

## Supporting Information

### Vicinal Difunctionalization of Nitriles: Modular Construction of *N*-Alkyl Amidines and Late-Stage Modification

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## General information

Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions.

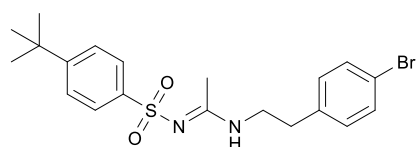
The  $^1\text{H}$  NMR (400 MHz) and  $^{13}\text{C}$  NMR (100 MHz) and  $^{19}\text{F}$  NMR (376 MHz) data were recorded with Chloroform-*d* or DMSO-*d*<sub>6</sub> as solvent at room temperature unless specified otherwise. The chemical shifts ( $\delta$ ) are reported in ppm and coupling constants (*J*) in Hz.  $^1\text{H}$  NMR spectra was recorded with Chloroform-*d* ( $\delta = 7.26$  ppm) or DMSO-*d*<sub>6</sub> (2.50 ppm) as internal reference;  $^{13}\text{C}$  NMR spectra was recorded with Chloroform-*d* ( $\delta = 77.00$  ppm) or DMSO-*d*<sub>6</sub> ( $\delta = 39.50$  ppm) as internal reference. IR and HRMS were performed by the State-authorized Analytical Center in Soochow University.

### General procedure for *N*-alkyl amidines synthesis

To a 25 mL sealed tube, were added sulfonamide (0.2 mmol), nitrile (1.0 mL), alcohol (0.35 mmol, 1.75 equiv.) and trifluoromethanesulfonic anhydride (0.6 mmol, 3.0 equiv., 169.3 mg) separately under air. The mixture was stirred in oil bath at 25 °C for 24 h. When over, the reaction mixture was quenched with sodium carbonate and extracted with ethyl acetate (3×20 mL), then dried over MgSO<sub>4</sub>. The solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography (ethyl acetate/ petroleum ether) to afford desired products.

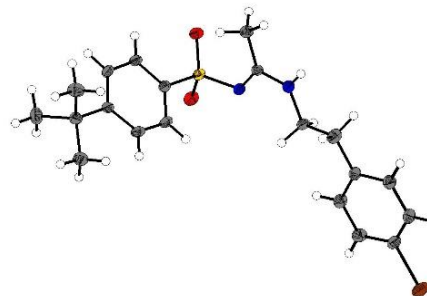
## X-Ray diffraction data analysis

### X-Ray single-crystal data of product 5an



Structure of **5an**  
CCDC: 2309850

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Empirical formula	C <sub>20</sub> H <sub>25</sub> BrN <sub>2</sub> O <sub>2</sub> S	
Formula weight	437.39	
Temperature/K	233.00(10)	
Crystal system	monoclinic	
Space group	P2 <sub>1</sub> /c	
Unit cell dimensions	a = 15.8785(8) Å	α = 90
	b = 11.3457(5) Å	β = 104.570(5)
	c = 11.5314(6) Å	γ = 90
Volume/Å <sup>3</sup>	2010.61(17)	
Z	4	
Wavelength/Å	0.71073	
ρ <sub>calc</sub> /cm <sup>3</sup>	1.445	
μ/mm <sup>-1</sup>	2.165	
F(000)	904.0	
Crystal size/mm <sup>3</sup>	0.4 × 0.4 × 0.06	
Radiation	Mo Kα (λ = 0.71073)	
2θ range for data collection/°	4.462 to 59.122	
Index ranges	-21 ≤ h ≤ 21, -14 ≤ k ≤ 15, -14 ≤ l ≤ 11	
Reflections collected	17520	
Independent reflections	5243 [R <sub>int</sub> = 0.0474, R <sub>sigma</sub> = 0.0544]	
Data/restraints/parameters	5243/0/240	
Goodness-of-fit on F <sup>2</sup>	0.999	
Final R indexes [I >= 2σ (I)]	R <sub>1</sub> = 0.0466, wR <sub>2</sub> = 0.0991	
Final R indexes [all data]	R <sub>1</sub> = 0.0848, wR <sub>2</sub> = 0.1155	
Largest diff. peak/hole/e Å <sup>-3</sup>	0.48/-0.41	

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## DFT calculation

### INT1

1	N	-3.7962510	0.4715300	-0.7060850
2	C	-3.7265920	-0.5874660	-0.2344920
3	S	0.9300180	-0.0963960	0.8626360
4	O	2.0176350	-0.1536060	1.8267980
5	O	-0.3462770	-0.7618960	1.1039680
6	C	1.6022230	-0.7778190	-0.7438910
7	F	0.7102610	-0.5891950	-1.7187640
8	F	2.7389650	-0.1609900	-1.0535180
9	F	1.8246320	-2.0821010	-0.5895420
10	C	-3.6265640	-1.9140960	0.3606530
11	H	-3.7806170	-2.6813260	-0.4047830
12	H	-2.6338390	-2.0439160	0.8026020
13	H	-4.3844640	-2.0334870	1.1414530
14	O	0.7283260	1.4407900	0.4640690
15	C	-0.6050670	1.9108020	-0.0076330
16	C	-0.4339650	3.3703250	-0.3567120
17	H	-1.3137610	1.7560770	0.8064240
18	H	-0.8954090	1.3101910	-0.8704950
19	H	-1.3998710	3.7574410	-0.7001160
20	H	-0.1185140	3.9514820	0.5154780
21	H	0.2975470	3.5029500	-1.1599500

Zero-point correction= 0.142594 (Hartree/Particle)

Thermal correction to Energy= 0.157930

Thermal correction to Enthalpy= 0.158874

Thermal correction to Gibbs Free Energy= 0.097778

Sum of electronic and zero-point Energies= -1173.187431

Sum of electronic and thermal Energies= -1173.172095

Sum of electronic and thermal Enthalpies= -1173.171151

Sum of electronic and thermal Free Energies= -1173.232247

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -1173.303294

### TS1

1	N	-3.3059600	0.0039540	-0.0848020
2	C	-4.2944020	-0.5533200	0.1383830
3	S	1.5473150	0.5765770	0.5797770
4	O	2.8233880	1.2744300	0.7923780
5	O	0.8521920	0.0431480	1.7662310
6	C	2.0027390	-0.9383740	-0.3980720
7	F	0.8969290	-1.6324130	-0.7256980
8	F	2.6384280	-0.6035920	-1.5289970
9	F	2.8028570	-1.7381800	0.3198590
10	C	-5.5396100	-1.2493020	0.4144610
11	H	-5.8632720	-1.7922710	-0.4791350



12	H	-5.3896380	-1.9587210	1.2343160
13	H	-6.3103910	-0.5259890	0.6981270
14	O	0.6270370	1.3072120	-0.3752610
15	C	-1.4450850	0.8609030	-0.3298110
16	C	-1.8988800	2.1457500	-0.9393070
17	H	-1.3073040	0.7568450	0.7357570
18	H	-1.1800480	0.0176030	-0.9490280
19	H	-2.4608280	1.9665470	-1.8590710
20	H	-2.5045910	2.7238570	-0.2374770
21	H	-1.0126760	2.7346310	-1.1934890

Zero-point correction= 0.140762 (Hartree/Particle)

Thermal correction to Energy= 0.155548

Thermal correction to Enthalpy= 0.156492

Thermal correction to Gibbs Free Energy= 0.098176

Sum of electronic and zero-point Energies= -1173.151023

Sum of electronic and thermal Energies= -1173.136237

Sum of electronic and thermal Enthalpies= -1173.135293

Sum of electronic and thermal Free Energies= -1173.193609

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -1173.262602

## INT2

1	N	2.6900640	0.0091450	0.1484200
2	C	2.5773920	-1.0285540	-0.3302940
3	S	-0.9664470	-0.5834870	0.7088850
4	O	-2.0950310	-1.1659170	1.4626200
5	O	-0.1381000	0.3948220	1.4557390
6	C	-1.7992720	0.4721730	-0.5755220
7	F	-0.8892360	1.0698440	-1.3738010
8	F	-2.6065130	-0.2629320	-1.3588050
9	F	-2.5391610	1.4367450	-0.0039480
10	C	2.5321740	-2.3371430	-0.9396720
11	H	3.5526960	-2.6557920	-1.1759040
12	H	2.0636770	-3.0359700	-0.2417770
13	H	1.9309060	-2.2844520	-1.8517110
14	O	-0.1892050	-1.5484610	-0.1126710
15	C	2.7484800	1.3185530	0.7438690
16	C	2.3207690	2.3896030	-0.2566450
17	H	2.0733890	1.2779070	1.6010270
18	H	3.7774510	1.4580270	1.0863880
19	H	2.9816220	2.4059410	-1.1284260
20	H	1.2913370	2.2209030	-0.5815020
21	H	2.3772470	3.3609580	0.2438810

Zero-point correction= 0.143404 (Hartree/Particle)

Thermal correction to Energy= 0.158317

Thermal correction to Enthalpy= 0.159262

Thermal correction to Gibbs Free Energy= 0.100473  
 Sum of electronic and zero-point Energies= -1173.194213  
 Sum of electronic and thermal Energies= -1173.179299  
 Sum of electronic and thermal Enthalpies= -1173.178355  
 Sum of electronic and thermal Free Energies= -1173.237143  
 M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -1173.302386

**Benzenesulfonamide**

1	C	2.3976040	1.2119120	0.0399290
2	C	1.0041590	1.2194600	-0.0317880
3	C	0.3237740	0.0000400	-0.0628330
4	C	1.0040650	-1.2194400	-0.0318750
5	C	2.3975050	-1.2120070	0.0398520
6	C	3.0921650	-0.0000740	0.0775290
7	H	2.9392390	2.1531490	0.0610420
8	H	0.4553100	2.1542890	-0.0733900
9	H	0.4551540	-2.1542320	-0.0735400
10	H	2.9390660	-2.1532880	0.0609100
11	S	-1.4673880	0.0001020	-0.1421890
12	O	-1.8909680	-1.2779420	-0.7336900
13	N	-1.9406180	-0.0008650	1.4770630
14	H	-2.4816630	0.8380070	1.6868480
15	H	-2.4823480	-0.8396070	1.6855990
16	O	-1.8909260	1.2788030	-0.7323050
17	H	4.1772890	-0.0001200	0.1311910

Zero-point correction= 0.126911 (Hartree/Particle)

Thermal correction to Energy= 0.135993

Thermal correction to Enthalpy= 0.156492

Thermal correction to Gibbs Free Energy= 0.092117

Sum of electronic and zero-point Energies= -835.996078

Sum of electronic and thermal Energies= -835.986995

Sum of electronic and thermal Enthalpies= -835.986051

Sum of electronic and thermal Free Energies= -836.030872

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -836.096234

**TS2**

1	N	-3.0899330	1.9570680	-0.0632580
2	C	-2.7884940	1.2907650	-0.9992490
3	S	1.4543920	2.0216380	-0.2944930
4	O	1.0870070	1.4919370	-1.6304370
5	O	1.7451600	3.4634480	-0.2200640
6	C	3.0846910	1.2084540	0.0729100
7	F	3.5303070	1.5622290	1.2880760
8	F	2.9652620	-0.1294810	0.0420410
9	F	4.0047550	1.5680800	-0.8355390
10	C	-3.0707730	1.0327350	-2.4188710

11	H	-3.3163050	-0.0230220	-2.5629070
12	H	-3.9119210	1.6623810	-2.7227890
13	H	-2.1900380	1.2777970	-3.0210790
14	O	0.5746490	1.5010800	0.8032130
15	C	-2.8450540	2.2270450	1.3369660
16	C	-4.0500920	1.8149540	2.1802560
17	H	-1.9361600	1.7009750	1.6454240
18	H	-2.6646110	3.3027230	1.4185720
19	H	-4.9522100	2.3491020	1.8660680
20	H	-4.2266510	0.7381270	2.1046960
21	H	-3.8450020	2.0621980	3.2266660
22	C	1.3214620	-4.0776070	-0.6955460
23	C	0.1261990	-3.3766960	-0.8552990
24	C	-0.2545050	-2.4732370	0.1408490
25	C	0.5220660	-2.2513320	1.2830580
26	C	1.7153830	-2.9581480	1.4220760
27	C	2.1125560	-3.8671180	0.4368330
28	H	1.6317490	-4.7881670	-1.4556280
29	H	-0.5013790	-3.5337490	-1.7258210
30	H	0.2027760	-1.5486980	2.0455260
31	H	2.3320160	-2.7998500	2.3015360
32	S	-1.7747350	-1.5596940	-0.0586780
33	O	-2.3668230	-1.2876700	1.2533930
34	N	-1.2888390	-0.0017780	-0.6453010
35	H	-0.7554740	-0.0851500	-1.5186680
36	H	-0.6578850	0.4810960	0.0342650
37	O	-2.5673400	-2.1544590	-1.1378420
38	H	3.0432280	-4.4149020	0.5535910

Zero-point correction= 0.272701 (Hartree/Particle)

Thermal correction to Energy= 0.296601

Thermal correction to Enthalpy= 0.297545

Thermal correction to Gibbs Free Energy= 0.217848

Sum of electronic and zero-point Energies= -2009.184825

Sum of electronic and thermal Energies= -2009.160925

Sum of electronic and thermal Enthalpies= -2009.159981

Sum of electronic and thermal Free Energies= -2009.239678

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -2009.370535

### INT3

1	C	2.2916790	-1.5782480	0.2933010
2	C	3.6101980	-1.6629970	-0.1708470
3	C	3.9862100	-2.8130410	-0.8608980
4	C	3.0584890	-3.8367790	-1.0776040
5	C	1.7469830	-3.7252820	-0.6067910
6	C	1.3433920	-2.5876210	0.0903500

7	H	4.3187880	-0.8623860	0.0106850
8	H	5.0039110	-2.9089580	-1.2260670
9	H	3.3607440	-4.7288320	-1.6185030
10	H	1.0326430	-4.5238450	-0.7806320
11	H	0.3228000	-2.4845350	0.4473110
12	S	1.8065450	-0.1268050	1.1833860
13	O	0.7147060	-0.3886100	2.1100070
14	O	2.9587980	0.6877450	1.5464470
15	N	0.9857110	0.8798840	-0.2027690
16	H	1.5862240	0.7813620	-1.0338600
17	C	0.8796810	2.3387180	0.0891250
18	C	-0.1576360	2.6722970	1.1191310
19	H	-1.0607010	2.0750180	0.9663940
20	H	0.2197650	2.4548250	2.1257600
21	H	-0.4208610	3.7302640	1.0781150
22	N	1.6971100	3.0282850	-0.5784320
23	C	1.7678990	4.4811850	-0.4785490
24	C	1.6842910	5.1028490	-1.8729740
25	H	2.7464120	4.7063830	-0.0355730
26	H	1.0030670	4.9097530	0.1800250
27	H	1.8140900	6.1883310	-1.8039560
28	H	2.4643880	4.6998890	-2.5277400
29	H	0.7102430	4.9023890	-2.3329870
30	H	0.0315060	0.4537010	-0.4243580
31	S	-2.4187870	-0.9726320	-0.6788900
32	O	-1.8752920	-1.9492890	0.2874950
33	O	-3.1638220	-1.5186630	-1.8248950
34	O	-1.4543550	0.1188070	-1.0513880
35	C	-3.6989040	-0.0450800	0.2990330
36	F	-4.6465370	-0.8816400	0.7457320
37	F	-3.1381050	0.5587290	1.3631550
38	F	-4.2854570	0.8967470	-0.4543480

Zero-point correction= 0.274992 (Hartree/Particle)

Thermal correction to Energy= 0.298600

Thermal correction to Enthalpy= 0.299544

Thermal correction to Gibbs Free Energy= 0.221511

Sum of electronic and zero-point Energies= -2009.192589

Sum of electronic and thermal Energies= -2009.168980

Sum of electronic and thermal Enthalpies= -2009.168036

Sum of electronic and thermal Free Energies= -2009.246069

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -2009.381235

#### **TfOH**

1	S	-0.8522870	-0.1430310	0.0612480
2	O	-1.2485440	-0.0214820	1.4576260

3	O	-1.2219660	-1.2774860	-0.7650770
4	C	1.0099350	0.0108540	-0.0028840
5	F	1.4183910	-0.0312120	-1.2664380
6	F	1.3726580	1.1652420	0.5533370
7	F	1.5352200	-1.0038720	0.6783790
8	O	-1.2713090	1.1887100	-0.7572130
9	H	-1.4248960	1.9340250	-0.1328500

Zero-point correction= 0.038579 (Hartree/Particle)

Thermal correction to Energy= 0.046025

Thermal correction to Enthalpy= 0.046969

Thermal correction to Gibbs Free Energy= 0.006419

Sum of electronic and zero-point Energies= -961.947900

Sum of electronic and thermal Energies= -961.940455

Sum of electronic and thermal Enthalpies= -961.939511

Sum of electronic and thermal Free Energies= -961.980061

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -962.000047

#### INT4

1	N	2.9051180	-0.4894620	0.7973750
2	C	1.7778510	0.1125380	0.8355030
3	C	1.1249120	0.4125350	2.1570160
4	H	1.0004840	1.4895400	2.3040800
5	H	0.1331720	-0.0483400	2.2295190
6	H	1.7598230	0.0088810	2.9474440
7	C	3.5439310	-0.7826600	-0.4853300
8	C	4.8633680	-1.5174480	-0.2641220
9	H	2.8846660	-1.4003100	-1.1178320
10	H	3.7337990	0.1478000	-1.0450290
11	H	5.5485290	-0.9095720	0.3377560
12	H	4.6966650	-2.4632600	0.2641400
13	H	5.3493700	-1.7385720	-1.2214800
14	C	-2.7153200	-2.0016370	-0.8064260
15	C	-1.7191210	-1.0582490	-1.0494210
16	C	-1.6350250	0.0624390	-0.2165210
17	C	-2.5265020	0.2623020	0.8389330
18	C	-3.5210310	-0.6911170	1.0682070
19	C	-3.6136840	-1.8186360	0.2502960
20	H	-2.7916930	-2.8778790	-1.4434040
21	H	-1.0224200	-1.1922700	-1.8711550
22	H	-2.4440140	1.1454400	1.4627090
23	H	-4.2220430	-0.5482750	1.8852730
24	S	-0.3798990	1.2973940	-0.5496430
25	O	-0.5168080	2.3673910	0.4417710
26	N	1.1058670	0.5207700	-0.3352520
27	H	1.5399850	0.3103750	-1.2288190

28 O -0.3897260 1.6046020 -1.9850040  
29 H -4.3888410 -2.5573520 0.4332800

Zero-point correction=0.233857 (Hartree/Particle)

Thermal correction to Energy= 0.248612

Thermal correction to Enthalpy= 0.249556

Thermal correction to Gibbs Free Energy= 0.192247

Sum of electronic and zero-point Energies= -1047.238495

Sum of electronic and thermal Energies= -1047.223740

Sum of electronic and thermal Enthalpies= -1047.222796

Sum of electronic and thermal Free Energies= -1047.280105

M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -1047.39123

#### TM

1	C	3.6817280	0.3448890	1.2998100
2	C	2.3155340	0.5835520	1.1367410
3	C	1.7017680	0.2143380	-0.0607340
4	C	2.4260460	-0.3778910	-1.0982210
5	C	3.7899170	-0.6127980	-0.9232960
6	C	4.4165970	-0.2536010	0.2737810
7	H	4.1704220	0.6301510	2.2272230
8	H	1.7341600	1.0557660	1.9214820
9	H	1.9333210	-0.6427620	-2.0281000
10	H	4.3633620	-1.0721360	-1.7235380
11	S	-0.0524530	0.5328180	-0.2827860
12	O	-0.2553270	0.8753340	-1.7100550
13	O	-0.4489790	1.5457600	0.7207760
14	H	5.4797160	-0.4365210	0.4042650
15	N	-0.6402390	-0.9663690	0.0819910
16	C	-1.8981470	-1.3511050	0.1568510
17	C	-2.0867630	-2.7759000	0.6408220
18	H	-2.3356170	-2.7695240	1.7090700
19	H	-2.8990760	-3.2773200	0.1047640
20	H	-1.1613280	-3.3370510	0.5065300
21	N	-3.0483250	-0.7094620	-0.1025940
22	C	-3.3427720	0.6620420	-0.5373820
23	C	-3.7972490	1.5559670	0.6153380
24	H	-4.1380320	0.5780870	-1.2862250
25	H	-2.4736120	1.0754800	-1.0455340
26	H	-4.0636710	2.5469290	0.2294960
27	H	-4.6802650	1.1376790	1.1131200
28	H	-2.9980030	1.6760420	1.3519110
29	H	-3.8776810	-1.2648010	0.0763060

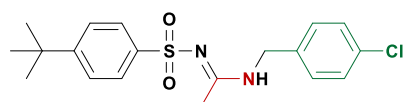
Zero-point correction= 0.235145 (Hartree/Particle)

Thermal correction to Energy= 0.249812

Thermal correction to Enthalpy= 0.250756

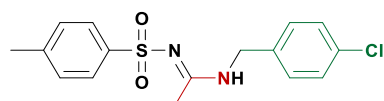
Thermal correction to Gibbs Free Energy= 0.193605  
Sum of electronic and zero-point Energies= -1047.246723  
Sum of electronic and thermal Energies= -1047.232056  
Sum of electronic and thermal Enthalpies= -1047.231112  
Sum of electronic and thermal Free Energies= -1047.288263  
M06-2X/6-311G(d,p)-SMD(DCM)//B3LYP/6-31G(d) -SMD(DCM) energy= -1047.402520

## Characterization data of products



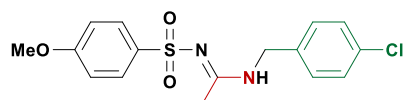
### *N'*-((4-*tert*-butylphenyl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (4a)

White solid (58.9 mg, 78% yield, eluent: PE/EA = 1:1). mp: 98-100 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.17 (t, *J* = 5.3 Hz, 1H), 7.66 – 7.64 (m, 2H), 7.51 – 7.49 (m, 2H), 7.36 – 7.34 (m, 2H), 7.27 – 7.25 (m, 2H), 4.37 (d, *J* = 5.5 Hz, 2H), 2.30 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 154.3, 141.0, 136.5, 131.8, 129.6, 128.3, 125.6, 125.5, 43.9, 34.6, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>23</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 379.1242, found: 379.1245. Anal Calcd. For. C<sub>19</sub>H<sub>23</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 381.1212, found: 381.1195. IR (neat, cm<sup>-1</sup>): ν 3392, 1646, 1260, 1151, 1009, 798, 642.



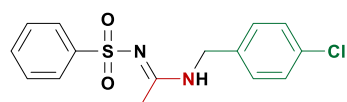
### *N*-(4-chlorobenzyl)-*N'*-tosylacetimidamide (4b)

White solid (48.9 mg, 73% yield, eluent: PE/EA = 1:2). mp: 99-101 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.17 (t, *J* = 4.8 Hz, 1H), 7.61 – 7.59 (m, 2H), 7.41 – 7.36 (m, 2H), 7.30 – 7.25 (m, 4H), 4.36 (d, *J* = 5.5 Hz, 2H), 2.34 (s, 3H), 2.27 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 141.6, 141.1, 136.5, 131.9, 129.6, 129.2, 128.3, 125.8, 43.9, 20.9, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 337.0772, found: 337.0768. Anal Calcd. For. C<sub>16</sub>H<sub>17</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 339.0743, found: 339.0740. IR (neat, cm<sup>-1</sup>): ν 3392, 2988, 1653, 1542, 1274, 1140, 994, 824, 762, 661.



### *N*-(4-chlorobenzyl)-*N'*-((4-methoxyphenyl)sulfonyl)acetimidamide (4c)

White solid (59.3 mg, 84% yield, eluent: PE/EA = 1:2). mp: 58-60 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.13 (t, *J* = 5.7 Hz, 1H), 7.65 – 7.63 (m, 2H), 7.39 – 7.36 (m, 2H), 7.27 – 7.25 (m, 2H), 7.03 – 7.00 (m, 2H), 4.35 (d, *J* = 5.5 Hz, 2H), 3.81 (s, 3H), 2.25 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.5, 161.4, 136.6, 135.8, 131.8, 129.6, 128.3, 127.8, 113.8, 55.5, 43.8, 19.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>3</sub>S+H<sup>+</sup>: 353.0721, found: 353.0719. Anal Calcd. For. C<sub>16</sub>H<sub>17</sub><sup>37</sup>ClN<sub>2</sub>O<sub>3</sub>S+H<sup>+</sup>: 355.0692, found: 355.0697. IR (neat, cm<sup>-1</sup>): ν 3392, 2921, 1648, 1544, 1410, 1257, 1138, 1085, 1025, 799, 660.

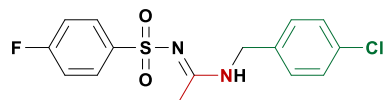


### *N*-(4-chlorobenzyl)-*N'*-(phenylsulfonyl)acetimidamide (4d)

Colorless liquid (36.5 mg, 57% yield, eluent: PE/EA = 1:1.5). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.21 (t, *J* = 5.7 Hz, 1H), 7.74 – 7.71 (m, 2H), 7.58 – 7.54 (m, 1H), 7.52 – 7.48 (m, 2H), 7.39 – 7.35 (m, 2H), 7.28 – 7.24 (m, 2H), 4.37 (d, *J* = 5.6 Hz, 2H), 2.29 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-

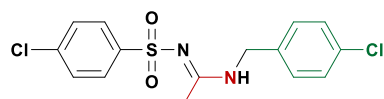


$d_6$ )  $\delta$  165.8, 143.8, 136.5, 131.8, 131.5, 129.6, 128.8, 128.3, 125.7, 44.0, 19.9. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{15}H_{15}ClN_2O_2S+H^+$ : 323.0616, found: 323.0596. Anal Calcd. For.  $C_{15}H_{15}^{37}ClN_2O_2S+H^+$ : 325.0586, found: 325.0588. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3403, 2988, 1551, 1445, 1274, 1147, 1024, 822, 760, 630.



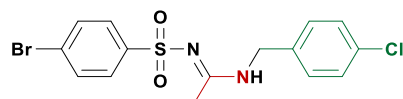
***N*-(4-chlorobenzyl)-*N'*-((4-fluorophenyl)sulfonyl)acetimidamide (4e)**

White solid (44.3 mg, 65% yield, eluent: PE/EA = 1:2). mp: 68-70 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.26 (t,  $J$  = 5.6 Hz, 1H), 7.80 – 7.76 (m, 2H), 7.38 – 7.36 (m, 2H), 7.34 – 7.29 (m, 2H), 7.27 – 7.25 (m, 2H), 4.37 (d,  $J$  = 5.5 Hz, 2H), 2.30 (s, 3H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  165.8, 163.5 (d,  $J$  = 249.5 Hz), 140.3 (d,  $J$  = 3.1 Hz), 136.4, 131.9, 129.6, 128.6 (d,  $J$  = 9.2 Hz), 128.3, 115.8 (d,  $J$  = 22.4 Hz), 44.0, 20.0.  **$^{19}F$  NMR** (376 MHz, DMSO- $d_6$ )  $\delta$  -108.32 (s, 1F). **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{15}H_{14}ClFN_2O_2S+H^+$ : 341.0521, found: 341.0520. Anal Calcd. For.  $C_{15}H_{14}^{37}ClFN_2O_2S+H^+$ : 343.0492, found: 343.0494. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3332, 2972, 1648, 1544, 1263, 1142, 1086, 994, 820, 666.



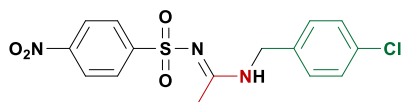
***N*-(4-chlorobenzyl)-*N'*-((4-chlorophenyl)sulfonyl)acetimidamide (4f)**

Yellow solid (57.0 mg, 80% yield, eluent: PE/EA = 1:1). mp: 78-80 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.30 (t,  $J$  = 5.6 Hz, 1H), 7.74 – 7.70 (m, 2H), 7.58 – 7.54 (m, 2H), 7.39 – 7.35 (m, 2H), 7.26 – 7.23 (m, 2H), 4.36 (d,  $J$  = 5.4 Hz, 2H), 2.29 (s, 3H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  165.9, 142.7, 136.4, 136.3, 131.9, 129.6, 128.9, 128.3, 127.7, 44.0, 20.0. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{15}H_{14}Cl_2N_2O_2S+Na^+$ : 379.0045, found: 379.0046. Anal Calcd. For.  $C_{15}H_{14}^{37}ClClN_2O_2S+Na^+$ : 381.0016, found: 381.0016. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3393, 2963, 1648, 1541, 1441, 1285, 1141, 1082, 1024, 737, 622.



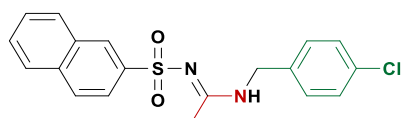
***N'*-((4-bromophenyl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (4g)**

White solid (50.7 mg, 63% yield, eluent: PE/EA = 1:2). mp: 83-85 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.30 (t,  $J$  = 5.6 Hz, 1H), 7.74 – 7.69 (m, 2H), 7.66 – 7.62 (m, 2H), 7.38 – 7.35 (m, 2H), 7.26 – 7.24 (m, 2H), 4.37 (d,  $J$  = 5.6 Hz, 2H), 2.30 (s, 3H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  165.9, 143.1, 136.4, 131.9, 131.8, 129.6, 128.3, 127.8, 125.2, 44.0, 20.0. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{15}H_{14}BrClN_2O_2S+H^+$ : 400.9721, found: 400.9727. Anal Calcd. For.  $C_{15}H_{14}Br^{37}ClN_2O_2S+H^+$ : 402.9700, found: 402.9689. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3393, 2988, 1653, 1549, 1426, 1256, 1138, 1085, 994, 824, 763.



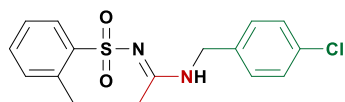
***N*-(4-chlorobenzyl)-*N'*-(4-nitrophenyl)sulfonylacetimidamide (4h)**

Yellow solid (57.7 mg, 79% yield, eluent: PE/EA = 1:1.5). mp: 85-87 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.48 (t, *J* = 5.6 Hz, 1H), 8.33 – 8.29 (m, 2H), 7.96 – 7.92 (m, 2H), 7.38 – 7.34 (m, 2H), 7.26 – 7.23 (m, 2H), 4.37 (d, *J* = 5.0 Hz, 2H), 2.32 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.2, 149.1, 149.0, 136.3, 131.9, 129.6, 128.4, 127.3, 124.2, 44.2, 20.2. HRMS (ESI-TOF): Anal Calcd. For. C<sub>15</sub>H<sub>14</sub>ClN<sub>3</sub>O<sub>4</sub>S+H<sup>+</sup>: 368.0466, found: 368.0466. Anal Calcd. For. C<sub>15</sub>H<sub>14</sub><sup>37</sup>ClN<sub>3</sub>O<sub>4</sub>S+H<sup>+</sup>: 370.0437, found: 370.0433. IR (neat, cm<sup>-1</sup>): ν 3318, 2964, 1648, 1523, 1346, 1262, 1146, 1088, 1024, 759, 668.



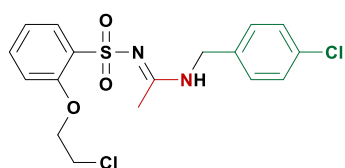
***N*-(4-chlorobenzyl)-*N'*-(naphthalen-2-ylsulfonyl)acetimidamide (4i)**

White solid (60.8 mg, 82% yield, eluent: PE/EA = 1:1.5). mp: 100-102 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.28 (t, *J* = 5.8 Hz, 1H), 8.36 – 8.35 (m, 1H), 8.10 – 8.08 (m, 1H), 8.04 – 7.99 (m, 2H), 7.73 – 7.71 (m, 1H), 7.68 – 7.61 (m, 2H), 7.35 – 7.32 (m, 2H), 7.27 – 7.25 (m, 2H), 4.38 (d, *J* = 5.6 Hz, 2H), 2.32 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 140.9, 136.5, 133.8, 131.8, 131.7, 129.6, 129.1, 128.8, 128.31, 128.29, 127.7, 127.3, 125.7, 122.4, 44.0, 20.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 395.0591, found: 395.0586. Anal Calcd. For. C<sub>19</sub>H<sub>17</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 397.0562, found: 397.0578. IR (neat, cm<sup>-1</sup>): ν 3391, 2988, 1653, 1285, 1142, 993, 825, 754, 669.



***N*-(4-chlorobenzyl)-*N'*-(*o*-tolylsulfonyl)acetimidamide (4j)**

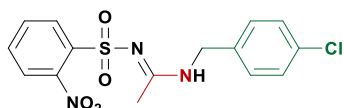
White solid (47.1 mg, 70% yield, eluent: PE/EA = 1:1). mp: 68-70 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.18 (t, *J* = 5.7 Hz, 1H), 7.87 – 7.84 (m, 1H), 7.46 – 7.42 (m, 1H), 7.37 – 7.35 (m, 2H), 7.33 – 7.29 (m, 2H), 7.25 – 7.23 (m, 2H), 4.37 (d, *J* = 5.7 Hz, 2H), 2.42 (s, 3H), 2.27 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.8, 141.6, 136.5, 136.4, 132.0, 131.8, 131.7, 129.4, 128.3, 126.8, 125.7, 43.8, 19.9, 19.7. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 337.0772, found: 337.0762. Anal Calcd. For. C<sub>16</sub>H<sub>17</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 339.0743, found: 339.0724. IR (neat, cm<sup>-1</sup>): ν 3331, 2963, 1538, 1259, 1061, 1014, 795, 684.



***N*-(4-chlorobenzyl)-*N'*-(2-(2-chloroethoxy)phenyl)sulfonylacetimidamide (4k)**

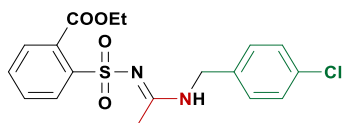
White solid (36.9 mg, 46% yield, eluent: PE/EA = 1:2). mp: 72-74 °C. <sup>1</sup>H NMR (400 MHz, DMSO-

$d_6$ )  $\delta$  9.02 (t,  $J = 5.7$  Hz, 1H), 7.82 – 7.79 (m, 1H), 7.54 – 7.50 (m, 1H), 7.28 – 7.26 (m, 2H), 7.20 – 7.17 (m, 2H), 7.16 – 7.13 (m, 1H), 7.08 – 7.04 (m, 1H), 4.31 (d,  $J = 5.7$  Hz, 2H), 4.24 (t,  $J = 5.3$  Hz, 2H), 3.79 (t,  $J = 5.2$  Hz, 2H), 2.35 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  165.6, 155.0, 136.6, 133.4, 131.7, 129.6, 128.1, 128.0, 120.3, 113.8, 68.9, 43.8, 42.5, 20.3. **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{17}\text{H}_{18}\text{Cl}_2\text{N}_2\text{O}_3\text{S}+\text{Na}^+$ : 423.0307, found: 423.0326. Anal Calcd. For.  $\text{C}_{17}\text{H}_{18}^{37}\text{Cl}_2\text{N}_2\text{O}_3\text{S}+\text{Na}^+$ : 425.0278, found: 425.0258. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3281, 2966, 1558, 1422, 1260, 1124, 1025, 797, 628.



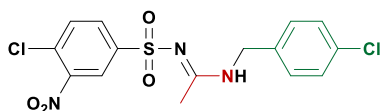
***N*-(4-chlorobenzyl)-*N'*-((2-nitrophenyl)sulfonyl)acetimidamide (4l)**

Yellow liquid (55.9 mg, 76% yield, eluent: PE/EA = 1:1).  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  9.48 (t,  $J = 5.8$  Hz, 1H), 7.98 – 7.96 (m, 1H), 7.88 – 7.86 (m, 1H), 7.81 – 7.78 (m, 1H), 7.78 – 7.74 (m, 1H), 7.34 – 7.31 (m, 2H), 7.26 – 7.24 (m, 2H), 4.34 (d,  $J = 5.5$  Hz, 2H), 2.29 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  165.9, 147.1, 136.1, 135.2, 133.3, 132.1, 131.9, 129.7, 128.7, 128.3, 124.0, 44.0, 20.4. **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{15}\text{H}_{14}\text{ClN}_3\text{O}_4\text{S}+\text{Na}^+$ : 390.0286, found: 390.0306. Anal Calcd. For.  $\text{C}_{15}\text{H}_{14}^{37}\text{ClN}_3\text{O}_4\text{S}+\text{Na}^+$ : 392.0256, found: 392.0242. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3407, 2923, 1658, 1542, 1376, 1259, 1023, 823, 762.



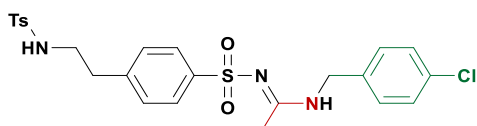
**ethyl-2-(*N*-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)benzoate (4m)**

Yellow liquid (33.9 mg, 43% yield, eluent: PE/EA = 1:2).  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  9.21 (t,  $J = 5.6$  Hz, 1H), 7.85 – 7.83 (m, 1H), 7.66 – 7.62 (m, 1H), 7.62 – 7.58 (m, 1H), 7.54 – 7.52 (m, 1H), 7.35 – 7.32 (m, 2H), 7.27 – 7.23 (m, 2H), 4.36 (d,  $J = 5.6$  Hz, 2H), 4.23 (q,  $J = 7.1$  Hz, 2H), 2.28 (s, 3H), 1.24 (t,  $J = 7.1$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  167.4, 165.5, 140.8, 136.3, 131.9, 131.7, 131.6, 130.4, 129.7, 128.3, 128.2, 127.5, 61.3, 43.9, 20.2, 13.8. **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{18}\text{H}_{19}\text{ClN}_2\text{O}_4\text{S}+\text{H}^+$ : 395.0827, found: 395.0826. Anal Calcd. For.  $\text{C}_{18}\text{H}_{19}^{37}\text{ClN}_2\text{O}_4\text{S}+\text{H}^+$ : 397.0797, found: 397.0813. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3256, 2987, 1726, 1552, 1434, 1284, 1152, 1024, 759, 628.



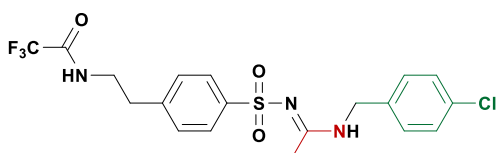
***N'*-((4-chloro-3-nitrophenyl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (4n)**

White solid (47.9 mg, 60% yield, eluent: PE/EA = 1:1.5). mp: 98-100 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  9.50 (t,  $J = 5.3$  Hz, 1H), 8.33 – 8.32 (m, 1H), 7.99 – 7.96 (m, 1H), 7.89 – 7.87 (m, 1H), 7.35 – 7.32 (m, 2H), 7.26 – 7.24 (m, 2H), 4.39 (s, 2H), 2.35 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  166.3, 147.3, 143.9, 136.2, 132.6, 131.9, 130.6, 129.5, 128.3, 123.0, 44.2, 20.3. **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{15}\text{H}_{13}\text{Cl}_2\text{N}_3\text{O}_4\text{S}+\text{H}^+$ : 402.0077, found: 402.0068. Anal Calcd. For.  $\text{C}_{15}\text{H}_{13}^{37}\text{Cl}_2\text{N}_3\text{O}_4\text{S}+\text{H}^+$ : 404.0047, found: 404.0033. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3399, 2971, 1653, 1533, 1358, 1297, 1140, 1049, 783, 623.



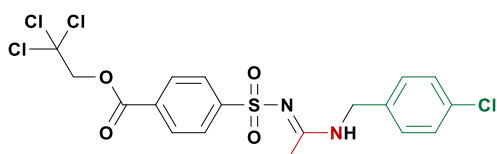
***N*-(4-chlorobenzyl)-*N'*-((4-(2-((4-methylphenyl)sulfonamido)ethyl)phenyl)sulfonyl)acetimidamide (4o)**

White solid (73.8 mg, 71% yield, eluent: PE/EA = 1:2). mp: 95-97 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.19 (t, *J* = 5.7 Hz, 1H), 7.67 – 7.66 (m, 1H), 7.66 – 7.64 (m, 2H), 7.61 – 7.59 (m, 2H), 7.38 – 7.35 (m, 4H), 7.28 – 7.25 (m, 4H), 4.36 (d, *J* = 5.5 Hz, 2H), 3.00 – 2.95 (m, 2H), 2.74 (t, *J* = 7.2 Hz, 2H), 2.36 (s, 3H), 2.27 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 142.6, 141.8, 137.4, 136.5, 131.8, 129.63, 129.62, 129.0, 128.3, 126.5, 125.8, 43.9, 43.6, 35.0, 21.0, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>24</sub>H<sub>26</sub>ClN<sub>3</sub>O<sub>4</sub>S<sub>2</sub>+H<sup>+</sup>: 520.1126, found: 520.1126. Anal Calcd. For. C<sub>24</sub>H<sub>26</sub><sup>37</sup>ClN<sub>3</sub>O<sub>4</sub>S<sub>2</sub>+H<sup>+</sup>: 522.1097, found: 522.1089. IR (neat, cm<sup>-1</sup>): ν 3324, 2963, 1544, 1329, 1286, 1142, 1086, 1012, 802, 755, 658.



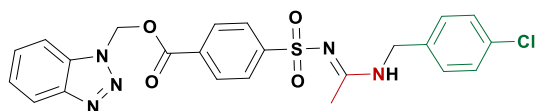
***N*-(4-(*N*-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)phenethyl)-2,2,2-trifluoroacetamide (4p)**

White solid (62.6 mg, 68% yield, eluent: PE/EA = 1:2). mp: 60-62 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.50 (t, *J* = 5.7 Hz, 1H), 9.21 (t, *J* = 5.7 Hz, 1H), 7.68 – 7.66 (m, 2H), 7.39 – 7.33 (m, 4H), 7.30 – 7.25 (m, 2H), 4.39 (d, *J* = 5.6 Hz, 2H), 3.48 (q, *J* = 6.9 Hz, 2H), 2.89 (t, *J* = 7.1 Hz, 2H), 2.28 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 156.3 (q, *J* = 36.1 Hz), 142.6, 142.0, 136.5, 132.0, 129.6, 129.1, 128.4, 126.0, 116.0 (q, *J* = 288.2 Hz), 44.0, 40.2, 33.9, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -74.52 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>19</sub>ClF<sub>3</sub>N<sub>3</sub>O<sub>3</sub>S+Na<sup>+</sup>: 484.0680, found: 484.0674. Anal Calcd. For. C<sub>19</sub>H<sub>19</sub><sup>37</sup>ClF<sub>3</sub>N<sub>3</sub>O<sub>3</sub>S+Na<sup>+</sup>: 486.0650, found: 486.0635. IR (neat, cm<sup>-1</sup>): ν 3305, 2945, 1707, 1551, 1280, 1147, 1089, 1010, 804, 658.



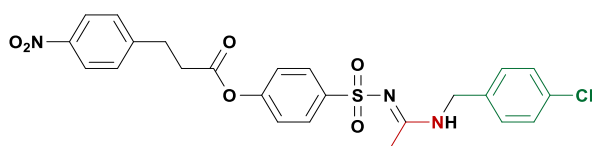
**2,2,2-trichloroethyl-4-(*N*-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)benzoate (4q)**

White solid (87.6 mg, 88% yield, eluent: PE/EA = 1:1). mp: 78-80 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.38 (t, *J* = 5.7 Hz, 1H), 8.15 – 8.13 (m, 2H), 7.92 – 7.89 (m, 2H), 7.36 – 7.34 (m, 2H), 7.26 – 7.24 (m, 2H), 5.18 (s, 2H), 4.38 (d, *J* = 5.5 Hz, 2H), 2.31 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.1, 163.3, 148.5, 136.3, 131.8, 130.7, 130.1, 129.6, 128.3, 126.4, 95.1, 73.9, 44.1, 20.1. HRMS (ESI-TOF): Anal Calcd. For. C<sub>18</sub>H<sub>16</sub>Cl<sub>4</sub>N<sub>2</sub>O<sub>4</sub>S+H<sup>+</sup>: 496.9658, found: 496.9657. Anal Calcd. For. C<sub>18</sub>H<sub>16</sub><sup>37</sup>Cl<sub>3</sub>N<sub>2</sub>O<sub>4</sub>S+H<sup>+</sup>: 498.9628, found: 498.9615. IR (neat, cm<sup>-1</sup>): ν 3319, 2963, 1740, 1544, 1258, 1142, 1089, 1016, 762, 692, 620.



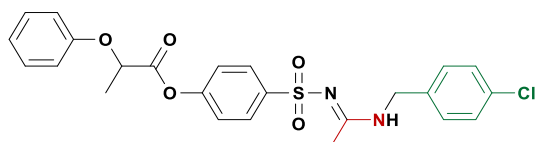
**(1H-benzo[d][1,2,3]triazol-1-yl)methyl-4-(N-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)benzoate (4r)**

White solid (78.3 mg, 79% yield, eluent: PE/EA = 1:2). mp: 115-117 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.36 (t, *J* = 5.7 Hz, 1H), 8.13 – 8.09 (m, 2H), 8.08 – 8.03 (m, 2H), 7.82 – 7.80 (m, 2H), 7.69 – 7.65 (m, 1H), 7.49 – 7.46 (m, 1H), 7.31 – 7.29 (m, 2H), 7.22 – 7.20 (m, 2H), 7.00 (s, 2H), 4.34 (d, *J* = 5.6 Hz, 2H), 2.28 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.0, 163.9, 148.3, 145.3, 136.3, 132.8, 131.8, 130.8, 130.1, 129.5, 128.4, 128.3, 126.2, 124.7, 119.4, 111.0, 69.3, 44.1, 20.1. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>23</sub>H<sub>20</sub>ClN<sub>5</sub>O<sub>4</sub>S+Na<sup>+</sup>: 520.0817, found: 520.0805. Anal Calcd. For. C<sub>23</sub>H<sub>20</sub><sup>37</sup>ClN<sub>5</sub>O<sub>4</sub>S+Na<sup>+</sup>: 522.0787, found: 522.0788. **IR** (neat, cm<sup>-1</sup>): ν 3392, 2974, 1731, 1542, 1262, 1152, 1024, 747, 692.



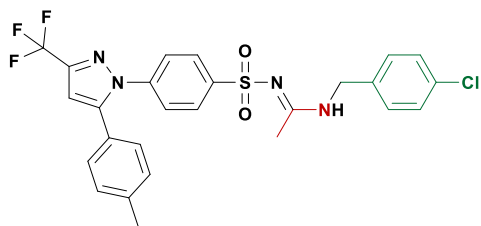
**4-(N-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)phenyl 3-(4-nitrophenyl)propanoate (4s)**

Colorless liquid (65.5 mg, 64% yield, eluent: PE/EA = 1:2). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.26 (t, *J* = 5.6 Hz, 1H), 8.20 – 8.16 (m, 2H), 7.78 – 7.74 (m, 2H), 7.62 – 7.58 (m, 2H), 7.38 – 7.35 (m, 2H), 7.27 – 7.23 (m, 2H), 7.23 – 7.20 (m, 2H), 4.36 (d, *J* = 5.6 Hz, 2H), 3.14 – 3.10 (m, 2H), 3.06 – 3.02 (m, 2H), 2.29 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 170.6, 165.8, 152.4, 148.5, 146.1, 141.3, 136.4, 131.9, 129.72, 129.66, 128.3, 127.5, 123.5, 122.2, 44.0, 34.2, 29.8, 20.0. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>24</sub>H<sub>22</sub>ClN<sub>3</sub>O<sub>6</sub>S+H<sup>+</sup>: 516.0991, found: 516.0973. Anal Calcd. For. C<sub>24</sub>H<sub>22</sub><sup>37</sup>ClN<sub>3</sub>O<sub>6</sub>S+H<sup>+</sup>: 518.0961, found: 518.0956. **IR** (neat, cm<sup>-1</sup>): ν 3396, 1760, 1653, 1557, 1346, 1146, 1024, 824, 761.



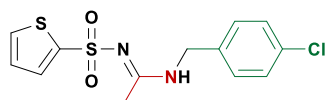
**4-(N-(1-((4-chlorobenzyl)amino)ethylidene)sulfamoyl)phenyl 2-phenoxypropanoate (4t)**

Colorless liquid (89.2 mg, 92% yield, eluent: PE/EA = 1:1.5). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.27 (t, *J* = 5.7 Hz, 1H), 7.81 – 7.78 (m, 2H), 7.39 – 7.31 (m, 4H), 7.29 – 7.24 (m, 4H), 7.05 – 7.02 (m, 2H), 7.00 – 6.94 (m, 1H), 5.31 (q, *J* = 6.8 Hz, 1H), 4.37 (d, *J* = 5.6 Hz, 2H), 2.30 (s, 3H), 1.71 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 170.2, 165.8, 157.1, 152.0, 141.7, 136.4, 131.9, 129.7, 129.6, 128.3, 127.6, 122.0, 121.5, 115.0, 71.5, 44.0, 20.0, 18.1. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>24</sub>H<sub>23</sub>ClN<sub>2</sub>O<sub>5</sub>S+Na<sup>+</sup>: 509.0908, found: 509.0906. Anal Calcd. For. C<sub>24</sub>H<sub>23</sub><sup>37</sup>ClN<sub>2</sub>O<sub>5</sub>S+Na<sup>+</sup>: 511.0879, found: 511.0872. **IR** (neat, cm<sup>-1</sup>): ν 3410, 2988, 1772, 1588, 1491, 1146, 1091, 1005, 757, 692.



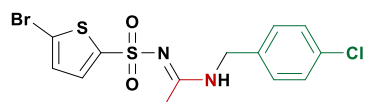
***N*-(4-chlorobenzyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)acetimidamide (4u)**

White solid (87.5 mg, 80% yield, eluent: PE/EA = 1:1). mp: 100-102 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.35 (t, *J* = 5.6 Hz, 1H), 7.79 – 7.75 (m, 2H), 7.49 – 7.45 (m, 2H), 7.35 – 7.31 (m, 2H), 7.25 – 7.23 (m, 2H), 7.22 – 7.20 (m, 4H), 7.17 (s, 1H), 4.36 (d, *J* = 5.5 Hz, 2H), 2.31 (s, 3H), 2.29 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 145.2, 143.7, 142.2 (q, *J* = 37.5 Hz), 140.9, 139.1, 136.4, 131.9, 129.6, 129.4, 128.7, 128.3, 126.9, 125.8, 125.4, 121.3 (q, *J* = 268.9 Hz), 106.0, 44.0, 20.8, 20.0. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.91 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>26</sub>H<sub>22</sub>ClF<sub>3</sub>N<sub>4</sub>O<sub>2</sub>S+H<sup>+</sup>: 547.1177, found: 547.1170. Anal Calcd. For. C<sub>26</sub>H<sub>22</sub><sup>37</sup>ClF<sub>3</sub>N<sub>4</sub>O<sub>2</sub>S+H<sup>+</sup>: 549.1147, found: 549.1129. IR (neat, cm<sup>-1</sup>): ν 3303, 2919, 1647, 1559, 1473, 1229, 1135, 1048, 974, 804, 765, 656.



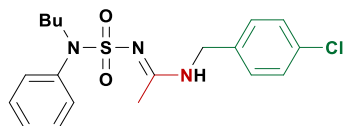
***N*-(4-chlorobenzyl)-*N'*-(thiophen-2-ylsulfonyl)acetimidamide (4v)**

White solid (59.8 mg, 91% yield, eluent: PE/EA = 1:1). mp: 60-62 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.35 (t, *J* = 5.7 Hz, 1H), 7.82 – 7.80 (m, 1H), 7.48 – 7.47 (m, 1H), 7.40 – 7.38 (m, 2H), 7.31 – 7.29 (m, 2H), 7.10 – 7.08 (m, 1H), 4.40 (d, *J* = 5.7 Hz, 2H), 2.30 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.1, 145.4, 136.3, 131.9, 131.2, 129.70, 129.66, 128.4, 127.0, 43.9, 19.7. HRMS (ESI-TOF): Anal Calcd. For. C<sub>13</sub>H<sub>13</sub>ClN<sub>2</sub>O<sub>2</sub>S<sub>2</sub>+H<sup>+</sup>: 329.0180, found: 329.0186. Anal Calcd. For. C<sub>13</sub>H<sub>13</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S<sub>2</sub>+H<sup>+</sup>: 331.0150, found: 331.0164. IR (neat, cm<sup>-1</sup>): ν 3321, 2967, 1556, 1407, 1261, 1130, 1014, 802, 689.



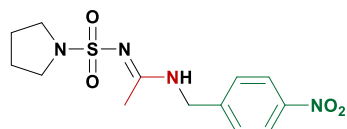
***N'*-((5-bromothiophen-2-yl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (4w)**

White solid (63.2 mg, 78% yield, eluent: PE/EA = 1.5:1). mp: 68-70 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.45 (t, *J* = 5.6 Hz, 1H), 7.40 – 7.38 (m, 2H), 7.32 – 7.30 (m, 2H), 7.30 – 7.28 (m, 1H), 7.23 – 7.22 (m, 1H), 4.40 (d, *J* = 5.6 Hz, 2H), 2.31 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.2, 146.5, 136.2, 131.9, 130.6, 130.0, 129.6, 128.4, 116.9, 44.1, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>13</sub>H<sub>12</sub>BrClN<sub>2</sub>O<sub>2</sub>S<sub>2</sub>+H<sup>+</sup>: 406.9285, found: 406.9277. Anal Calcd. For. C<sub>13</sub>H<sub>12</sub>Br<sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S<sub>2</sub>+H<sup>+</sup>: 408.9264, found: 408.9262. IR (neat, cm<sup>-1</sup>): ν 3393, 2964, 1648, 1544, 1410, 1286, 1134, 1024, 796, 663.



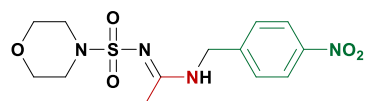
***N'*-(*N*-butyl-*N*-phenylsulfamoyl)-*N*-(4-chlorobenzyl)acetimidamide (4x)**

Yellow solid (59.7 mg, 76% yield, eluent: PE/EA = 1.5:1). mp: 88-90 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.97 (t, *J* = 5.8 Hz, 1H), 7.43 – 7.40 (m, 2H), 7.32 – 7.30 (m, 2H), 7.28 – 7.20 (m, 3H), 7.17 – 7.14 (m, 2H), 4.39 (d, *J* = 5.6 Hz, 2H), 3.36 (s, 2H), 2.14 (s, 3H), 1.24 – 1.18 (m, 4H), 0.77 (t, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 141.4, 137.1, 131.6, 129.2, 128.5, 128.3, 128.0, 126.7, 49.8, 43.7, 29.5, 19.6, 19.2, 13.5. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>24</sub>ClN<sub>3</sub>O<sub>2</sub>S+H<sup>+</sup>: 394.1351, found: 394.1332. Anal Calcd. For. C<sub>19</sub>H<sub>24</sub><sup>37</sup>ClN<sub>3</sub>O<sub>2</sub>S+H<sup>+</sup>: 396.1321, found: 396.1308. IR (neat, cm<sup>-1</sup>): ν 3392, 2958, 2852, 1647, 1556, 1259, 1009, 793, 700, 627.



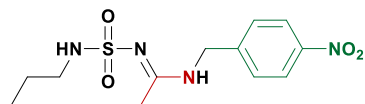
***N*-(4-nitrobenzyl)-*N'*-(pyrrolidin-1-ylsulfonyl)acetimidamide (4y)**

White solid (54.5 mg, 84% yield, eluent: PE/EA = 1:2). mp: 150-152 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.00 (t, *J* = 5.8 Hz, 1H), 8.23 – 8.20 (m, 2H), 7.54 – 7.51 (m, 2H), 4.47 (d, *J* = 5.7 Hz, 2H), 2.93 – 2.89 (m, 4H), 2.30 (s, 3H), 1.64 – 1.57 (m, 4H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.0, 146.5, 146.4, 128.2, 123.5, 48.2, 43.9, 24.6, 19.6. HRMS (ESI-TOF): Anal Calcd. For. C<sub>13</sub>H<sub>18</sub>N<sub>4</sub>O<sub>4</sub>S+Na<sup>+</sup>: 349.0941, found: 349.0941. IR (neat, cm<sup>-1</sup>): ν 3354, 2961, 1648, 1516, 1344, 1284, 1130, 1002, 802, 759, 637.



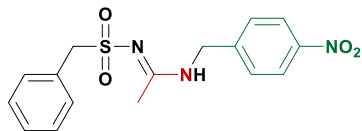
***N'*-(morpholinisulfonyl)-*N*-(4-nitrobenzyl)acetimidamide (4z)**

White solid (39.0 mg, 57% yield, eluent: PE/EA = 1:2). mp: 60-62 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.13 (t, *J* = 5.7 Hz, 1H), 8.23 – 8.20 (m, 2H), 7.57 – 7.54 (m, 2H), 4.52 (d, *J* = 5.7 Hz, 2H), 3.54 (t, *J* = 4.8 Hz, 4H), 2.76 (t, *J* = 4.5 Hz, 4H), 2.32 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.1, 146.6, 146.0, 128.4, 123.6, 65.3, 46.3, 43.9, 19.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>13</sub>H<sub>18</sub>N<sub>4</sub>O<sub>5</sub>S+Na<sup>+</sup>: 365.0890, found: 365.0878. IR (neat, cm<sup>-1</sup>): ν 3392, 2964, 1648, 1557, 1347, 1260, 1024, 946, 800, 736, 635.



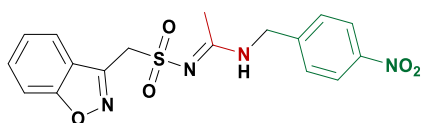
***N*-(4-nitrobenzyl)-*N'*-(*N*-propylsulfamoyl)acetimidamide (4aa)**

White oil (45.4 mg, 72 % yield, eluent: PE/EA = 1:2). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.85 (t, *J* = 5.8 Hz, 1H), 8.22 – 8.19 (m, 2H), 7.55 – 7.52 (m, 2H), 6.45 (t, *J* = 6.1 Hz, 1H), 4.48 (d, *J* = 5.8 Hz, 2H), 2.63 – 2.58 (m, 2H), 2.30 (s, 3H), 1.38 – 1.28 (m, 2H), 0.73 (t, *J* = 7.4 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.2, 146.5, 146.3, 128.4, 123.5, 44.8, 43.6, 22.2, 19.3, 11.3. HRMS (ESI-TOF): Anal Calcd. For. C<sub>12</sub>H<sub>18</sub>N<sub>4</sub>O<sub>4</sub>S+H<sup>+</sup>: 315.1122, found: 315.1123. IR (neat, cm<sup>-1</sup>): ν 3393, 2965, 1647, 1559, 1346, 1135, 1024, 823, 761.



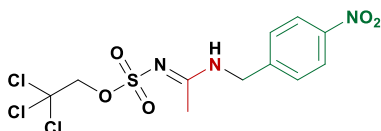
***N'*-(benzylsulfonyl)-*N*-(4-nitrobenzyl)acetimidamide (4ab)**

White solid (62.8 mg, 90% yield, eluent: PE/EA = 1:2). mp: 140-142 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.09 (t, *J* = 5.6 Hz, 1H), 8.23 – 8.19 (m, 2H), 7.51 – 7.48 (m, 2H), 7.29 – 7.23 (m, 5H), 4.49 (d, *J* = 5.8 Hz, 2H), 4.21 (s, 2H), 2.15 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 146.6, 145.8, 131.1, 130.9, 128.6, 127.9, 127.6, 123.6, 59.8, 43.7, 19.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>17</sub>N<sub>3</sub>O<sub>4</sub>S+Na<sup>+</sup>: 370.0832, found: 370.0824. IR (neat, cm<sup>-1</sup>): ν 3393, 2986, 1653, 1544, 1346, 1281, 1249, 1106, 1025, 797, 699.



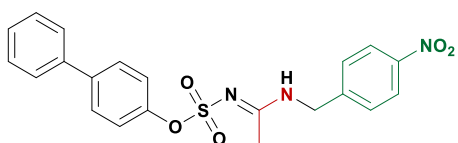
***N'*-(benzo[*d*]isoxazol-3-ylmethylsulfonyl)-*N*-(4-nitrobenzyl)acetimidamide (4ac)**

White solid (65.7 mg, 85% yield, eluent: PE/EA = 1:2). mp: 58-60 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.26 (t, *J* = 5.9 Hz, 1H), 8.13 – 8.10 (m, 2H), 7.96 – 7.93 (m, 1H), 7.70 – 7.68 (m, 1H), 7.63 – 7.58 (m, 1H), 7.40 – 7.38 (m, 2H), 7.35 – 7.31 (m, 1H), 4.85 (s, 2H), 4.39 (d, *J* = 5.8 Hz, 2H), 2.29 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.2, 162.5, 151.0, 146.6, 145.2, 130.3, 128.5, 123.7, 123.4, 123.3, 121.0, 109.5, 50.6, 43.8, 20.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>17</sub>H<sub>16</sub>N<sub>4</sub>O<sub>5</sub>S+Na<sup>+</sup>: 411.0734, found: 411.0736. IR (neat, cm<sup>-1</sup>): ν 3393, 2921, 1647, 1553, 1513, 1347, 1281, 1024, 758, 724, 666.



**2,2,2-trichloroethyl-(1-((4-nitrobenzyl)amino)ethylidene)sulfamate (4ad)**

White solid (32.1 mg, 40% yield, eluent: PE/EA = 1:1). mp: 106-108 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.82 (t, *J* = 5.7 Hz, 1H), 8.24 – 8.21 (m, 2H), 7.58 – 7.55 (m, 2H), 4.59 (d, *J* = 5.7 Hz, 2H), 4.43 (s, 2H), 2.40 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 168.3, 146.7, 145.1, 128.6, 123.6, 94.1, 77.4, 44.3, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>11</sub>H<sub>12</sub>Cl<sub>3</sub>N<sub>3</sub>O<sub>5</sub>S+Na<sup>+</sup>: 425.9455, found: 425.9471. Anal Calcd. For. C<sub>11</sub>H<sub>12</sub><sup>37</sup>ClCl<sub>2</sub>N<sub>3</sub>O<sub>5</sub>S+Na<sup>+</sup>: 427.9426, found: 427.9407. IR (neat, cm<sup>-1</sup>): ν 3353, 2962, 1561, 1327, 1259, 1164, 1012, 870, 770, 629.

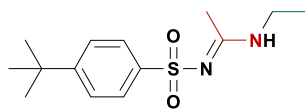


**[1,1'-biphenyl]-4-yl-(1-((4-nitrobenzyl)amino)ethylidene)sulfamate (4ae)**

White solid (64.8 mg, 76% yield, eluent: PE/EA = 1:2). mp: 85-87 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.79 (s, 1H), 8.18 – 8.15 (m, 2H), 7.61 – 7.58 (m, 4H), 7.48 – 7.43 (m, 4H), 7.39 – 7.35 (m,

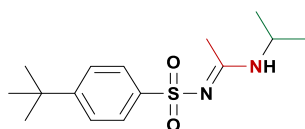


1H), 7.25 – 7.22 (m, 2H), 4.56 (s, 2H), 2.44 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 168.5, 150.1, 146.7, 145.0, 139.1, 138.1, 129.0, 128.4, 127.7, 127.6, 126.6, 123.6, 122.1, 44.1, 19.9. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>21</sub>H<sub>19</sub>N<sub>3</sub>O<sub>5</sub>S+H<sup>+</sup>: 426.1118, found: 426.1116. **IR** (neat, cm<sup>-1</sup>): ν 3336, 2963, 1552, 1512, 1411, 1347, 1260, 1142, 1009, 765, 644.



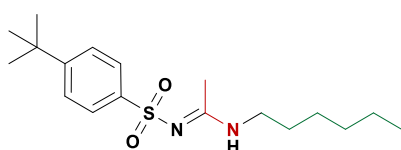
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-ethylacetimidamide (5a)**

Yellow solid (40.5 mg, 72% yield, eluent: PE/EA = 1:1). mp: 113-115 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.68 (t, *J* = 4.5 Hz, 1H), 7.73 – 7.69 (m, 2H), 7.55 – 7.52 (m, 2H), 3.20 – 3.13 (m, 2H), 2.20 (s, 3H), 1.28 (s, 9H), 1.06 (t, *J* = 7.2 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.2, 154.2, 141.3, 125.7, 125.5, 36.0, 34.7, 30.8, 19.9, 13.3. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>14</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 305.1294, found: 305.1296. **IR** (neat, cm<sup>-1</sup>): ν 3395, 2961, 1653, 1271, 1143, 1083, 1026, 762, 669.



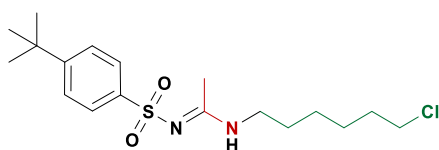
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-isopropylacetimidamide (5b)**

White solid (46.6 mg, 79% yield, eluent: PE/EA = 1:1). mp: 140-142 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.55 (d, *J* = 7.4 Hz, 1H), 7.72 – 7.69 (m, 2H), 7.54 – 7.52 (m, 2H), 3.99 – 3.90 (m, 1H), 2.19 (s, 3H), 1.28 (s, 9H), 1.08 (d, *J* = 6.6 Hz, 6H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.4, 154.2, 141.3, 125.6, 125.5, 42.9, 34.6, 30.8, 21.3, 20.0. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>15</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 297.1631, found: 297.1637. **IR** (neat, cm<sup>-1</sup>): ν 3311, 2965, 1653, 1266, 1166, 1084, 1025, 774, 627.



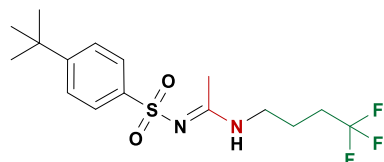
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-hexylacetimidamide (5c)**

White solid (48.2 mg, 71% yield, eluent: PE/EA = 1:1). mp: 51-53 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.63 (t, *J* = 5.4 Hz, 1H), 7.72 – 7.70 (m, 2H), 7.53 – 7.51 (m, 2H), 3.17 – 3.12 (m, 2H), 2.22 (s, 3H), 1.47 – 1.40 (m, 2H), 1.27 (s, 9H), 1.24 – 1.17 (m, 6H), 0.82 (t, *J* = 6.5 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.3, 154.1, 141.3, 125.6, 125.4, 41.1, 34.6, 30.85, 30.79, 27.6, 26.0, 21.9, 19.9, 13.8. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>18</sub>H<sub>30</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 361.1920, found: 361.1905. **IR** (neat, cm<sup>-1</sup>): ν 3393, 2928, 1653, 1273, 1147, 1024, 765, 646.



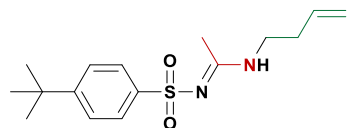
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(6-chlorohexyl)acetimidamide (5d)**

White solid (54.5 mg, 73% yield, eluent: PE/EA = 1.5:1). mp: 68-70 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.64 (t, *J* = 5.4 Hz, 1H), 7.73 – 7.71 (m, 2H), 7.53 – 7.51 (m, 2H), 3.57 (t, *J* = 6.6 Hz, 2H), 3.18 – 3.13 (m, 2H), 2.22 (s, 3H), 1.70 – 1.63 (m, 2H), 1.50 – 1.42 (m, 2H), 1.38 – 1.30 (m, 4H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.4, 154.1, 141.3, 125.6, 125.5, 45.2, 41.0, 34.6, 31.9, 30.8, 27.5, 25.9, 25.5, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>18</sub>H<sub>29</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 373.1711, found: 373.1697. Anal Calcd. For. C<sub>18</sub>H<sub>29</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 375.1682, found: 375.1696. IR (neat, cm<sup>-1</sup>): ν 3393, 2959, 1648, 1556, 1431, 1275, 1147, 1025, 762, 640.



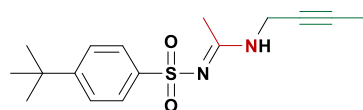
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4,4,4-trifluorobutyl)acetimidamide (5e)**

White solid (53.9 mg, 74% yield, eluent: PE/EA = 1:1). mp: 95-97 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.71 (t, *J* = 5.5 Hz, 1H), 7.74 – 7.72 (m, 2H), 7.54 – 7.52 (m, 2H), 3.27 – 3.22 (m, 2H), 2.31 – 2.18 (m, 5H), 1.73 – 1.65 (m, 2H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.8, 154.3, 141.2, 127.5 (q, *J* = 276.2 Hz), 125.7, 125.5, 39.9, 34.6, 30.8, 30.2 (q, *J* = 28.0 Hz), 20.5 (d, *J* = 3.3 Hz), 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -65.00 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>23</sub>F<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 387.1325, found: 387.1334. IR (neat, cm<sup>-1</sup>): ν 3393, 2968, 1648, 1556, 1254, 1128, 1023, 762, 637.



***N*-(but-3-en-1-yl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5f)**

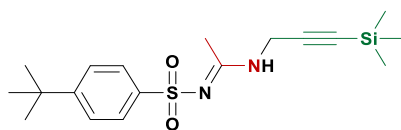
White solid (28.2 mg, 46% yield, eluent: PE/EA = 1:1). mp: 92-94 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.90 (d, *J* = 6.5 Hz, 1H), 7.71 – 7.68 (m, 2H), 7.55 – 7.52 (m, 2H), 4.26 – 4.16 (m, 1H), 2.22 – 2.14 (m, 5H), 1.96 – 1.85 (m, 2H), 1.70 – 1.60 (m, 2H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.3, 154.2, 141.2, 125.6, 125.5, 45.9, 34.7, 30.8, 29.3, 19.7, 15.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 331.1451, found: 331.1450. IR (neat, cm<sup>-1</sup>): ν 3392, 2963, 1647, 1534, 1273, 1144, 1024, 768, 656.



***N*-(but-2-yn-1-yl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5g)**

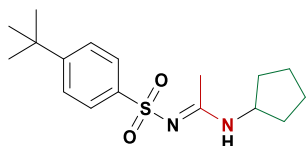
White solid (46.8 mg, 76% yield, eluent: PE/EA = 1.5:1). mp: 160-162 °C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.82 – 7.80 (m, 2H), 7.45 – 7.43 (m, 2H), 6.69 (t, *J* = 4.6 Hz, 1H), 4.00 – 3.96 (m, 2H), 2.34 (s, 3H), 1.72 (t, *J* = 2.6 Hz, 3H), 1.30 (s, 9H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*) δ 165.5, 155.2, 140.1, 126.1, 125.5, 80.2, 73.2, 34.9, 32.1, 31.0, 20.6, 3.3. HRMS (ESI-TOF): Anal Calcd. For. C<sub>16</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 329.1294, found: 329.1291. IR (neat, cm<sup>-1</sup>): ν 3277, 2988, 1575,

1421, 1258, 1143, 1088, 919, 838, 770, 646.



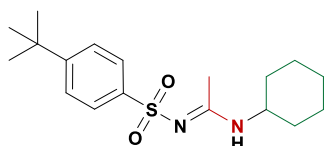
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3-(trimethylsilyl)prop-2-yn-1-yl)acetimidamide (5h)**

White solid (43.5 mg, 60% yield, eluent: PE/EA = 2:1). mp: 58-60 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.09 (t, *J* = 5.1 Hz, 1H), 7.77 – 7.73 (m, 2H), 7.54 – 7.51 (m, 2H), 4.05 (d, *J* = 5.1 Hz, 2H), 2.24 (s, 3H), 1.28 (s, 9H), 0.13 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.4, 154.3, 140.9, 125.7, 125.5, 101.6, 87.3, 34.6, 31.3, 30.8, 19.7, -0.3. HRMS (ESI-TOF): Anal Calcd. For. C<sub>18</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>SSi+H<sup>+</sup>: 365.1714, found: 365.1700. IR (neat, cm<sup>-1</sup>): ν 3394, 2961, 1653, 1557, 1249, 1153, 1023, 835, 761, 674.



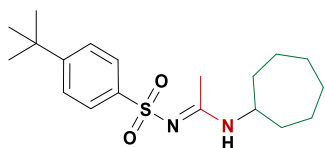
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-cyclopentylacetimidamide (5i)**

White solid (44.9 mg, 70% yield, eluent: PE/EA = 1:1). mp: 110-112 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.62 (d, *J* = 6.9 Hz, 1H), 7.73 – 7.71 (m, 2H), 7.54 – 7.52 (m, 2H), 4.12 – 4.04 (m, 1H), 2.20 (s, 3H), 1.88 – 1.80 (m, 2H), 1.64 – 1.59 (m, 2H), 1.50 – 1.38 (m, 4H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.9, 154.1, 141.3, 125.6, 125.5, 52.6, 34.6, 31.6, 30.8, 23.5, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>17</sub>H<sub>26</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 323.1788, found: 323.1789. IR (neat, cm<sup>-1</sup>): ν 3392, 2964, 1663, 1545, 1291, 1143, 1085, 1024, 766, 646.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-cyclohexylacetimidamide (5j)**

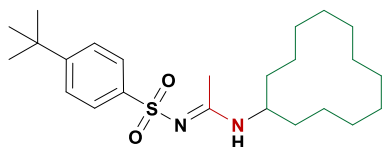
White solid (51.2 mg, 76% yield, eluent: PE/EA = 1.5:1). mp: 123-125 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.53 (d, *J* = 7.5 Hz, 1H), 7.71 – 7.69 (m, 2H), 7.54 – 7.51 (m, 2H), 3.72 – 3.63 (m, 1H), 2.18 (s, 3H), 1.82 – 1.77 (m, 2H), 1.69 – 1.64 (m, 2H), 1.55 – 1.51 (m, 1H), 1.28 (s, 9H), 1.23 – 1.08 (m, 5H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.6, 154.2, 141.3, 125.61, 125.55, 49.9, 34.6, 31.3, 30.8, 25.0, 24.3, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>18</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 359.1764, found: 359.1762. IR (neat, cm<sup>-1</sup>): ν 3393, 2929, 1648, 1548, 1261, 1143, 1025, 830, 765, 669.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-cycloheptylacetimidamide (5k)**

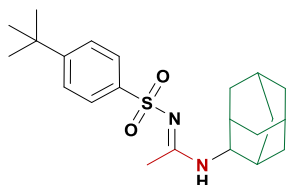
White solid (52.6 mg, 75% yield, eluent: PE/EA = 1.5:1). mp: 98-100 °C. <sup>1</sup>H NMR (400 MHz,

DMSO-*d*<sub>6</sub>)  $\delta$  8.57 (d,  $J$  = 7.5 Hz, 1H), 7.71 – 7.69 (m, 2H), 7.54 – 7.52 (m, 2H), 3.90 – 3.82 (m, 1H), 2.18 (s, 3H), 1.84 – 1.78 (m, 2H), 1.61 – 1.55 (m, 2H), 1.51 – 1.35 (m, 8H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  164.0, 154.1, 141.4, 125.6, 125.5, 51.9, 34.6, 33.2, 30.8, 27.9, 23.5, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>30</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 373.1920, found: 373.1920. IR (neat, cm<sup>-1</sup>):  $\nu$  3392, 2962, 1653, 1542, 1266, 1085, 1024, 766, 669.



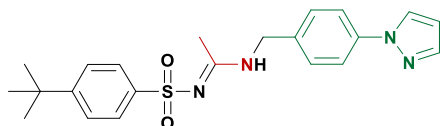
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-cyclododecylacetimidamide (5l)**

White solid (48.3 mg, 57% yield, eluent: PE/EA = 1.5:1). mp: 105-107 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  8.43 (d,  $J$  = 8.1 Hz, 1H), 7.71 – 7.65 (m, 2H), 7.53 – 7.46 (m, 2H), 4.01 – 3.93 (m, 1H), 2.27 (s, 3H), 1.55 – 1.48 (m, 2H), 1.28 (s, 9H), 1.23 – 1.04 (m, 20H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  164.1, 153.9, 141.5, 125.5, 125.2, 46.2, 34.6, 30.8, 29.5, 23.6, 23.1, 22.5, 22.1, 21.4, 20.1. HRMS (ESI-TOF): Anal Calcd. For. C<sub>24</sub>H<sub>40</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 443.2703, found: 443.2703. IR (neat, cm<sup>-1</sup>):  $\nu$  3393, 2931, 1648, 1558, 1259, 1146, 1024, 765, 672.



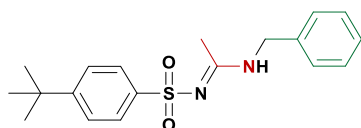
***N*-(adamantan-2-yl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5m)**

White solid (46.6 mg, 60% yield, eluent: PE/EA = 1.5:1). mp: 193-195 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  8.31 (d,  $J$  = 7.0 Hz, 1H), 7.73 – 7.68 (m, 2H), 7.58 – 7.52 (m, 2H), 3.97 – 3.95 (m, 1H), 2.29 (s, 3H), 1.98 – 1.93 (m, 4H), 1.80 – 1.77 (m, 4H), 1.73 – 1.68 (m, 4H), 1.53 – 1.48 (m, 2H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  165.3, 154.2, 141.3, 125.6, 125.5, 55.7, 36.9, 36.6, 34.7, 30.9, 30.8, 30.5, 26.63, 26.56, 19.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>22</sub>H<sub>32</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 389.2257, found: 389.2248. IR (neat, cm<sup>-1</sup>):  $\nu$  3392, 2913, 1648, 1543, 1441, 1276, 1147, 1024, 824, 764, 620.



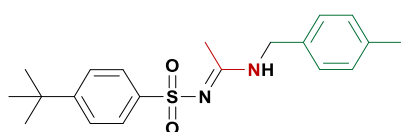
***N*-(4-(1*H*-pyrazol-1-yl)benzyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5n)**

White solid (66.0 mg, 80% yield, eluent: PE/EA = 1:2). mp: 98-100 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  9.19 (t,  $J$  = 5.7 Hz, 1H), 8.46 (s, 1H), 7.80 – 7.78 (m, 2H), 7.73 (s, 1H), 7.65 – 7.63 (m, 2H), 7.49 – 7.47 (m, 2H), 7.37 – 7.35 (m, 2H), 6.53 (s, 1H), 4.41 (d,  $J$  = 5.6 Hz, 2H), 2.29 (s, 3H), 1.25 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  165.7, 154.4, 141.1, 141.0, 138.9, 135.4, 129.0, 127.7, 125.7, 125.6, 118.4, 107.9, 44.2, 34.7, 30.9, 20.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>22</sub>H<sub>26</sub>N<sub>4</sub>O<sub>2</sub>S+Na<sup>+</sup>: 433.1669, found: 433.1668. IR (neat, cm<sup>-1</sup>):  $\nu$  3394, 2961, 1653, 1544, 1396, 1271, 1151, 1085, 1024, 771, 630.



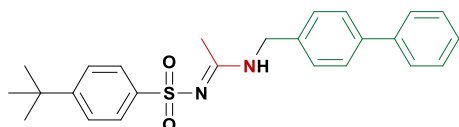
***N*-benzyl-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5o)**

White solid (52.6 mg, 76% yield, eluent: PE/EA = 1:1). mp: 73-75 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.15 (t, *J* = 4.7 Hz, 1H), 7.70 – 7.68 (m, 2H), 7.53 – 7.51 (m, 2H), 7.34 – 7.31 (m, 2H), 7.28 – 7.25 (m, 3H), 4.39 (d, *J* = 5.5 Hz, 2H), 2.29 (s, 3H), 1.29 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 154.3, 141.1, 137.3, 128.4, 127.8, 127.2, 125.7, 125.5, 44.6, 34.7, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 345.1631, found: 345.1633. IR (neat, cm<sup>-1</sup>): ν 3395, 1653, 1274, 1153, 1024, 767, 645.



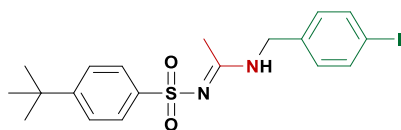
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-methylbenzyl)acetimidamide (5p)**

White solid (41.3 mg, 58% yield, eluent: PE/EA = 1:1). mp: 68-70 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.10 (t, *J* = 5.7 Hz, 1H), 7.68 – 7.65 (m, 2H), 7.53 – 7.50 (m, 2H), 7.15 – 7.10 (m, 4H), 4.33 (d, *J* = 5.5 Hz, 2H), 2.27 (s, 3H), 2.26 (s, 3H), 1.29 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.5, 154.3, 141.1, 136.4, 134.3, 128.9, 127.8, 125.6, 125.5, 44.4, 34.7, 30.8, 20.7, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>26</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 359.1788, found: 359.1782. IR (neat, cm<sup>-1</sup>): ν 3388, 2922, 1653, 1261, 1146, 1023, 763, 665.



***N*-([1,1'-biphenyl]-4-ylmethyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5q)**

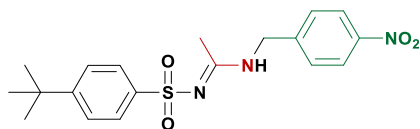
White solid (39.2 mg, 47% yield, eluent: PE/EA = 1.5:1). mp: 83-85 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.21 (t, *J* = 5.7 Hz, 1H), 7.69 – 7.67 (m, 2H), 7.66 – 7.62 (m, 2H), 7.62 – 7.58 (m, 2H), 7.51 – 7.48 (m, 2H), 7.47 – 7.44 (m, 2H), 7.38 – 7.34 (m, 3H), 4.43 (d, *J* = 5.6 Hz, 2H), 2.31 (s, 3H), 1.25 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 154.3, 141.1, 139.8, 139.2, 136.6, 128.9, 128.5, 127.4, 126.7, 126.6, 125.7, 125.5, 44.4, 34.6, 30.8, 20.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 421.1944, found: 421.1939. IR (neat, cm<sup>-1</sup>): ν 3393, 2963, 1653, 1543, 1276, 1149, 1024, 764, 627.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-iodobenzyl)acetimidamide (5r)**

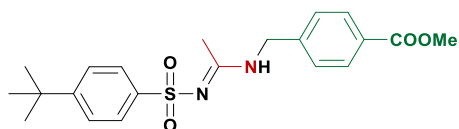
White solid (75.9 mg, 81% yield, eluent: PE/EA = 1:1.5). mp: 94-96 °C. <sup>1</sup>H NMR (400 MHz,

DMSO-*d*<sub>6</sub>)  $\delta$  9.17 (t, *J* = 5.6 Hz, 1H), 7.67 – 7.65 (m, 2H), 7.63 – 7.61 (m, 2H), 7.51 – 7.48 (m, 2H), 7.07 – 7.05 (m, 2H), 4.33 (d, *J* = 5.6 Hz, 2H), 2.29 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  165.6, 154.2, 141.0, 137.3, 137.1, 130.1, 125.6, 125.5, 93.0, 44.1, 34.6, 30.8, 19.9. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>23</sub>IN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 493.0417, found: 493.0409. **IR** (neat, cm<sup>-1</sup>):  $\nu$  3273, 2961, 1647, 1543, 1421, 1261, 1150, 1084, 1003, 794, 635.



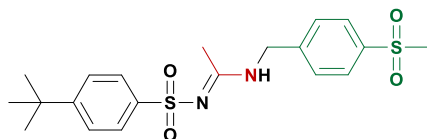
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-nitrobenzyl)acetimidamide (5s)**

White solid (74.2 mg, 95% yield, eluent: PE/EA = 1:1.5). mp: 121-123 °C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.98 – 7.95 (m, 2H), 7.60 (t, *J* = 5.5 Hz, 1H), 7.58 – 7.56 (m, 2H), 7.39 – 7.37 (m, 2H), 7.30 – 7.27 (m, 2H), 4.46 (d, *J* = 5.8 Hz, 2H), 2.30 (s, 3H), 1.30 (s, 9H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*)  $\delta$  166.4, 155.7, 147.0, 144.3, 139.6, 128.6, 125.8, 125.6, 123.4, 44.8, 34.9, 30.9, 20.5. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>23</sub>N<sub>3</sub>O<sub>4</sub>S+H<sup>+</sup>: 390.1482, found: 390.1476. **IR** (neat, cm<sup>-1</sup>):  $\nu$  3307, 2987, 1684, 1542, 1348, 1257, 1145, 1067, 764, 669.



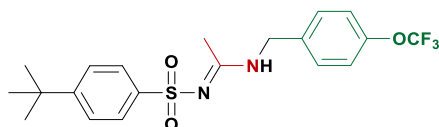
**methyl 4-((*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamido)methyl)benzoate (5t)**

White solid (73.4 mg, 91% yield, eluent: PE/EA = 1:1.5). mp: 97-99 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  9.24 (t, *J* = 4.9 Hz, 1H), 7.90 – 7.88 (m, 2H), 7.61 – 7.59 (m, 2H), 7.48 – 7.46 (m, 2H), 7.37 – 7.35 (m, 2H), 4.46 (d, *J* = 5.6 Hz, 2H), 3.84 (s, 3H), 2.32 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  166.0, 165.8, 154.3, 143.1, 141.0, 129.2, 128.4, 127.8, 125.6, 125.5, 52.1, 44.3, 34.6, 30.8, 19.9. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>21</sub>H<sub>26</sub>N<sub>2</sub>O<sub>4</sub>S+H<sup>+</sup>: 403.1686, found: 403.1684. **IR** (neat, cm<sup>-1</sup>):  $\nu$  3392, 2958, 1717, 1557, 1418, 1262, 1149, 1022, 754, 640.



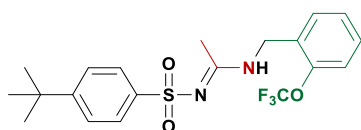
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-(methylsulfonyl)benzyl)acetimidamide (5u)**

White solid (76.4 mg, 90% yield, eluent: PE/EA = 1:2). mp: 167-169 °C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.69 – 7.67 (m, 2H), 7.66 – 7.64 (m, 2H), 7.46 (t, *J* = 5.3 Hz, 1H), 7.43 – 7.41 (m, 2H), 7.35 – 7.33 (m, 2H), 4.48 (d, *J* = 5.7 Hz, 2H), 2.98 (s, 3H), 2.31 (s, 3H), 1.30 (s, 9H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*)  $\delta$  166.4, 155.5, 143.3, 139.8, 139.1, 128.8, 127.3, 125.9, 125.6, 44.9, 44.4, 34.9, 31.0, 20.6. **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>26</sub>N<sub>2</sub>O<sub>4</sub>S<sub>2</sub>+H<sup>+</sup>: 423.1407, found: 423.1403. **IR** (neat, cm<sup>-1</sup>):  $\nu$  3291, 2971, 1591, 1275, 1147, 1084, 760, 636.



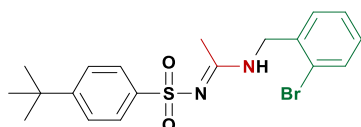
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-(trifluoromethoxy)benzyl)acetimidamide (5v)**

White solid (57.6 mg, 67% yield, eluent: PE/EA = 1:1). mp: 85-87 °C.  $^1\text{H NMR}$  (400 MHz, DMSO- $d_6$ )  $\delta$  9.20 (t,  $J$  = 4.8 Hz, 1H), 7.67 – 7.64 (m, 2H), 7.51 – 7.48 (m, 2H), 7.39 – 7.37 (m, 2H), 7.32 – 7.28 (m, 2H), 4.41 (d,  $J$  = 5.3 Hz, 2H), 2.31 (s, 3H), 1.27 (s, 9H).  $^{13}\text{C NMR}$  (100 MHz, DMSO- $d_6$ )  $\delta$  165.7, 154.3, 147.5, 141.1, 137.0, 129.7, 125.7, 125.5, 120.9, 120.1 (q,  $J$  = 256.1 Hz), 43.9, 34.6, 30.8, 19.9.  $^{19}\text{F NMR}$  (376 MHz, DMSO- $d_6$ )  $\delta$  -57.01 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{20}\text{H}_{23}\text{F}_3\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 429.1454, found: 429.1456. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3278, 2969, 1647, 1558, 1421, 1250, 1151, 1084, 1013, 763, 644.



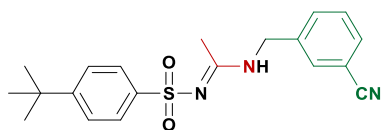
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(2-(trifluoromethoxy)benzyl)acetimidamide (5w)**

White solid (73.7 mg, 86% yield, eluent: PE/EA = 1:1). mp: 63-65 °C.  $^1\text{H NMR}$  (400 MHz, DMSO- $d_6$ )  $\delta$  9.15 (t,  $J$  = 5.5 Hz, 1H), 7.68 – 7.65 (m, 2H), 7.51 – 7.48 (m, 2H), 7.44 – 7.42 (m, 1H), 7.41 – 7.39 (m, 1H), 7.36 – 7.34 (m, 1H), 7.34 – 7.28 (m, 1H), 4.46 (d,  $J$  = 5.4 Hz, 2H), 2.33 (s, 3H), 1.27 (s, 9H).  $^{13}\text{C NMR}$  (100 MHz, DMSO- $d_6$ )  $\delta$  165.8, 154.3, 146.5 (d,  $J$  = 1.8 Hz), 141.0, 130.2, 129.7, 129.3, 127.4, 125.6, 125.4, 120.5, 120.2 (q,  $J$  = 257.0 Hz), 39.4, 34.6, 30.8, 19.8.  $^{19}\text{F NMR}$  (376 MHz, DMSO- $d_6$ )  $\delta$  -56.32 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{20}\text{H}_{23}\text{F}_3\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 429.1454, found: 429.1454. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3331, 2967, 1553, 1423, 1247, 1166, 1025, 836, 762, 667.



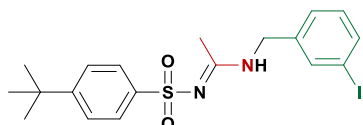
***N*-(2-bromobenzyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5x)**

White solid (64.6 mg, 77% yield, eluent: PE/EA = 2:1). mp: 69-71 °C.  $^1\text{H NMR}$  (400 MHz, DMSO- $d_6$ )  $\delta$  9.12 (t,  $J$  = 5.3 Hz, 1H), 7.68 – 7.65 (m, 2H), 7.63 – 7.60 (m, 1H), 7.53 – 7.50 (m, 2H), 7.38 – 7.30 (m, 2H), 7.26 – 7.22 (m, 1H), 4.43 (d,  $J$  = 5.1 Hz, 2H), 2.31 (s, 3H), 1.28 (s, 9H).  $^{13}\text{C NMR}$  (100 MHz, DMSO- $d_6$ )  $\delta$  165.9, 154.4, 140.9, 135.9, 132.5, 130.0, 129.5, 127.7, 125.7, 125.5, 123.0, 45.1, 34.7, 30.8, 19.7. **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{19}\text{H}_{23}\text{BrN}_2\text{O}_2\text{S}+\text{Na}^+$ : 445.0556, found: 445.0546. Anal Calcd. For.  $\text{C}_{19}\text{H}_{23}^{81}\text{BrN}_2\text{O}_2\text{S}+\text{Na}^+$ : 447.0535, found: 447.0540. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3275, 2961, 1648, 1268, 1150, 1025, 764, 645.



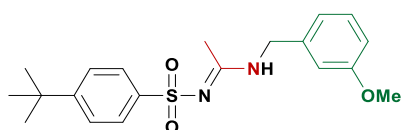
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3-cyanobenzyl)acetimidamide (5y)**

White solid (62.1 mg, 84% yield, eluent: PE/EA = 1:2). mp: 48-50 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.22 (t, *J* = 5.7 Hz, 1H), 7.74 – 7.72 (m, 1H), 7.674 – 7.665 (m, 1H), 7.63 – 7.60 (m, 2H), 7.59 – 7.57 (m, 1H), 7.54 – 7.52 (m, 1H), 7.51 – 7.49 (m, 2H), 4.42 (d, *J* = 5.6 Hz, 2H), 2.30 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 154.4, 140.9, 139.3, 132.6, 131.2, 131.0, 129.6, 125.6, 125.5, 118.7, 111.3, 43.9, 34.7, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>23</sub>N<sub>3</sub>O<sub>2</sub>S+Na<sup>+</sup>: 392.1403, found: 392.1396. IR (neat, cm<sup>-1</sup>): ν 3269, 2967, 2229, 1554, 1419, 1271, 1149, 1084, 1024, 762, 644.



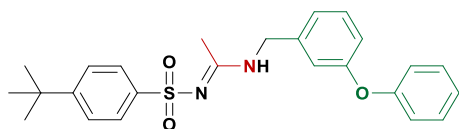
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3-iodobenzyl)acetimidamide (5z)**

White oil (81.1 mg, 86% yield, eluent: PE/EA = 1:1.5). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.16 (t, *J* = 5.7 Hz, 1H), 7.68 – 7.65 (m, 2H), 7.64 – 7.62 (m, 2H), 7.54 – 7.50 (m, 2H), 7.28 – 7.26 (m, 1H), 7.14 – 7.10 (m, 1H), 4.35 (d, *J* = 5.6 Hz, 2H), 2.29 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 154.3, 141.0, 140.2, 136.4, 135.9, 130.5, 127.2, 125.61, 125.55, 94.8, 43.9, 34.7, 30.9, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>23</sub>IN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 471.0598, found: 471.0596. IR (neat, cm<sup>-1</sup>): ν 3263, 2962, 1547, 1421, 1269, 1148, 1086, 1024, 761, 665.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3-methoxybenzyl)acetimidamide (5aa)**

Colorless liquid (43.0 mg, 57% yield, eluent: PE/EA = 1:1). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.14 (t, *J* = 5.7 Hz, 1H), 7.70 – 7.67 (m, 2H), 7.53 – 7.49 (m, 2H), 7.26 – 7.22 (m, 1H), 6.86 – 6.83 (m, 3H), 4.37 (d, *J* = 5.6 Hz, 2H), 3.70 (s, 3H), 2.30 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 159.3, 154.3, 141.1, 138.9, 129.5, 125.7, 125.5, 119.9, 113.4, 112.8, 54.9, 44.6, 34.7, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>26</sub>N<sub>2</sub>O<sub>3</sub>S+Na<sup>+</sup>: 397.1556, found: 397.1552. IR (neat, cm<sup>-1</sup>): ν 3393, 2963, 1648, 1570, 1260, 1143, 1082, 1023, 768, 656.

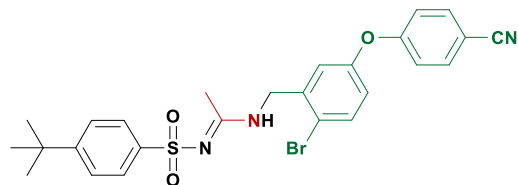


***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3-phenoxybenzyl)acetimidamide (5ab)**

Colorless oil (60.1 mg, 69% yield, eluent: PE/EA = 1:1). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.18 (t, *J* = 5.7 Hz, 1H), 7.67 – 7.63 (m, 2H), 7.49 – 7.45 (m, 2H), 7.39 – 7.31 (m, 3H), 7.15 – 7.11 (m, 1H), 7.06 – 7.03 (m, 1H), 6.99 – 6.94 (m, 3H), 6.91 – 6.88 (m, 1H), 4.39 (d, *J* = 5.7 Hz, 2H), 2.28 (s, 3H), 1.26 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 156.6, 156.5, 154.3, 141.0, 139.8, 130.01, 129.99, 125.6, 125.5, 123.4, 122.8, 118.5, 117.9, 117.3, 44.3, 34.6, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>28</sub>N<sub>2</sub>O<sub>3</sub>S+H<sup>+</sup>: 437.1893, found: 437.1891. IR (neat, cm<sup>-1</sup>): ν 3255, 2964,

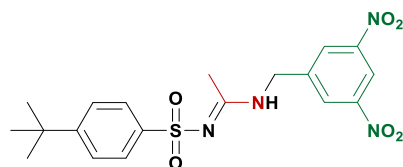


1549, 1487, 1251, 1148, 1087, 1024, 761, 666.



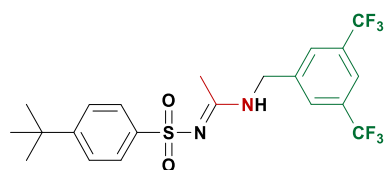
***N*-(2-bromo-5-(4-cyanophenoxy)benzyl)-*N'*-((4-*tert*-butyl)phenyl)sulfonylacetimidamide (5ac)**

White solid (94.9 mg, 88% yield, eluent: PE/EA = 1:1). mp: 53-55 °C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.63 – 7.61 (m, 2H), 7.55 – 7.53 (m, 2H), 7.49 – 7.47 (m, 1H), 7.34 – 7.32 (m, 2H), 7.18 (t, *J* = 5.6 Hz, 1H), 6.983 – 6.976 (m, 1H), 6.95 – 6.93 (m, 2H), 6.80 (dd, *J* = 8.6, 2.9 Hz, 1H), 4.45 (d, *J* = 5.7 Hz, 2H), 2.30 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*) δ 166.3, 160.7, 155.3, 153.9, 139.8, 137.9, 134.2, 134.1, 125.8, 125.4, 121.9, 120.8, 118.7, 118.5, 117.9, 106.0, 45.8, 34.8, 31.0, 20.5. HRMS (ESI-TOF): Anal Calcd. For. C<sub>26</sub>H<sub>26</sub>BrN<sub>3</sub>O<sub>3</sub>S+H<sup>+</sup>: 540.0951, found: 540.0951. Anal Calcd. For. C<sub>26</sub>H<sub>26</sub><sup>81</sup>BrN<sub>3</sub>O<sub>3</sub>S+H<sup>+</sup>: 542.0931, found: 542.0926. IR (neat, cm<sup>-1</sup>): ν 3298, 2964, 2227, 1734, 1548, 1239, 1146, 1085, 837, 761, 665.



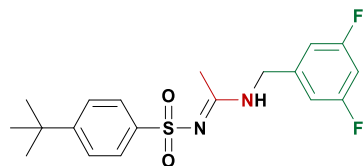
***N'*-((4-*tert*-butyl)phenyl)sulfonyl)-*N*-(3,5-dinitrobenzyl)acetimidamide (5ad)**

White solid (75.0 mg, 86% yield, eluent: PE/EA = 1:2). mp: 96-98 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.36 (s, 1H), 8.69 (t, *J* = 2.1 Hz, 1H), 8.472 – 8.467 (m, 2H), 7.55 – 7.52 (m, 2H), 7.43 – 7.40 (m, 2H), 4.61 (s, 2H), 2.34 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.0, 154.4, 147.8, 142.6, 140.6, 128.1, 125.5, 125.3, 117.2, 43.6, 34.6, 30.7, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>22</sub>N<sub>4</sub>O<sub>6</sub>S+H<sup>+</sup>: 435.1333, found: 435.1322. IR (neat, cm<sup>-1</sup>): ν 3392, 2965, 1634, 1539, 1427, 1341, 1262, 1148, 1087, 1024, 759, 655.



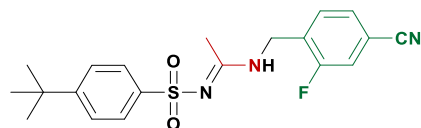
***N*-(3,5-bis(trifluoromethyl)benzyl)-*N'*-((4-*tert*-butyl)phenyl)sulfonylacetimidamide (5ae)**

White solid (84.9 mg, 88% yield, eluent: PE/EA = 1.5:1). mp: 73-75 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.28 (t, *J* = 5.7 Hz, 1H), 7.95 – 7.92 (m, 3H), 7.63 – 7.61 (m, 2H), 7.46 – 7.44 (m, 2H), 4.58 (d, *J* = 5.6 Hz, 2H), 2.36 (s, 3H), 1.25 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.0, 154.3, 141.4, 140.9, 130.3 (q, *J* = 32.9 Hz), 128.6, 125.6, 125.3, 123.3 (q, *J* = 272.4 Hz), 120.7, 43.9, 34.5, 30.6, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -61.75 (s, 6F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>21</sub>H<sub>22</sub>F<sub>6</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 503.1198, found: 503.1187. IR (neat, cm<sup>-1</sup>): ν 3393, 2967, 1653, 1558, 1276, 1171, 1024, 762, 679.



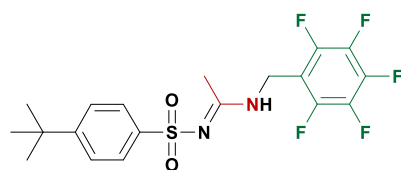
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(3,5-difluorobenzyl)acetimidamide (5af)**

White solid (69.1 mg, 91% yield, eluent: PE/EA = 1:1.5). mp: 90-92 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.19 (t, *J* = 5.8 Hz, 1H), 7.69 – 7.65 (m, 2H), 7.50 – 7.47 (m, 2H), 7.10 – 7.04 (m, 1H), 6.98 – 6.92 (m, 2H), 4.41 (d, *J* = 5.6 Hz, 2H), 2.34 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 162.4 (dd, *J* = 246.5, 13.3 Hz), 154.4, 142.3 (t, *J* = 9.1 Hz), 140.9, 125.6, 125.5, 111.2 – 110.4 (m), 102.5 (t, *J* = 25.8 Hz), 43.8, 34.6, 30.8, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -109.86 (s, 2F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>22</sub>F<sub>2</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 381.1443, found: 381.1445. IR (neat, cm<sup>-1</sup>): ν 3280, 2965, 1626, 1555, 1418, 1271, 1113, 990, 840, 767, 635.



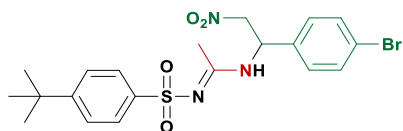
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-cyano-2-fluorobenzyl)acetimidamide (5ag)**

White solid (73.0 mg, 94% yield, eluent: PE/EA = 1:2). mp: 123-125 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.25 (t, *J* = 5.6 Hz, 1H), 7.78 – 7.75 (m, 1H), 7.63 – 7.61 (m, 2H), 7.59 – 7.58 (m, 1H), 7.50 – 7.48 (m, 2H), 7.46 – 7.44 (m, 1H), 4.46 (d, *J* = 5.5 Hz, 2H), 2.32 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 159.6 (d, *J* = 248.3 Hz), 154.4, 140.8, 131.2 (d, *J* = 4.7 Hz), 130.7 (d, *J* = 14.8 Hz), 128.5 (d, *J* = 3.3 Hz), 125.6, 125.4, 119.1 (d, *J* = 25.4 Hz), 117.6, 111.6 (d, *J* = 10.0 Hz), 38.6 (d, *J* = 4.0 Hz), 34.6, 30.8, 19.8. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -115.17 (s, 1F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>22</sub>FN<sub>3</sub>O<sub>2</sub>S+Na<sup>+</sup>: 410.1309, found: 410.1309. IR (neat, cm<sup>-1</sup>): ν 3393, 2969, 1653, 1556, 1416, 1276, 1150, 1084, 1024, 822, 762, 644.



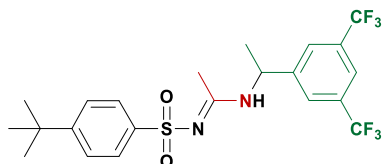
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-((perfluorophenyl)methyl)acetimidamide (5ah)**

White solid (77.5 mg, 89% yield, eluent: PE/EA = 1.5:1). mp: 78-80 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.24 (s, 1H), 7.59 – 7.56 (m, 2H), 7.49 – 7.47 (m, 2H), 4.38 (s, 2H), 2.28 (s, 3H), 1.29 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.3, 154.5, 146.2 – 143.4 (m), 141.2 – 138.4 (m), 140.8, 138.0 – 135.2 (m), 125.4, 125.3, 111.8 – 111.3 (m), 34.6, 33.2, 30.7, 19.6. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -141.99 to -142.08 (m, 2F), -156.23 to -156.35 (m, 1F), -163.29 to -163.43 (m, 2F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>19</sub>H<sub>19</sub>F<sub>5</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 435.1160, found: 435.1149. IR (neat, cm<sup>-1</sup>): ν 3393, 2971, 1654, 1457, 1266, 1144, 1024, 761, 662.



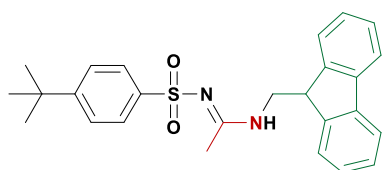
***N*-(1-(4-bromophenyl)-2-nitroethyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5ai)**

White solid (84.6 mg, 88% yield, eluent: PE/EA = 1:1). mp: 133-135 °C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 7.7 Hz, 1H), 7.57 – 7.55 (m, 2H), 7.44 – 7.41 (m, 2H), 7.34 – 7.32 (m, 2H), 7.13 – 7.11 (m, 2H), 5.75 – 5.70 (m, 1H), 4.88 (dd, *J* = 13.6, 8.7 Hz, 1H), 4.58 (dd, *J* = 13.6, 5.2 Hz, 1H), 2.30 (s, 3H), 1.33 (s, 9H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*) δ 166.5, 155.8, 139.2, 134.8, 132.1, 128.7, 125.8, 125.7, 122.6, 76.7, 52.8, 35.0, 31.0, 20.4. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>24</sub>BrN<sub>3</sub>O<sub>4</sub>S+Na<sup>+</sup>: 504.0563, found: 504.0553. Anal Calcd. For. C<sub>20</sub>H<sub>24</sub><sup>81</sup>BrN<sub>3</sub>O<sub>4</sub>S+Na<sup>+</sup>: 506.0543, found: 506.0547. IR (neat, cm<sup>-1</sup>): ν 3320, 2968, 1663, 1541, 1379, 1266, 1146, 1085, 1011, 794, 669.



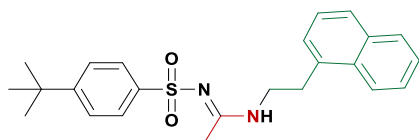
***N*-(1-(3,5-bis(trifluoromethyl)phenyl)ethyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5aj)**

White solid (88.7 mg, 90% yield, eluent: PE/EA = 1:1). mp: 95-97 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.15 (d, *J* = 6.0 Hz, 1H), 7.95 – 7.91 (m, 1H), 7.90 – 7.87 (m, 2H), 7.44 – 7.39 (m, 2H), 7.38 – 7.35 (m, 2H), 5.14 – 5.08 (m, 1H), 2.30 (s, 3H), 1.43 (d, *J* = 7.1 Hz, 3H), 1.25 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.9, 154.2, 147.2, 140.8, 130.3 (q, *J* = 32.7 Hz), 126.9 (d, *J* = 3.8 Hz), 125.23, 125.20, 123.3 (q, *J* = 272.7 Hz), 120.8 – 120.6 (m), 50.3, 34.5, 30.7, 21.4, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -61.40 (s, 6F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>22</sub>H<sub>24</sub>F<sub>6</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 495.1535, found: 495.1519. IR (neat, cm<sup>-1</sup>): ν 3393, 2965, 1648, 1538, 1373, 1272, 1140, 1025, 773, 679.



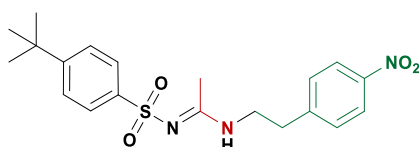
***N*-((9*H*-fluoren-9-yl)methyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5ak)**

White oil (80.3 mg, 93% yield, eluent: PE/EA = 1:1). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.18 (t, *J* = 5.4 Hz, 1H), 7.87 – 7.85 (m, 2H), 7.71 – 7.69 (m, 2H), 7.55 – 7.53 (m, 2H), 7.50 – 7.48 (m, 2H), 7.41 – 7.37 (m, 2H), 7.30 – 7.26 (m, 2H), 4.23 (t, *J* = 7.1 Hz, 1H), 3.57 (t, *J* = 6.1 Hz, 2H), 2.39 (s, 3H), 1.25 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.0, 154.3, 144.6, 141.1, 140.4, 127.5, 127.1, 125.6, 125.5, 124.8, 120.1, 45.4, 44.7, 34.6, 30.8, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>26</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 433.1944, found: 433.1925. IR (neat, cm<sup>-1</sup>): ν 3258, 2962, 1550, 1447, 1267, 1148, 1086, 1023, 759, 667.



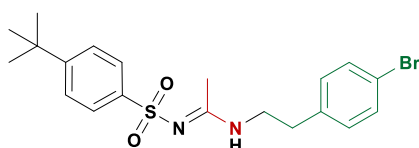
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(2-(naphthalen-1-yl)ethyl)acetimidamide (5al)**

White solid (40.4 mg, 49% yield, eluent: PE/EA = 1:1). mp: 125-127 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.91 (t, *J* = 5.6 Hz, 1H), 8.07 – 8.04 (m, 1H), 7.90 – 7.88 (m, 1H), 7.79 – 7.77 (m, 1H), 7.76 – 7.74 (m, 2H), 7.56 – 7.54 (m, 2H), 7.46 – 7.43 (m, 1H), 7.41 – 7.37 (m, 1H), 7.31 – 7.30 (m, 1H), 7.24 – 7.20 (m, 1H), 3.48 – 3.43 (m, 2H), 3.25 – 3.22 (m, 2H), 2.26 (s, 3H), 1.29 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 154.3, 141.2, 134.9, 133.4, 131.5, 128.5, 127.0, 126.7, 125.9, 125.73, 125.68, 125.54, 125.51, 123.7, 42.2, 34.7, 31.1, 30.8, 20.0. HRMS (ESI-TOF): Anal Calcd. For. C<sub>24</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 431.1764, found: 431.1762. IR (neat, cm<sup>-1</sup>): ν 3393, 2962, 1647, 1539, 1294, 1147, 1023, 769, 650.



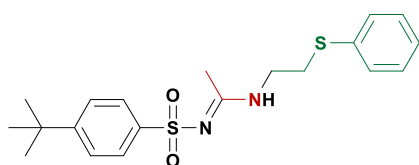
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-nitrophenethyl)acetimidamide (5am)**

White solid (59.1 mg, 73% yield, eluent: PE/EA = 1:1.5). mp: 94-96 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.76 (t, *J* = 5.5 Hz, 1H), 8.09 – 8.07 (m, 2H), 7.65 – 7.63 (m, 2H), 7.52 – 7.49 (m, 2H), 7.42 – 7.40 (m, 2H), 3.49 – 3.45 (m, 2H), 2.91 (t, *J* = 7.0 Hz, 2H), 2.17 (s, 3H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.8, 154.5, 147.4, 146.2, 141.1, 130.0, 125.7, 125.6, 123.4, 41.8, 34.7, 33.5, 30.9, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>25</sub>N<sub>3</sub>O<sub>4</sub>S+Na<sup>+</sup>: 426.1458, found: 426.1459. IR (neat, cm<sup>-1</sup>): ν 3274, 2963, 1579, 1544, 1376, 1144, 1083, 796, 641.



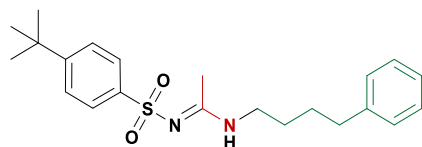
***N*-(4-bromophenethyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)acetimidamide (5an)**

White solid (64.4 mg, 74% yield, eluent: PE/EA = 1:1). mp: 122-124 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.77 (t, *J* = 5.0 Hz, 1H), 7.66 – 7.63 (m, 2H), 7.55 – 7.53 (m, 2H), 7.45 – 7.41 (m, 2H), 7.13 – 7.09 (m, 2H), 3.39 – 3.36 (m, 2H), 2.75 (t, *J* = 7.1 Hz, 2H), 2.17 (s, 3H), 1.29 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.6, 154.3, 141.2, 138.4, 131.2, 130.9, 125.62, 125.59, 119.3, 42.2, 34.7, 32.9, 30.9, 19.9. HRMS (ESI-TOF): Anal Calcd. For. C<sub>20</sub>H<sub>25</sub>BrN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 459.0712, found: 459.0695. Anal Calcd. For. C<sub>20</sub>H<sub>25</sub><sup>81</sup>BrN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 461.0692, found: 461.0692. IR (neat, cm<sup>-1</sup>): ν 3393, 2964, 1653, 1546, 1291, 1145, 1023, 823, 764, 641.



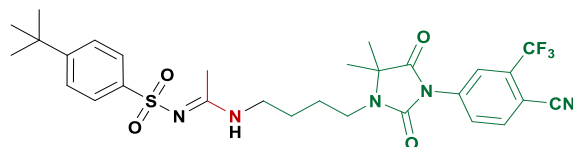
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(2-(phenylthio)ethyl)acetimidamide (5ao)**

White solid (36.7 mg, 47% yield, eluent: PE/EA = 1:1). mp: 62-64 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.92 (t, *J* = 5.5 Hz, 1H), 7.73 – 7.71 (m, 2H), 7.54 – 7.50 (m, 2H), 7.33 – 7.31 (m, 2H), 7.21 – 7.17 (m, 2H), 7.15 – 7.11 (m, 1H), 3.37 – 3.35 (m, 2H), 3.09 (t, *J* = 7.0 Hz, 2H), 2.21 (s, 3H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.9, 154.4, 141.0, 135.4, 129.0, 127.7, 125.7, 125.6, 125.5, 40.6, 34.7, 30.8, 29.6, 19.9. HRMS (ESI-TOF): Anal Calcd. For: C<sub>20</sub>H<sub>26</sub>N<sub>2</sub>O<sub>2</sub>S<sub>2</sub>+H<sup>+</sup>: 391.1508, found: 391.1520. IR (neat, cm<sup>-1</sup>): ν 3392, 2962, 1648, 1577, 1261, 1146, 1022, 794, 657.



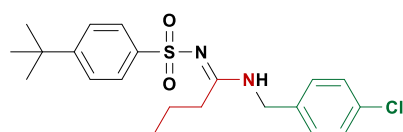
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-phenylbutyl)acetimidamide (5ap)**

White solid (56.7 mg, 73% yield, eluent: PE/EA = 1:1). mp: 109-111 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.66 (t, *J* = 5.4 Hz, 1H), 7.71 – 7.69 (m, 2H), 7.51 – 7.49 (m, 2H), 7.27 – 7.23 (m, 2H), 7.17 – 7.13 (m, 3H), 3.21 – 3.16 (m, 2H), 2.56 – 2.52 (m, 2H), 2.21 (s, 3H), 1.57 – 1.45 (m, 4H), 1.26 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.5, 154.2, 141.9, 141.3, 128.3, 128.2, 125.6, 125.5, 40.9, 34.7, 34.6, 30.8, 28.2, 27.4, 19.9. HRMS (ESI-TOF): Anal Calcd. For: C<sub>22</sub>H<sub>30</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 387.2101, found: 387.2102. IR (neat, cm<sup>-1</sup>): ν 3392, 2962, 1647, 1543, 1269, 1147, 1024, 773, 645.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioxoimidazolidin-1-yl)butyl)acetimidamide (5aq)**

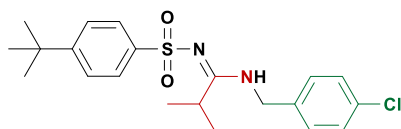
White oil (88.9 mg, 73% yield, eluent: PE/EA = 1:3). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.70 (t, *J* = 5.3 Hz, 1H), 8.30 – 8.28 (m, 1H), 8.195 – 8.189 (m, 1H), 8.05 – 8.03 (m, 1H), 7.72 – 7.69 (m, 2H), 7.52 – 7.48 (m, 2H), 3.34 – 3.31 (m, 2H), 3.24 – 3.19 (m, 2H), 2.22 (s, 3H), 1.65 – 1.61 (m, 2H), 1.59 – 1.54 (m, 2H), 1.45 (s, 6H), 1.26 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 174.6, 165.6, 154.2, 152.6, 141.2, 136.9, 136.0, 131.1 (q, *J* = 32.3 Hz), 129.8, 125.6, 125.5, 123.9 (q, *J* = 4.4 Hz), 122.2 (q, *J* = 273.6 Hz), 115.2, 106.6, 61.6, 40.8, 39.1, 34.6, 30.8, 26.4, 25.1, 22.5, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.97 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For: C<sub>29</sub>H<sub>34</sub>F<sub>3</sub>N<sub>5</sub>O<sub>4</sub>S+Na<sup>+</sup>: 628.2176, found: 628.2176. IR (neat, cm<sup>-1</sup>): ν 3270, 2964, 1777, 1719, 1554, 1410, 1313, 1142, 1026, 762, 670.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)butyrimidamide (6a)**

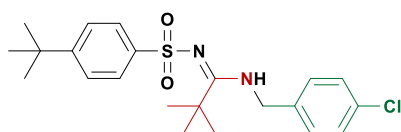
White solid (74.1 mg, 91% yield, eluent: PE/EA = 1.5:1). mp: 89-91 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.11 (t, *J* = 5.7 Hz, 1H), 7.65 – 7.61 (m, 2H), 7.50 – 7.47 (m, 2H), 7.35 – 7.31 (m, 2H),

7.25 – 7.21 (m, 2H), 4.35 (d,  $J = 5.6$  Hz, 2H), 2.70 – 2.66 (m, 2H), 1.69 – 1.59 (m, 2H), 1.28 (s, 9H), 0.88 (t,  $J = 7.4$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  168.5, 154.1, 141.5, 136.7, 131.7, 129.4, 128.2, 125.4, 125.3, 43.8, 34.9, 34.6, 30.8, 21.1, 13.6. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{21}\text{H}_{27}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 407.1555, found: 407.1539. Anal Calcd. For.  $\text{C}_{21}\text{H}_{27}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 409.1525, found: 409.1519. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3392, 2966, 1648, 1556, 1260, 1024, 797, 695.



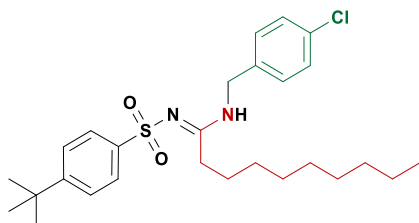
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)isobutyrimidamide (6b)**

White solid (70.6 mg, 87% yield, eluent: PE/EA = 1.5:1). mp: 65-67 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.83 (t,  $J = 5.9$  Hz, 1H), 7.60 – 7.58 (m, 2H), 7.49 – 7.47 (m, 2H), 7.35 – 7.33 (m, 2H), 7.21 – 7.19 (m, 2H), 4.35 (d,  $J = 5.8$  Hz, 2H), 3.70 – 3.59 (m, 1H), 1.27 (s, 9H), 1.15 (d,  $J = 7.0$  Hz, 6H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  173.1, 154.2, 141.4, 137.1, 131.5, 129.0, 128.2, 125.4, 125.3, 43.6, 34.6, 31.8, 30.8, 19.7. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{21}\text{H}_{27}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 407.1555, found: 407.1549. Anal Calcd. For.  $\text{C}_{21}\text{H}_{27}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 409.1525, found: 409.1534. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3366, 2970, 1594, 1396, 1171, 1066, 1012, 795, 683.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)pivalimidamide (6c)**

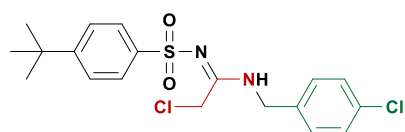
White solid (73.8 mg, 88% yield, eluent: PE/EA = 2:1). mp: 96-98 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.57 (t,  $J = 4.8$  Hz, 1H), 7.64 – 7.62 (m, 2H), 7.48 – 7.46 (m, 2H), 7.32 – 7.30 (m, 2H), 7.18 – 7.16 (m, 2H), 4.59 (d,  $J = 5.2$  Hz, 2H), 1.30 (s, 9H), 1.29 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  170.2, 153.7, 142.7, 136.9, 131.5, 129.0, 128.1, 125.2, 125.1, 46.3, 39.9, 34.6, 30.9, 28.0. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{22}\text{H}_{29}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 421.1711, found: 421.1691. Anal Calcd. For.  $\text{C}_{22}\text{H}_{29}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 423.1682, found: 423.1700. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3392, 2965, 1648, 1553, 1262, 1086, 1023, 802, 642.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)decanimidamide (6d)**

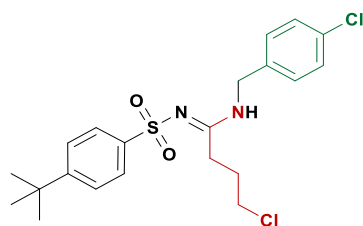
White solid (78.8 mg, 80% yield, eluent: PE/EA = 2:1). mp: 53-55 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  9.09 (t,  $J = 5.6$  Hz, 1H), 7.62 – 7.60 (m, 2H), 7.49 – 7.46 (m, 2H), 7.34 – 7.31 (m, 2H), 7.24 – 7.22 (m, 2H), 4.35 (d,  $J = 5.3$  Hz, 2H), 2.69 – 2.65 (m, 2H), 1.55 – 1.48 (m, 2H), 1.28 (s, 9H), 1.25 – 1.18 (m, 12H), 0.85 (t,  $J = 6.6$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  168.8, 154.1, 141.5, 136.7, 131.7, 129.4, 128.2, 125.5, 125.3, 43.8, 34.6, 32.9, 31.3, 30.8, 28.8, 28.64, 28.61, 27.4, 22.1,

13.9. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{27}H_{39}ClN_2O_2S+Na^+$ : 513.2313, found: 513.2316. Anal Calcd. For.  $C_{27}H_{39}^{37}ClN_2O_2S+Na^+$ : 515.2283, found: 515.2279. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3312, 2925, 1653, 1555, 1352, 1261, 1143, 1084, 1011, 758, 652.



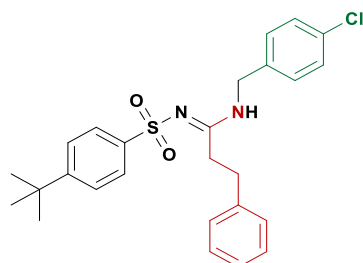
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-2-chloro-*N*-(4-chlorobenzyl)acetimidamide (6e)**

White solid (80.9 mg, 98% yield, eluent: PE/EA = 2:1). mp: 95-97 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.56 (t,  $J$  = 5.8 Hz, 1H), 7.64 – 7.62 (m, 2H), 7.49 – 7.47 (m, 2H), 7.31 – 7.29 (m, 2H), 7.23 – 7.21 (m, 2H), 4.72 (s, 2H), 4.38 (d,  $J$  = 5.7 Hz, 2H), 1.28 (s, 9H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  161.4, 154.6, 140.6, 136.1, 131.8, 129.4, 128.2, 125.6, 125.5, 44.1, 38.7, 34.7, 30.8. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{19}H_{22}Cl_2N_2O_2S+Na^+$ : 435.0671, found: 435.0671. Anal Calcd. For.  $C_{19}H_{22}^{37}Cl_2N_2O_2S+Na^+$ : 437.0642, found: 437.0650. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3394, 2967, 1648, 1572, 1428, 1278, 1151, 1050, 954, 768, 646.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-4-chloro-*N*-(4-chlorobenzyl)butanimidamide (6f)**

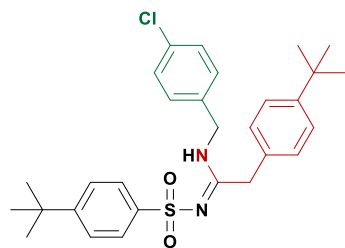
Yellow oil (74.9 mg, 85% yield, eluent: PE/EA = 1.5:1).  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.23 (t,  $J$  = 5.6 Hz, 1H), 7.62 – 7.59 (m, 2H), 7.51 – 7.47 (m, 2H), 7.36 – 7.32 (m, 2H), 7.25 – 7.22 (m, 2H), 4.33 (d,  $J$  = 5.6 Hz, 2H), 3.60 (t,  $J$  = 6.7 Hz, 2H), 2.81 – 2.77 (m, 2H), 2.07 – 1.99 (m, 2H), 1.29 (s, 9H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  167.5, 154.4, 141.2, 136.6, 131.7, 129.5, 128.3, 125.5, 44.4, 44.0, 34.7, 31.0, 30.8, 30.5. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{21}H_{26}Cl_2N_2O_2S+H^+$ : 441.1165, found: 441.1167. Anal Calcd. For.  $C_{21}H_{26}^{37}Cl_2N_2O_2S+H^+$ : 443.1135, found: 443.1144. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3393, 2965, 1654, 1553, 1269, 1148, 1087, 1025, 822, 759, 658.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-3-phenylpropanimidamide (6g)**

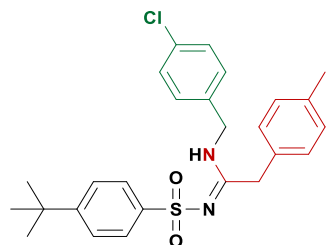
Yellow solid (39.6 mg, 42% yield, eluent: PE/EA = 2:1). mp: 97-99 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.17 (t,  $J$  = 5.6 Hz, 1H), 7.64 – 7.62 (m, 2H), 7.51 – 7.49 (m, 2H), 7.33 – 7.28 (m, 4H), 7.23 – 7.18 (m, 3H), 7.16 – 7.14 (m, 2H), 4.33 (d,  $J$  = 5.5 Hz, 2H), 2.99 – 2.89 (m, 4H), 1.29 (s, 9H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  167.6, 154.3, 141.4, 140.1, 136.5, 131.7, 129.4, 128.4,

128.25, 128.24, 126.3, 125.50, 125.48, 43.9, 35.1, 34.7, 33.3, 30.8. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{26}H_{29}ClN_2O_2S+Na^+$ : 491.1530, found: 491.1527. Anal Calcd. For.  $C_{26}H_{29}^{37}ClN_2O_2S+Na^+$ : 493.1501, found: 493.1505. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3392, 2961, 1648, 1557, 1362, 1260, 1140, 1084, 927, 763, 658.



**2-(4-(*tert*-butyl)phenyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (6h)**

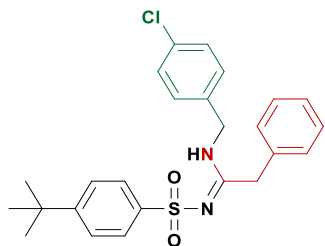
White solid (90.7 mg, 89% yield, eluent: PE/EA = 2:1). mp: 93-95 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.16 (t,  $J$  = 5.7 Hz, 1H), 7.56 – 7.52 (m, 2H), 7.45 – 7.42 (m, 2H), 7.34 – 7.30 (m, 2H), 7.29 – 7.26 (m, 2H), 7.25 – 7.22 (m, 2H), 7.21 – 7.16 (m, 2H), 4.37 (d,  $J$  = 5.4 Hz, 2H), 4.13 (s, 2H), 1.28 (s, 9H), 1.27 (s, 9H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  165.9, 154.1, 149.1, 141.2, 136.7, 132.3, 131.6, 129.5, 128.5, 128.1, 125.5, 125.3, 125.1, 44.1, 37.5, 34.6, 34.1, 31.1, 30.8. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{29}H_{35}ClN_2O_2S+H^+$ : 511.2181, found: 511.2185. Anal Calcd. For.  $C_{29}H_{35}^{37}ClN_2O_2S+H^+$ : 513.2151, found: 513.2150. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3379, 2962, 1653, 1547, 1269, 1144, 1001, 808, 658.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(*p*-tolyl)acetimidamide (6i)**

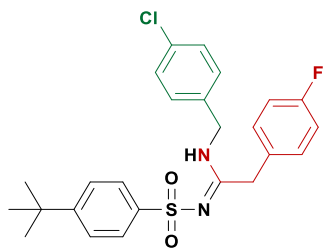
White solid (62.6 mg, 67% yield, eluent: PE/EA = 2:1). mp: 95-97 °C.  **$^1H$  NMR** (400 MHz, DMSO- $d_6$ )  $\delta$  9.11 (t,  $J$  = 5.8 Hz, 1H), 7.54 – 7.51 (m, 2H), 7.43 – 7.40 (m, 2H), 7.31 – 7.29 (m, 2H), 7.20 – 7.18 (m, 2H), 7.16 – 7.14 (m, 2H), 7.08 – 7.04 (m, 2H), 4.36 (d,  $J$  = 5.6 Hz, 2H), 4.09 (s, 2H), 2.26 (s, 3H), 1.28 (s, 9H).  **$^{13}C$  NMR** (100 MHz, DMSO- $d_6$ )  $\delta$  166.0, 154.2, 141.1, 136.7, 135.8, 132.1, 131.7, 129.5, 128.9, 128.7, 128.2, 125.4, 125.3, 44.1, 37.6, 34.6, 30.8, 20.6. **HRMS** (ESI-TOF): Anal Calcd. For.  $C_{26}H_{29}ClN_2O_2S+H^+$ : 469.1711, found: 469.1708. Anal Calcd. For.  $C_{26}H_{29}^{37}ClN_2O_2S+H^+$ : 471.1682, found: 471.1692. **IR** (neat,  $cm^{-1}$ ):  $\nu$  3322, 2964, 1647, 1542, 1399, 1260, 1146, 1087, 922, 796, 657.





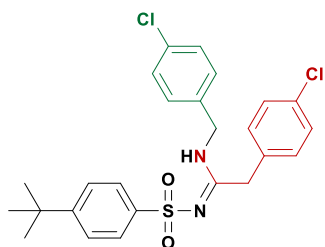
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-phenylacetimidamide (6j)**

Yellow solid (57.3 mg, 63% yield, eluent: PE/EA = 1.5:1). mp: 81-83 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.18 (t, *J* = 5.7 Hz, 1H), 7.55 – 7.53 (m, 2H), 7.44 – 7.42 (m, 2H), 7.31 – 7.29 (m, 2H), 7.28 – 7.21 (m, 5H), 7.21 – 7.19 (m, 2H), 4.38 (d, *J* = 5.6 Hz, 2H), 4.16 (s, 2H), 1.28 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 154.2, 141.1, 136.7, 135.3, 131.7, 129.5, 128.8, 128.3, 128.2, 126.7, 125.4, 125.3, 44.1, 38.0, 34.6, 30.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>27</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 455.1555, found: 455.1553. Anal Calcd. For. C<sub>25</sub>H<sub>27</sub><sup>37</sup>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 457.1525, found: 457.1528. IR (neat, cm<sup>-1</sup>): ν 3307, 2958, 1647, 1560, 1253, 1143, 1086, 1011, 796, 639.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(4-fluorophenyl)acetimidamide (6k)**

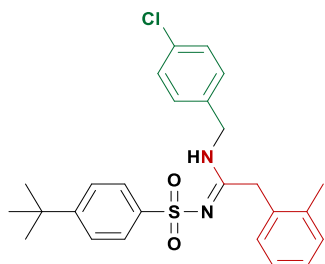
Yellow solid (62.9 mg, 67% yield, eluent: PE/EA = 1.5:1). mp: 88-90 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.23 (t, *J* = 5.9 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.42 – 7.40 (m, 2H), 7.34 – 7.30 (m, 4H), 7.22 – 7.20 (m, 2H), 7.09 – 7.05 (m, 2H), 4.40 (d, *J* = 5.5 Hz, 2H), 4.16 (s, 2H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.7, 161.2 (d, *J* = 243.2 Hz), 154.2, 141.0, 136.6, 131.8, 131.3 (d, *J* = 3.3 Hz), 130.7 (d, *J* = 8.4 Hz), 129.6, 128.2, 125.4, 125.3, 115.1 (d, *J* = 21.3 Hz), 44.2, 37.2, 34.6, 30.8. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -115.95 (s, 1F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>26</sub>ClFN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 495.1280, found: 495.1292. Anal Calcd. For. C<sub>25</sub>H<sub>26</sub><sup>37</sup>ClFN<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 497.1250, found: 497.1250. IR (neat, cm<sup>-1</sup>): ν 3342, 2968, 1557, 1440, 1260, 1143, 1083, 965, 796, 657.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(4-chlorophenyl)acetimidamide (6l)**

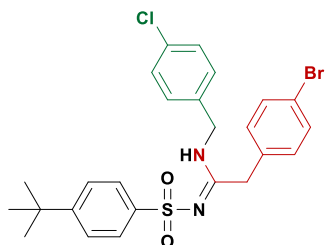
White solid (73.8 mg, 76% yield, eluent: PE/EA = 1:1). mp: 95-97 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.26 (t, *J* = 5.6 Hz, 1H), 7.52 – 7.48 (m, 2H), 7.41 – 7.38 (m, 2H), 7.34 – 7.31 (m, 2H), 7.31 –

7.28 (m, 2H), 7.27 – 7.24 (m, 2H), 7.23 – 7.21 (m, 2H), 4.39 (d,  $J = 5.2$  Hz, 2H), 4.14 (s, 2H), 1.28 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  165.3, 154.2, 140.9, 136.6, 134.1, 131.7, 131.5, 130.6, 129.6, 128.2, 125.4, 125.2, 44.2, 37.3, 34.6, 30.8. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{25}\text{H}_{26}\text{Cl}_2\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 489.1165, found: 489.1147. Anal Calcd. For.  $\text{C}_{25}\text{H}_{26}^{37}\text{ClClN}_2\text{O}_2\text{S}+\text{H}^+$ : 491.1135, found: 491.1146. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3335, 2971, 1648, 1558, 1490, 1273, 1148, 1024, 799, 660.



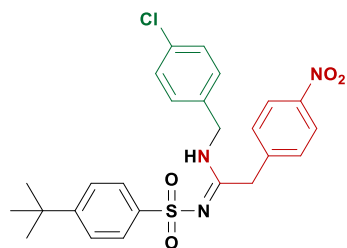
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(*o*-tolyl)acetimidamide (6m)**

White solid (50.6 mg, 54% yield, eluent: PE/EA = 2:1). mp: 115-117 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.75 (t,  $J = 5.8$  Hz, 1H), 7.55 – 7.53 (m, 2H), 7.43 – 7.41 (m, 2H), 7.35 – 7.33 (m, 2H), 7.25 – 7.23 (m, 2H), 7.17 – 7.11 (m, 2H), 7.04 – 7.01 (m, 1H), 6.91 – 6.89 (m, 1H), 4.40 (d,  $J = 5.7$  Hz, 2H), 4.07 (s, 2H), 2.12 (s, 3H), 1.28 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  166.1, 154.2, 140.8, 136.8, 136.1, 133.3, 131.7, 129.9, 129.7, 128.23, 128.16, 126.9, 126.0, 125.6, 125.3, 44.2, 36.2, 34.6, 30.8, 19.0. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{26}\text{H}_{29}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 469.1711, found: 469.1714. Anal Calcd. For.  $\text{C}_{26}\text{H}_{29}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 471.1682, found: 471.1689. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3393, 2962, 1652, 1556, 1265, 1141, 1024, 827, 737, 640.



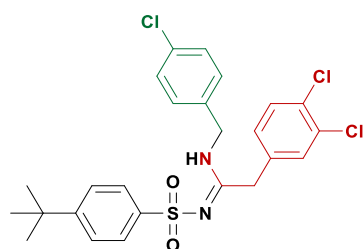
**2-(4-bromophenyl)-*N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)acetimidamide (6n)**

Yellow solid (93.1 mg, 87% yield, eluent: PE/EA = 2:1). mp: 128-130 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  9.26 (t,  $J = 5.7$  Hz, 1H), 7.52 – 7.50 (m, 2H), 7.45 – 7.42 (m, 2H), 7.41 – 7.39 (m, 2H), 7.34 – 7.32 (m, 2H), 7.24 – 7.21 (m, 2H), 7.21 – 7.19 (m, 2H), 4.40 (d,  $J = 5.6$  Hz, 2H), 4.13 (s, 2H), 1.28 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  165.2, 154.2, 140.9, 136.5, 134.5, 131.7, 131.1, 130.9, 129.6, 128.2, 125.4, 125.2, 119.9, 44.2, 37.4, 34.6, 30.8. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{25}\text{H}_{26}\text{BrClN}_2\text{O}_2\text{S}+\text{Na}^+$ : 555.0479, found: 555.0496. Anal Calcd. For.  $\text{C}_{25}\text{H}_{26}\text{Br}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{Na}^+$ : 557.0459, found: 557.0446. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3371, 2964, 1556, 1426, 1249, 1140, 1009, 793, 655.



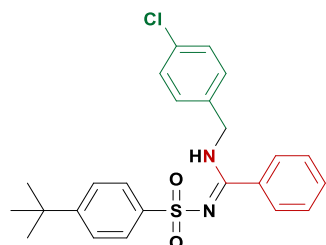
***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(4-nitrophenyl)acetimidamide (6o)**

Yellow solid (49.6 mg, 50% yield, eluent: PE/EA = 1:1). mp: 100-102 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.43 (t, *J* = 5.6 Hz, 1H), 8.11 – 8.08 (m, 2H), 7.47 – 7.45 (m, 2H), 7.45 – 7.43 (m, 2H), 7.38 – 7.36 (m, 2H), 7.36 – 7.33 (m, 2H), 7.28 – 7.25 (m, 2H), 4.43 (d, *J* = 5.5 Hz, 2H), 4.27 (s, 2H), 1.24 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.4, 154.3, 146.3, 143.1, 140.7, 136.4, 131.8, 129.8, 129.7, 128.3, 125.4, 125.2, 123.3, 44.3, 37.9, 34.6, 30.7. HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>26</sub>ClN<sub>3</sub>O<sub>4</sub>S+H<sup>+</sup>: 500.1405, found: 500.1417. Anal Calcd. For. C<sub>25</sub>H<sub>26</sub><sup>37</sup>ClN<sub>3</sub>O<sub>4</sub>S+H<sup>+</sup>: 502.1376, found: 502.1384. IR (neat, cm<sup>-1</sup>): ν 3234, 2964, 1647, 1559, 1434, 1346, 1270, 1148, 1022, 801, 652.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)-2-(3,4-dichlorophenyl)acetimidamide (6p)**

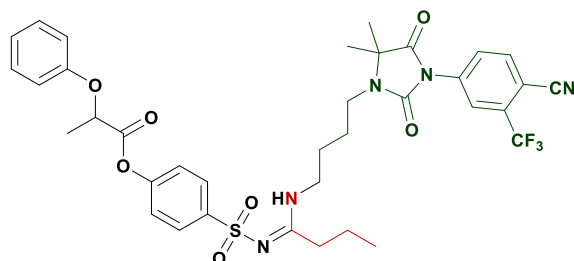
White solid (76.7 mg, 73% yield, eluent: PE/EA = 1.5:1). mp: 103-105 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.32 (t, *J* = 5.7 Hz, 1H), 7.51 – 7.49 (m, 1H), 7.47 – 7.45 (m, 2H), 7.39 – 7.37 (m, 2H), 7.35 – 7.32 (m, 3H), 7.24 – 7.20 (m, 3H), 4.40 (d, *J* = 5.6 Hz, 2H), 4.13 (s, 2H), 1.27 (s, 9H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 164.7, 154.3, 140.7, 136.5, 136.1, 131.8, 130.8, 130.6, 130.3, 129.6, 129.4, 129.1, 128.3, 125.3, 125.2, 44.2, 36.9, 34.6, 30.8. HRMS (ESI-TOF): Anal Calcd. For. C<sub>25</sub>H<sub>25</sub>Cl<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 545.0595, found: 545.0576. Anal Calcd. For. C<sub>25</sub>H<sub>25</sub><sup>37</sup>Cl<sub>3</sub>N<sub>2</sub>O<sub>2</sub>S+Na<sup>+</sup>: 547.0565, found: 547.0541. IR (neat, cm<sup>-1</sup>): ν 3309, 2963, 1541, 1402, 1260, 1145, 1083, 1013, 795, 642.



***N'*-((4-(*tert*-butyl)phenyl)sulfonyl)-*N*-(4-chlorobenzyl)benzimidamide (6q)**

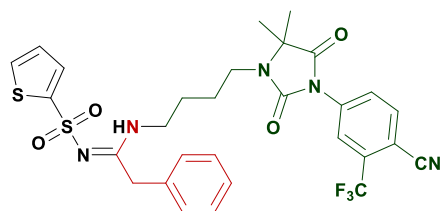
White solid (74.6 mg, 85% yield, eluent: PE/EA = 1.5:1). mp: 105-107 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.38 (t, *J* = 5.1 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.44 – 7.41 (m, 4H), 7.40 – 7.39 (m, 4H),

7.36 – 7.33 (m, 2H), 7.30 – 7.27 (m, 2H), 4.46 (d,  $J = 5.3$  Hz, 2H), 1.28 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  165.2, 154.1, 141.2, 136.7, 134.0, 131.6, 130.5, 129.3, 128.3, 127.9, 127.8, 125.5, 125.2, 44.4, 34.6, 30.9. HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{24}\text{H}_{25}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 441.1398, found: 441.1397. Anal Calcd. For.  $\text{C}_{24}\text{H}_{25}^{37}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 443.1369, found: 443.1367. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3298, 2958, 1647, 1543, 1343, 1283, 1083, 1012, 780, 654.



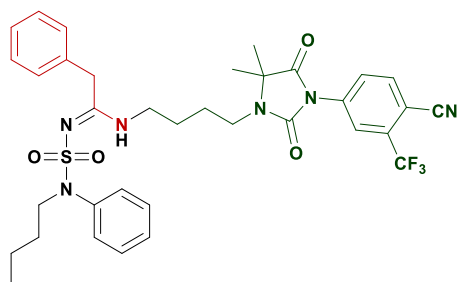
**4-(N-(1-((4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioximidazolidin-1-yl)butyl)amino)butylidene)sulfamoyl)phenyl 2-phenoxypropanoate (7a)**

White oil (113.3 mg, 76% yield, eluent: PE/EA = 1:2).  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.71 (t,  $J = 5.4$  Hz, 1H), 8.29 – 8.27 (m, 1H), 8.20 – 8.19 (m, 1H), 8.05 – 8.03 (m, 1H), 7.90 – 7.86 (m, 2H), 7.34 – 7.30 (m, 2H), 7.30 – 7.25 (m, 2H), 7.03 – 7.00 (m, 2H), 7.00 – 6.97 (m, 1H), 5.28 (q,  $J = 6.8$  Hz, 1H), 3.29 (t,  $J = 7.2$  Hz, 2H), 3.23 – 3.19 (m, 2H), 2.64 – 2.60 (m, 2H), 1.69 (d,  $J = 6.8$  Hz, 3H), 1.64 – 1.51 (m, 6H), 1.43 (s, 6H), 0.88 (t,  $J = 7.3$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  174.7, 170.2, 168.6, 157.1, 152.6, 151.8, 142.5, 136.9, 136.0, 131.1 (q,  $J = 32.0$  Hz), 129.8, 129.6, 127.5, 123.9 (q,  $J = 4.3$  Hz), 122.2 (q,  $J = 273.7$  Hz), 122.0, 121.5, 115.2, 115.0, 106.6, 71.5, 61.6, 40.7, 39.1, 35.1, 26.3, 25.2, 22.5, 21.1, 18.1, 13.6.  $^{19}\text{F}$  NMR (376 MHz, DMSO- $d_6$ )  $\delta$  -60.97 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{36}\text{H}_{38}\text{F}_3\text{N}_5\text{O}_7\text{S}+\text{H}^+$ : 742.2517, found: 742.2517. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3257, 2966, 1719, 1555, 1410, 1313, 1140, 1007, 758, 693.



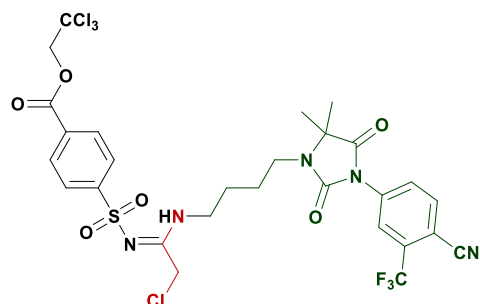
**N-(4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioximidazolidin-1-yl)butyl)-2-phenyl-N'-(thiophen-2-ylsulfonyl)acetimidamide (7b)**

Yellow oil (100.9 mg, 80% yield, eluent: PE/EA = 1:2).  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.92 (t,  $J = 5.5$  Hz, 1H), 8.31 – 8.29 (m, 1H), 8.20 – 8.19 (m, 1H), 8.06 – 8.03 (m, 1H), 7.73 – 7.71 (m, 1H), 7.38 – 7.37 (m, 1H), 7.30 – 7.26 (m, 4H), 7.24 – 7.19 (m, 1H), 7.01 – 6.98 (m, 1H), 4.09 (s, 2H), 3.33 – 3.28 (m, 4H), 1.63 – 1.58 (m, 4H), 1.43 (s, 6H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  174.7, 165.9, 152.5, 146.0, 136.8, 136.0, 135.3, 131.1 (q,  $J = 32.5$  Hz), 130.8, 129.8, 129.2, 128.6, 128.4, 126.9, 126.7, 123.9 (q,  $J = 4.8$  Hz), 122.3 (q,  $J = 273.6$  Hz), 115.2, 106.6 (d,  $J = 2.3$  Hz), 61.6, 41.0, 39.1, 38.2, 26.4, 25.2, 22.5.  $^{19}\text{F}$  NMR (376 MHz, DMSO- $d_6$ )  $\delta$  -60.93 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For.  $\text{C}_{29}\text{H}_{28}\text{F}_3\text{N}_5\text{O}_4\text{S}_2+\text{Na}^+$ : 654.1427, found: 654.1432. IR (neat,  $\text{cm}^{-1}$ ):  $\nu$  3251, 2937, 1718, 1558, 1409, 1313, 1132, 1023, 727, 617.



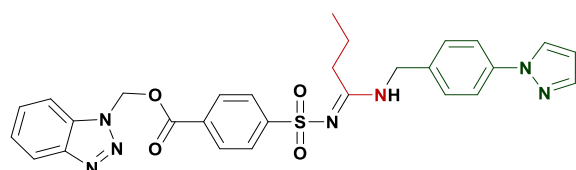
***N'*-(*N*-butyl-*N*-phenylsulfamoyl)-*N*-(4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioxoimidazolidin-1-yl)butyl)-2-phenylacetimidamide (7c)**

Yellow oil (115.4 mg, 83% yield, eluent: PE/EA = 1:1.5).  $^1\text{H NMR}$  (400 MHz,  $\text{DMSO-}d_6$ )  $\delta$  8.42 (t,  $J = 5.5$  Hz, 1H), 8.30 – 8.28 (m, 1H), 8.22 – 8.21 (m, 1H), 8.07 – 8.04 (m, 1H), 7.39 – 7.37 (m, 2H), 7.36 – 7.34 (m, 2H), 7.27 – 7.25 (m, 4H), 7.24 – 7.22 (m, 1H), 7.21 – 7.17 (m, 1H), 3.88 (s, 2H), 3.52 (t,  $J = 6.6$  Hz, 2H), 3.36 – 3.27 (m, 4H), 1.67 – 1.55 (m, 4H), 1.45 (s, 6H), 1.29 – 1.20 (m, 4H), 0.78 (t,  $J = 7.0$  Hz, 3H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{DMSO-}d_6$ )  $\delta$  174.6, 165.6, 152.5, 141.5, 136.9, 136.0, 135.7, 131.1 (q,  $J = 32.5$  Hz), 129.7, 128.7, 128.5, 128.2, 128.0, 126.7, 126.5, 123.8 (q,  $J = 4.7$  Hz), 122.2 (q,  $J = 273.7$  Hz), 115.2, 106.6, 61.6, 49.7, 40.8, 39.2, 38.0, 29.6, 26.6, 25.3, 22.5, 19.2, 13.4.  $^{19}\text{F NMR}$  (376 MHz,  $\text{DMSO-}d_6$ )  $\delta$  -61.00 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{35}\text{H}_{39}\text{F}_3\text{N}_6\text{O}_4\text{S}+\text{Na}^+$ : 719.2598, found: 719.2574. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3263, 2934, 1720, 1562, 1409, 1312, 1130, 1026, 889, 697, 628.



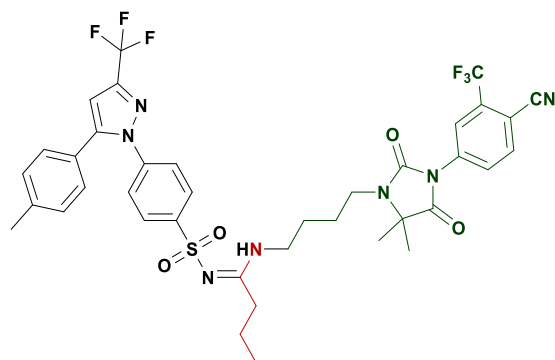
**2,2,2-trichloroethyl 4-(*N*-(2-chloro-1-((4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioxoimidazolidin-1-yl)butyl)amino)ethylidene)sulfamoyl)benzoate (7d)**

Yellow oil (67.7 mg, 45% yield, eluent: PE/EA = 1:2).  $^1\text{H NMR}$  (400 MHz,  $\text{DMSO-}d_6$ )  $\delta$  9.34 (t,  $J = 5.5$  Hz, 1H), 8.31 – 8.28 (m, 1H), 8.17 – 8.14 (m, 3H), 8.05 – 8.01 (m, 3H), 5.15 (s, 2H), 4.64 (s, 2H), 3.29 – 3.24 (m, 4H), 1.61 – 1.52 (m, 4H), 1.41 (s, 6H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{DMSO-}d_6$ )  $\delta$  174.6, 163.2, 162.1, 152.6, 148.4, 136.8, 136.0, 131.1 (q,  $J = 32.3$  Hz), 130.8, 130.1, 129.8, 126.5, 123.9 (q,  $J = 4.9$  Hz), 122.2 (q,  $J = 273.7$  Hz), 115.2, 106.7, 95.1, 73.9, 61.6, 41.2, 39.0, 38.8, 26.2, 24.9, 22.5.  $^{19}\text{F NMR}$  (376 MHz,  $\text{DMSO-}d_6$ )  $\delta$  -60.93 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For.  $\text{C}_{28}\text{H}_{26}\text{Cl}_4\text{F}_3\text{N}_5\text{O}_6\text{S}+\text{Na}^+$ : 780.0202, found: 780.0218. Anal Calcd. For.  $\text{C}_{28}\text{H}_{26}^{37}\text{ClCl}_3\text{F}_3\text{N}_5\text{O}_6\text{S}+\text{Na}^+$ : 782.0173, found: 782.0163. **IR** (neat,  $\text{cm}^{-1}$ ):  $\nu$  3331, 2923, 1719, 1576, 1410, 1261, 1142, 1025, 762, 651.



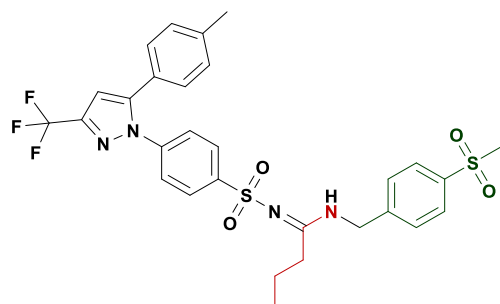
**(1*H*-benzo[*d*][1,2,3]triazol-1-yl)methyl-4-(*N*-(1-((4-(1*H*-pyrazol-1-yl)benzyl)amino)butylidene)sulfamoyl)benzoate (7e)**

White solid (83.0 mg, 74% yield, eluent: PE/EA = 1:2). mp: 70-72 °C. <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.32 (t, *J* = 5.6 Hz, 1H), 8.43 – 8.42 (m, 1H), 8.13 – 8.11 (m, 1H), 8.07 – 8.02 (m, 3H), 7.84 – 7.82 (m, 2H), 7.75 – 7.71 (m, 3H), 7.68 – 7.64 (m, 1H), 7.50 – 7.46 (m, 1H), 7.31 – 7.29 (m, 2H), 7.00 – 6.96 (m, 2H), 6.53 – 6.51 (m, 1H), 4.37 (d, *J* = 5.5 Hz, 2H), 2.67 (t, *J* = 7.6 Hz, 2H), 1.69 – 1.59 (m, 2H), 0.87 (t, *J* = 7.4 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 168.9, 163.9, 148.8, 145.3, 140.9, 138.8, 135.3, 132.8, 130.7, 130.1, 128.7, 128.4, 127.6, 126.1, 124.7, 119.4, 118.3, 111.0, 107.8, 69.4, 44.2, 35.1, 21.0, 13.6. HRMS (ESI-TOF): Anal Calcd. For. C<sub>28</sub>H<sub>27</sub>N<sub>7</sub>O<sub>4</sub>S+Na<sup>+</sup>: 580.1737, found: 580.1733. IR (neat, cm<sup>-1</sup>): ν 3392, 2964, 1735, 1559, 1262, 1147, 1023, 822, 743, 651.



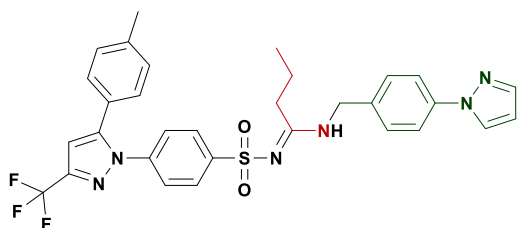
***N*-(4-(3-(4-cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-2,4-dioxoimidazolidin-1-yl)butyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)butyrimidamide (7f)**

Colorless oil (151.3 mg, 94% yield, eluent: PE/EA = 1:2). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 8.78 (t, *J* = 5.4 Hz, 1H), 8.28 – 8.26 (m, 1H), 8.19 – 8.18 (m, 1H), 8.04 – 8.02 (m, 1H), 7.89 – 7.87 (m, 2H), 7.49 – 7.47 (m, 2H), 7.20 – 7.16 (m, 4H), 7.14 – 7.13 (m, 1H), 3.30 (t, *J* = 7.1 Hz, 2H), 3.23 (q, *J* = 6.2 Hz, 2H), 2.65 – 2.61 (m, 2H), 2.29 (s, 3H), 1.66 – 1.53 (m, 6H), 1.44 (s, 6H), 0.88 (t, *J* = 7.3 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 174.6, 168.8, 152.5, 145.1, 144.5, 142.2 (q, *J* = 37.3 Hz), 140.8, 139.0, 136.8, 135.9, 131.1 (q, *J* = 32.3 Hz), 129.7, 129.3, 128.7, 126.8, 125.8, 125.3, 123.8 (q, *J* = 4.5 Hz), 122.2 (q, *J* = 273.6 Hz), 121.3 (q, *J* = 268.6 Hz), 115.2, 106.6, 105.9, 61.6, 40.7, 39.1, 35.1, 26.3, 25.2, 22.5, 21.0, 20.7, 13.5. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -61.03 (s, 3F), -61.07 (s, 3F). HRMS (ESI-TOF): Anal Calcd. For. C<sub>38</sub>H<sub>37</sub>F<sub>6</sub>N<sub>7</sub>O<sub>4</sub>S+H<sup>+</sup>: 802.2605, found: 802.2597. IR (neat, cm<sup>-1</sup>): ν 3254, 2964, 1720, 1553, 1410, 1271, 1134, 1026, 820, 762, 661.



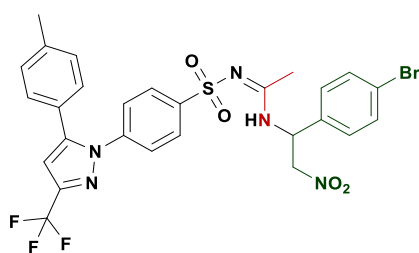
***N*-(4-(methylsulfonyl)benzyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)butyrimidamide (7g)**

White oil (104.4 mg, 84% yield, eluent: PE/EA = 1:2). **<sup>1</sup>H NMR** (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.36 (t, *J* = 5.7 Hz, 1H), 7.86 – 7.84 (m, 2H), 7.73 – 7.71 (m, 2H), 7.48 – 7.46 (m, 2H), 7.46 – 7.44 (m, 2H), 7.22 – 7.18 (m, 4H), 7.18 – 7.17 (m, 1H), 4.48 (d, *J* = 5.6 Hz, 2H), 3.17 (s, 3H), 2.73 – 2.69 (m, 2H), 2.30 (s, 3H), 1.71 – 1.61 (m, 2H), 0.90 (t, *J* = 7.3 Hz, 3H). **<sup>13</sup>C NMR** (100 MHz, DMSO-*d*<sub>6</sub>) δ 169.1, 145.2, 144.0, 143.7, 142.2 (q, *J* = 37.7 Hz), 140.9, 139.7, 139.1, 129.4, 128.7, 128.3, 127.1, 126.8, 125.8, 125.4, 121.3 (q, *J* = 268.9 Hz), 106.0, 44.2, 43.5, 35.0, 20.9, 20.8, 13.6. **<sup>19</sup>F NMR** (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.90 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>29</sub>H<sub>29</sub>F<sub>3</sub>N<sub>4</sub>O<sub>4</sub>S<sub>2</sub>+H<sup>+</sup>: 619.1655, found: 619.1646. **IR** (neat, cm<sup>-1</sup>): ν 3252, 2966, 1548, 1471, 1373, 1202, 1145, 1007, 762, 657.



***N*-(4-(1*H*-pyrazol-1-yl)benzyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)butyrimidamide (7h)**

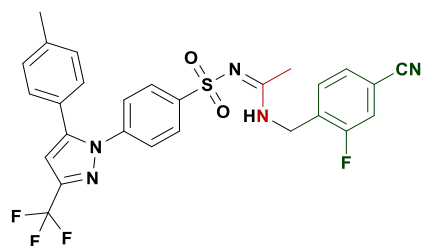
White oil (94.1 mg, 78% yield, eluent: PE/EA = 1:1.5). **<sup>1</sup>H NMR** (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.31 (t, *J* = 5.7 Hz, 1H), 8.41 – 8.40 (m, 1H), 7.80 – 7.77 (m, 2H), 7.76 – 7.73 (m, 2H), 7.71 – 7.70 (m, 1H), 7.47 – 7.44 (m, 2H), 7.33 – 7.31 (m, 2H), 7.17 – 7.15 (m, 4H), 7.15 – 7.14 (m, 1H), 6.51 – 6.49 (m, 1H), 4.40 (d, *J* = 5.5 Hz, 2H), 2.73 – 2.69 (m, 2H), 2.26 (s, 3H), 1.71 – 1.61 (m, 2H), 0.90 (t, *J* = 7.3 Hz, 3H). **<sup>13</sup>C NMR** (100 MHz, DMSO-*d*<sub>6</sub>) δ 168.7, 145.2, 144.2, 142.2 (q, *J* = 37.8 Hz), 140.84, 140.79, 139.0, 138.8, 135.5, 129.3, 128.8, 128.7, 127.5, 126.8, 125.8, 125.3, 121.3 (q, *J* = 268.8 Hz), 118.3, 107.7, 106.0, 44.2, 35.0, 21.0, 20.7, 13.6. **<sup>19</sup>F NMR** (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.89 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>31</sub>H<sub>29</sub>F<sub>3</sub>N<sub>6</sub>O<sub>2</sub>S+Na<sup>+</sup>: 629.1917, found: 629.1911. **IR** (neat, cm<sup>-1</sup>): ν 3253, 2964, 1550, 1471, 1372, 1236, 1094, 975, 762, 656.



***N*-(1-(4-bromophenyl)-2-nitroethyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)acetimidamide (7i)**

White solid (61.6 mg, 47% yield, eluent: PE/EA = 1:1). mp: 110-112 °C. **<sup>1</sup>H NMR** (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.56 (d, *J* = 7.4 Hz, 1H), 7.71 – 7.69 (m, 2H), 7.51 – 7.46 (m, 4H), 7.31 – 7.29 (m, 2H), 7.24 – 7.18 (m, 4H), 7.18 – 7.14 (m, 1H), 5.67 – 5.63 (m, 1H), 5.04 – 4.93 (m, 2H), 2.33 (s, 3H), 2.31 (s, 3H). **<sup>13</sup>C NMR** (100 MHz, DMSO-*d*<sub>6</sub>) δ 165.8, 145.2, 143.3, 142.3 (q, *J* = 38.3 Hz), 141.0, 139.1, 136.1, 131.6, 129.4, 129.3, 128.7, 126.8, 125.7, 125.4, 121.5, 121.3 (q, *J* = 268.4 Hz), 106.0, 76.7, 52.2, 20.8, 20.1. **<sup>19</sup>F NMR** (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.95 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>27</sub>H<sub>23</sub>BrF<sub>3</sub>N<sub>5</sub>O<sub>4</sub>S+H<sup>+</sup>: 650.0679, found: 650.0660. Anal Calcd. For.

C<sub>27</sub>H<sub>23</sub><sup>81</sup>BrF<sub>3</sub>N<sub>5</sub>O<sub>4</sub>S+H<sup>+</sup>: 652.0659, found: 652.0656. **IR** (neat, cm<sup>-1</sup>): ν 3239, 2921, 1552, 1376, 1236, 1150, 1024, 768, 672.



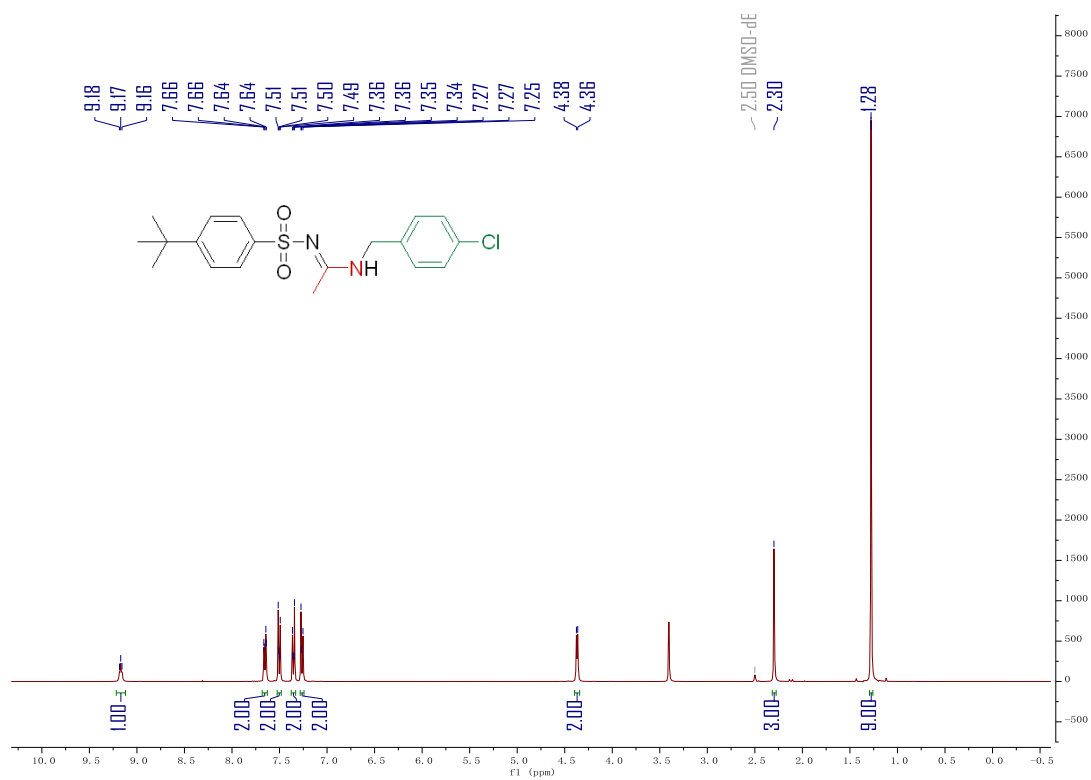
***N*-(4-cyano-2-fluorobenzyl)-*N'*-((4-(5-(*p*-tolyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl)phenyl)sulfonyl)acetimidamide (7j)**

Colorless liquid (98.5 mg, 89% yield, eluent: PE/EA = 1:2). <sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 9.41 (t, *J* = 5.5 Hz, 1H), 7.78 – 7.74 (m, 3H), 7.60 – 7.57 (m, 1H), 7.50 – 7.47 (m, 2H), 7.47 – 7.41 (m, 1H), 7.21 – 7.19 (m, 4H), 7.17 – 7.16 (m, 1H), 4.46 (d, *J* = 5.5 Hz, 2H), 2.33 (s, 3H), 2.29 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 166.2, 159.6 (d, *J* = 248.7 Hz), 145.2, 143.5, 142.2 (q, *J* = 37.9 Hz), 141.0, 139.1, 131.2 (d, *J* = 4.6 Hz), 130.5 (d, *J* = 14.7 Hz), 129.4, 128.7, 128.5 (d, *J* = 3.6 Hz), 126.9, 125.8, 125.4, 121.3 (q, *J* = 269.0 Hz), 119.2 (d, *J* = 25.4 Hz), 117.5 (d, *J* = 2.7 Hz), 111.7 (d, *J* = 10.0 Hz), 106.0, 38.7 (d, *J* = 3.6 Hz), 20.8, 19.9. <sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) δ -60.96 (s, 3F), -115.20 (s, 1F). **HRMS** (ESI-TOF): Anal Calcd. For. C<sub>27</sub>H<sub>21</sub>F<sub>4</sub>N<sub>5</sub>O<sub>2</sub>S+H<sup>+</sup>: 556.1425, found: 556.1405. **IR** (neat, cm<sup>-1</sup>): ν 3240, 2919, 1553, 1417, 1237, 1151, 1025, 819, 765, 662.

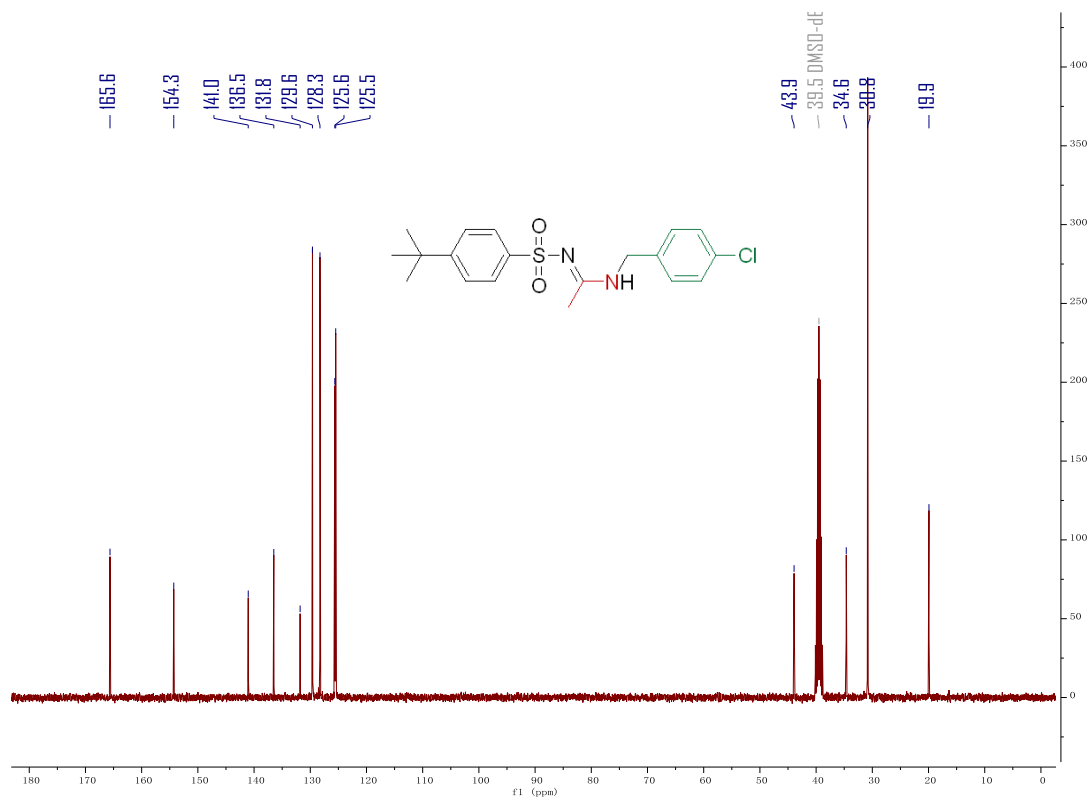


## NMR spectra

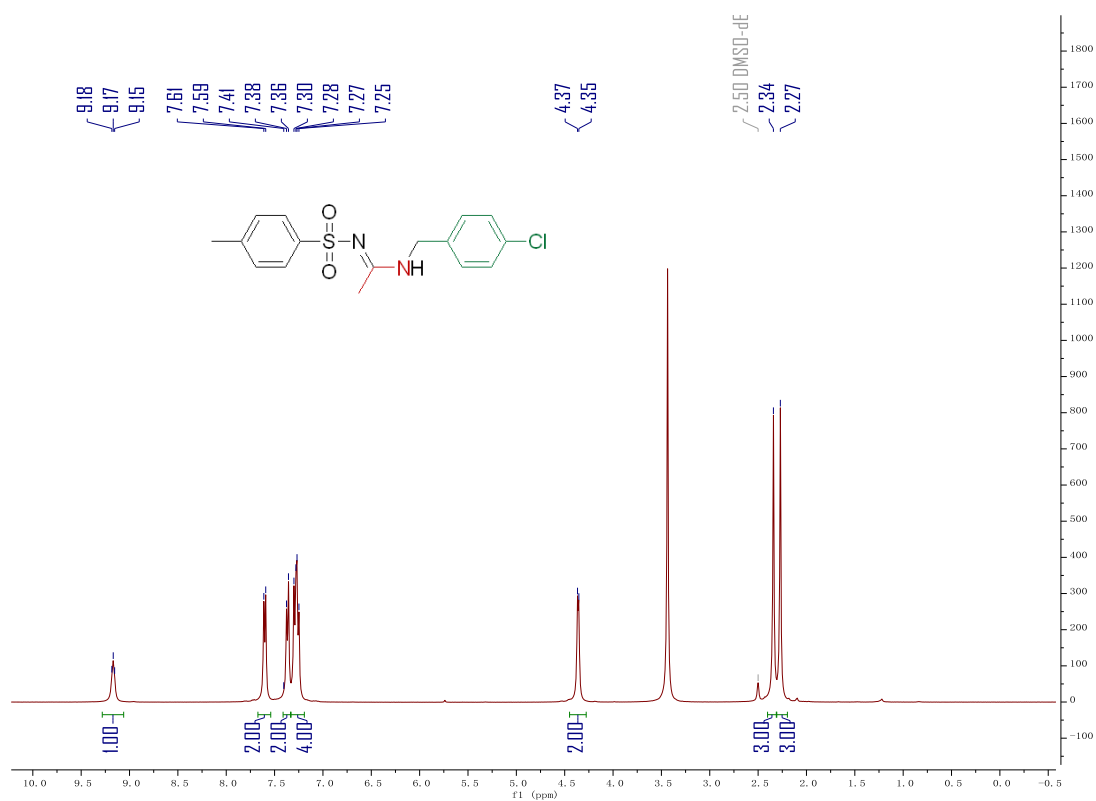
$^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) of compound **4a**



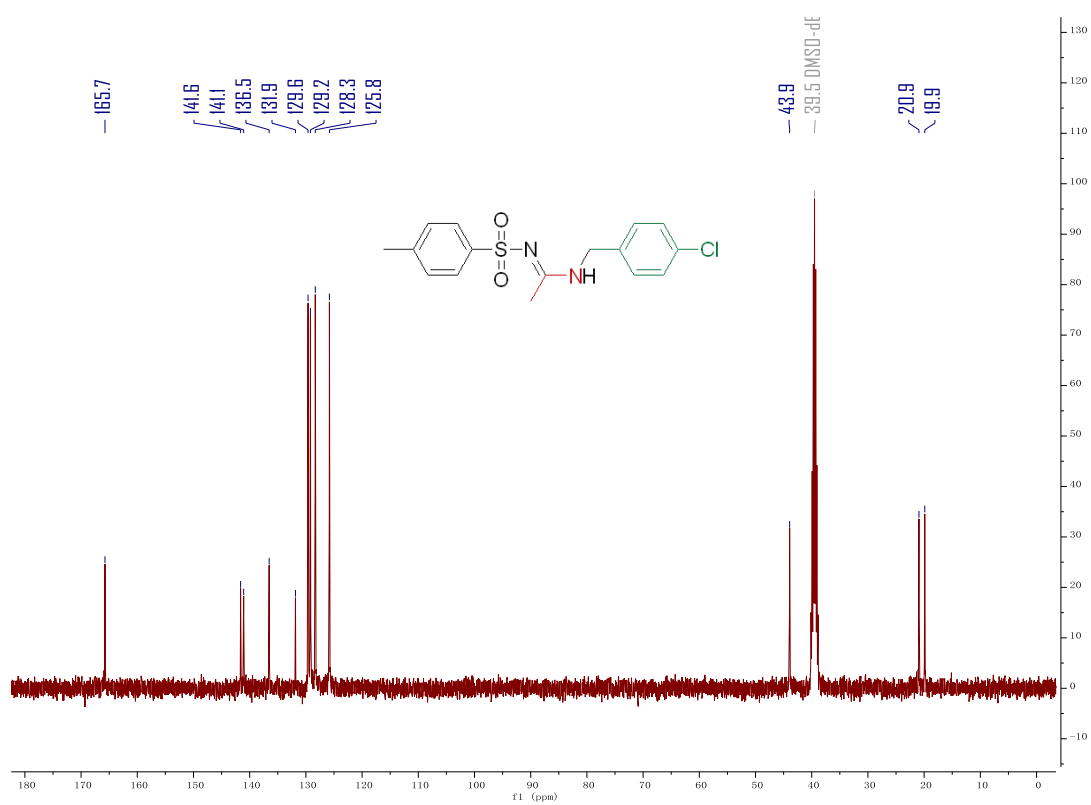
$^{13}\text{C}$  NMR (100 MHz,  $\text{DMSO-}d_6$ ) of compound **4a**



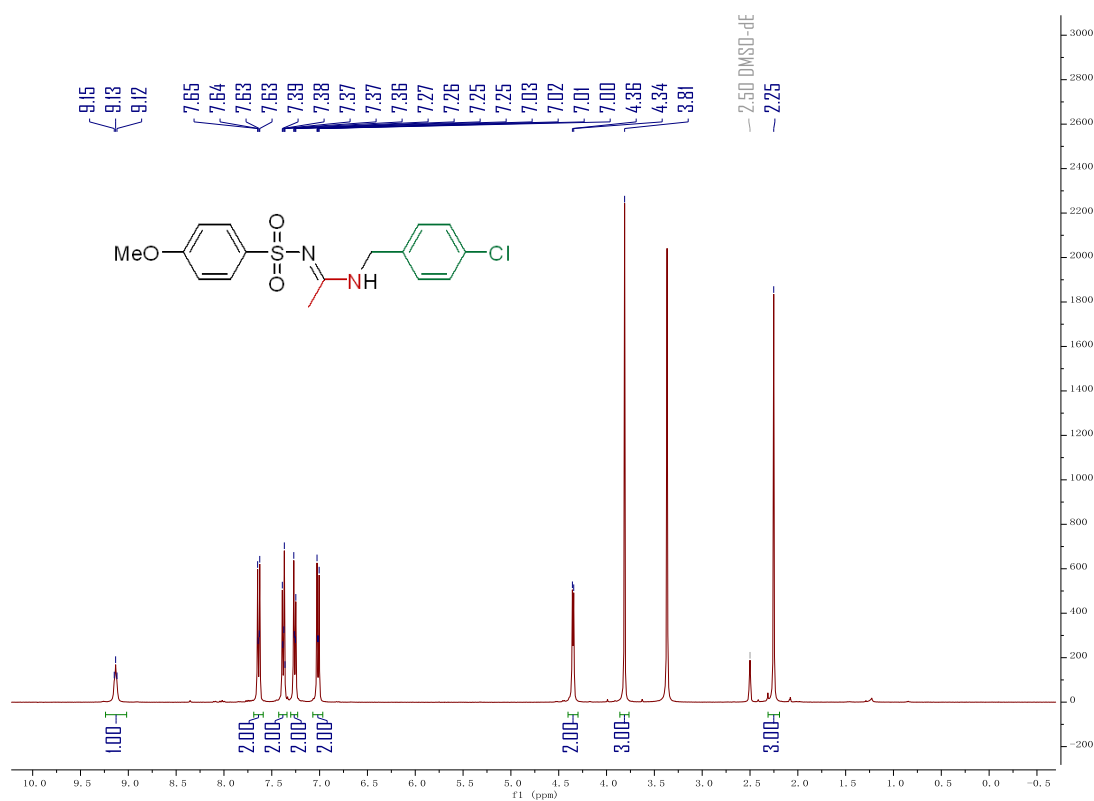
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4b**



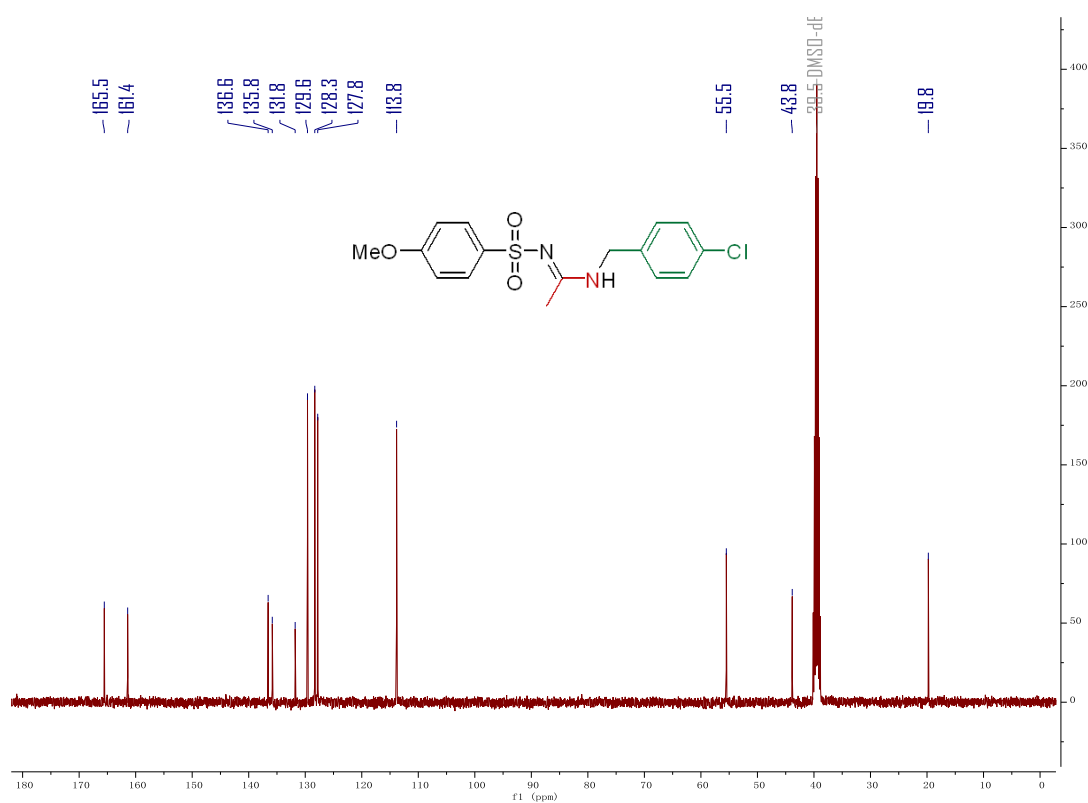
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4b**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4c**

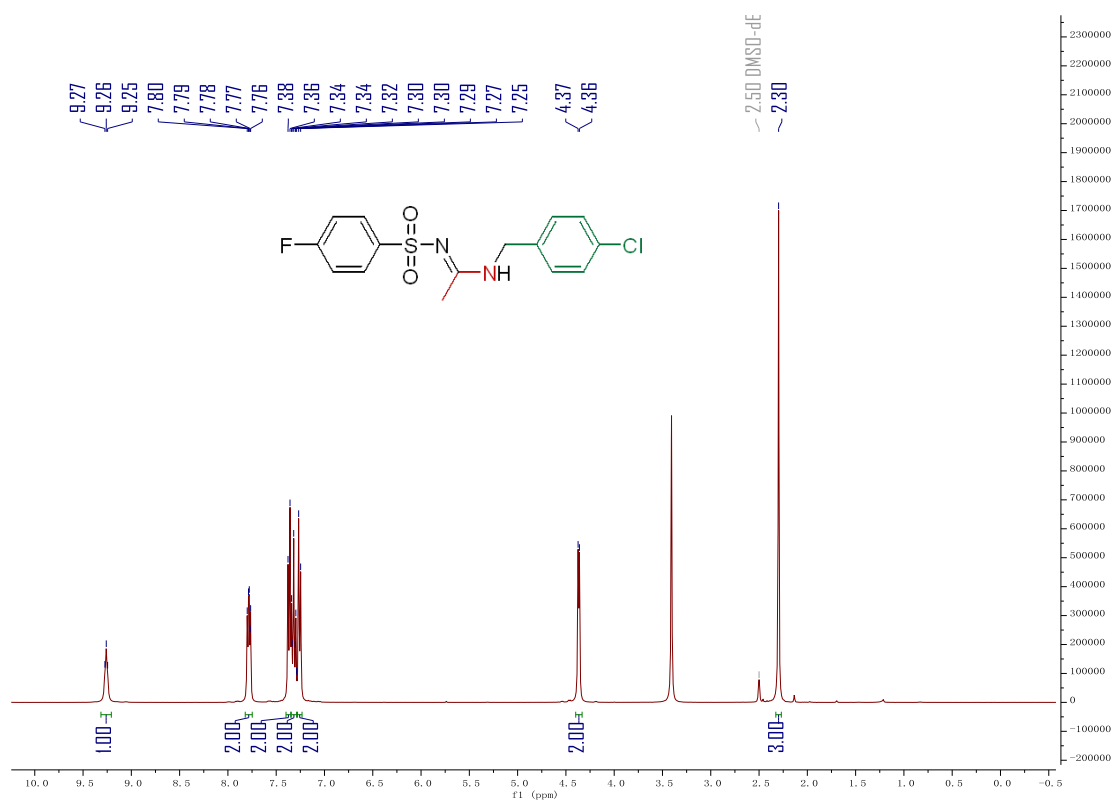


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4c**

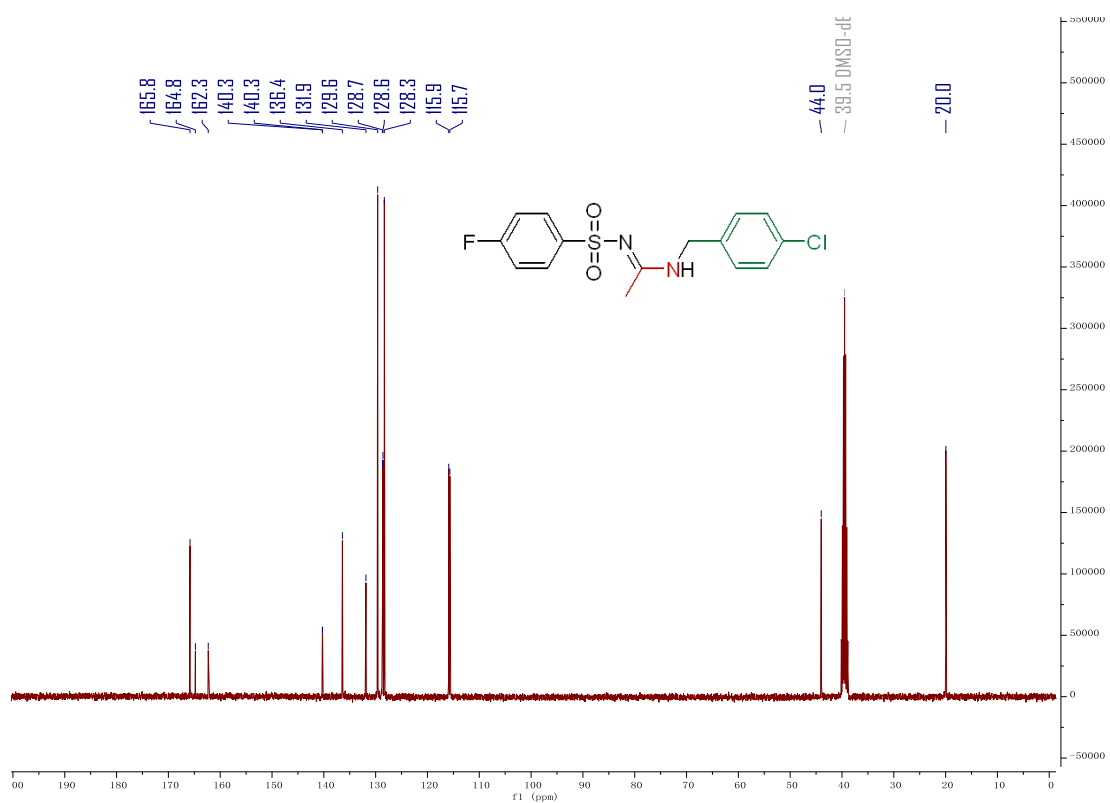




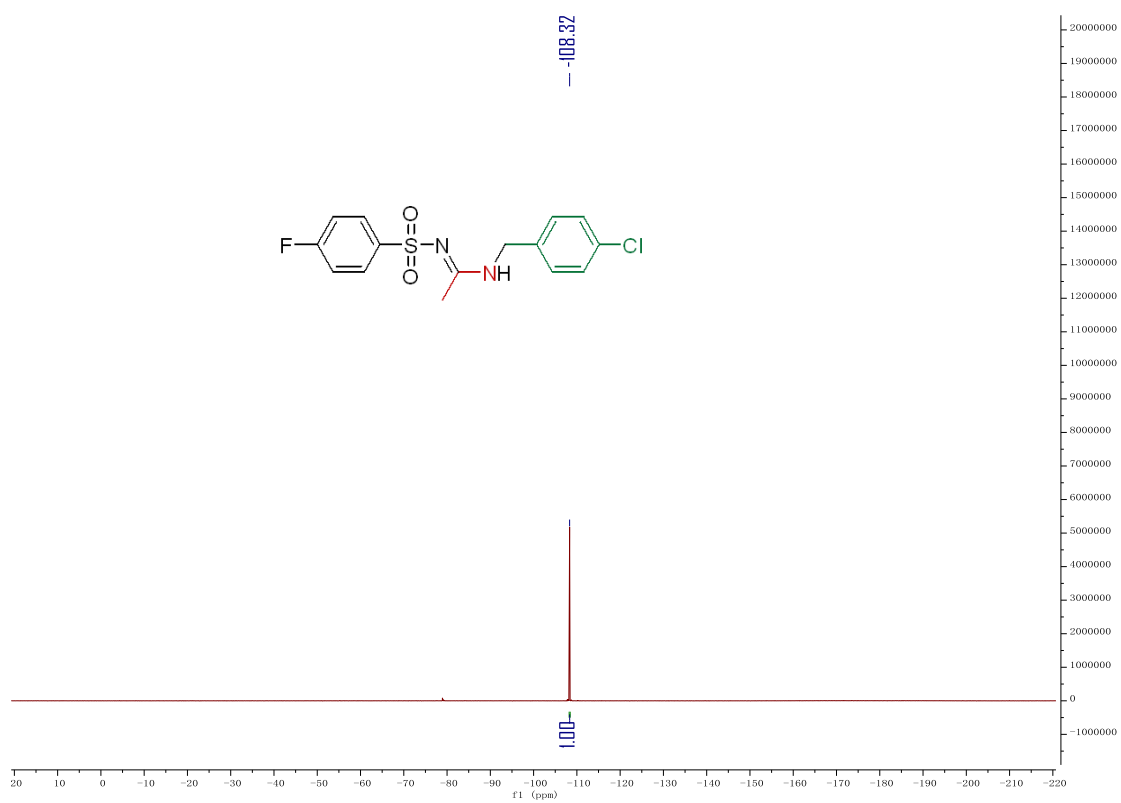
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound 4e



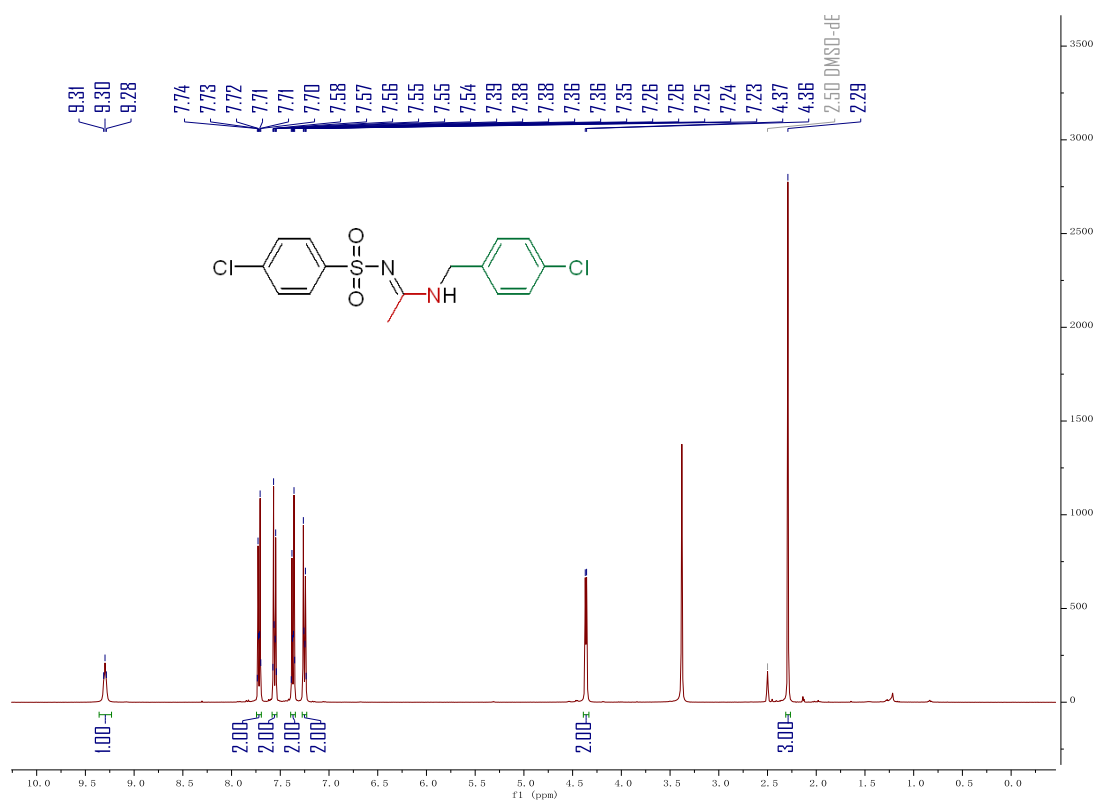
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound 4e



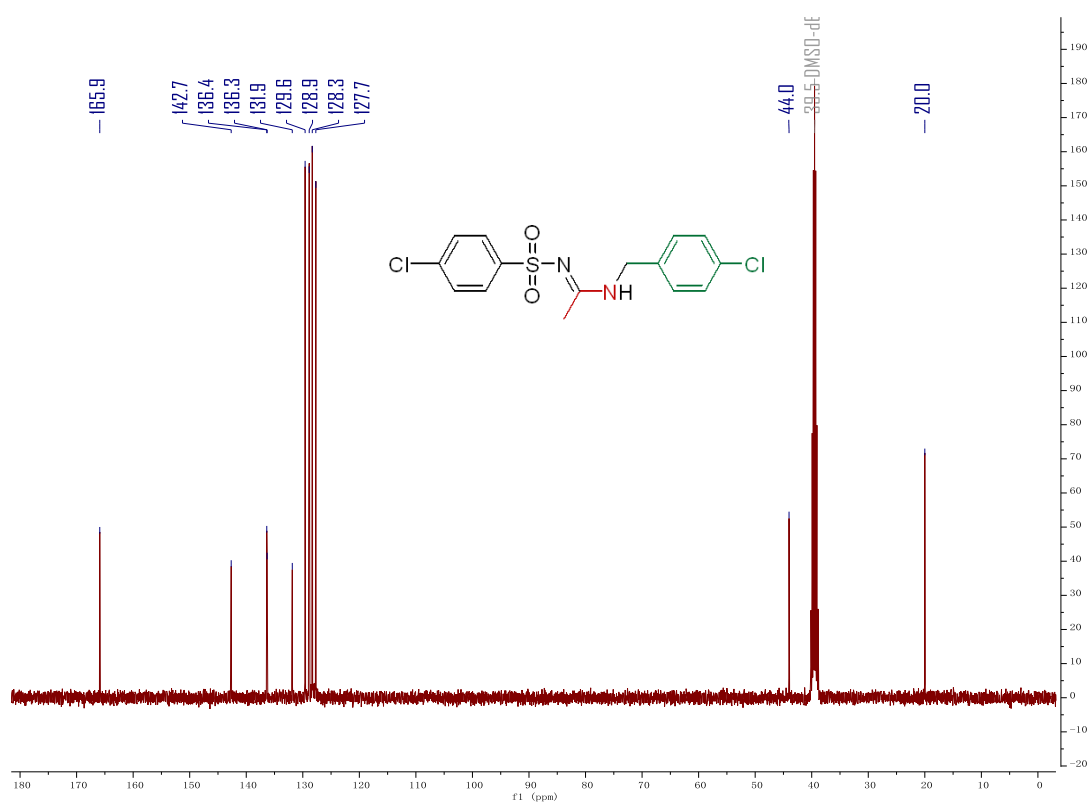
<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **4e**



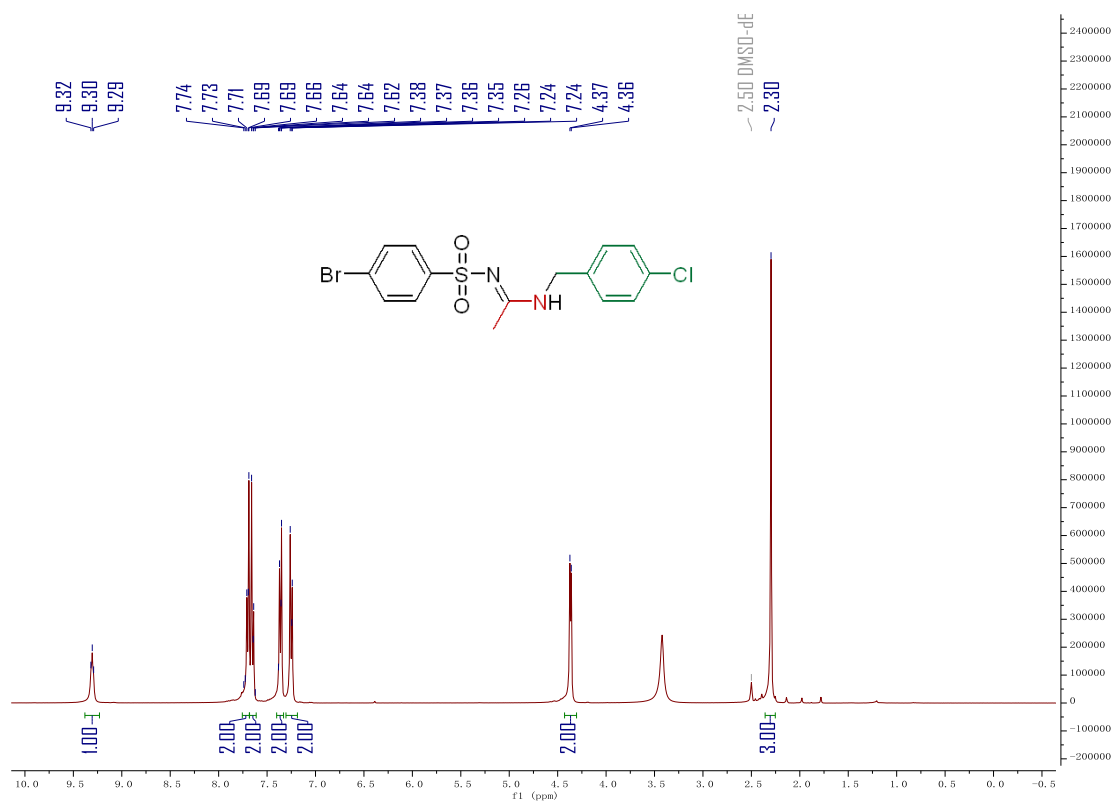
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4f**



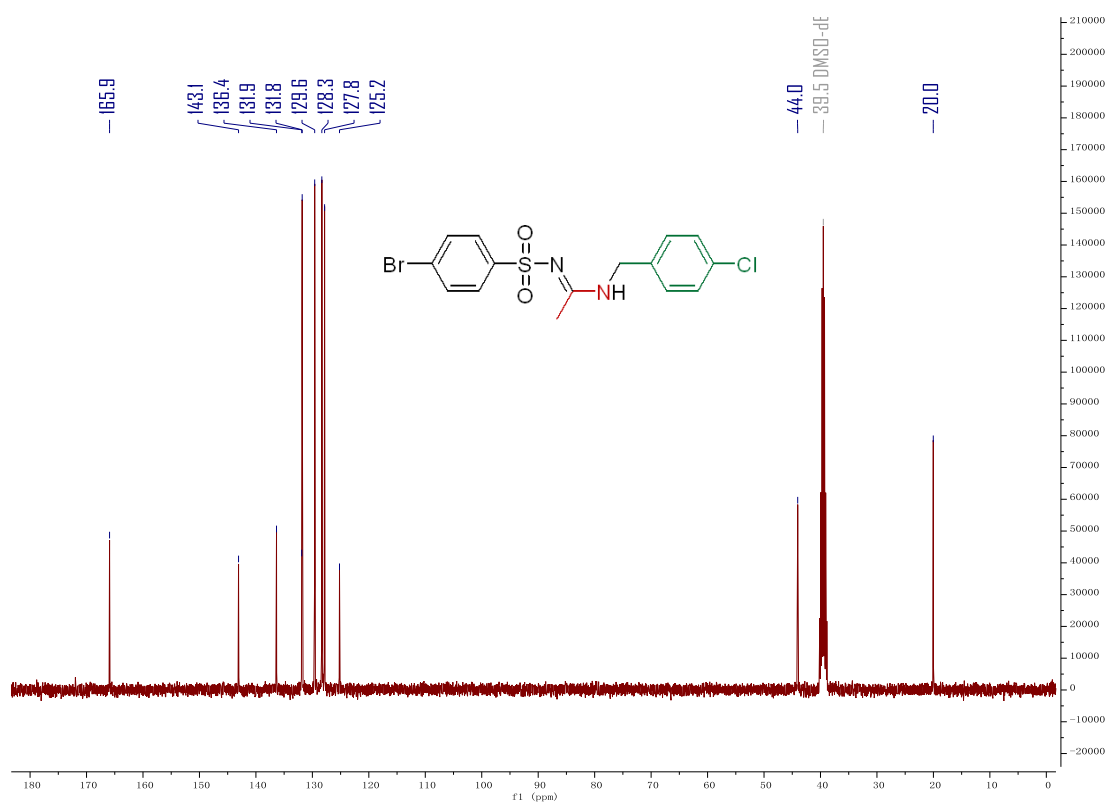
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4f**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4g**

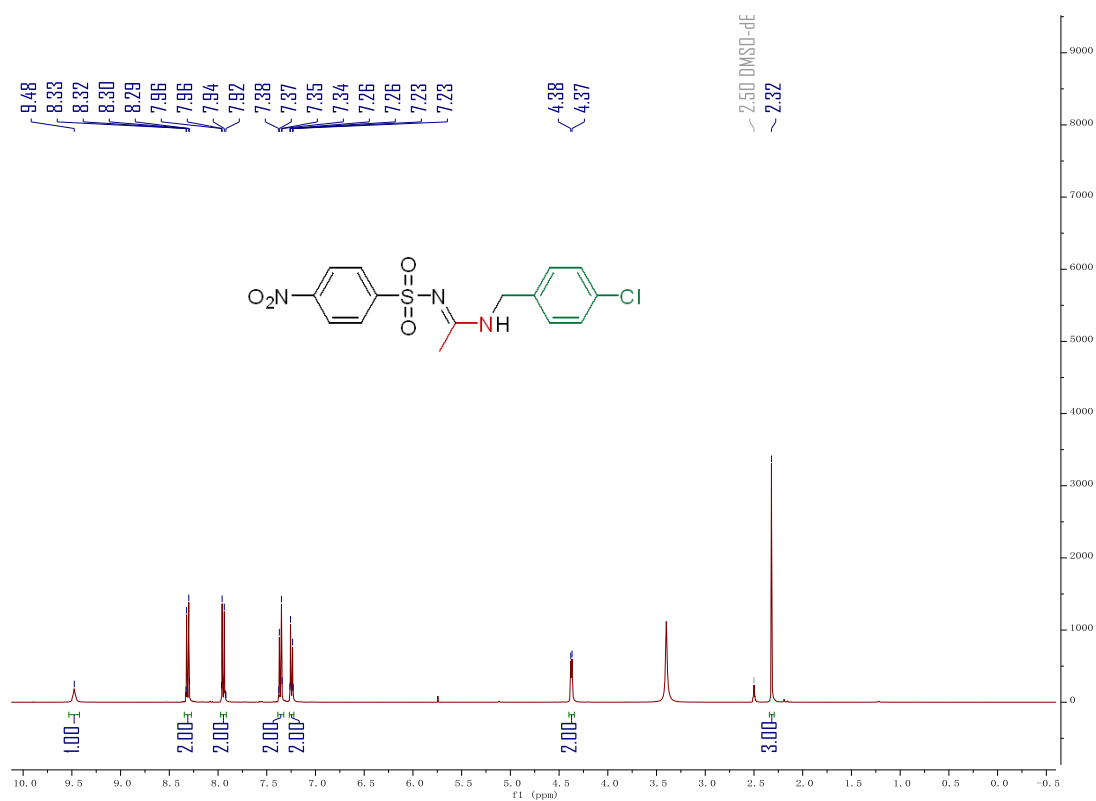


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4g**

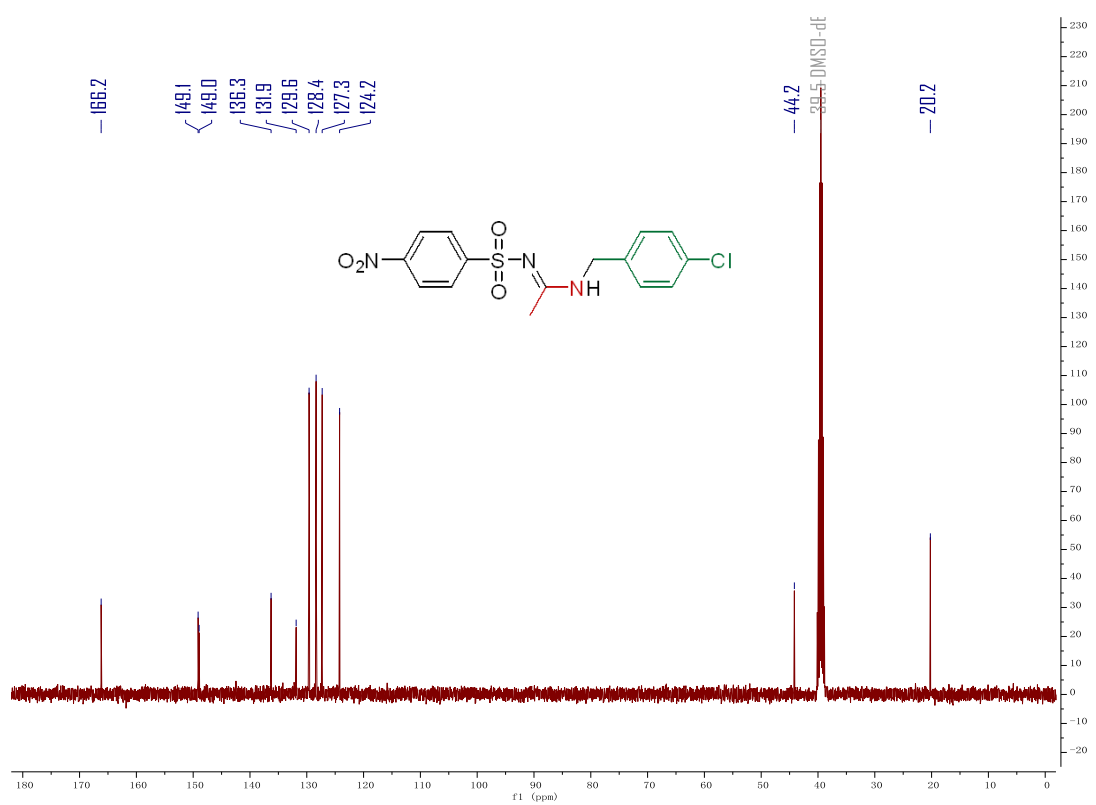




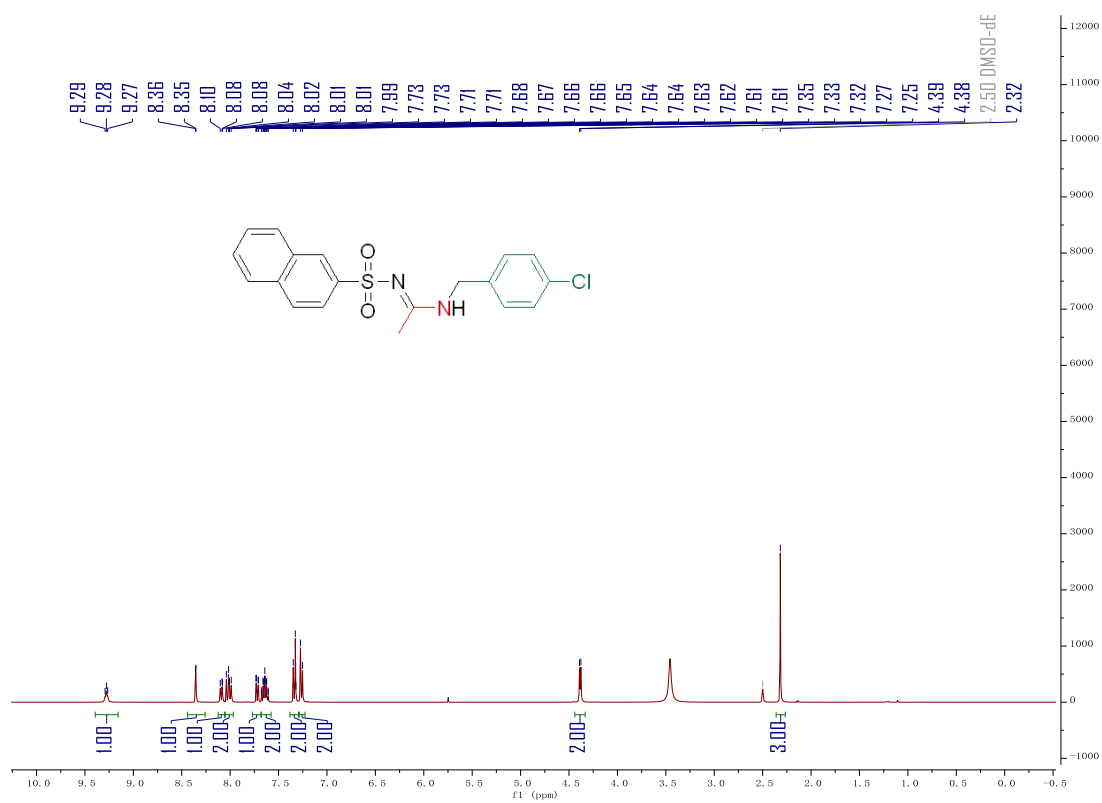
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4h**



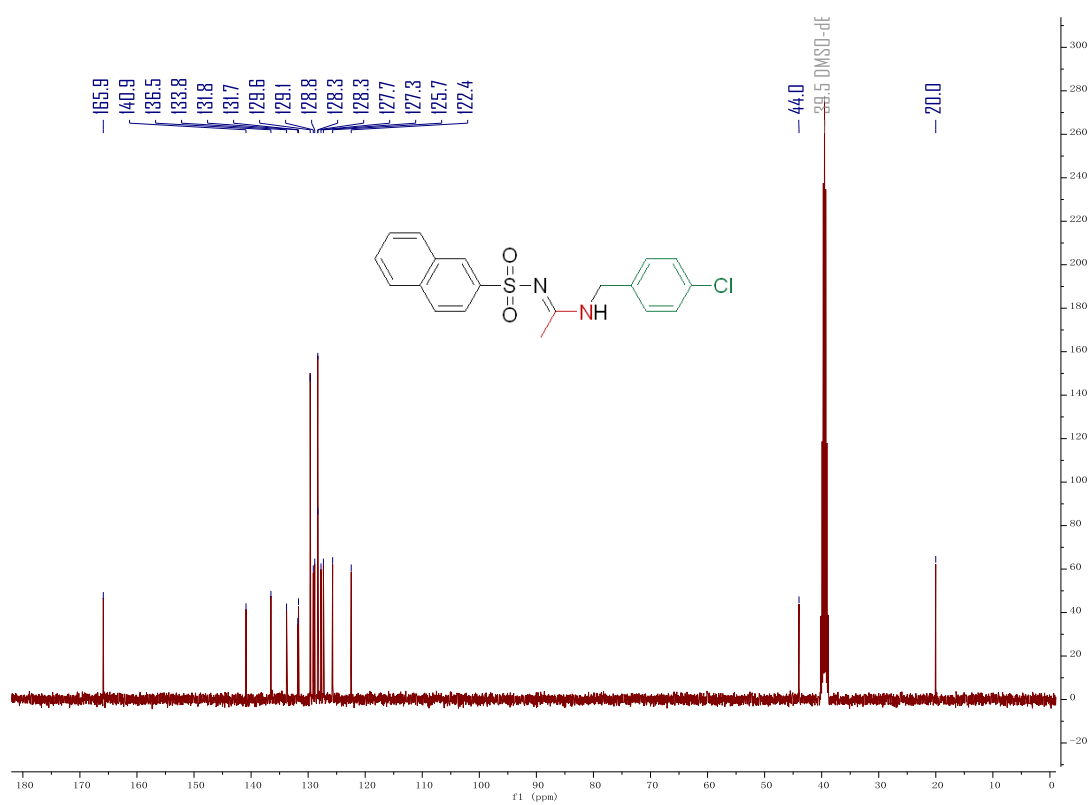
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4h**



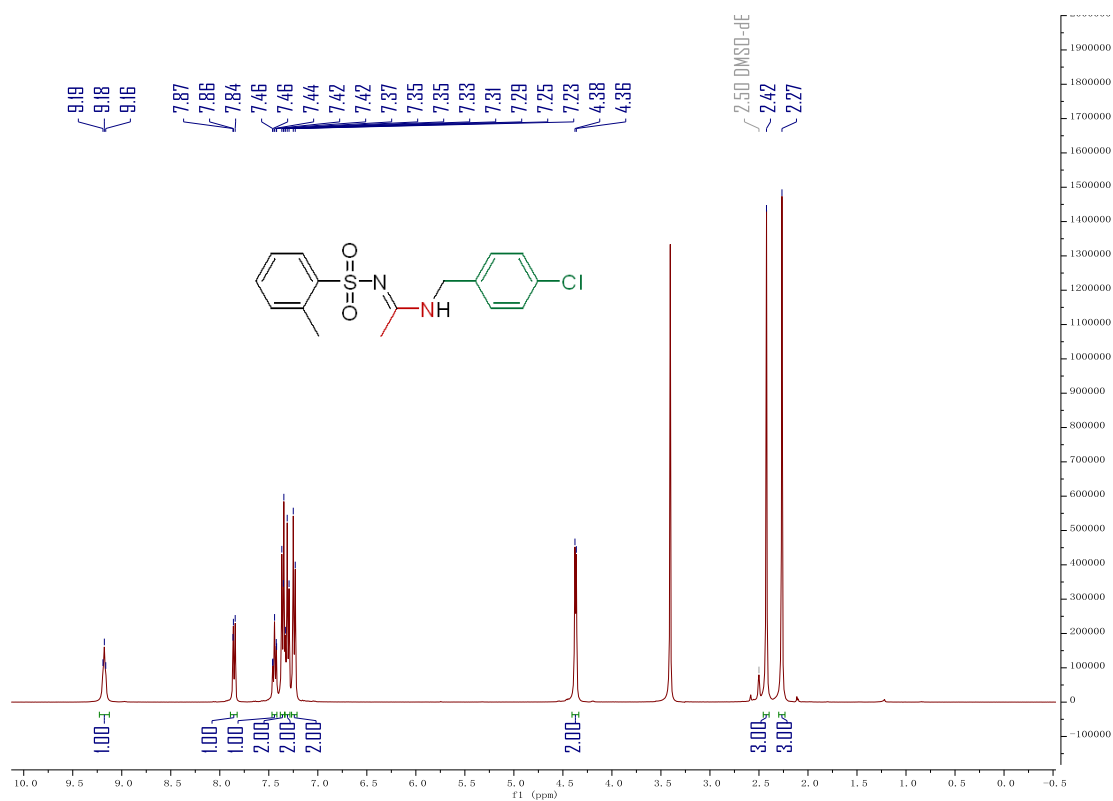
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4i**



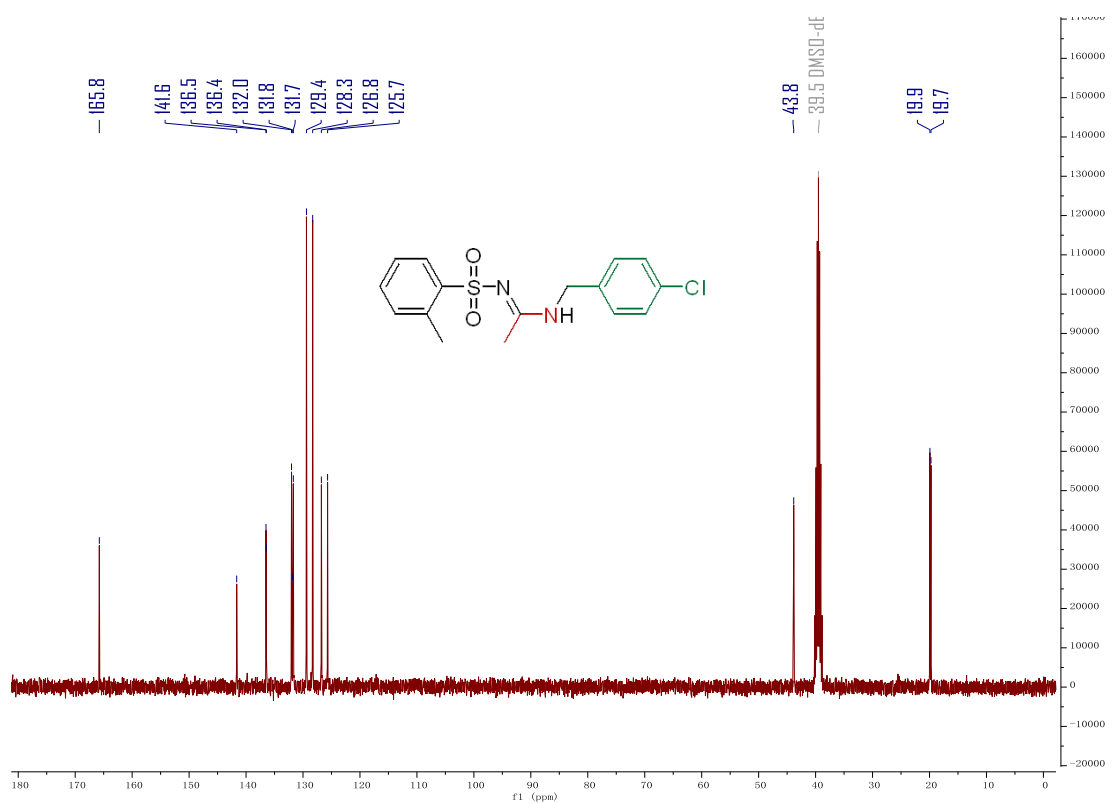
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4i**



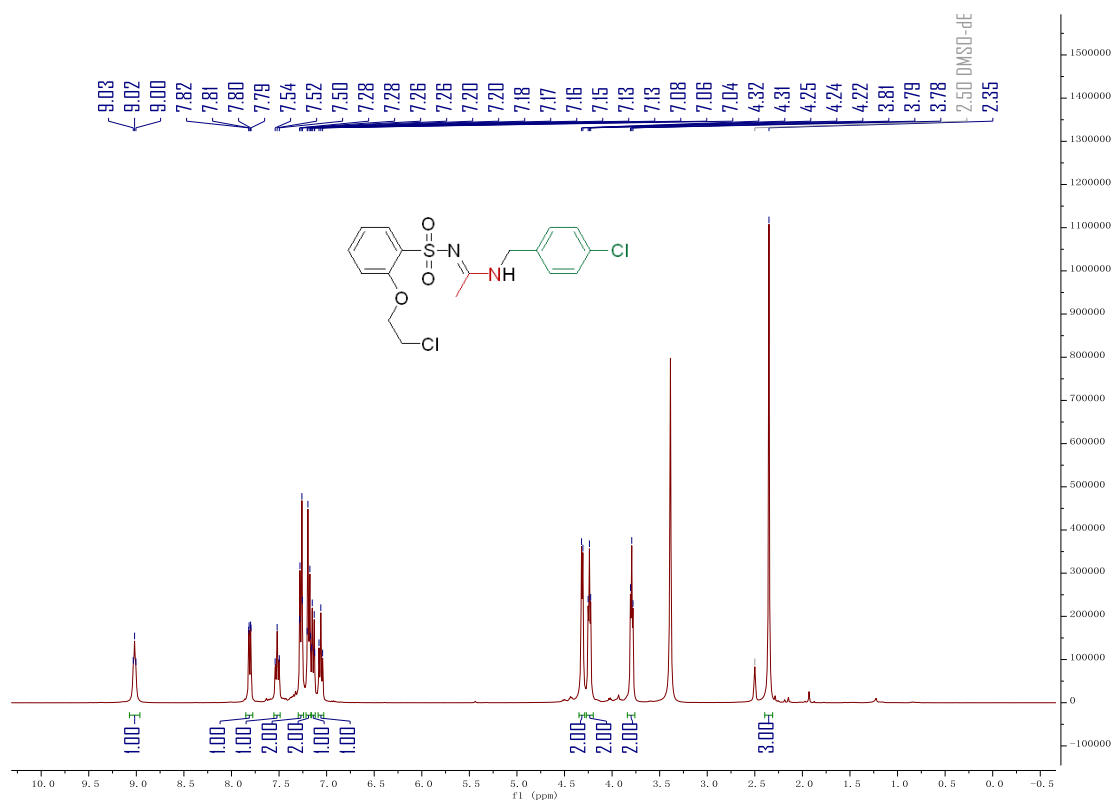
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4j**



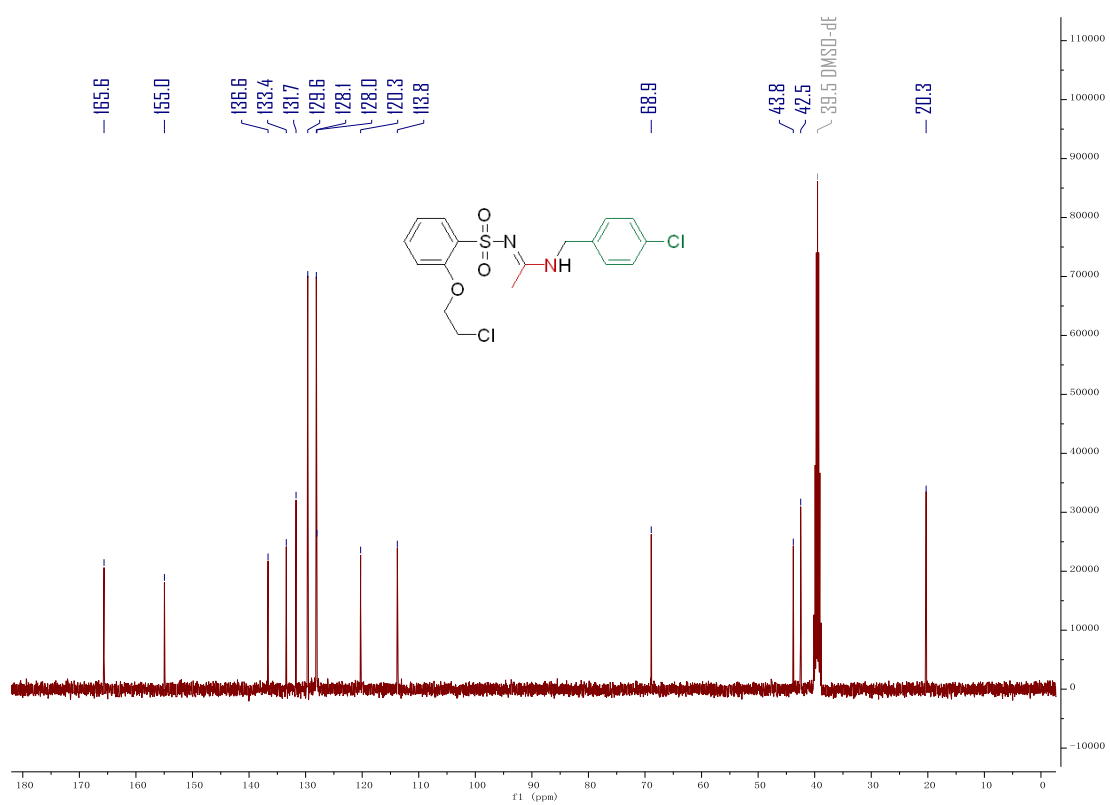
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4j**



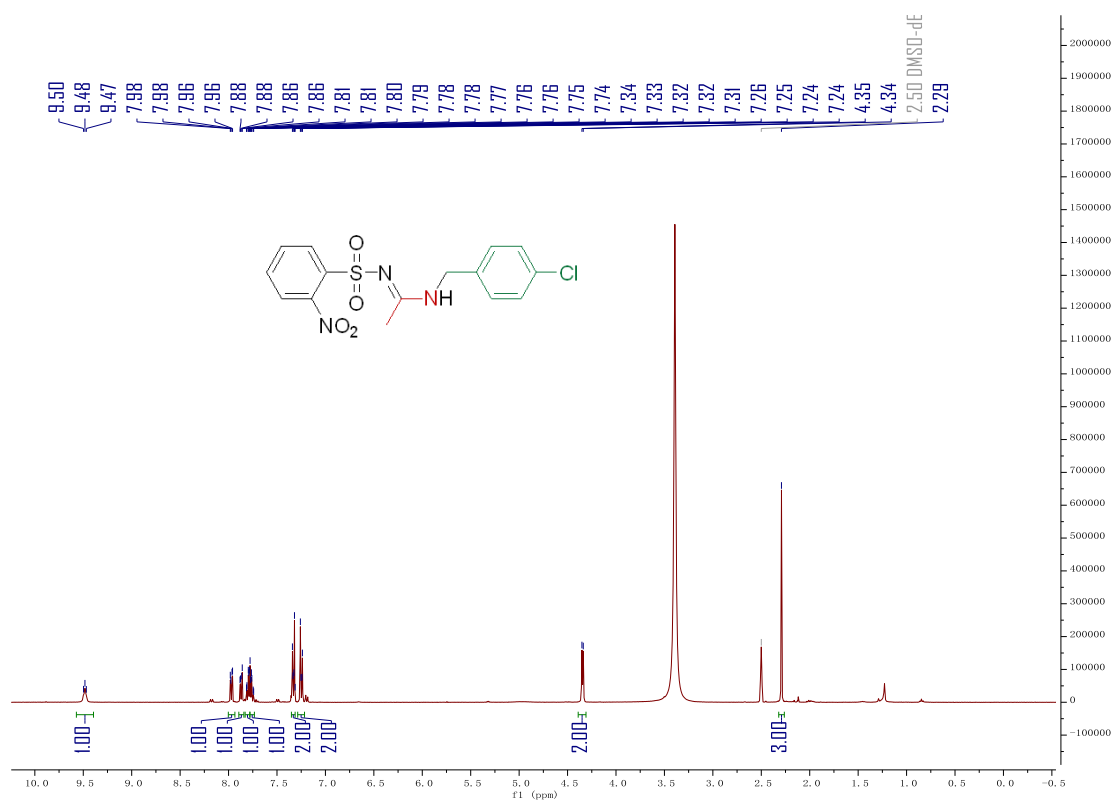
<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound **4k**



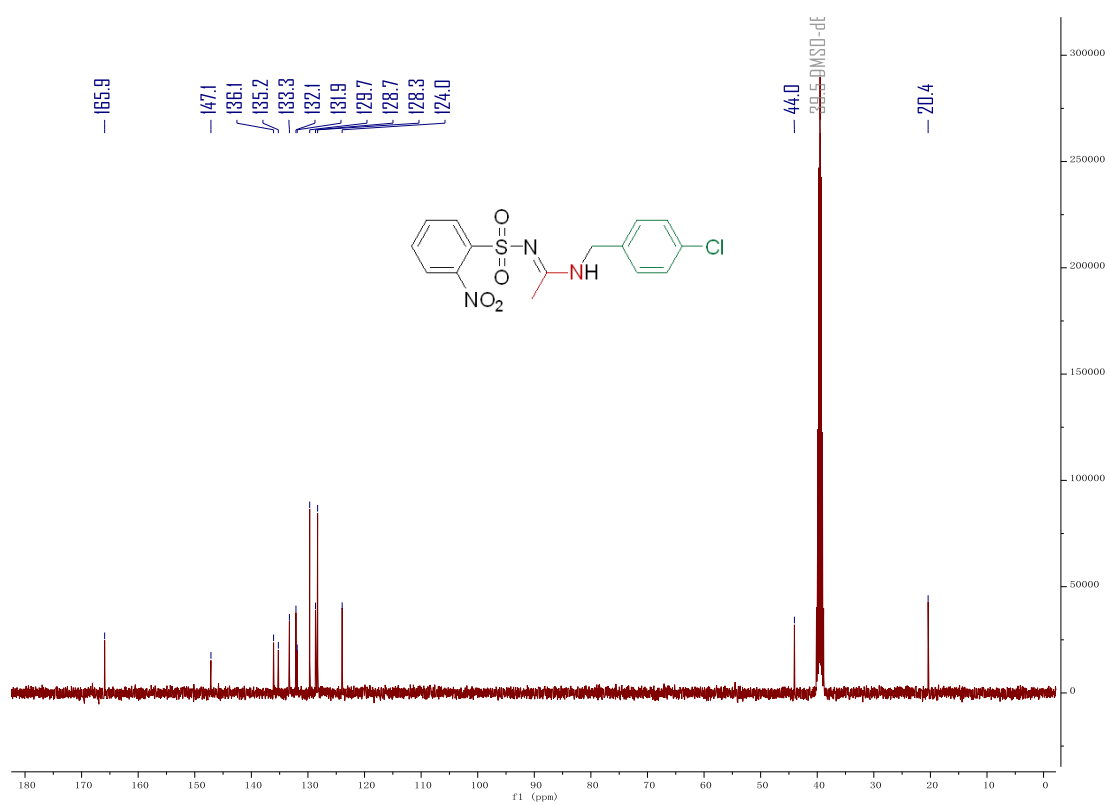
<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound **4k**



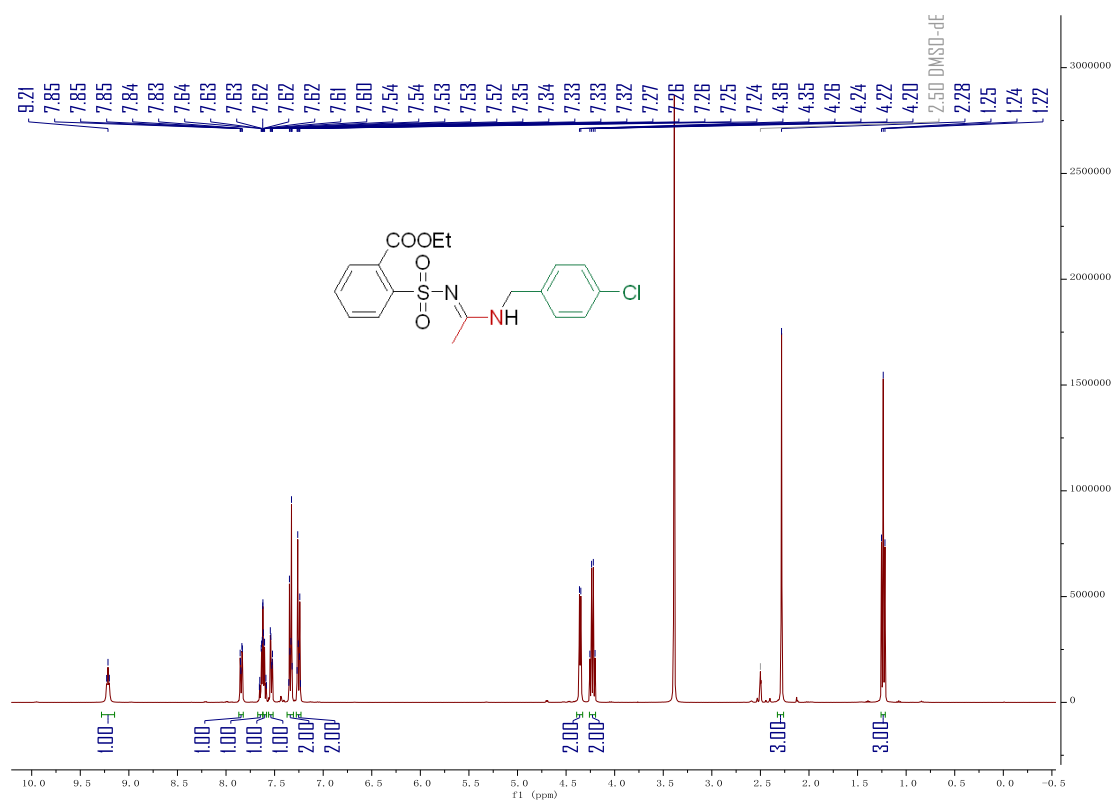
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4I**



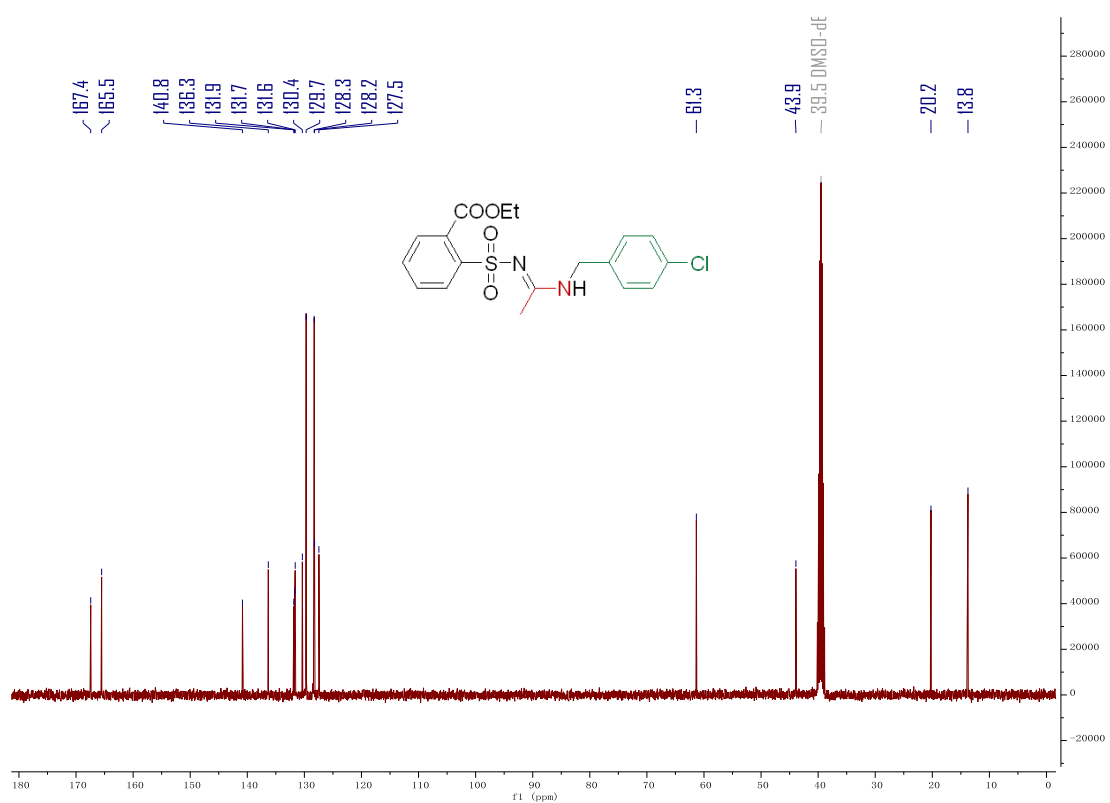
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4I**



<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **4m**

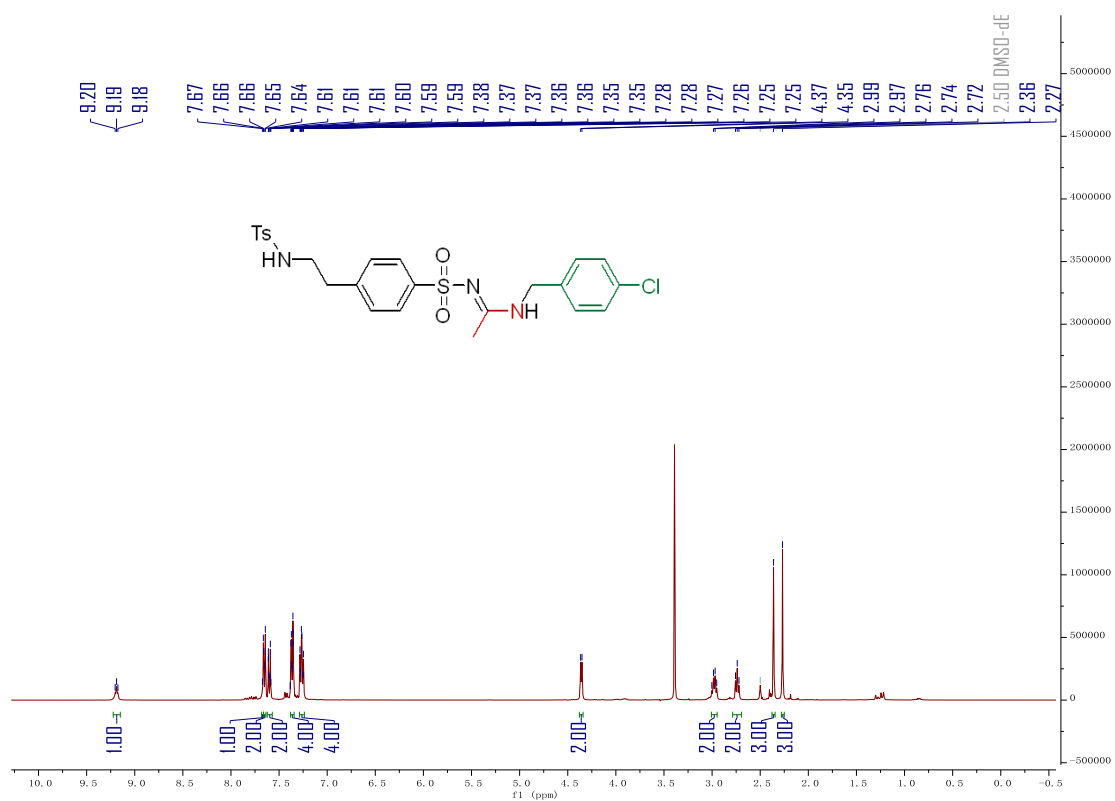


<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **4m**

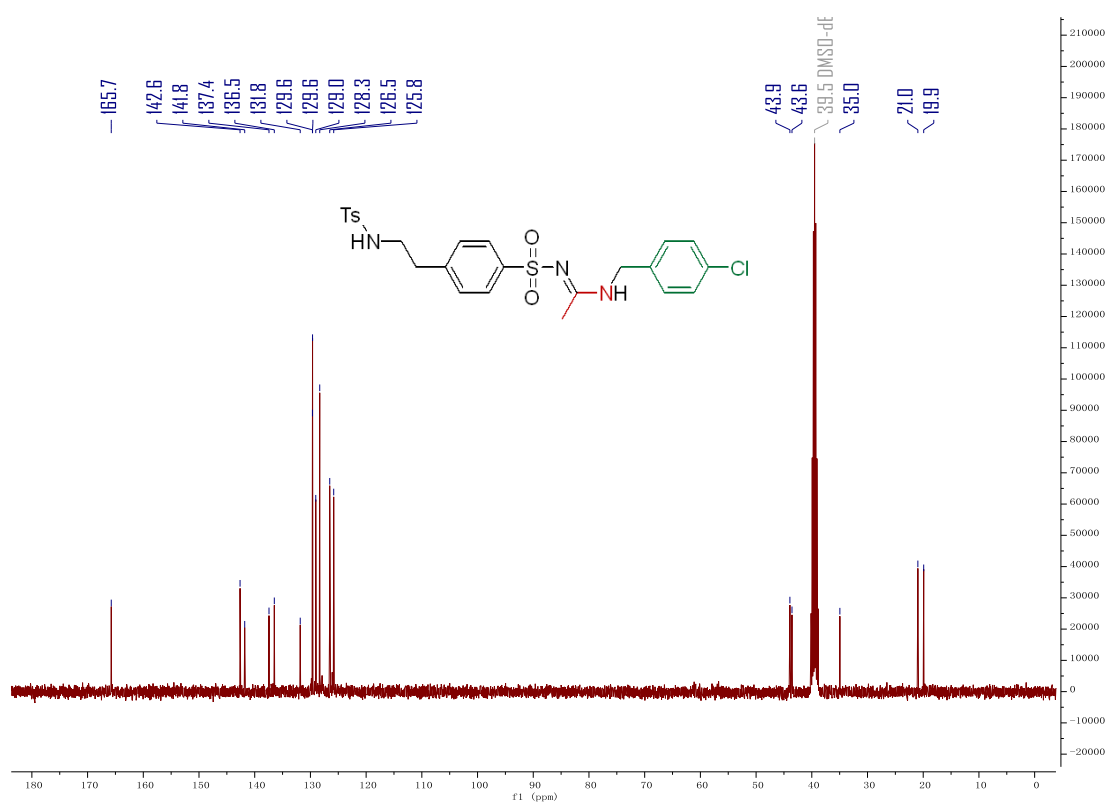




**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4o**

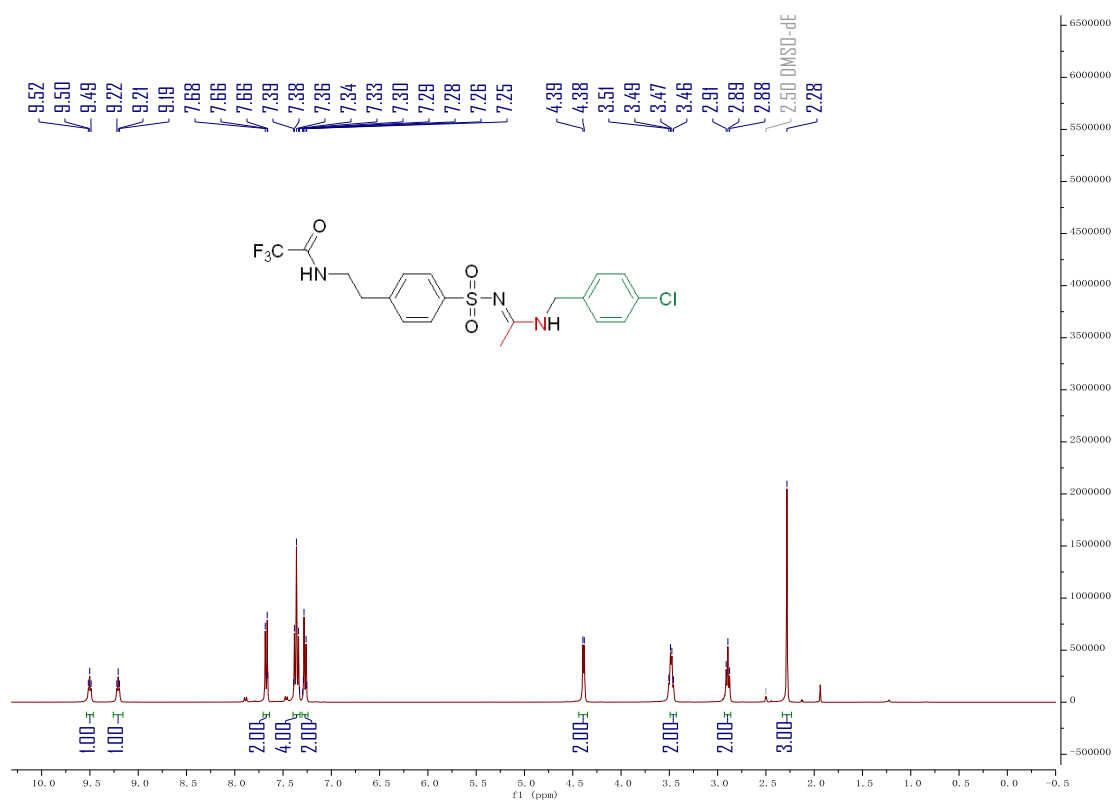


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4o**

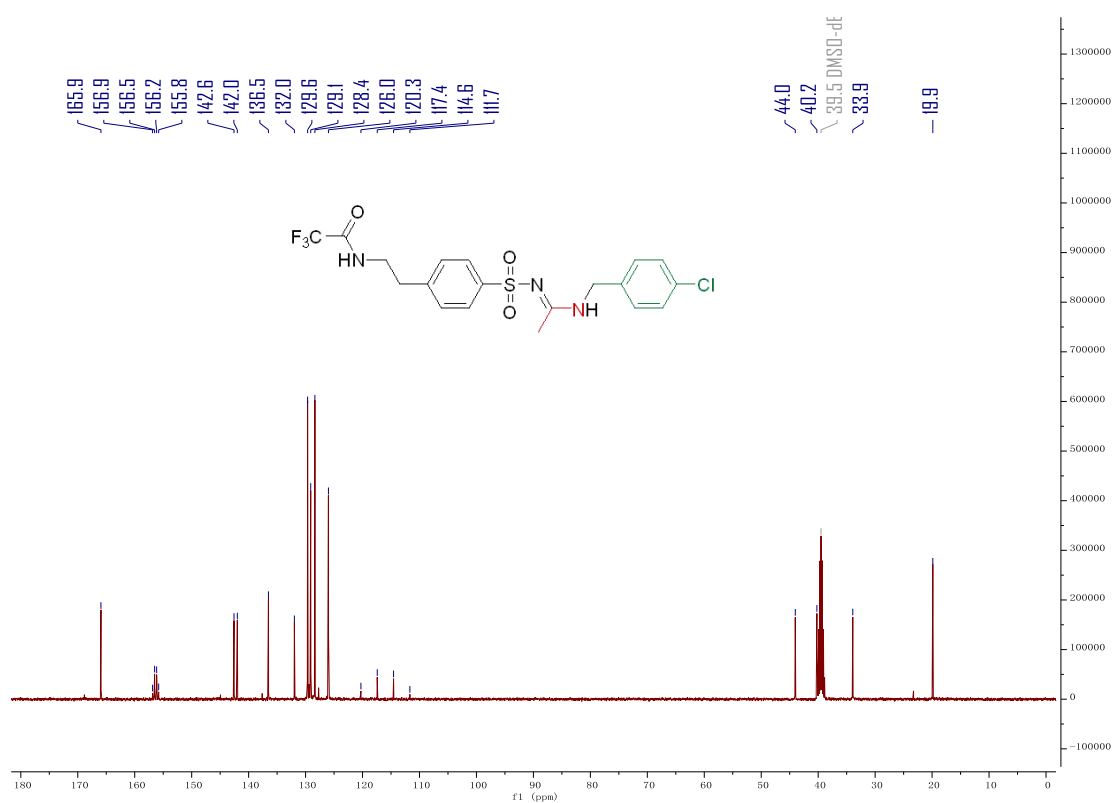




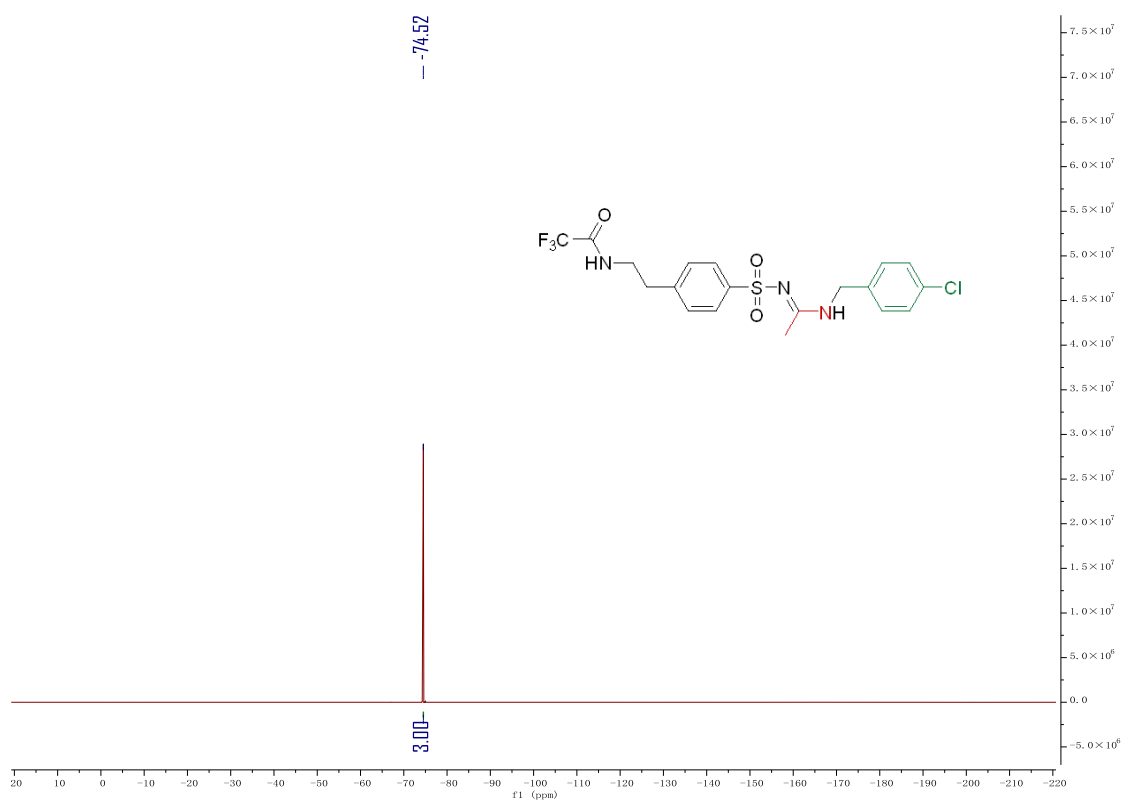
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4p**



**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4p**

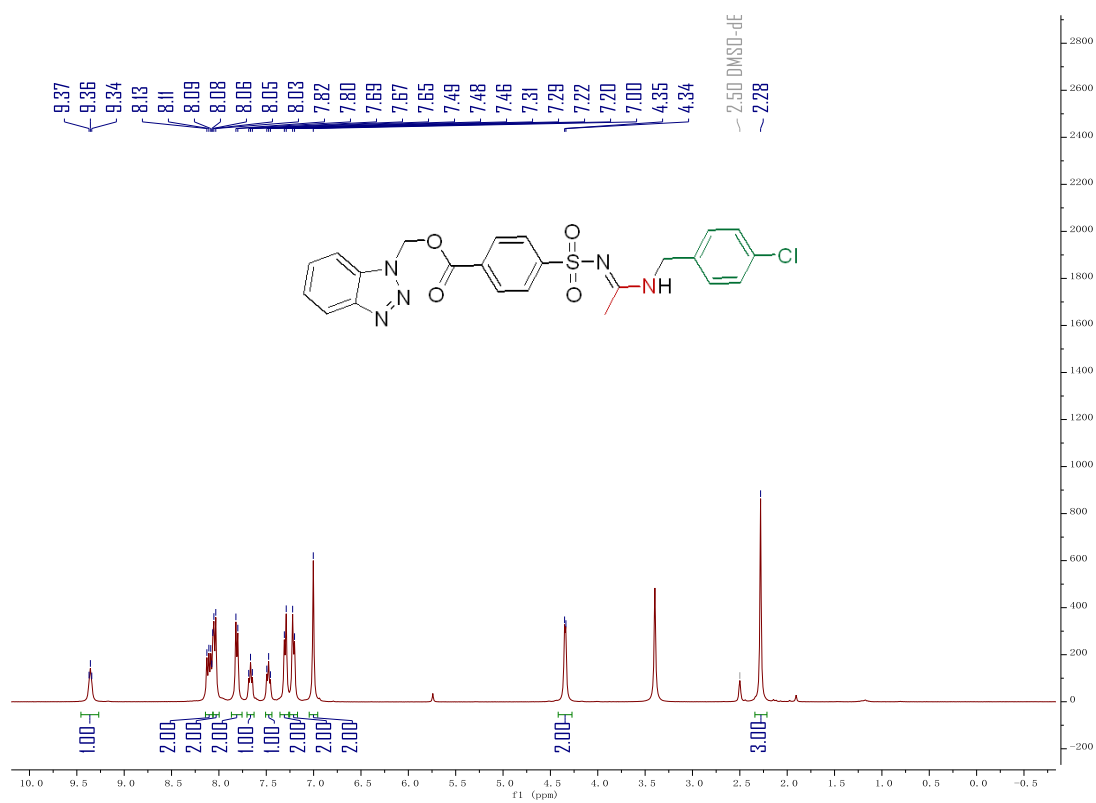


**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 4p**

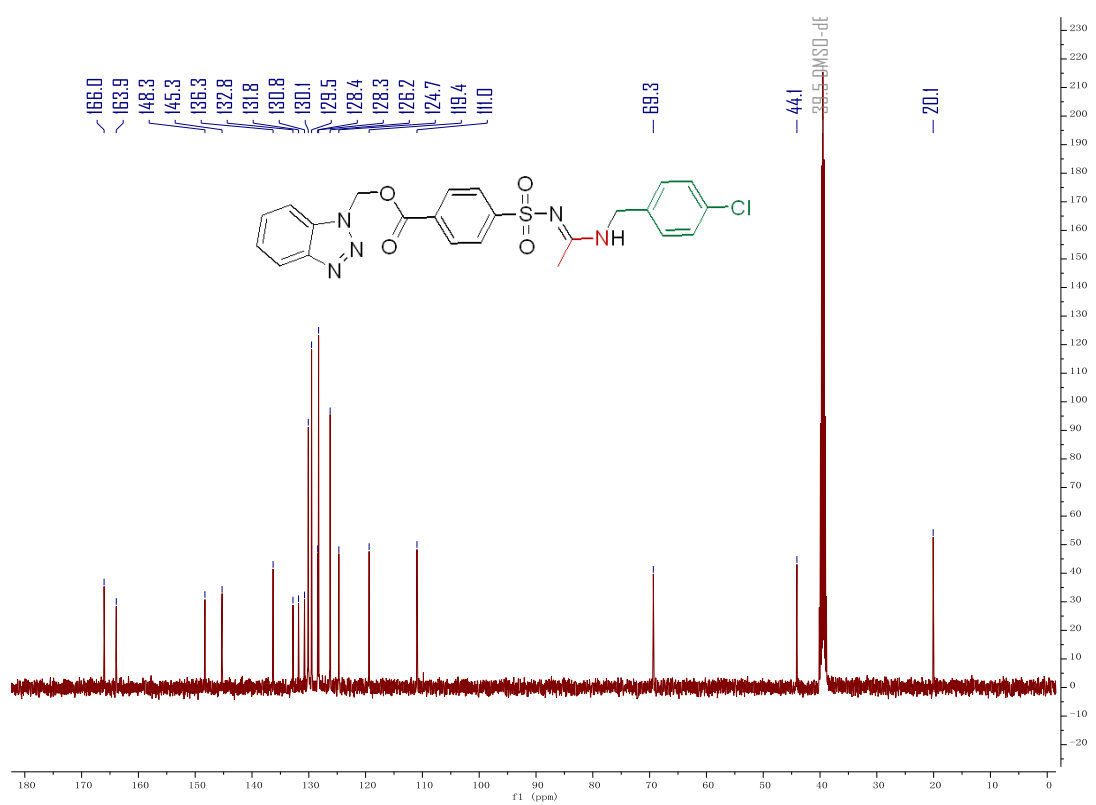




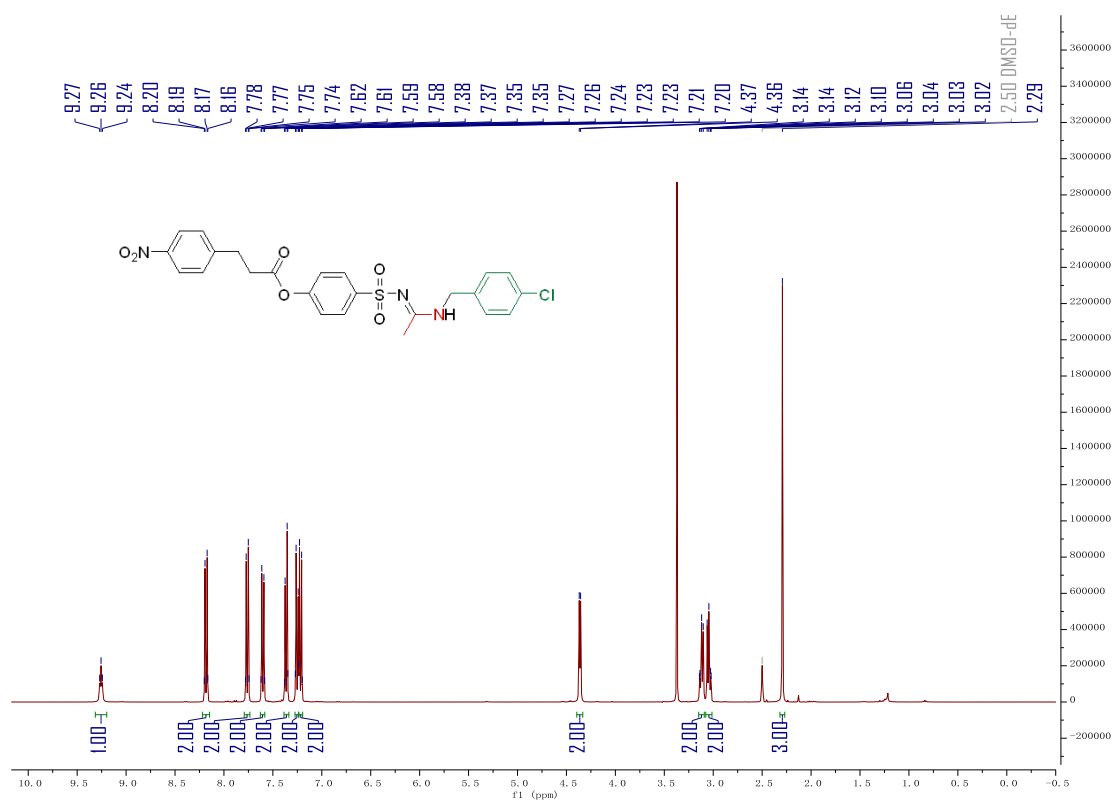
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4r**



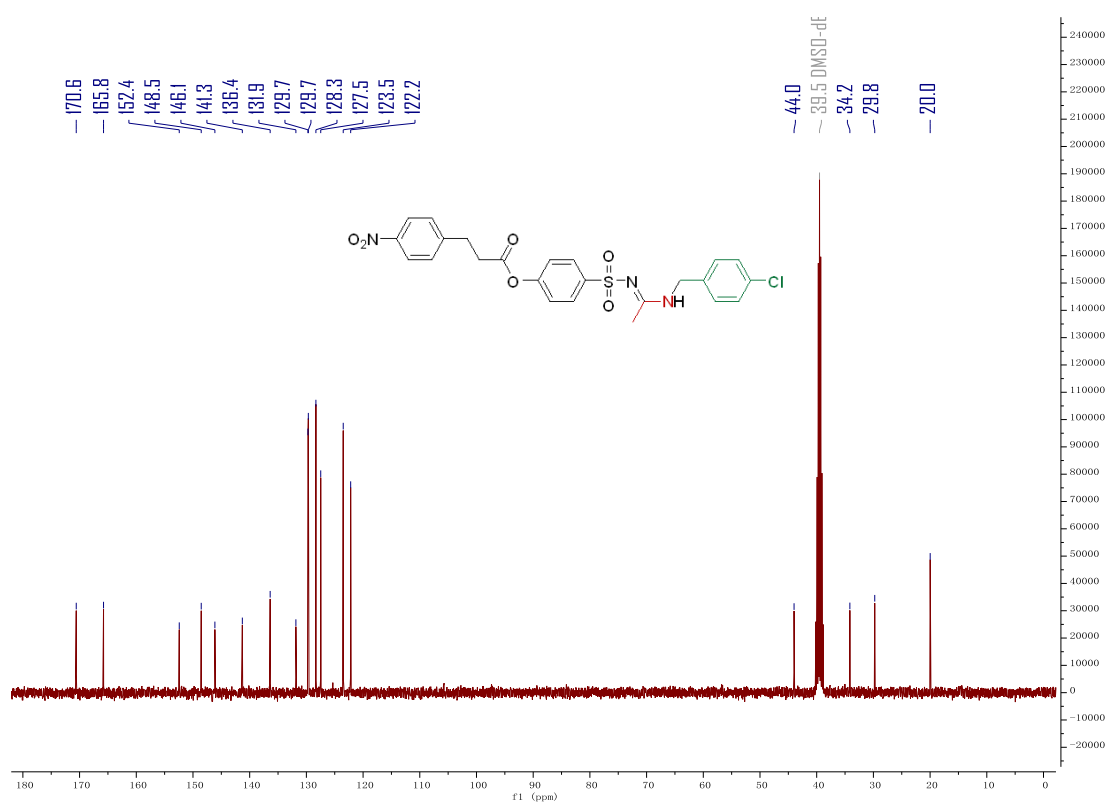
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4r**



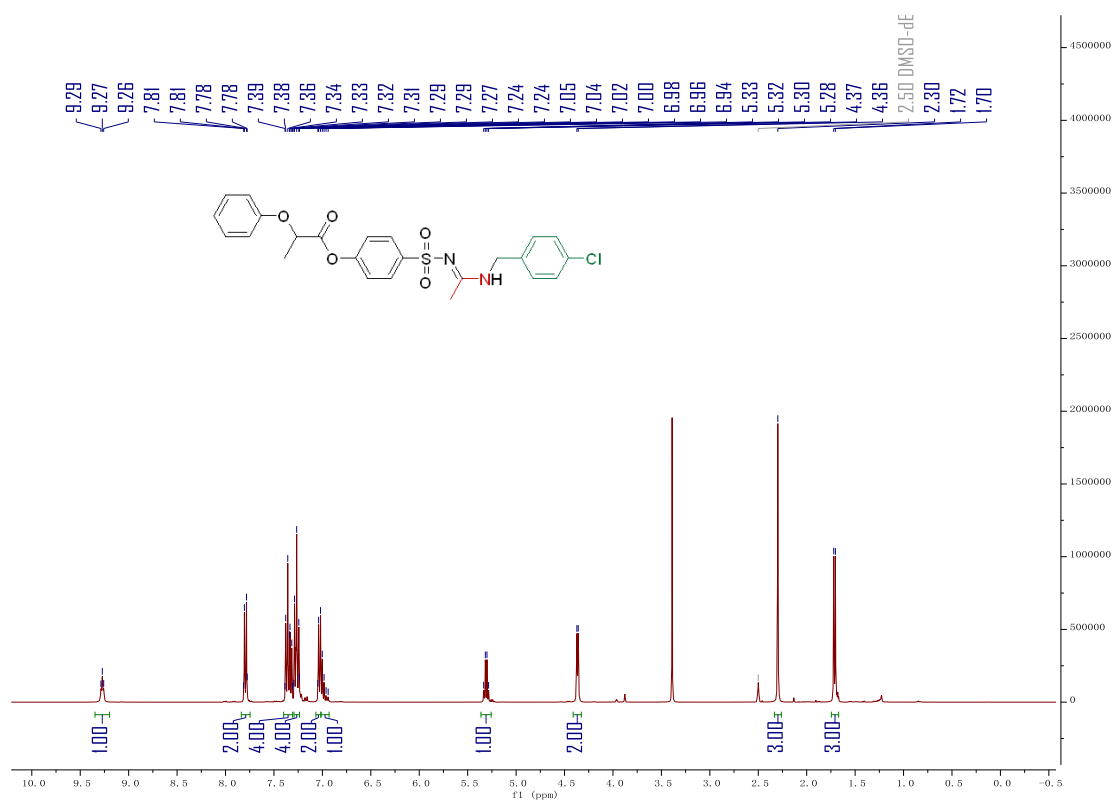
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4s**



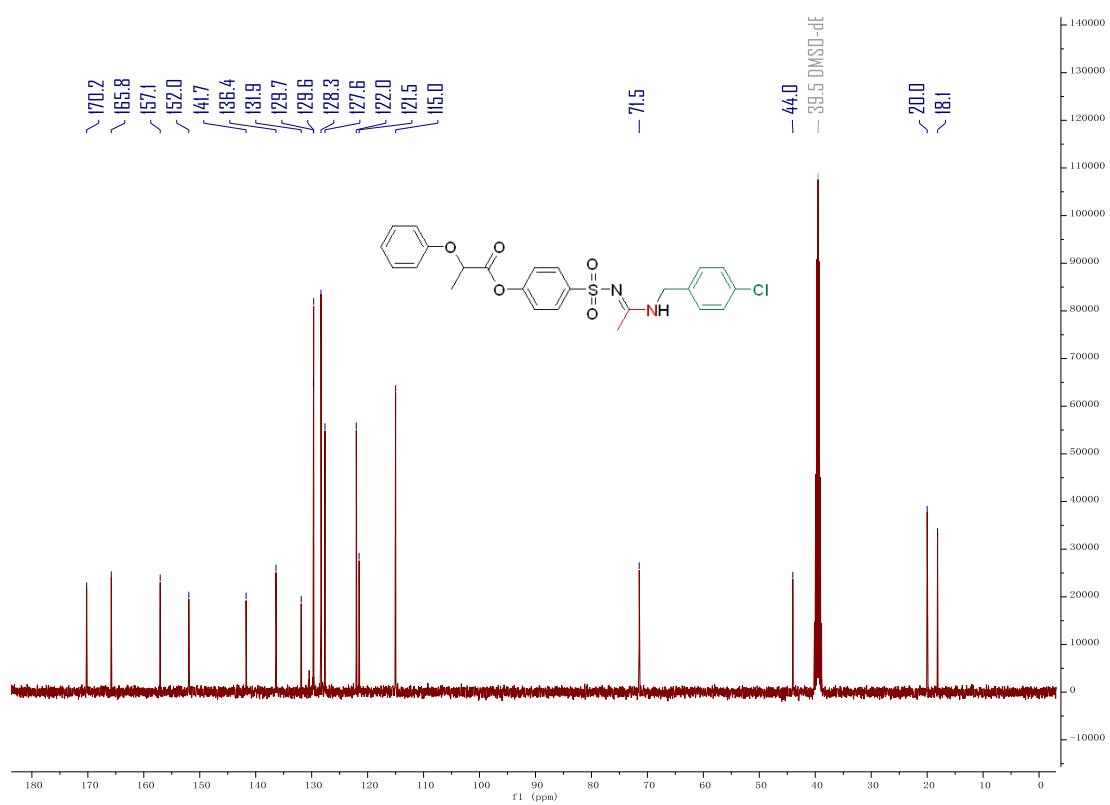
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4s**



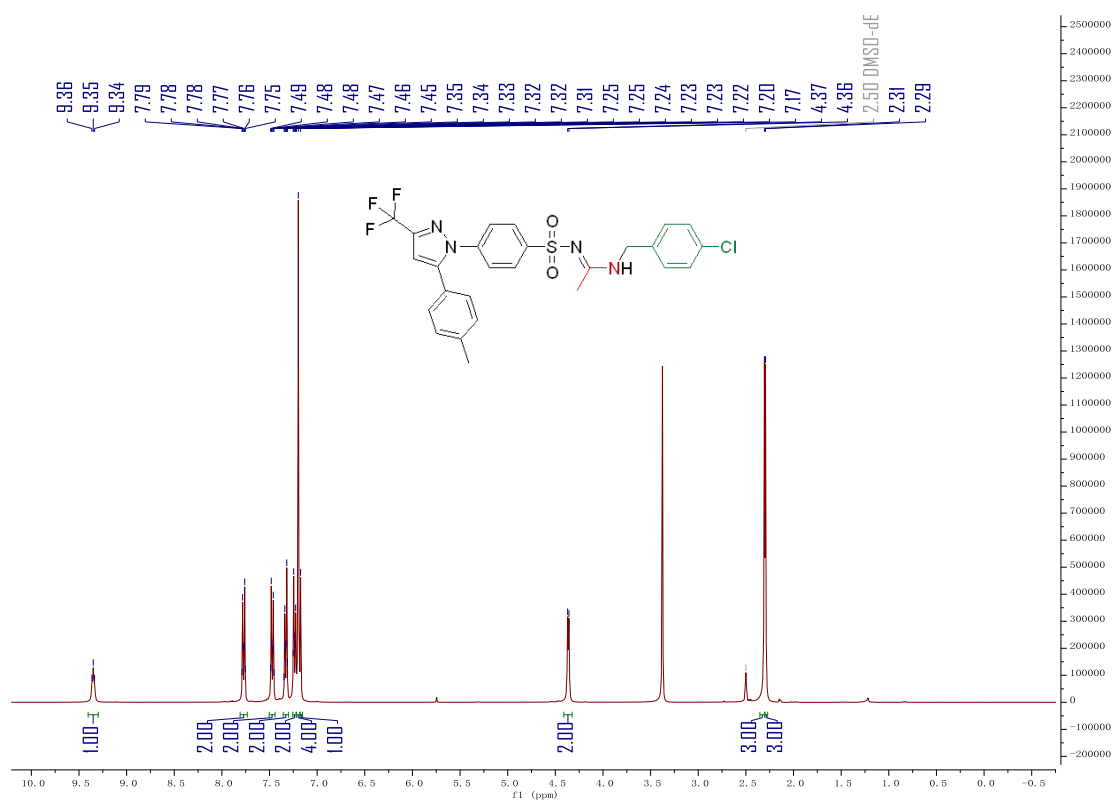
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4t**



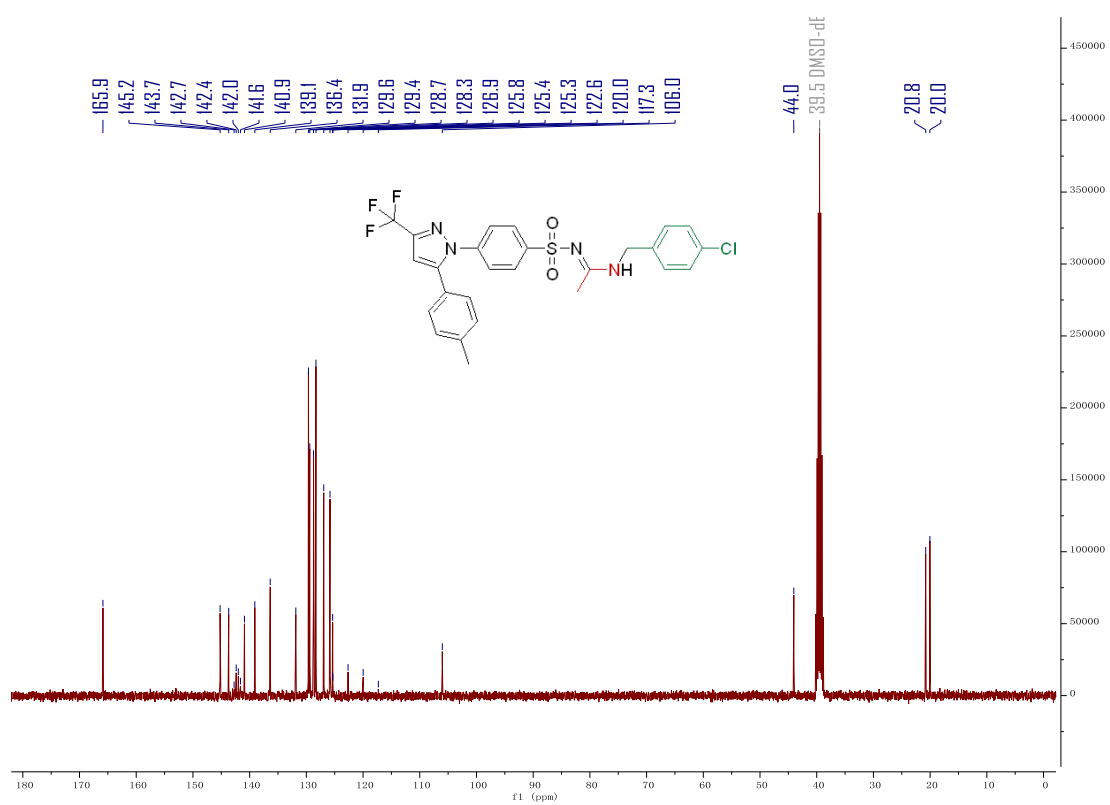
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4t**



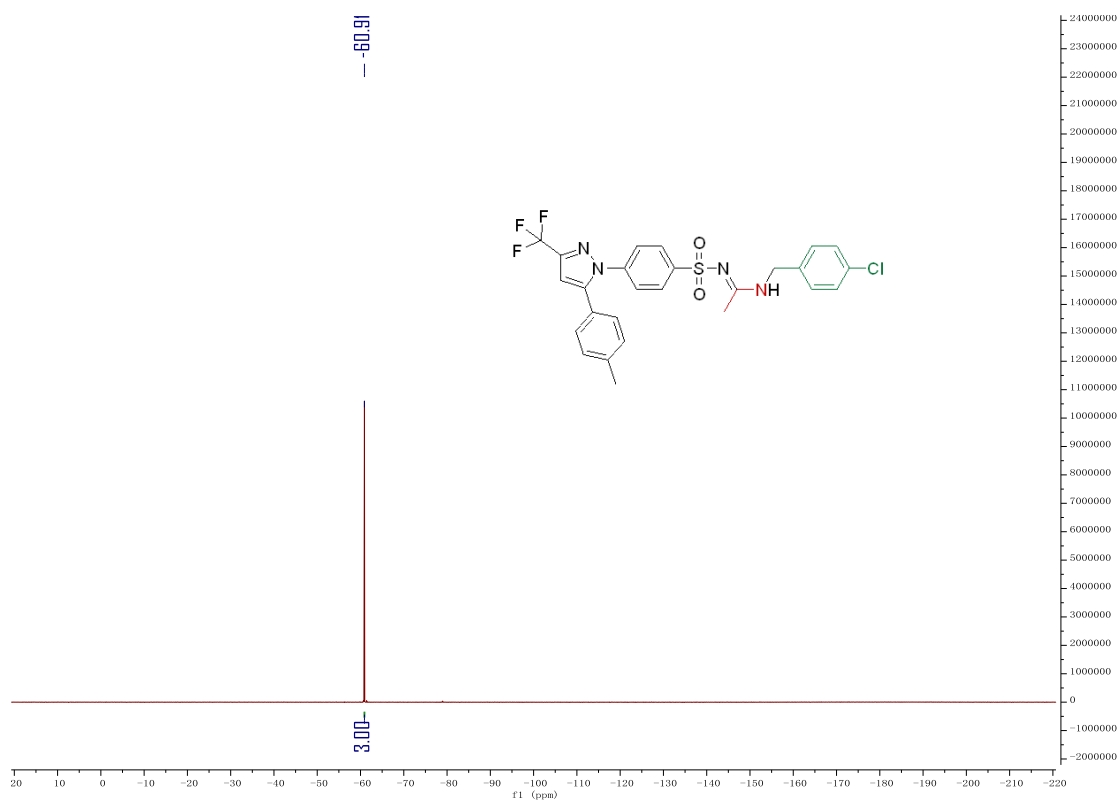
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4u**



**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4u**

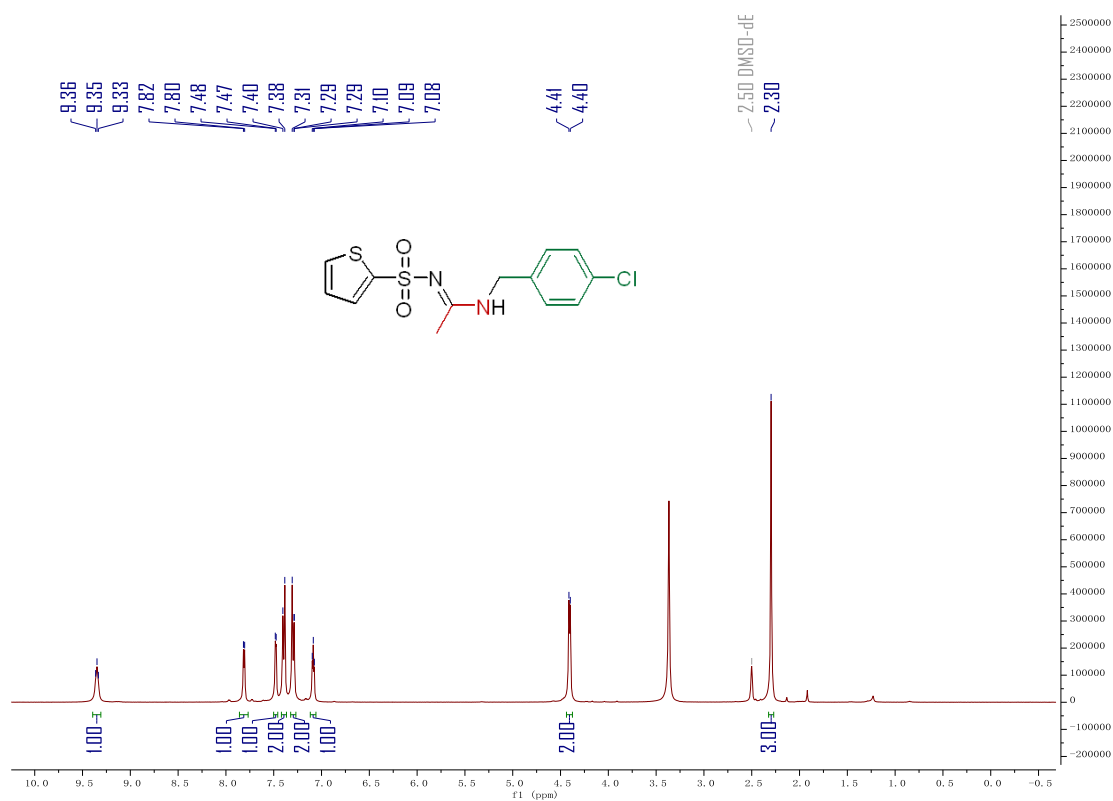


<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **4u**

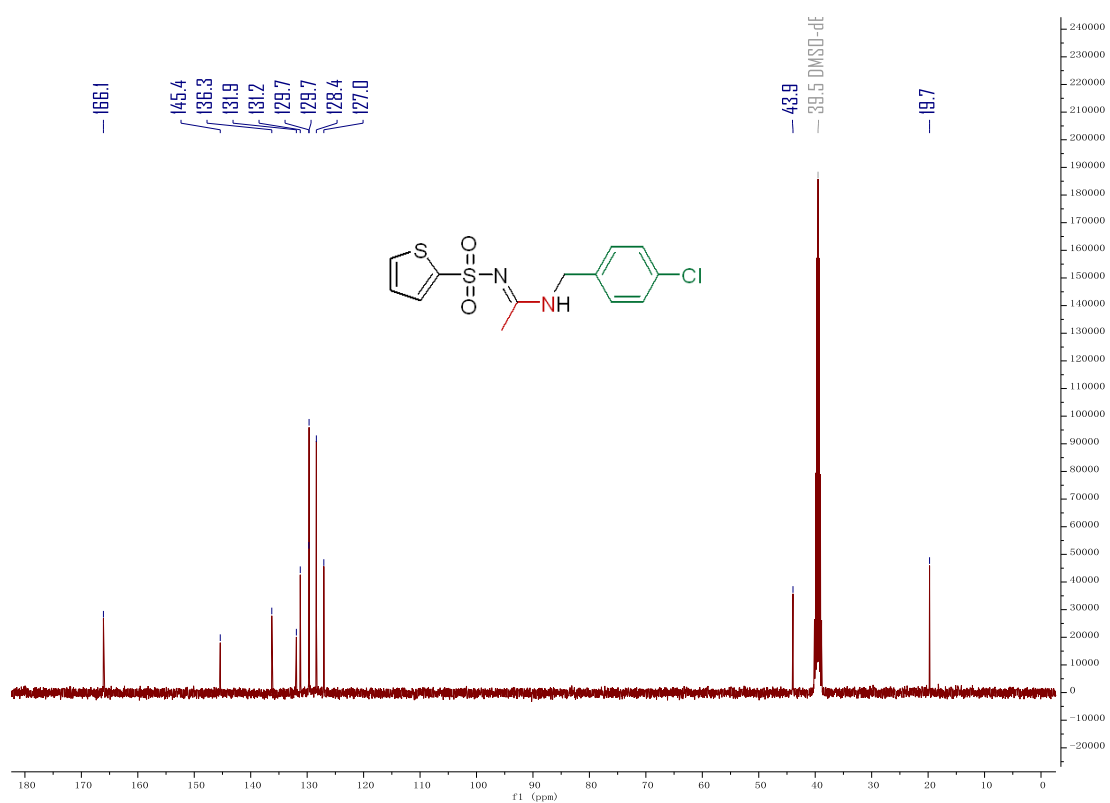




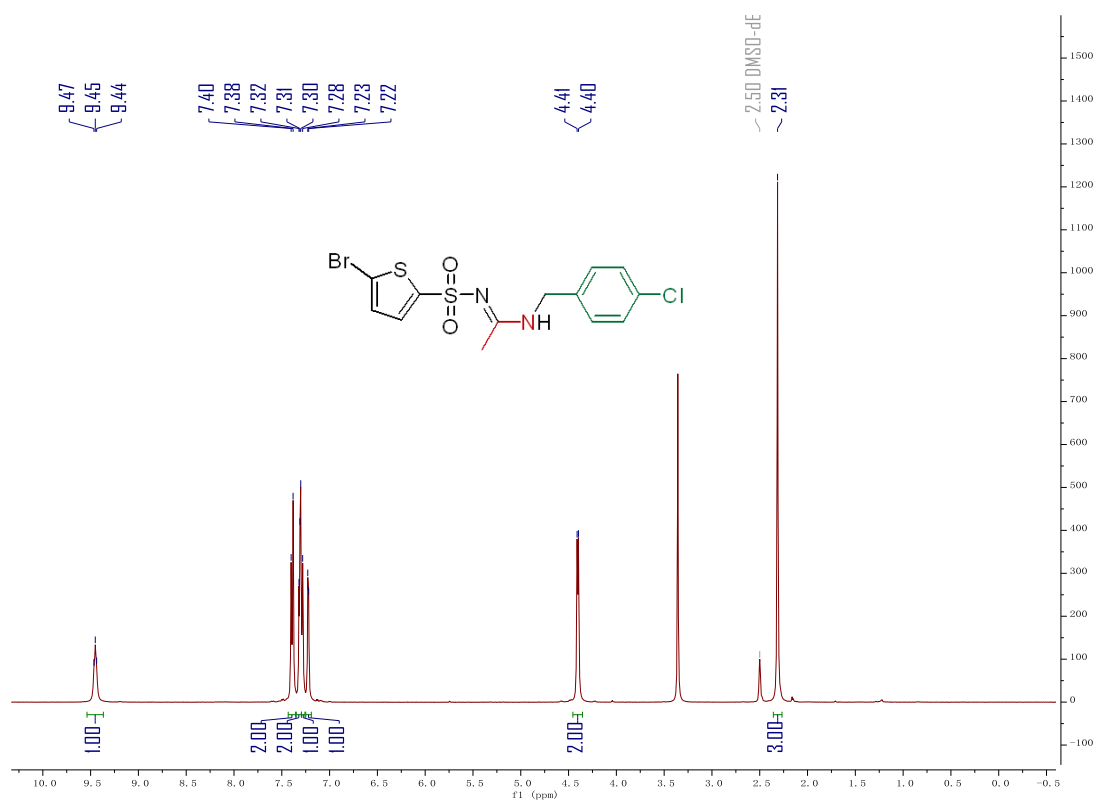
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4v**



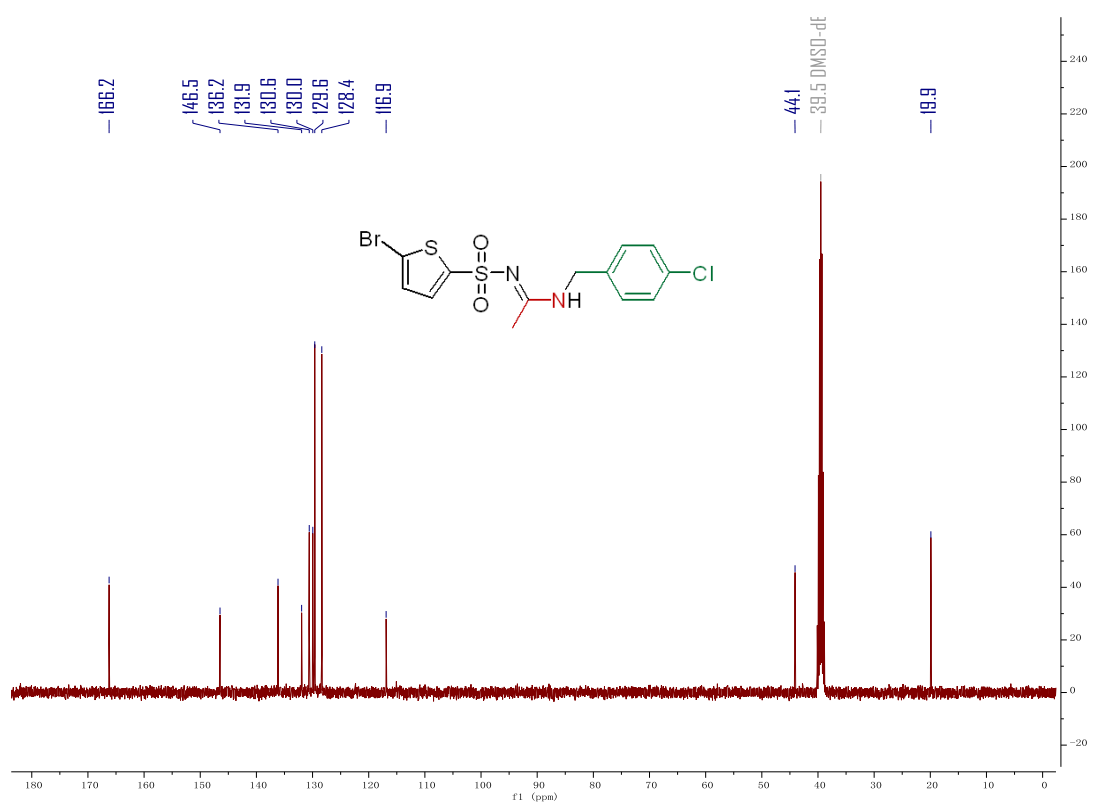
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4v**



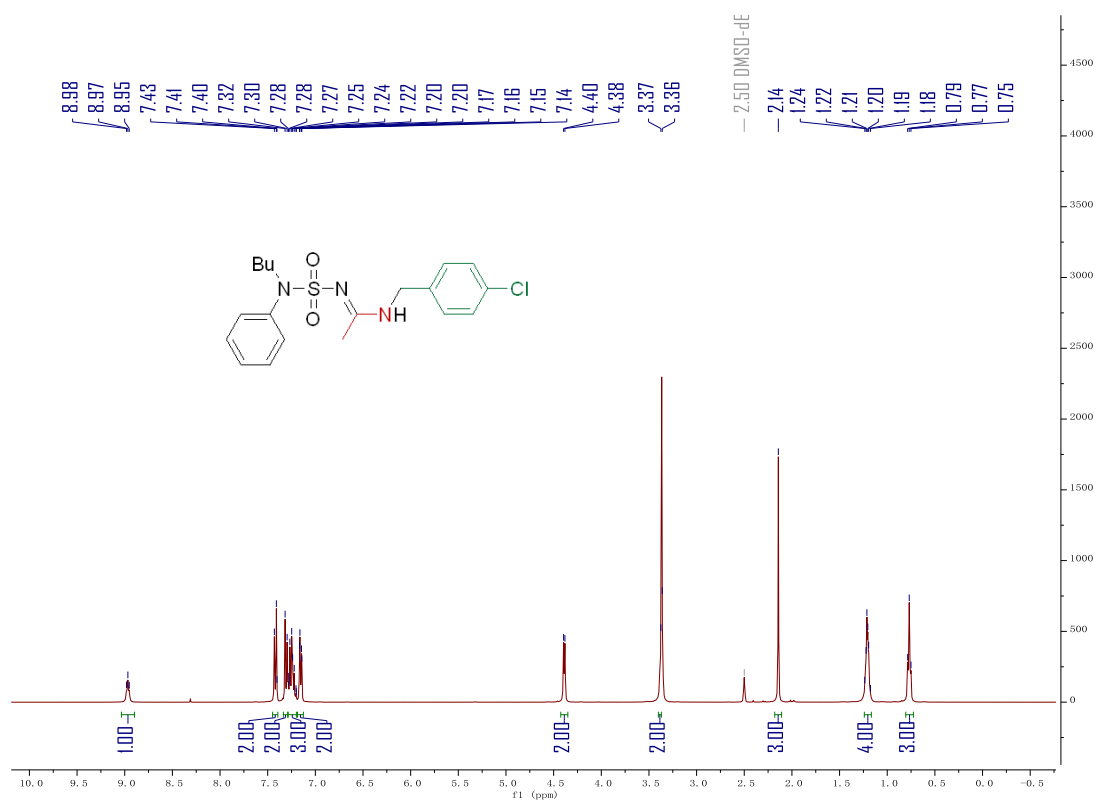
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4w**



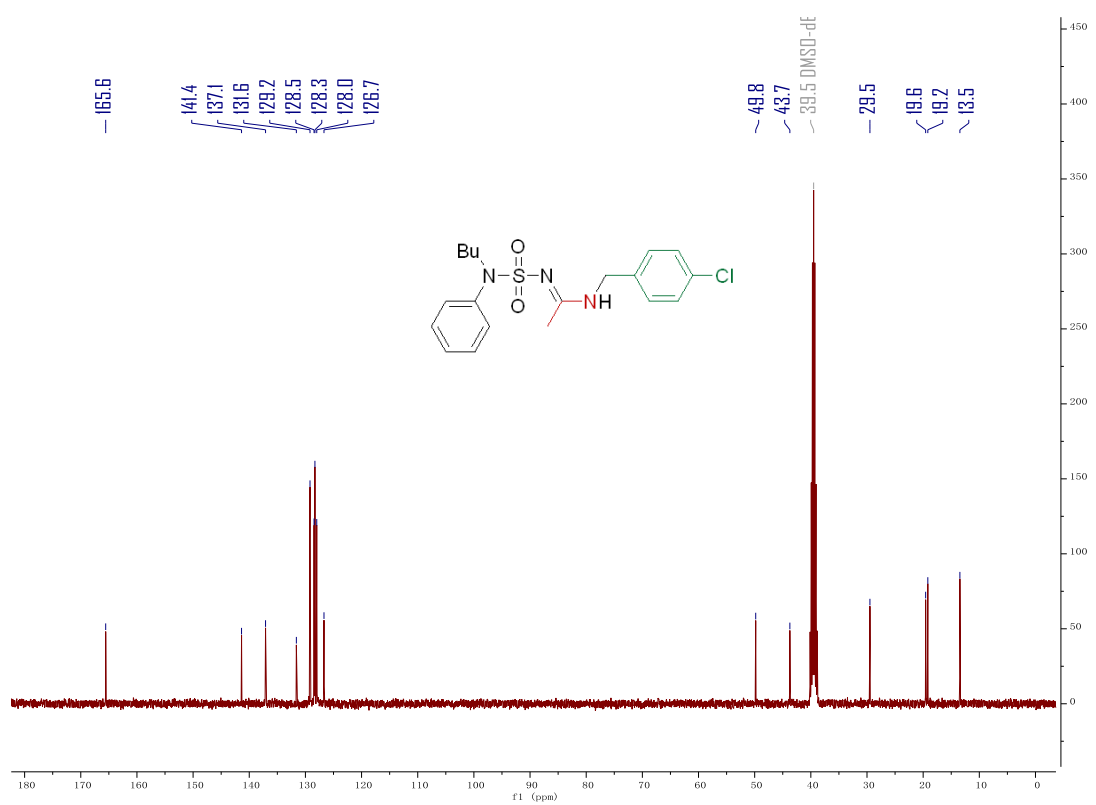
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4w**



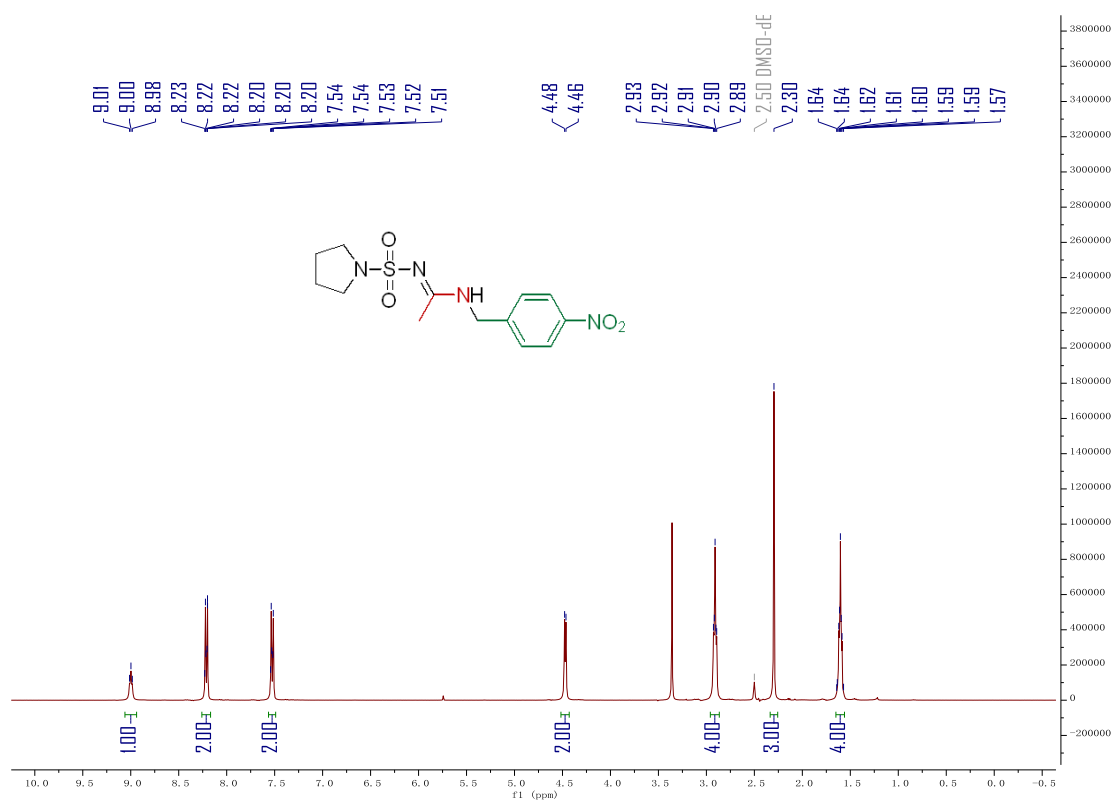
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound 4x



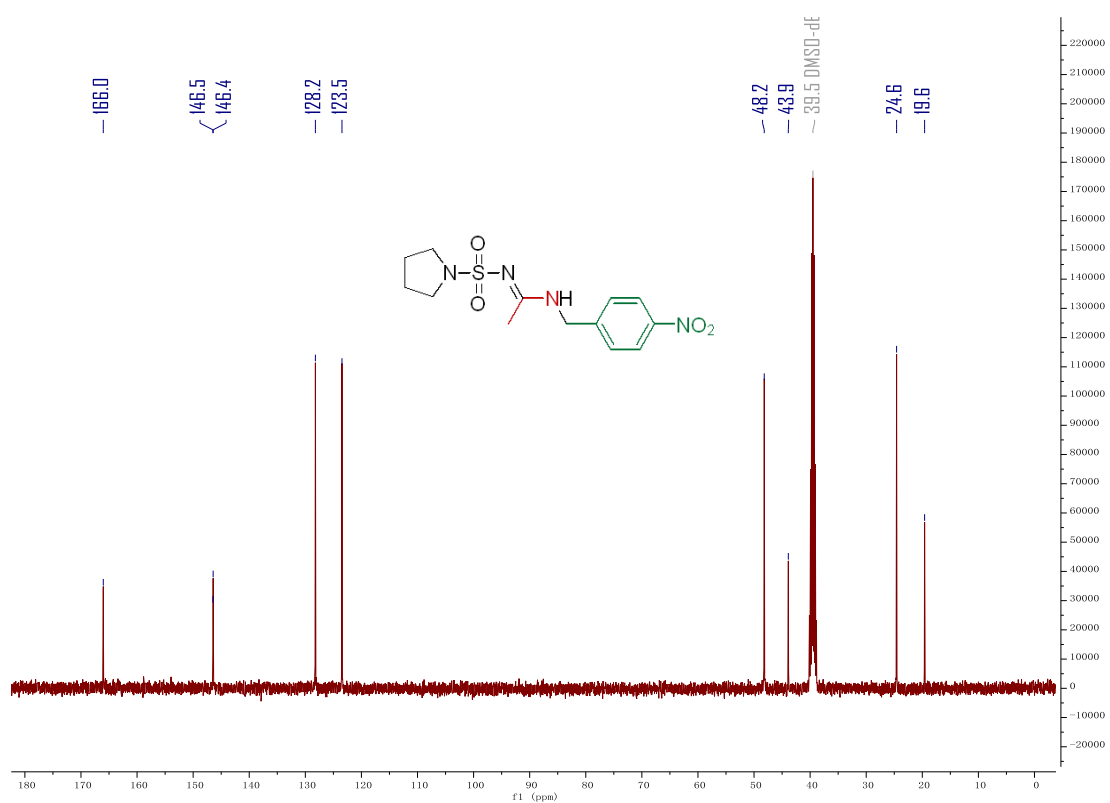
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound 4x



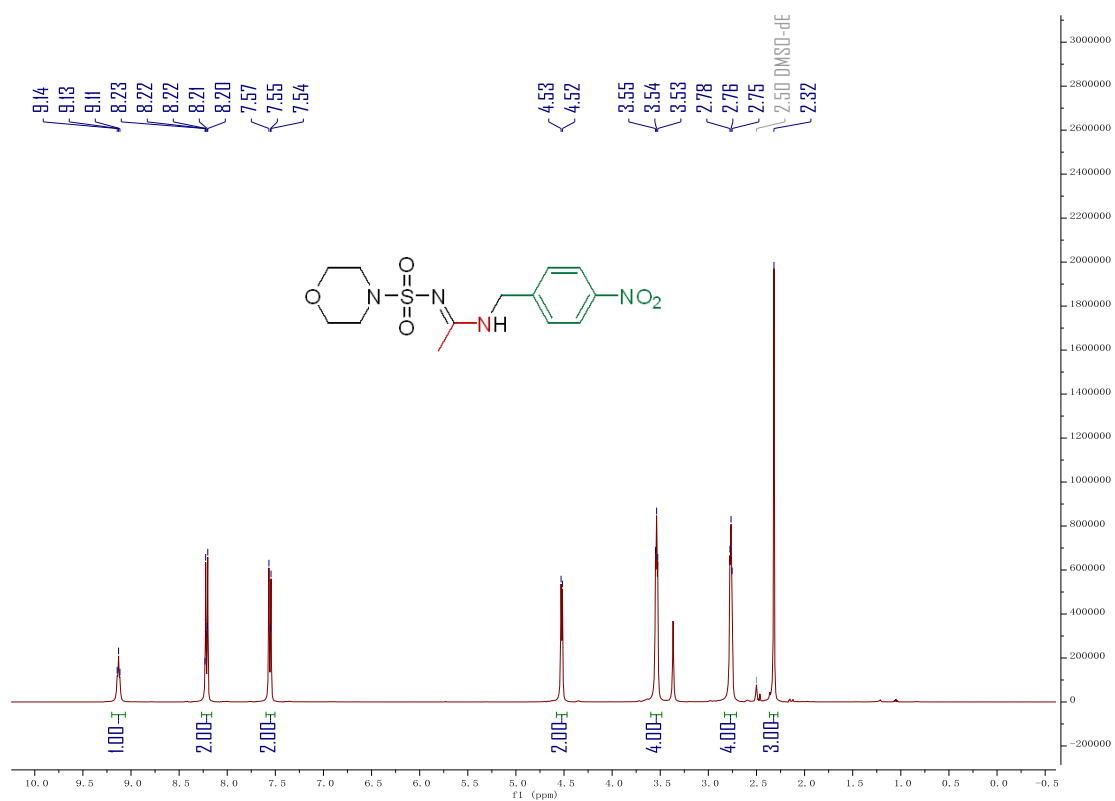
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound 4y



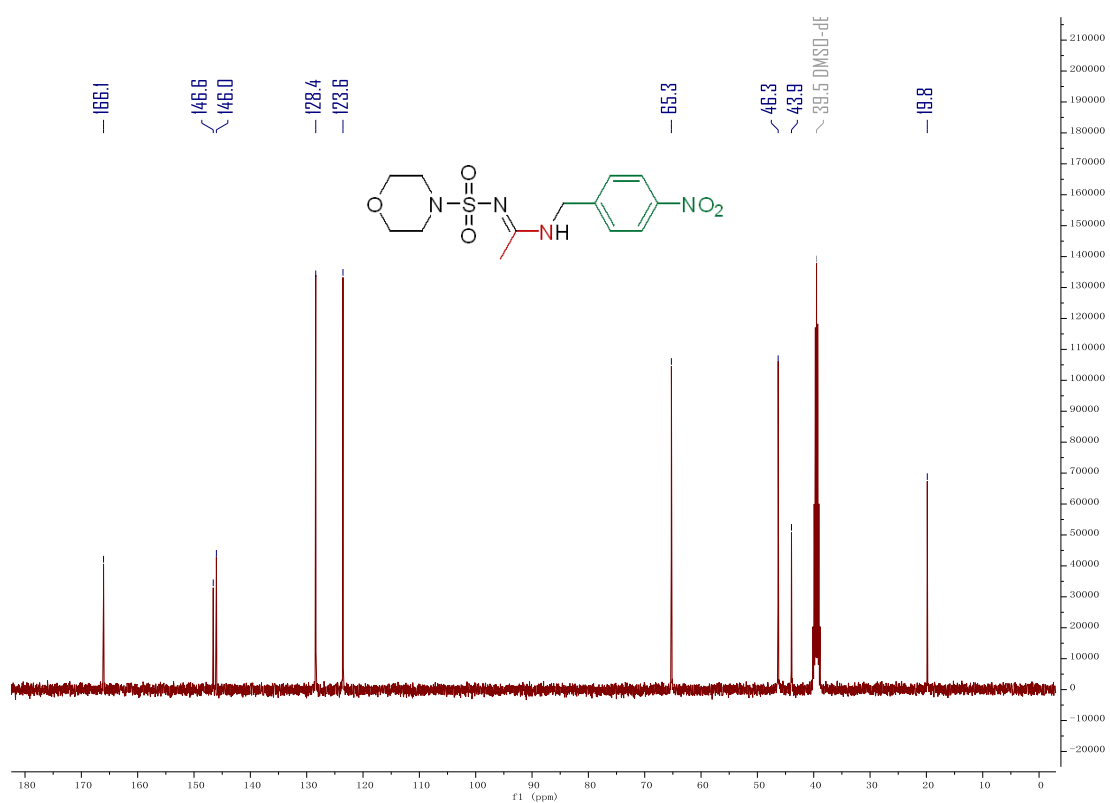
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound 4y



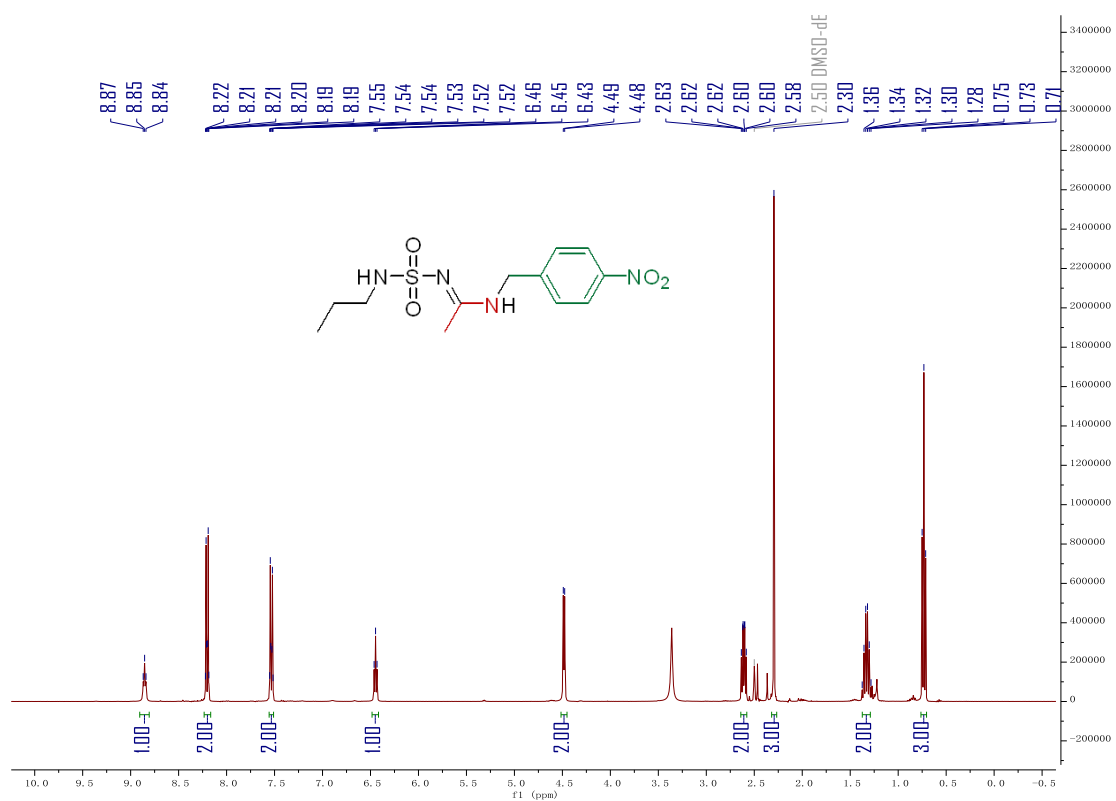
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4z**



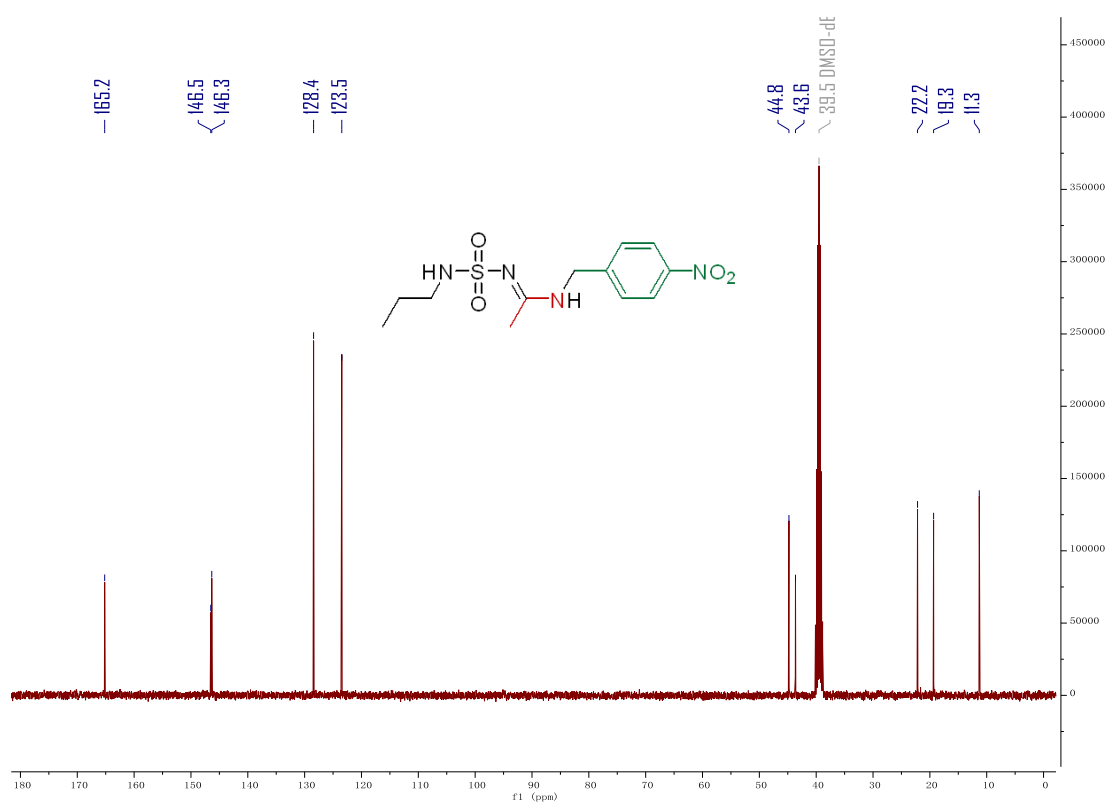
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4z**



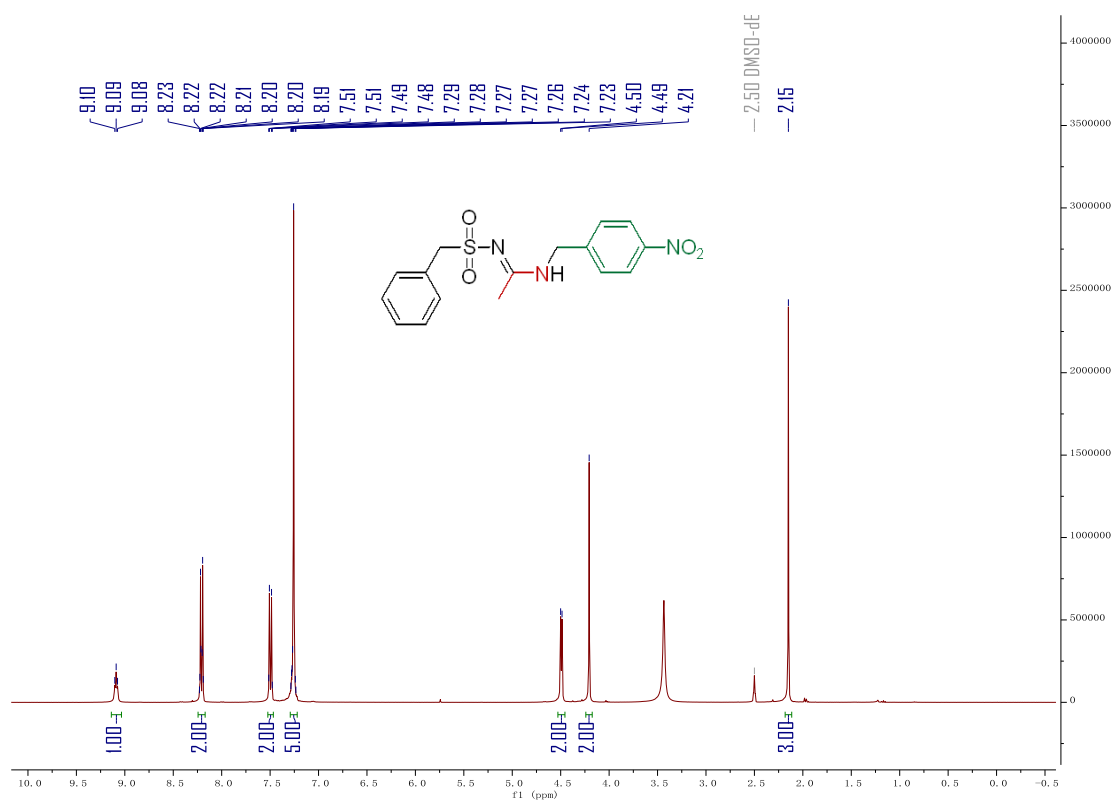
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4aa**



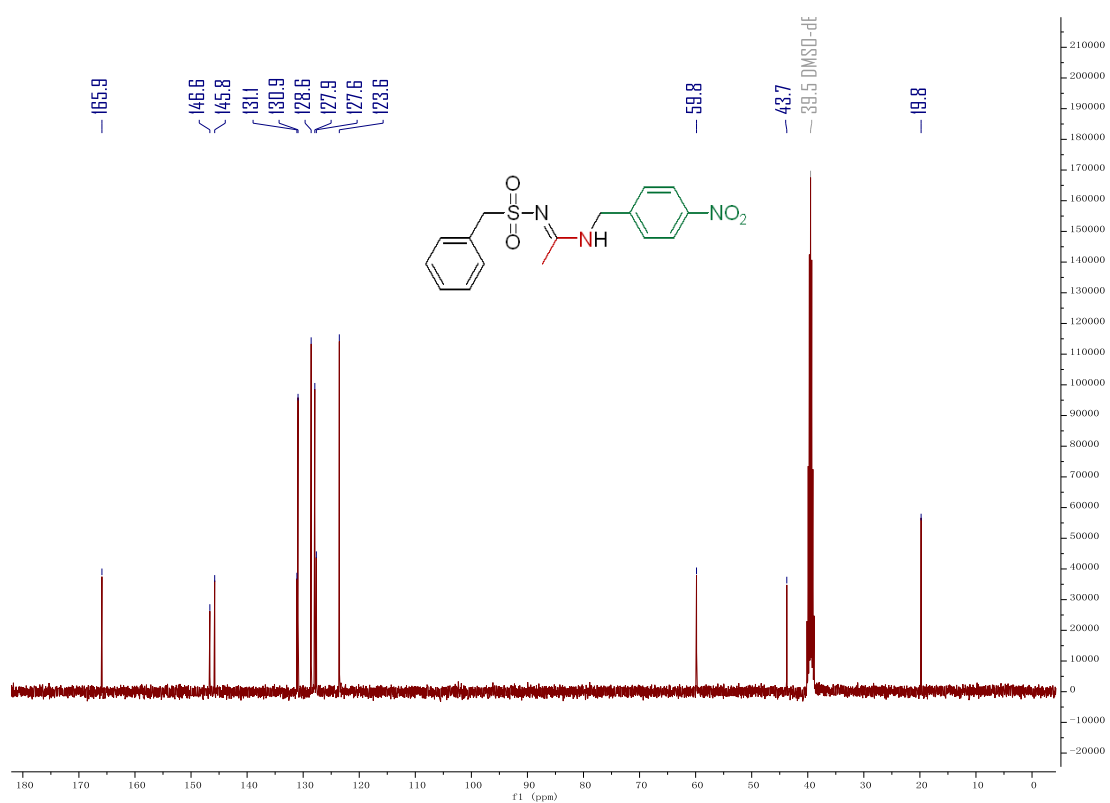
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4aa**



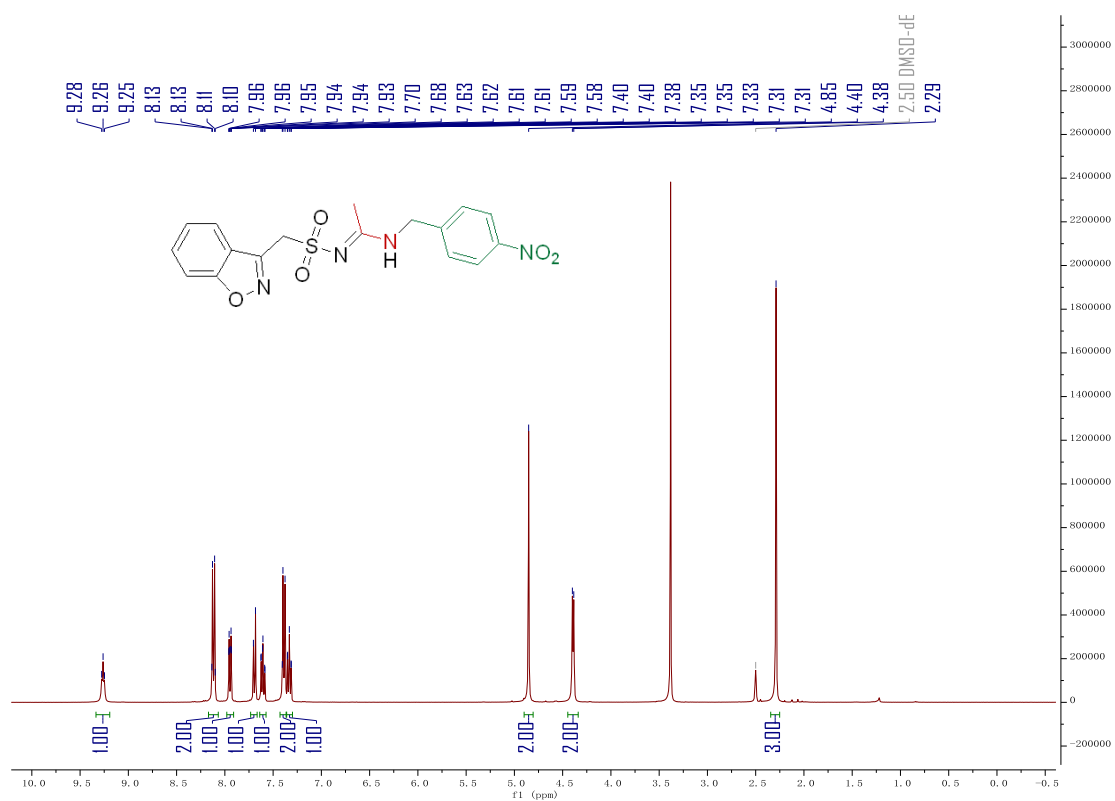
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4ab**



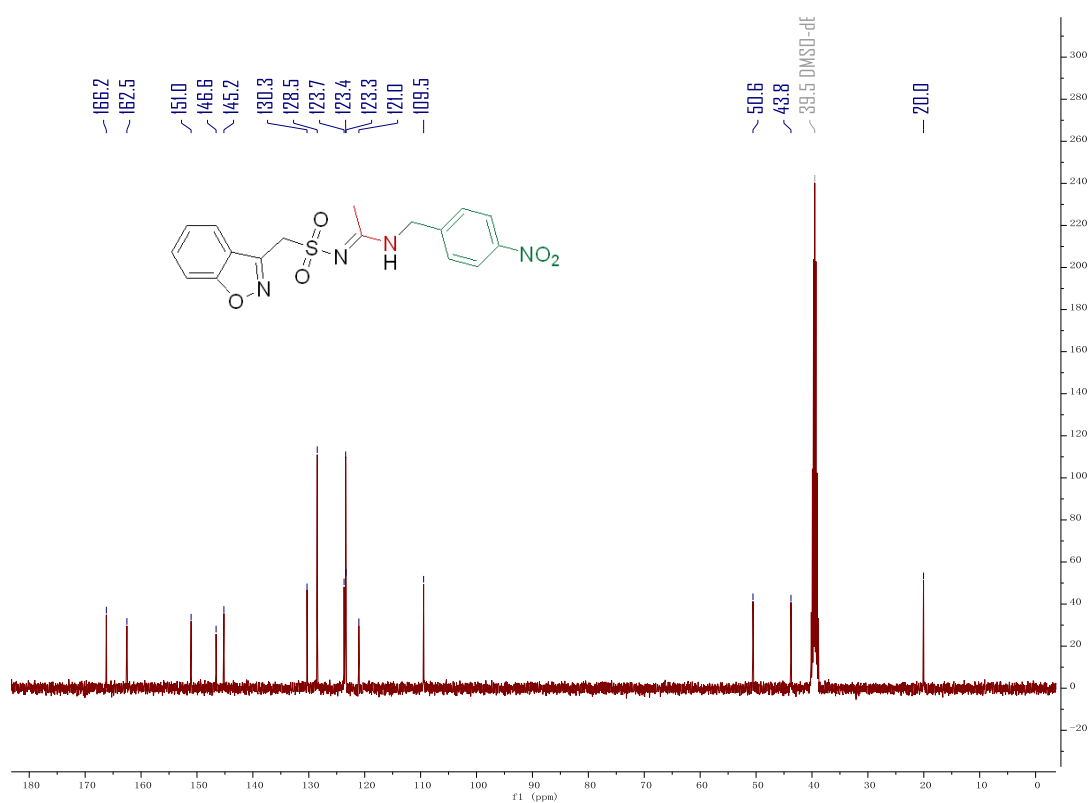
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4ab**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4ac**

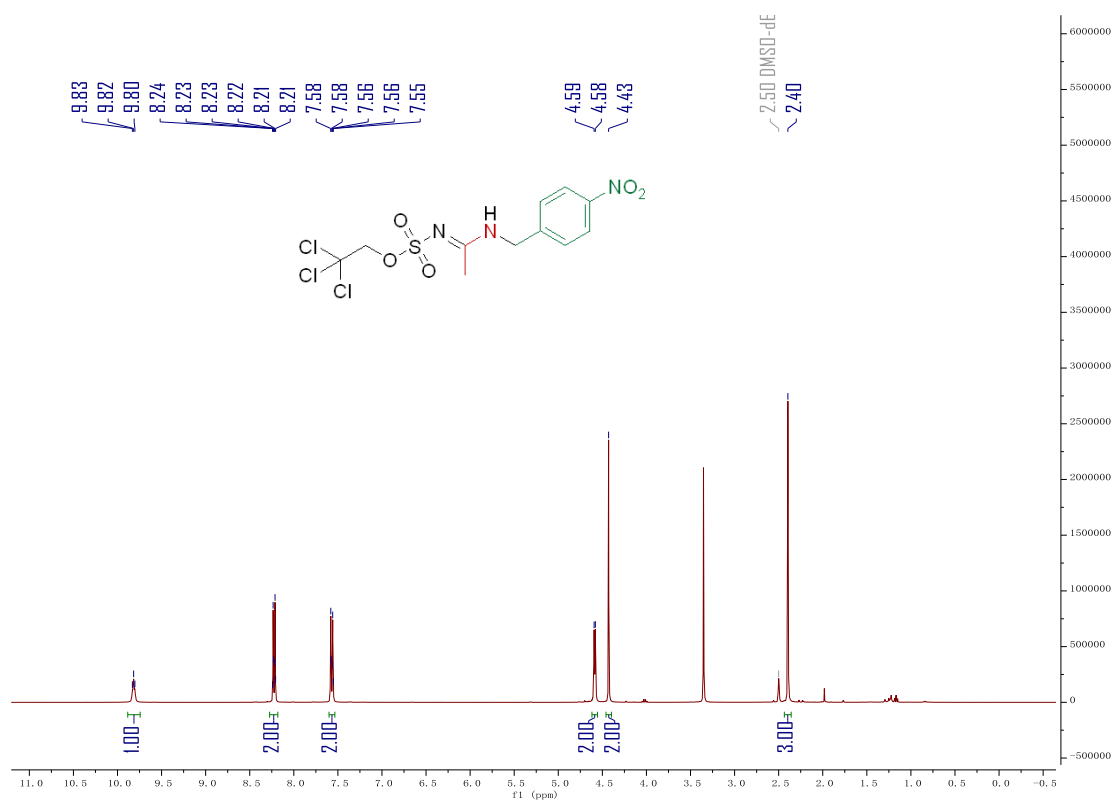


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4ac**

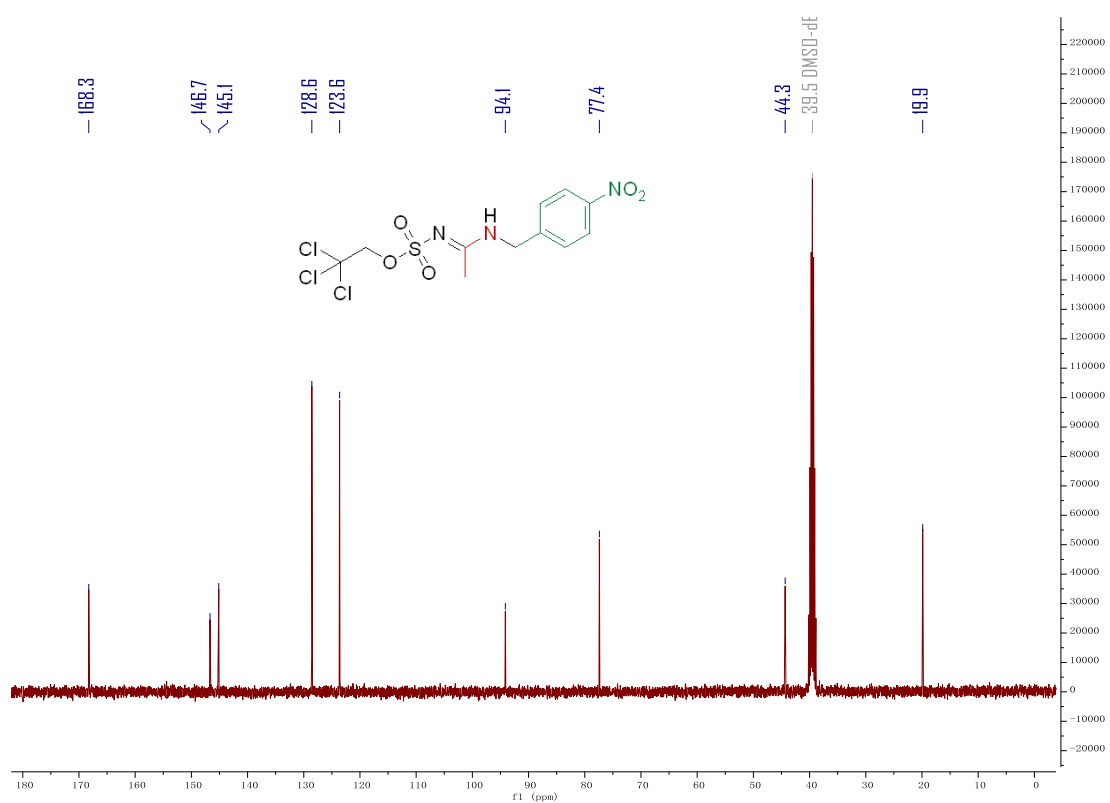




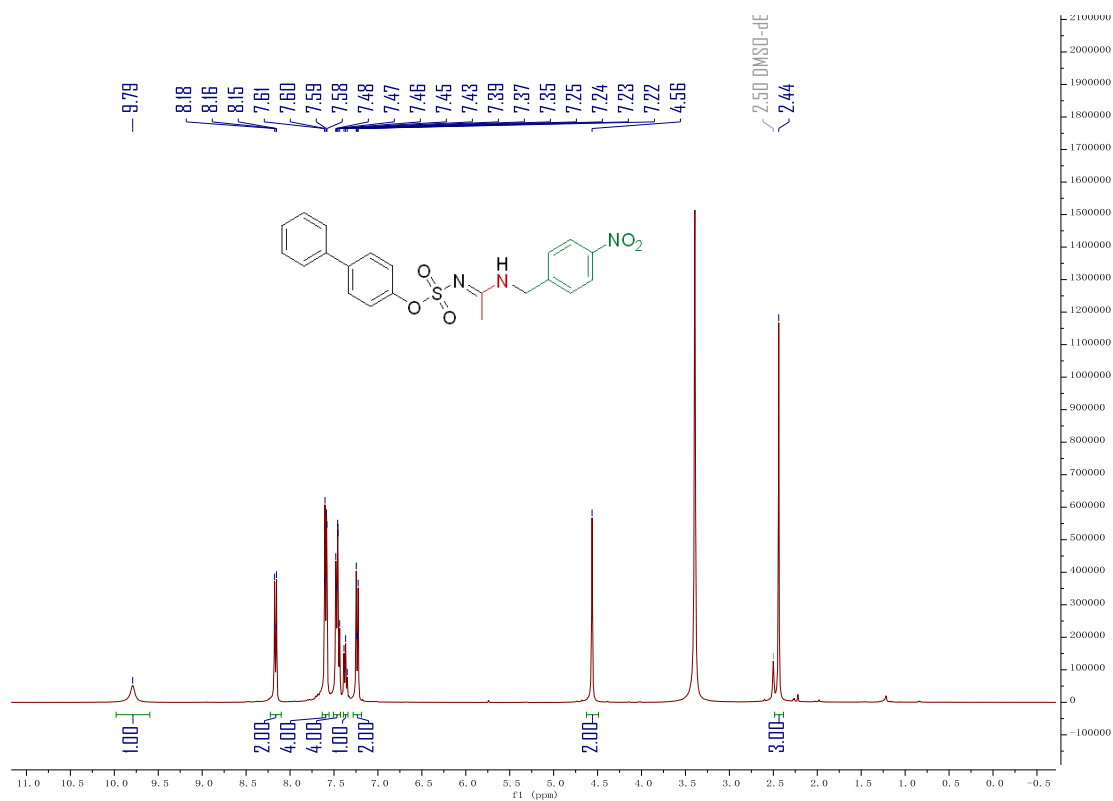
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4ad**



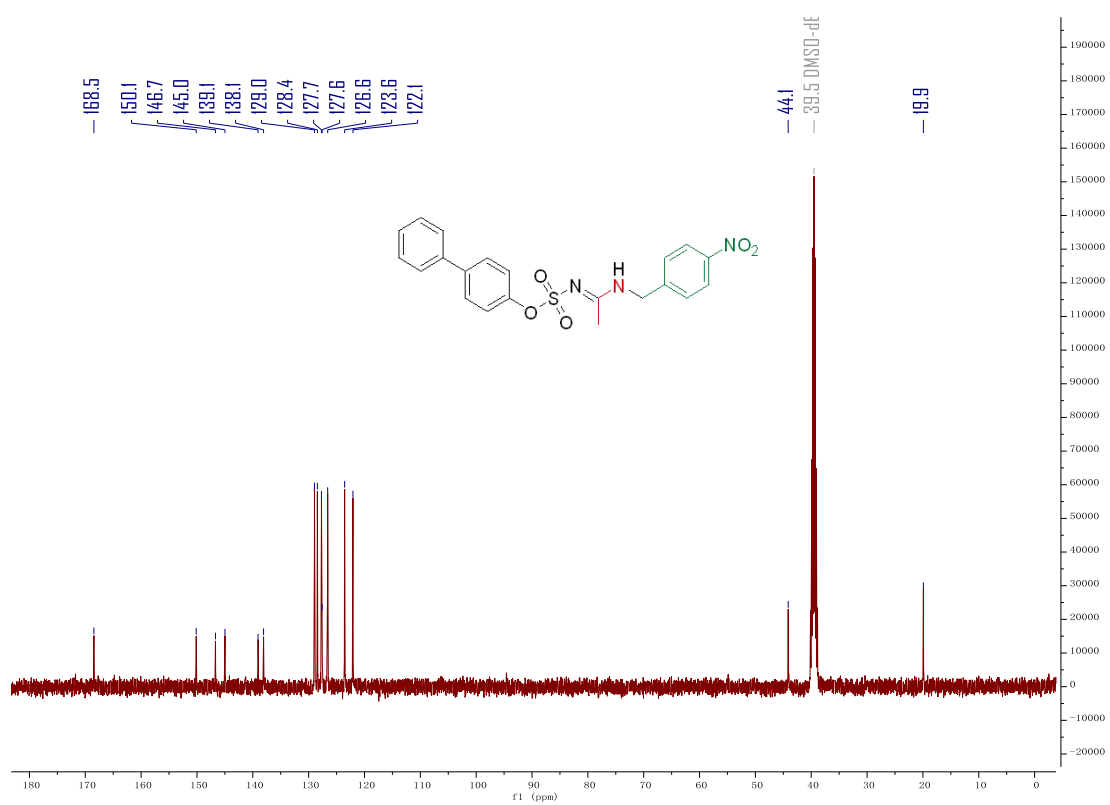
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4ad**



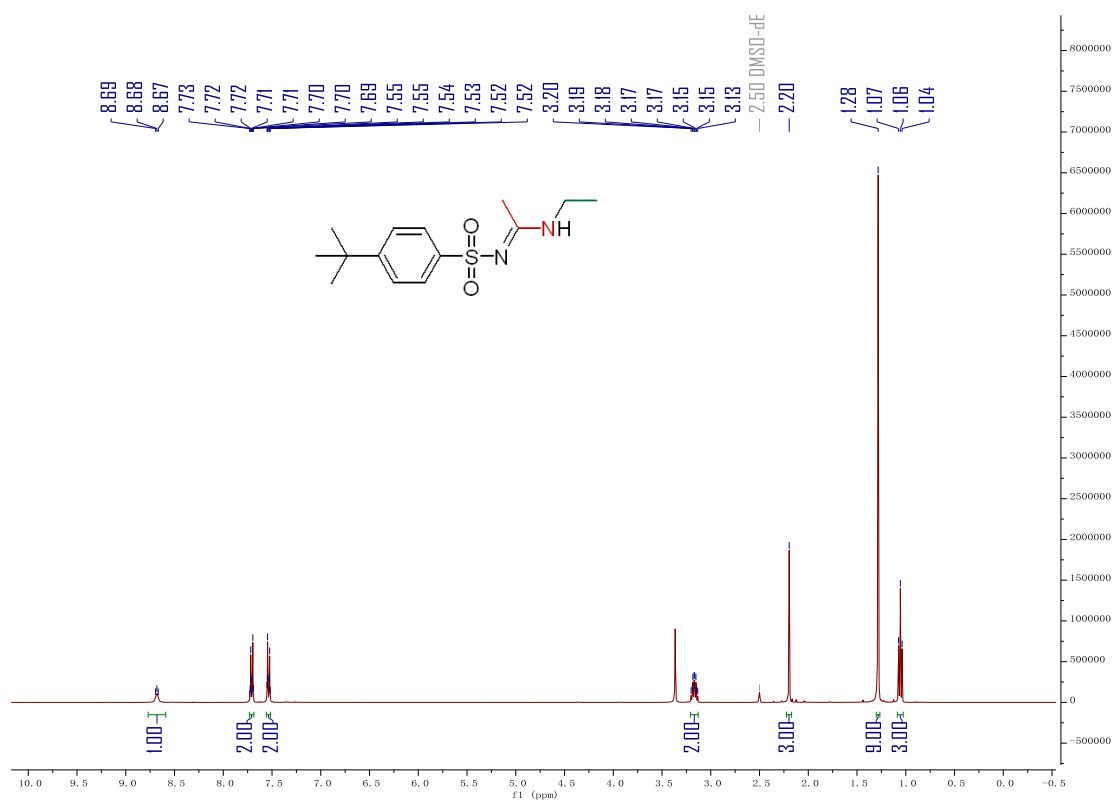
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 4ae**



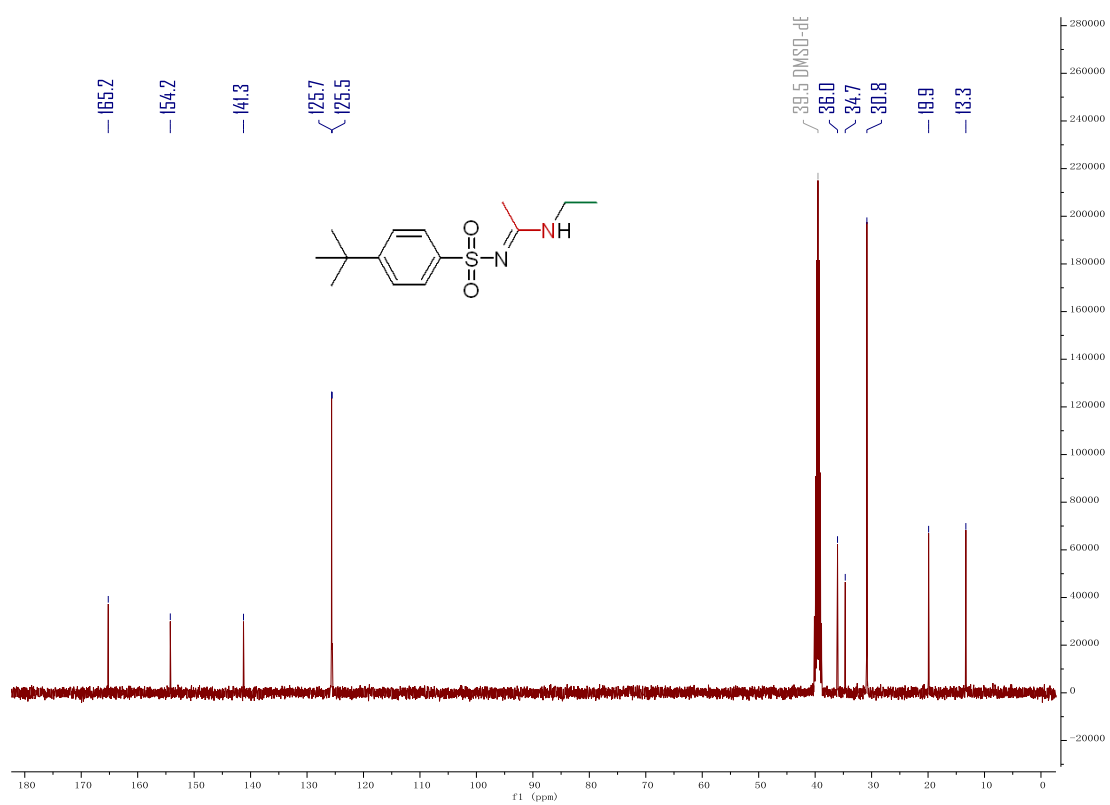
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 4ae**



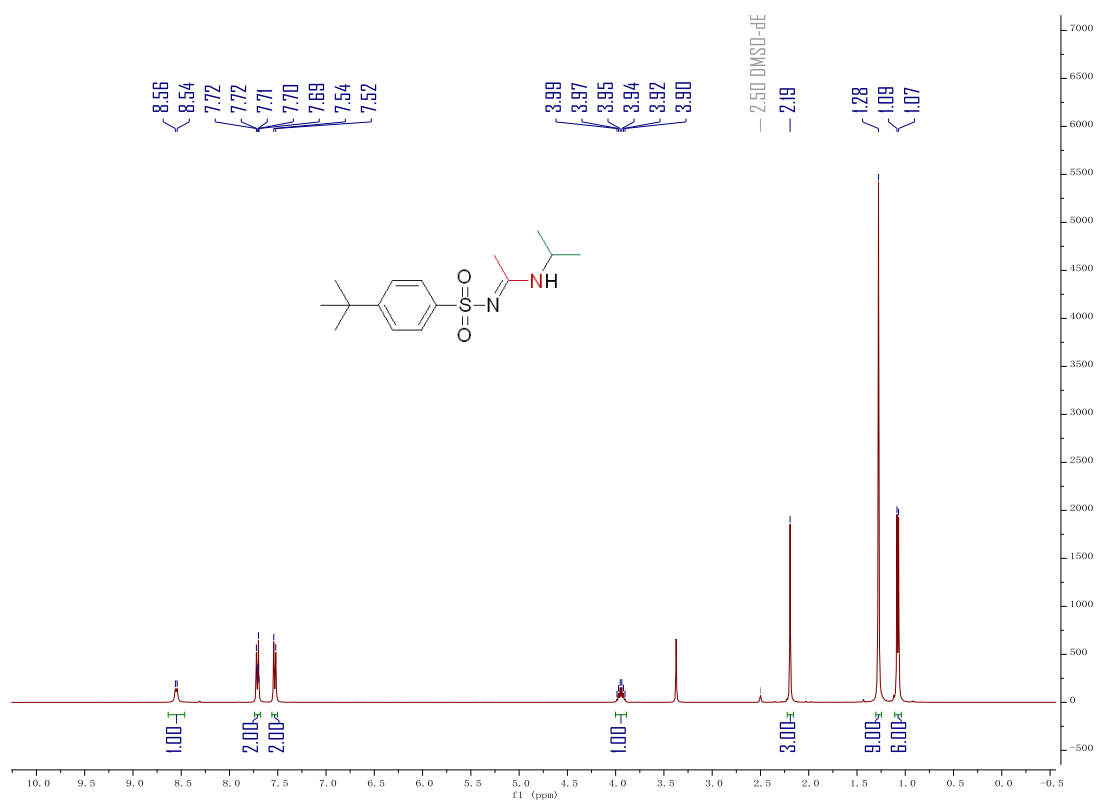
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5a**



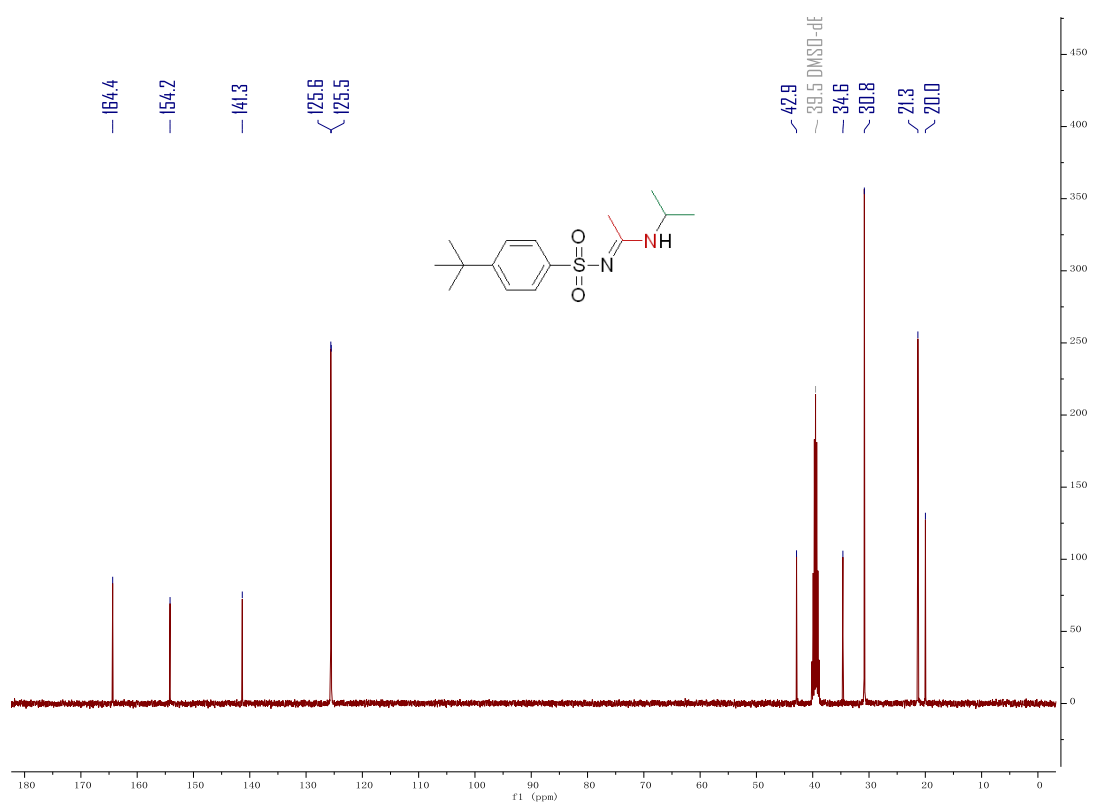
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5a**



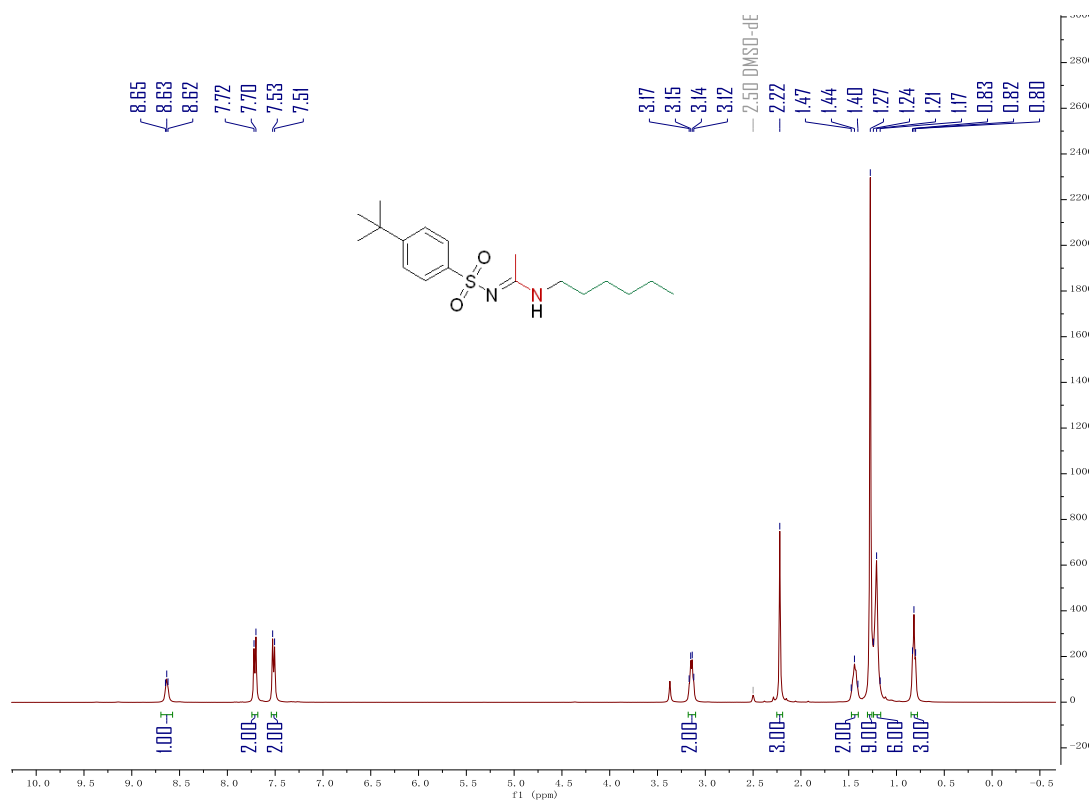
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5b**



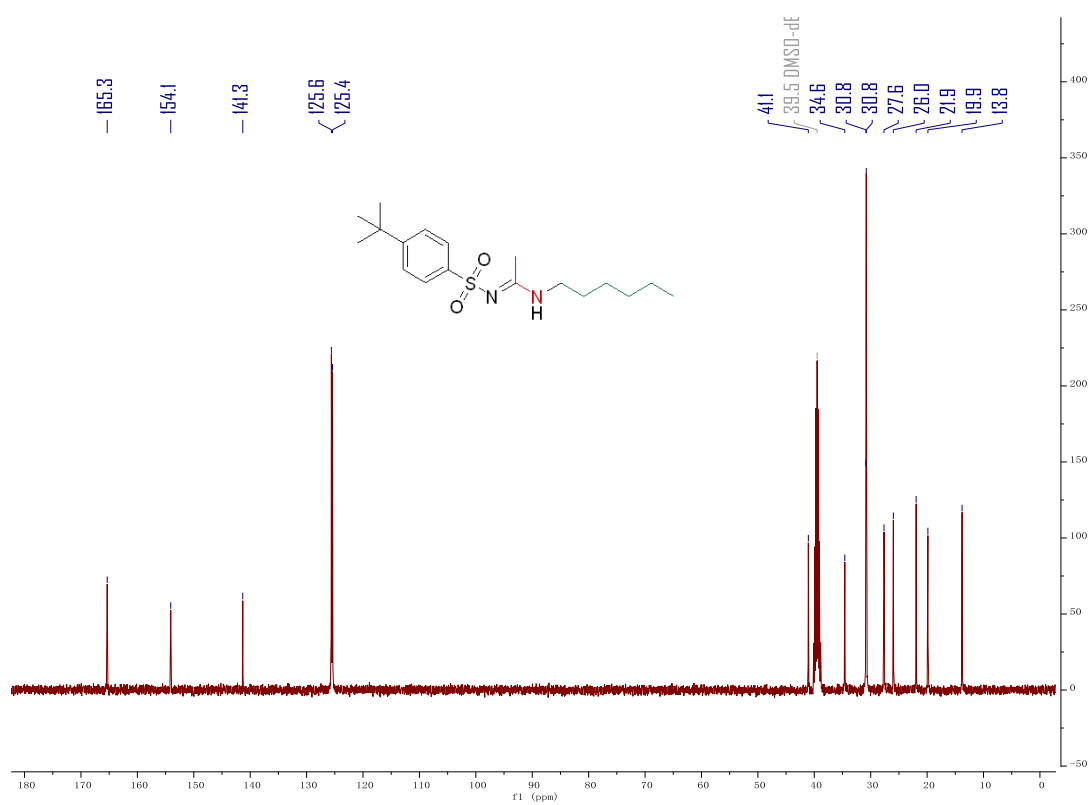
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5b**



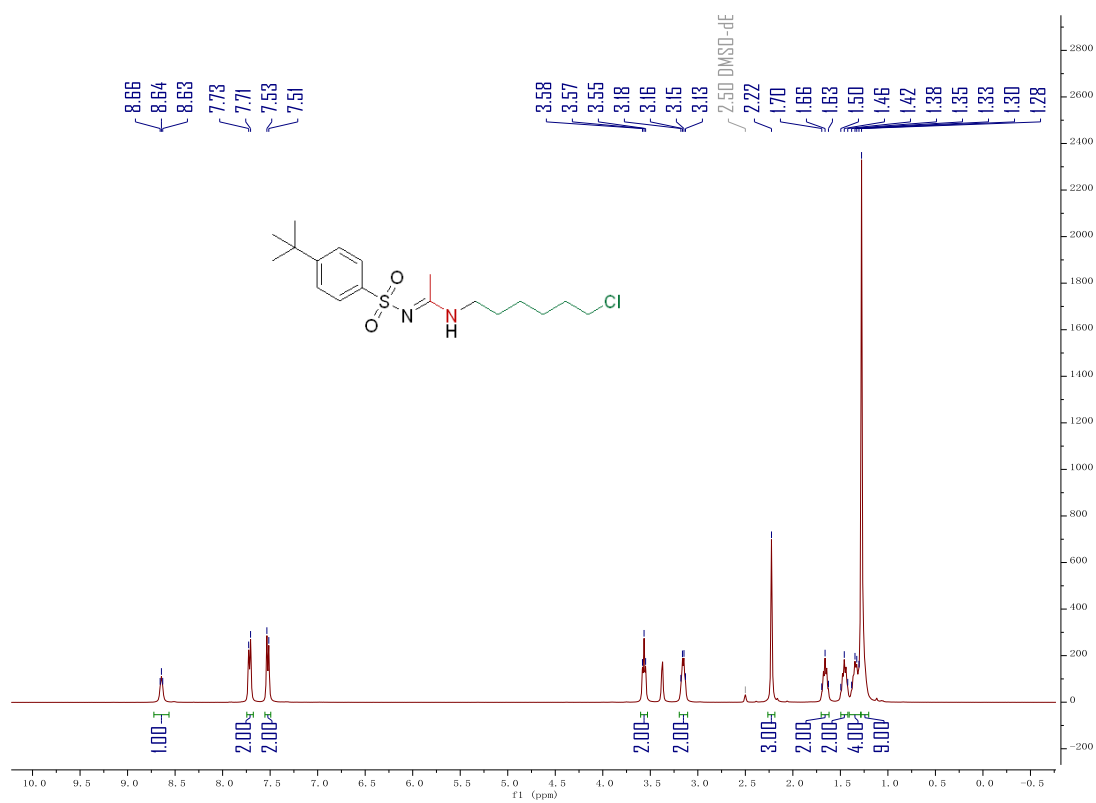
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5c**



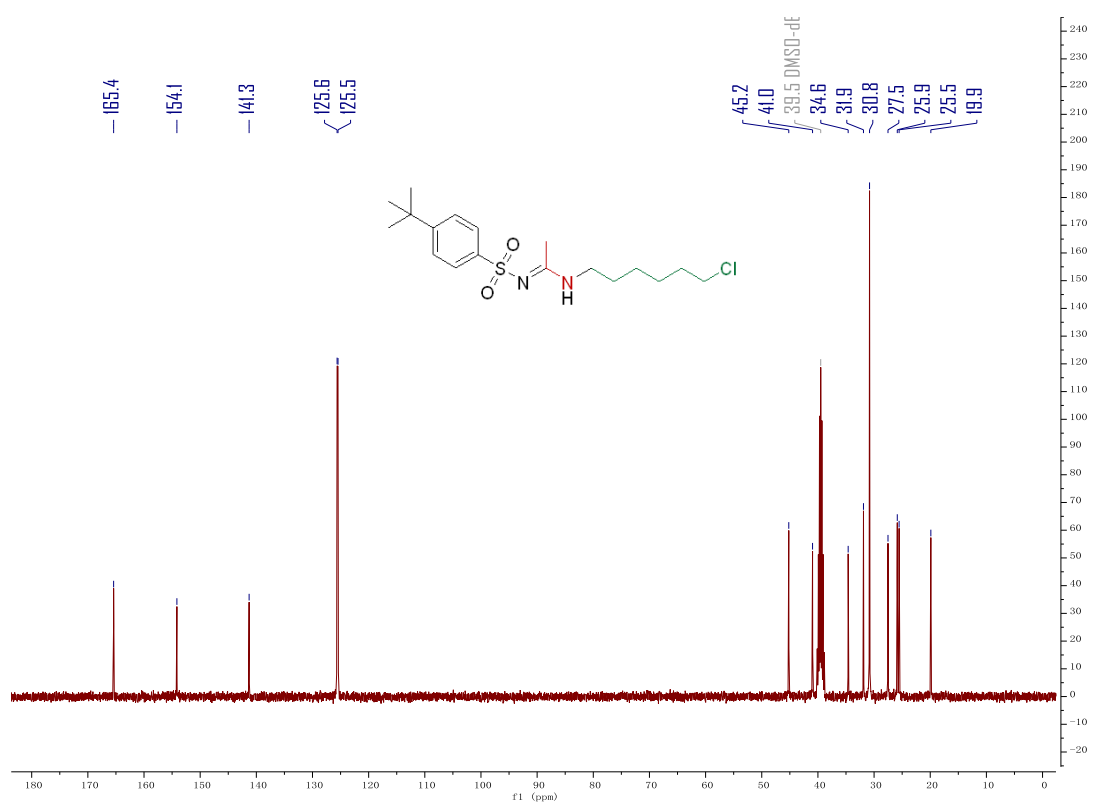
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5c**



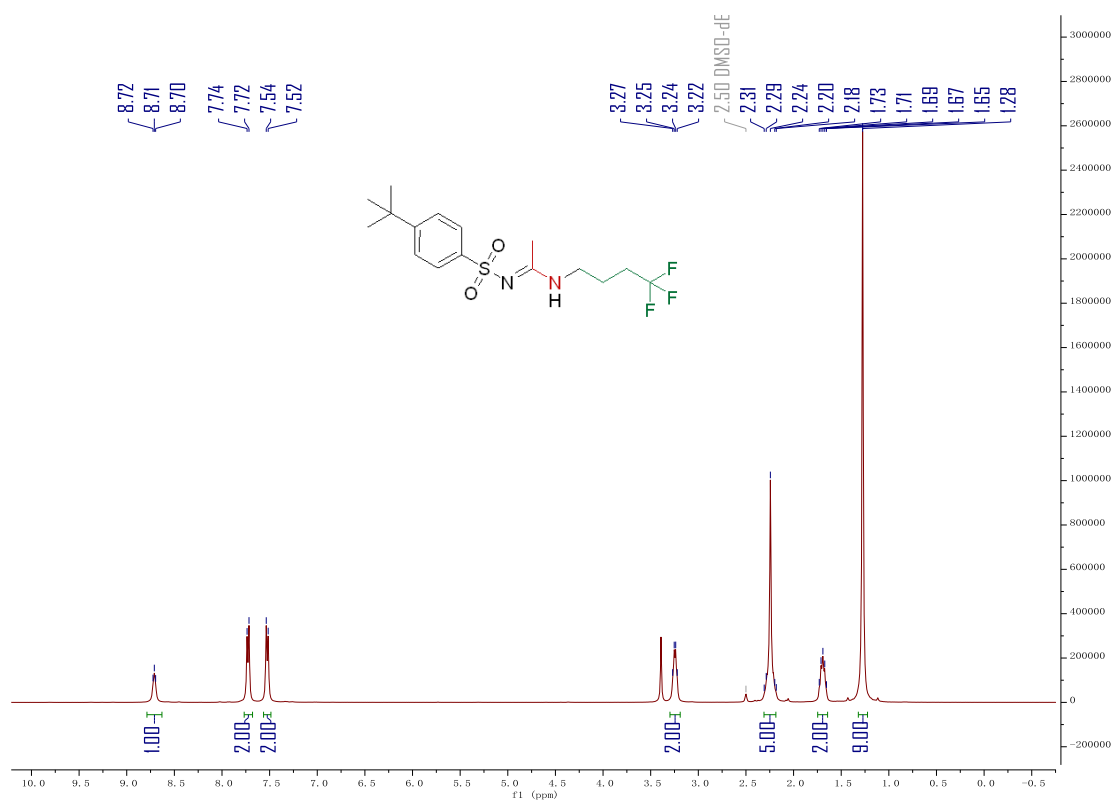
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5d**



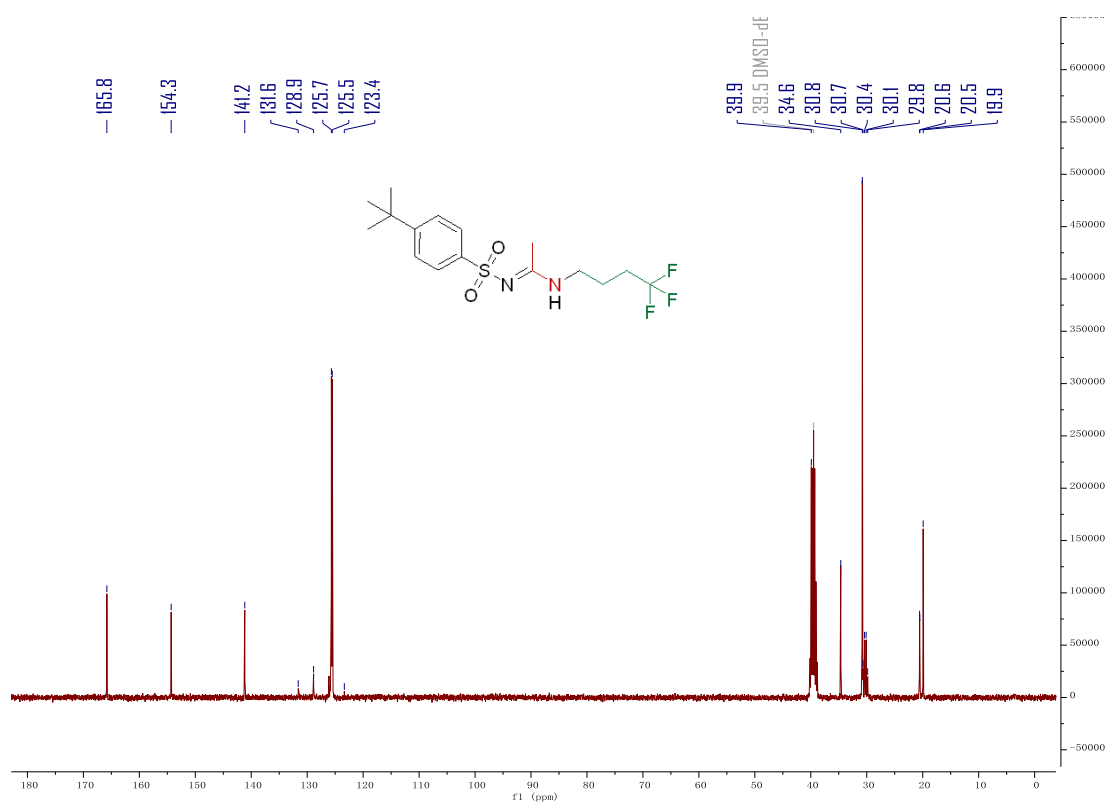
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5d**



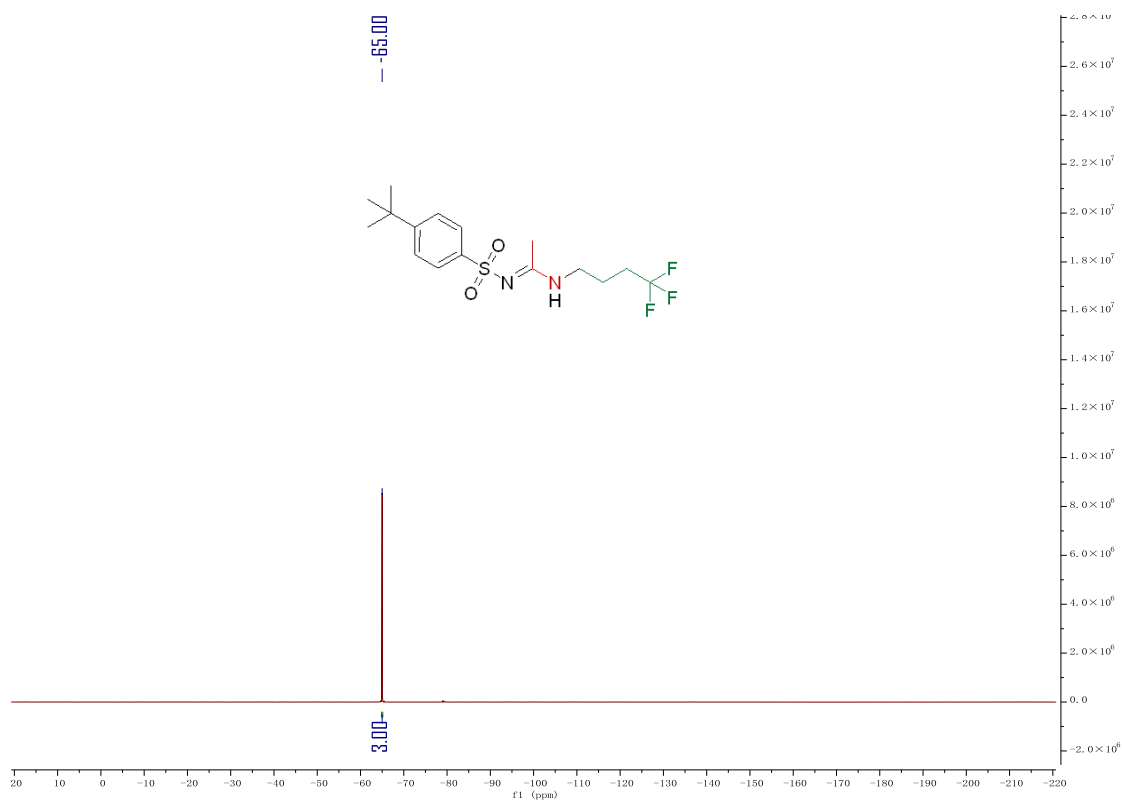
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5e**



**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5e**

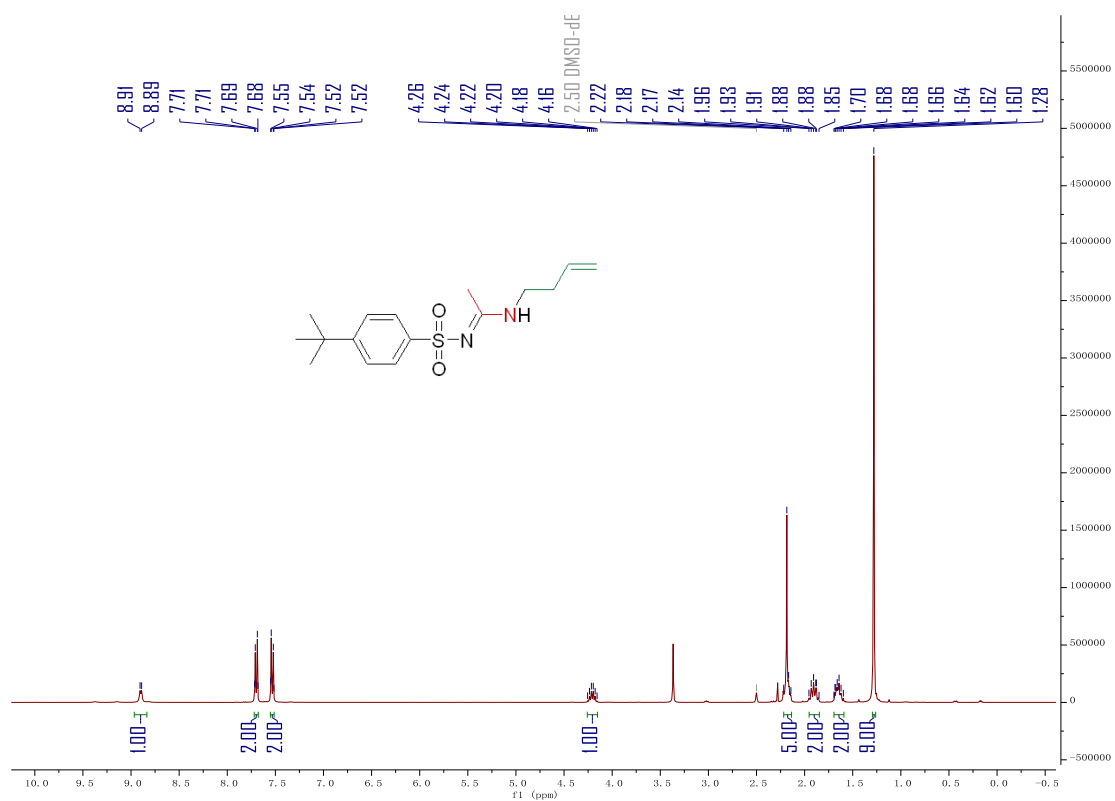


<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **5e**

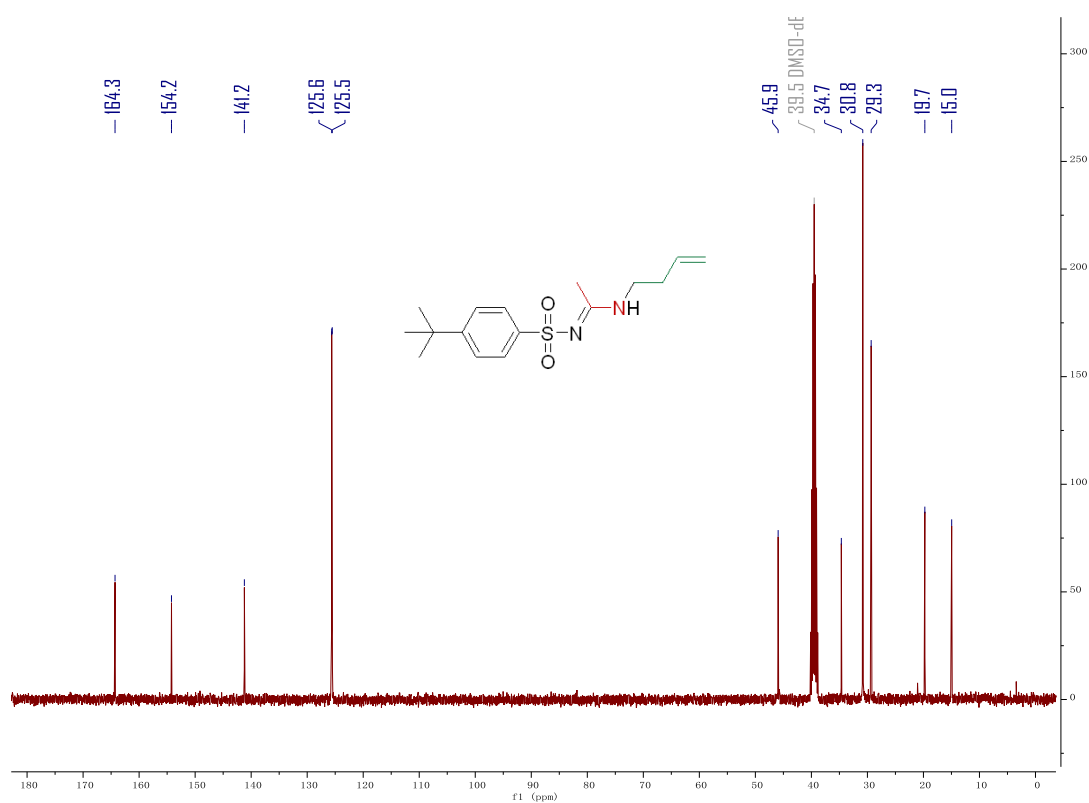




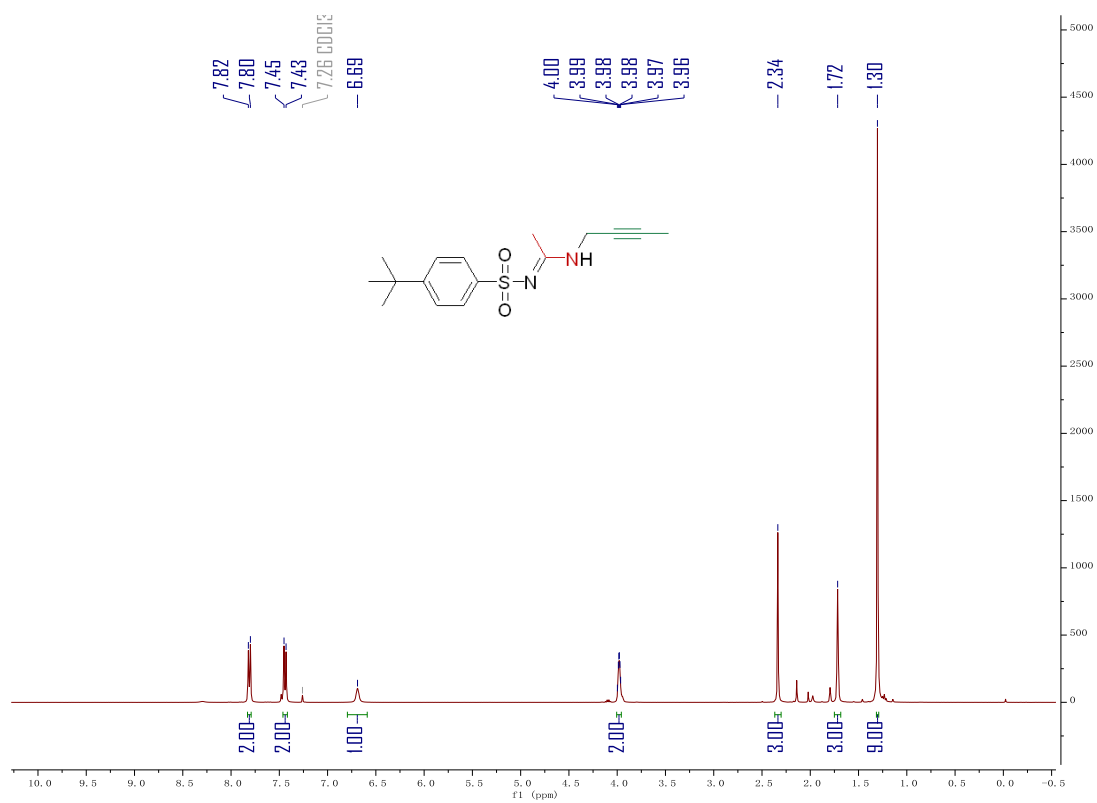
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5f**



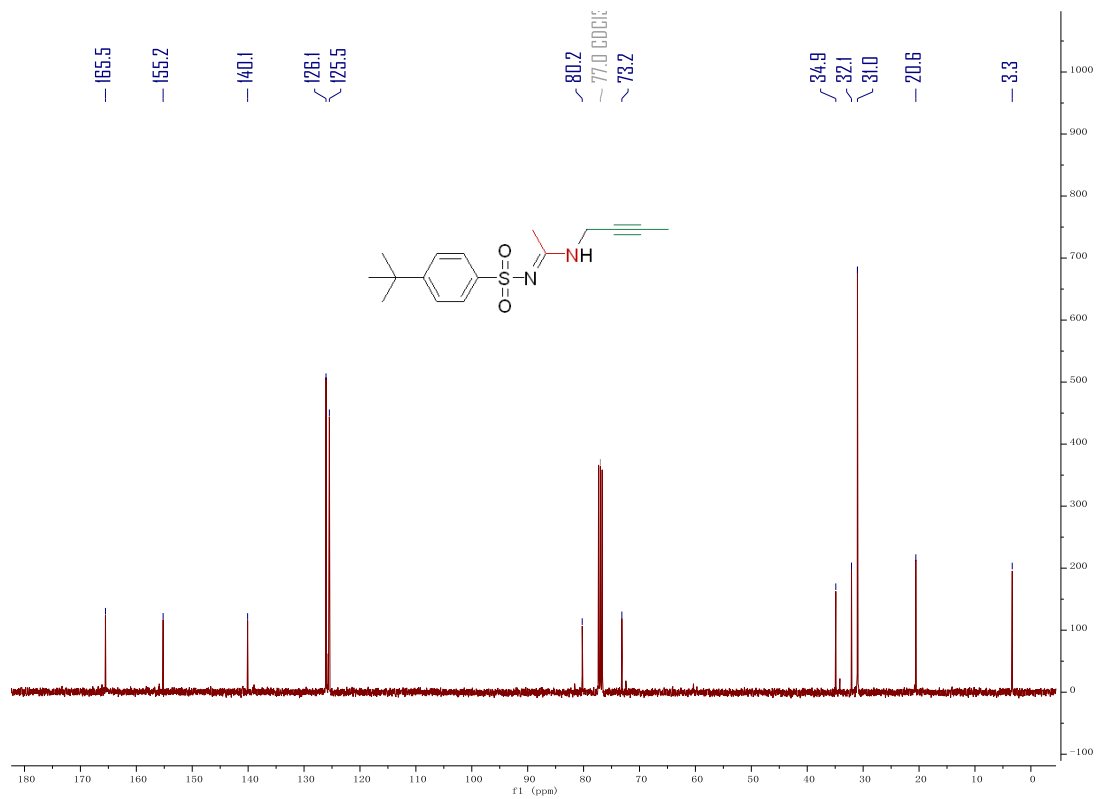
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5f**



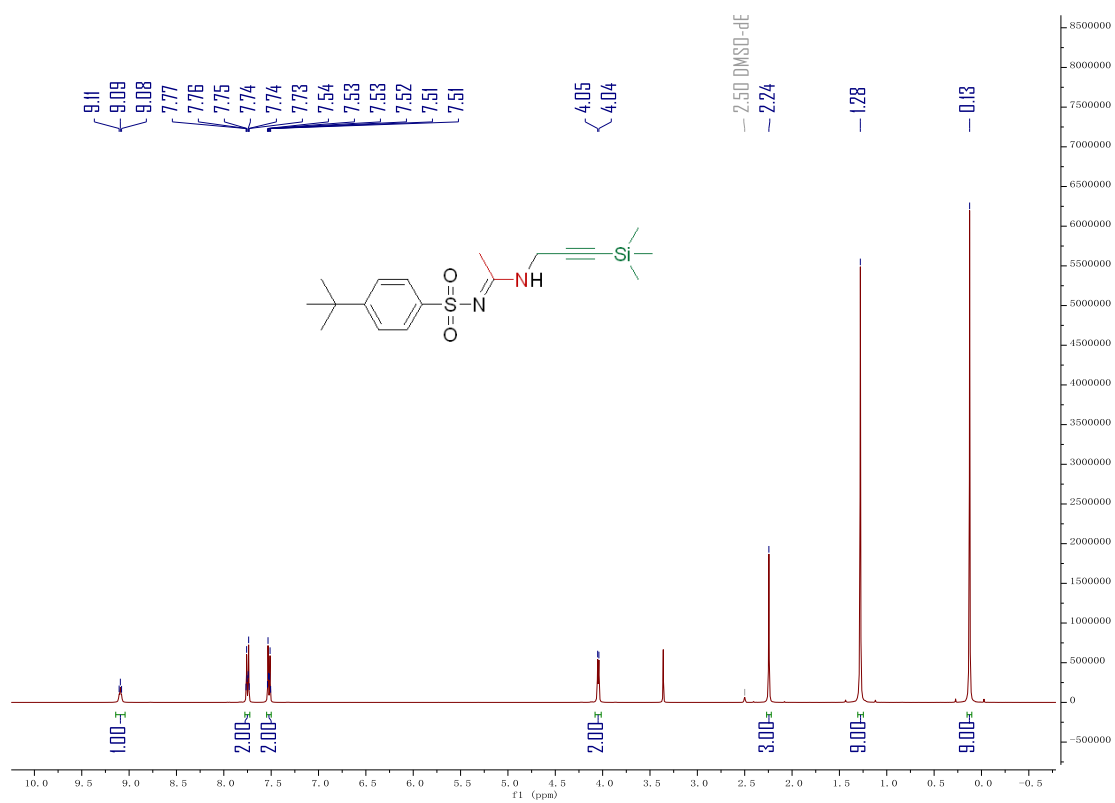
<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) of compound **5g**



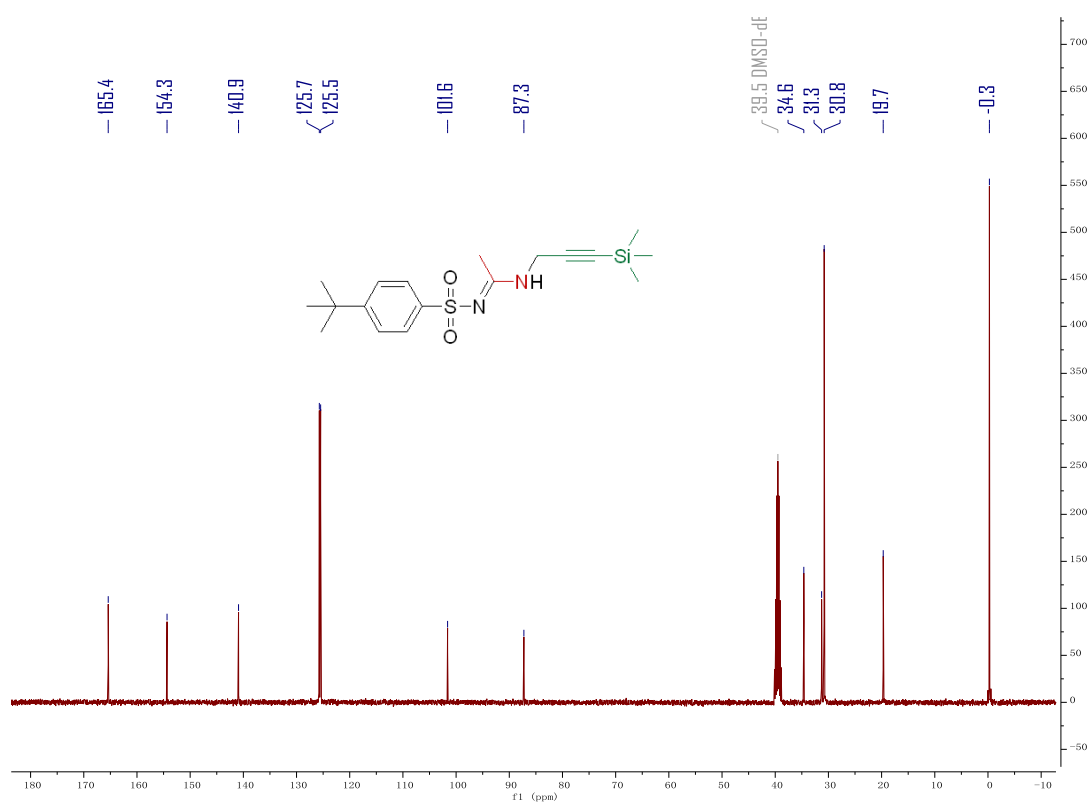
<sup>13</sup>C NMR (100 MHz, Chloroform-*d*) of compound **5g**



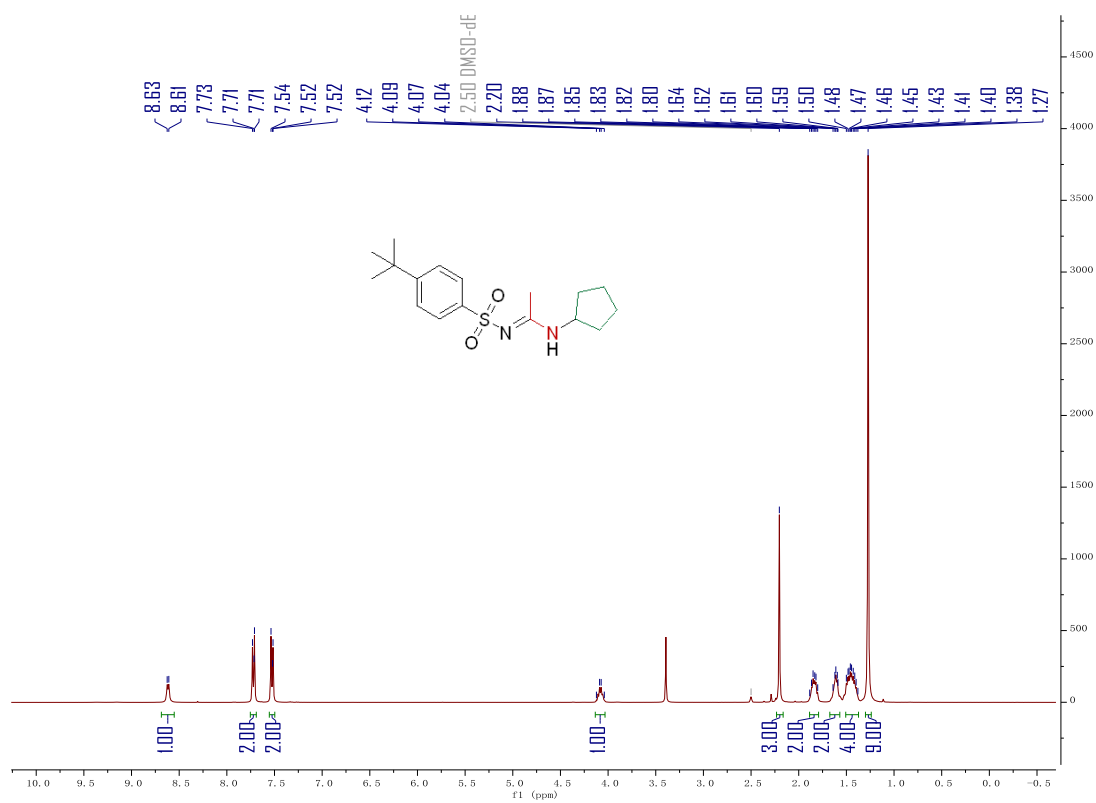
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5h**



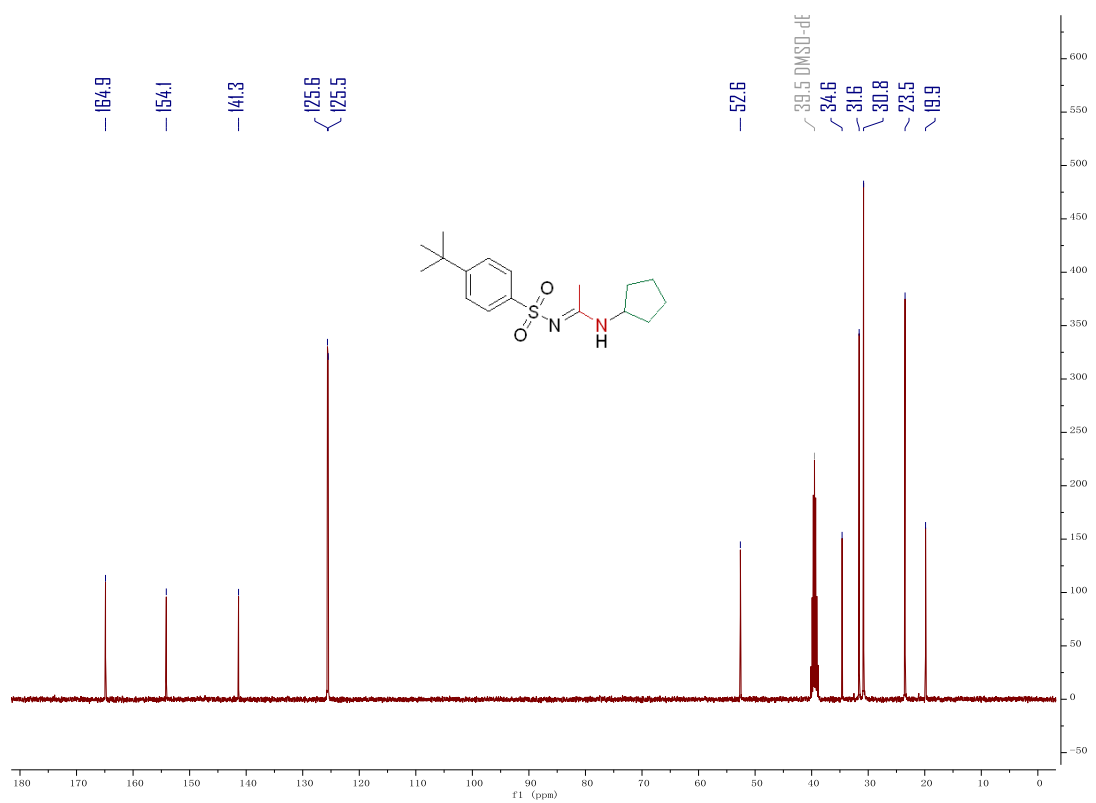
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5h**



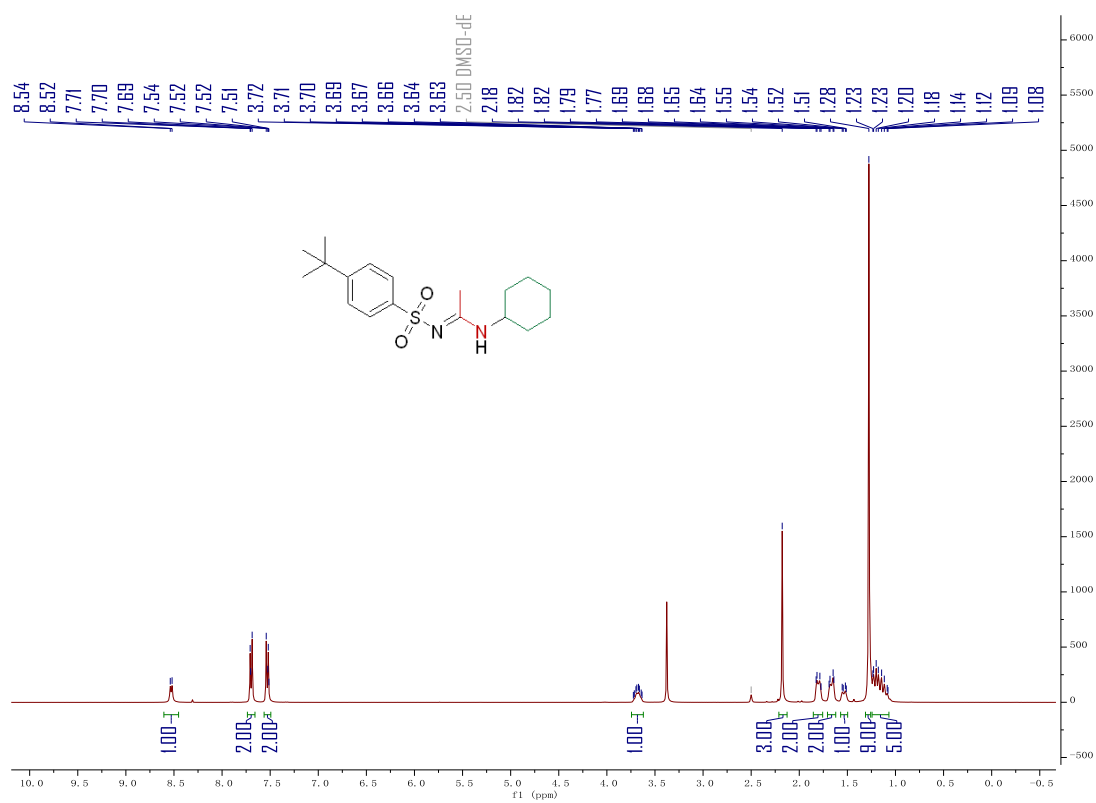
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound **5i****



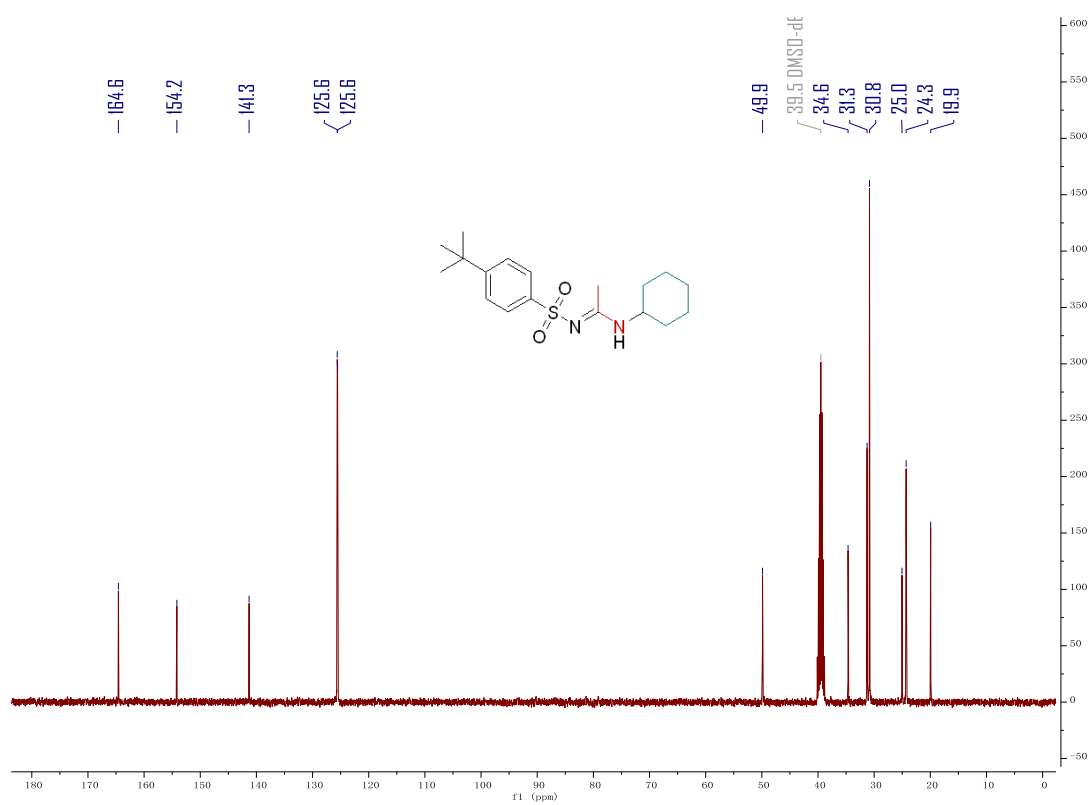
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound **5i****



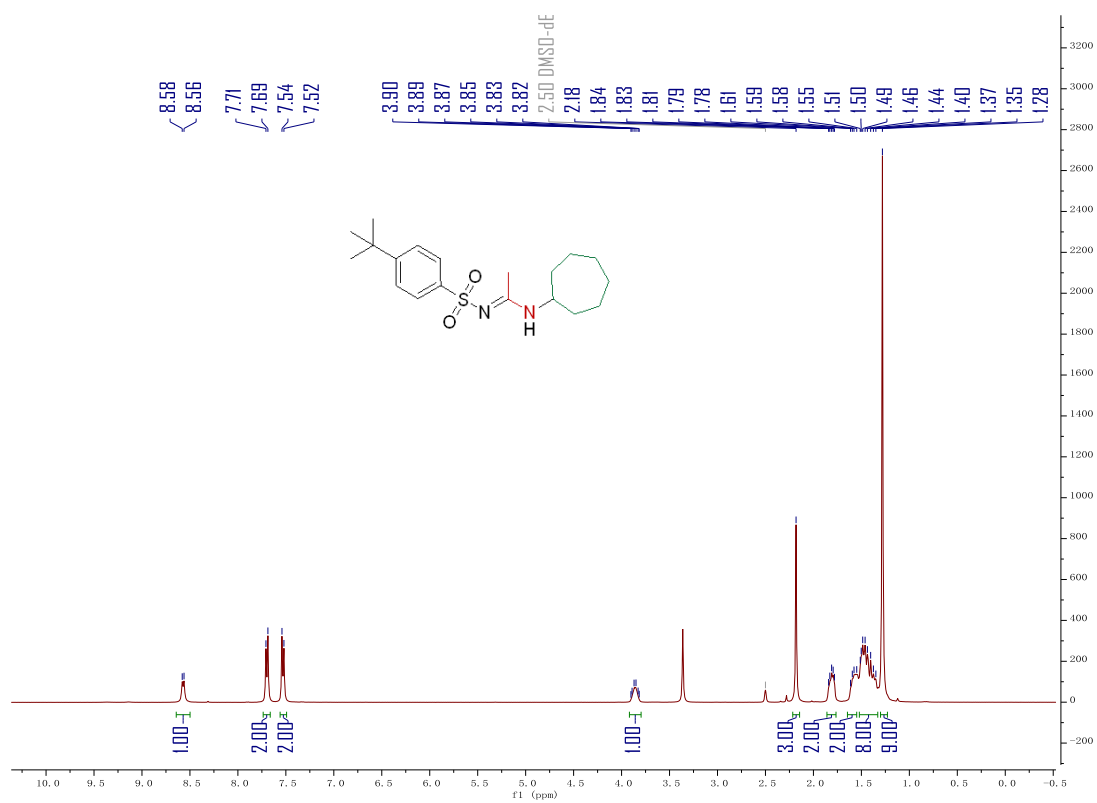
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5j**



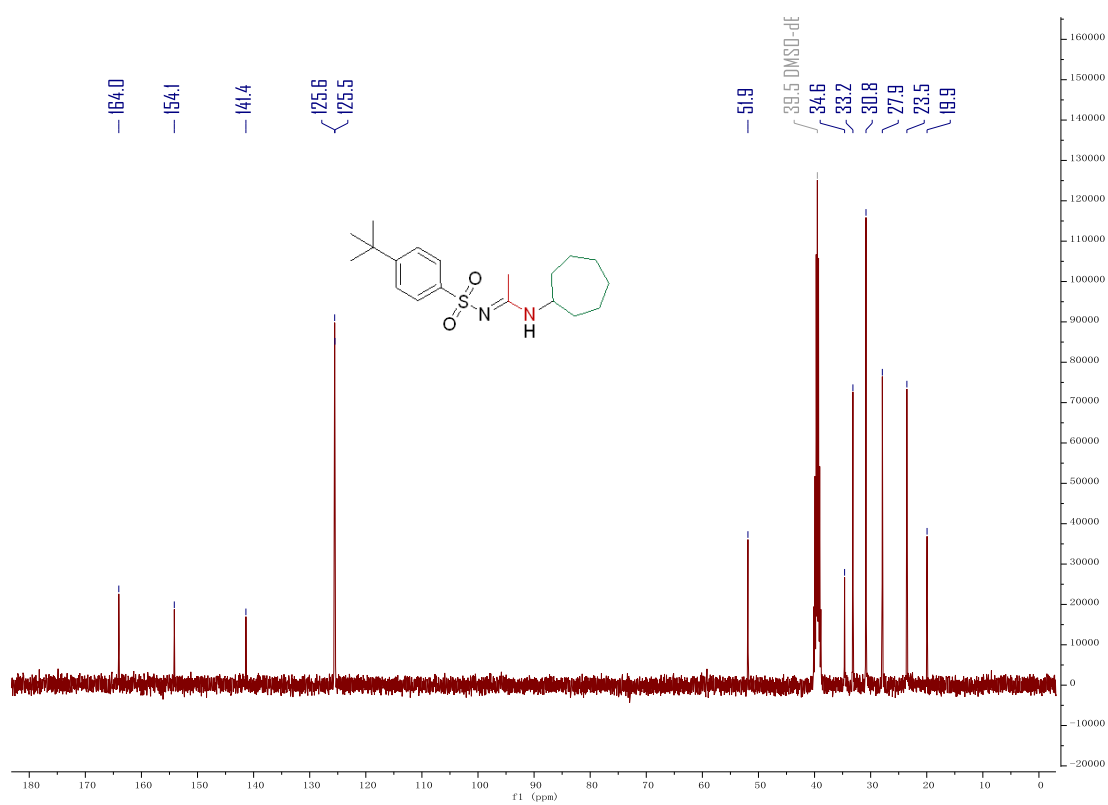
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5j**



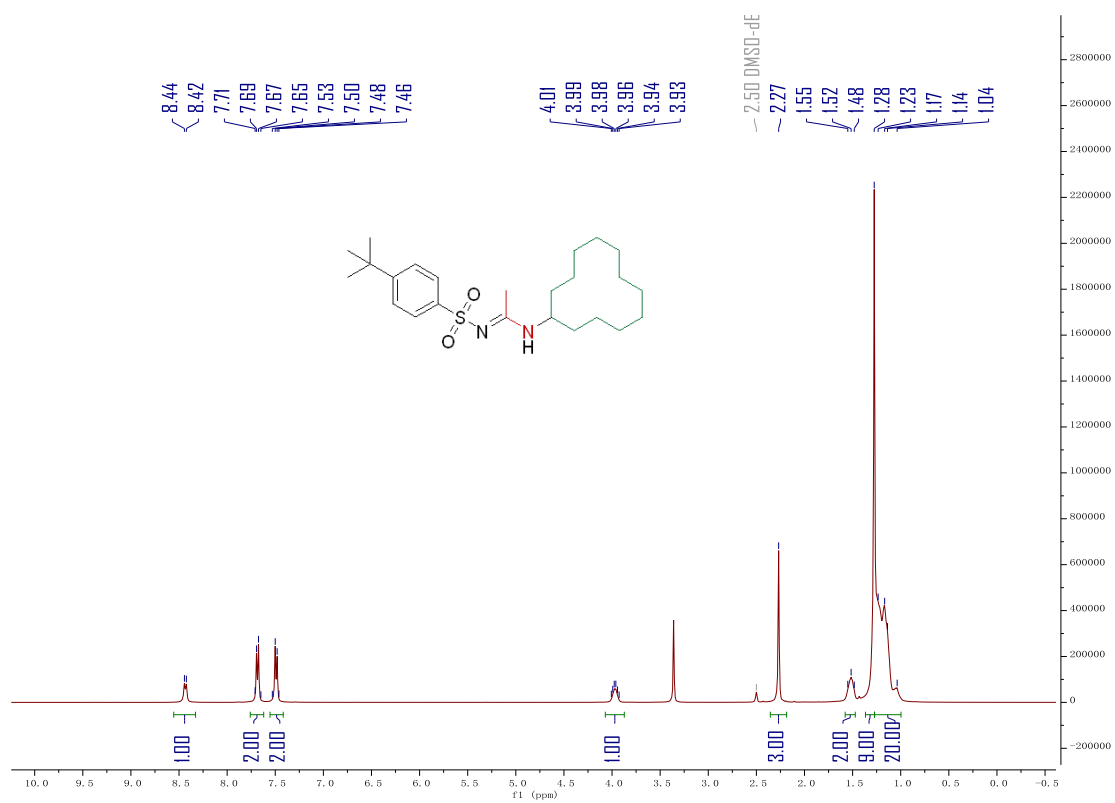
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **5k**



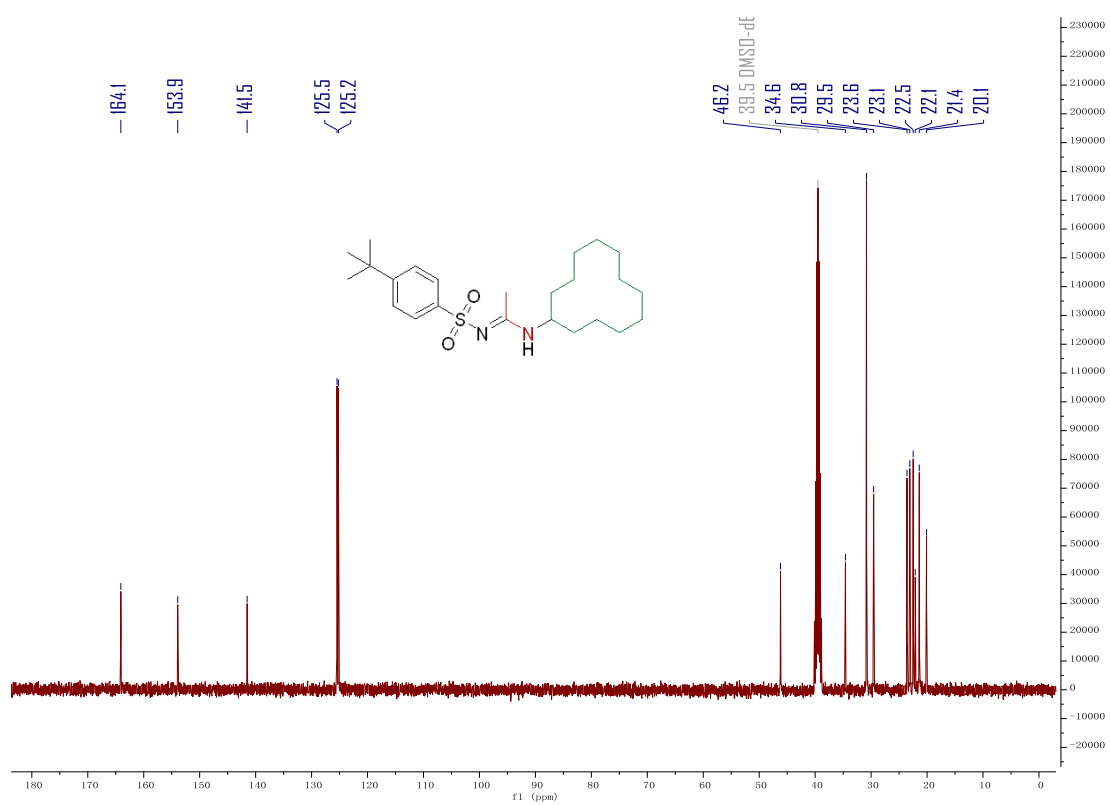
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **5k**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 51**



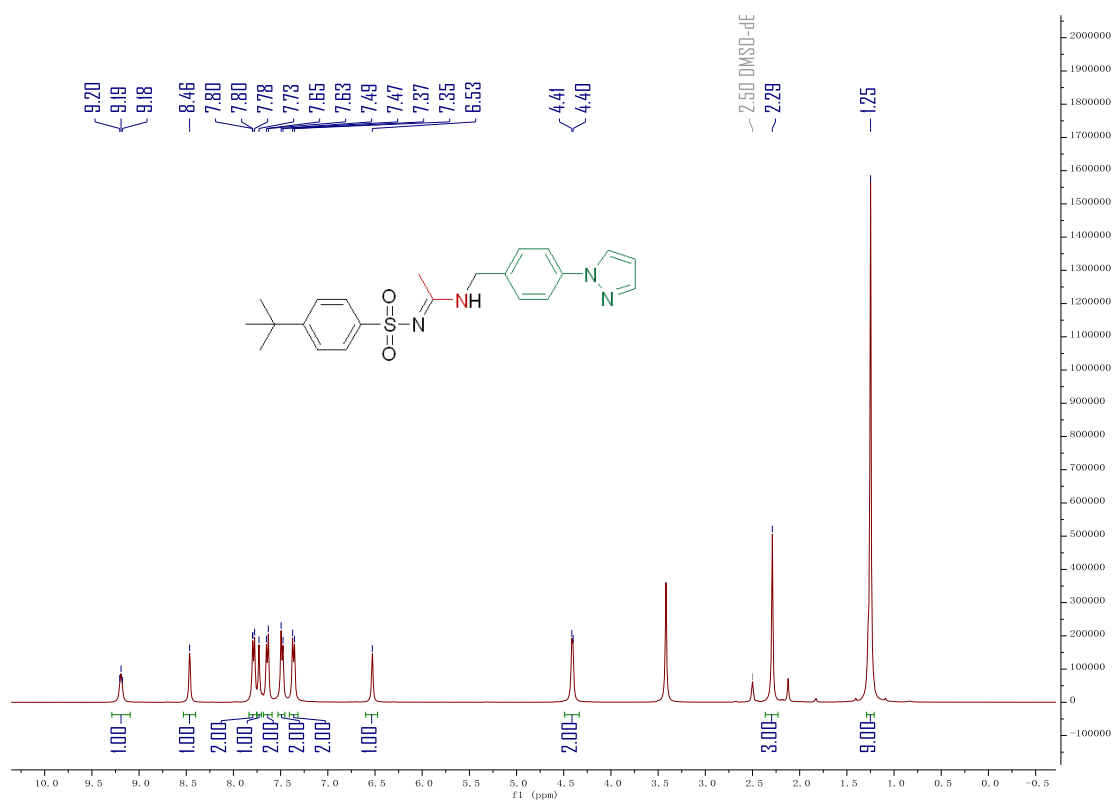
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 51**



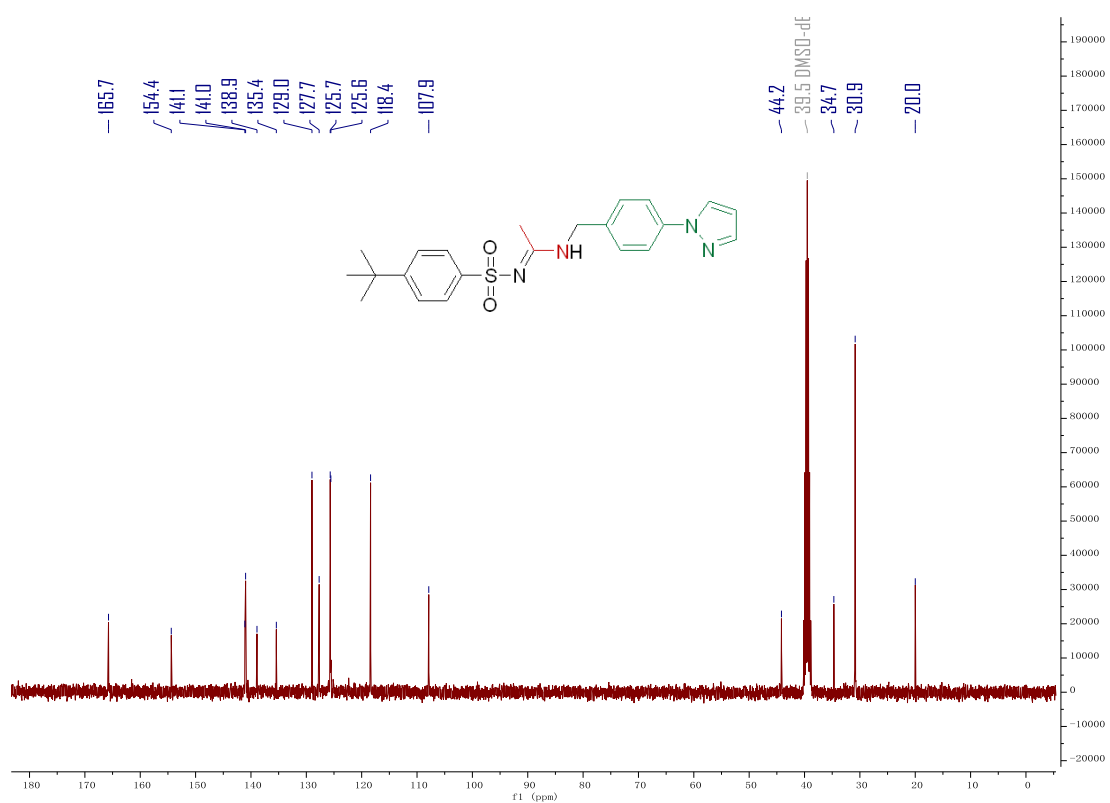




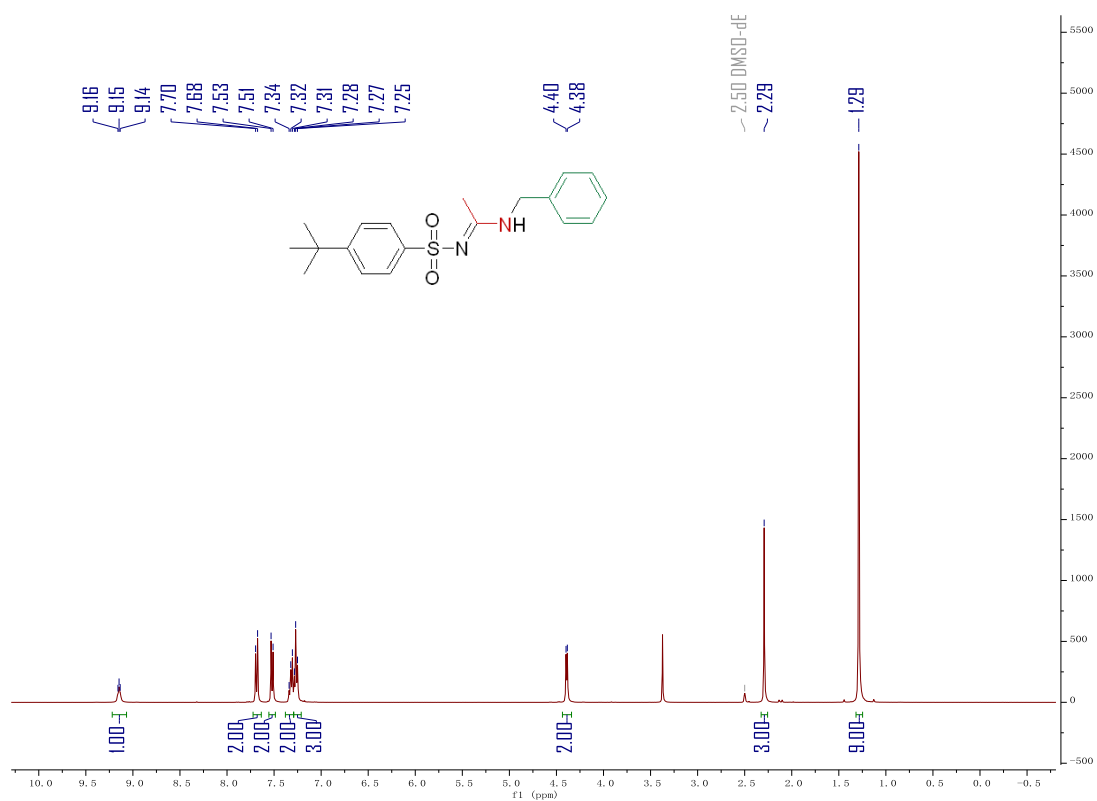
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5n**



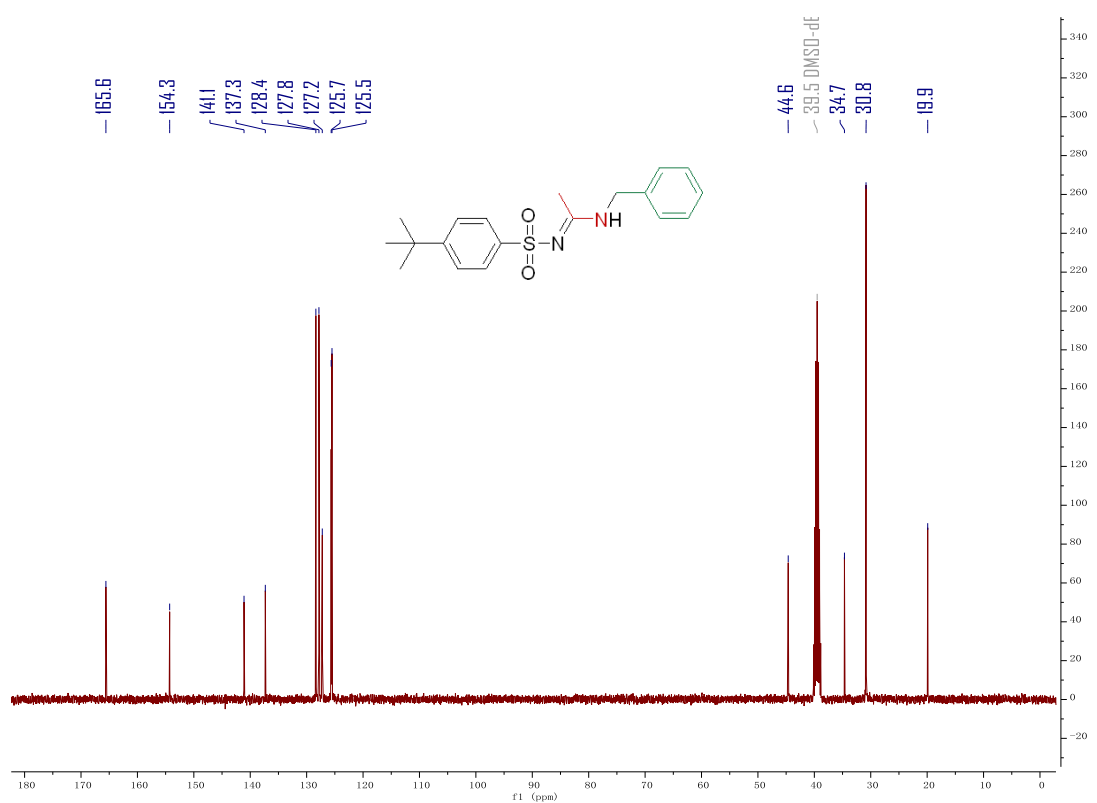
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5n**



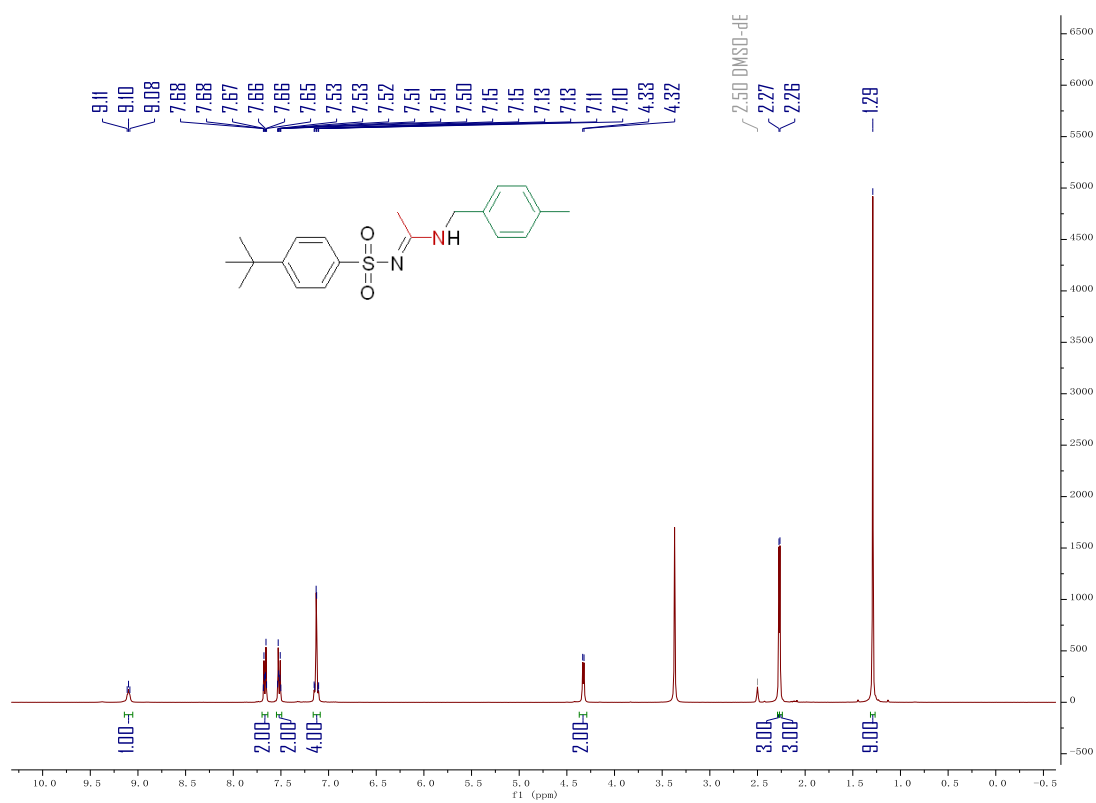
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5o**



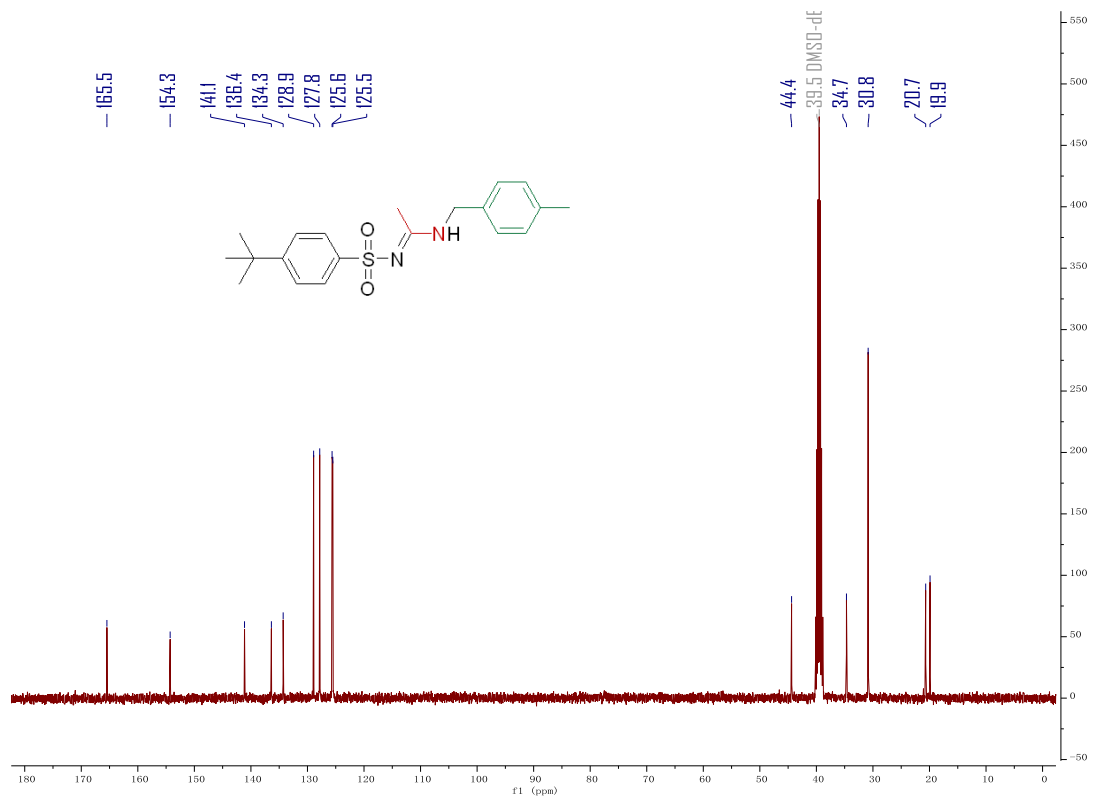
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5o**



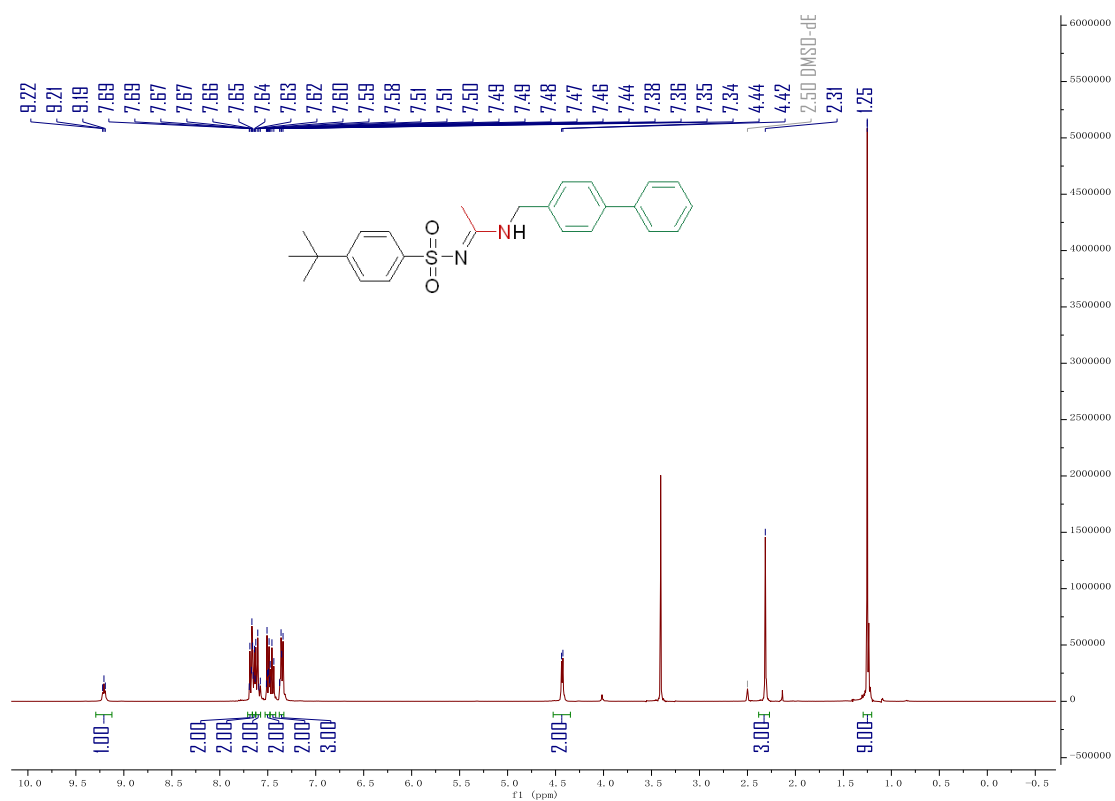
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5p**



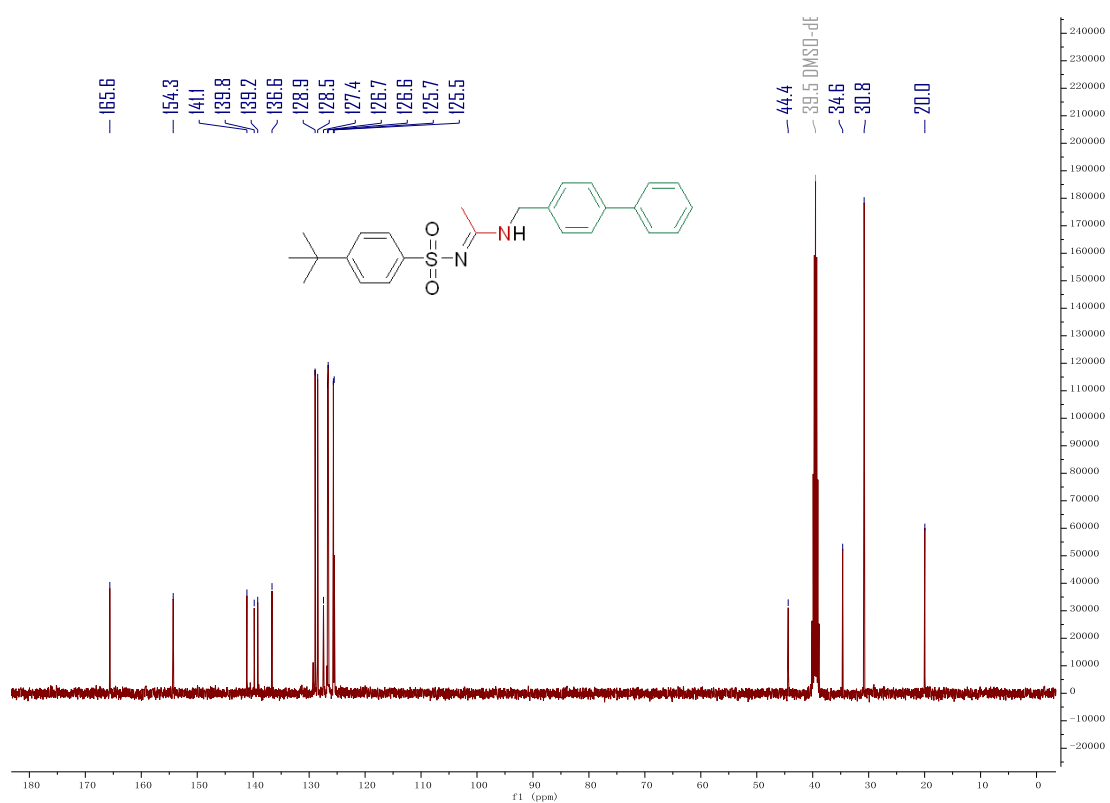
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5p**



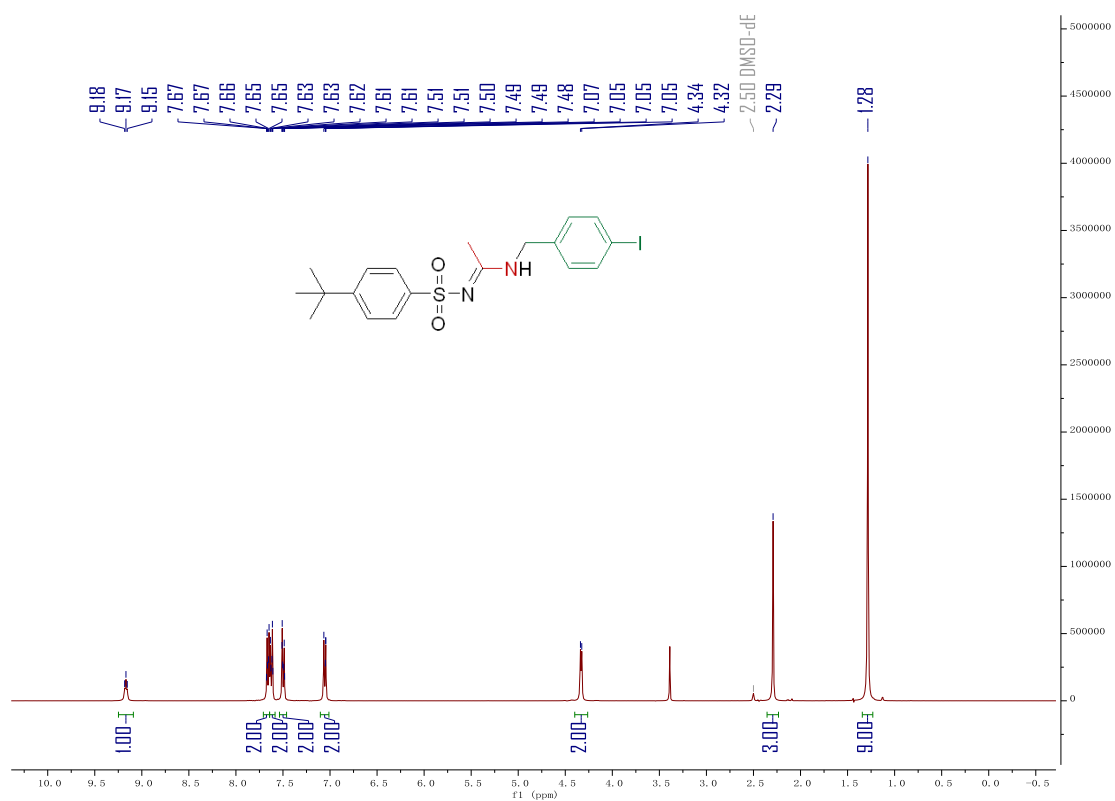
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5q**



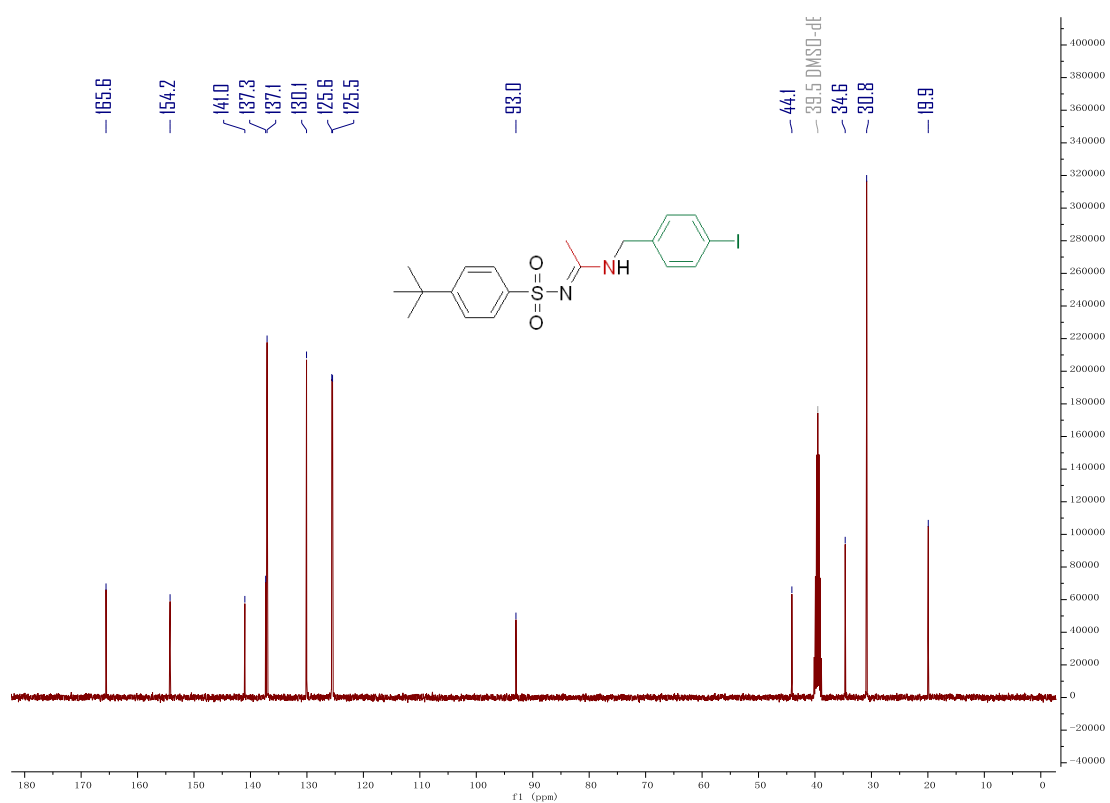
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5q**



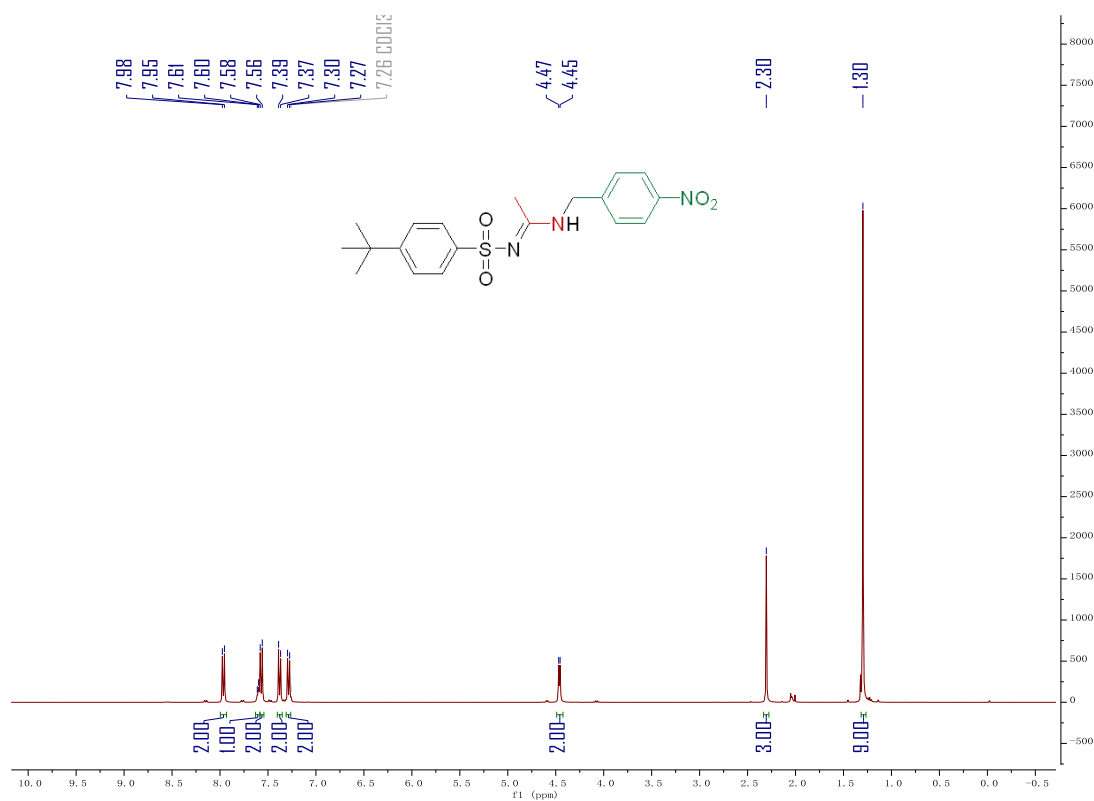
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5r**



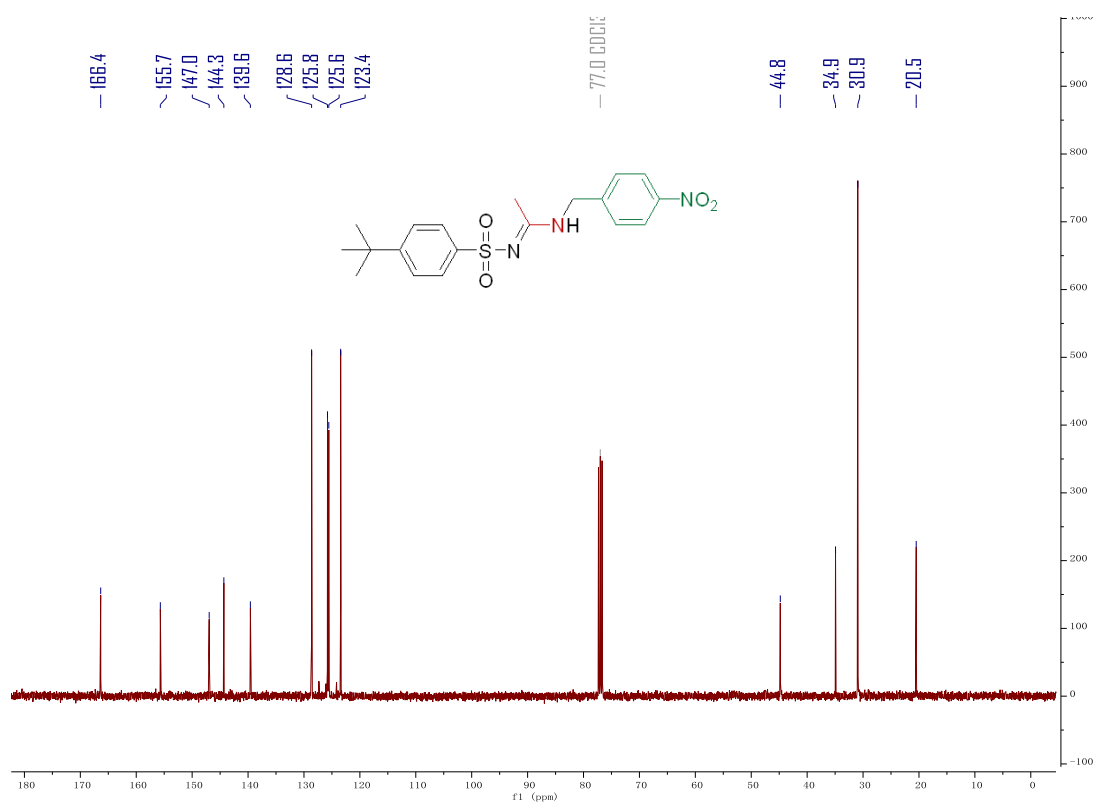
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5r**



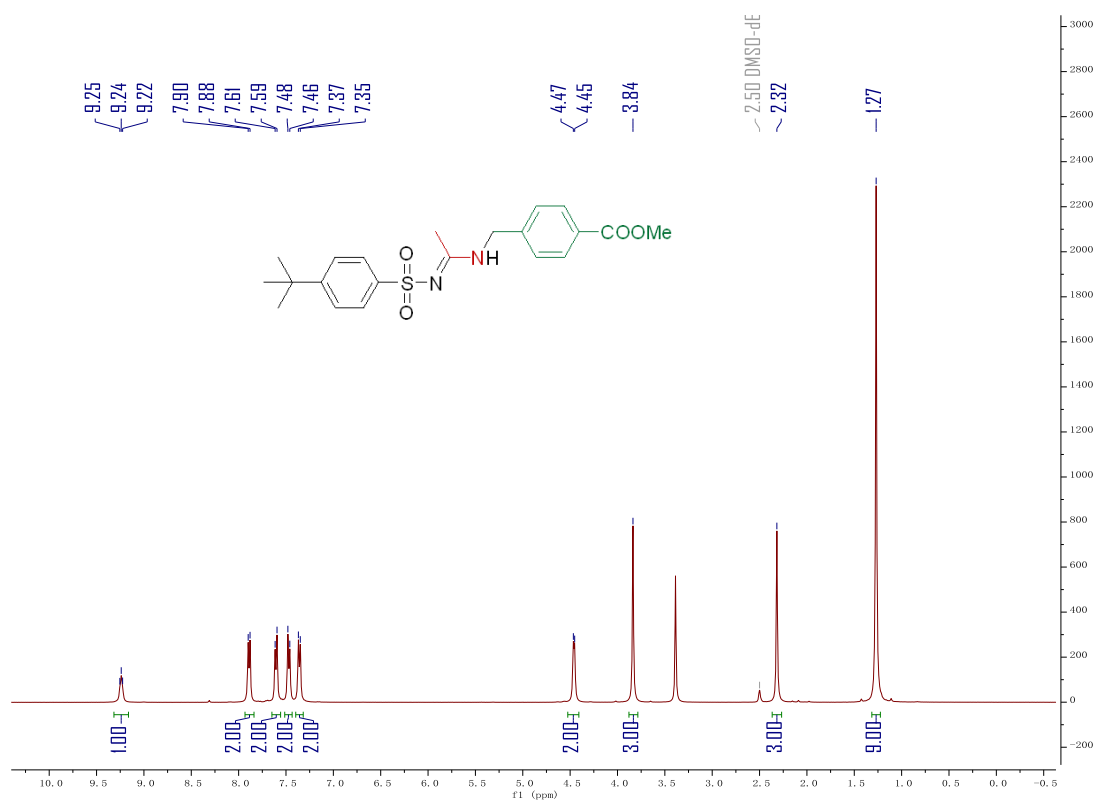
<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) of compound **5s**



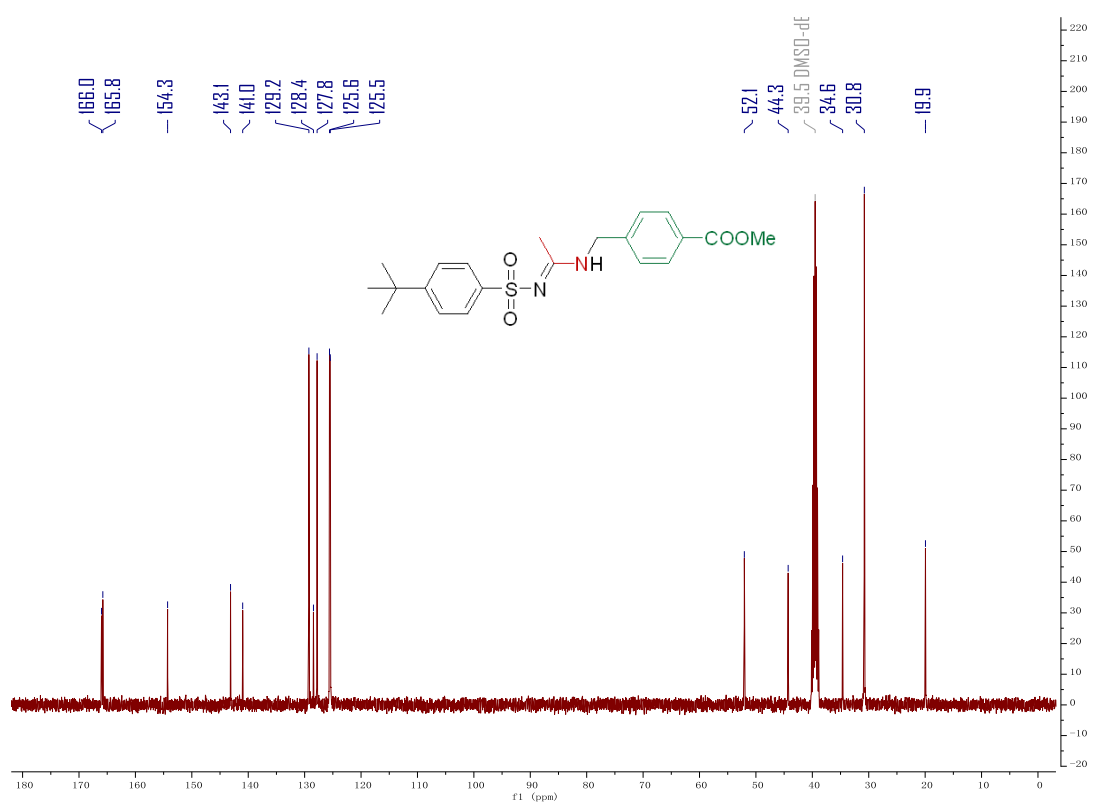
<sup>13</sup>C NMR (100 MHz, Chloroform-*d*) of compound **5s**



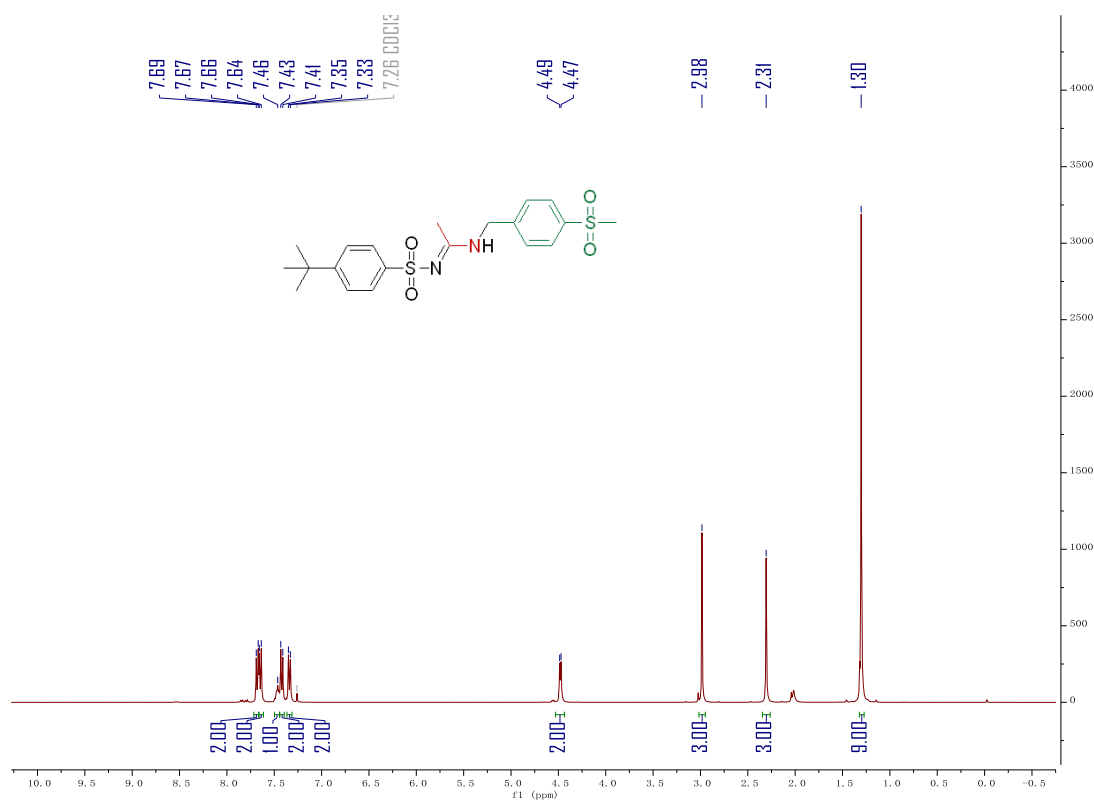
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5t**



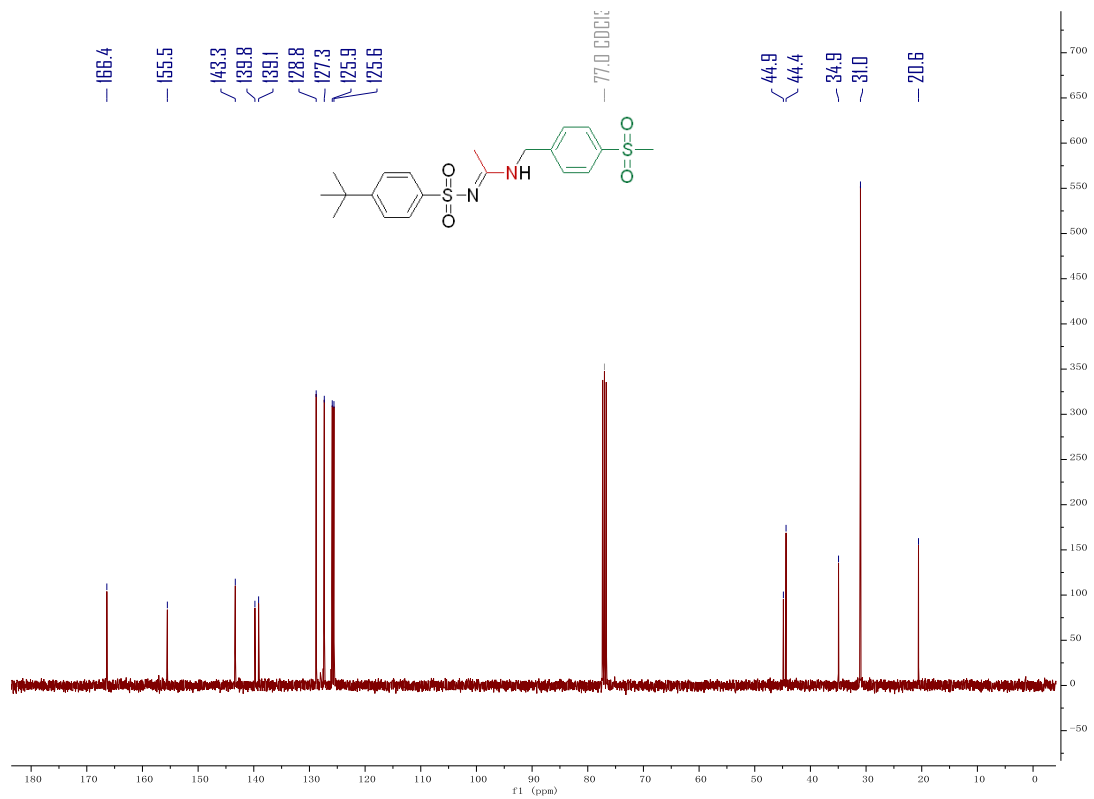
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5t**



**<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) of compound 5u**

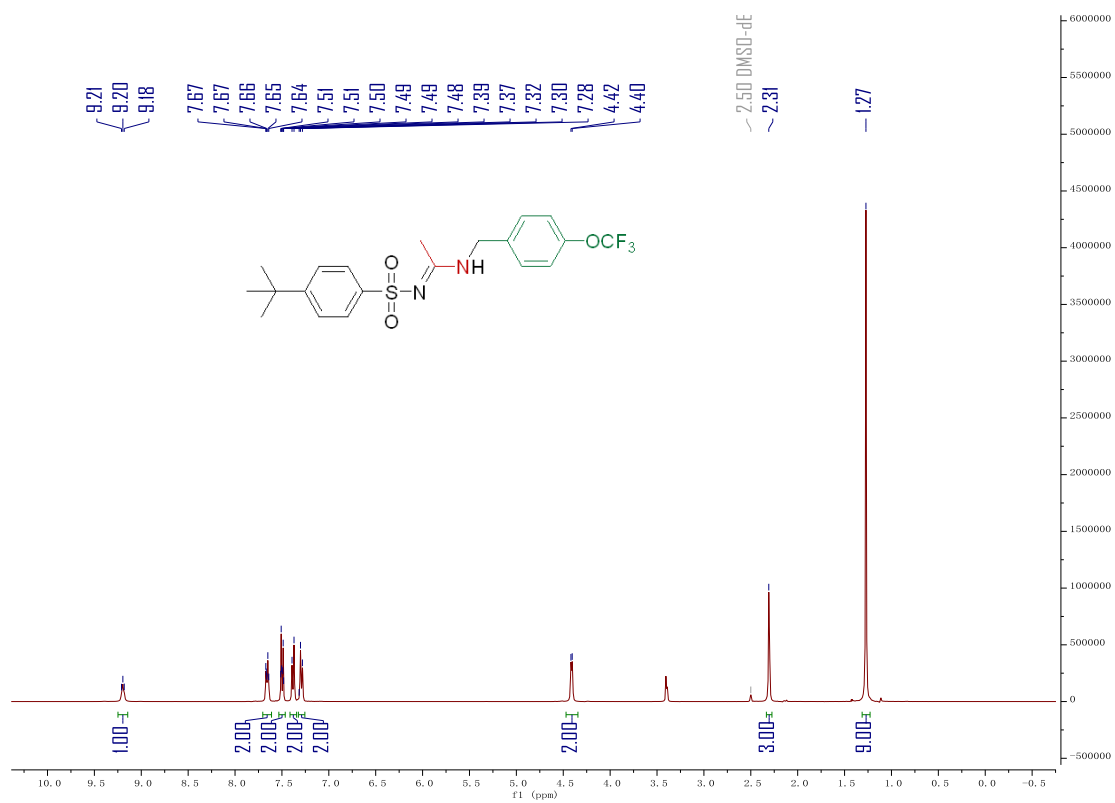


**<sup>13</sup>C NMR (100 MHz, Chloroform-*d*) of compound 5u**

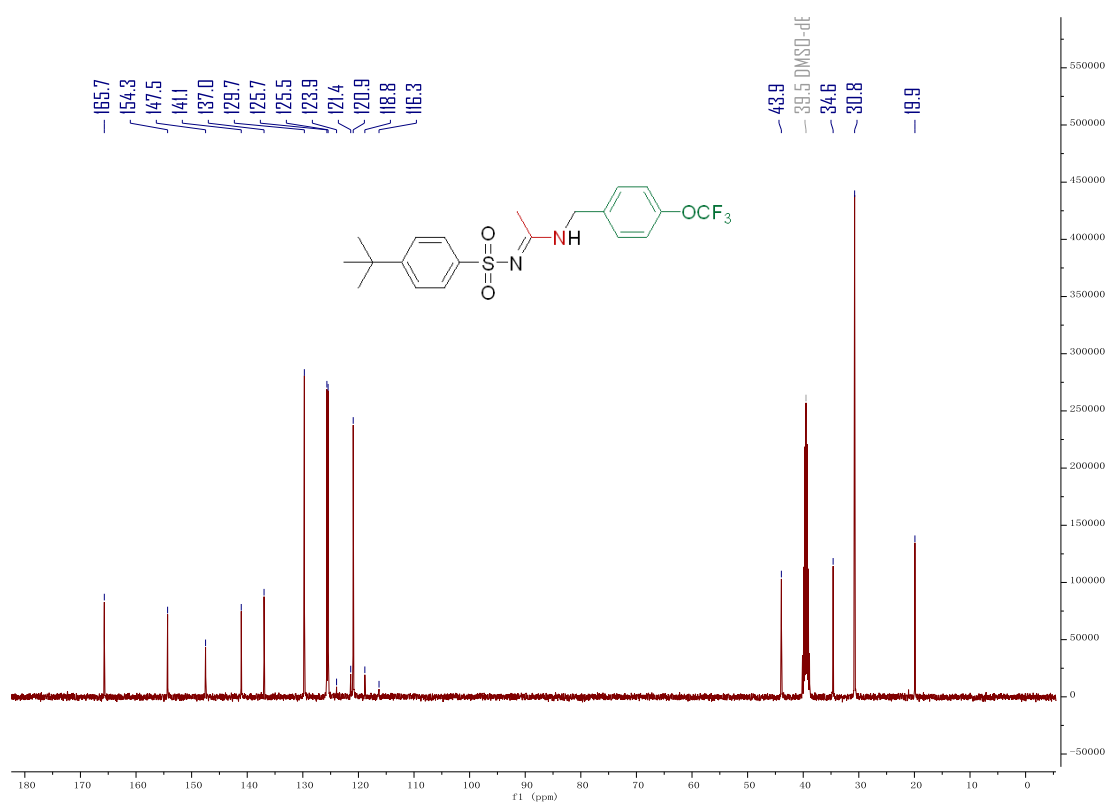




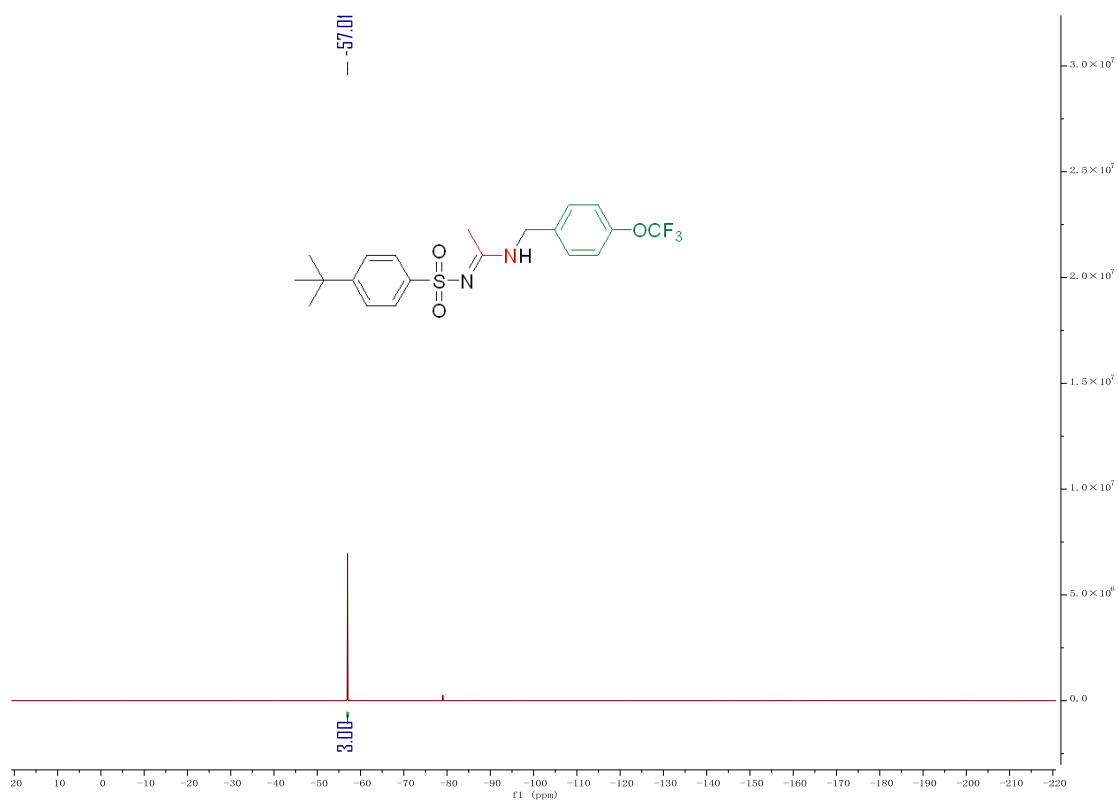
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5v**



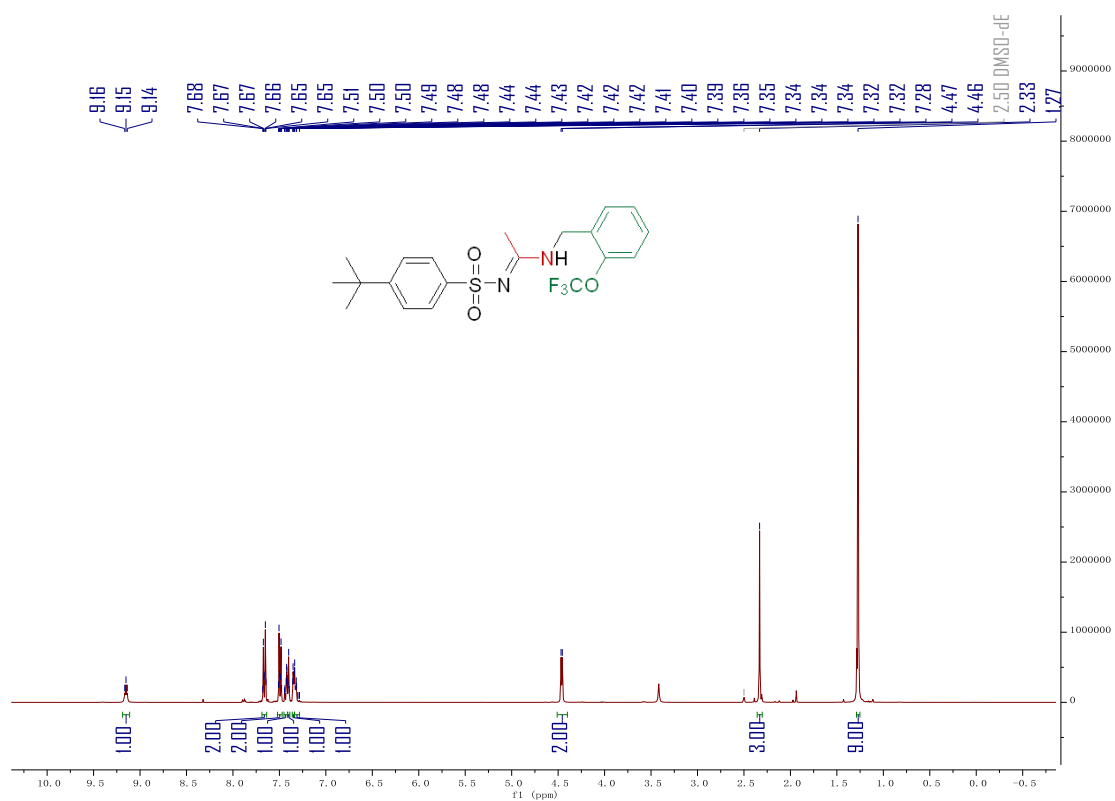
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5v**



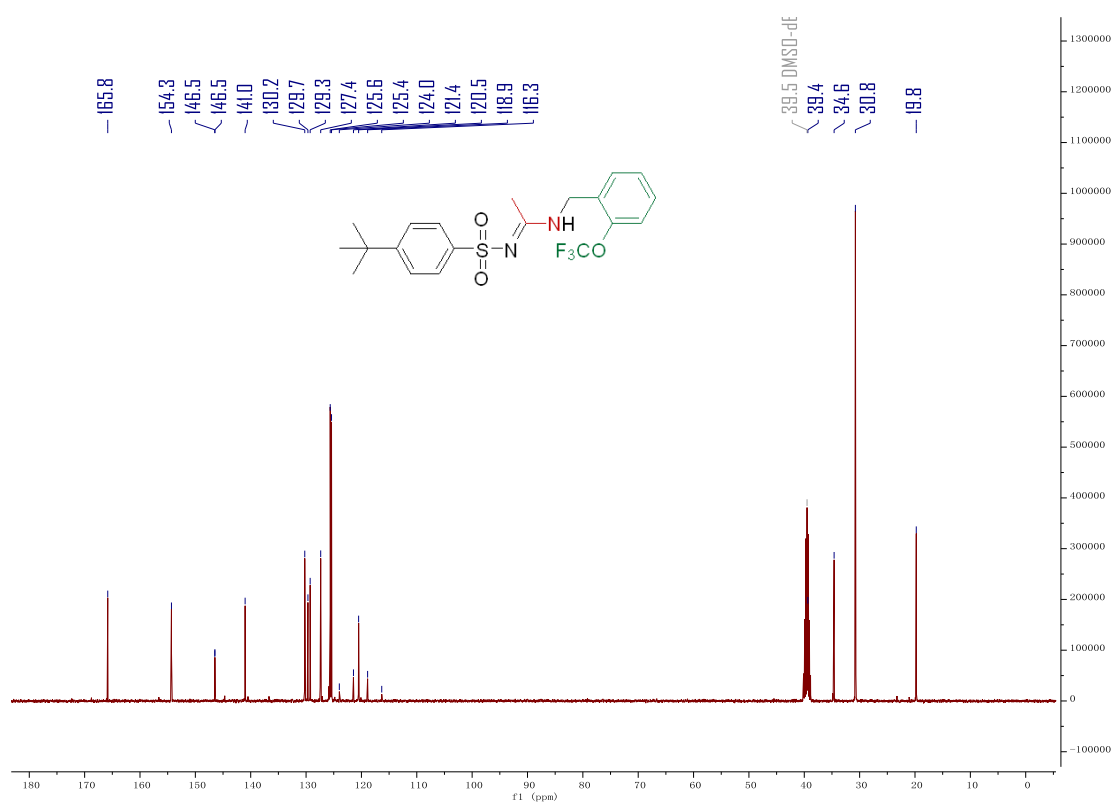
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 5v**



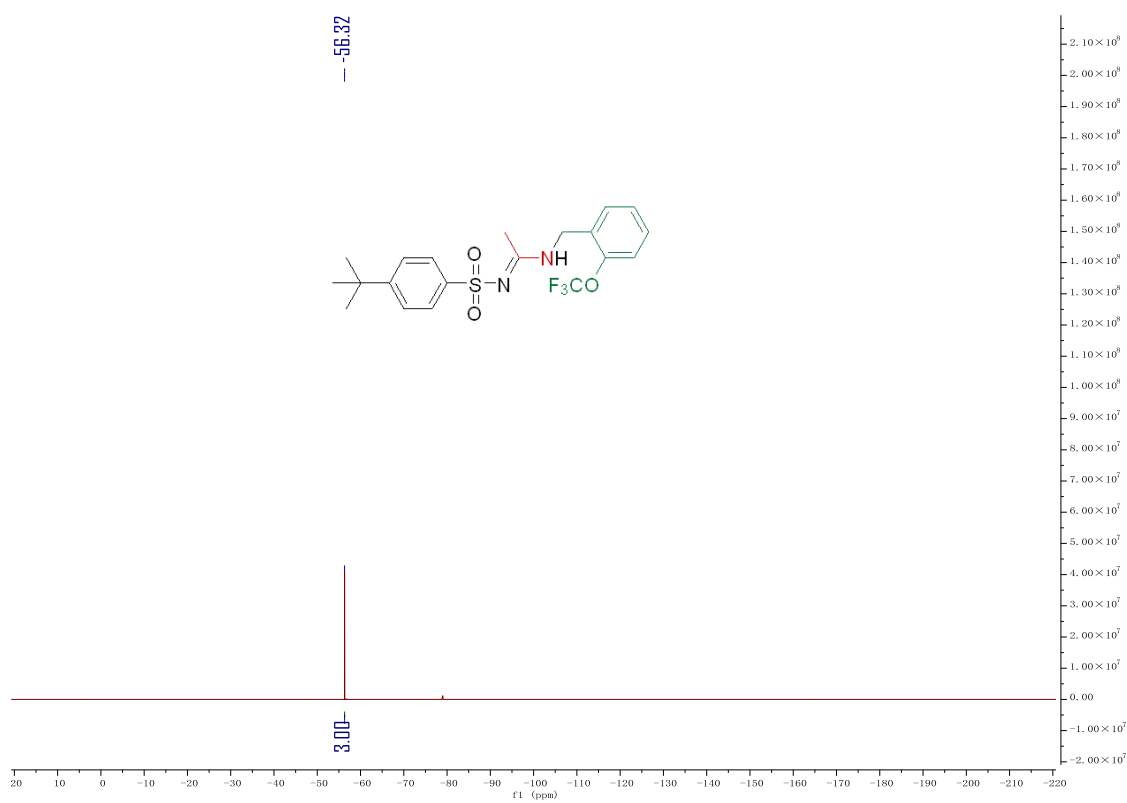
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **5w**



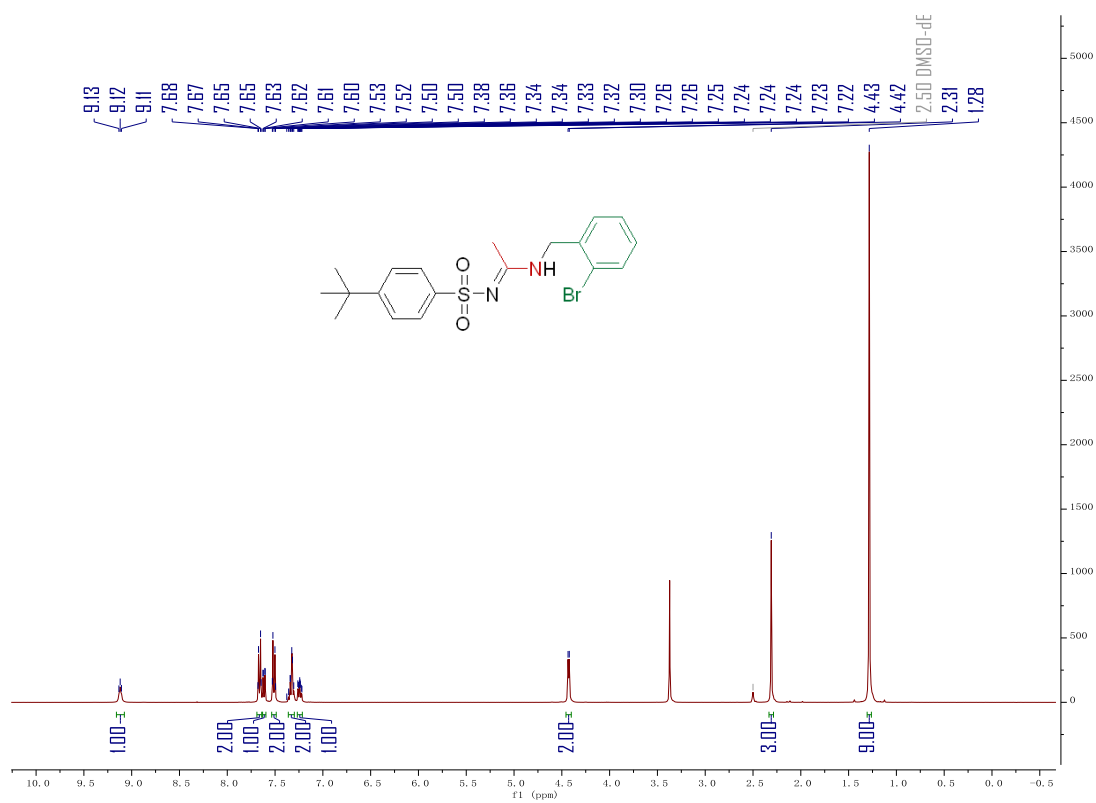
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **5w**



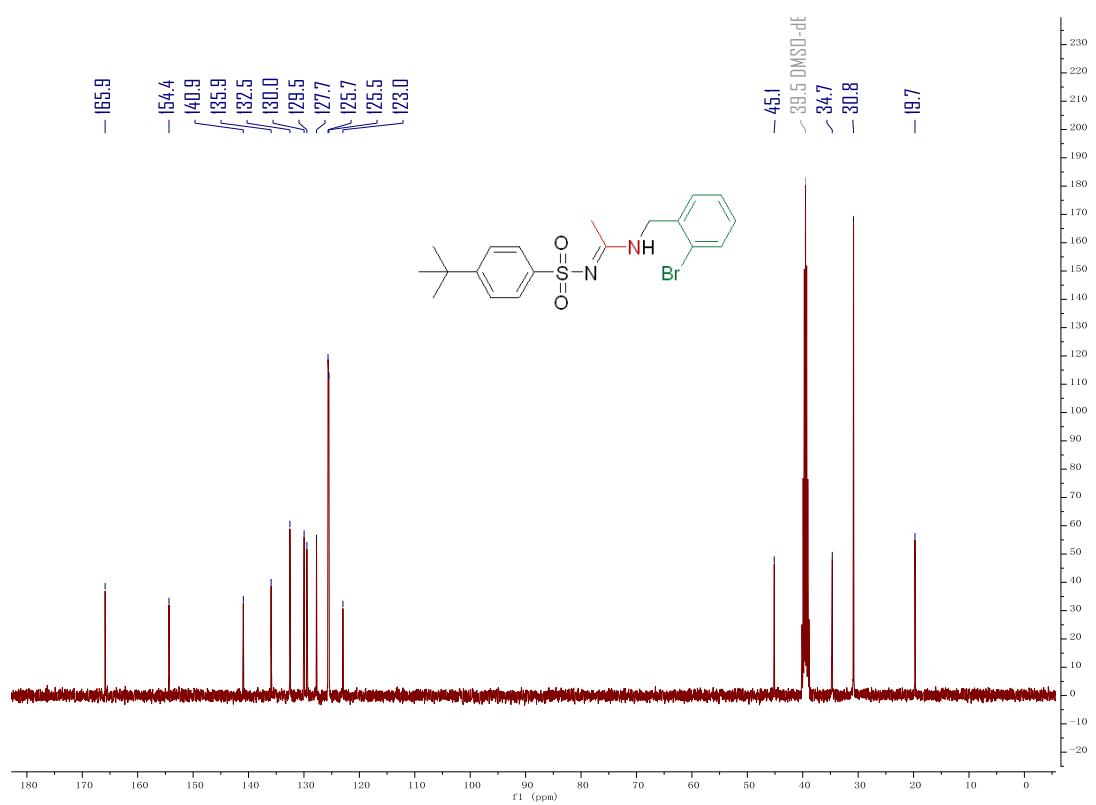
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 5w**



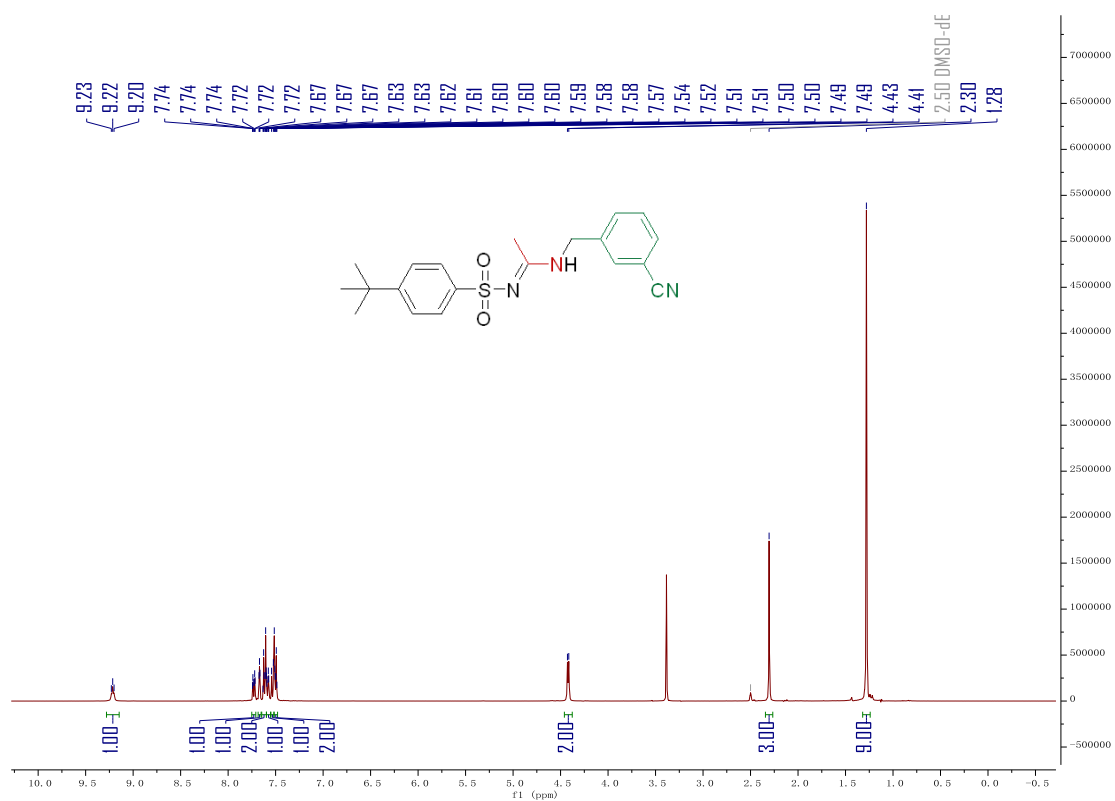
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **5x**



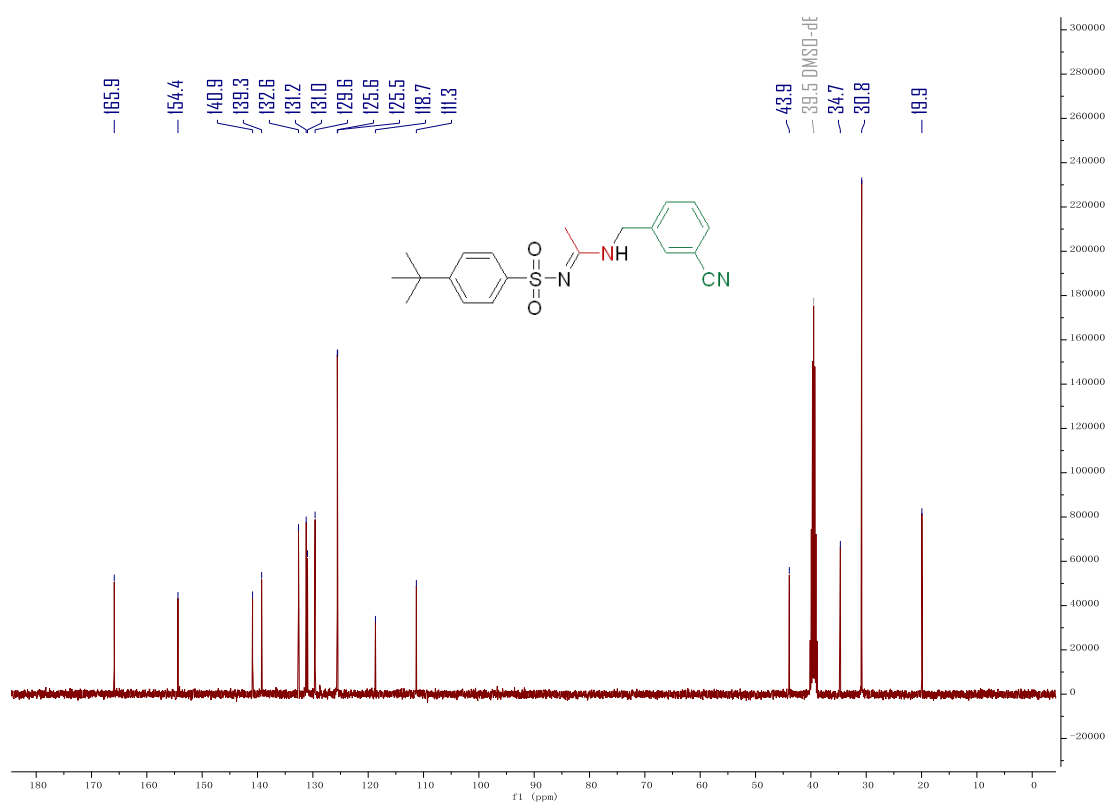
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **5x**



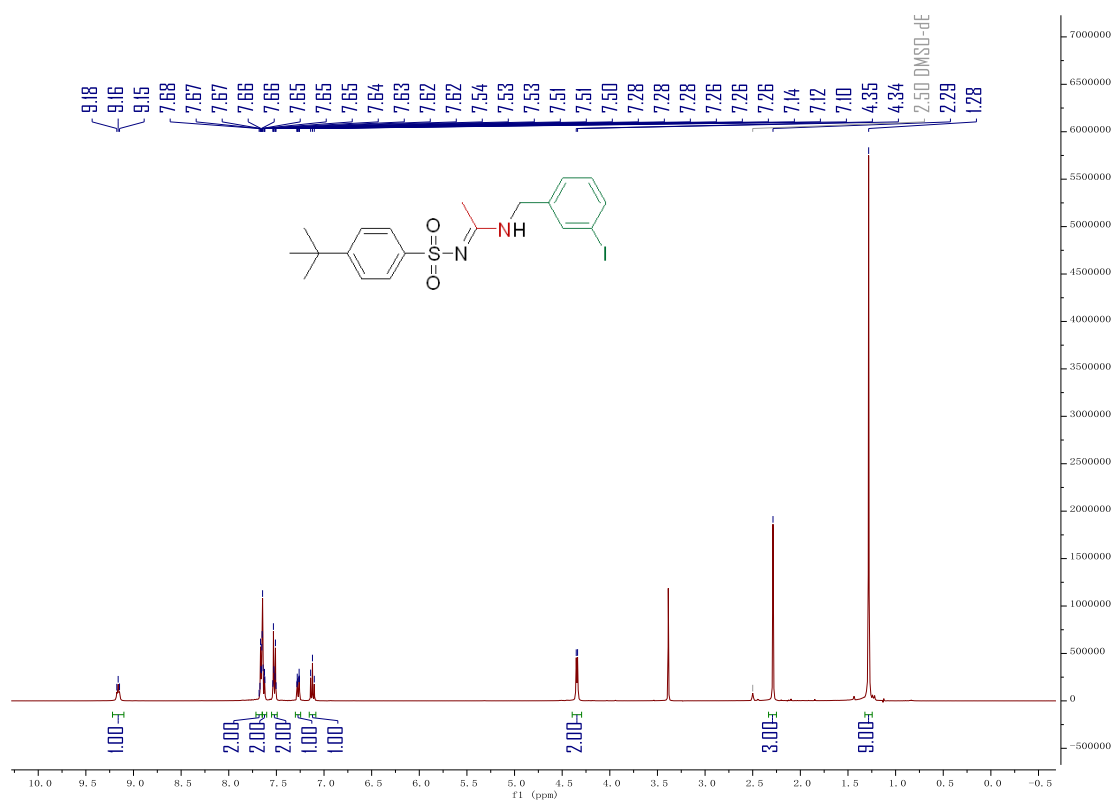
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5y**



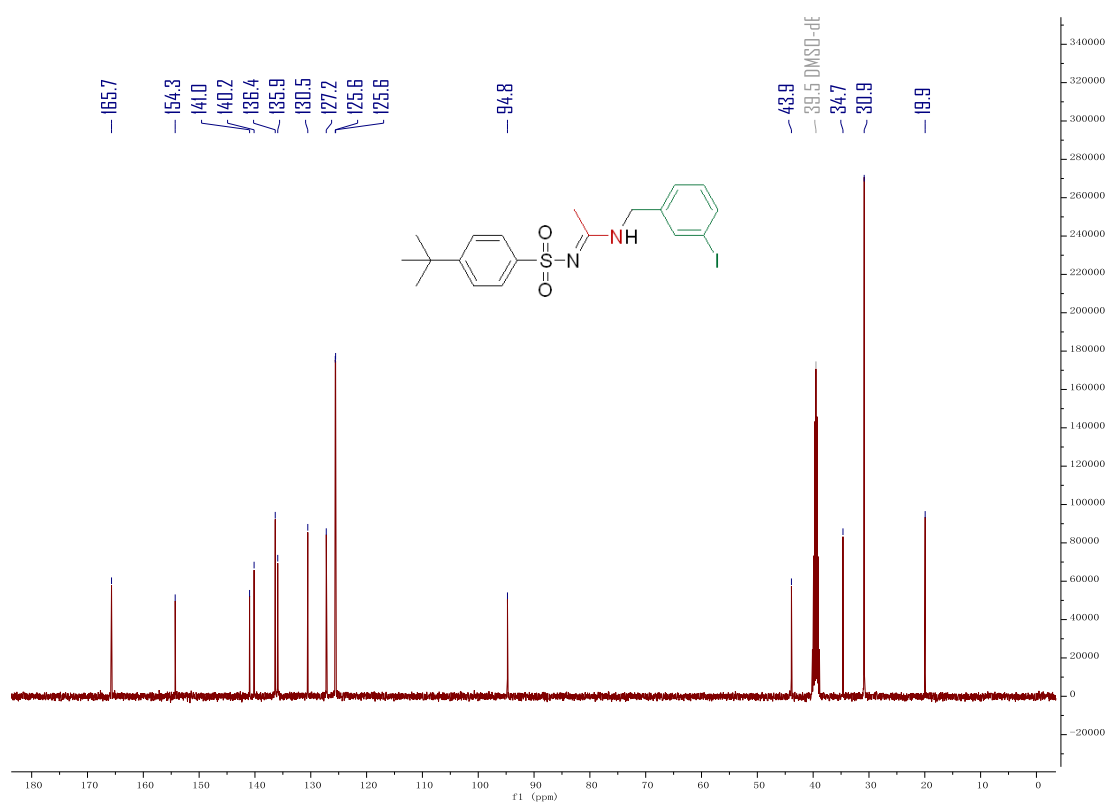
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5y**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5z**



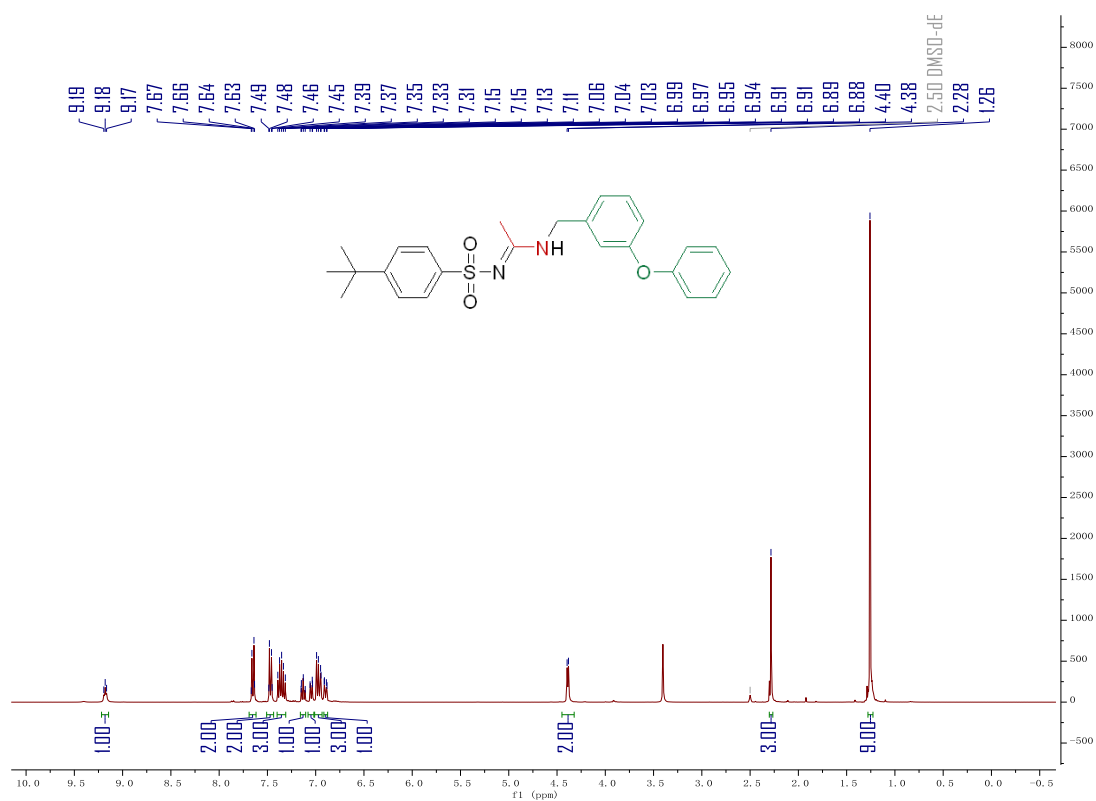
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5z**



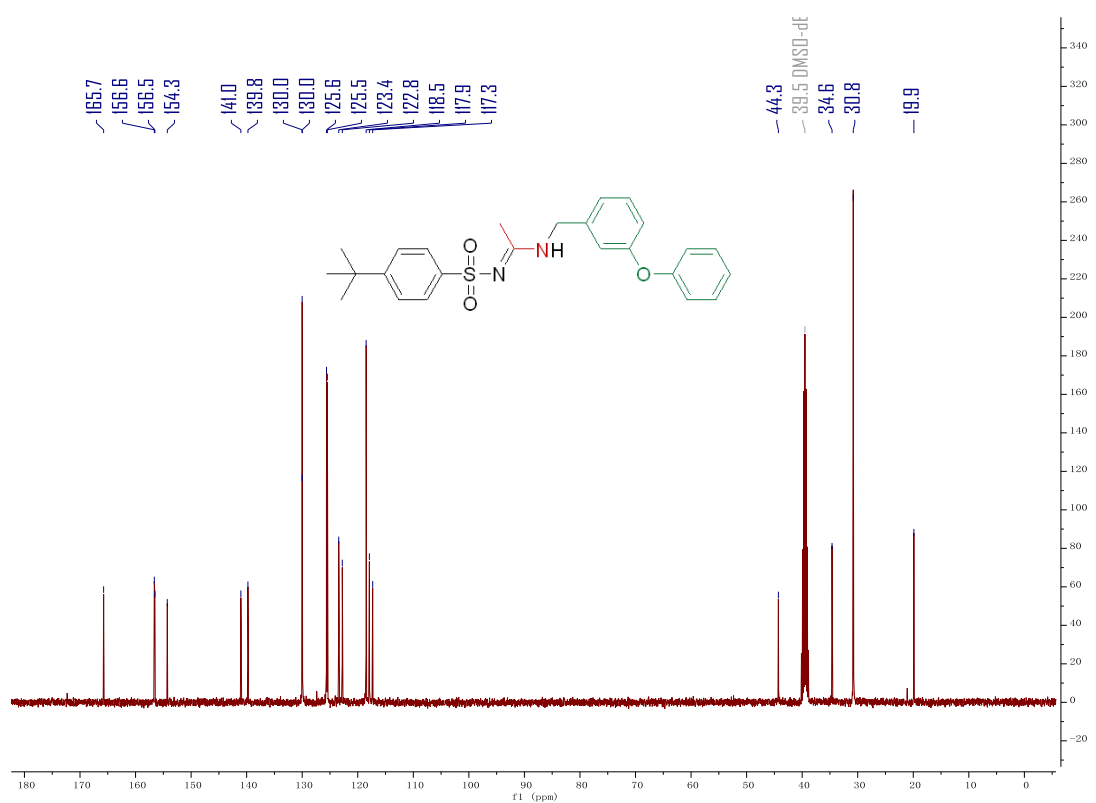




**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ab**



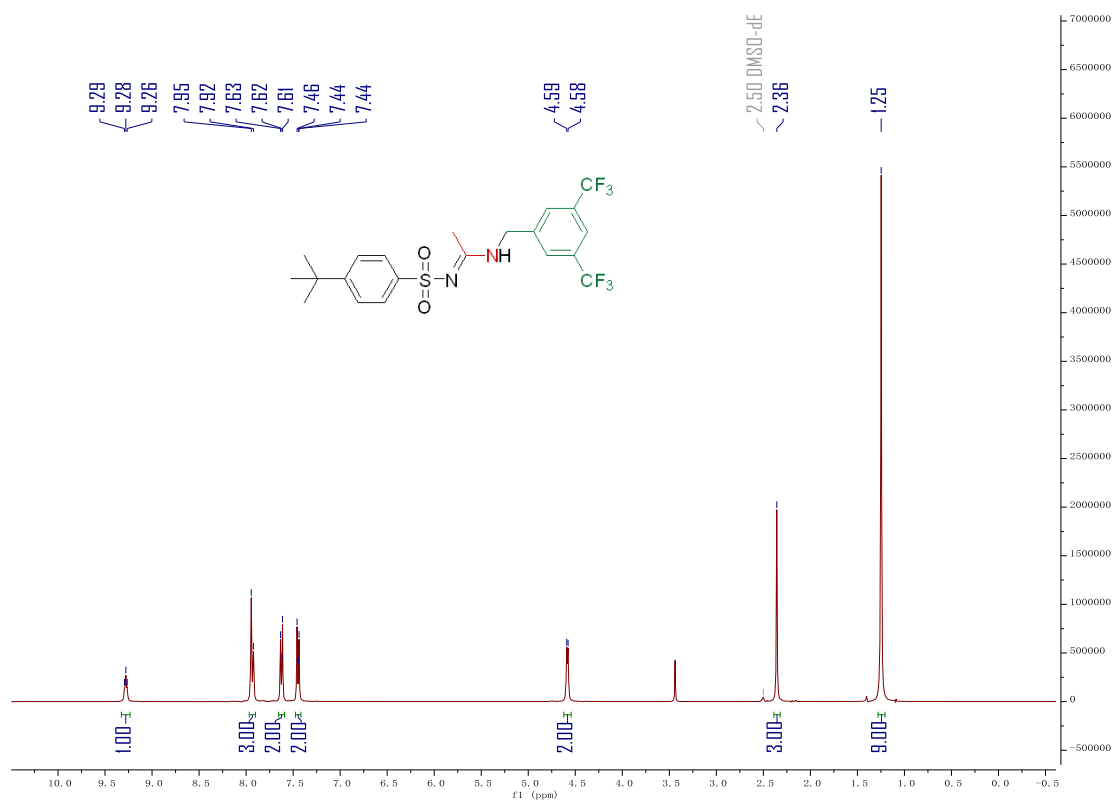
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ab**



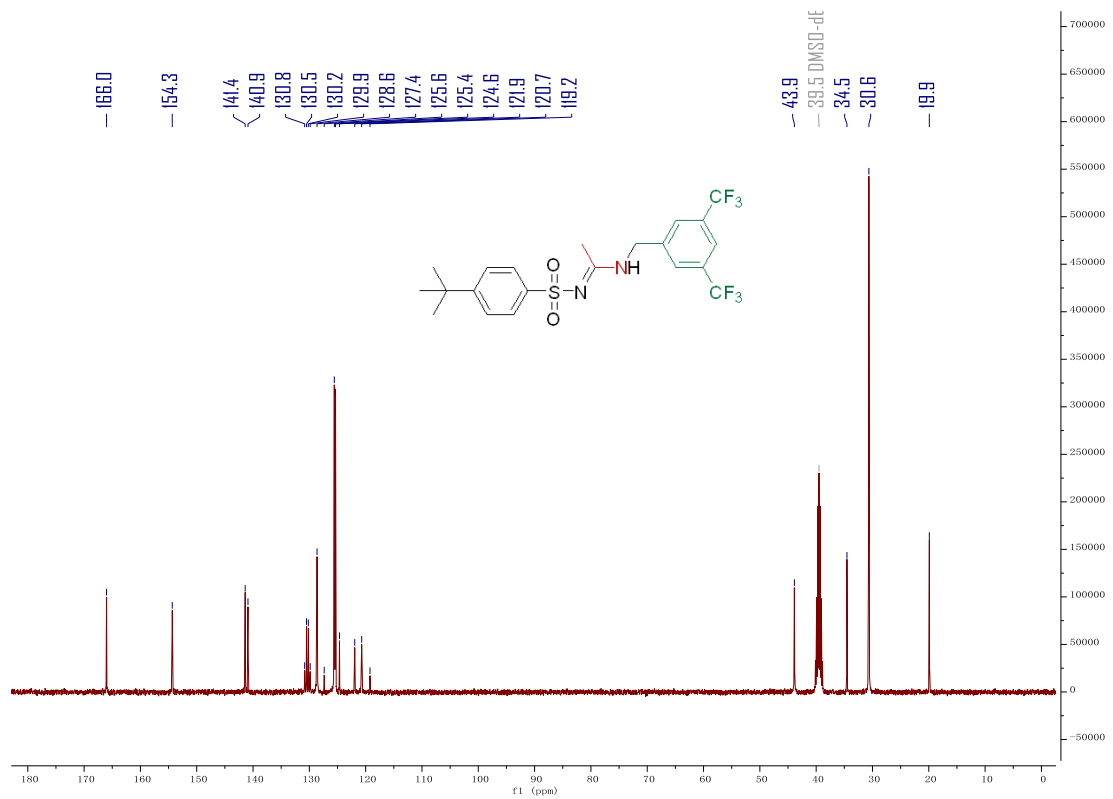




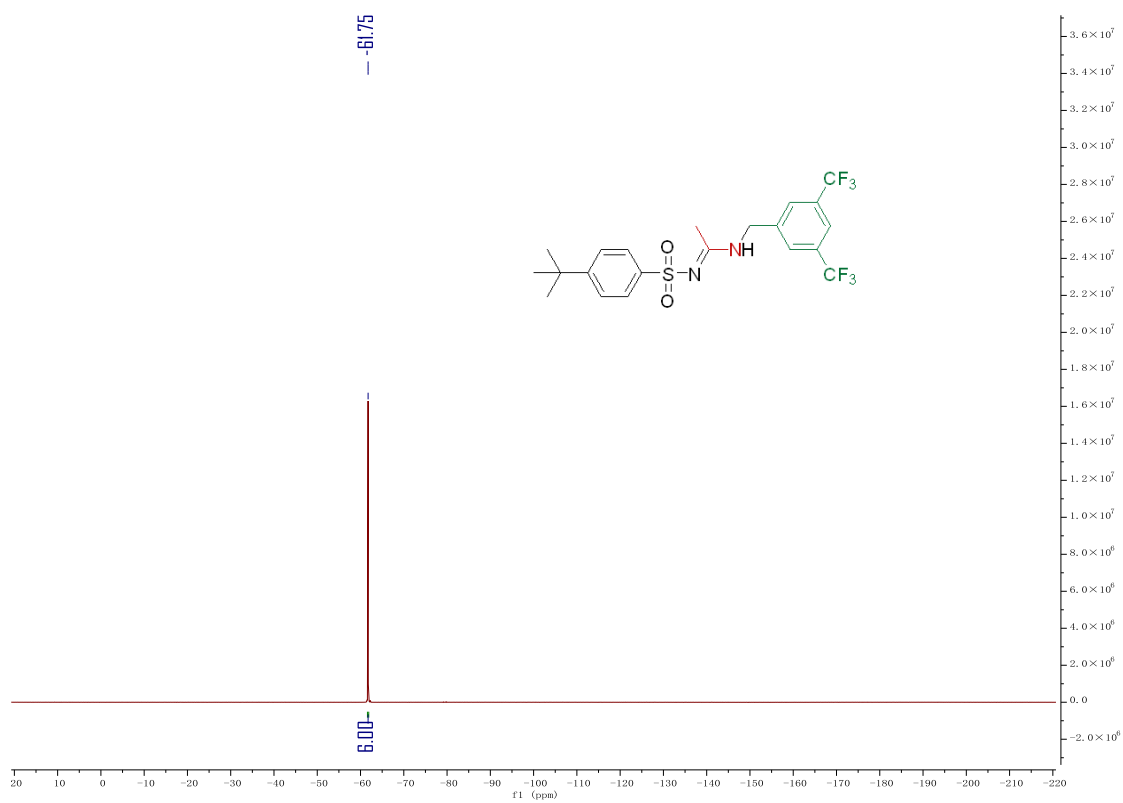
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ae**



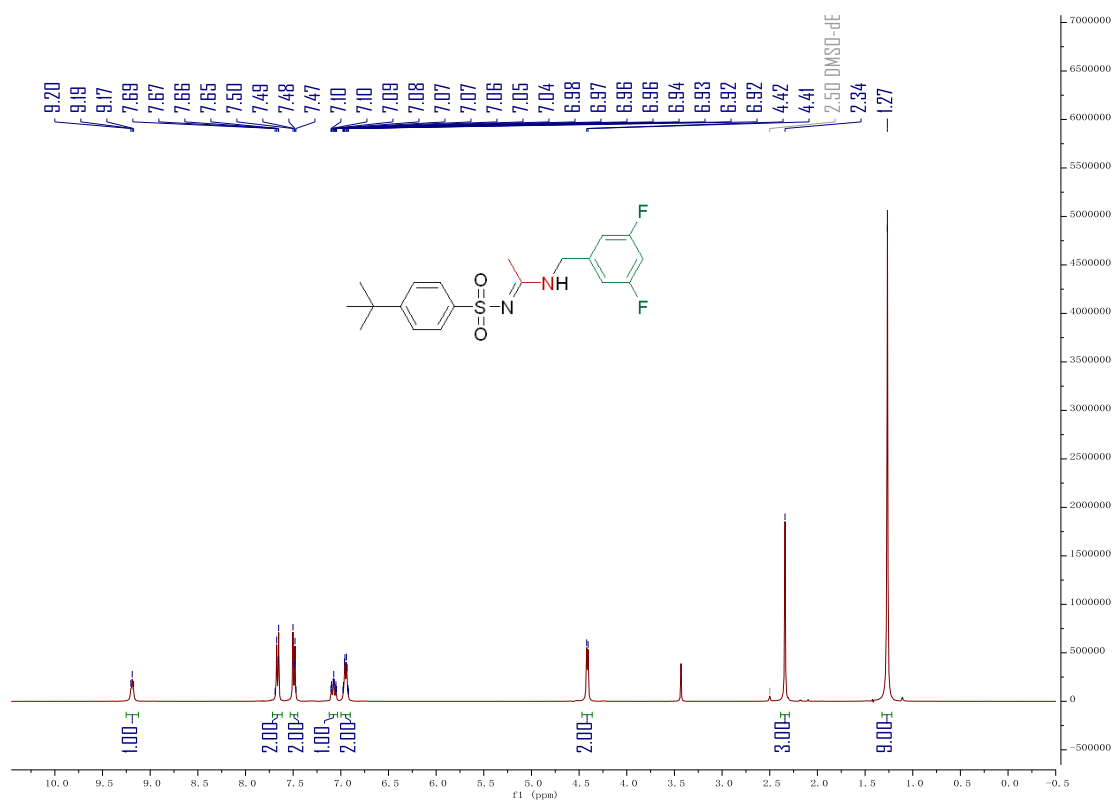
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ae**



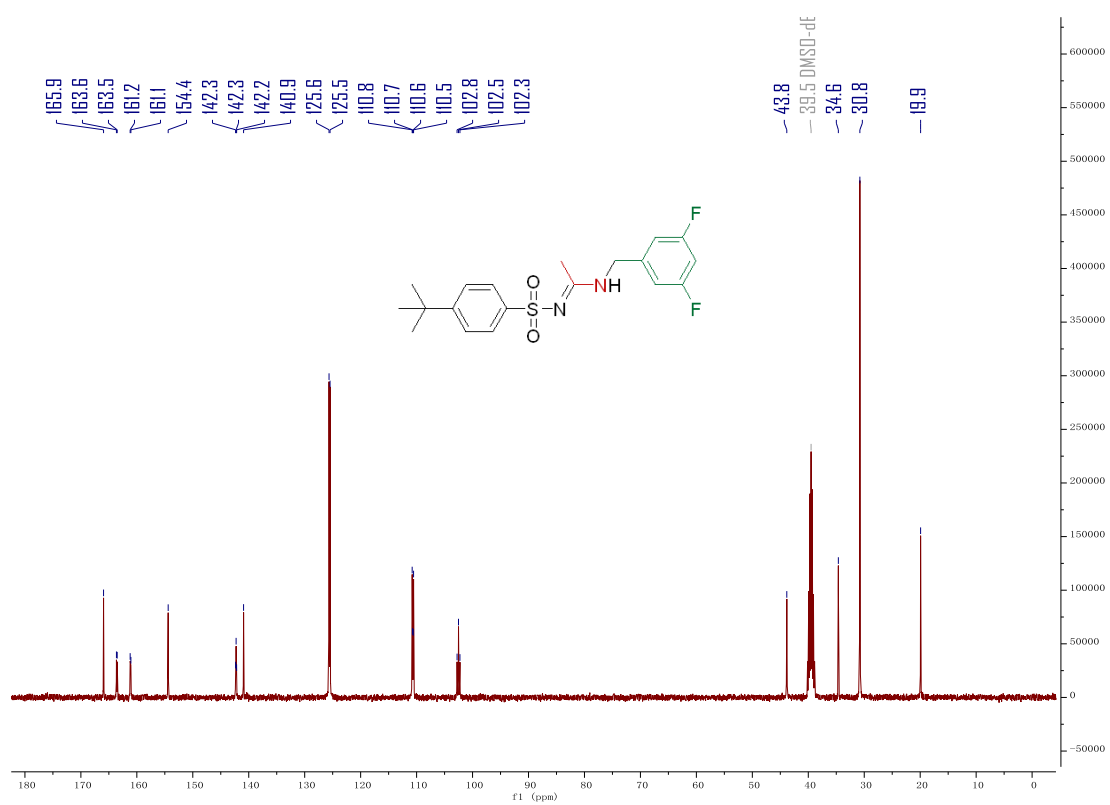
<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **5ae**



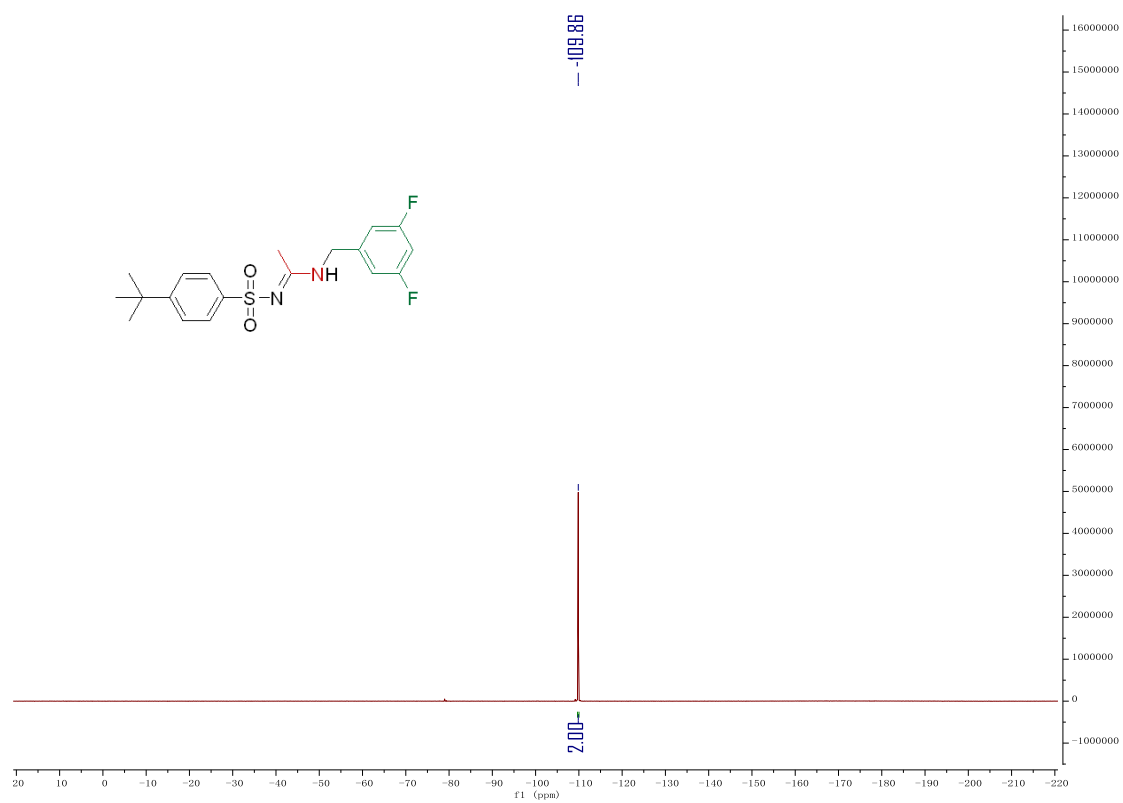
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5af**



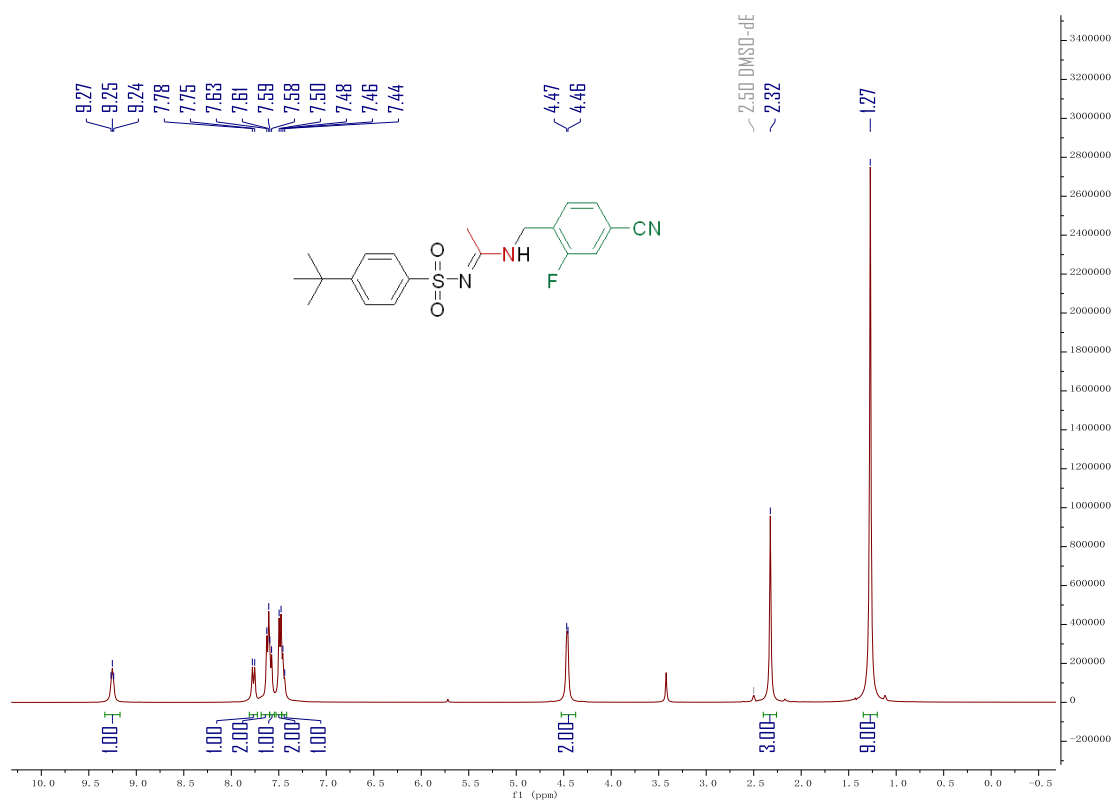
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5af**



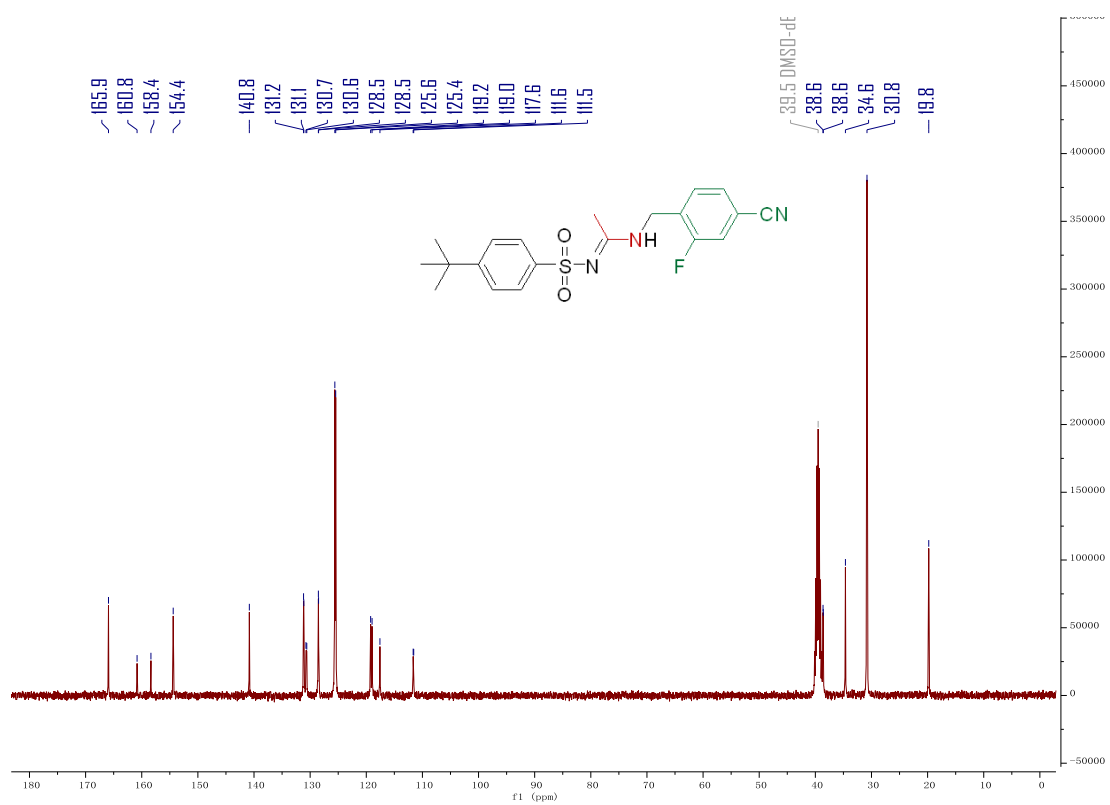
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 5af**



<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **5ag**

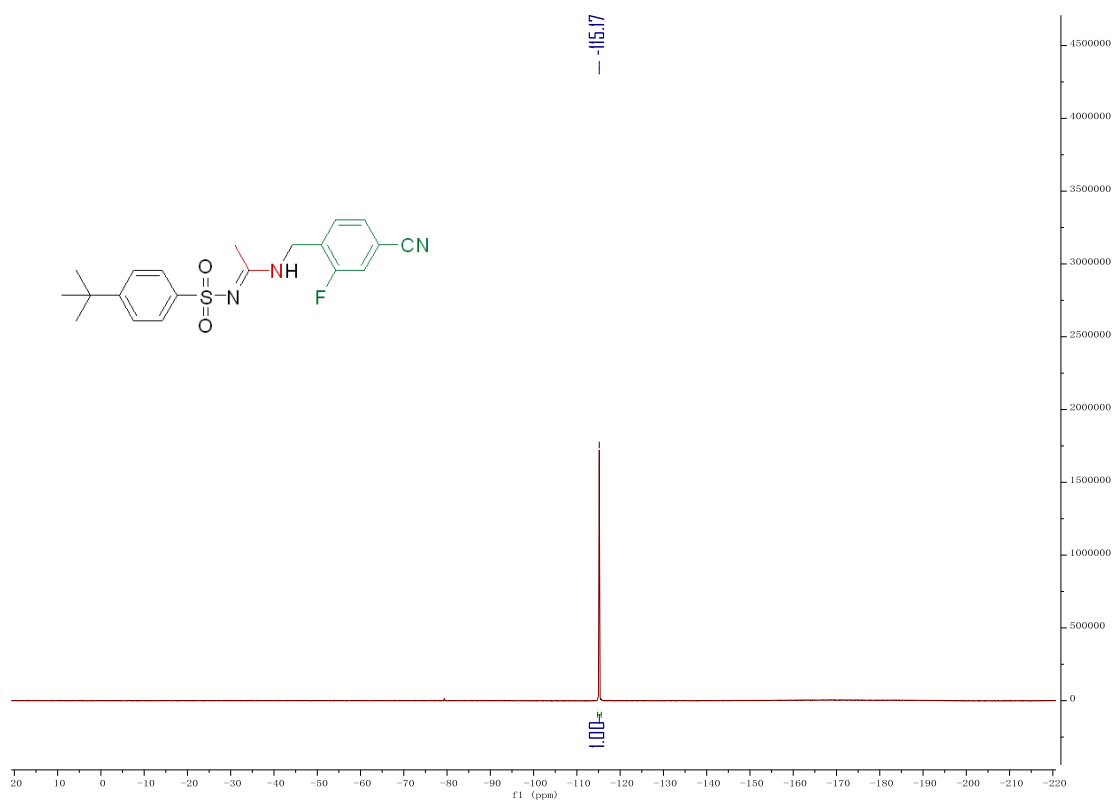


<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **5ag**

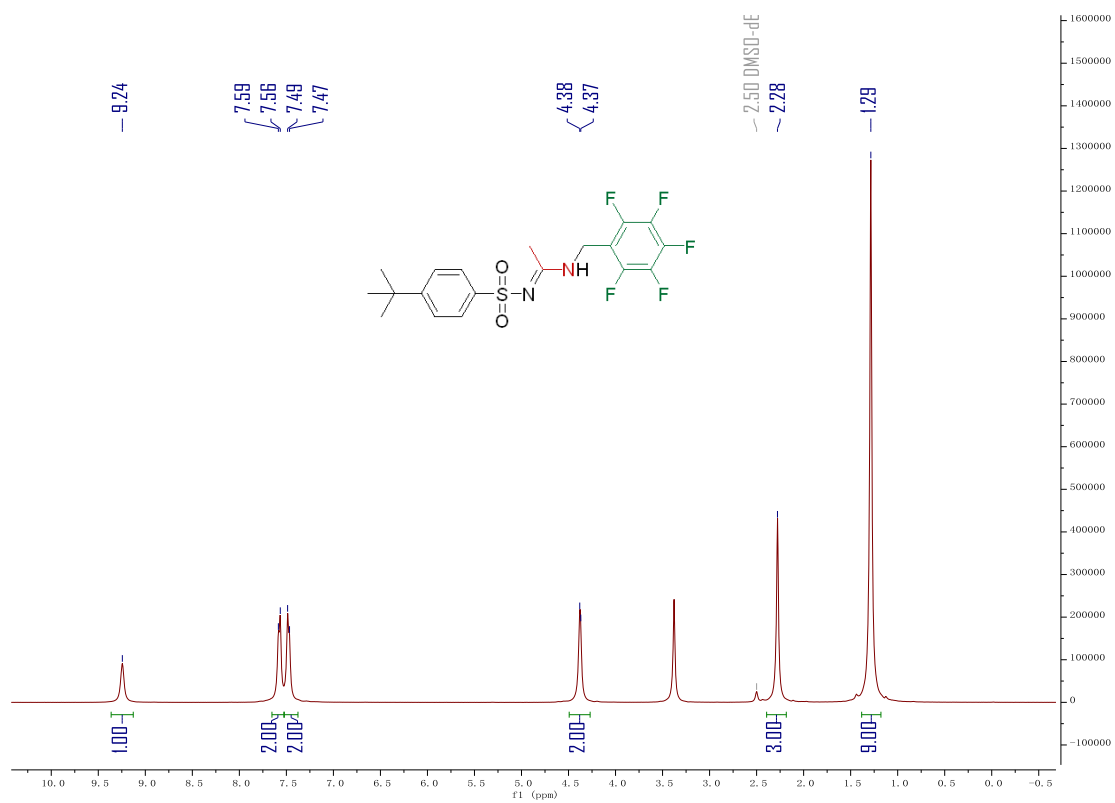




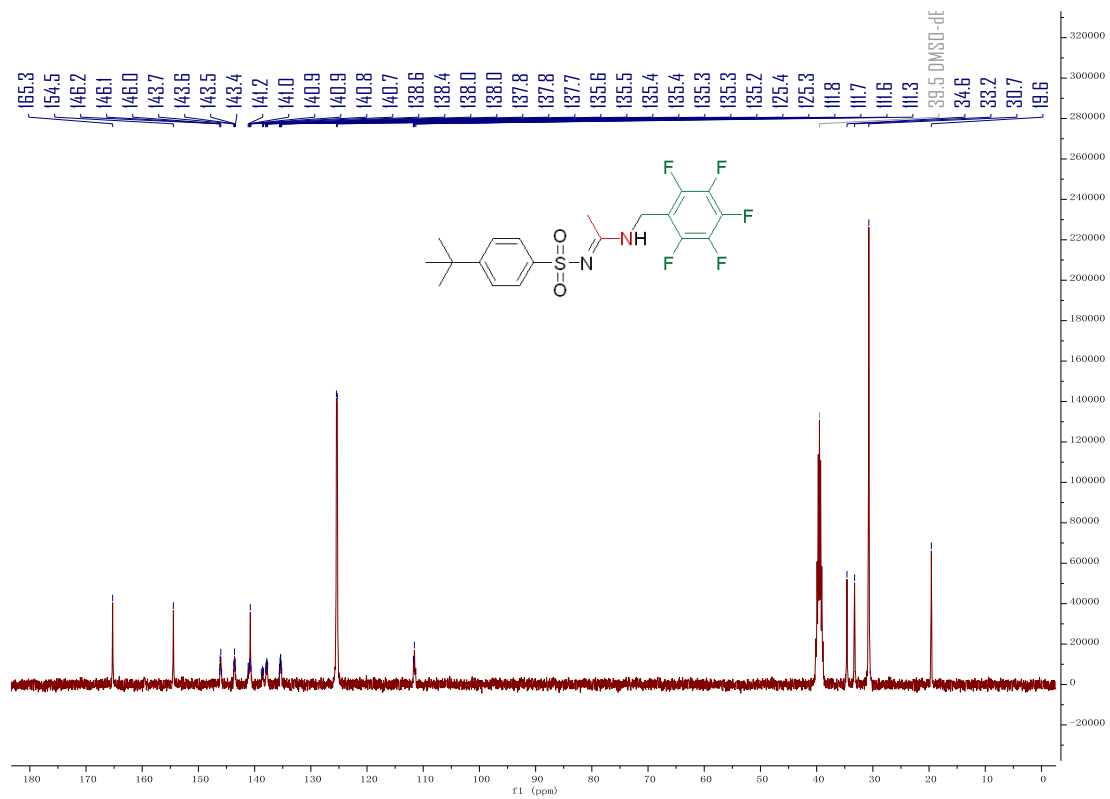
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **5ag****



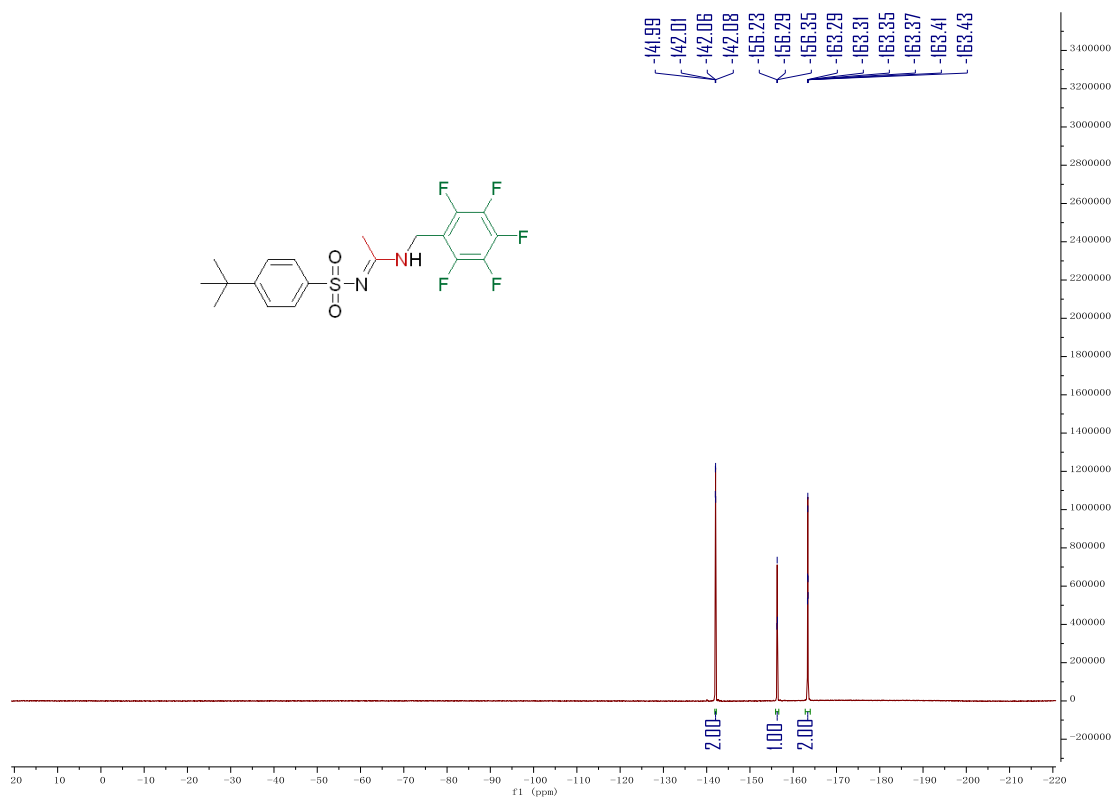
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ah**



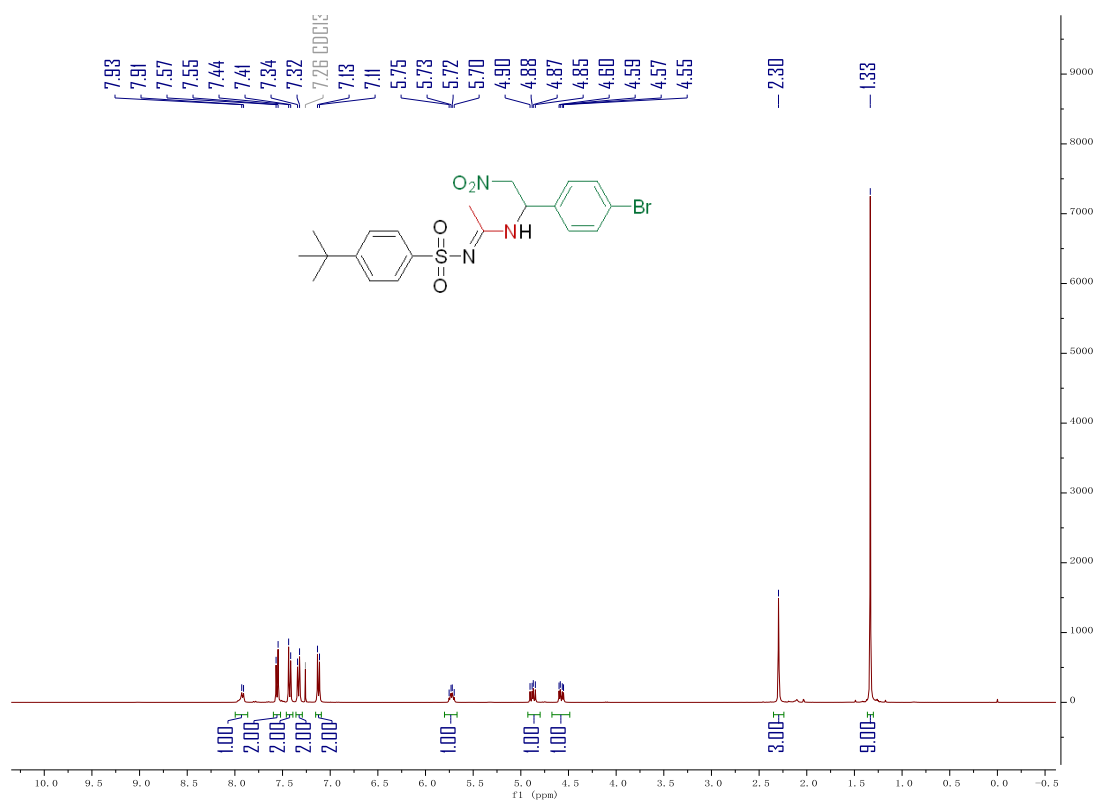
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ah**



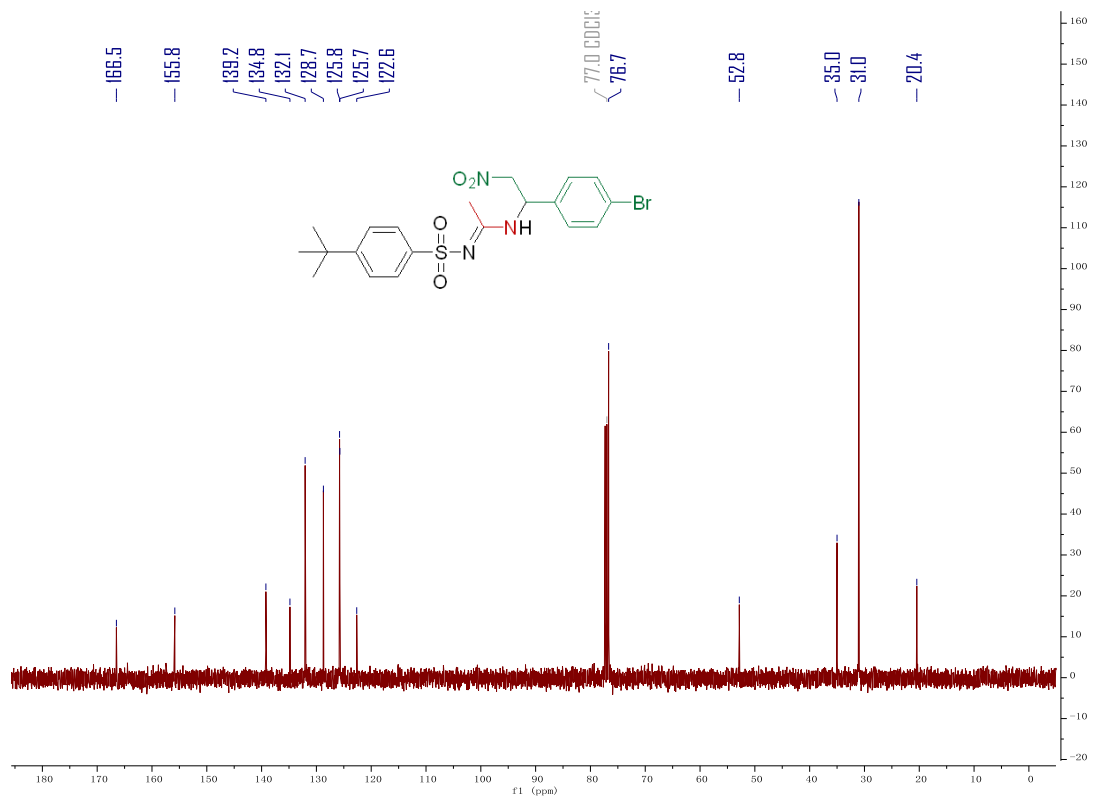
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound **5ah****



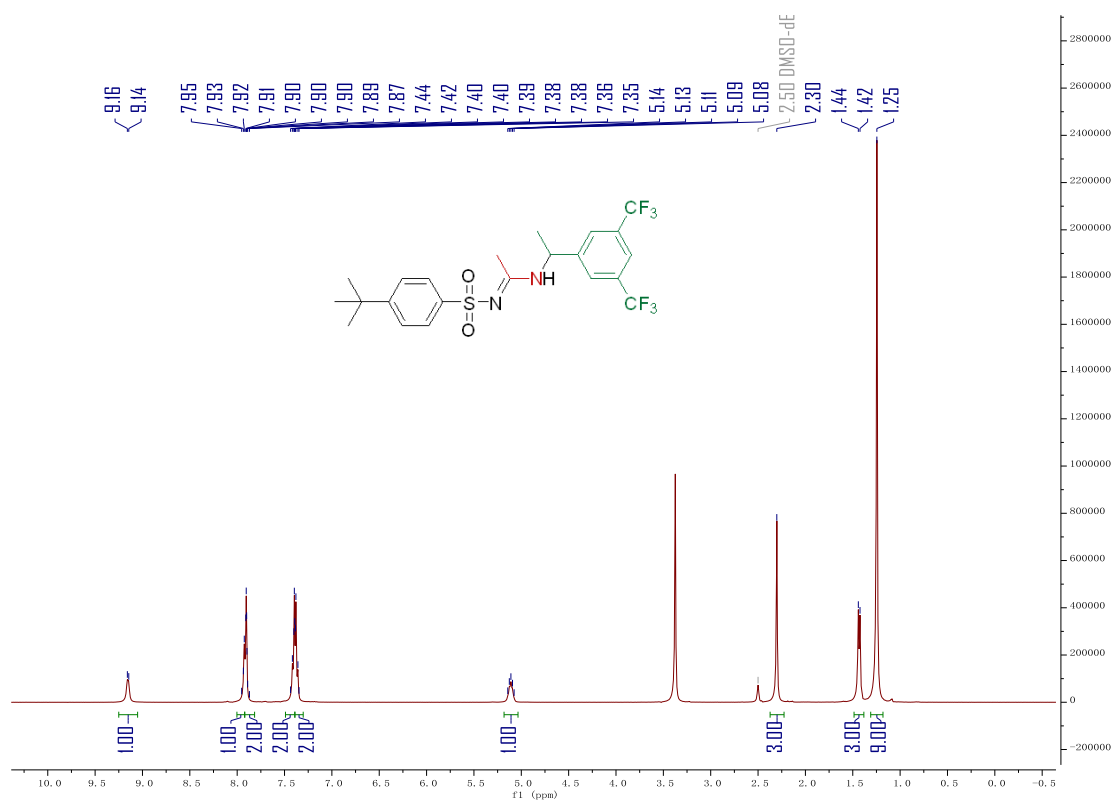
**<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) of compound 5ai**



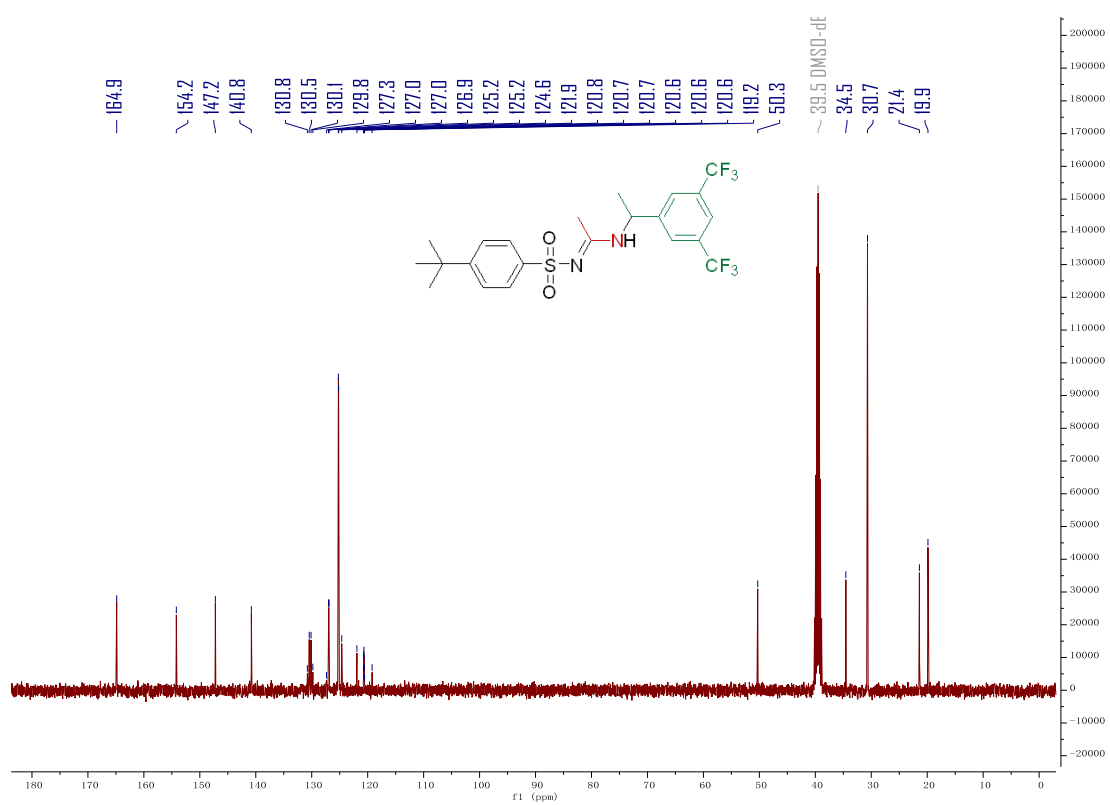
**<sup>13</sup>C NMR (100 MHz, Chloroform-*d*) of compound 5ai**



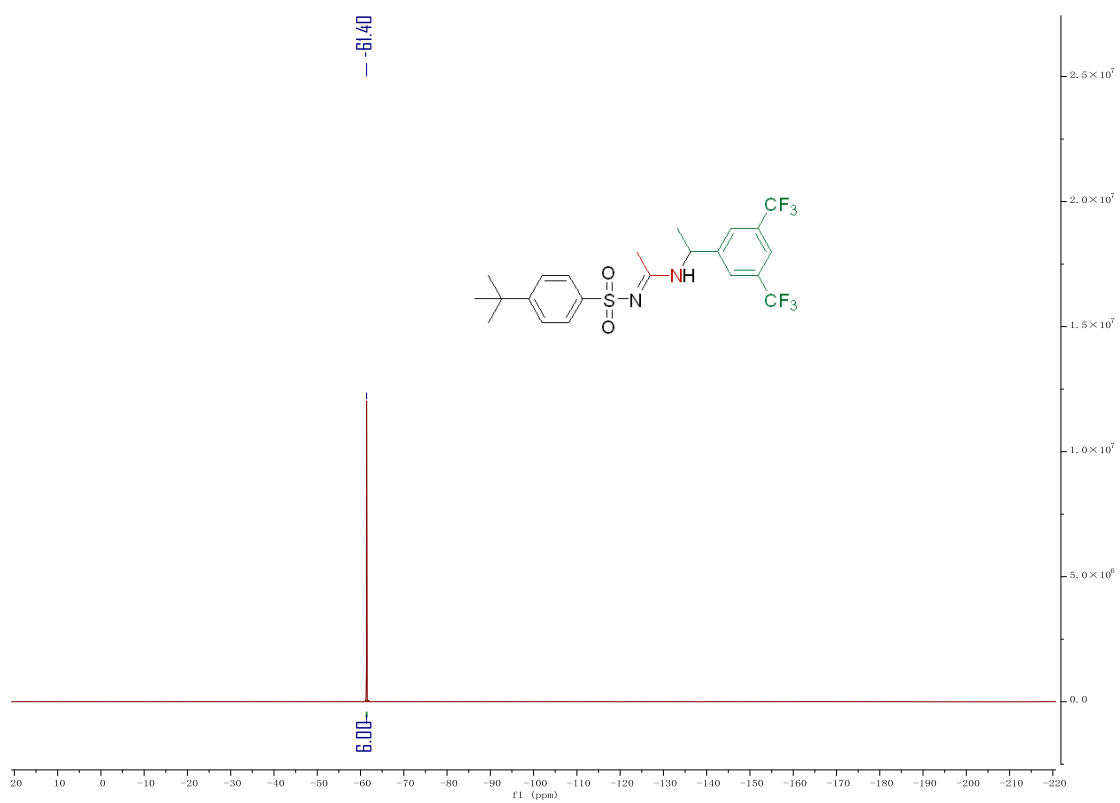
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5aj**



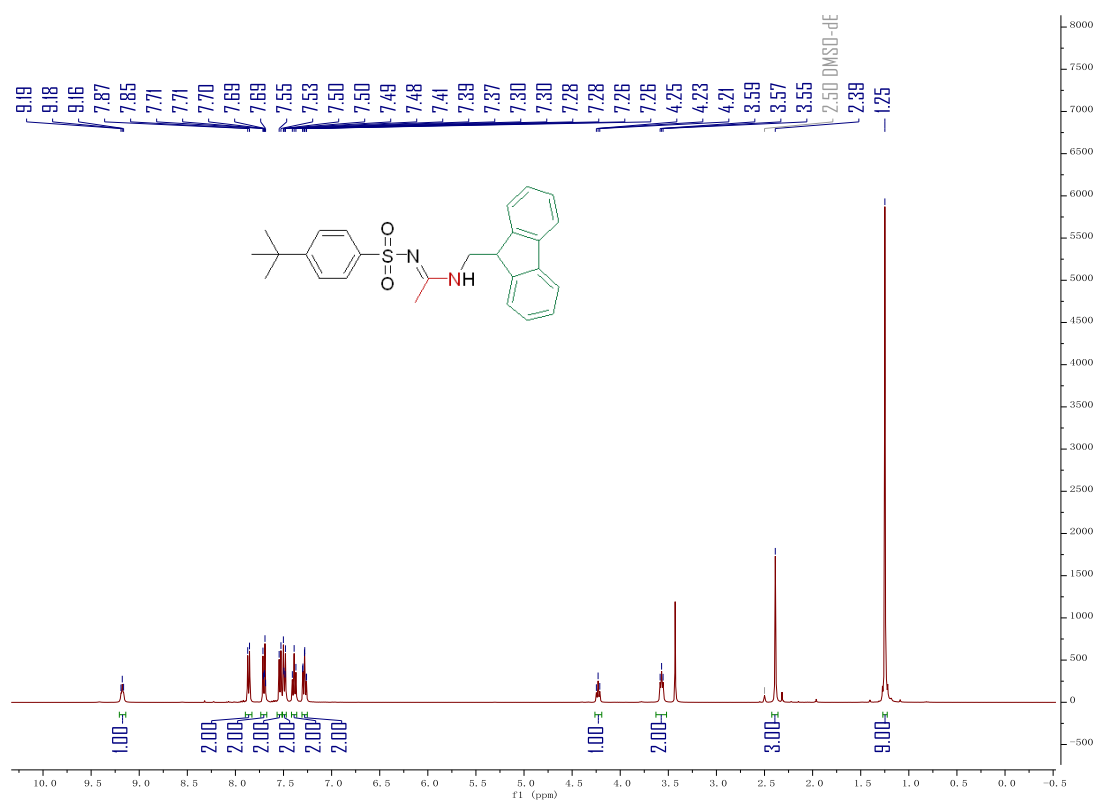
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5aj**



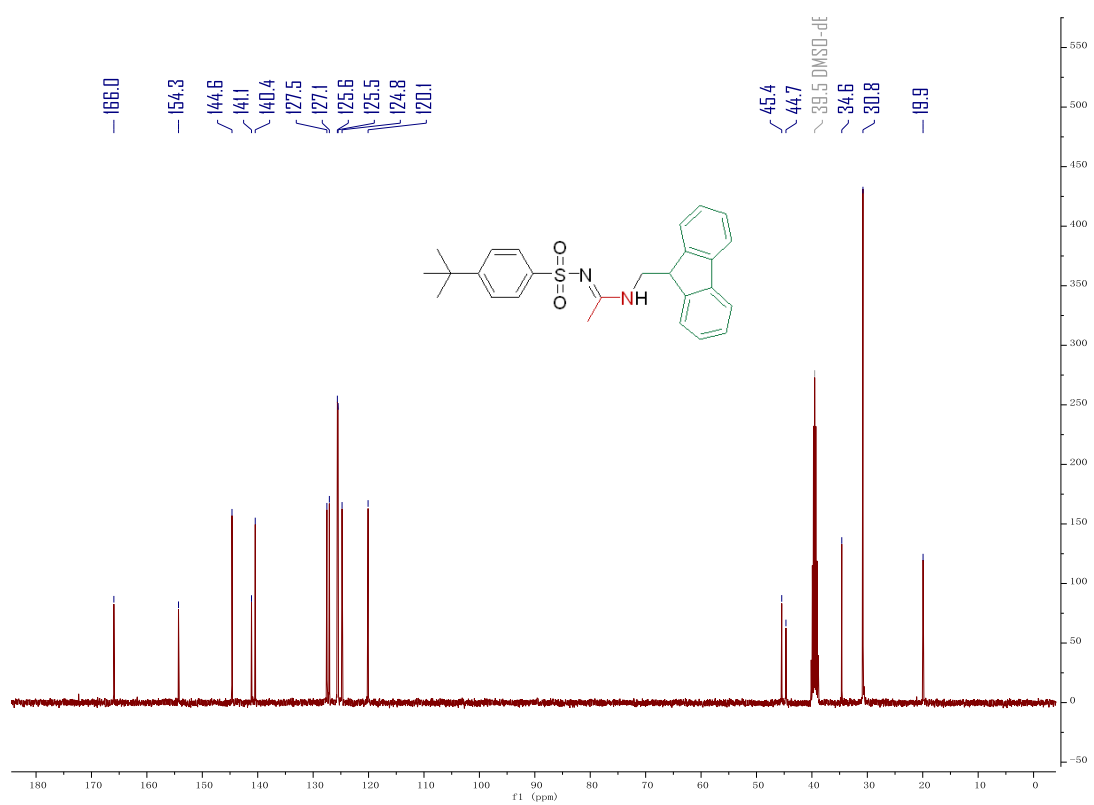
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 5aj**



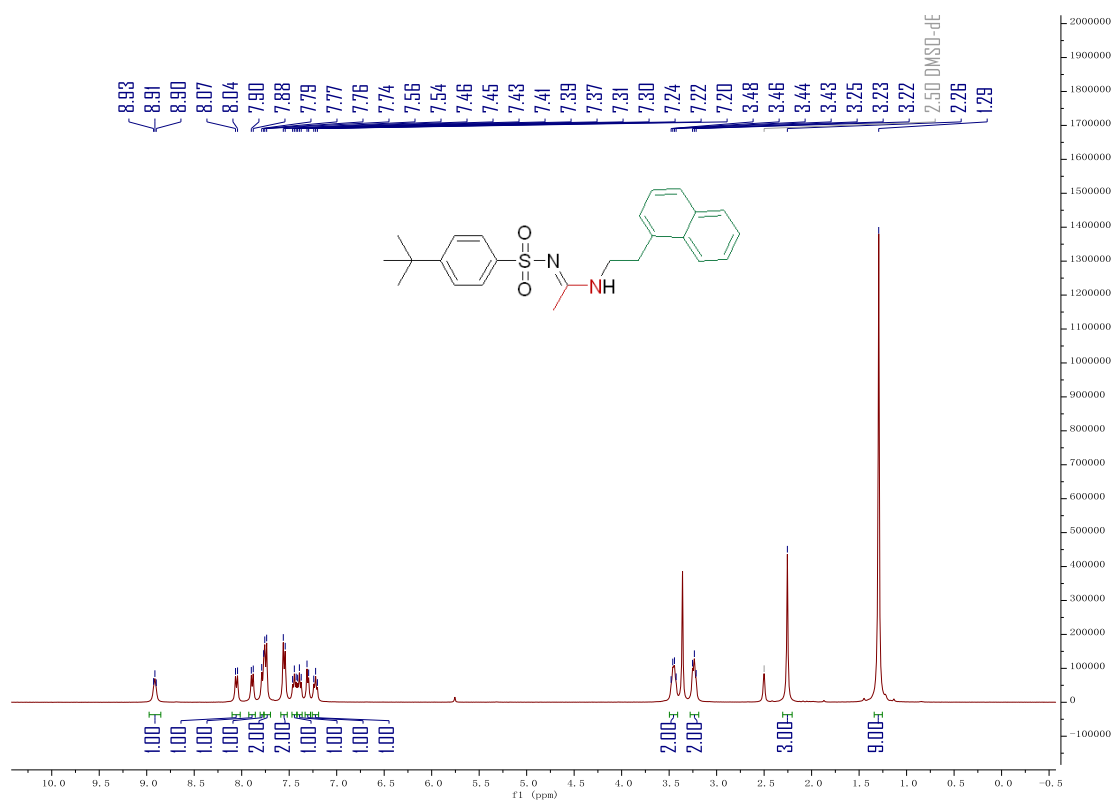
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ak**



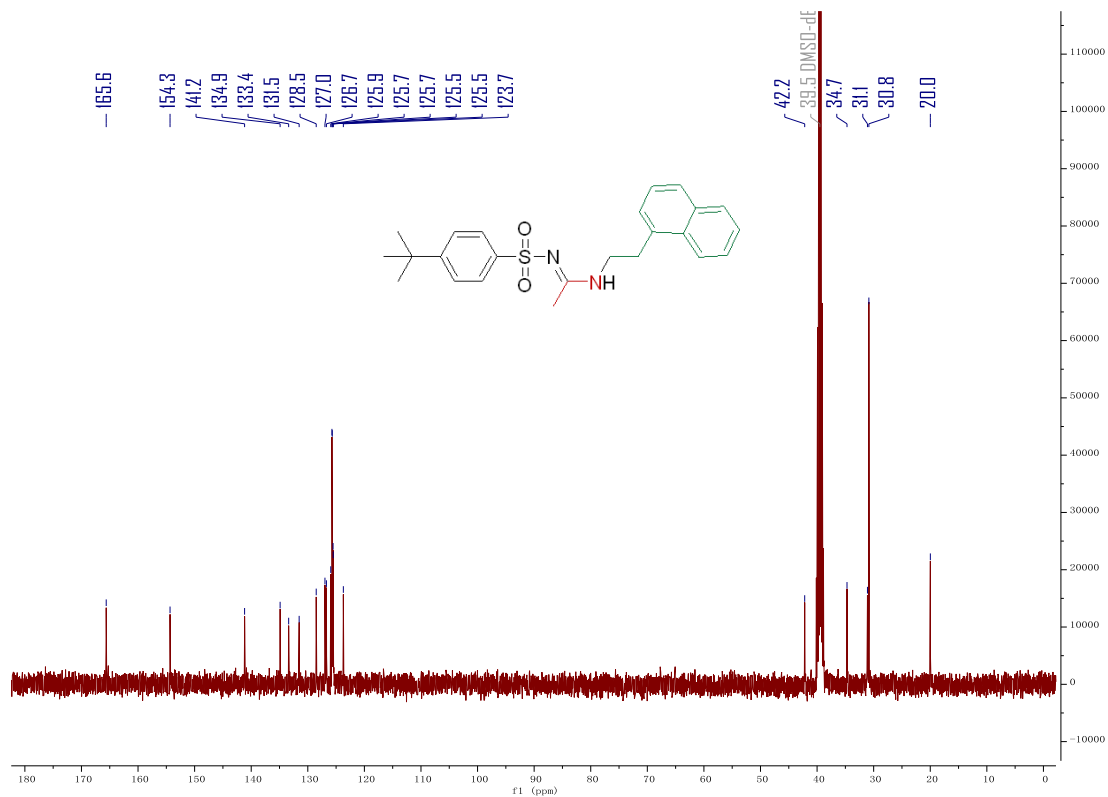
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ak**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5al**

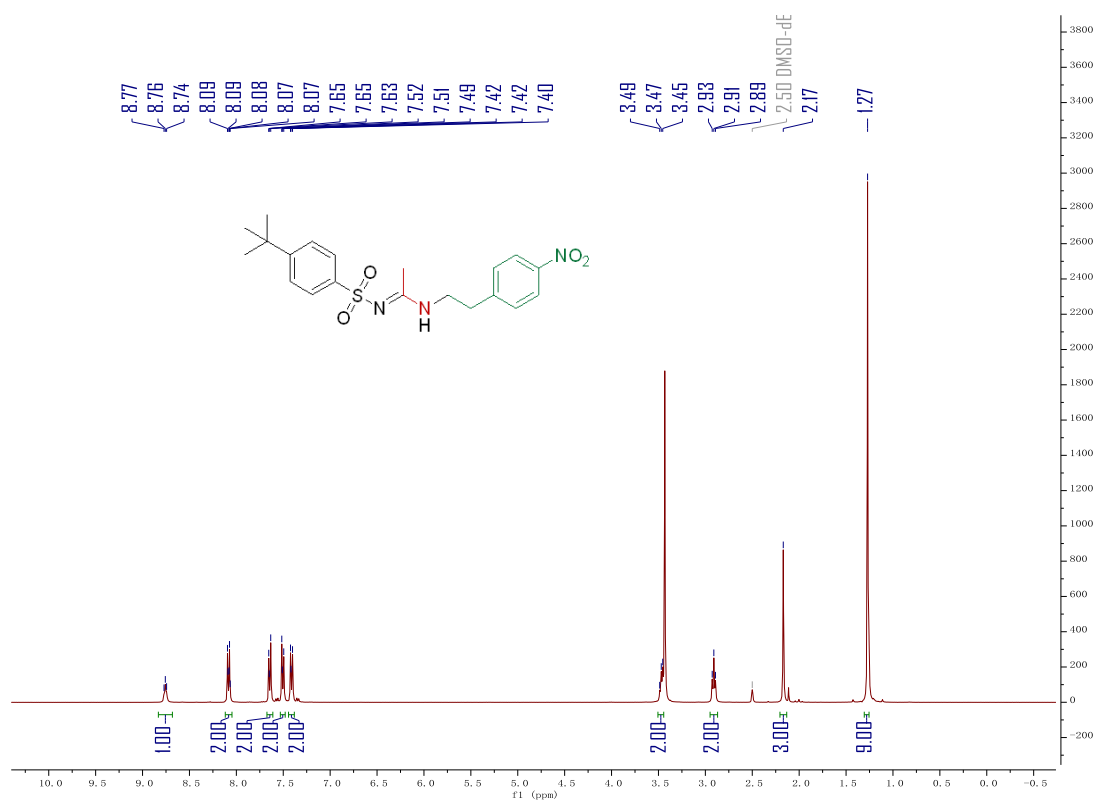


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5al**

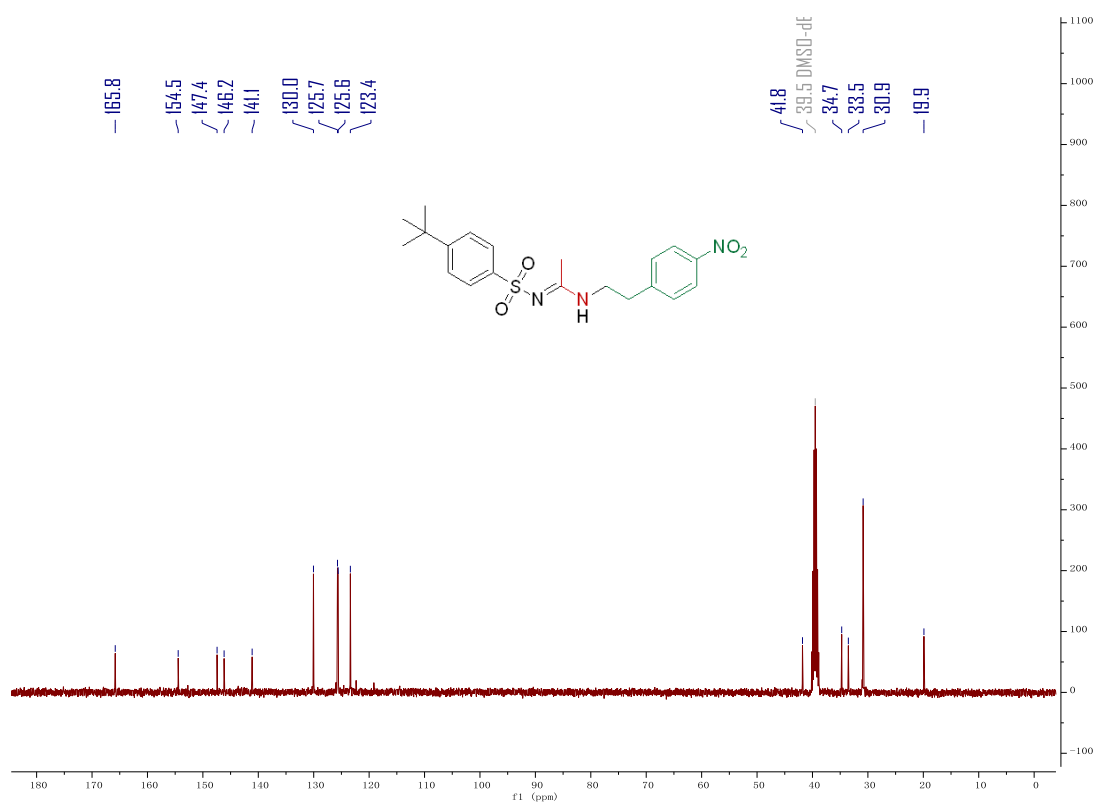




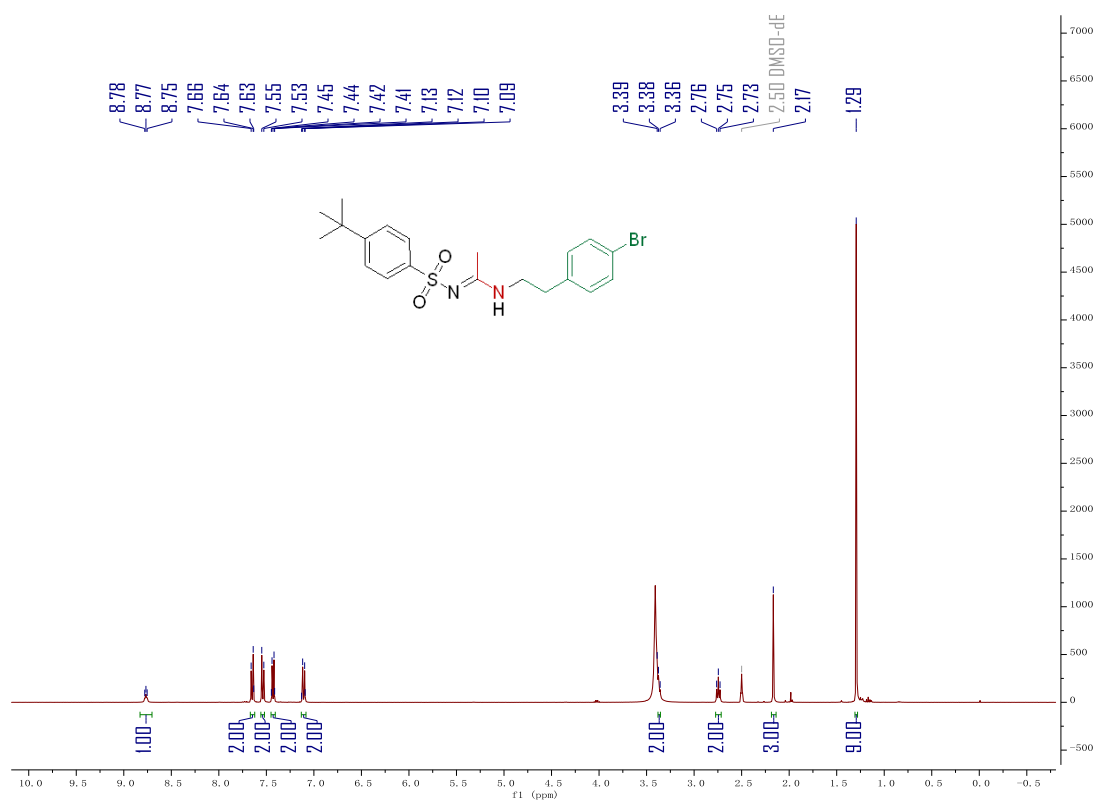
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5am**



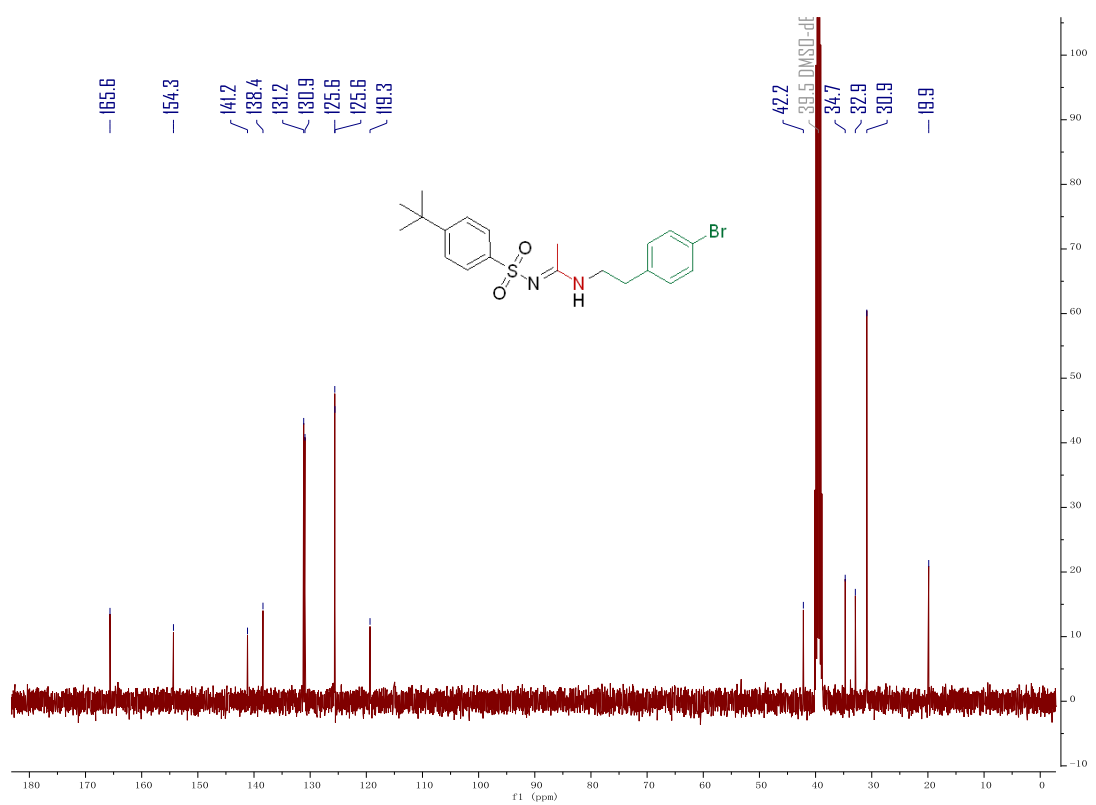
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5am**



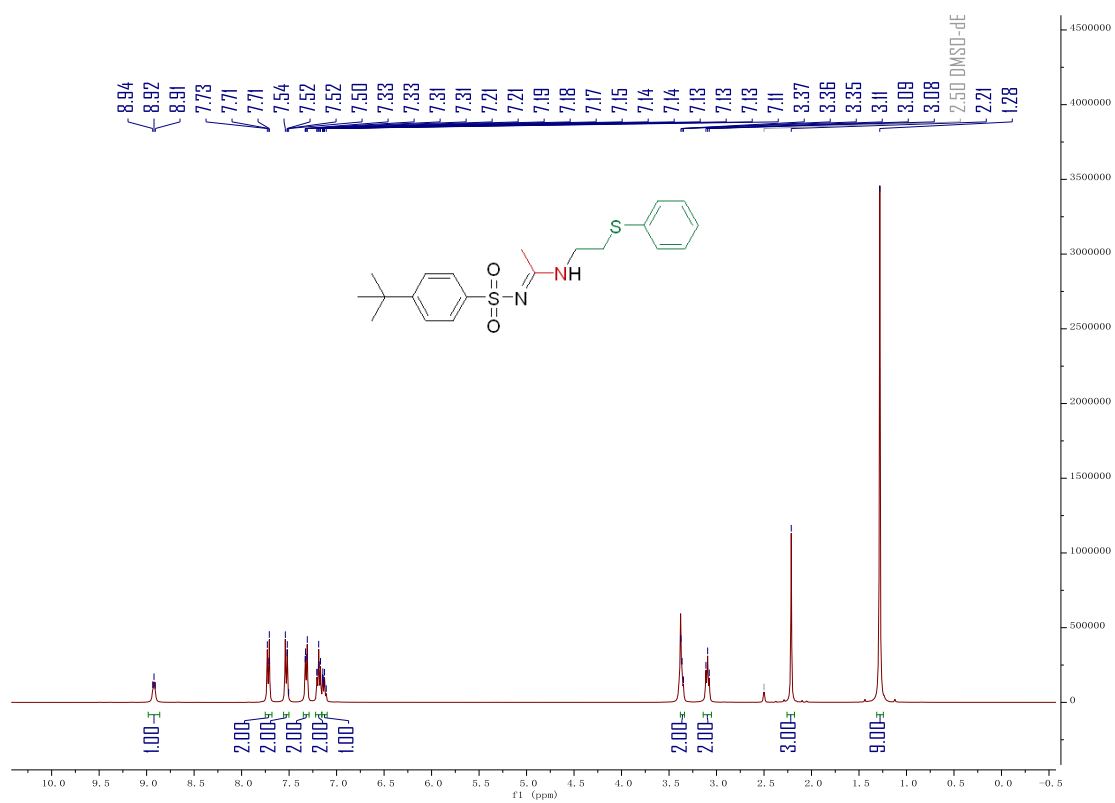
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5an**



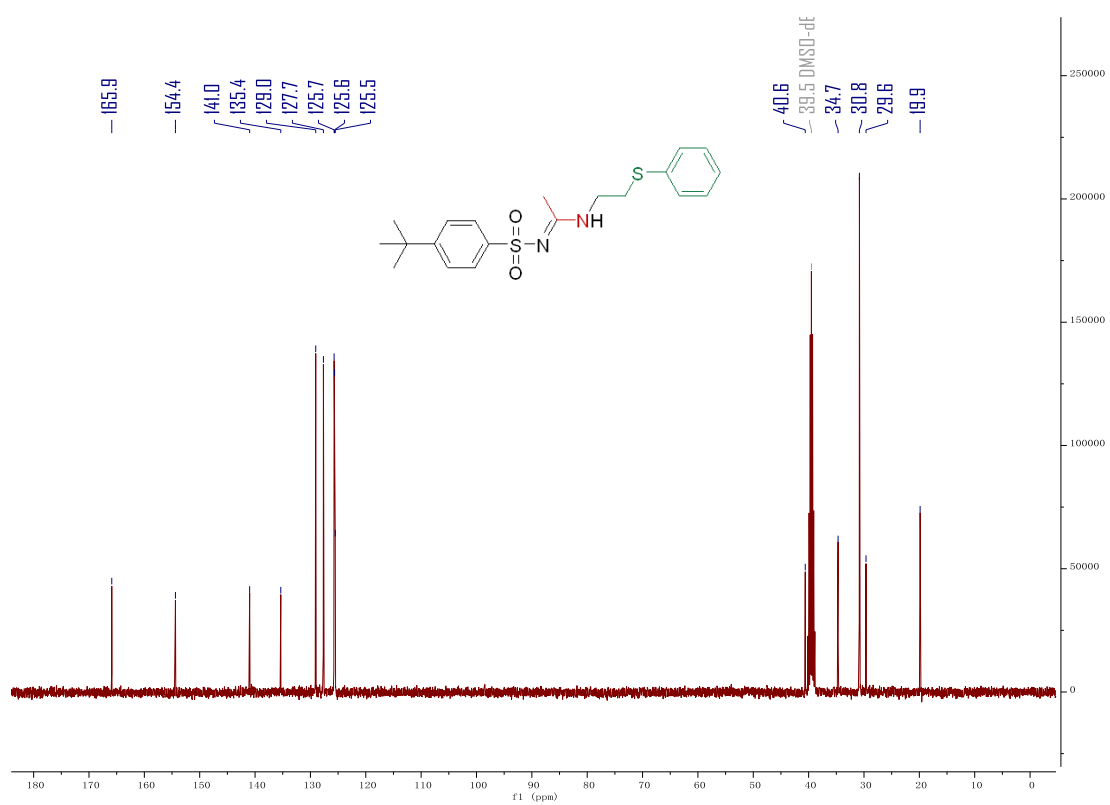
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5an**



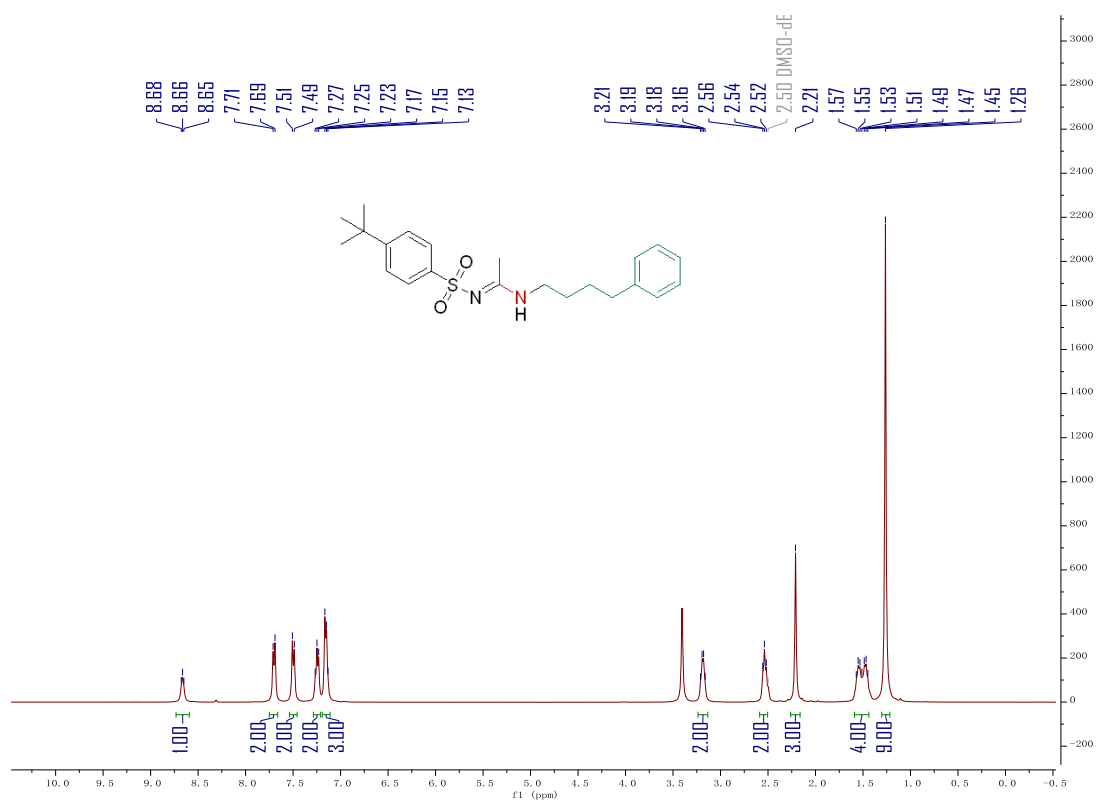
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ao**



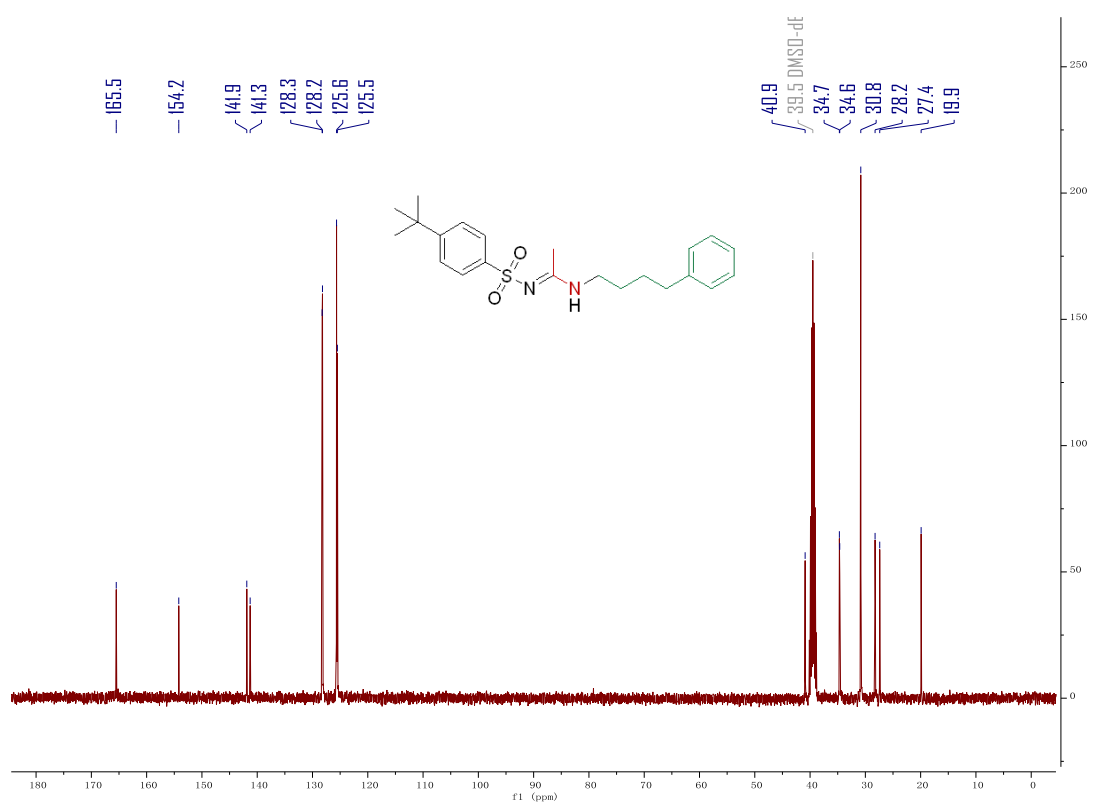
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ao**



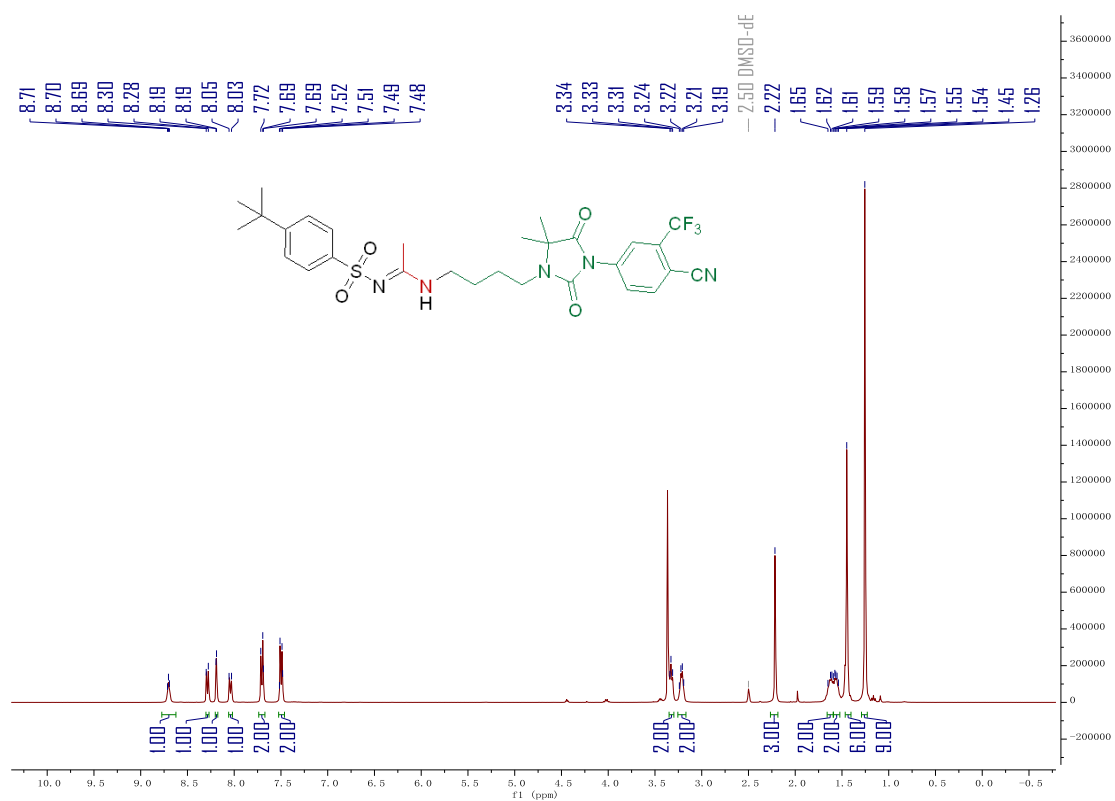
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5ap**



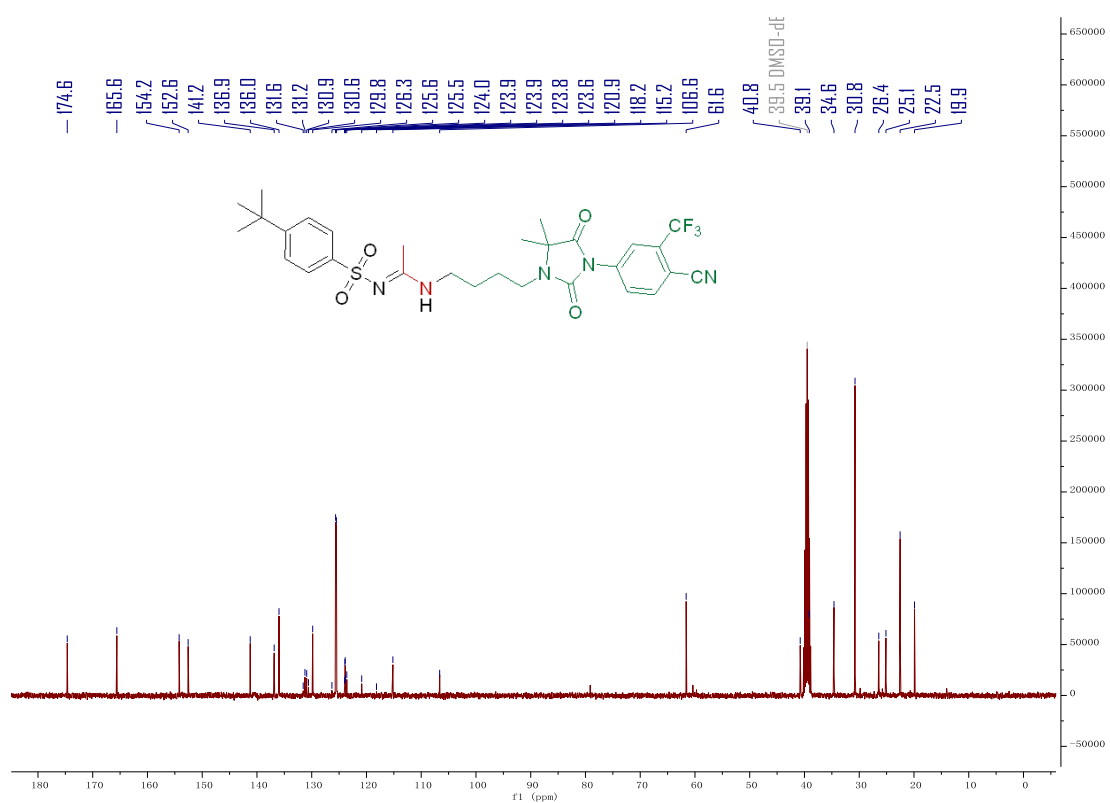
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5ap**



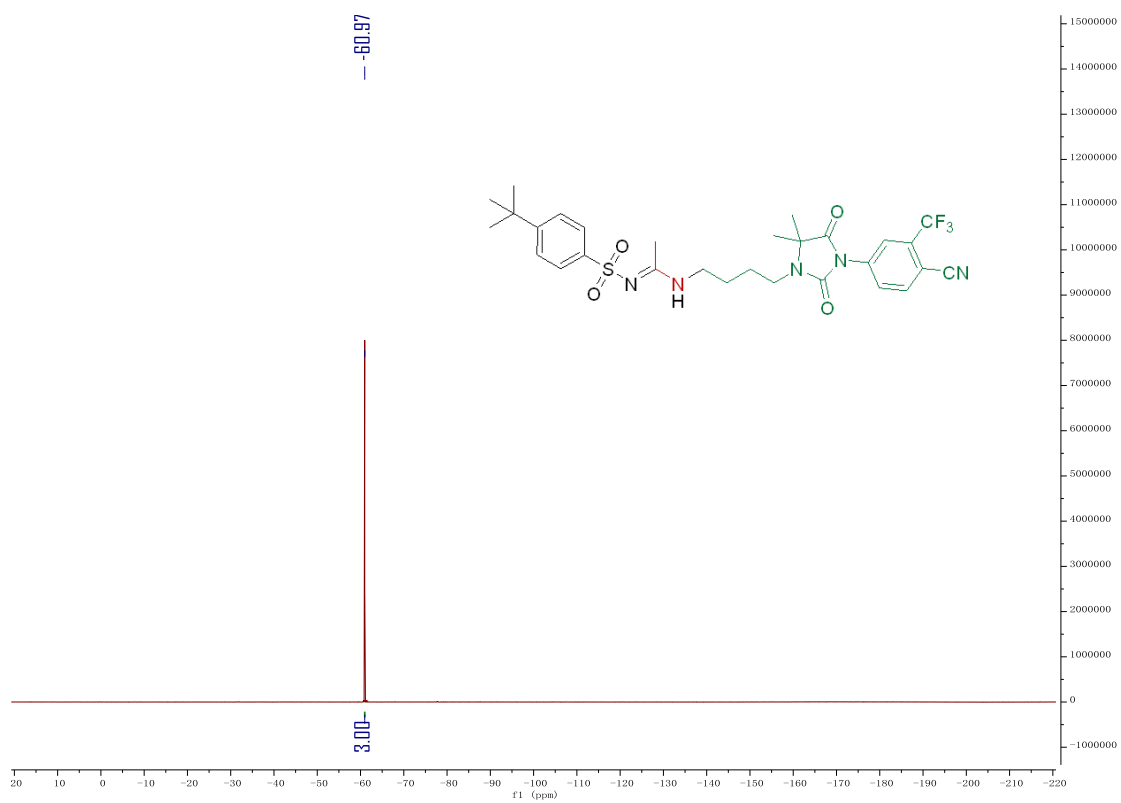
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 5aq**



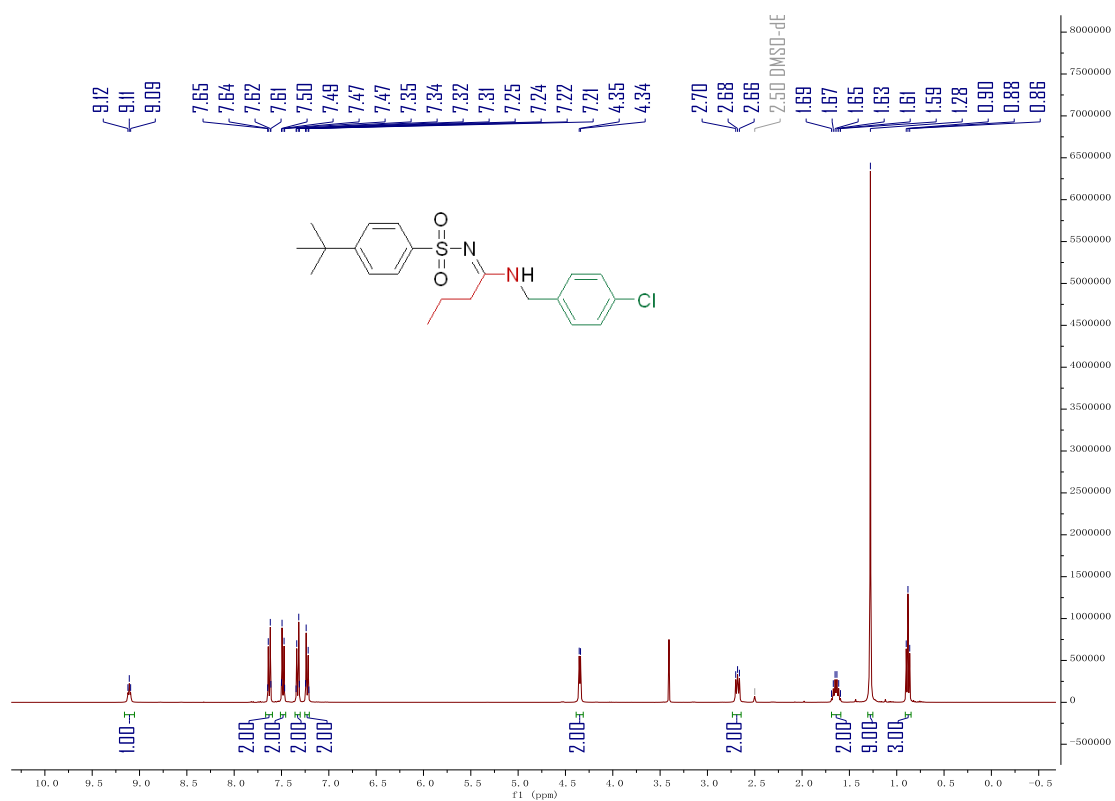
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 5aq**



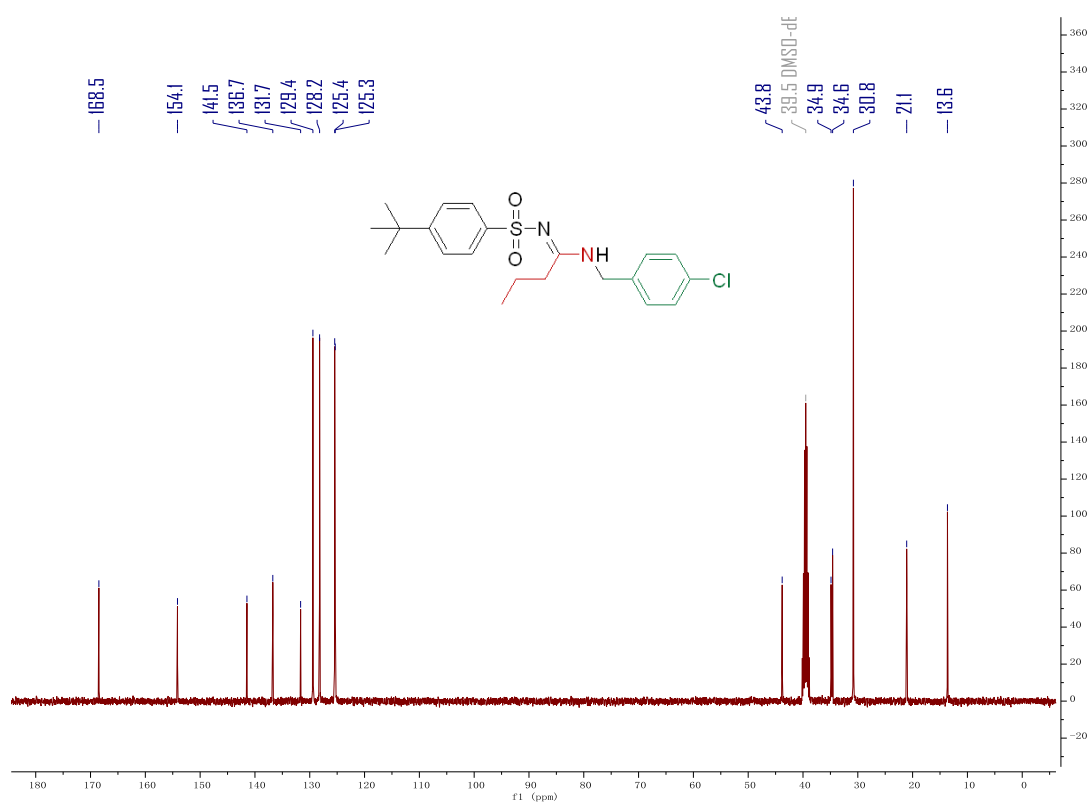
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 5aq**



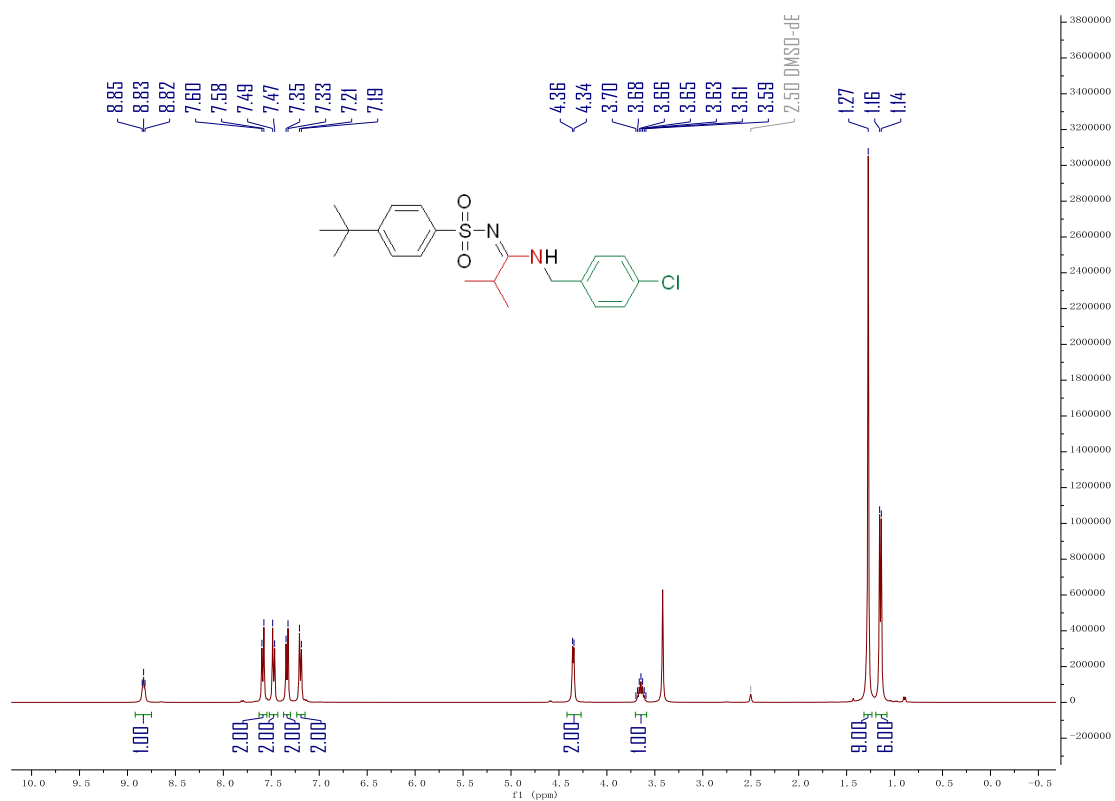
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6a**



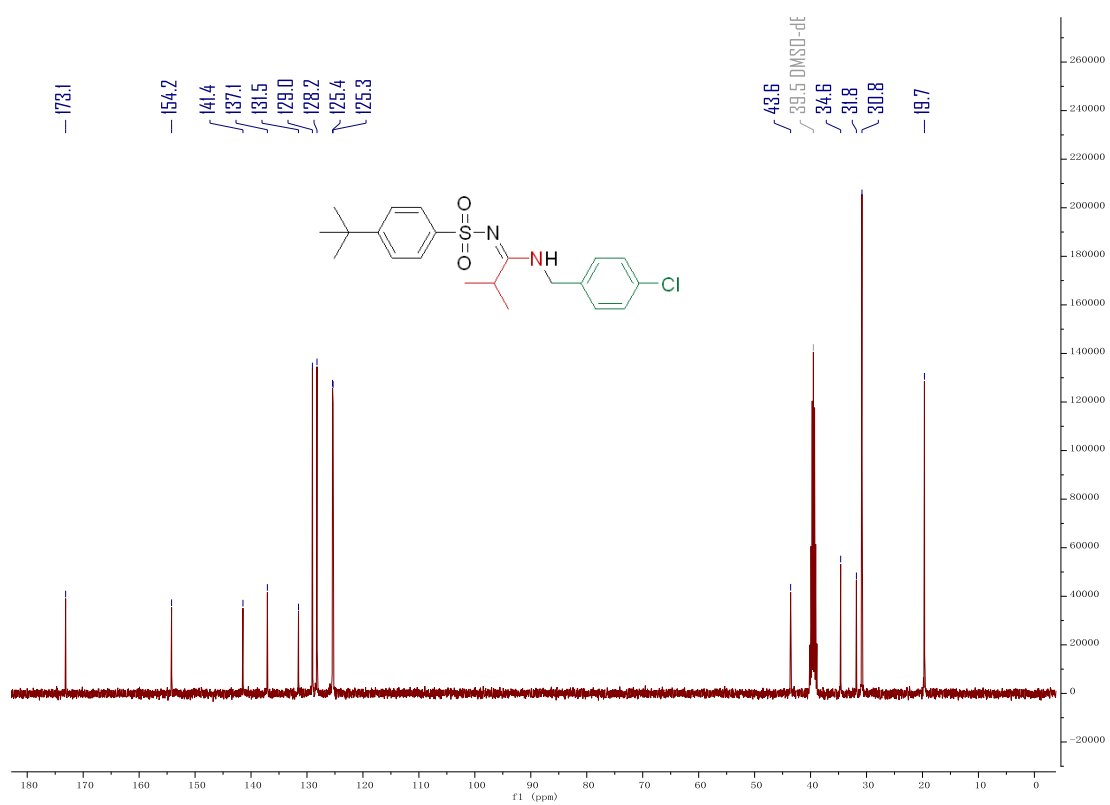
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6a**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6b**

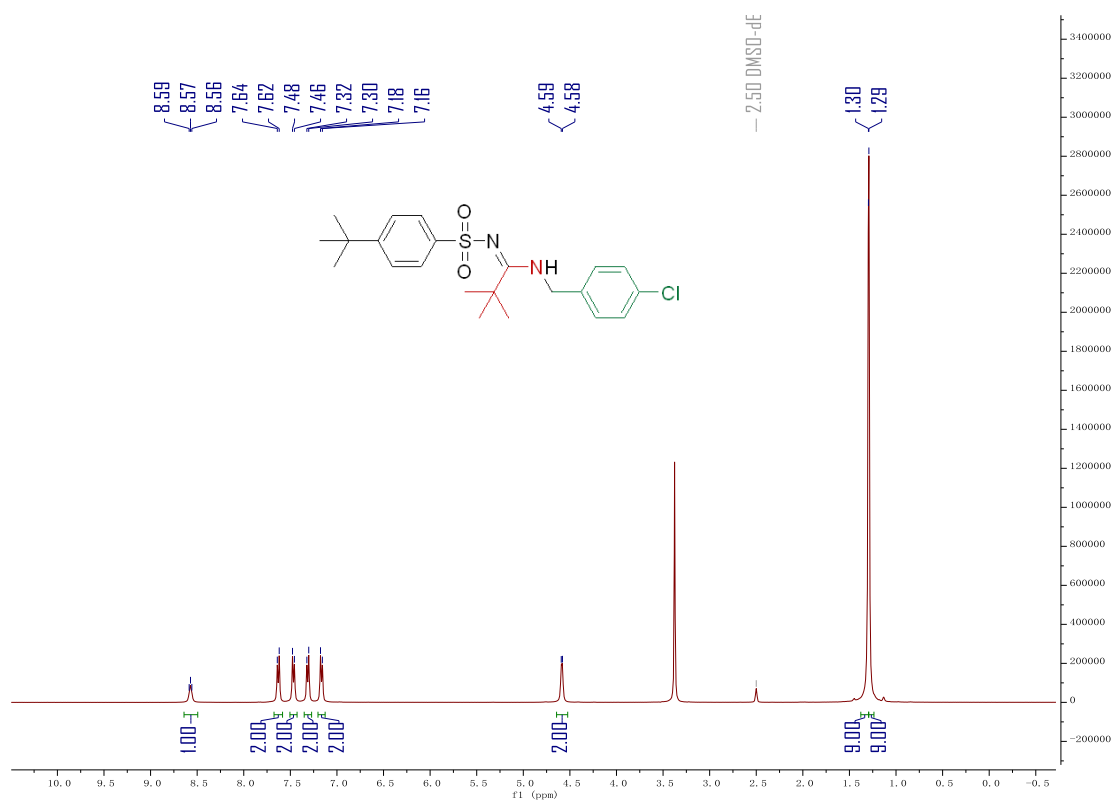


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6b**

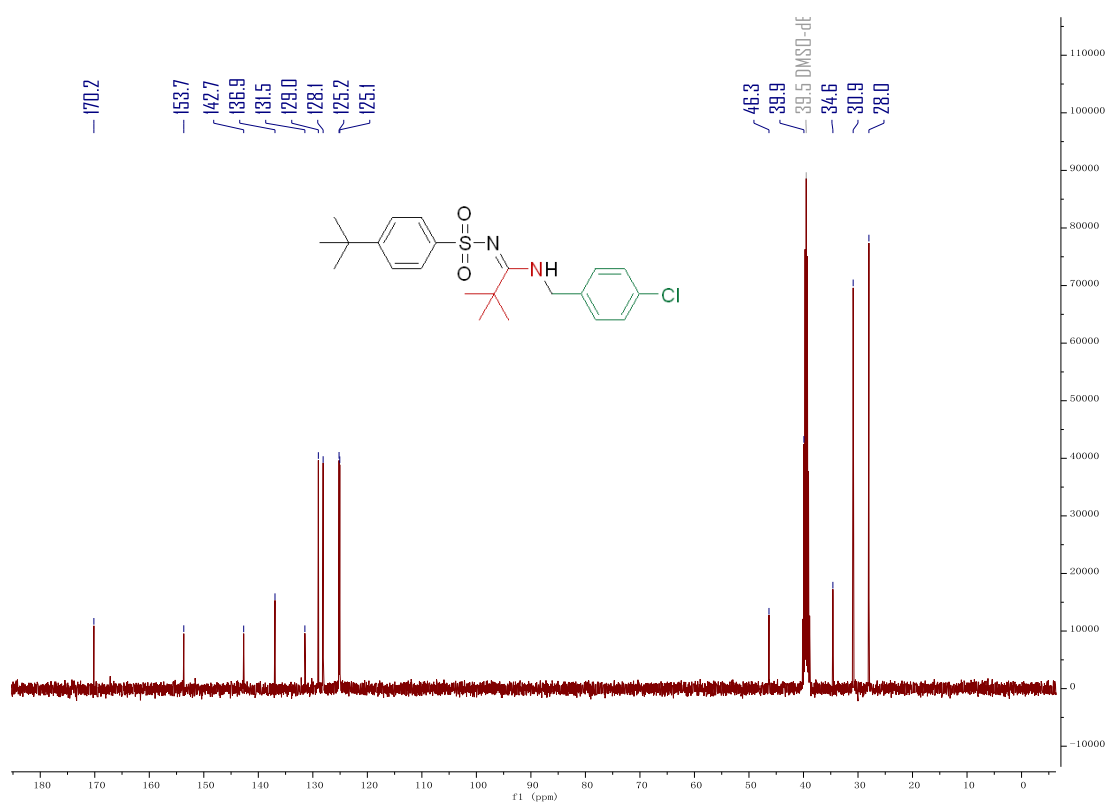




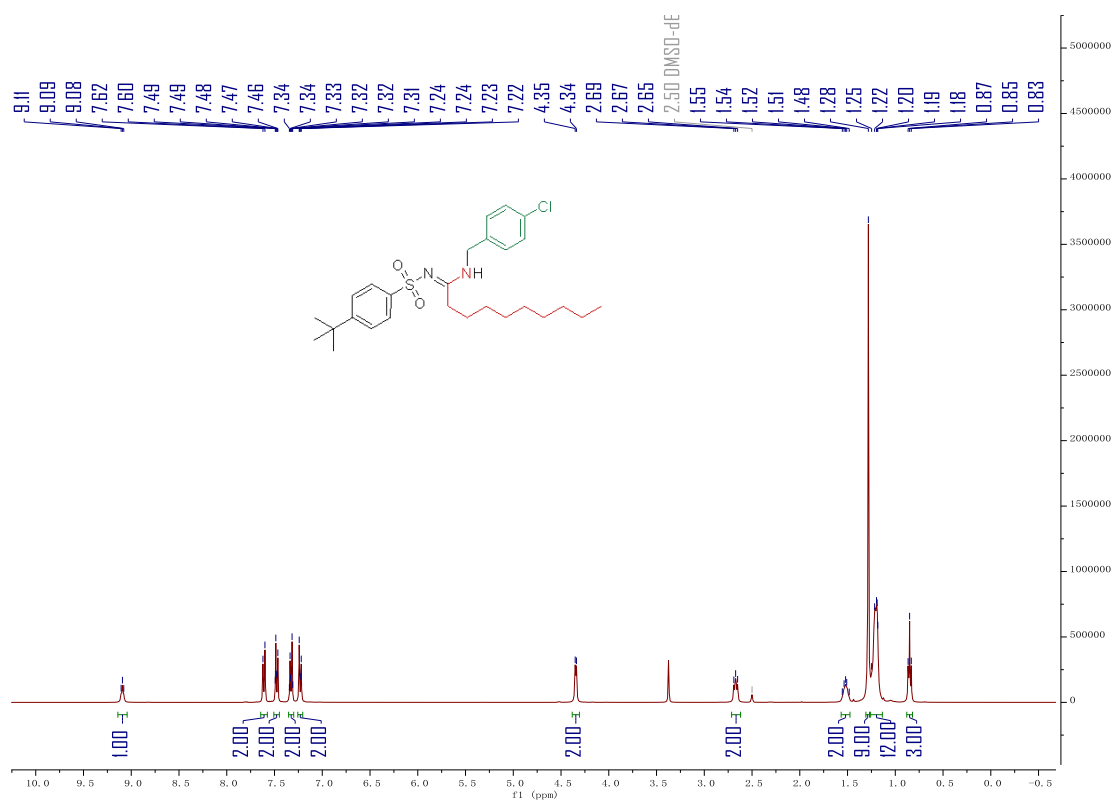
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6c**



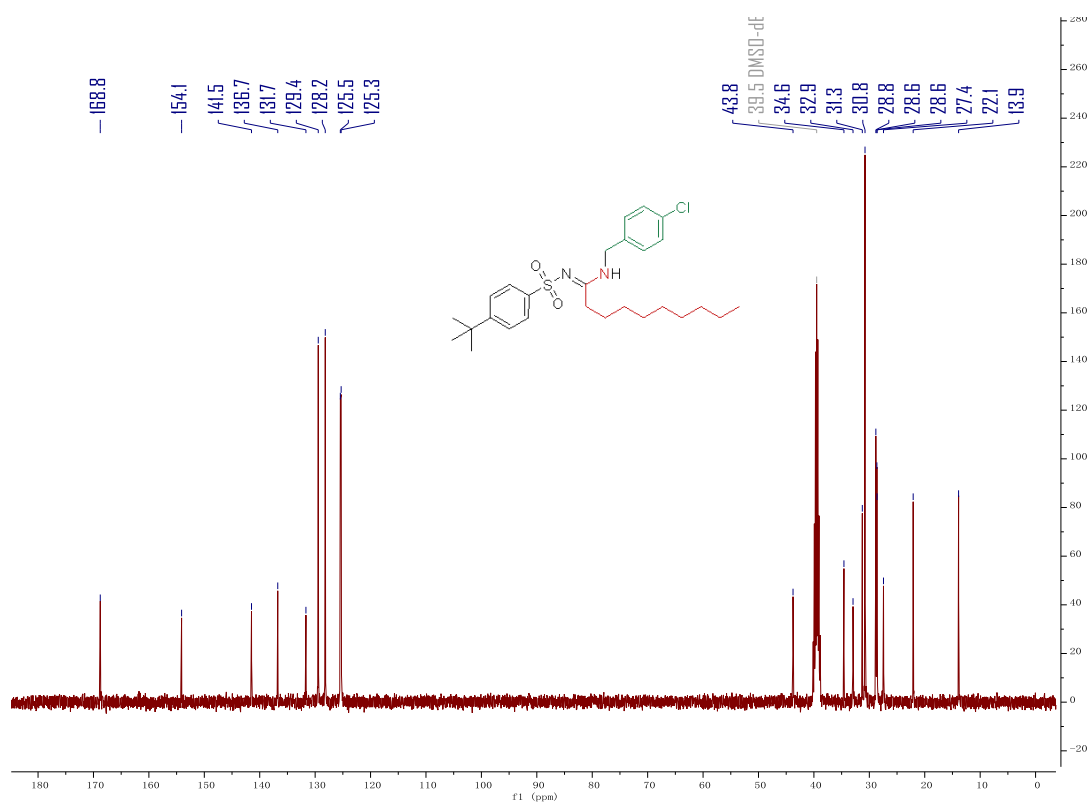
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6c**



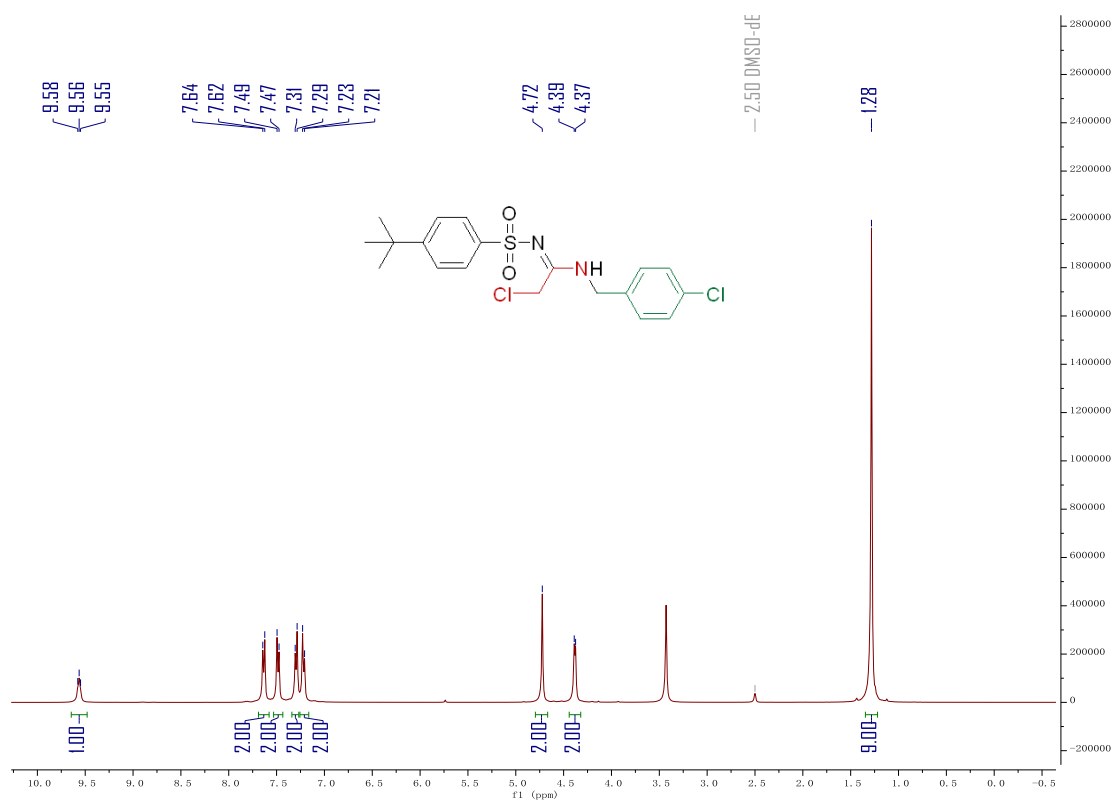
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6d**



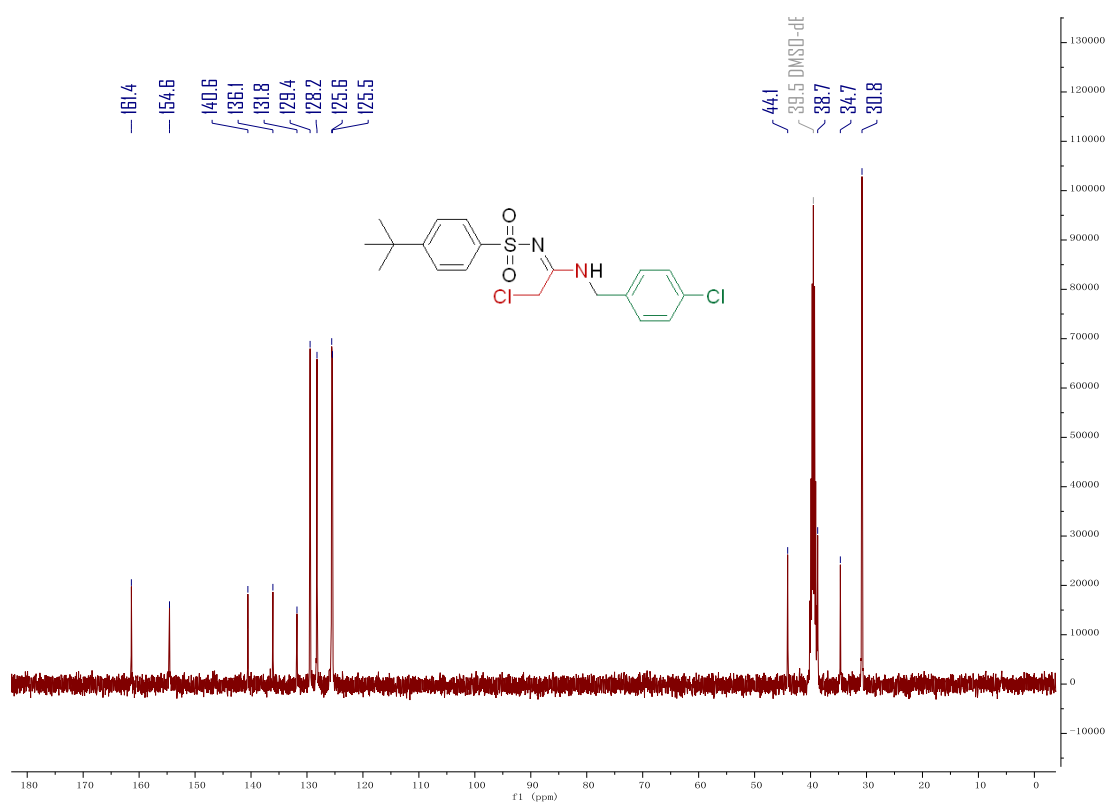
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6d**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6e**

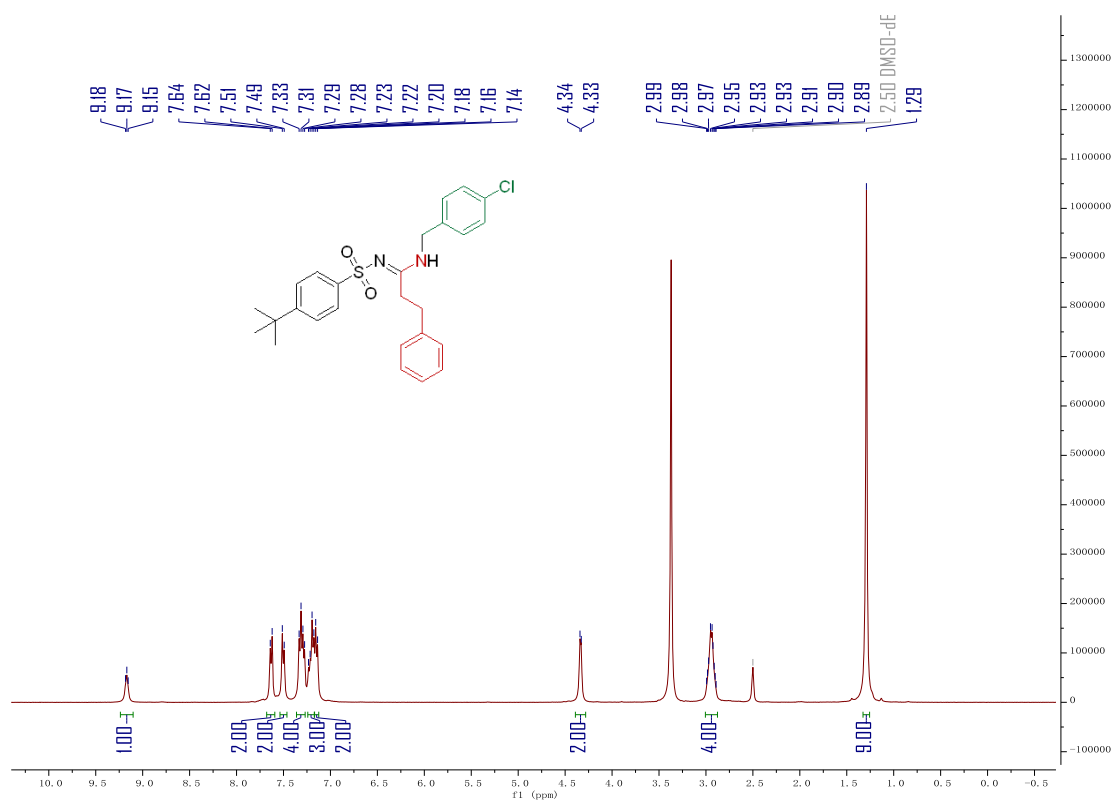


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6e**

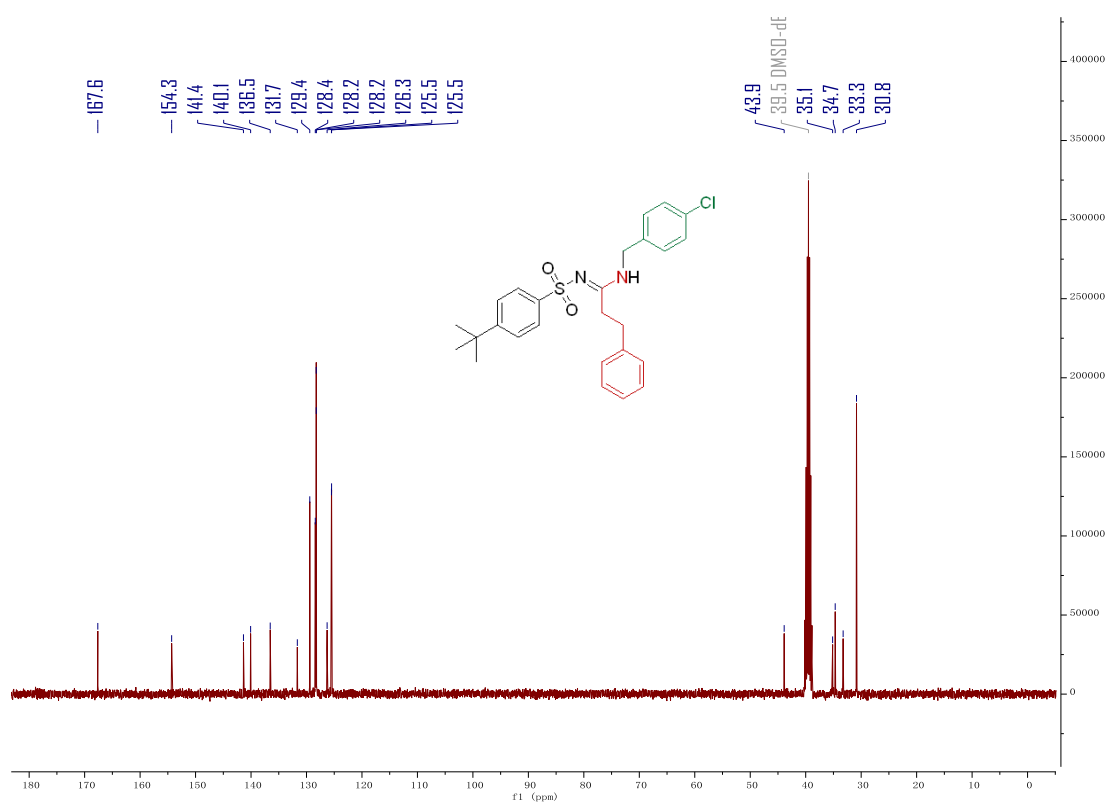




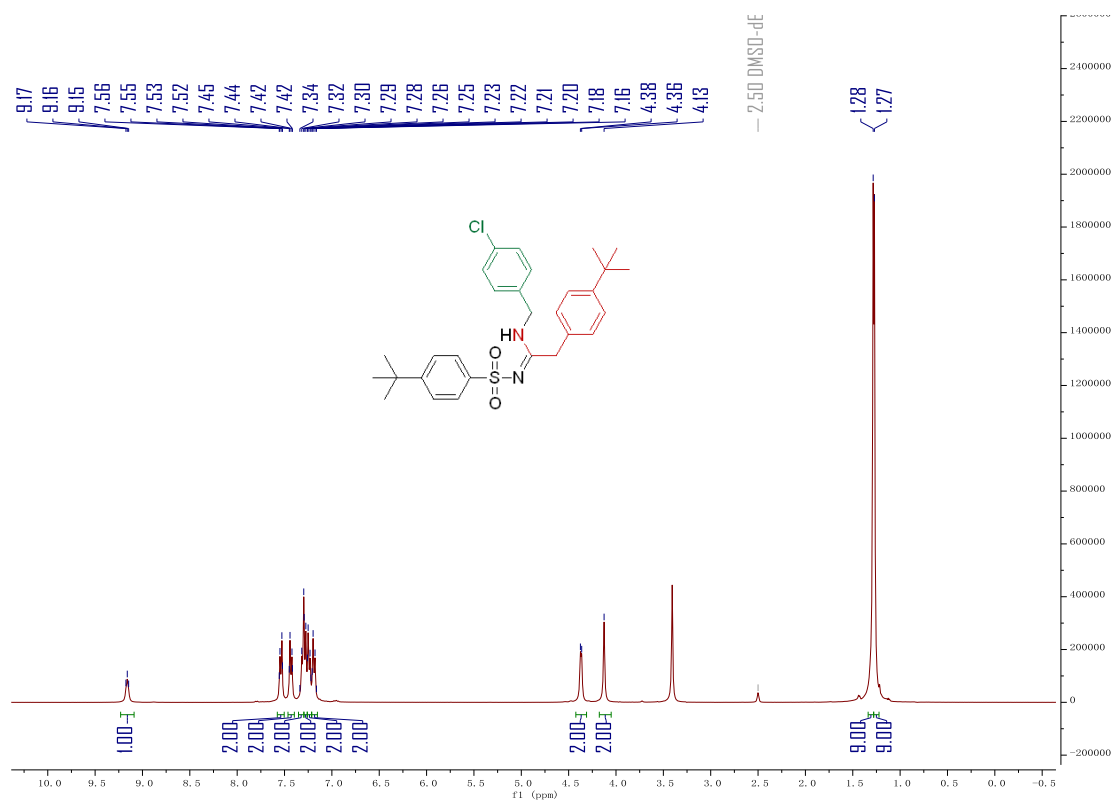
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6g**



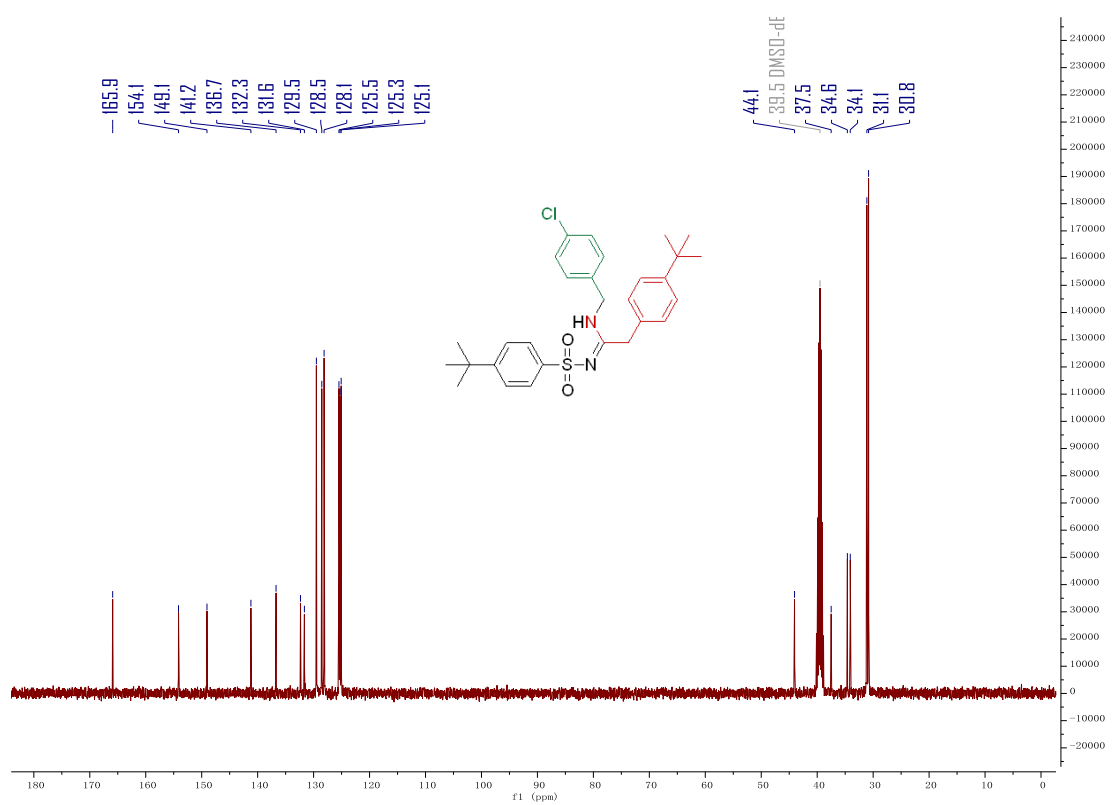
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6g**



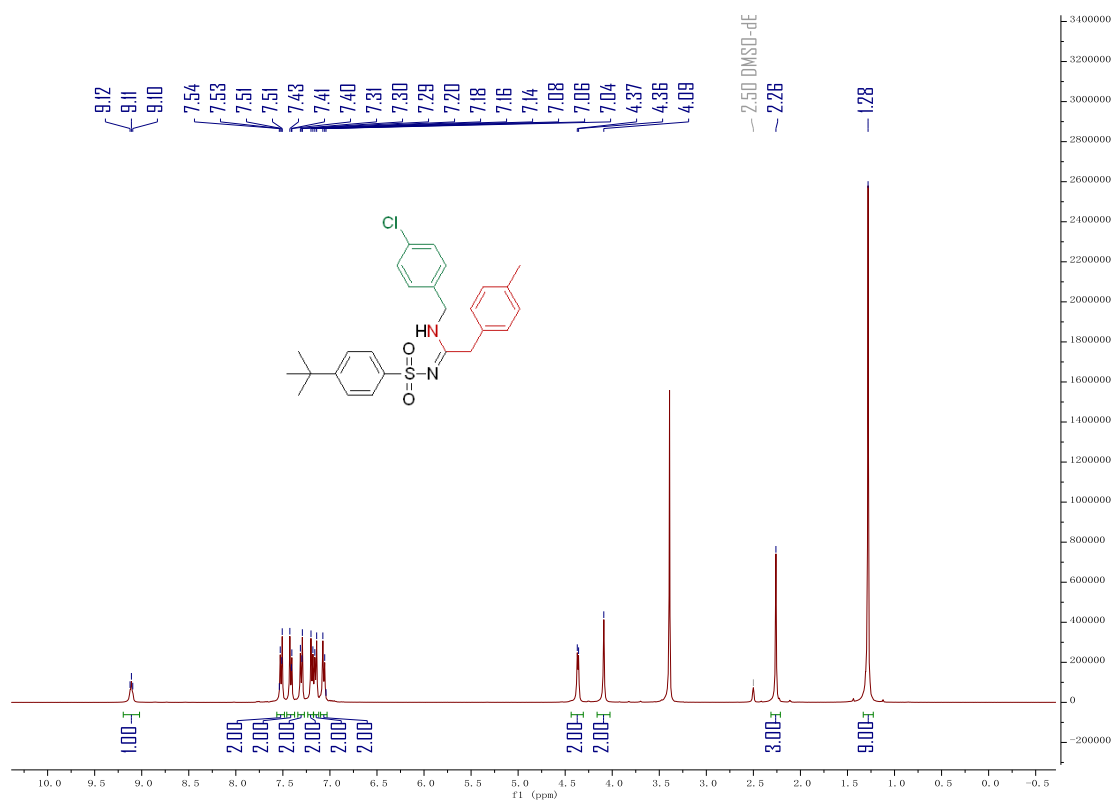
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6h**



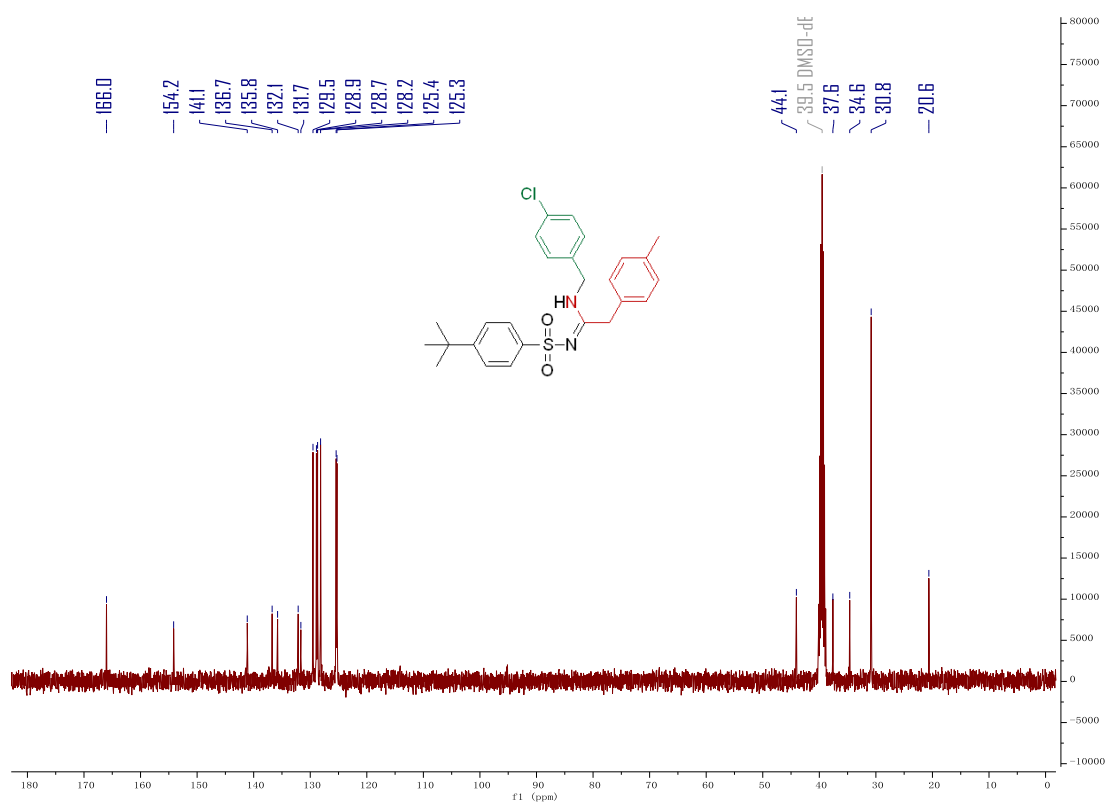
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6h**



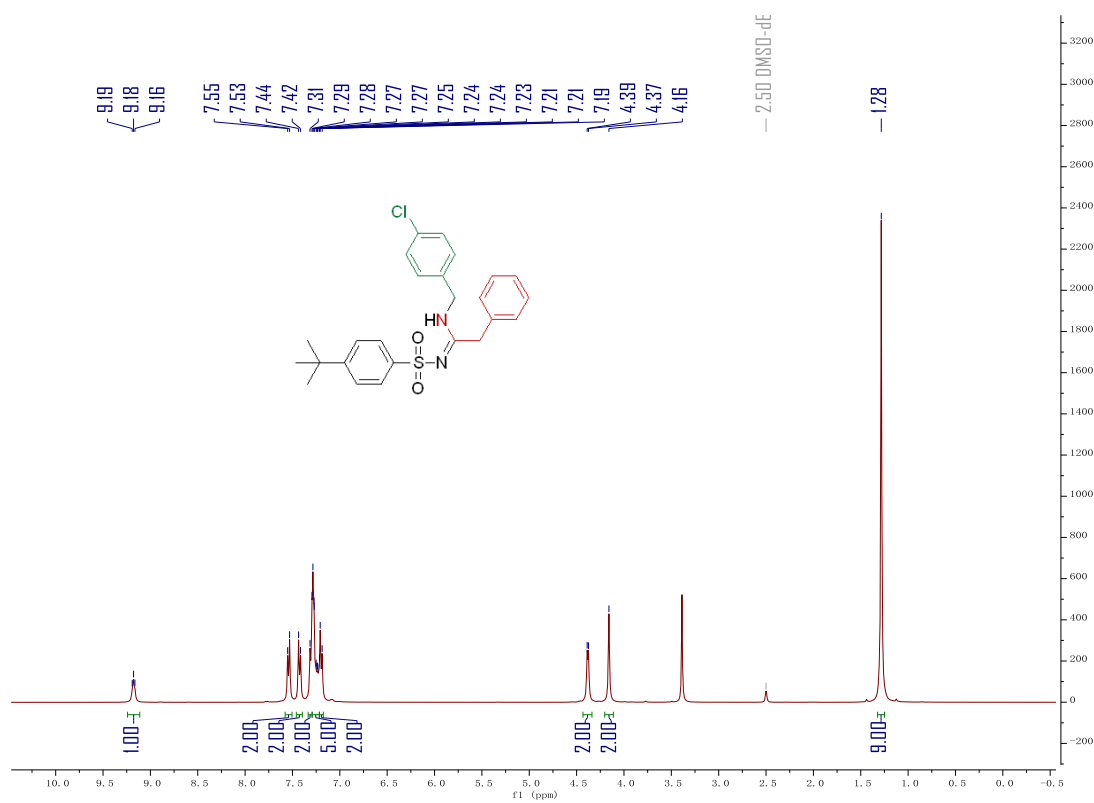
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound **6i****



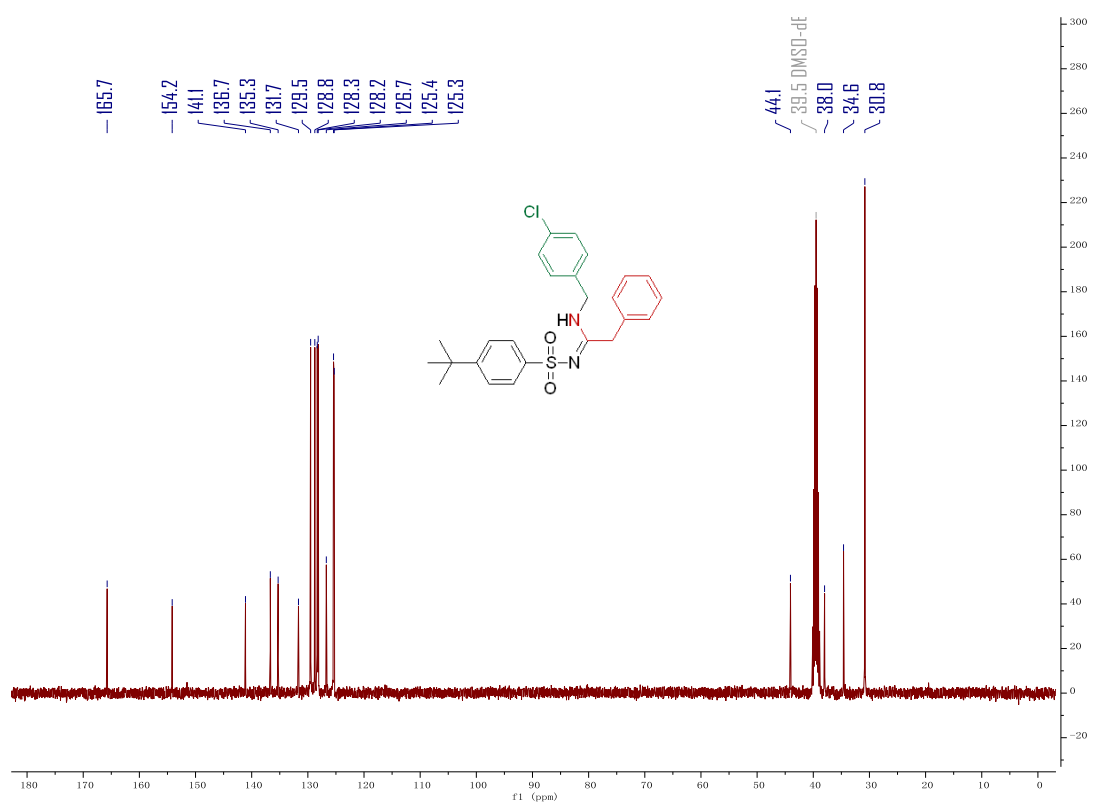
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound **6i****



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6j**

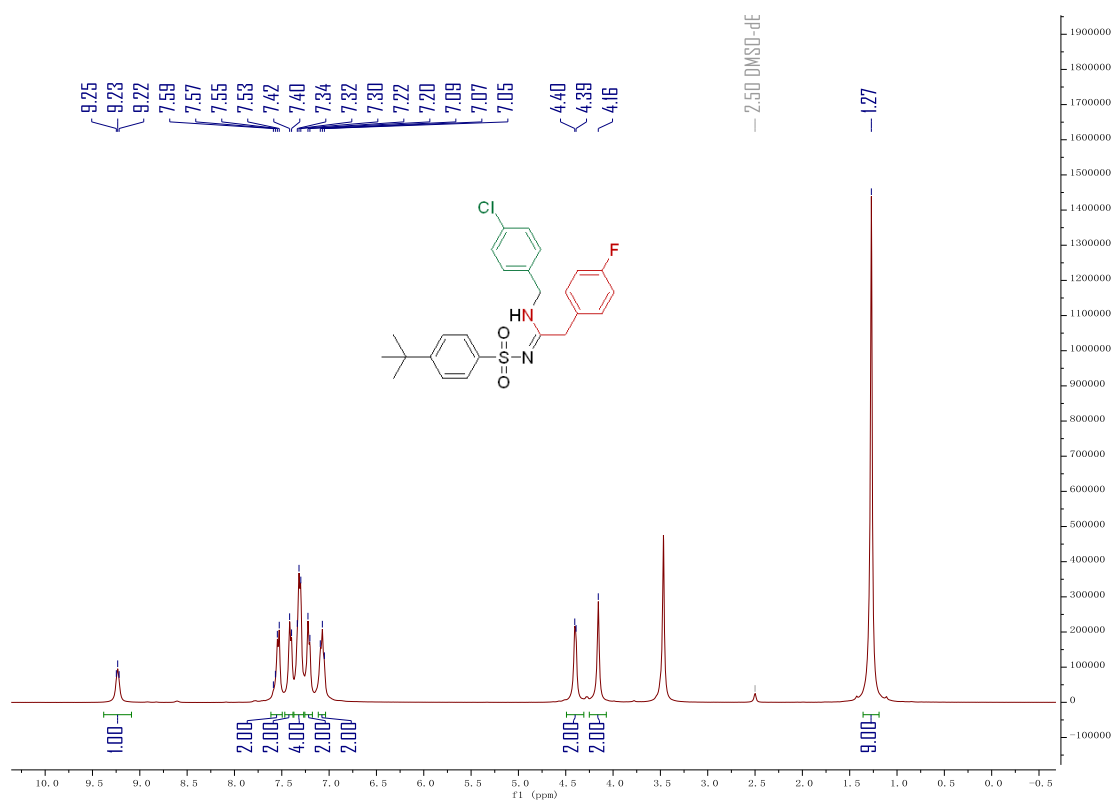


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6j**

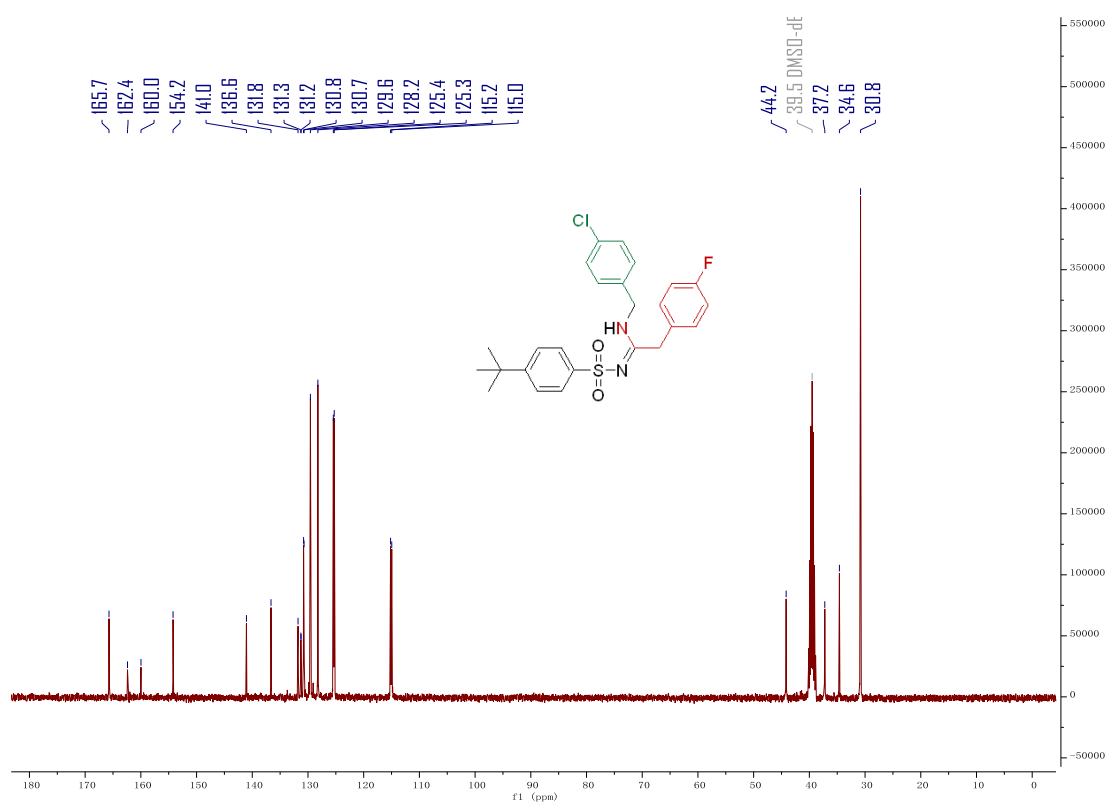




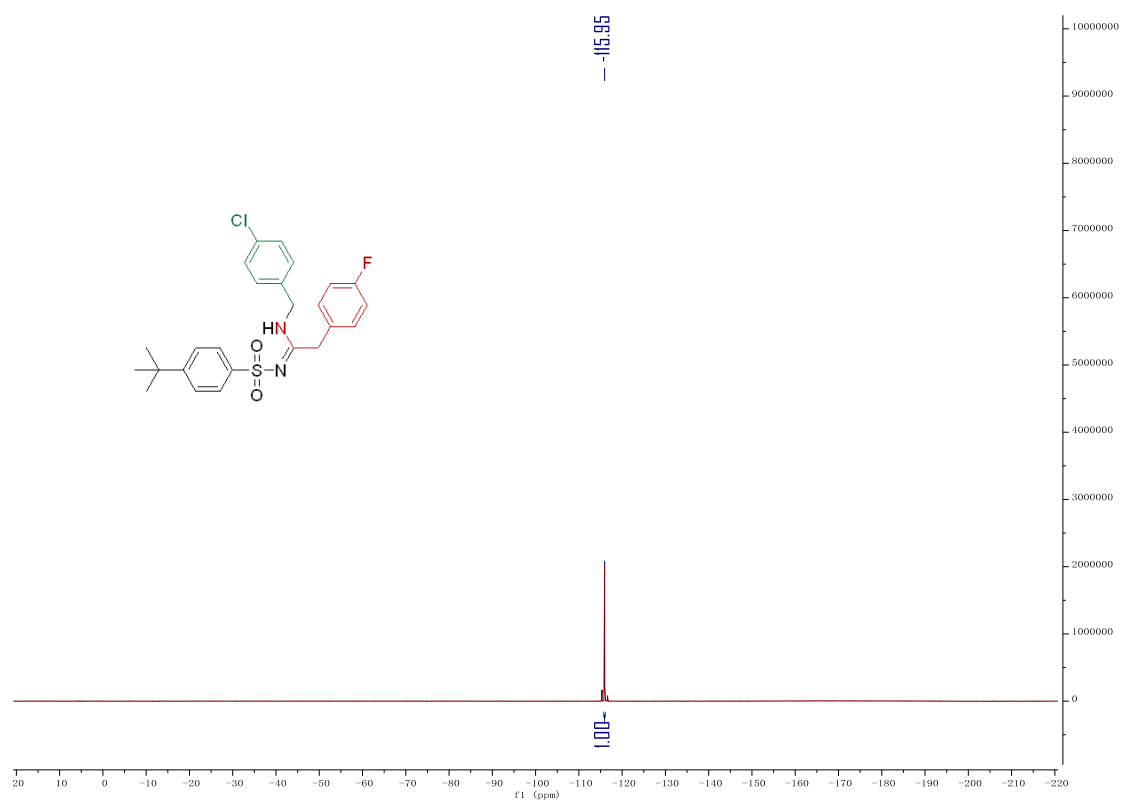
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **6k**



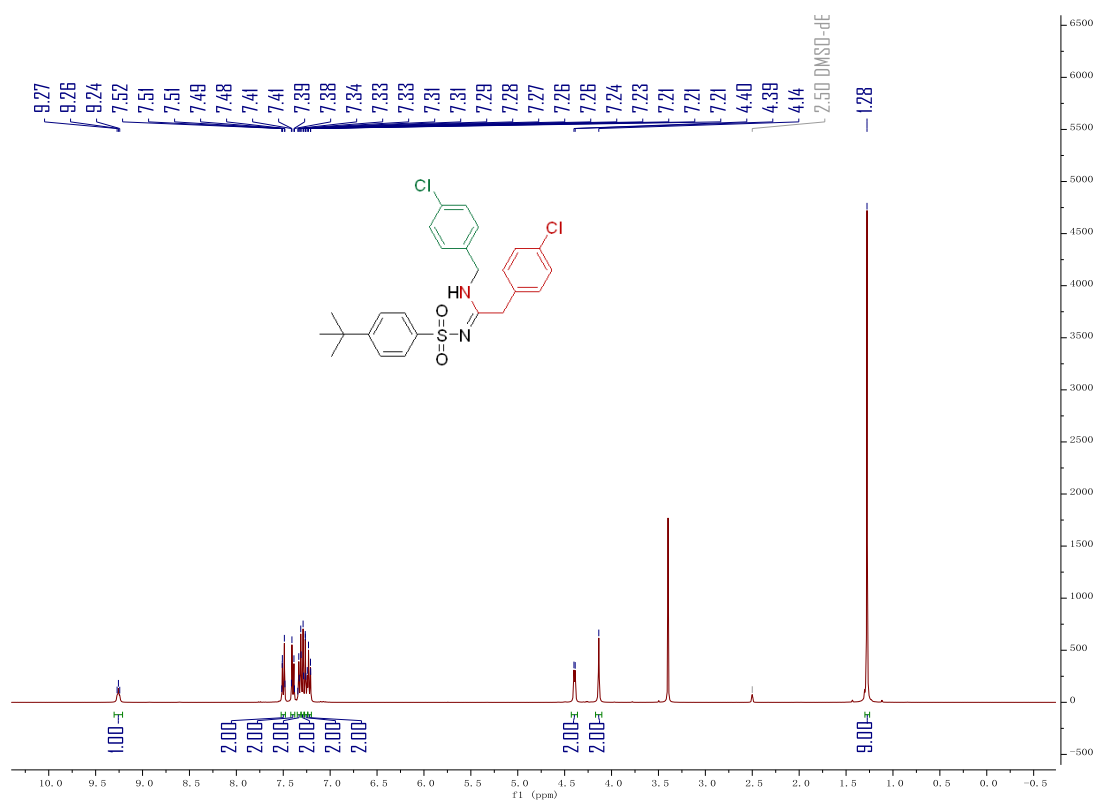
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **6k**



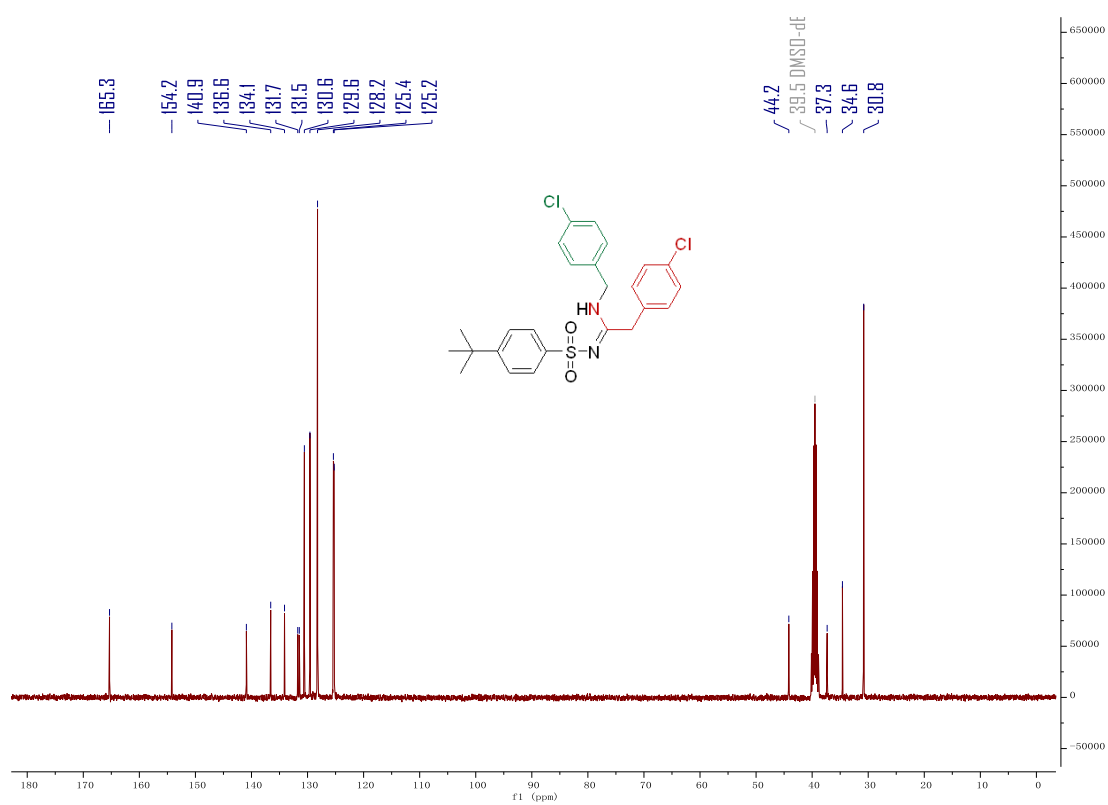
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 6k**



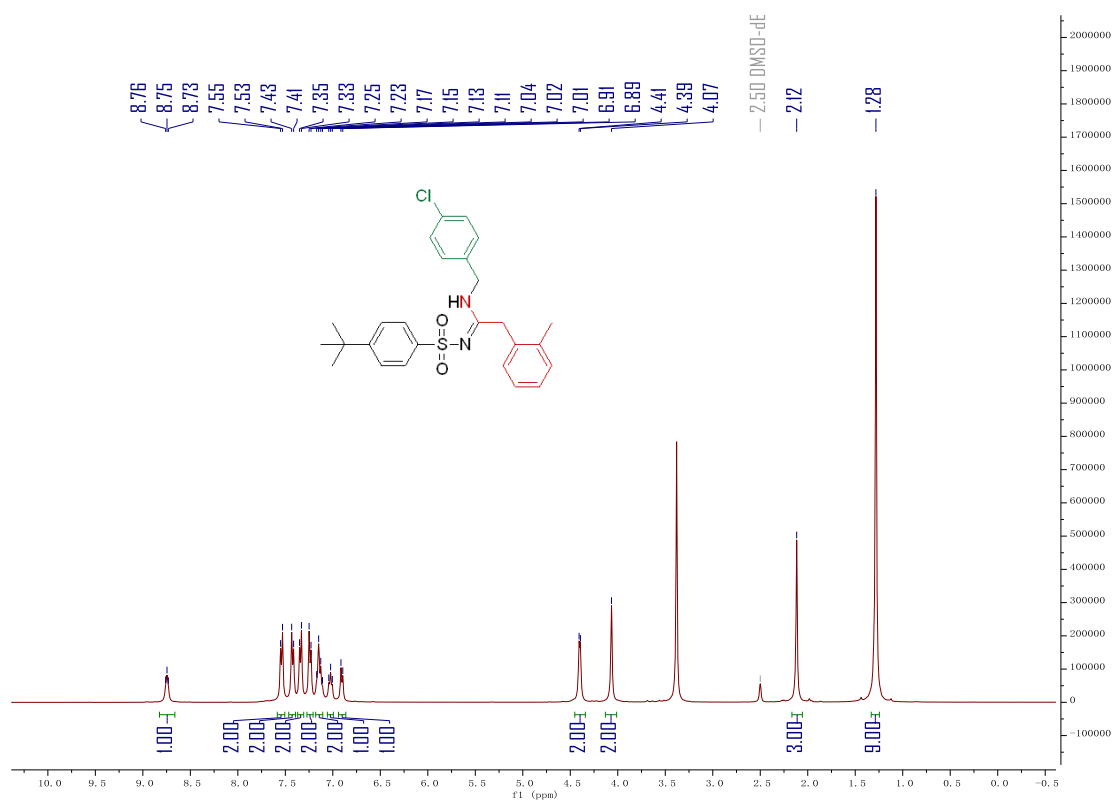
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6I**



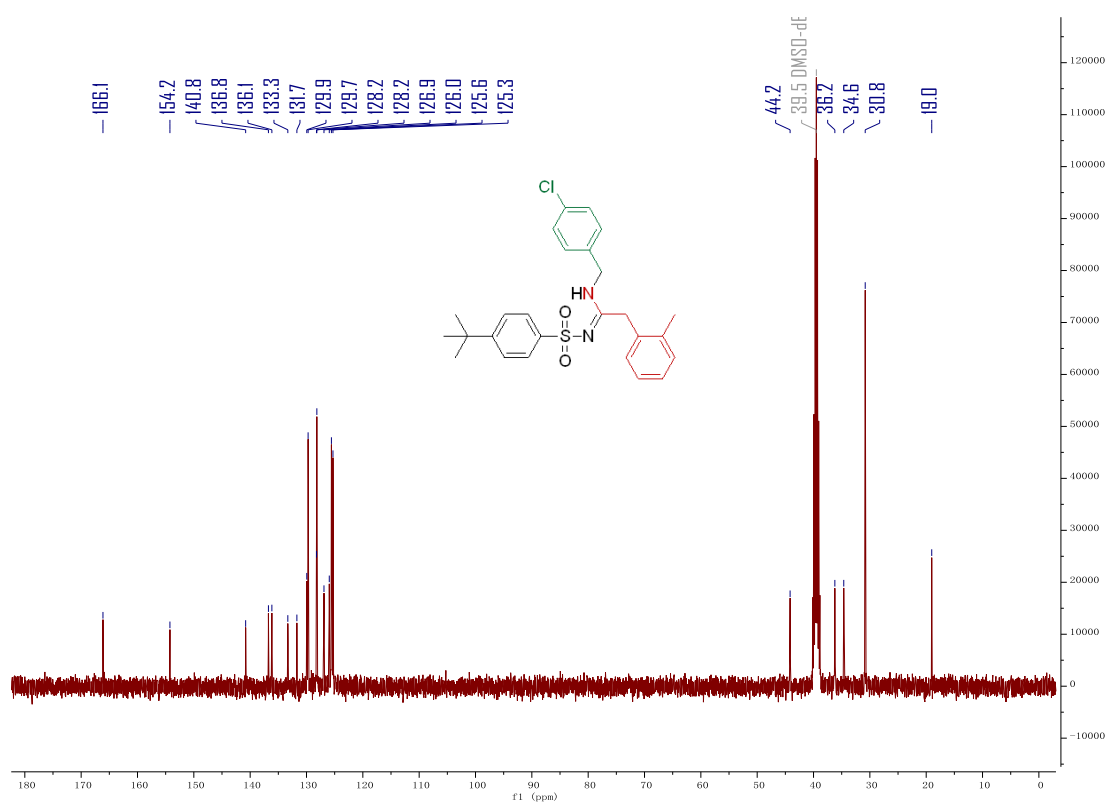
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6I**



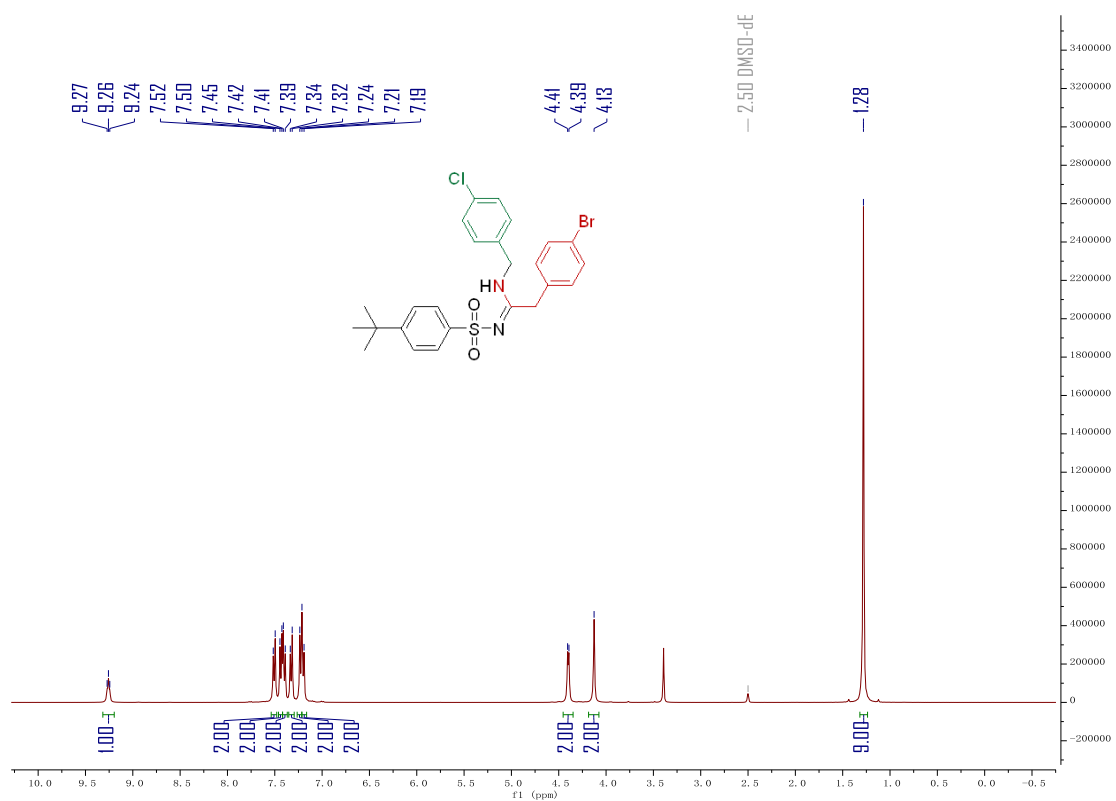
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6m**



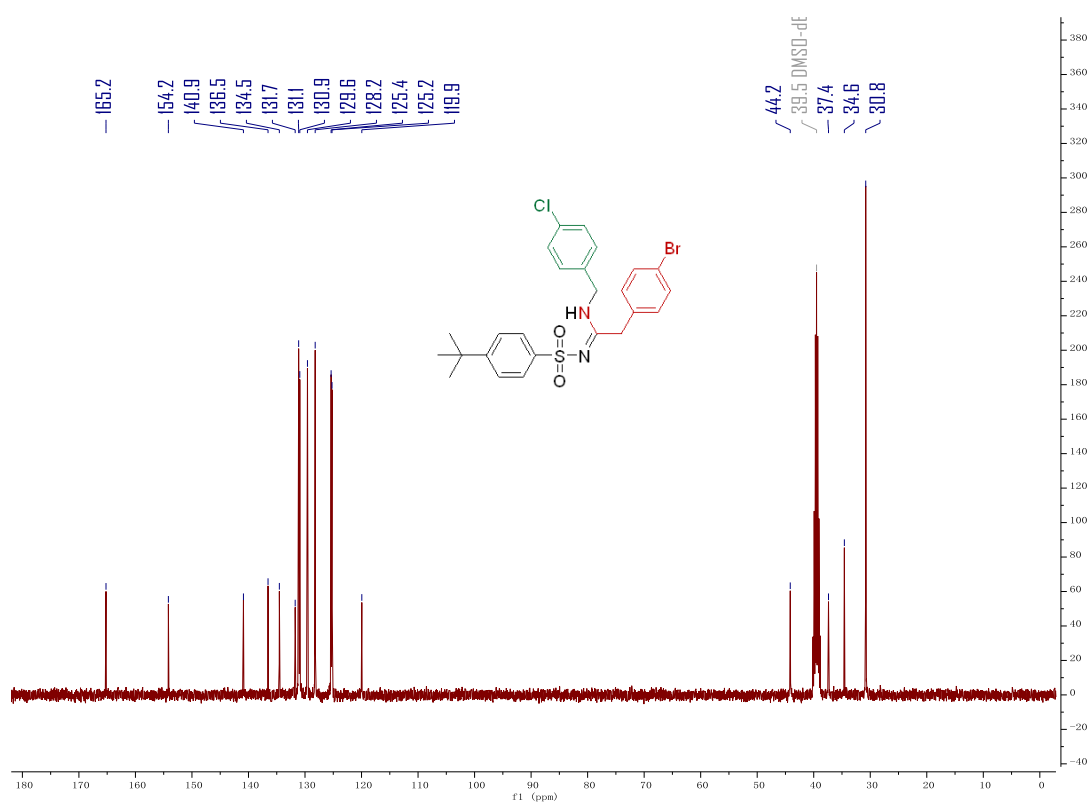
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6m**



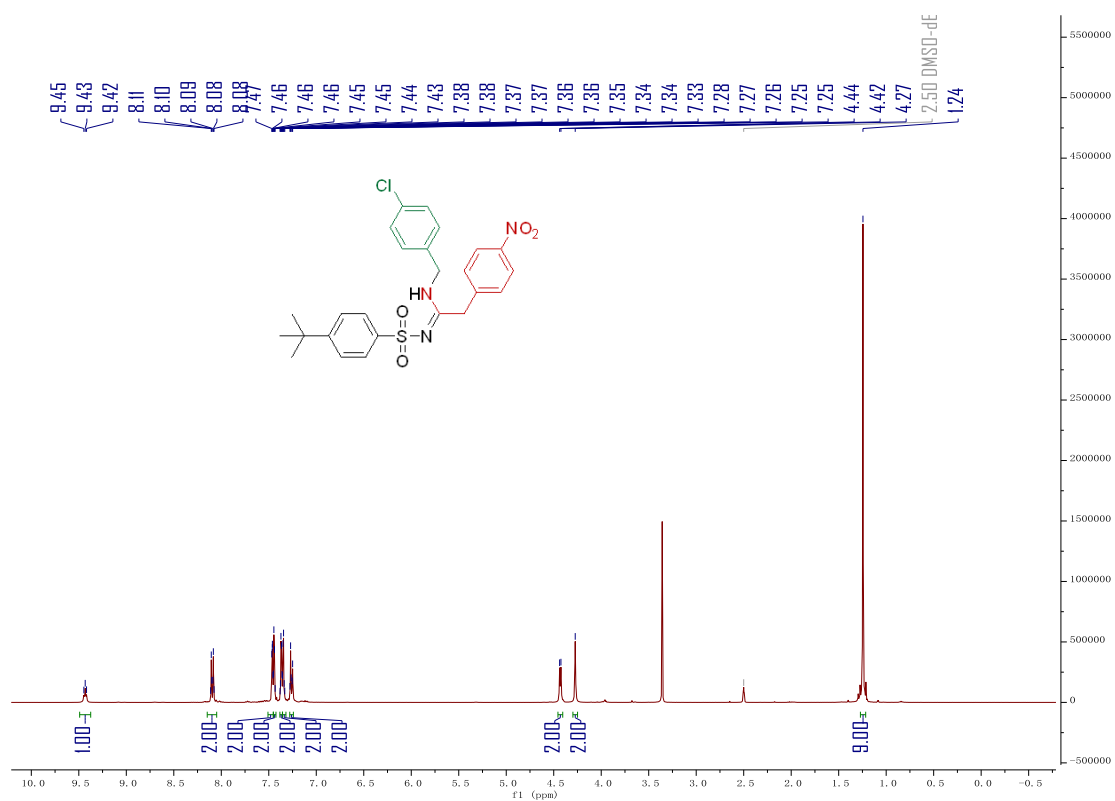
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6n**



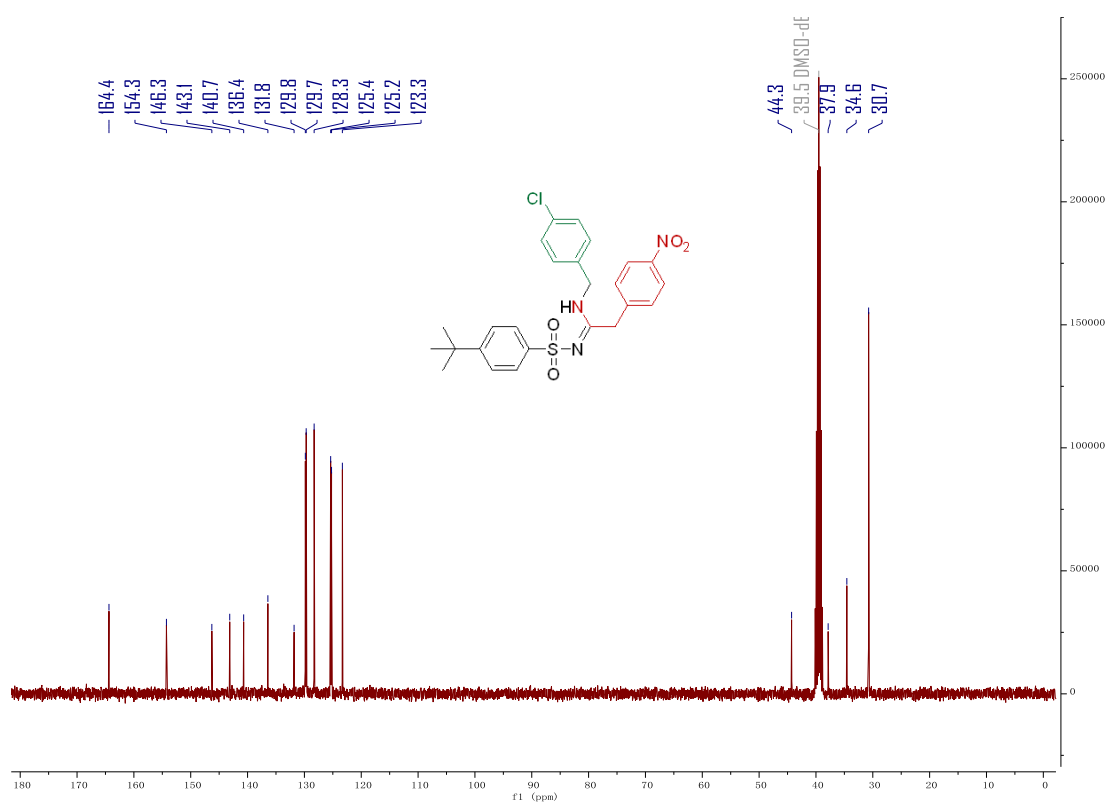
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6n**



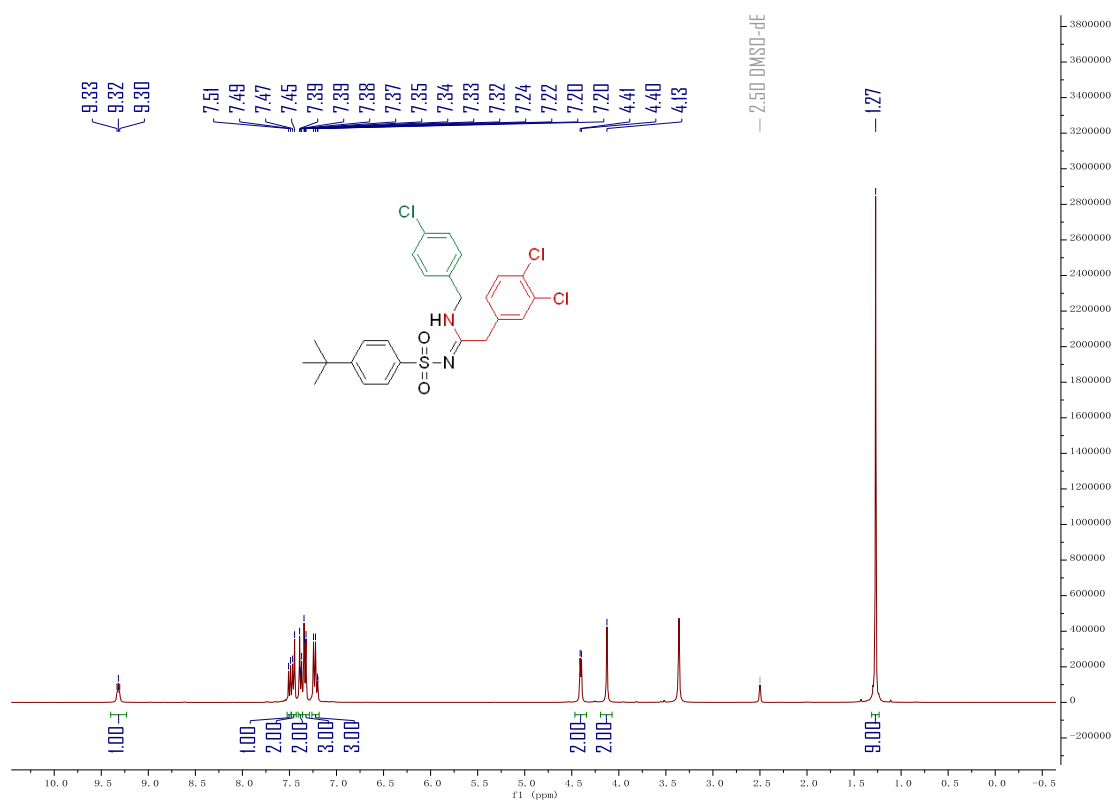
$^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ) of compound **60**



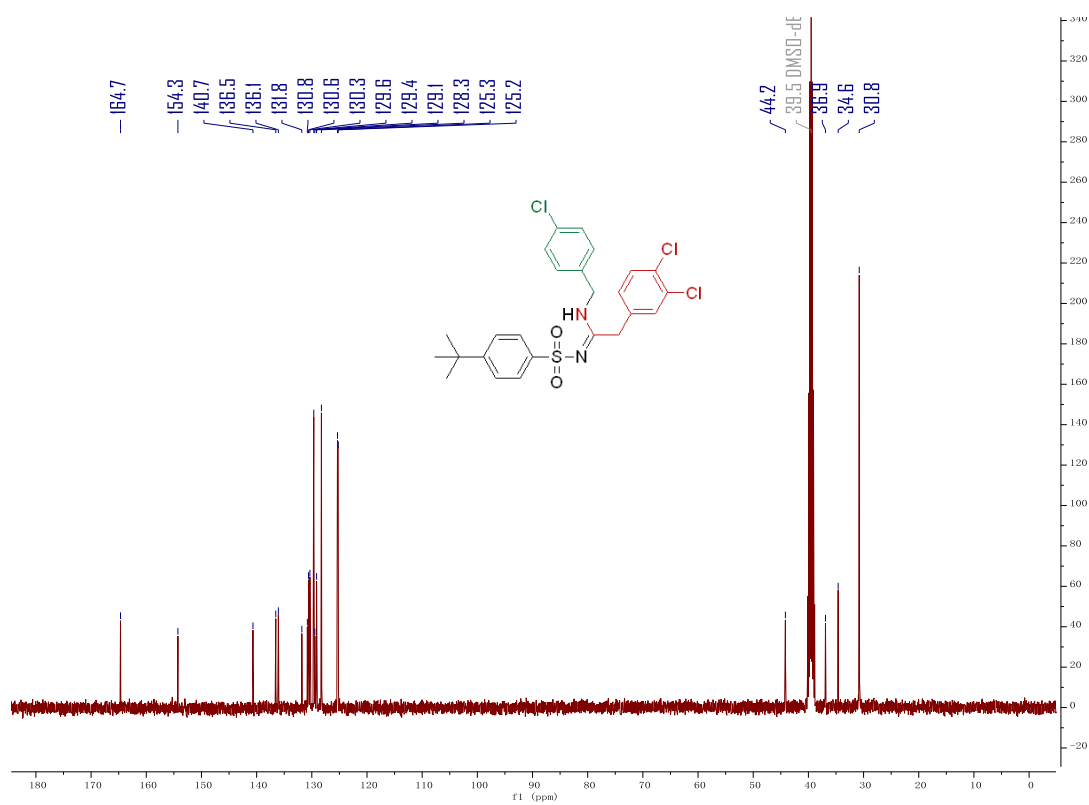
$^{13}\text{C}$  NMR (100 MHz,  $\text{DMSO-}d_6$ ) of compound **60**



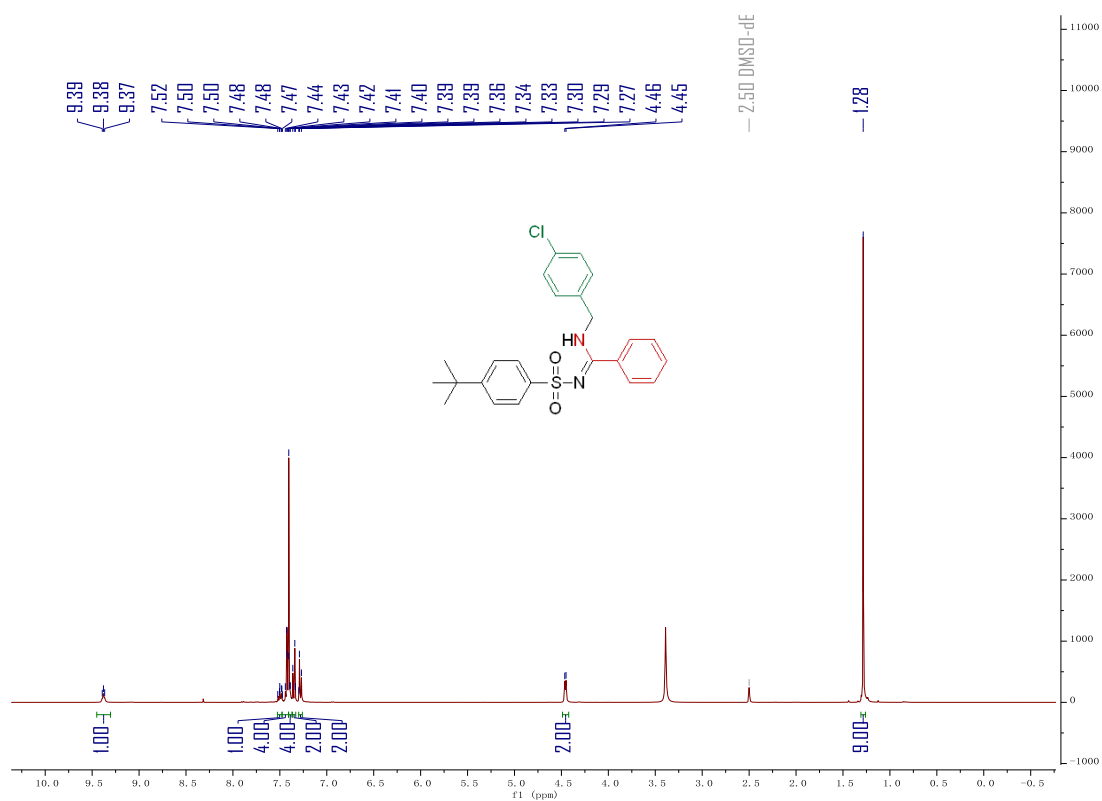
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 6p**



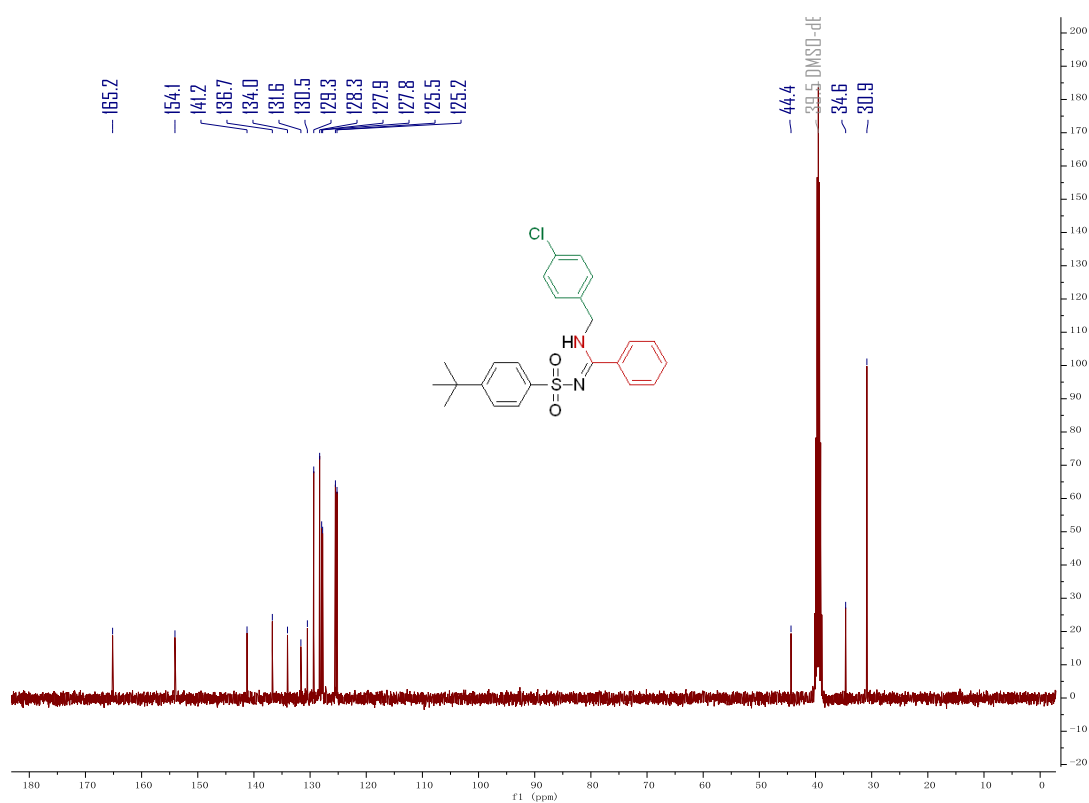
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 6p**



<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound **6q**

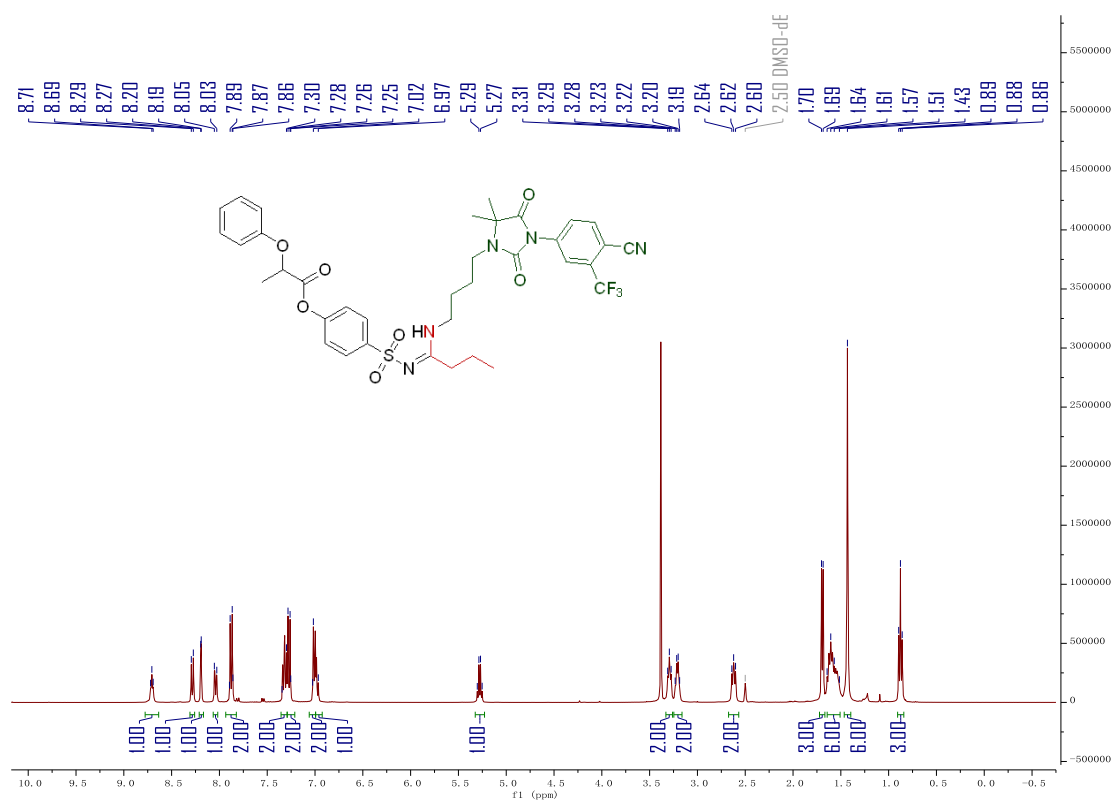


<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound **6q**

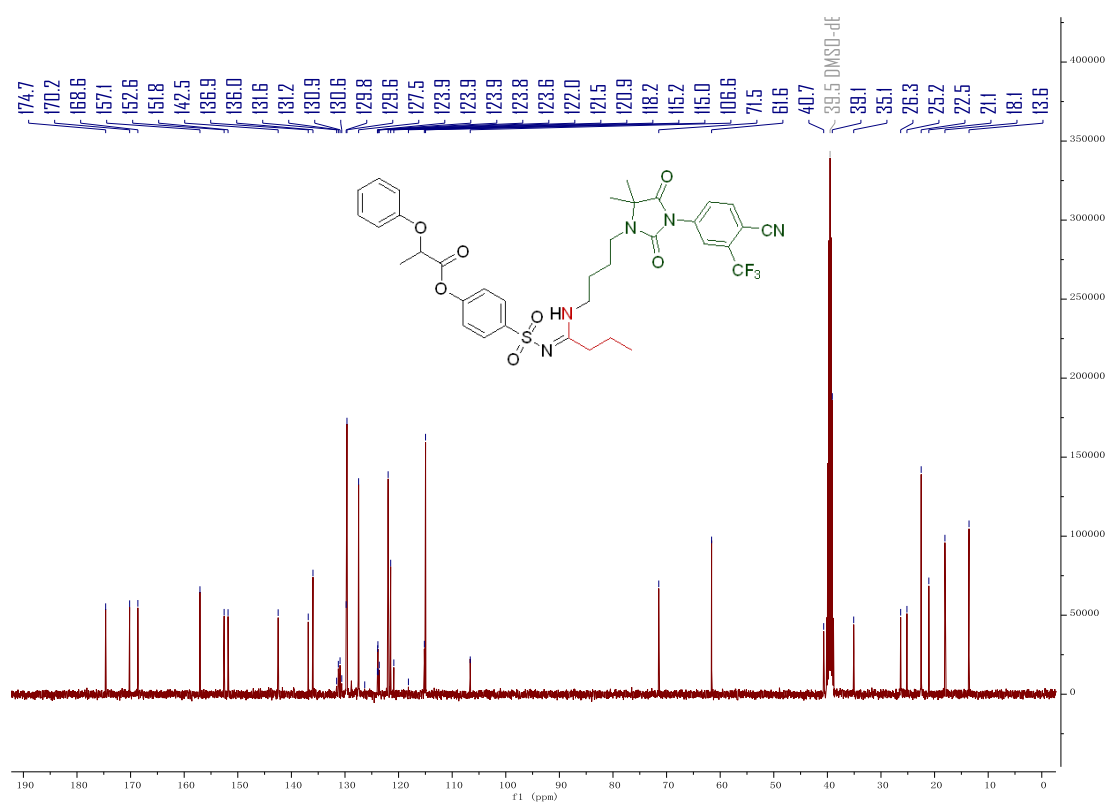




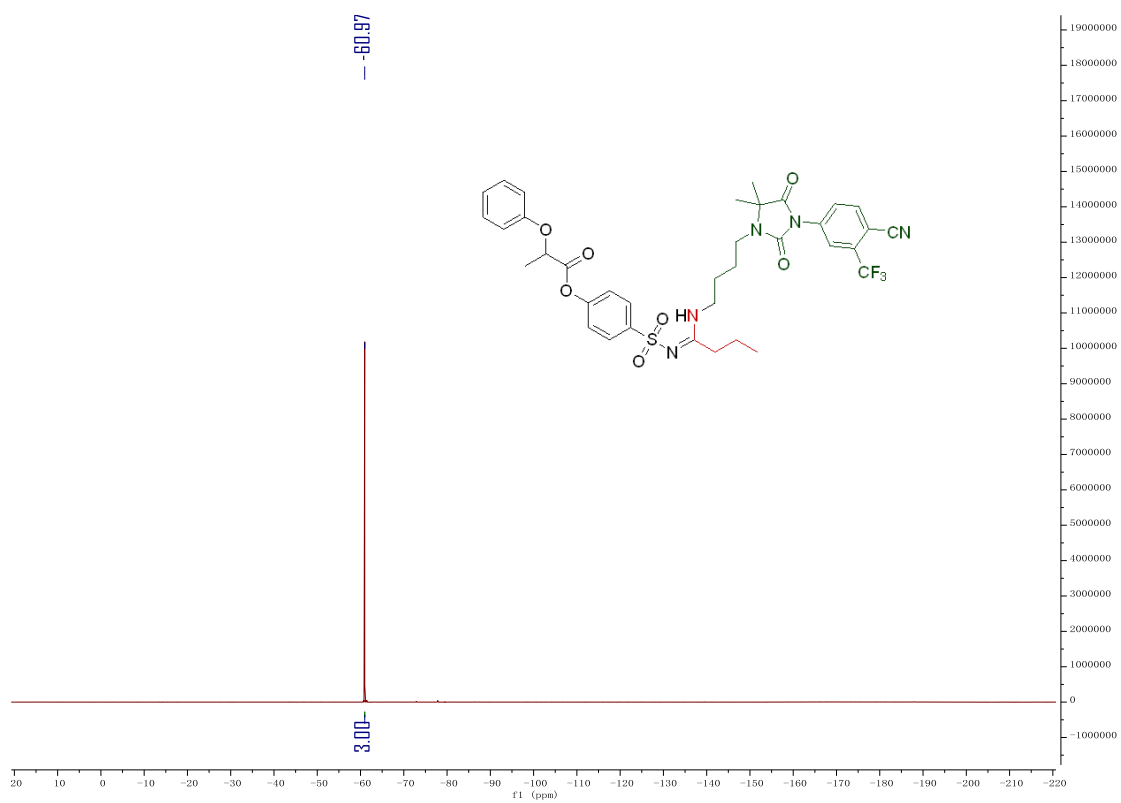
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7a**



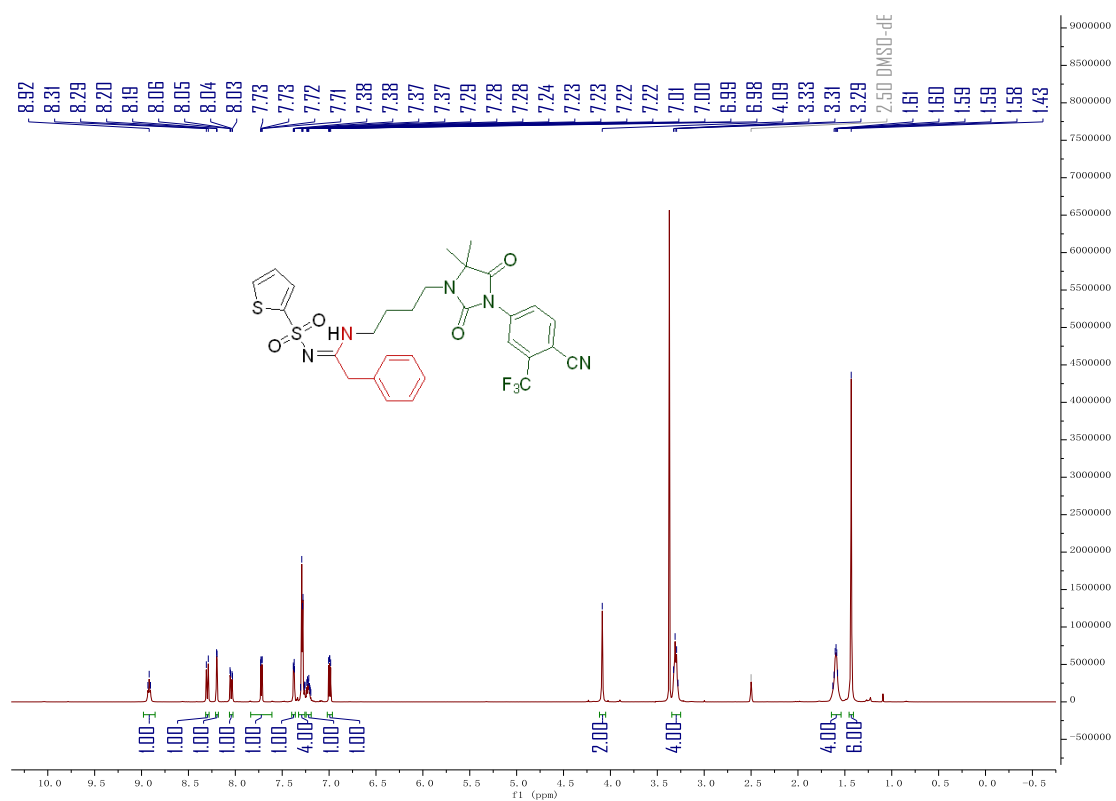
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7a**



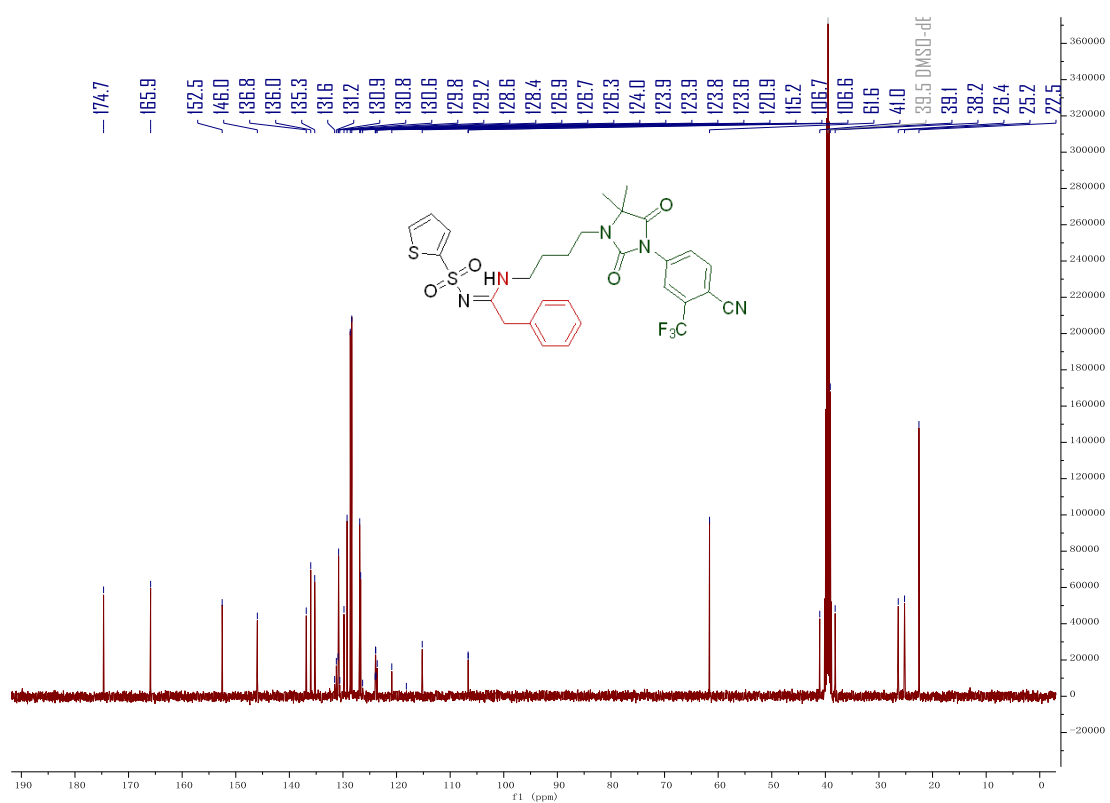
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7a**



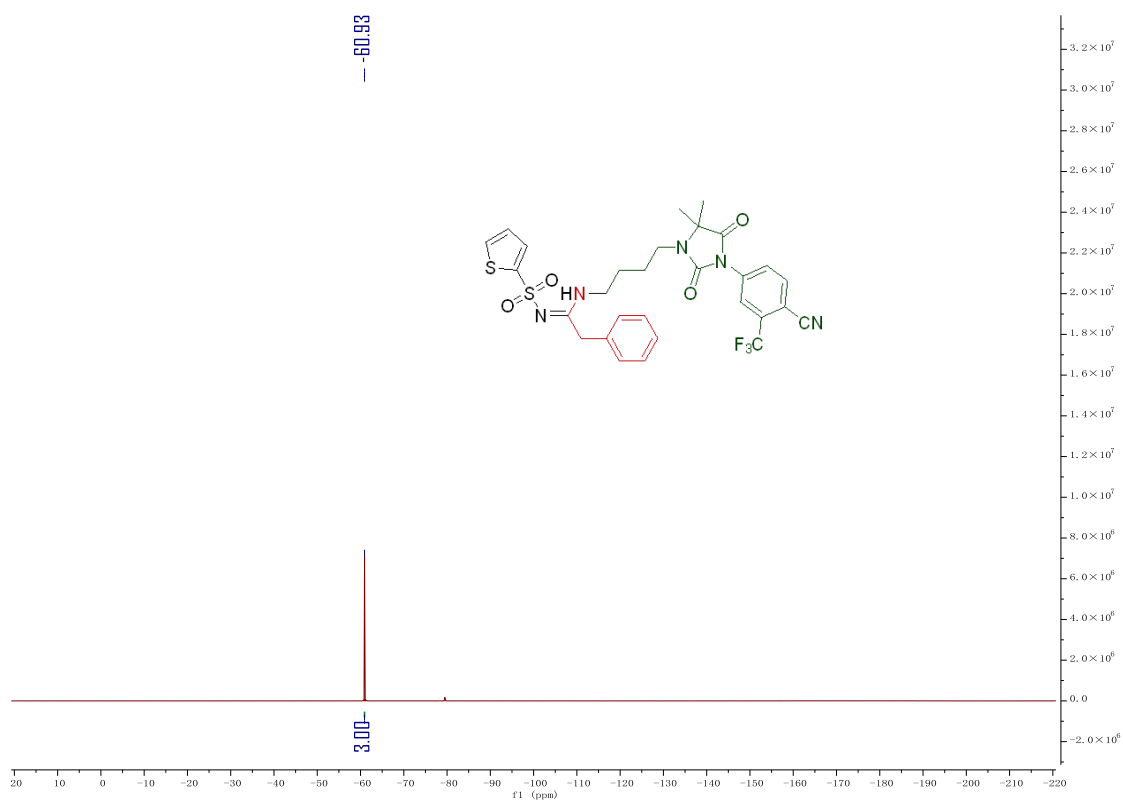
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7b**



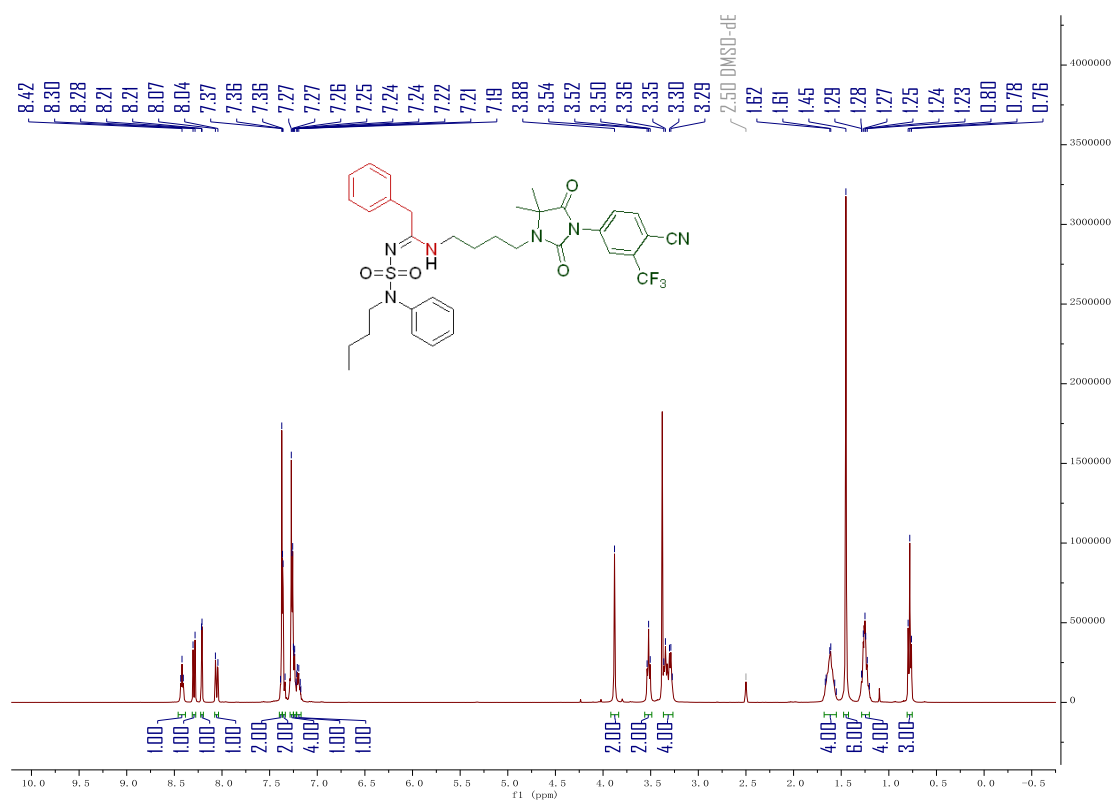
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7b**



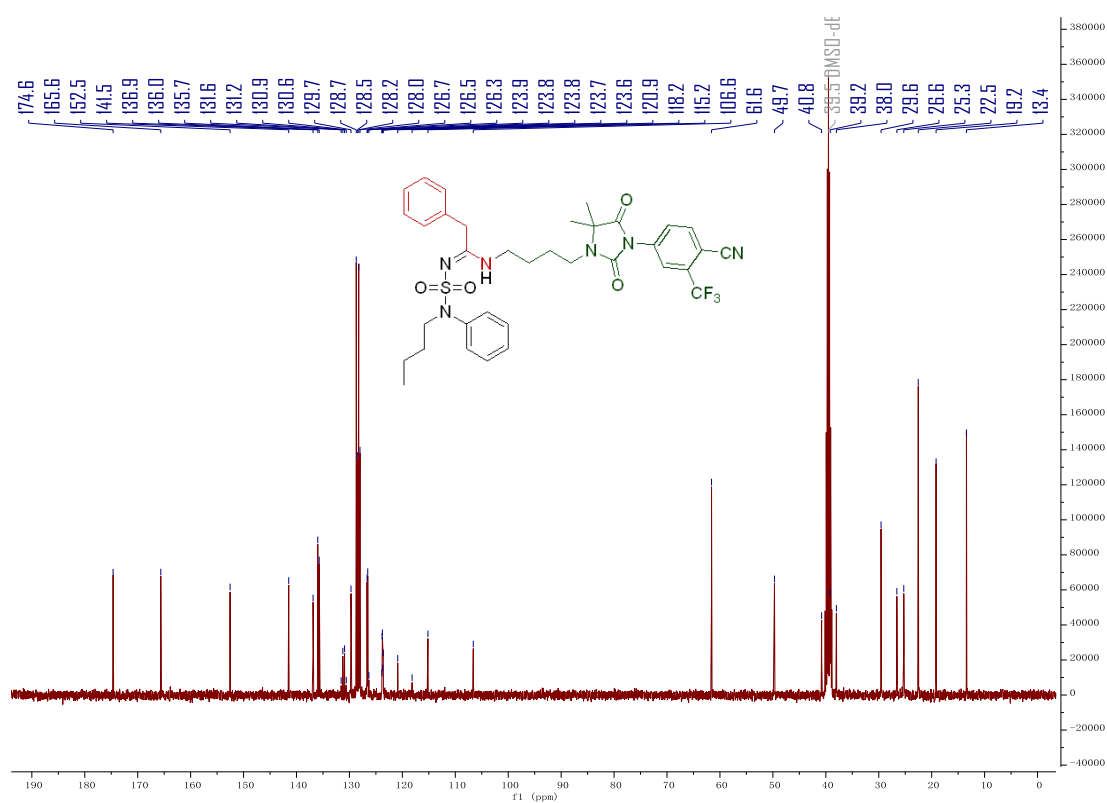
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7b**



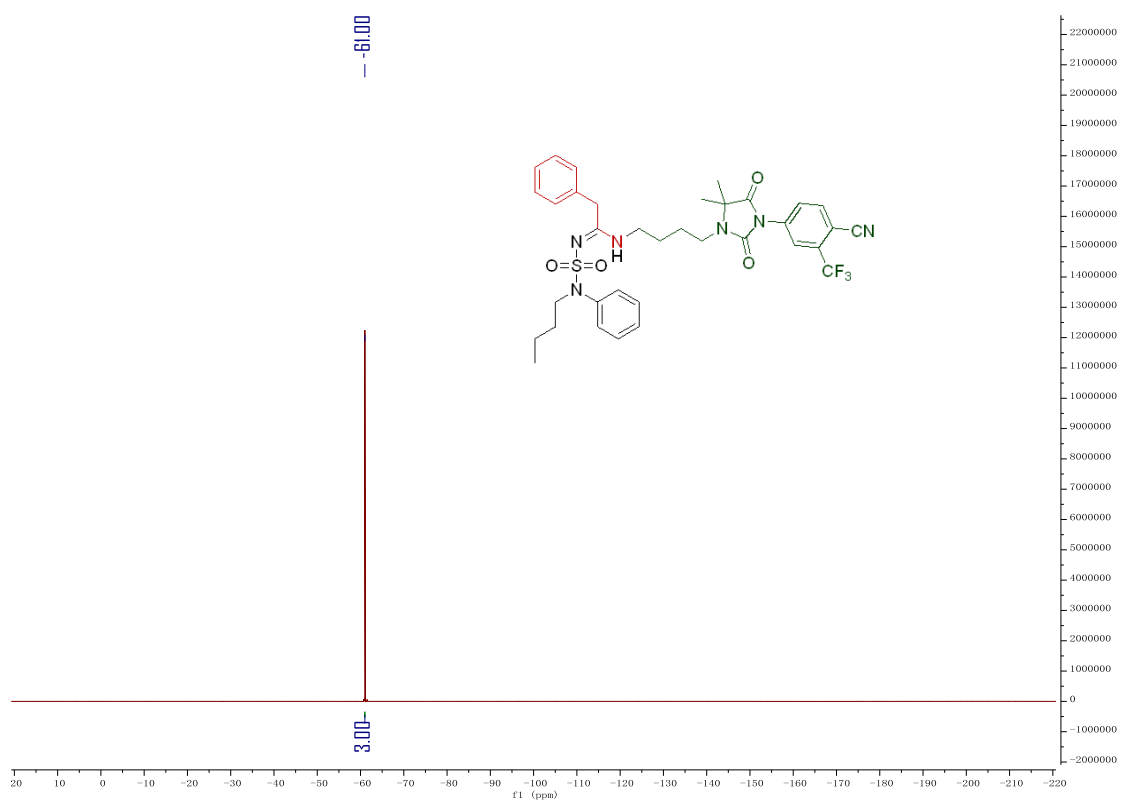
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound 7c



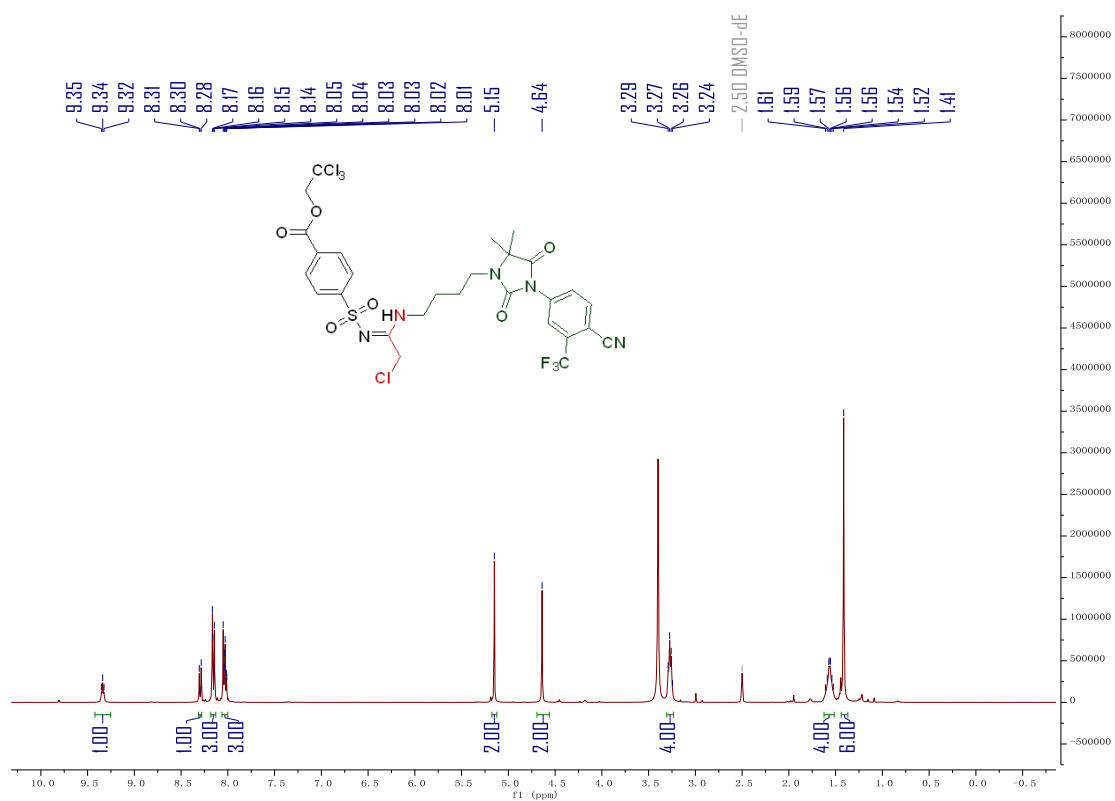
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound 7c



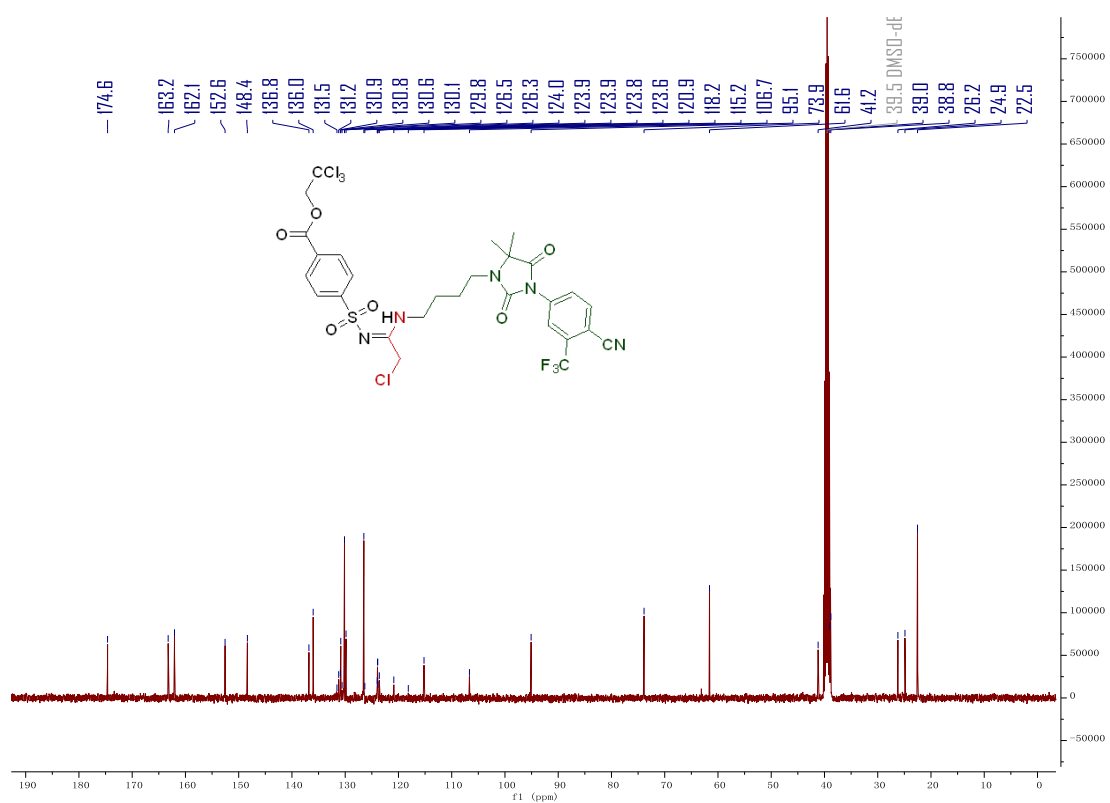
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7c**



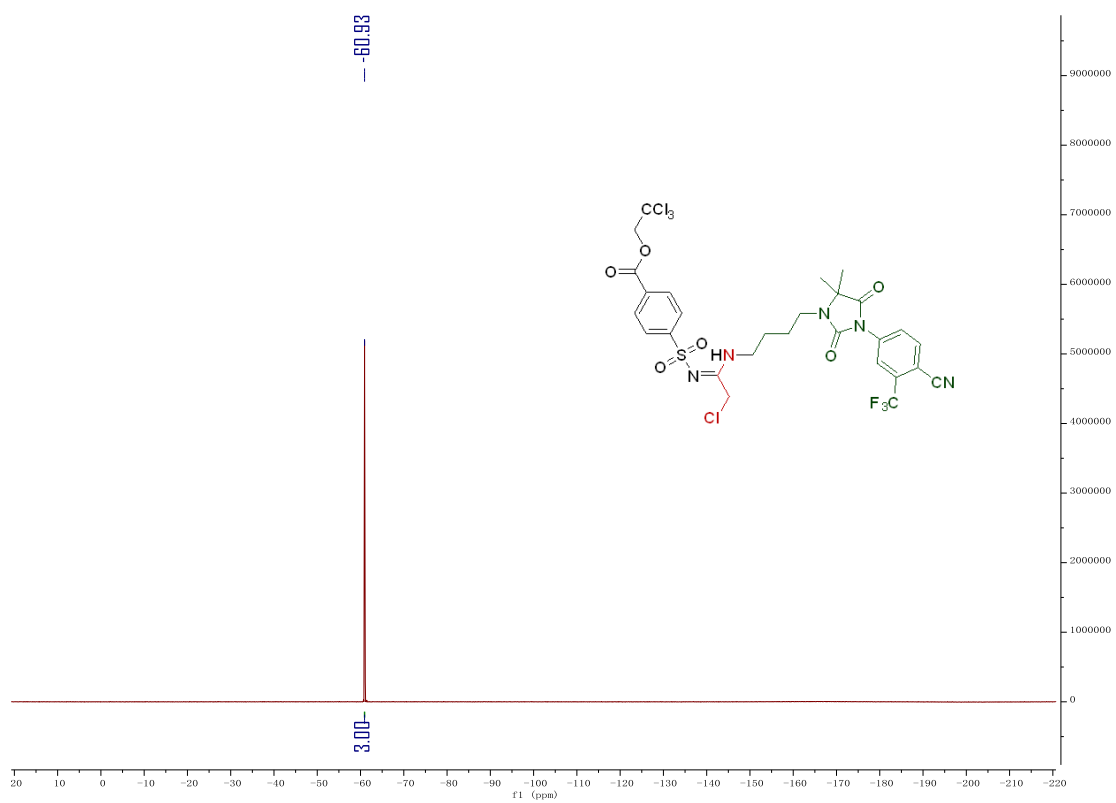
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7d**



**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7d**

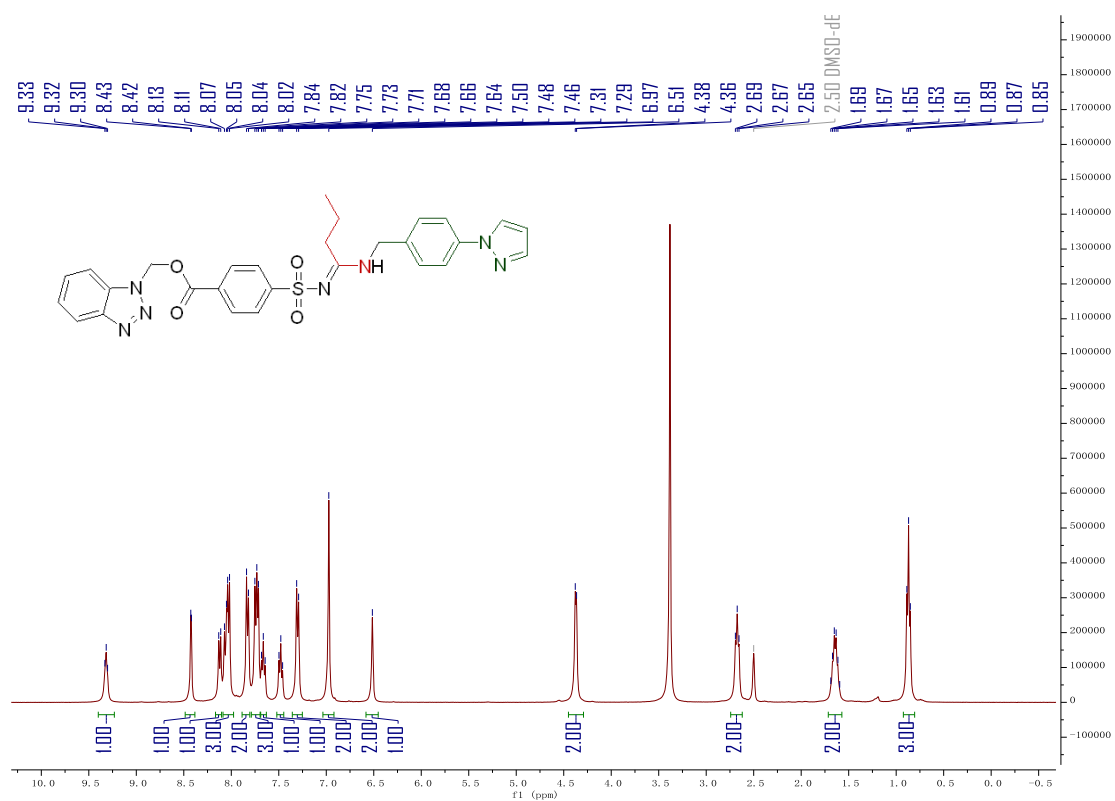


**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7d**

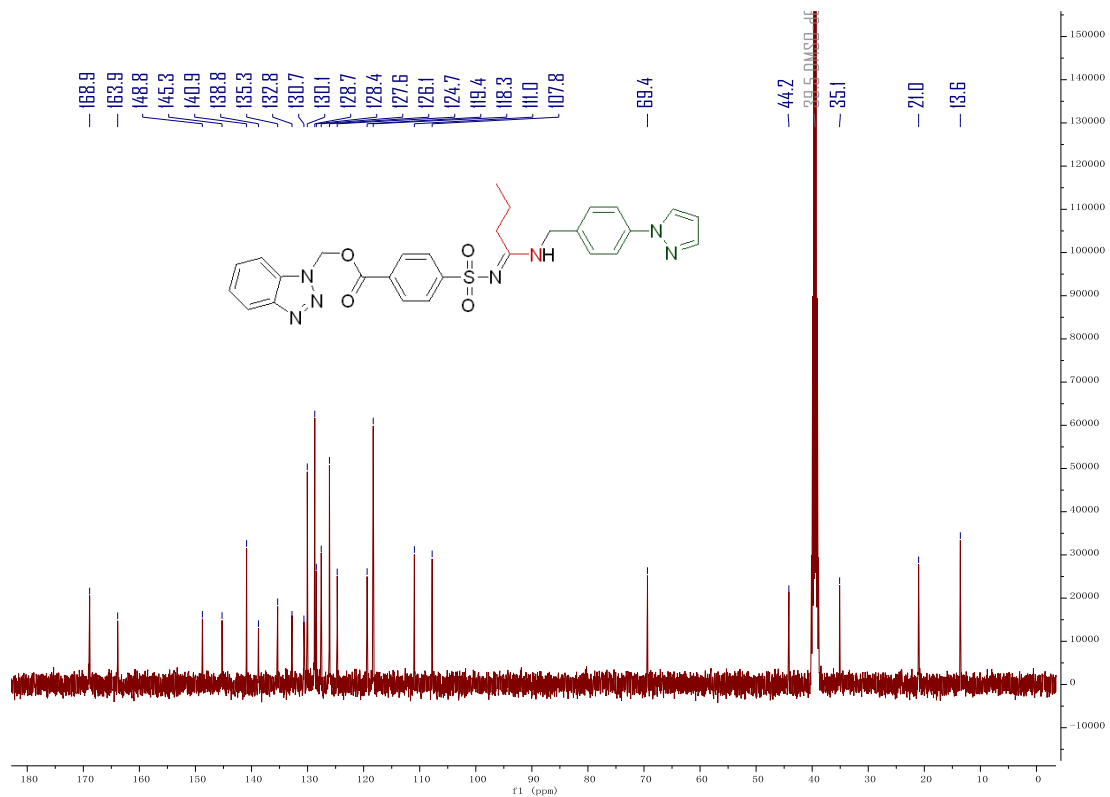




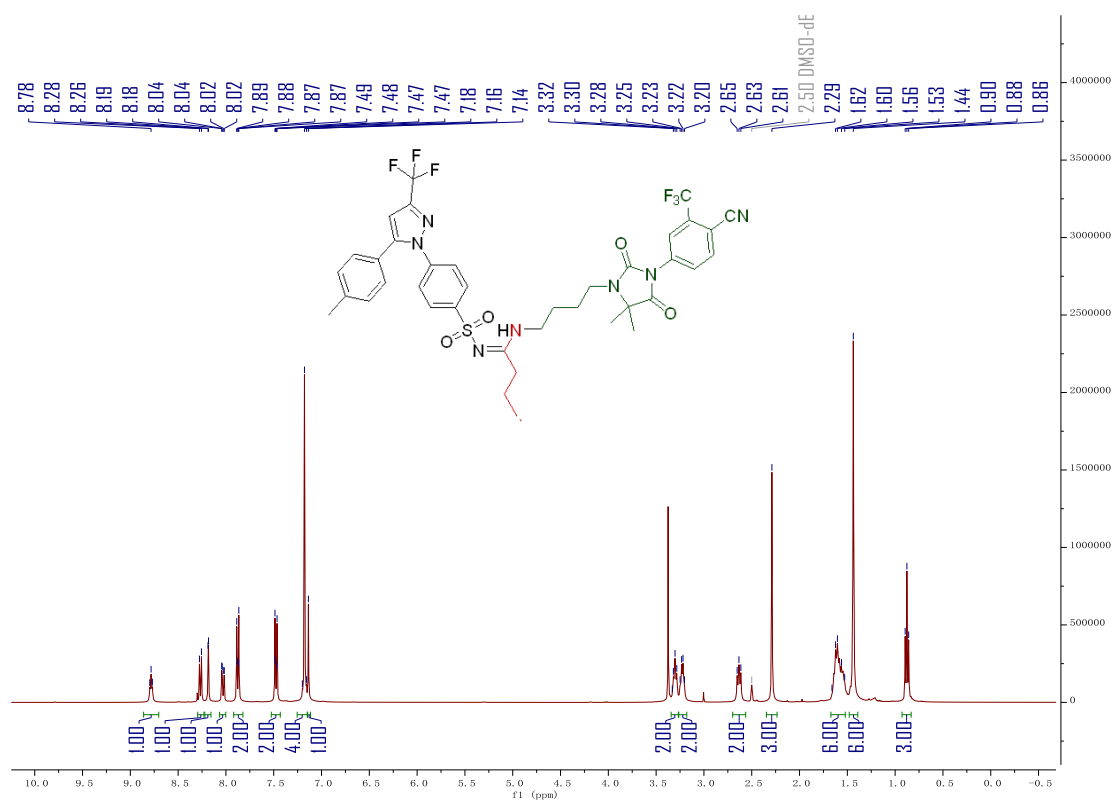
<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) of compound 7e



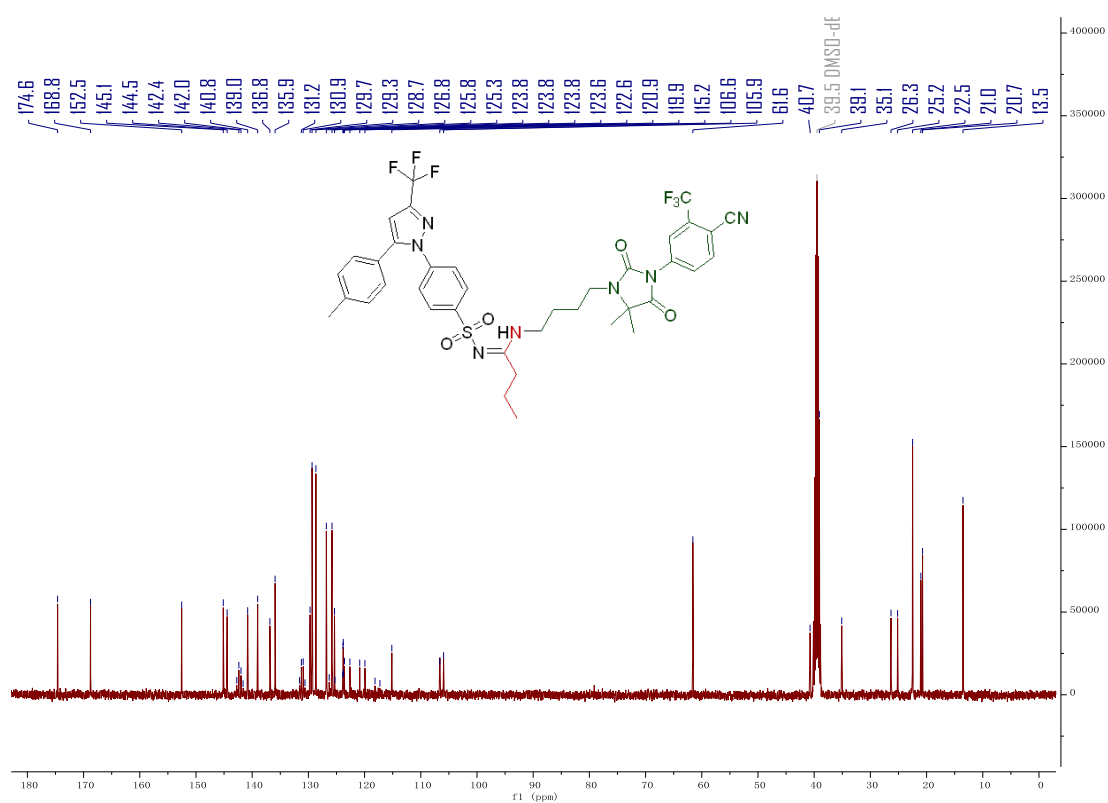
<sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) of compound 7e



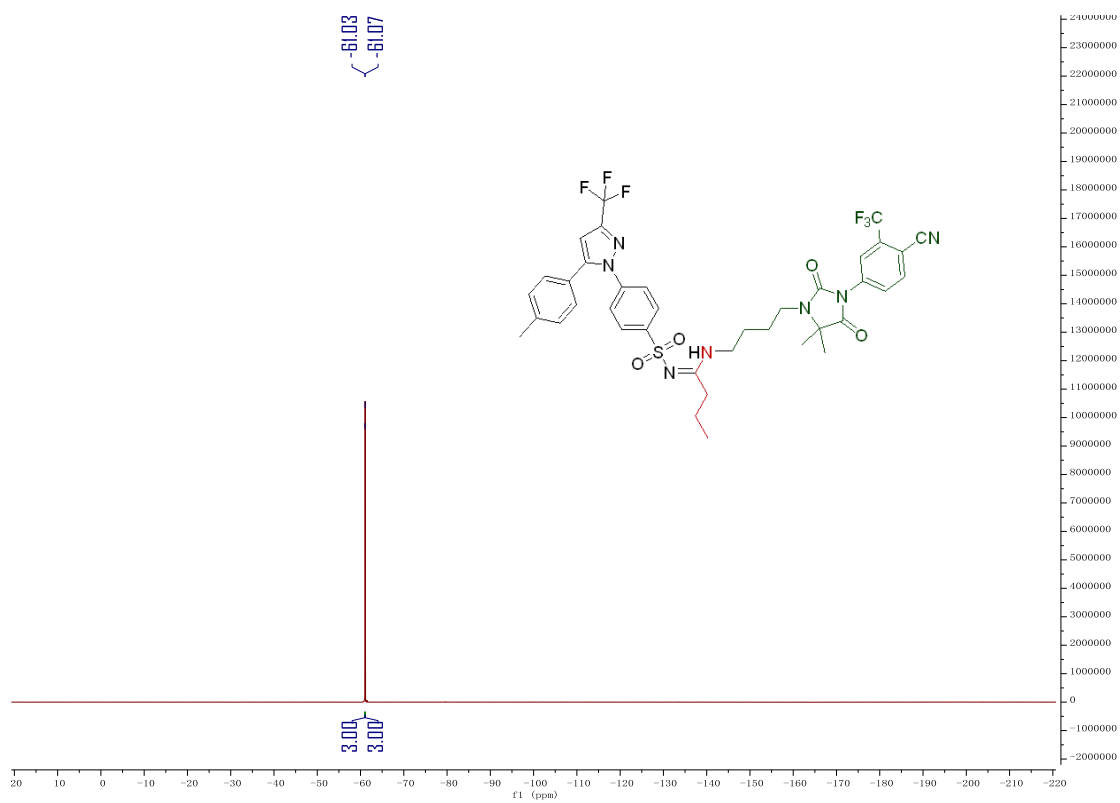
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7f**



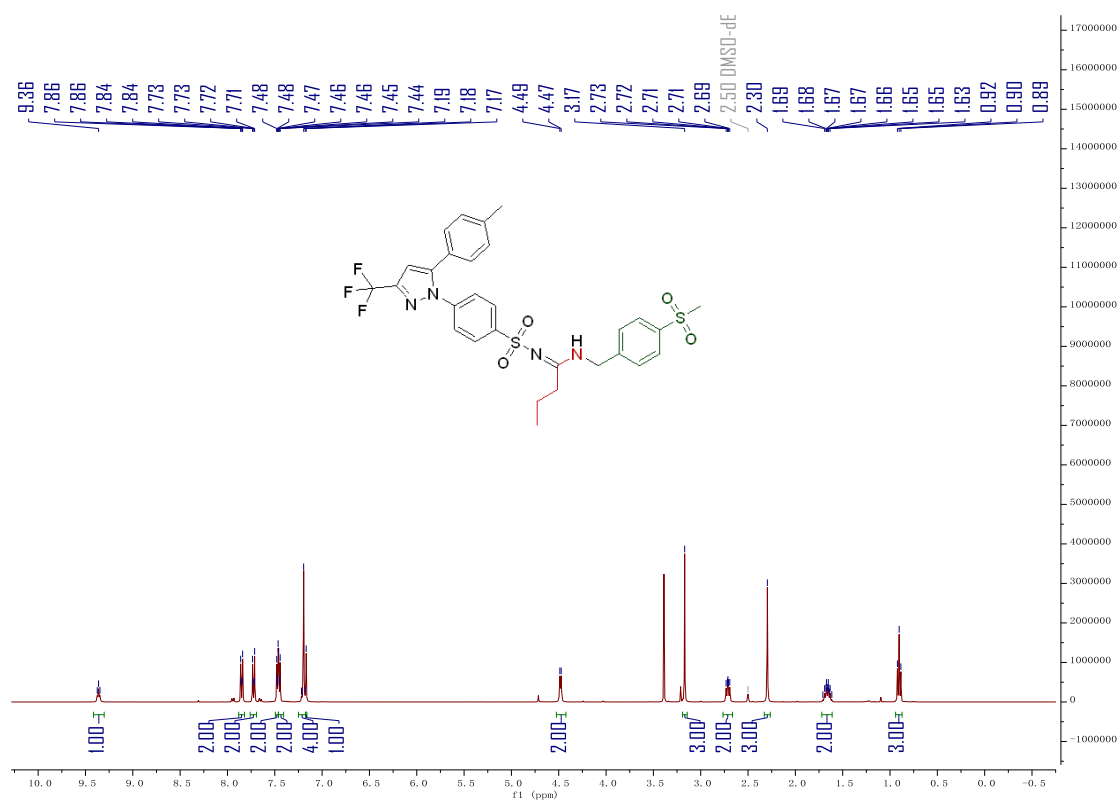
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7f**



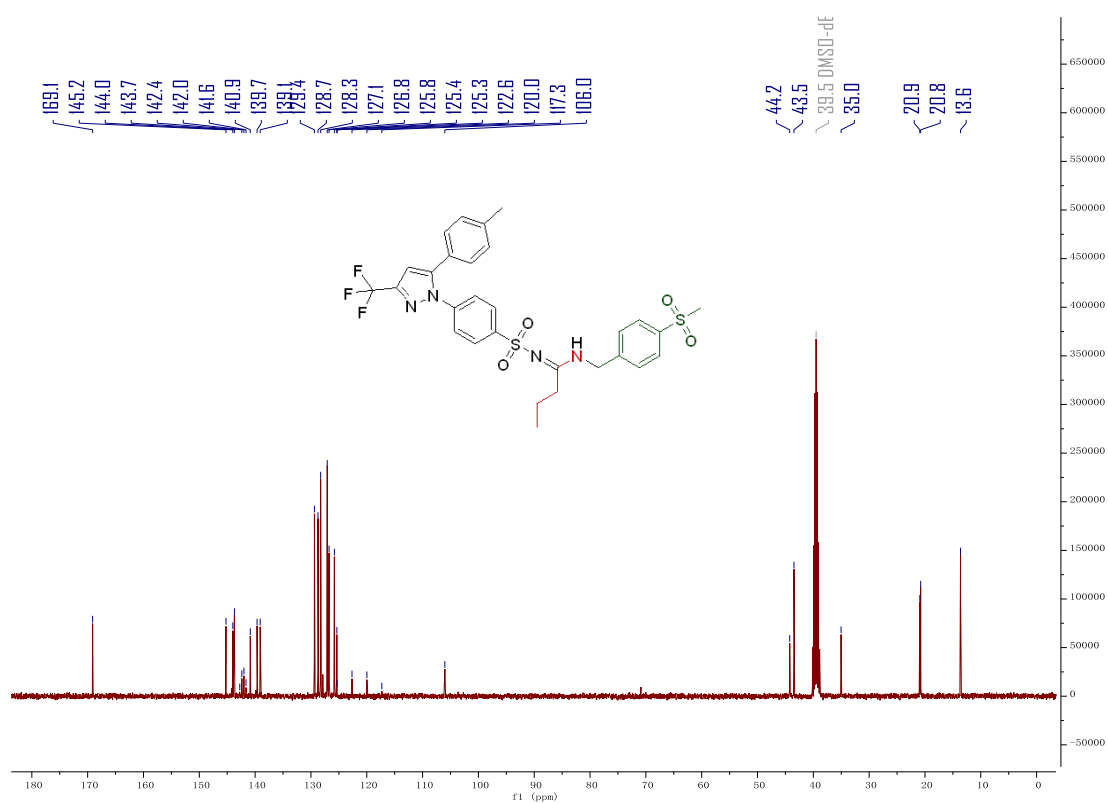
<sup>19</sup>F NMR (376 MHz, DMSO-d<sub>6</sub>) of compound 7f



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7g**

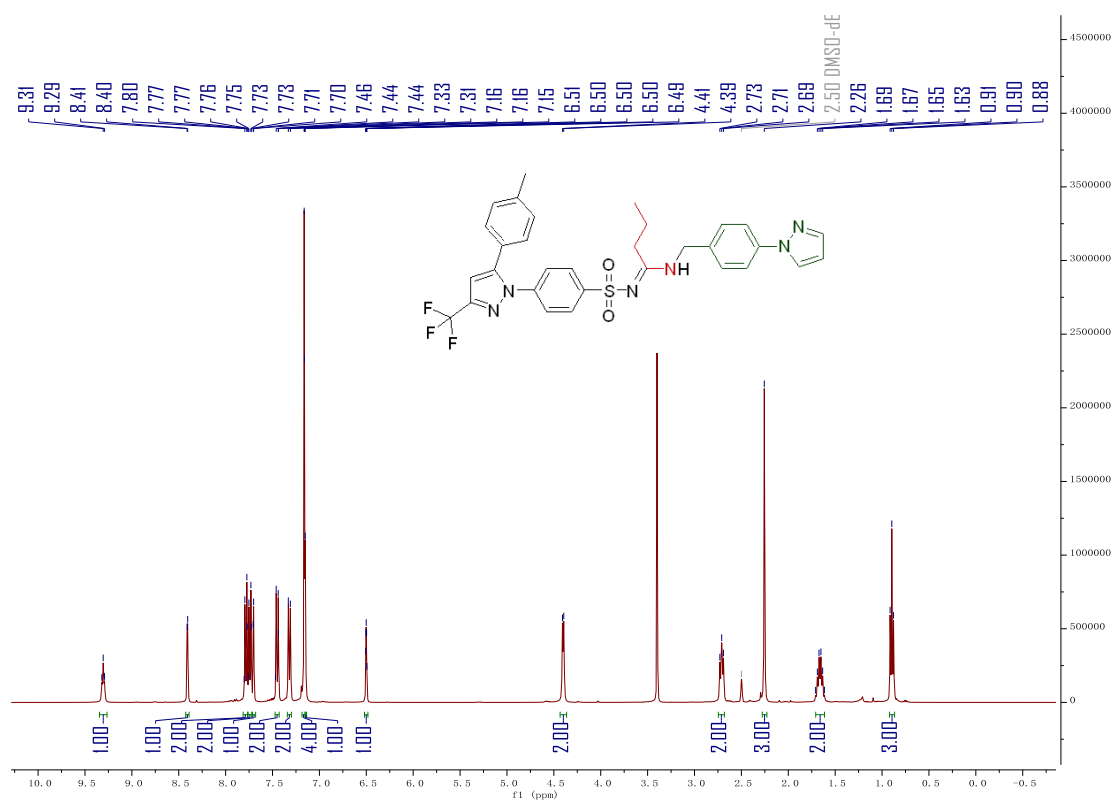


**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7g**

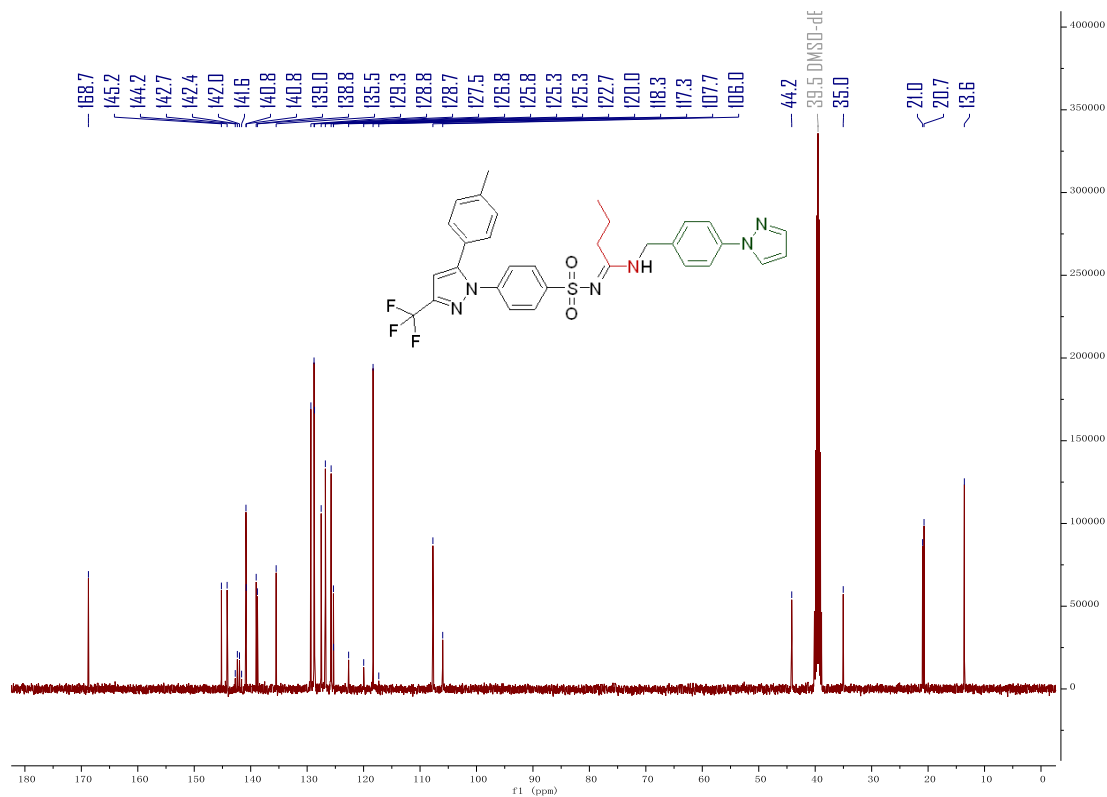




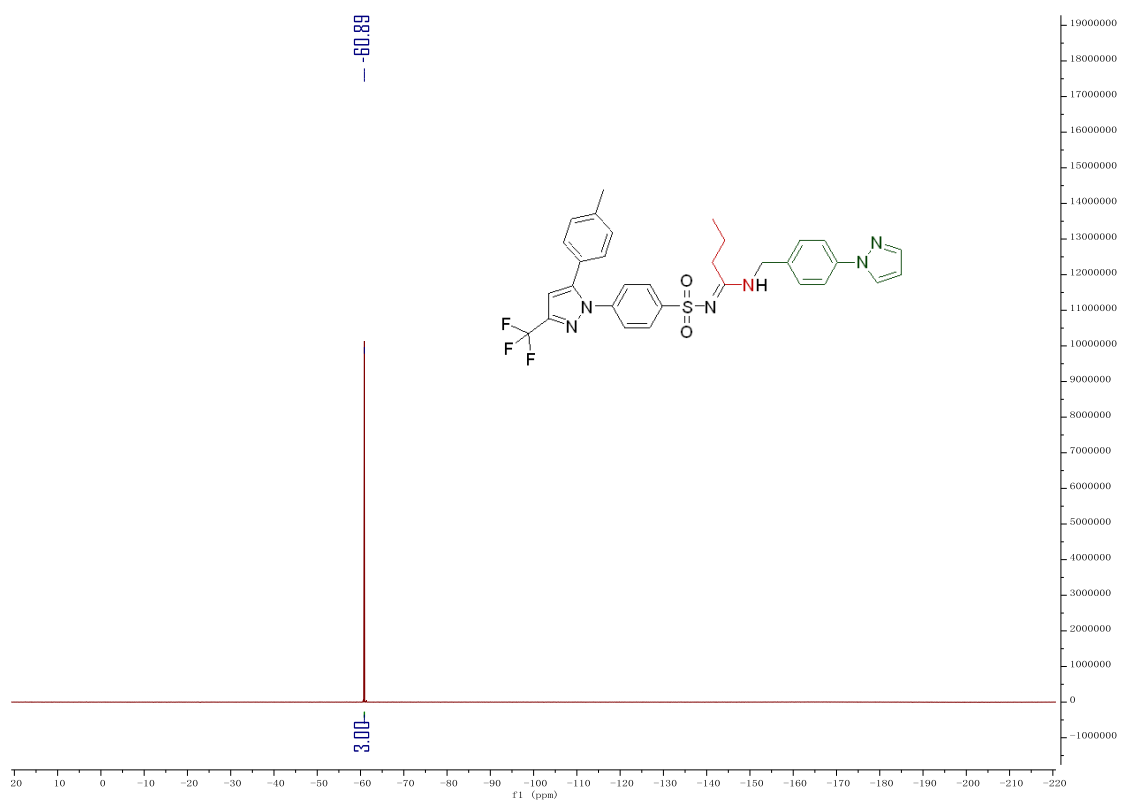
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7h**



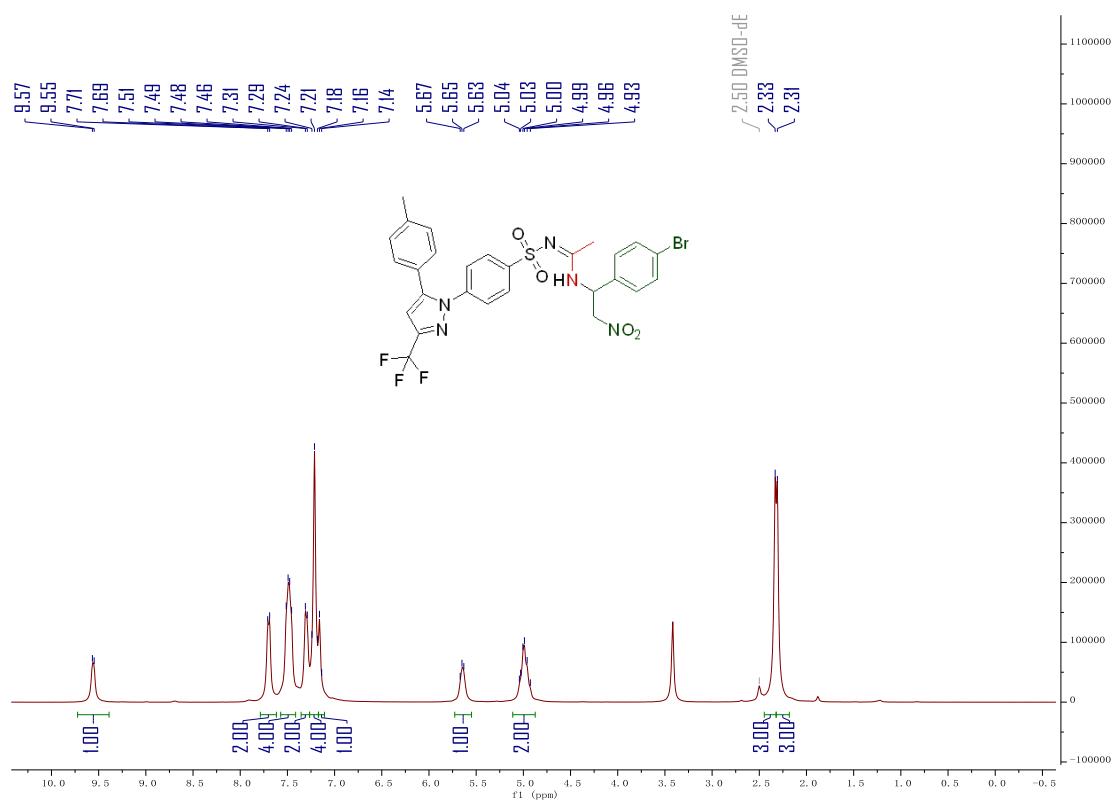
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7h**



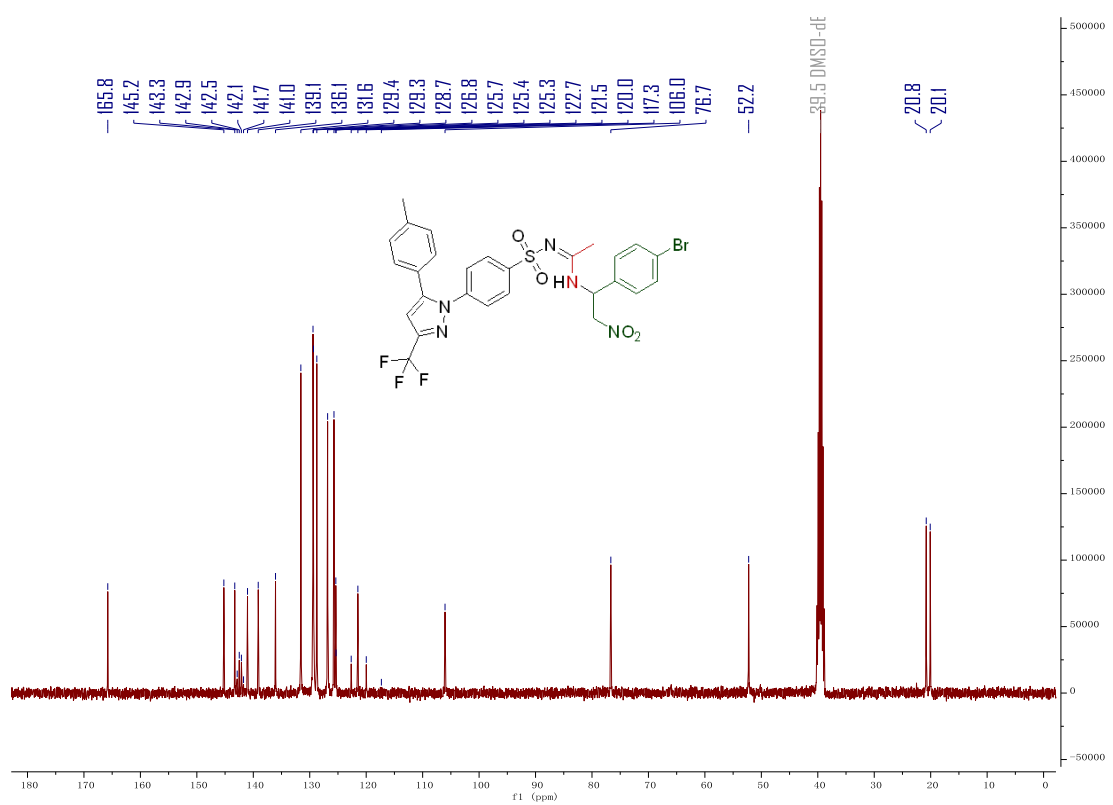
**<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7h**



**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7i**



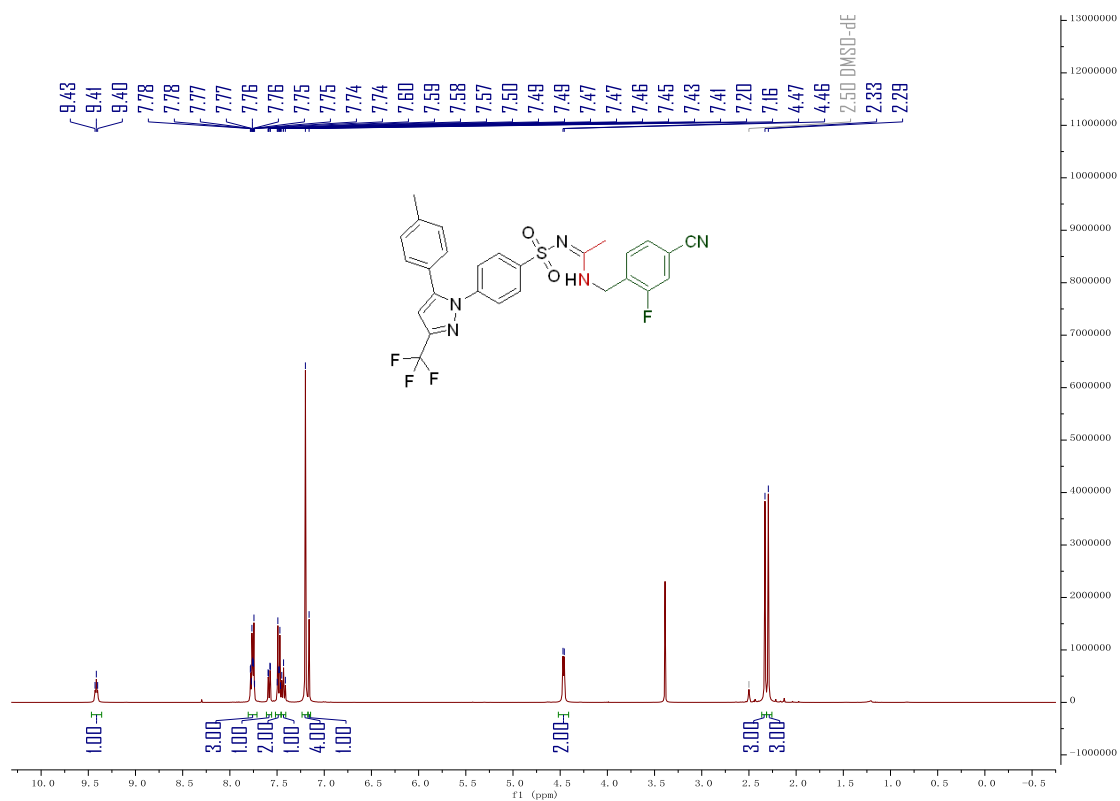
**<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7i**



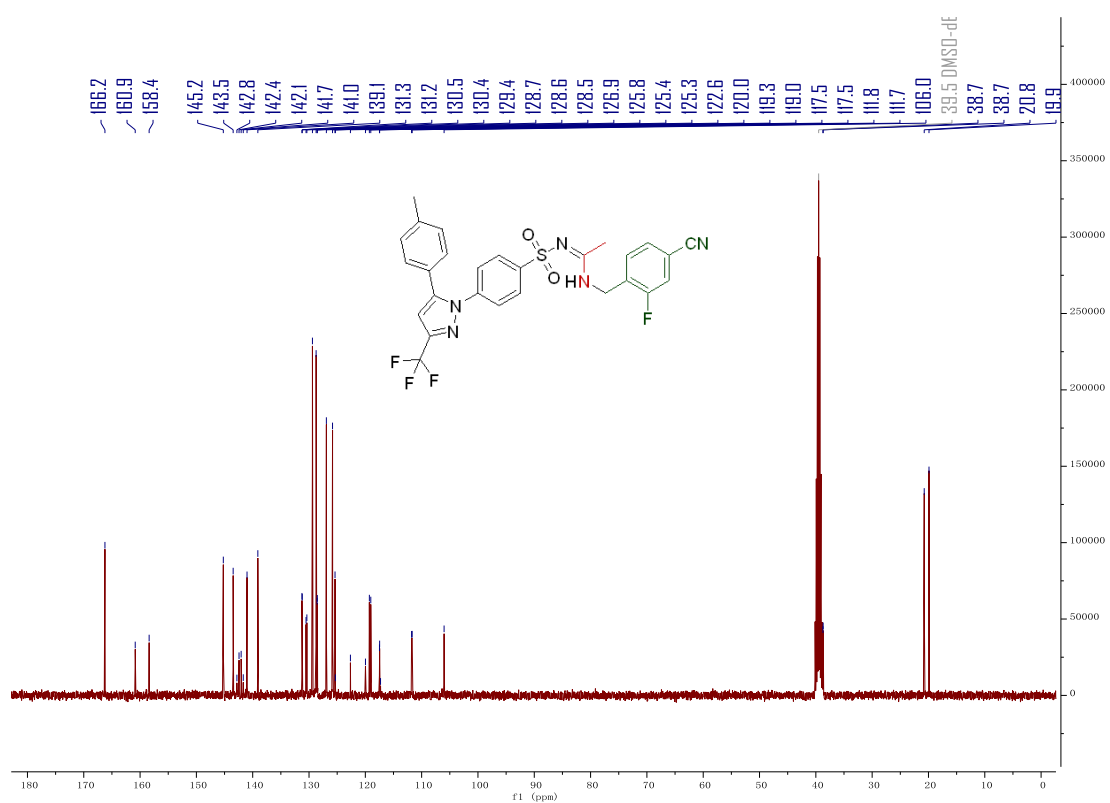




<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of compound 7j



<sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) of compound 7j



<sup>19</sup>F NMR (376 MHz, DMSO-*d*<sub>6</sub>) of compound 7j

