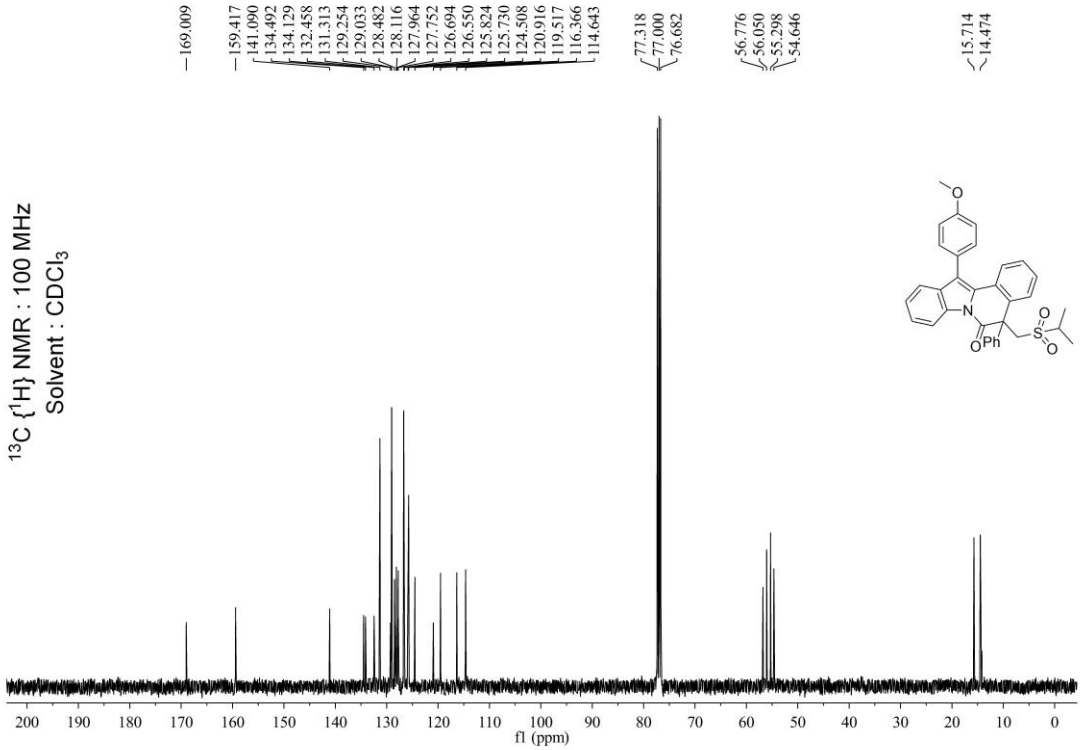


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5,8-dimethylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3sa)

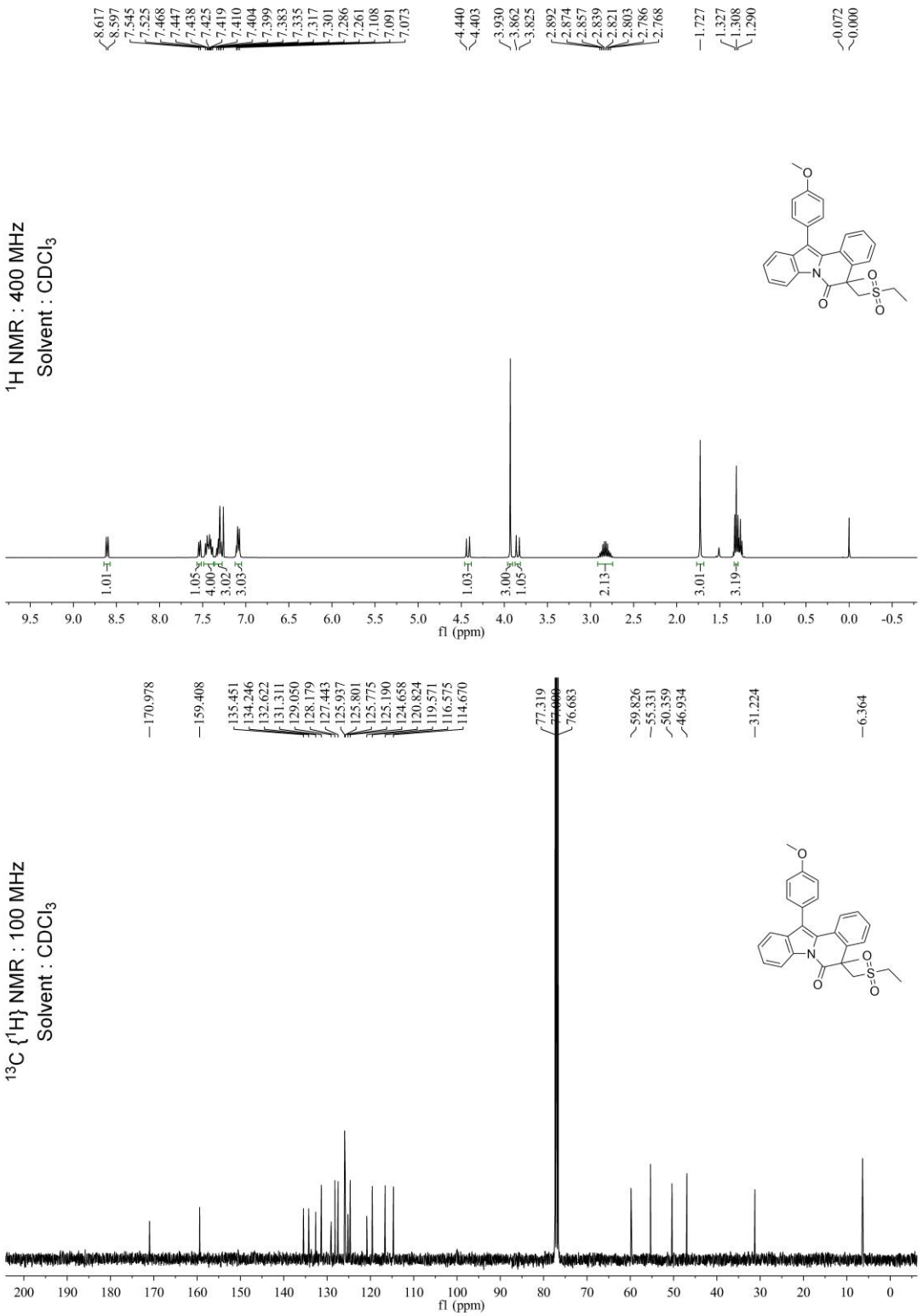


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-phenylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ta)

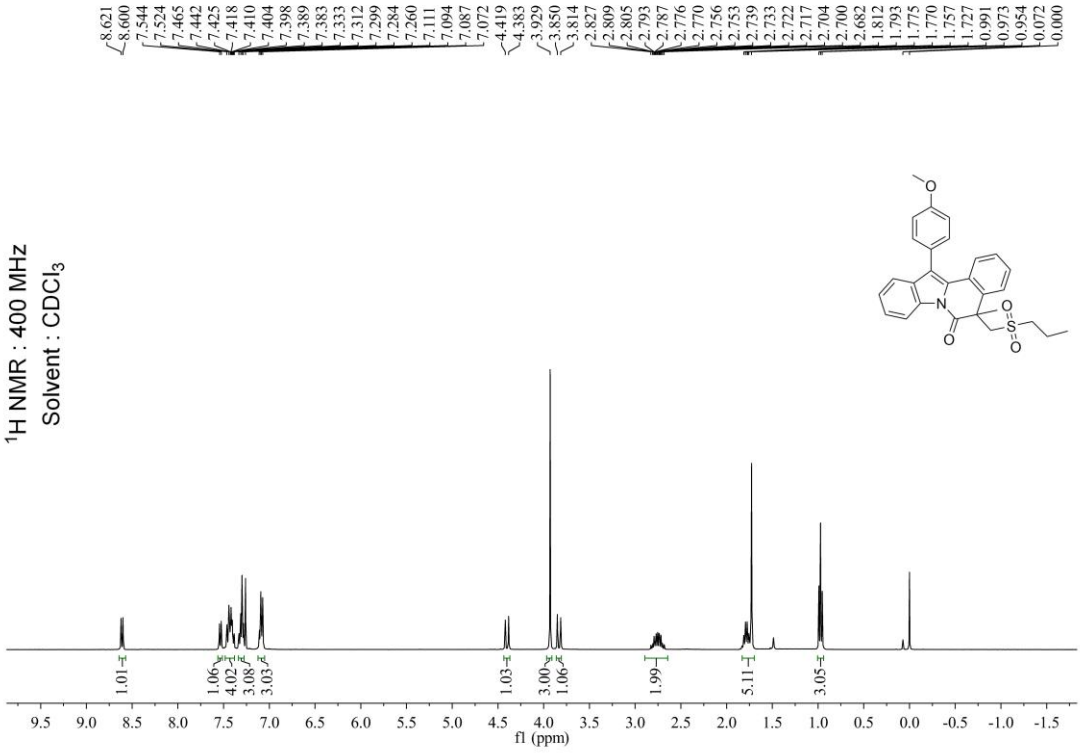


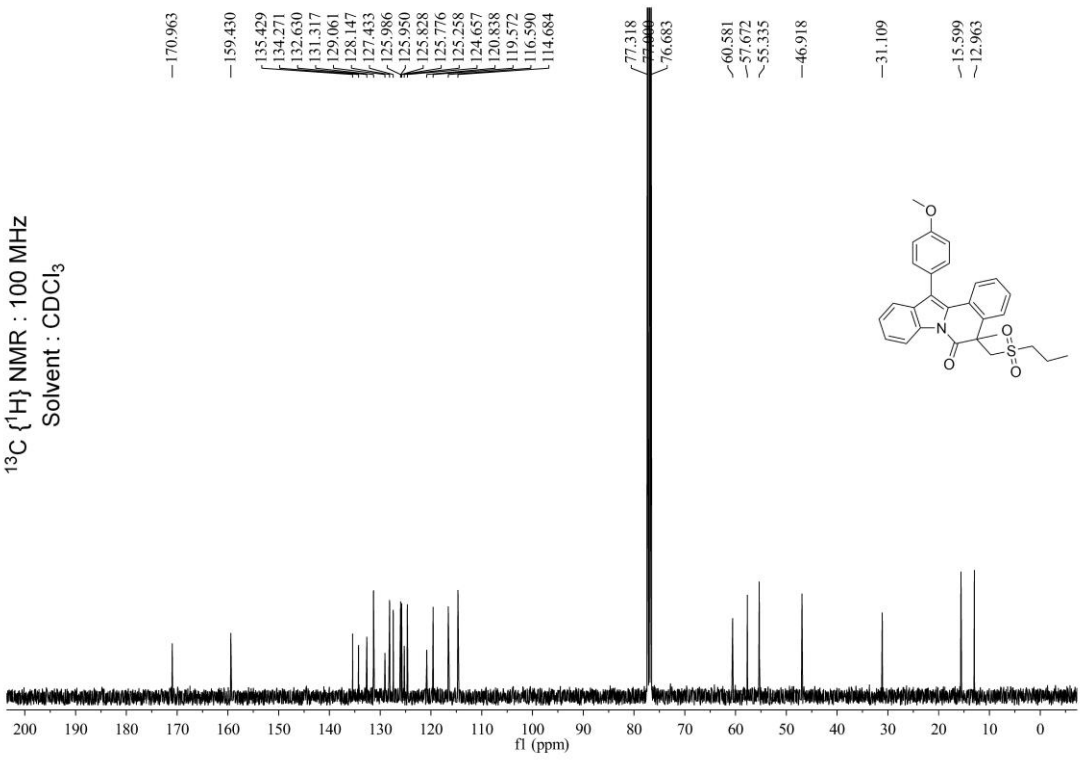


5-((ethylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ab)

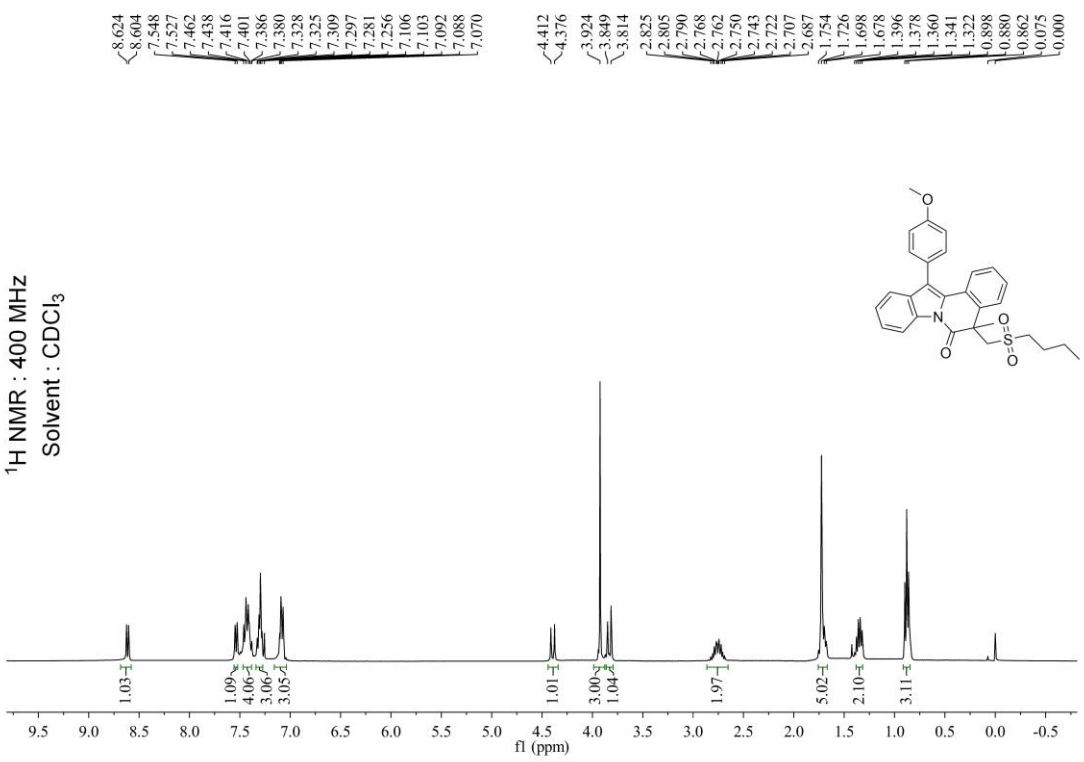


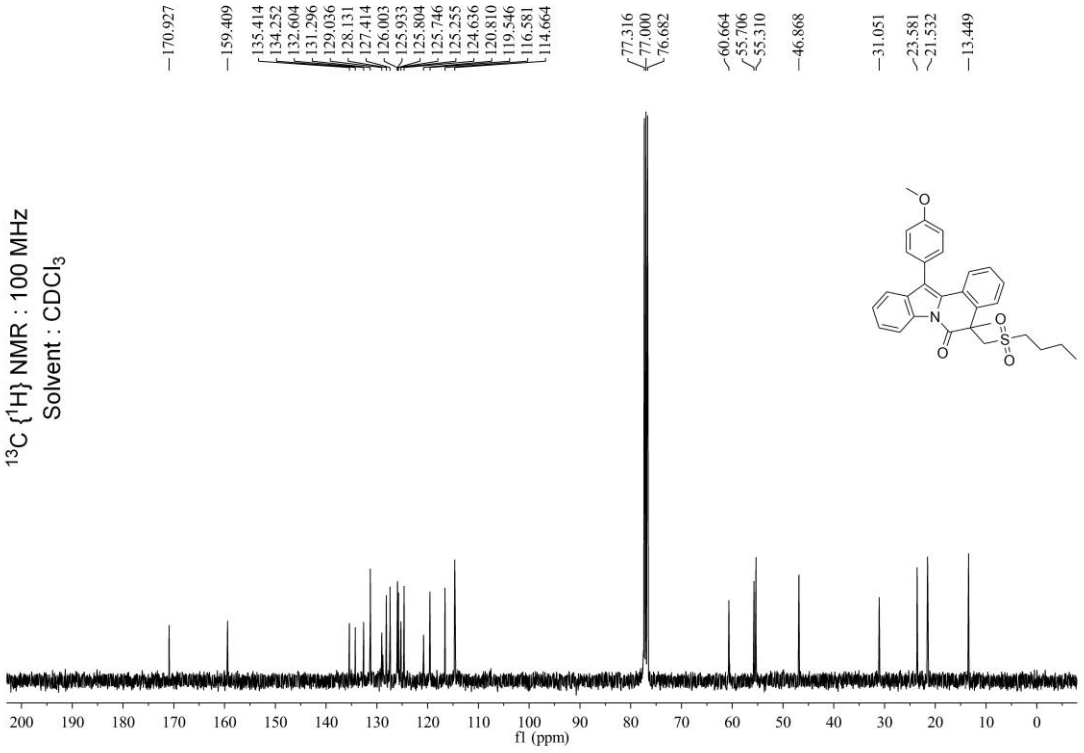
12-(4-methoxyphenyl)-5-methyl-5-((propylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ac)



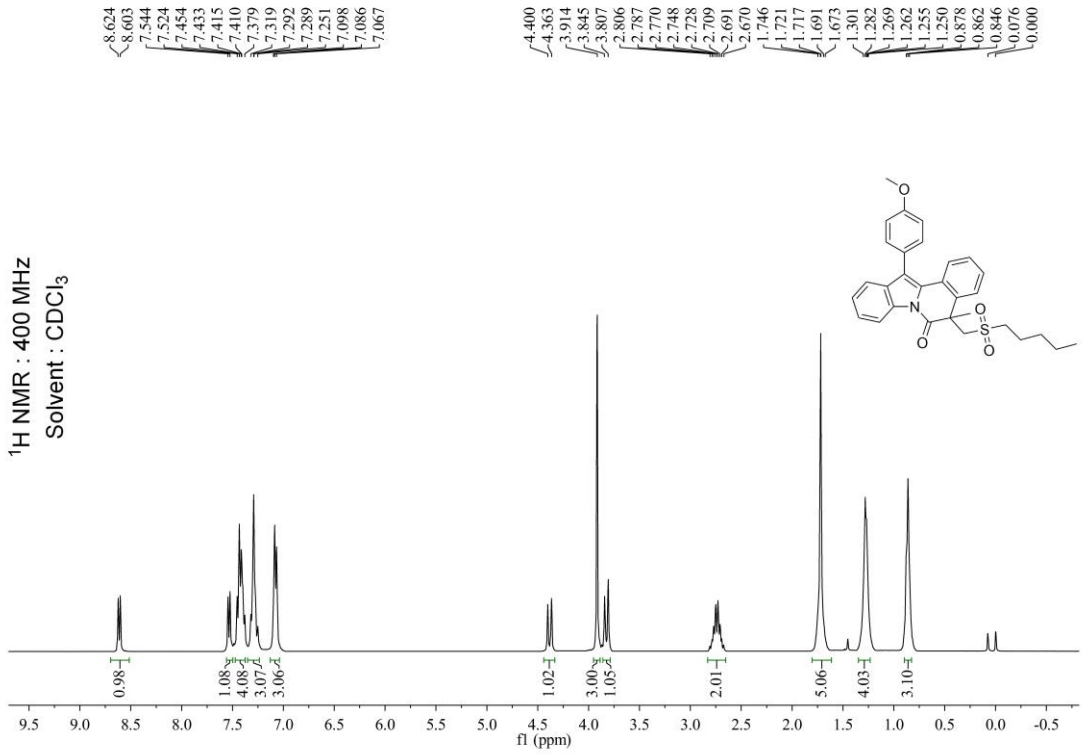


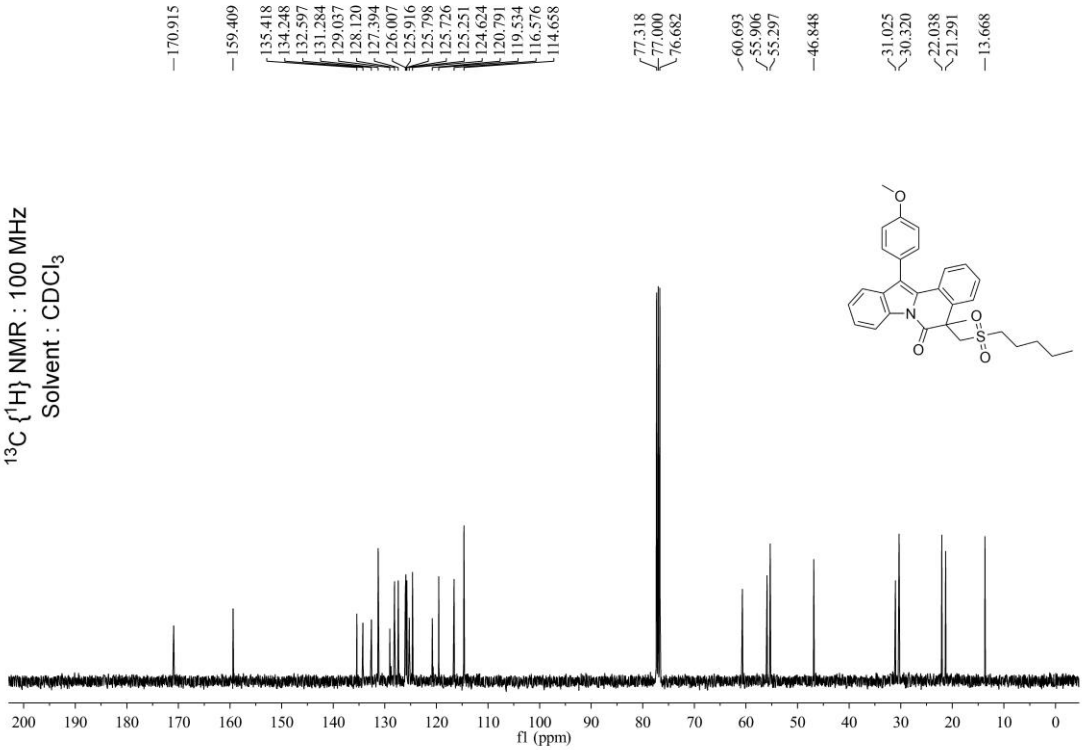
5-((butylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ad)



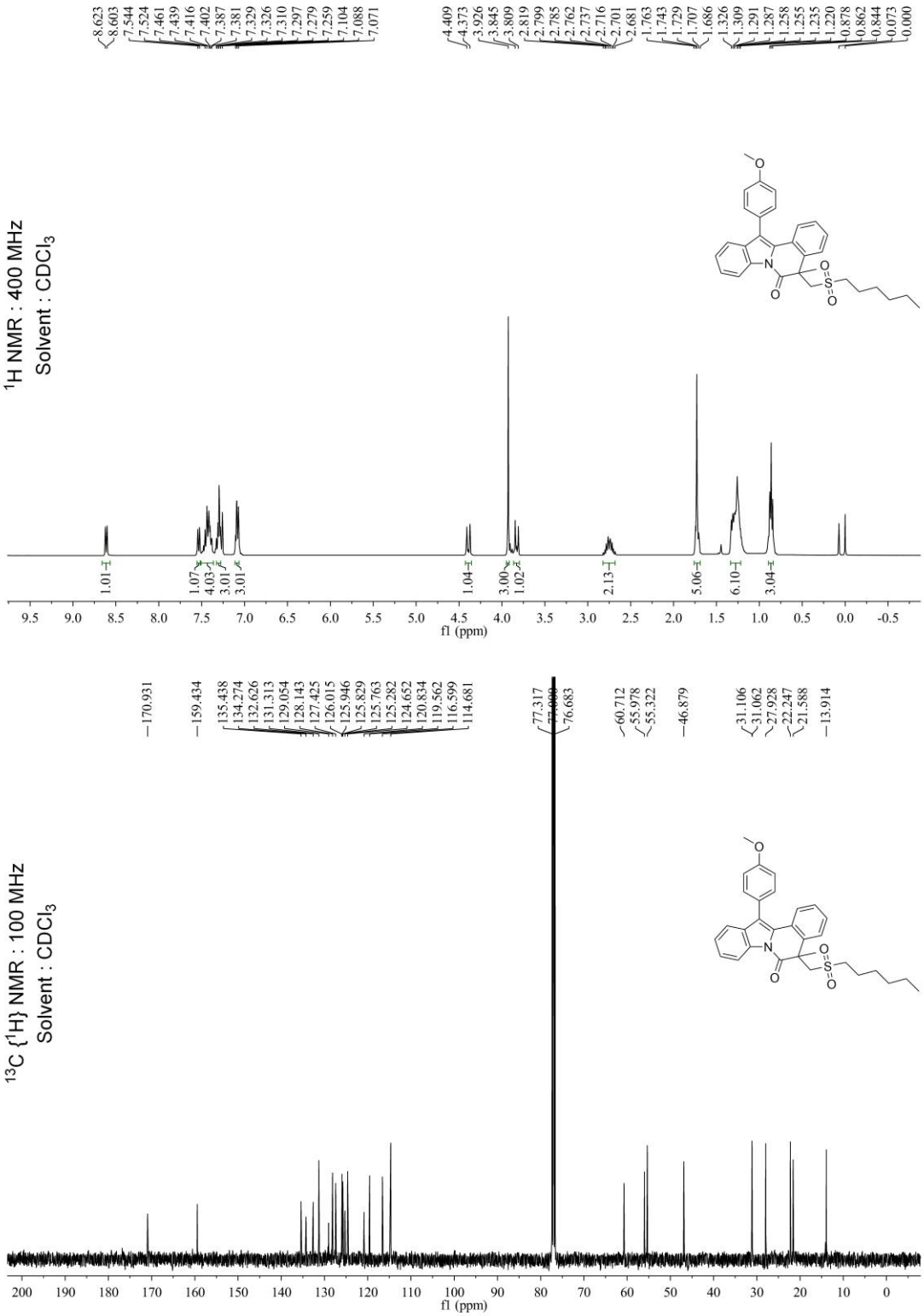


12-(4-methoxyphenyl)-5-methyl-5-((pentylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ae)

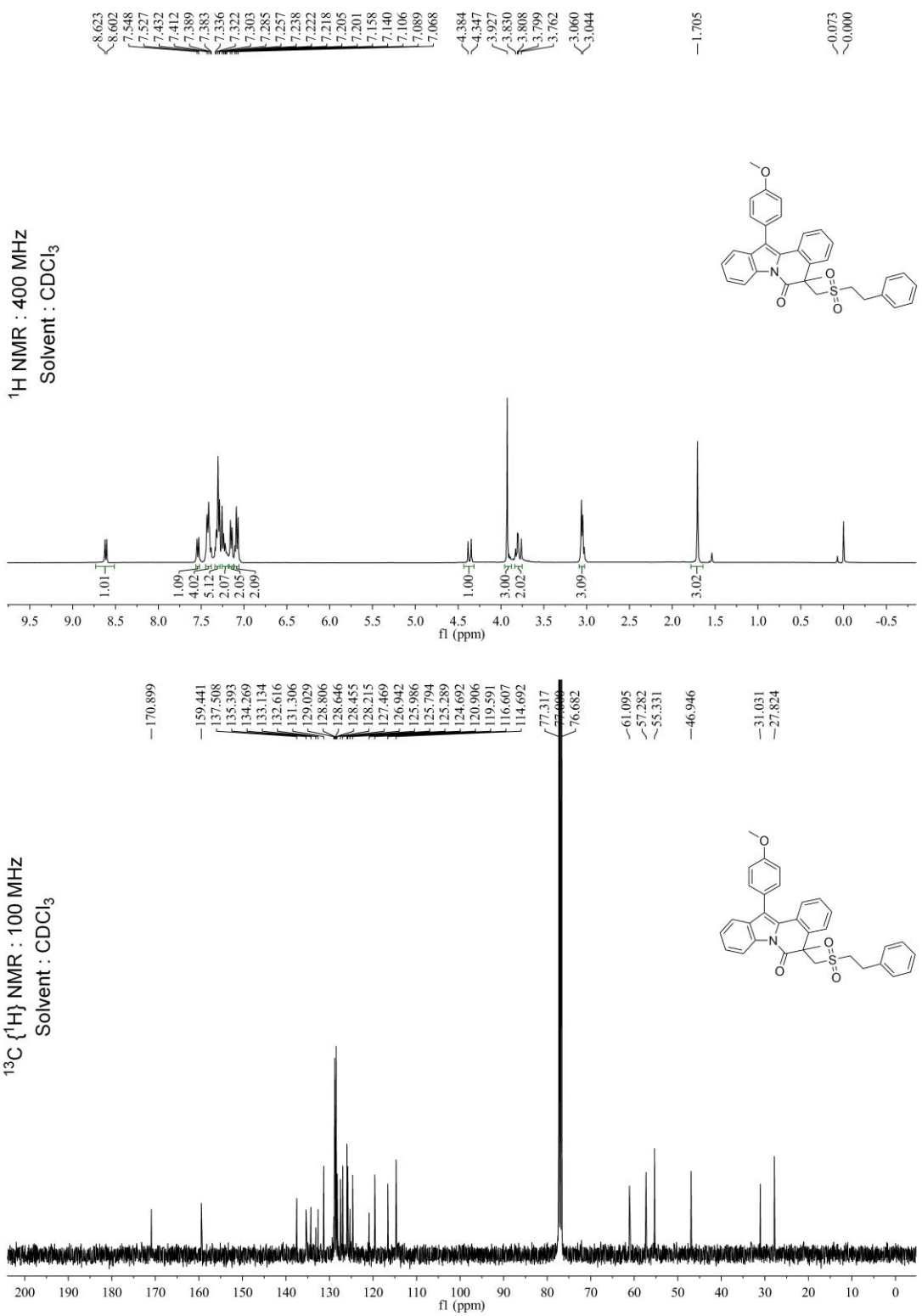




5-((hexylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3af)

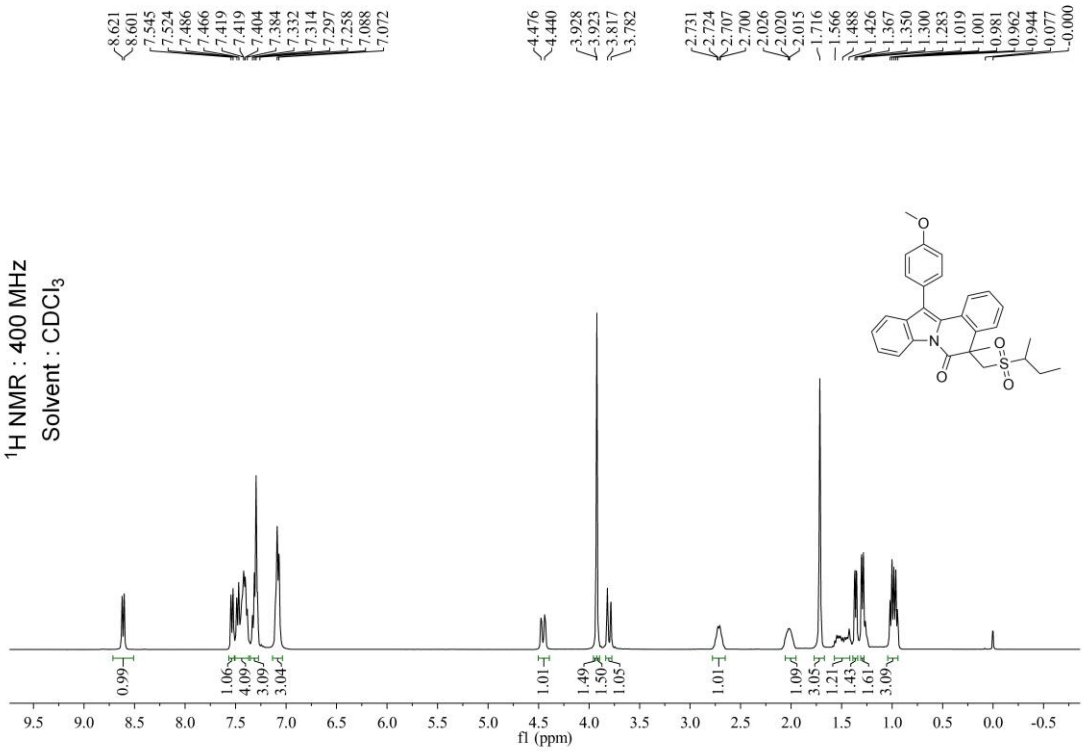


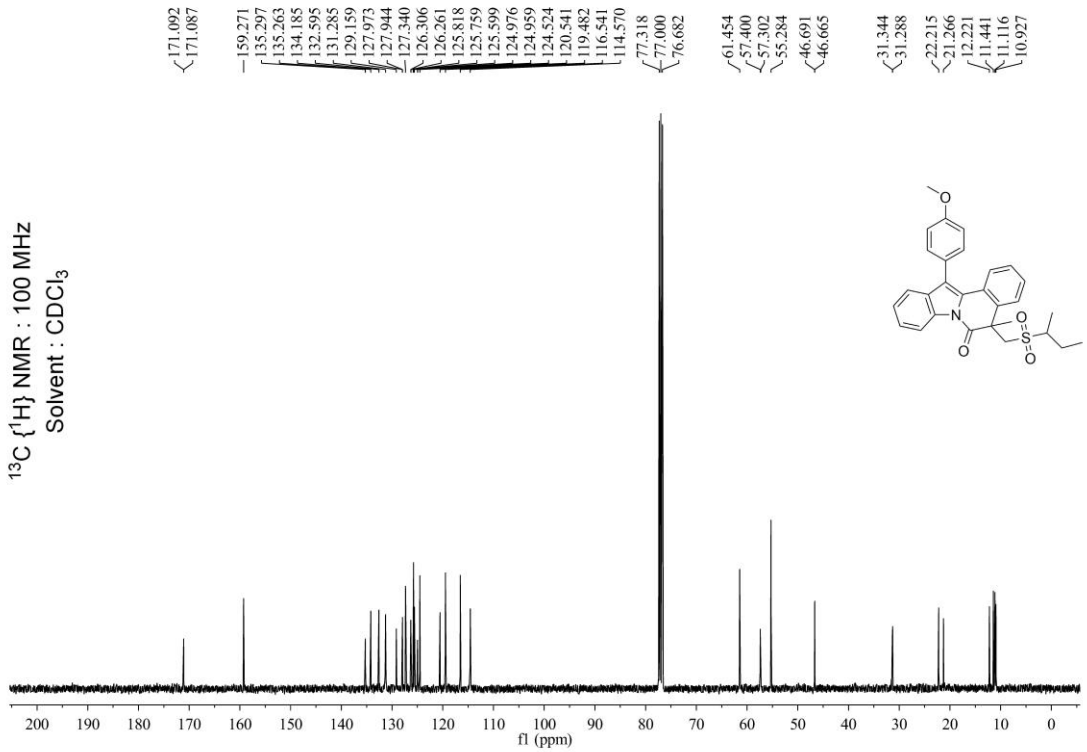
12-(4-methoxyphenyl)-5-methyl-5-((phenethylsulfonyl)methyl)indolo[2,1-a]isoquinolin-6-(5*H*)-one (3ag)



5-((sec-butylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ah)

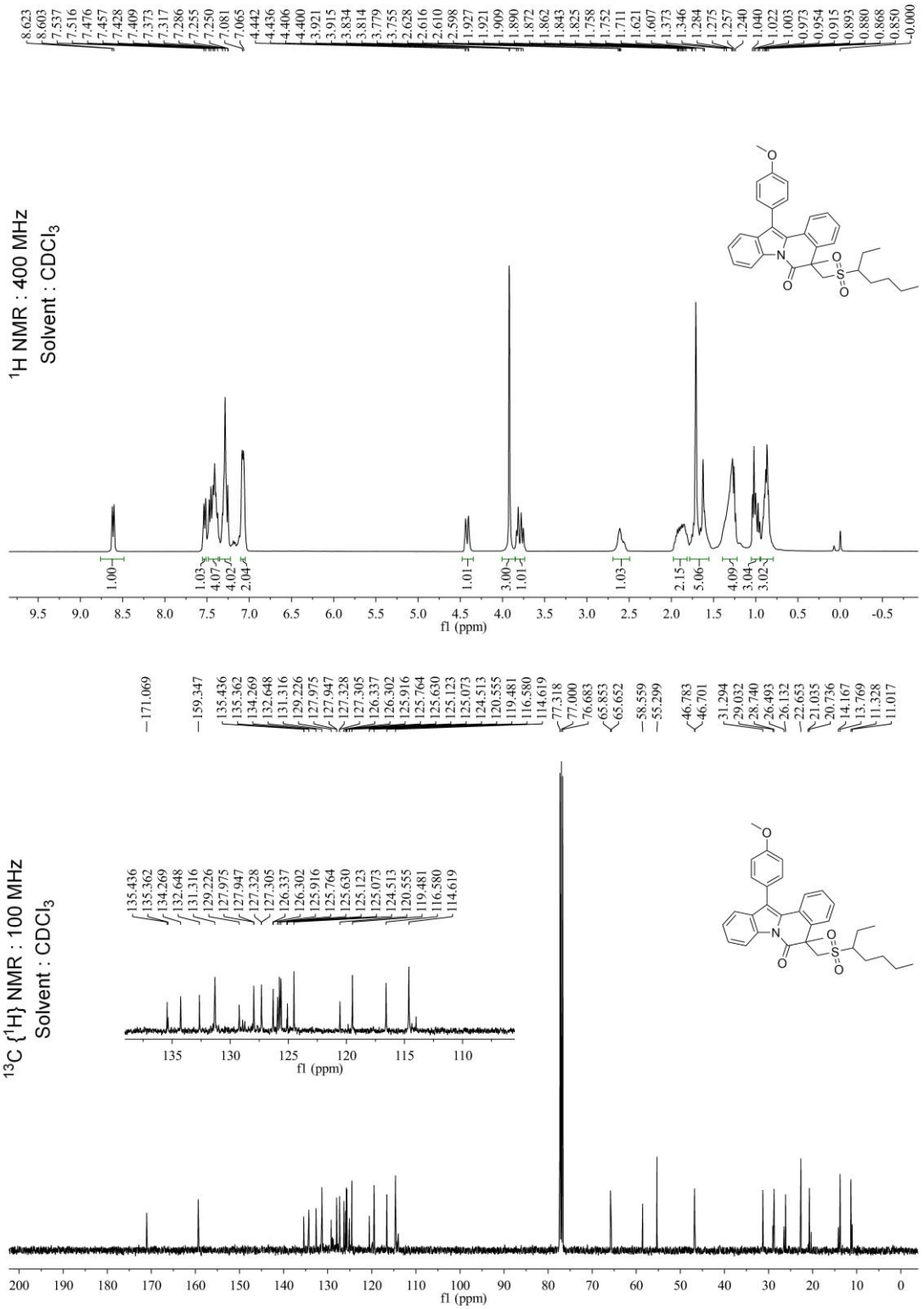
diastereoisomer mixture: *dr* = 1:1





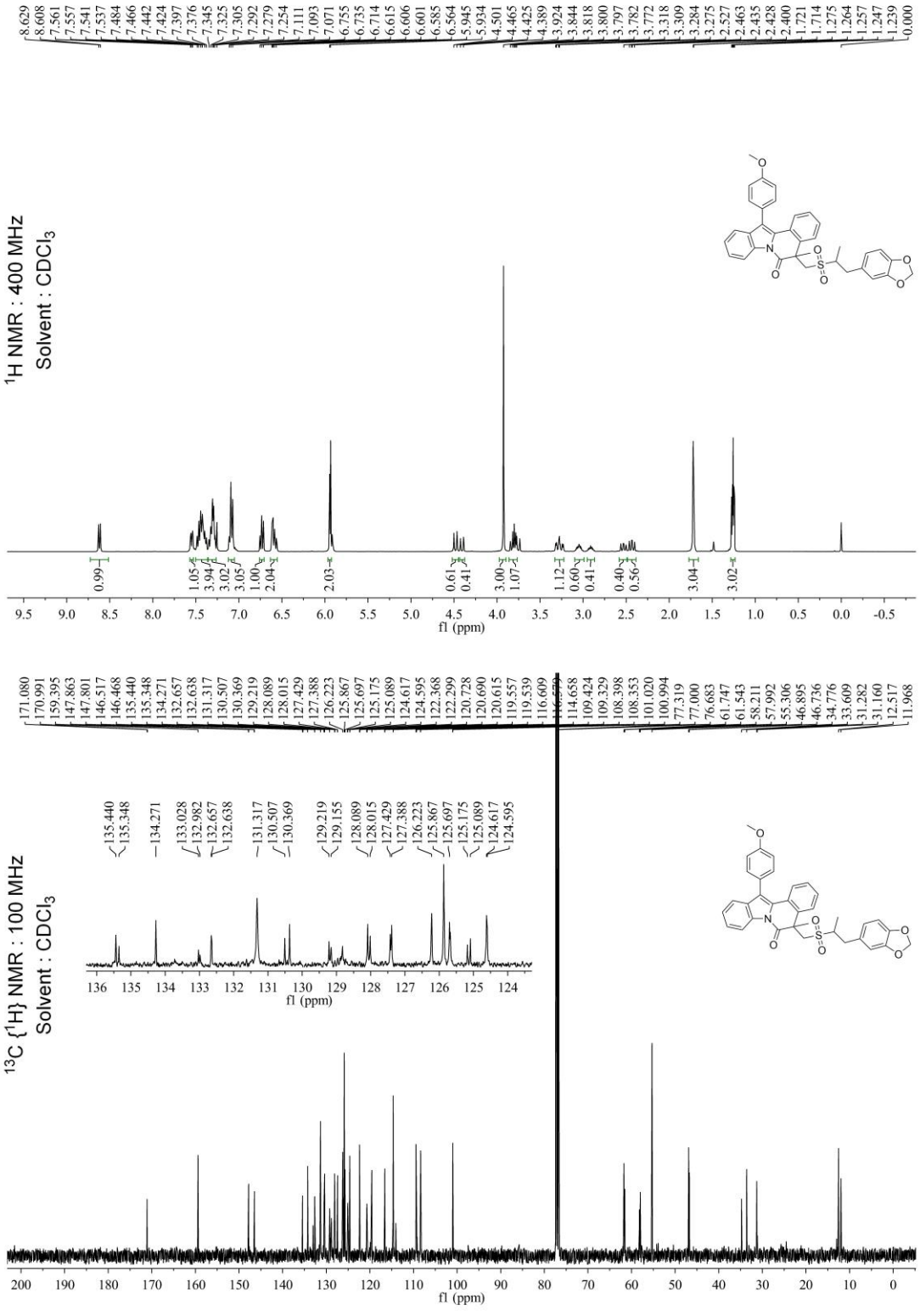
5-((heptan-3-ylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ai)

diastereoisomer mixture: *dr* = 1:1

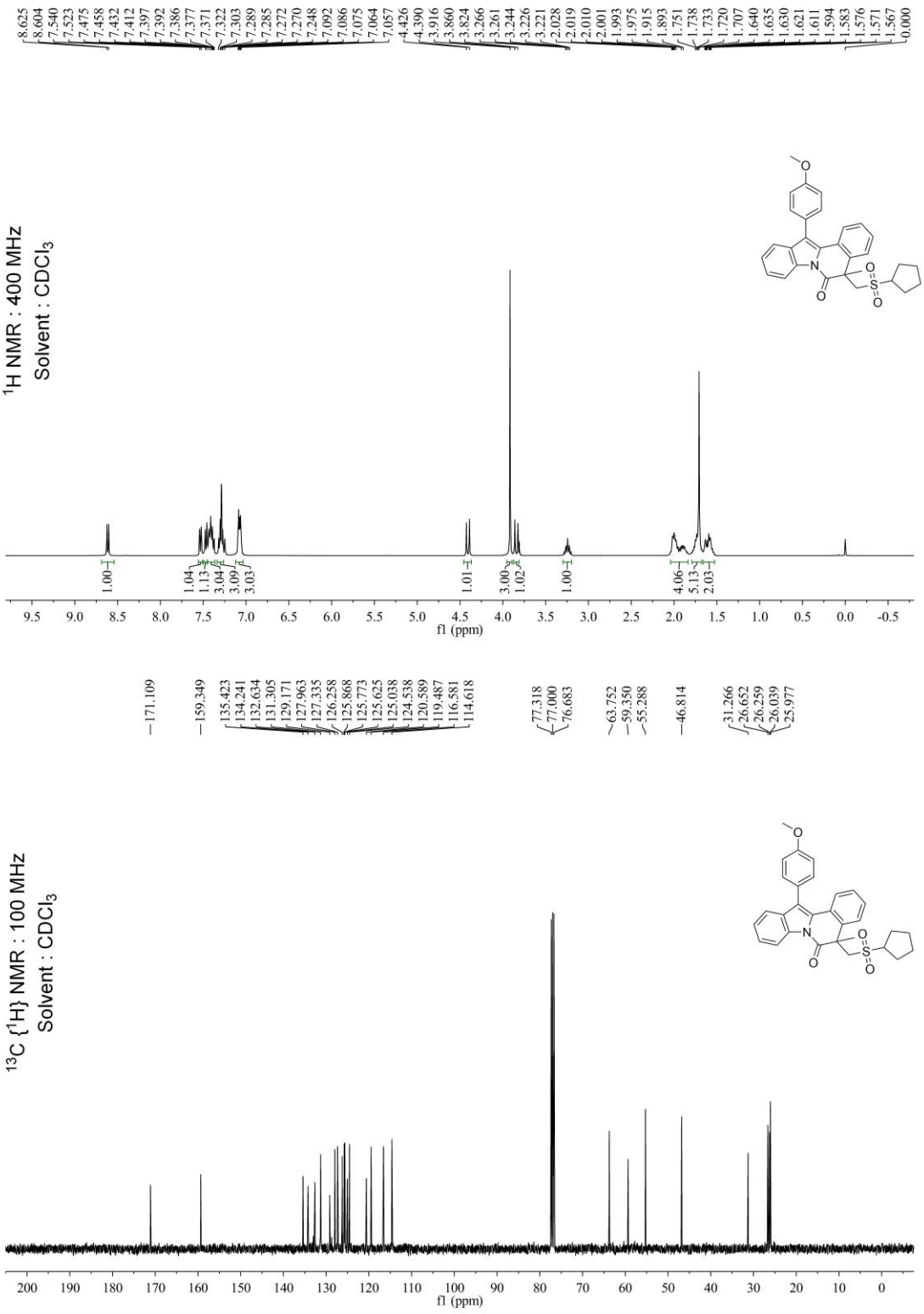


5-(((1-(benzo[d][1,3]dioxol-5-yl)propan-2-yl)sulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3aj)

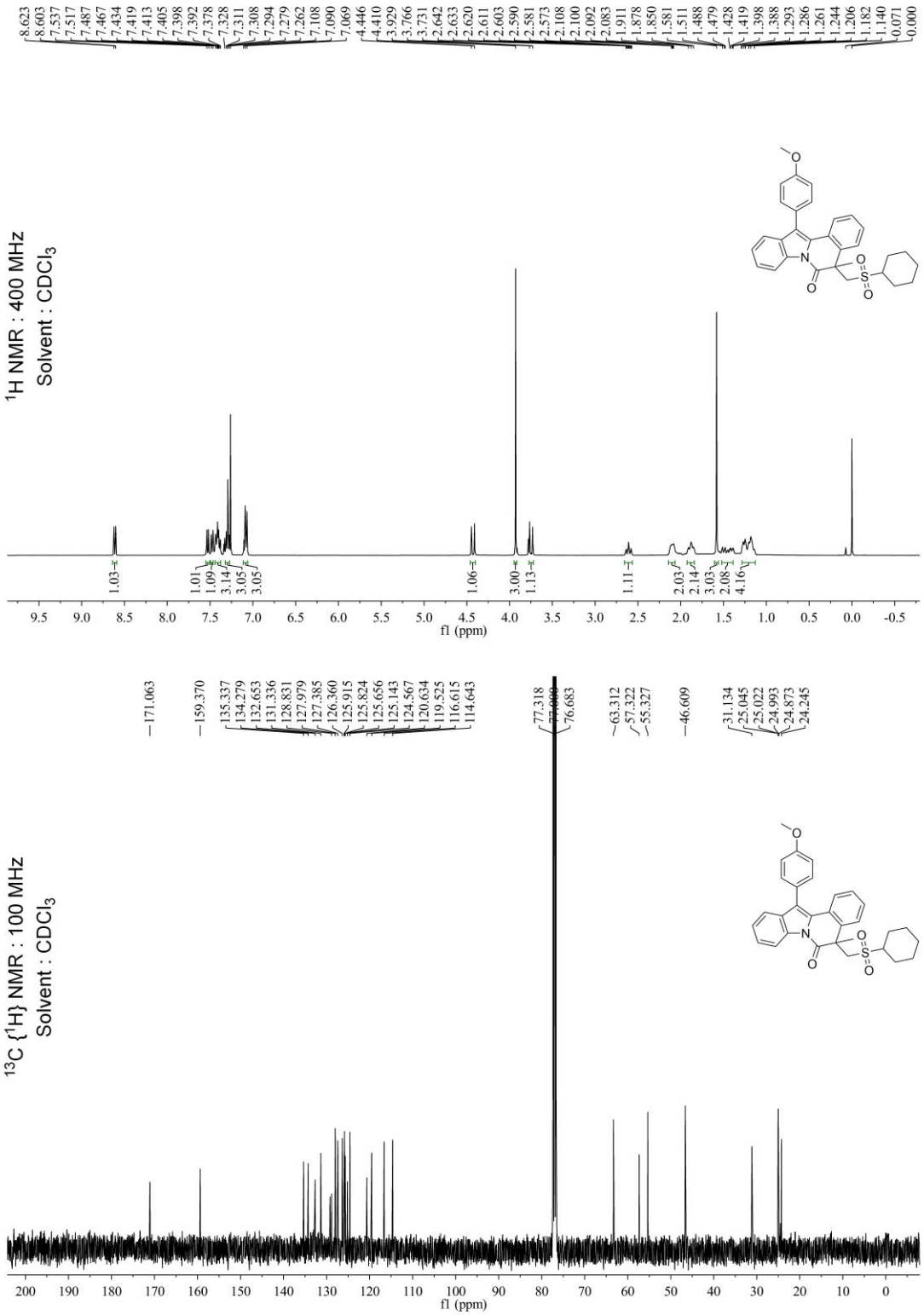
diastereoisomer mixture: *dr* = 3:2



5-((cyclopentylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3ak)

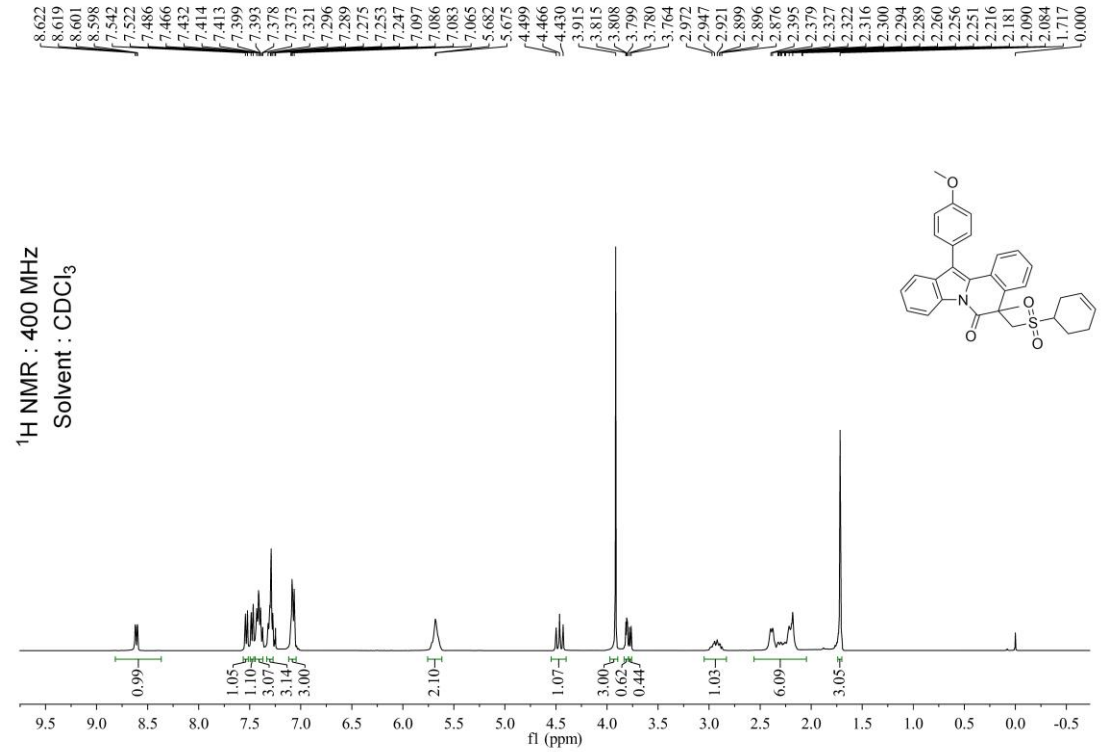


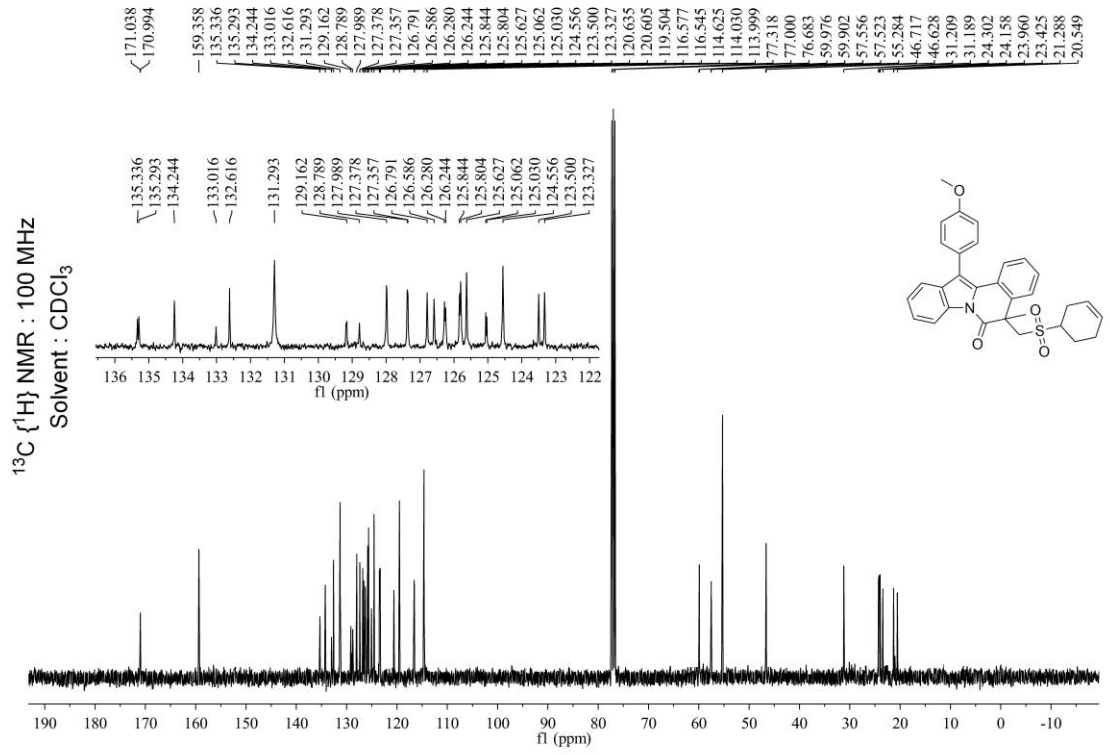
5-((cyclohexylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3al)



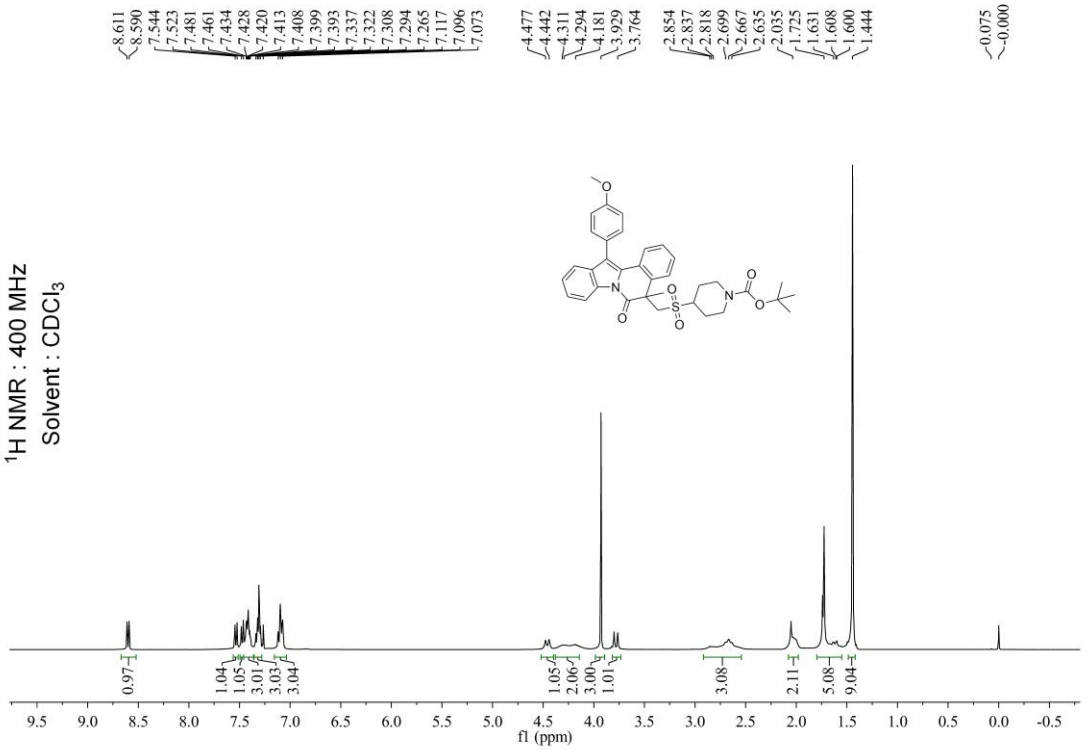
5-((cyclohex-3-en-1-ylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (3am)

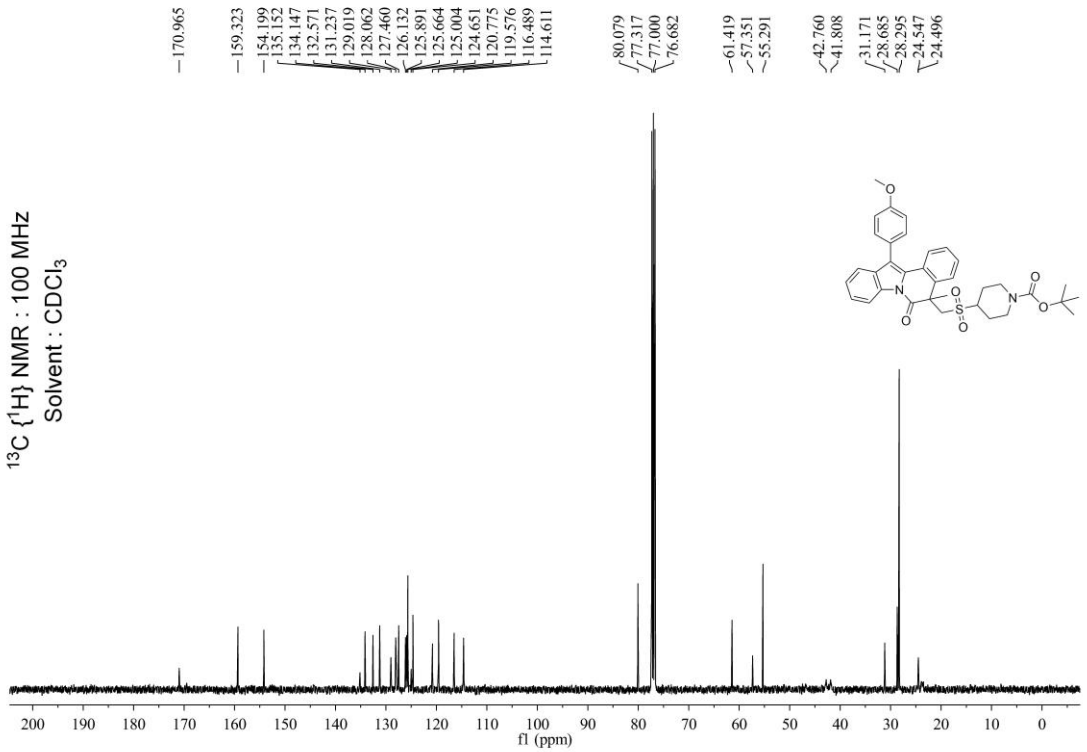
diastereoisomer mixture: *dr* = 1:1



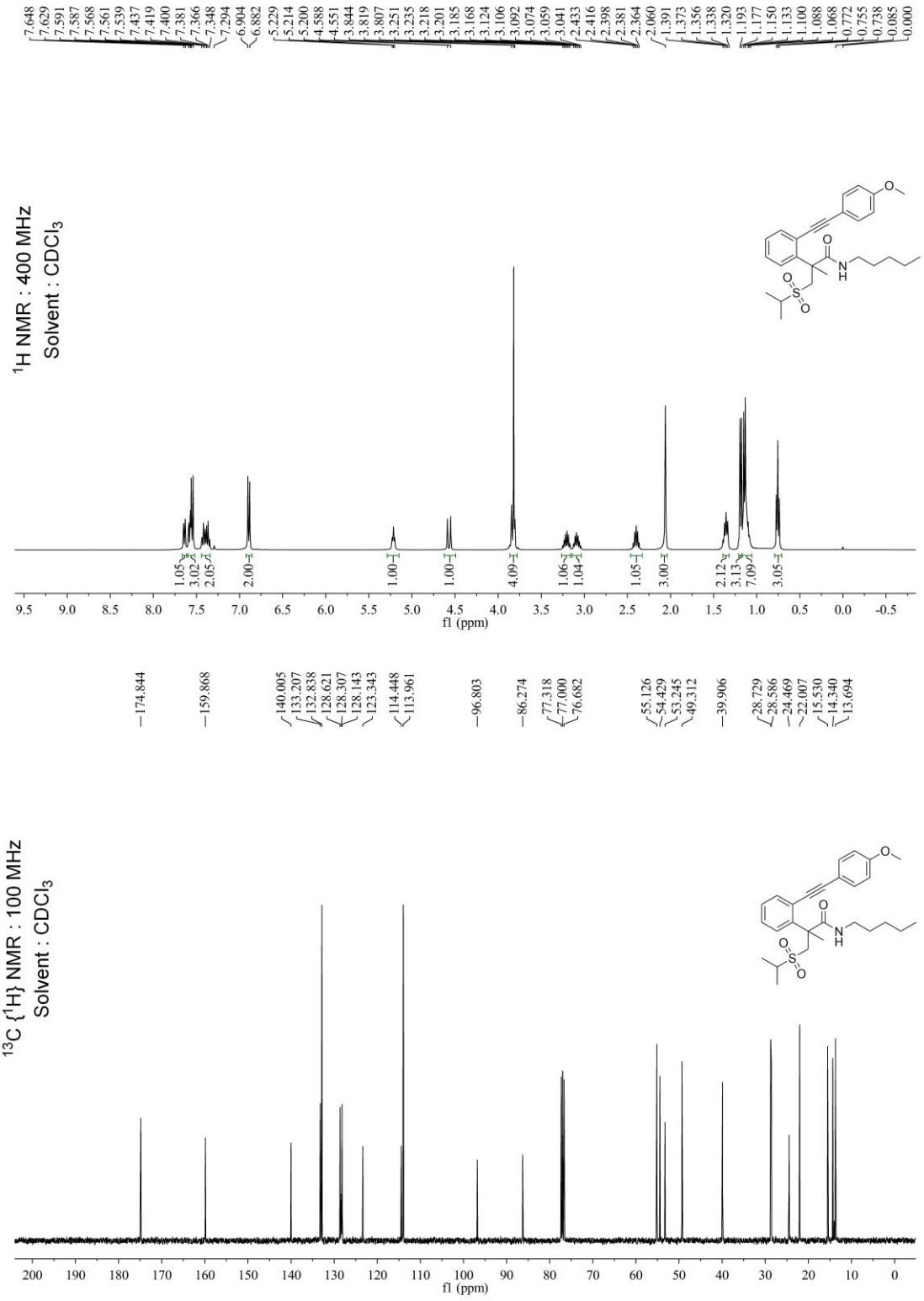


Tert-butyl-4-(((12-(4-methoxyphenyl)-5-methyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)sulfonyl)piperidine-1-carboxylate (3an)

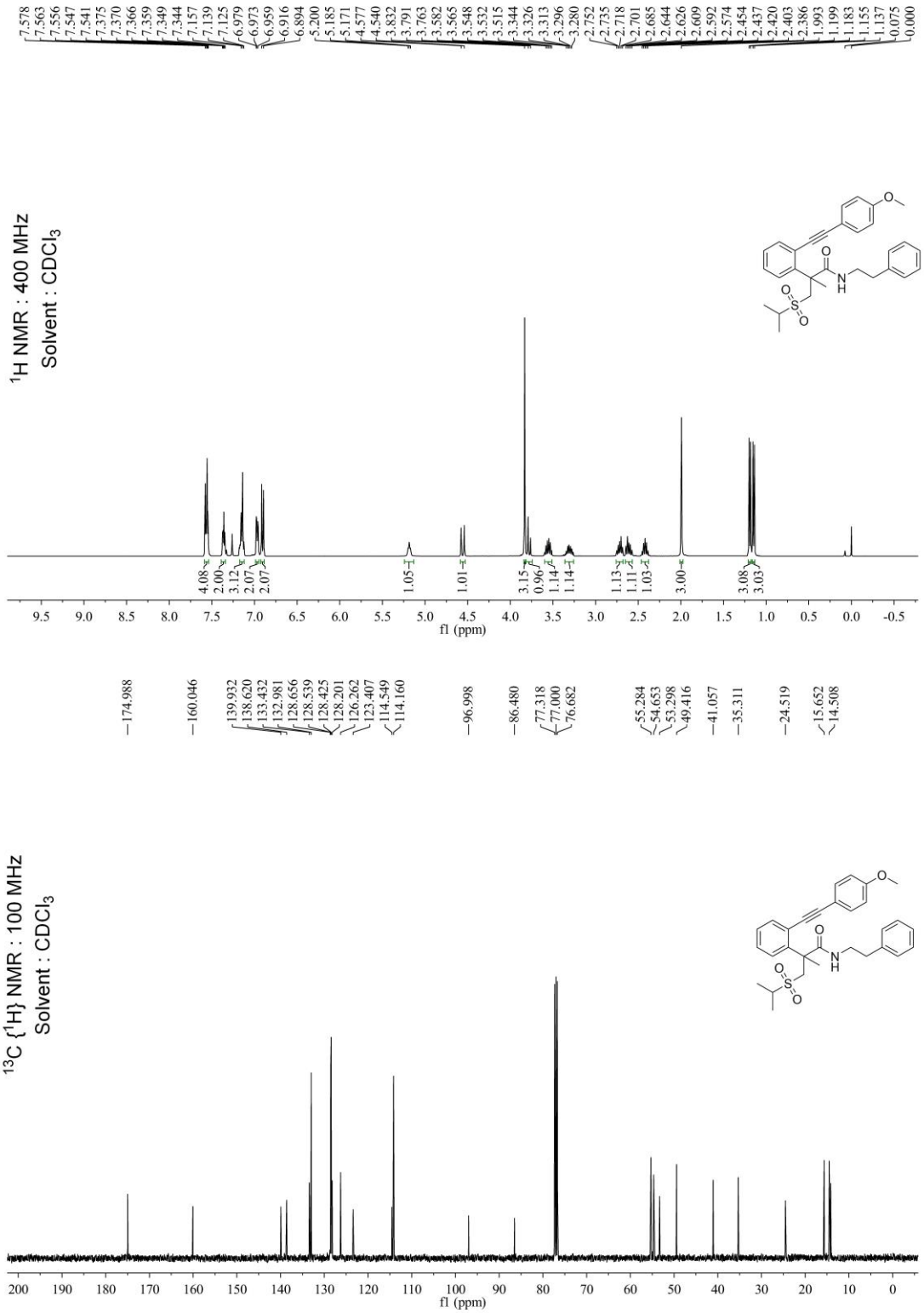




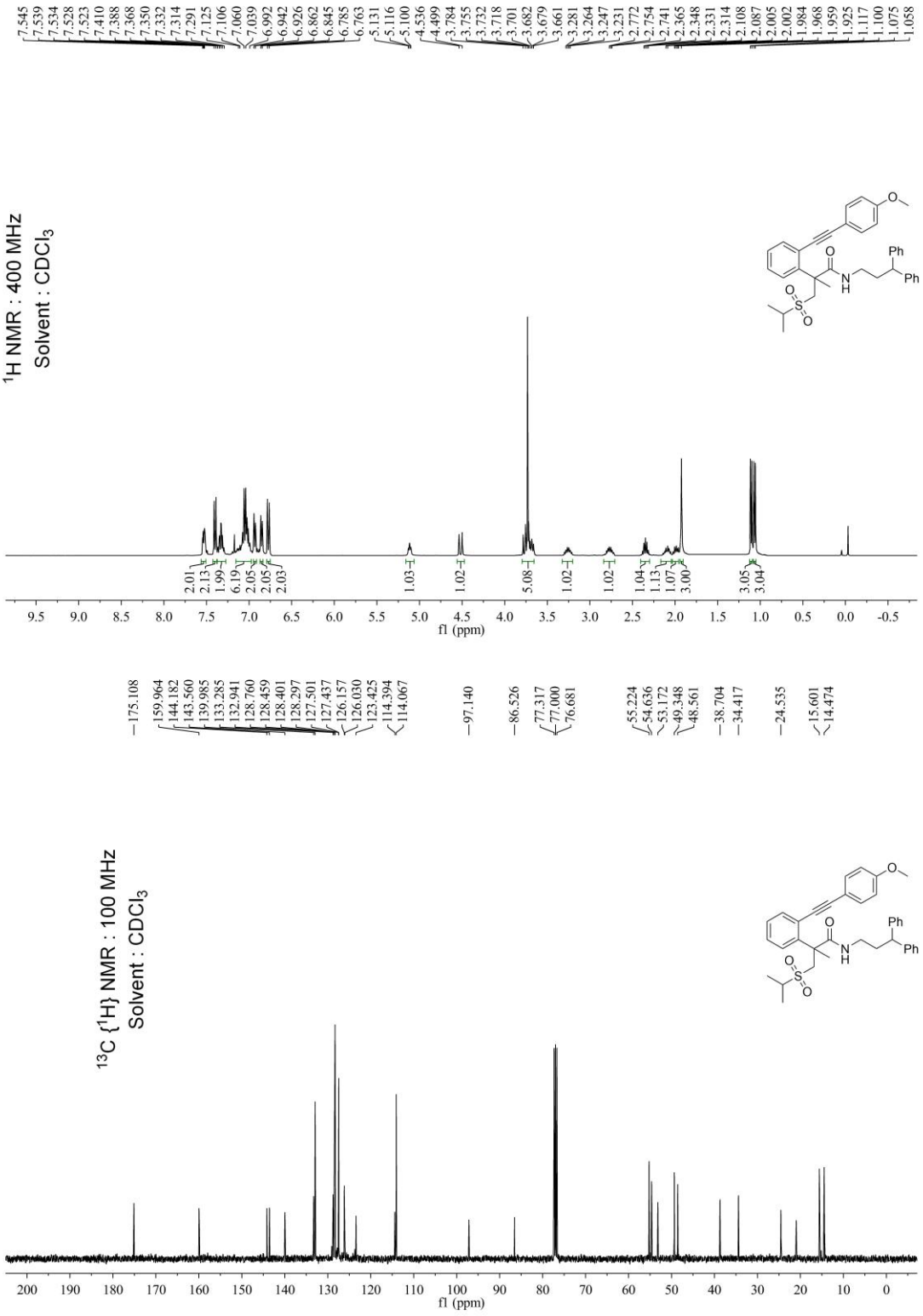
3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methyl-*N*-pentylpropanamide (4ua)



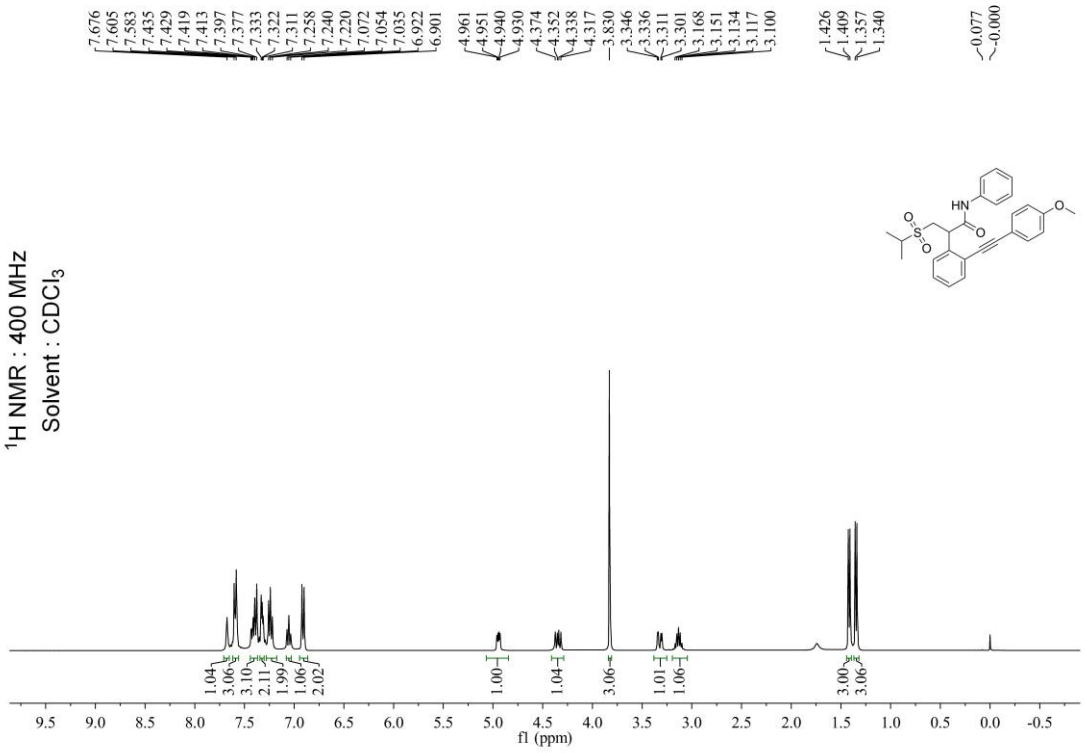
3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methyl-*N*-phenethylpropanamide (4va)

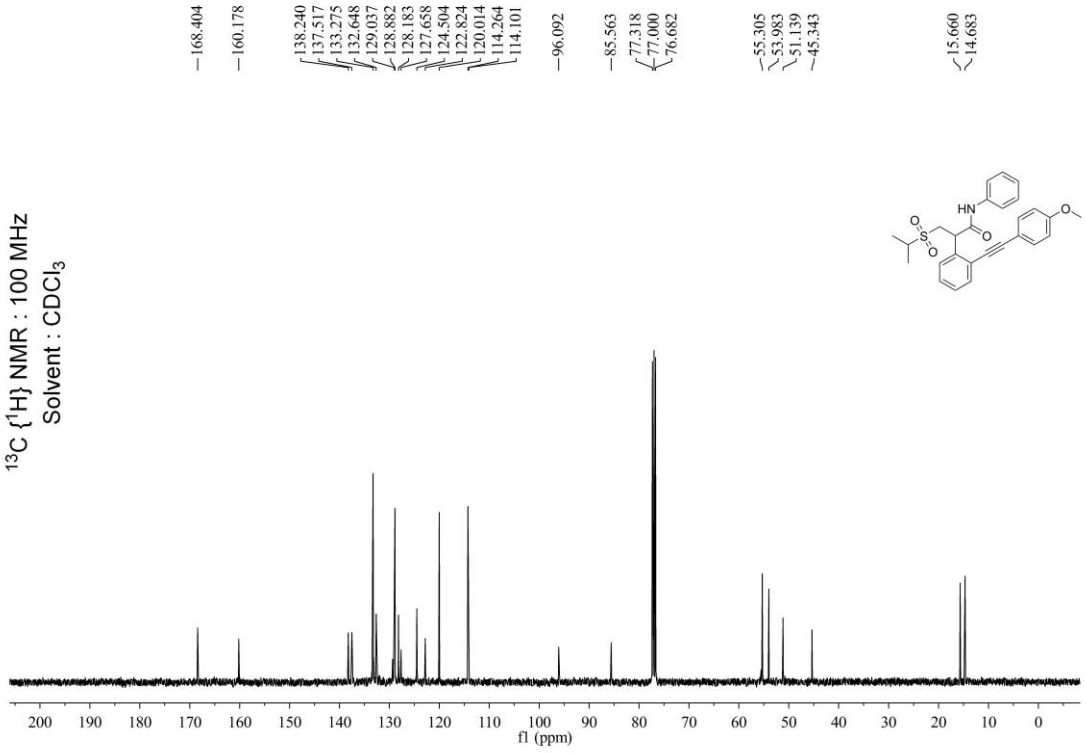


N-(3,3-diphenylpropyl)-3-(isopropylsulfonyl)-2-(2-((4-methoxyphenyl)ethynyl)phenyl)-2-methylpropanamide (4wa)

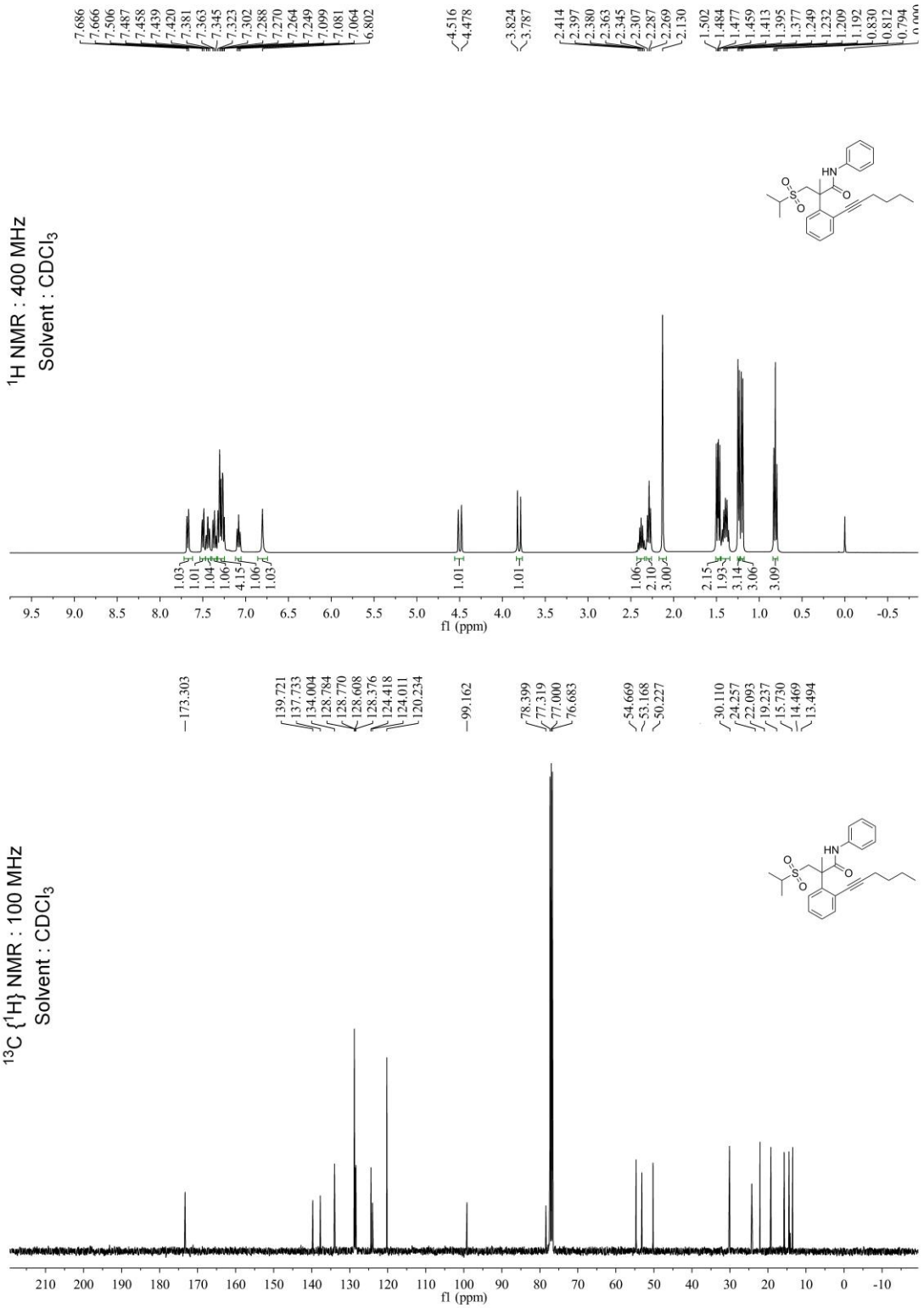


5-((isopropylsulfonyl)methyl)-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6-(5*H*)-one (4xa)

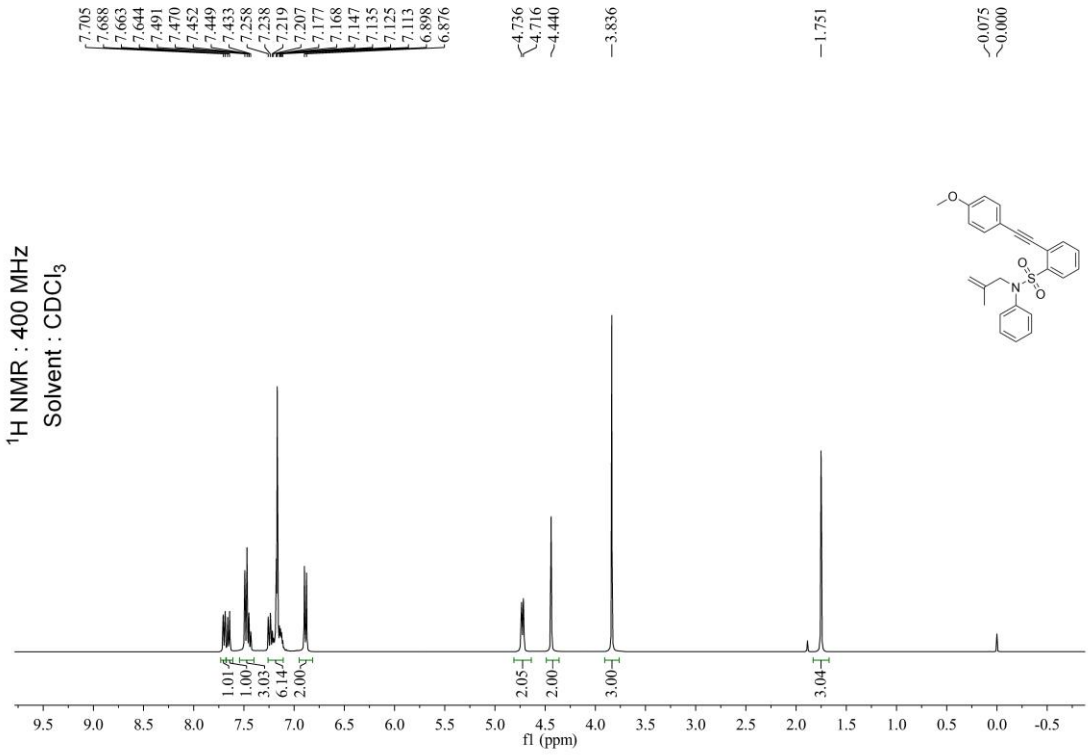


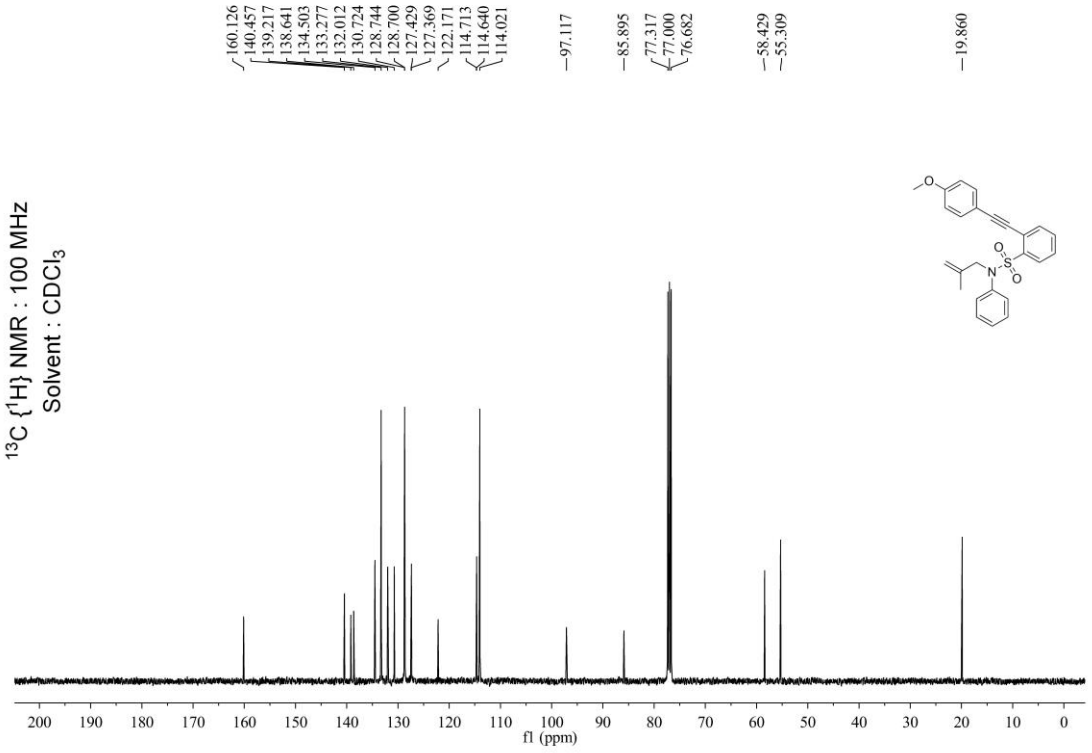


2-(2-(hex-1-yn-1-yl)phenyl)-3-(isopropylsulfonyl)-2-methyl-*N*-phenylpropanamide(4ya)



2-((4-methoxyphenyl)ethynyl)-*N*-(2-methylallyl)-*N*-phenylbenzenesulfonamide (1z)





5-isobutyl-12-(4-methoxyphenyl)-5-methylindolo[2,1-a]isoquinolin-6(5*H*)-ones (6aa)