Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Interception of $RCONCl_2$: Late-Stage Hydrolysis and Esterification of Primary Amides

Xiao Wan, Jiaxin Lin, Junjie Bai, Jingjing Li, Kaifeng Wang, Zhiyu Cao, Minggang Li, Xiaoguang Bao* and Xiaobing Wan*

Key Laboratory of Organic Synthesis of Jiangsu Province, College of Chemistry, Chemical Engineering and Materials Science, Soochow University. Suzhou, 215123, China

* To whom correspondence should be addressed. E-mail: xgbao@suda.edu.cn, wanxb@suda.edu.cn

Table of Contents

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}H NMR (400 MHz) and ^{13}C NMR (100 MHz) and ^{19}F NMR (376 MHz) data were recorded with Chloroform-*d* or DMSO-d_6 as solvent at room temperature unless specified otherwise. The chemical shifts (δ) are reported in ppm and coupling constants (*J*) in Hz. ^{1}H NMR spectra was recorded with Chloroform-*d* (δ= 7.26 ppm) or DMSO-d_6 (2.50 ppm) as internal reference; ^{13}C NMR spectra was recorded with Chloroform-*d* (δ = 77.00 ppm) or DMSO-d_6 (δ = 39.5 ppm) as internal reference. IR and HRMS were performed by the State-authorized Analytical Center in Soochow University.

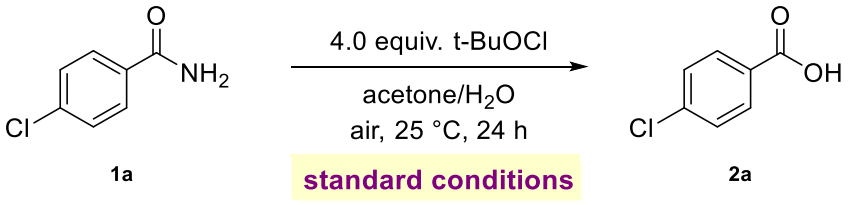
General process for hydrolysis of primary amides

In a 25 mL sealed tube, amide (0.5 mmol), H_2O (2.0 mL), acetone (2.0 mL), and tert-butyl hypochlorite (2.0 mmol, 4.0 equiv., 217.1 mg) were added separately under air. The mixture was stirred at 25 ℃ for 24 hours. After completion of the reaction, the mixture was quenched with sodium thiosulfate and then transferred to a brine solution (15 mL). It was extracted three times with ethyl acetate (20 mL each) and dried using $MgSO_4$ as an absorbent. The solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography using ethyl acetate/petroleum ether as eluent to obtain the desired products.

General process for esterification of primary amides

In a 25 mL sealed tube, amide (0.2 mmol), trichloroisocyanuric acid (0.4 mmol, 2.0 equiv., 92.8 mg), PhCOOLi (0.5 mmol, 2.5 equiv., 64.0 mg), cyclohexane (2.0 mL) and alcohol (0.4 mmol, 2.0 equiv.) were added under air. The mixture was stirred in an oil bath at a temperature of 25 ℃ for one hour before raising the temperature to 40 ℃ for a duration of 48 hours. Once completed, the reaction mixture was quenched using sodium thiosulfate and diluted with ethyl acetate (20 mL). It was then washed twice with K_2CO_3 saturated in water (20 mL each time) and dried using $MgSO_4$ as an absorbent. The solvent was removed under reduced pressure and the remaining residue underwent purification through silica gel column chromatography using either ethyl acetate/petroleum ether or ethyl acetate/cyclohexane as solvents to obtain the desired products.

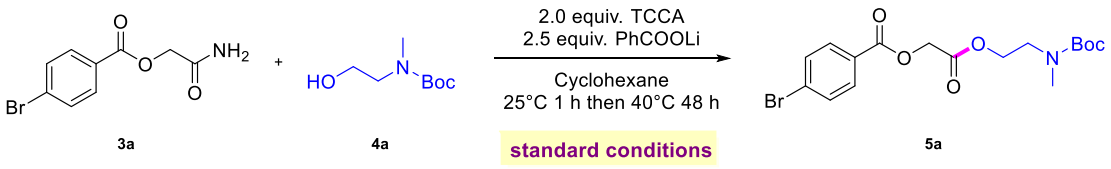
Table S1 Optimization of primary amides hydrolysis



Entry	Variation from the "standard conditions"[a]	Yield (%)[b]
1	none	99
2	TCCA instead of t-BuOCl	56
3	NCS instead of t-BuOCl	N.R.
4	DCDMH instead of t-BuOCl	< 5
5	H_2O as the solvent	17
6	acetone as the solvent	< 5
7	DCM/H_2O as the solvent	< 5
8	MeCN/H_2O as the solvent	95
9	MeOH/H_2O as the solvent	26
10	DMF/H_2O as the solvent	< 5
11	DMSO/H_2O as the solvent	< 5
12	EA/H_2O as the solvent	72
13	toluene/H_2O as the solvent	23
14	THF/H_2O as the solvent	< 5
15	Hexane/H_2O as the solvent	< 5

[a]Standard conditions: under air, amide **1a** (0.5 mmol), *tert*-butyl hypochlorite (4.0 equiv.) in 1:1 acetone/H_2O (4.0 mL) stirring at 25 °C for 24 h. [b]Isolated yield of **2a.** The reaction is monitored by TLC.

Table S2. Optimization for primary amides esterification



3a 4a 5a

Entry	Variation from the "standard conditions"[a]	Yield (%)[b]
1	none	87
2	Acetone as the solvent	70
3	DMF as the solvent	trace
4	Toluene as the solvent	76
5	MeCN as the solvent	< 5
6	DCE as the solvent	62
7	EA as the solvent	70
8	THF as the solvent	< 5
9	DMSO as the solvent	< 5
10	NMP as the solvent	< 5
11	t-BuOH as the solvent	39
12	DCDMH istead of TCCA	41
13	t-BuOCl instead of TCCA	79
14	NCS instead of TCCA	< 5
15	Li_2CO_3 instead of PhCOOLi	75
16	K_3PO_4 instead of PhCOOLi	24
17	PhCOONa instead of PhCOOLi	78
18	PhCOOK instead of PhCOOLi	76
19	25°C instead of 40°C	43

[a]Standard conditions: under air, amide **3a** (0.2 mmol), alcohol **4a** (2.0 equiv.), TCCA (2.0 equiv.), PhCOOLi (2.5 equiv.) in cyclohexane (2.0 mL) stirring at 25 °C for 1h, then 40 °C for 48h. [b]Isolated yield of **5a**. The reaction is monitored by TLC.

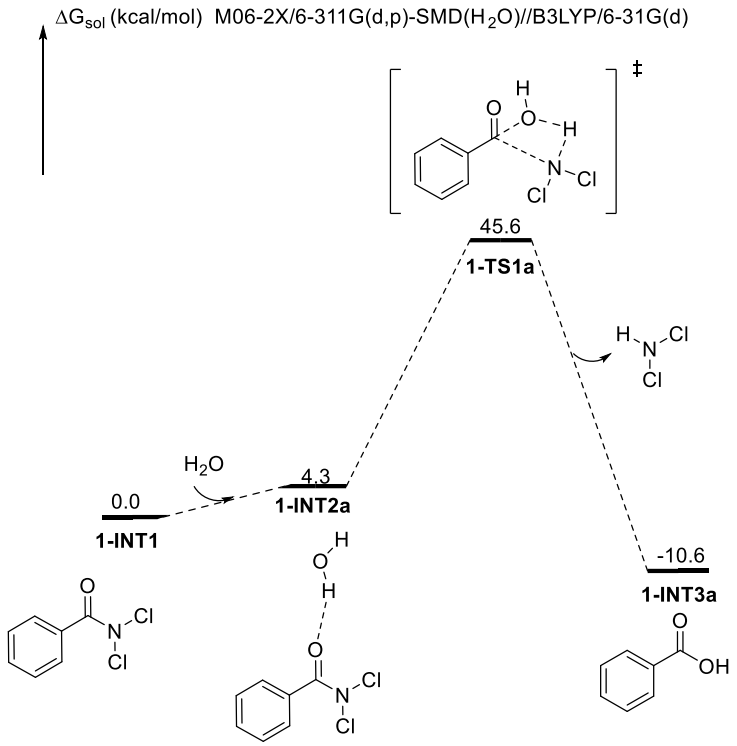


Fig. S1 Energy profile (in kcal/mol) for the hydrolysis of $PhCONCl_2$ with one water molecule. Bond lengths are shown in Å.

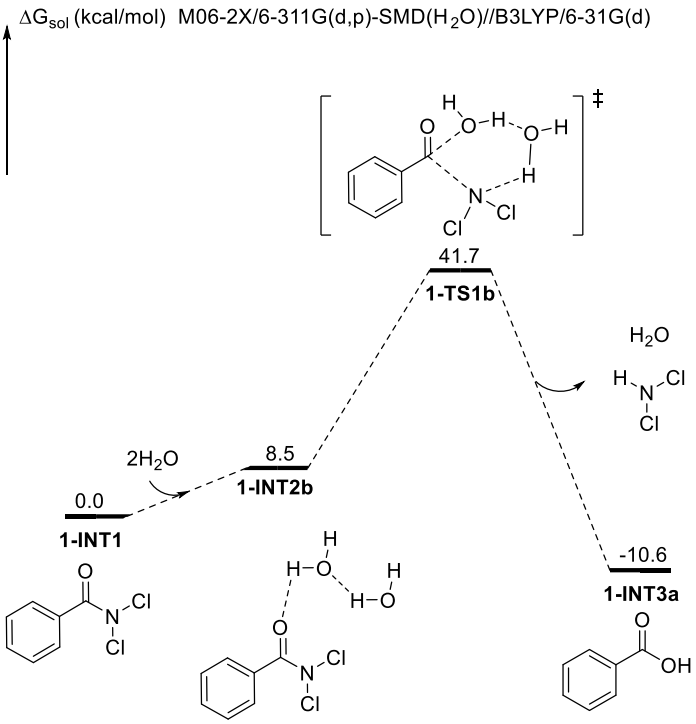


Fig. S2 Energy profile (in kcal/mol) for the hydrolysis of $PhCONCl_2$ with two water molecules. Bond lengths are shown in Å.

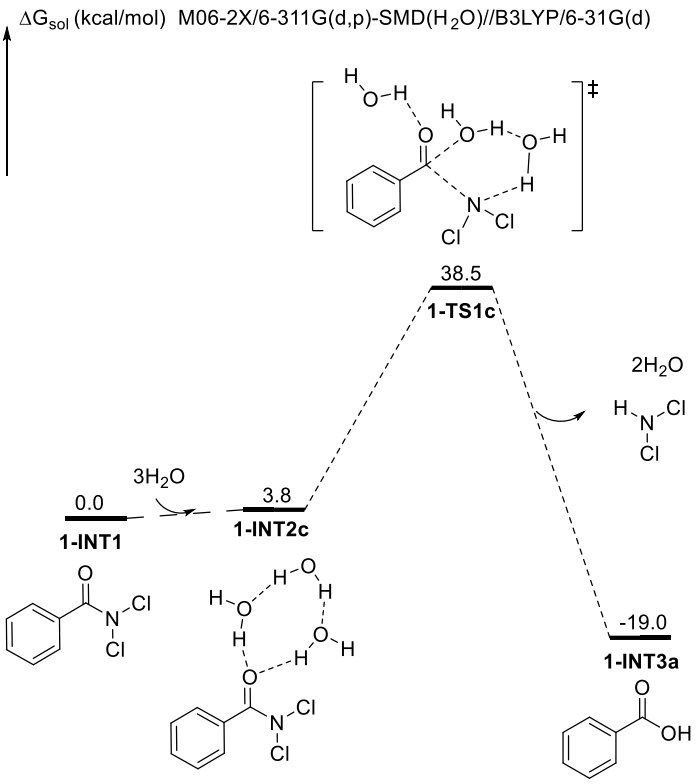


Fig. S3 Energy profile (in kcal/mol) for the hydrolysis of $PhCONCl_2$ with three water molecules. Bond lengths are shown in Å.

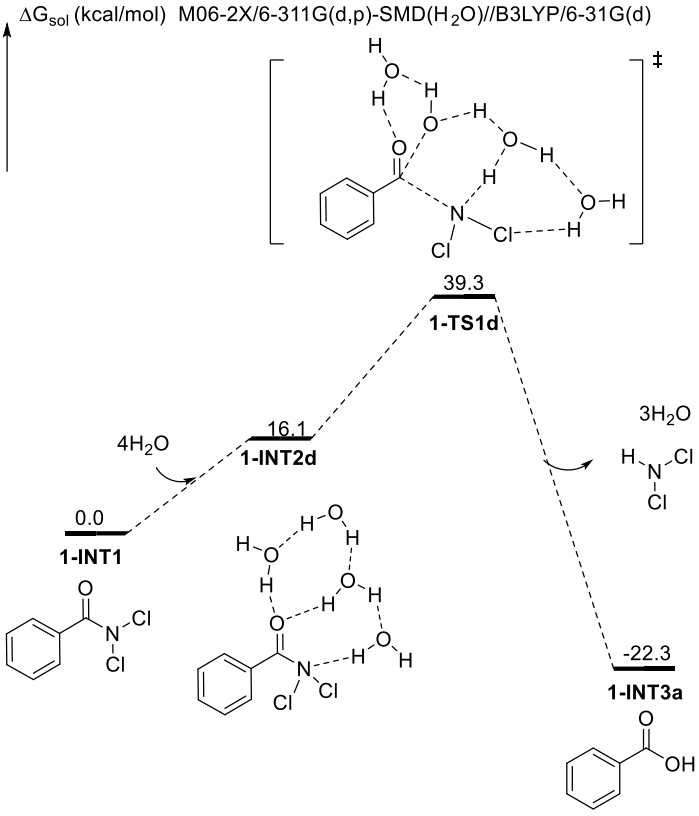


Fig. S4 Energy profile (in kcal/mol) for the hydrolysis of $PhCONCl_2$ with four water molecules. Bond lengths are shown in Å.

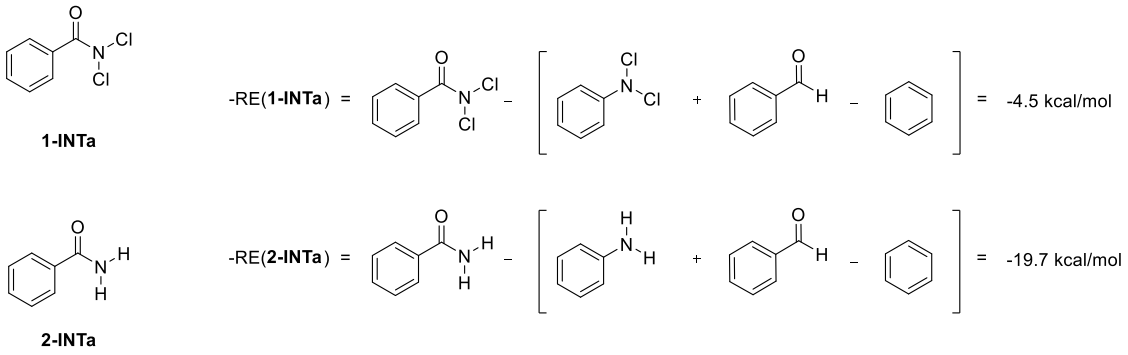


Fig. S5 The resonance energy of $PhCONCl_2$ and $PhCONH_2$. (B3LYP/6-311++G(d,p) in the gas)

1-INT1

C 3.21429000 0.81030000 0.57305900
C 1.86682900 1.15959000 0.58531900
C 0.92835400 0.36001200 -0.08402300
C 1.35410500 -0.77483600 -0.78997700
C 2.70626900 -1.10973200 -0.81148200
C 3.63535900 -0.32439900 -0.12504800
H 3.93647800 1.42545800 1.10203300
H 1.52513000 2.04780000 1.10660100
H 0.63396300 -1.37726700 -1.33269400
H 3.03519100 -1.98293700 -1.36743200
H 4.68817700 -0.59281400 -0.13970500
C -0.48534400 0.83110600 -0.07858400
O -0.80930900 1.99301000 -0.00898100
N -1.47749100 -0.20539600 -0.31248900
Cl -3.11421800 0.41406000 -0.38200100
Cl -1.37526500 -1.57516600 0.80993500
Zero-point correction= 0.107122 (Hartree/Particle)
Thermal correction to Energy= 0.116958
Thermal correction to Enthalpy= 0.117902
Thermal correction to Gibbs Free Energy= 0.070103
Sum of electronic and zero-point Energies= -1319.933618
Sum of electronic and thermal Energies= -1319.923782
Sum of electronic and thermal Enthalpies= -1319.922838
Sum of electronic and thermal Free Energies= -1319.970637
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1319.981929

1-INT2a

C -3.32379700 0.51996700 -0.92637900
C -1.95490800 0.63253400 -1.15206200
C -1.04579700 0.17389100 -0.18679300
C -1.51666500 -0.36740300 1.01857200
C -2.88784600 -0.46031300 1.24476900
C -3.79123900 -0.02637900 0.27161500
H -4.02553900 0.86469600 -1.68026300
H -1.57529300 1.07211600 -2.06865800
H -0.81408700 -0.68753400 1.78007400
H -3.25138500 -0.86694000 2.18391600
H -4.85998600 -0.10629300 0.45041600
C 0.39948700 0.38295100 -0.46672100
O 0.83574500 1.31764400 -1.10601600
N 1.29800400 -0.53636400 0.18508500
Cl 2.98902100 -0.21459300 -0.12945200
Cl 0.92973300 -2.24910700 -0.02693600
H 1.35168600 2.86166100 0.24382900

O 1.62168100 3.01661100 1.16310500
H 2.53493100 2.69422400 1.17896400
Zero-point correction= 0.130435 (Hartree/Particle)
Thermal correction to Energy= 0.144264
Thermal correction to Enthalpy= 0.145208
Thermal correction to Gibbs Free Energy= 0.086065
Sum of electronic and zero-point Energies= -1396.326013
Sum of electronic and thermal Energies= -1396.312183
Sum of electronic and thermal Enthalpies= -1396.311239
Sum of electronic and thermal Free Energies= -1396.370383
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1396.413098

1-INT2b

C -3.25707000 -0.18120000 -1.13270100
C -1.89314300 0.00156100 -1.34806500
C -0.99728700 -0.11231600 -0.27560600
C -1.47005700 -0.37146000 1.01826100
C -2.83761400 -0.53459900 1.22647600
C -3.72919700 -0.45087900 0.15395100
H -3.95041700 -0.10553000 -1.96539200
H -1.51337600 0.22660500 -2.33996200
H -0.77374600 -0.38611500 1.84813400
H -3.20918200 -0.71780700 2.23048800
H -4.79432300 -0.58426600 0.32291600
C 0.44101700 0.14045500 -0.55542300
O 0.85129800 1.04837900 -1.25789700
N 1.36676900 -0.71102400 0.11246200
Cl 3.04522300 -0.42166100 -0.26916800
Cl 0.99603400 -2.42298000 0.19556700
O 0.64821000 1.88473900 1.96342500
H 1.61263200 1.82047700 2.02012300
H 0.33201700 2.84088000 -0.79570000
O 0.34986700 3.64274200 -0.23716400
H 0.49744700 2.62536800 1.33652600
H 1.19531100 4.06019600 -0.46141000
Zero-point correction= 0.155952 (Hartree/Particle)
Thermal correction to Energy= 0.172287
Thermal correction to Enthalpy= 0.173231
Thermal correction to Gibbs Free Energy= 0.109402
Sum of electronic and zero-point Energies= -1472.728480
Sum of electronic and thermal Energies= -1472.712145
Sum of electronic and thermal Enthalpies= -1472.711200
Sum of electronic and thermal Free Energies= -1472.775030
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1472.851897

1-INT2c

C -3.33699300 -0.25604900 -1.12864100
C -1.96106000 -0.17982900 -1.34305000
C -1.08404000 -0.21861100 -0.24978800
C -1.58108400 -0.30223100 1.05779600
C -2.95842600 -0.36260900 1.26119300
C -3.83540600 -0.34887100 0.17302600
H -4.01675300 -0.23810400 -1.97562100
H -1.56281900 -0.09584700 -2.34998500
H -0.89253600 -0.25454100 1.89317000
H -3.34823700 -0.40965700 2.27380300
H -4.90780200 -0.40022200 0.33986600
C 0.37341200 -0.07111100 -0.53194800
O 0.84052300 0.81967000 -1.22881000
N 1.22773900 -1.01160500 0.07428700
Cl 2.90128300 -0.96705900 -0.39779600
Cl 0.66788100 -2.62697500 0.40600800
O 0.50559000 1.89220100 1.75050300
H -0.06939200 2.48033400 1.22209400
H 2.39355200 2.00198700 -0.47264000
O 2.88185500 2.45718900 0.23624200
H 1.40053100 2.17209800 1.47235100
H 3.55851300 1.81396700 0.49618300
O -0.90642300 3.12561600 -0.45614500
H -0.33005800 2.51127700 -0.94661200
H -1.76573000 2.67697800 -0.45807100
Zero-point correction= 0.181364 (Hartree/Particle)
Thermal correction to Energy= 0.200478
Thermal correction to Enthalpy= 0.201422
Thermal correction to Gibbs Free Energy= 0.132502
Sum of electronic and zero-point Energies= -1549.126751
Sum of electronic and thermal Energies= -1549.107637
Sum of electronic and thermal Enthalpies= -1549.106692
Sum of electronic and thermal Free Energies= -1549.175613
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1549.291372

1-INT2d

C -3.52361300 -0.50188100 -1.11455100
C -2.15756200 -0.27680200 -1.27746800
C -1.34038200 -0.04281500 -0.15785500
C -1.91198400 -0.00623300 1.12234300
C -3.28211800 -0.21483900 1.27317000
C -4.08814100 -0.47185900 0.16154800
H -4.14461700 -0.69410600 -1.98483000
H -1.71368700 -0.28795100 -2.26790500
H -1.28981500 0.22457600 1.97718000

H -3.72147400 -0.17245000 2.26572200
H -5.15396100 -0.63997800 0.28929800
C 0.10641600 0.18086800 -0.47016400
O 0.48505200 0.87256900 -1.39542900
N 1.17666400 -0.76797900 0.04467300
Cl 1.49040600 -1.92300000 -1.31131000
Cl 0.73675700 -1.70603400 1.48184800
O 0.55439500 1.56525300 1.32429000
H -0.04802100 2.26532700 0.98339800
H 2.33881500 2.07353900 -0.87559400
O 2.77566500 2.36514900 -0.05757600
H 1.44309000 1.87674300 1.00368900
H 3.45109300 1.66558900 0.10166100
O 4.06358400 -0.02086000 0.39617700
H 4.42733500 -0.45841400 -0.38848600
H 3.14233300 -0.34323700 0.44511400
O -1.01580500 3.21460000 -0.34427300
H -0.61341300 2.68027900 -1.05290800
H -1.93493300 2.90718800 -0.31585100
Zero-point correction= 0.207612 (Hartree/Particle)
Thermal correction to Energy= 0.228430
Thermal correction to Enthalpy= 0.229374
Thermal correction to Gibbs Free Energy= 0.156776
Sum of electronic and zero-point Energies= -1625.516682
Sum of electronic and thermal Energies= -1625.495864
Sum of electronic and thermal Enthalpies= -1625.494920
Sum of electronic and thermal Free Energies= -1625.567519
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1625.712819

1-INT2e

C -3.51286600 0.72694400 -0.52165300
C -2.15209400 0.93622800 -0.29773300
C -1.31570600 -0.15479200 0.00161900
C -1.86097900 -1.44425600 0.09947500
C -3.22522600 -1.63676600 -0.11071400
C -4.05196800 -0.55676900 -0.42968400
H -4.15009100 1.57339400 -0.76152100
H -1.73696300 1.93921300 -0.35900500
H -1.22541300 -2.27562700 0.37467100
H -3.64312500 -2.63547500 -0.02097000
H -5.11380300 -0.71554600 -0.59736300
C 0.12864500 0.18606900 0.21000700
O 0.49782800 1.19509500 0.79696100
N 1.20058900 -0.34285200 -0.74813200
Cl 1.33833600 0.91190500 -2.04924000

Cl 0.83602500 -1.89328300 -1.52294000
O 0.61782300 -1.38767200 1.60074200
H 0.01031600 -1.04374900 2.30053800
H 2.40412000 0.79998000 2.07460600
O 2.82986600 -0.02986900 2.34803500
H 1.51076400 -1.04806700 1.89922900
H 3.49804100 -0.18835700 1.63914500
O -0.34968400 3.81974700 -0.21738300
H 0.06101500 3.74813100 -1.09228100
H 0.07975900 3.10778200 0.28722900
O 4.09782400 -0.48747700 -0.03129800
H 4.47428000 0.27936300 -0.48894300
H 3.18093700 -0.54823700 -0.36632800
O -0.97261300 0.22205400 3.21974500
H -0.63995800 0.95196300 2.66701700
H -1.90532700 0.14365300 2.96563600
Zero-point correction= 0.231813 (Hartree/Particle)
Thermal correction to Energy= 0.255983
Thermal correction to Enthalpy= 0.256927
Thermal correction to Gibbs Free Energy= 0.176757
Sum of electronic and zero-point Energies= -1701.911978
Sum of electronic and thermal Energies= -1701.887809
Sum of electronic and thermal Enthalpies= -1701.886865
Sum of electronic and thermal Free Energies= -1701.967035
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1702.144771

1-TS1a

C 3.24979600 -0.64167500 -1.00101000
C 1.97850300 -1.15473700 -0.75759200
C 1.11679100 -0.50217700 0.13915000
C 1.53937500 0.67110900 0.77866300
C 2.81348100 1.17686800 0.53218300
C 3.67057300 0.52256800 -0.35522200
H 3.91231500 -1.15357900 -1.69280400
H 1.63981700 -2.06129400 -1.24735200
H 0.87570100 1.21215500 1.44392300
H 3.13360500 2.08764700 1.02940800
H 4.66284800 0.92193400 -0.54493000
C -0.20234800 -1.13994500 0.32980100
O -0.63780600 -2.16202300 -0.07078500
N -1.66055900 0.30927600 0.15835800
Cl -3.05288400 -0.35996500 -0.66765500
Cl -1.33602100 1.96713700 -0.36126800
O -0.78687200 -0.80175500 1.99921600
H -0.12055900 -0.31203700 2.51255700

H -1.46804600 -0.06351400 1.45908700
Zero-point correction= 0.127861 (Hartree/Particle)
Thermal correction to Energy= 0.139582
Thermal correction to Enthalpy= 0.140526
Thermal correction to Gibbs Free Energy= 0.088554
Sum of electronic and zero-point Energies= -1396.269225
Sum of electronic and thermal Energies= -1396.257504
Sum of electronic and thermal Enthalpies= -1396.256559
Sum of electronic and thermal Free Energies= -1396.308532
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1396.349818

1-TS1b

C -3.13240700 -0.79757000 -0.69190300
C -1.87031100 -0.40826000 -1.12849100
C -1.15461800 0.57060800 -0.41875000
C -1.72445900 1.16663200 0.71529700
C -2.99246400 0.77502600 1.14055600
C -3.69506000 -0.20920900 0.44365400
H -3.67874500 -1.55973900 -1.23969200
H -1.42294700 -0.85309000 -2.00998700
H -1.20741100 1.95604800 1.24989500
H -3.43264200 1.24476300 2.01522300
H -4.68174100 -0.51344300 0.78149500
C 0.16396900 0.92773400 -0.96538400
O 0.58243300 0.90739100 -2.07793800
N 1.47540900 -0.60587200 0.04116900
Cl 1.10691600 -2.25480800 -0.43067800
Cl 1.41918000 -0.45517700 1.87813900
O 0.92746100 1.96679700 -0.00502700
H 0.87684900 1.58416700 0.90270400
H 2.86013600 0.28878400 -0.41038600
O 3.24216200 1.23136800 -0.50735900
H 1.98044400 1.82445500 -0.27326900
H 3.85022000 1.34470200 0.24171300
Zero-point correction= 0.154971 (Hartree/Particle)
Thermal correction to Energy= 0.168786
Thermal correction to Enthalpy= 0.169730
Thermal correction to Gibbs Free Energy= 0.113220
Sum of electronic and zero-point Energies= -1472.681961
Sum of electronic and thermal Energies= -1472.668147
Sum of electronic and thermal Enthalpies= -1472.667203
Sum of electronic and thermal Free Energies= -1472.723713
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1472.802784

1-TS1c

C 3.16632000 0.67455800 0.38290100

C 1.89711000 0.88673900 -0.14821000
C 1.16143800 -0.20545200 -0.64290300
C 1.71587500 -1.49467600 -0.61645600
C 2.98947700 -1.69100600 -0.08823700
C 3.71369900 -0.60984700 0.41744500
H 3.73033300 1.51924500 0.76739800
H 1.47149100 1.88647800 -0.18330400
H 1.18508100 -2.34261400 -1.03555100
H 3.41718300 -2.68919100 -0.07792500
H 4.70582500 -0.76806400 0.83109400
C -0.16719300 0.10127900 -1.19646000
O -0.59753900 1.09103800 -1.71030600
N -1.48633000 -0.06542900 0.57967200
Cl -1.13571800 1.13694600 1.81880000
Cl -1.42001700 -1.73994300 1.32285100
O -0.91066600 -1.22777500 -1.69336100
H -0.86398300 -1.86629700 -0.94378900
H -2.88648600 -0.09606500 -0.44571300
O -3.24298200 -0.45766800 -1.32636300
H -1.96309200 -0.93673700 -1.71384700
H -3.86063600 -1.16900000 -1.08936100
O 0.08700900 3.68638700 -0.44914400
H -0.41202800 3.40796900 0.33506600
H -0.21881000 3.05780900 -1.12492400
Zero-point correction= 0.179633 (Hartree/Particle)
Thermal correction to Energy= 0.196706
Thermal correction to Enthalpy= 0.197650
Thermal correction to Gibbs Free Energy= 0.134218
Sum of electronic and zero-point Energies= -1549.079641
Sum of electronic and thermal Energies= -1549.062568
Sum of electronic and thermal Enthalpies= -1549.061624
Sum of electronic and thermal Free Energies= -1549.125056
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1549.237809

1-TS1d

C 2.96856600 1.07154800 0.03906600
C 1.61069000 1.29969900 -0.17234900
C 0.87510600 0.40479600 -0.97235800
C 1.51398100 -0.69600600 -1.56495800
C 2.87156500 -0.90971800 -1.34482200
C 3.59921900 -0.03263700 -0.53921100
H 3.53456200 1.76262400 0.65691000
H 1.11642600 2.15900100 0.27467500
H 0.97622900 -1.37197300 -2.22074900
H 3.36054500 -1.76431500 -1.80186600

H 4.65594400 -0.21024200 -0.36276400
C -0.55040200 0.71605600 -1.14343600
O -1.15098200 1.74628000 -1.10776300
N -1.50159200 -0.37085700 0.53916900
Cl -0.96110900 0.22931900 2.10773900
Cl -1.20982000 -2.18451400 0.46044100
O -1.27876200 -0.38991400 -2.08268300
H -1.05389400 -1.27030300 -1.70325500
H -3.10895800 -0.15413500 -0.21085500
O -3.57566900 -0.17358700 -1.10361200
H -2.31830900 -0.26824900 -1.84247700
H -4.09868800 -0.99184900 -1.10969800
O -0.40999400 3.67586600 1.02434600
H -0.74871000 3.05401600 1.68750400
H -0.81445100 3.35011600 0.20270700
O 2.09336900 -1.90523800 1.95661100
H 1.95461900 -0.94845600 1.89021300
H 1.20558300 -2.26160800 1.79962500
Zero-point correction= 0.202633 (Hartree/Particle)
Thermal correction to Energy= 0.224206
Thermal correction to Enthalpy= 0.225151
Thermal correction to Gibbs Free Energy= 0.150509
Sum of electronic and zero-point Energies= -1625.471330
Sum of electronic and thermal Energies= -1625.449756
Sum of electronic and thermal Enthalpies= -1625.448812
Sum of electronic and thermal Free Energies= -1625.523454
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1625.669630

1-TS1e

C -3.54912000 -0.76274000 -0.31104400
C -2.42111500 0.05392500 -0.23548700
C -1.26041600 -0.41193000 0.40029200
C -1.25126100 -1.69392800 0.96825300
C -2.38494300 -2.50257600 0.89366100
C -3.53479600 -2.04097800 0.25030700
H -4.44432000 -0.39332800 -0.80406600
H -2.44306900 1.05562900 -0.65405300
H -0.36190700 -2.04686800 1.47674300
H -2.36988200 -3.49293800 1.34115500
H -4.41777100 -2.67241600 0.19297400
C -0.06856300 0.50866700 0.47230400
O -0.18786200 1.75764500 0.38580100
N 1.06895300 0.10611600 -0.84175200
Cl 0.38195900 0.63252200 -2.37950500
Cl 1.49025500 -1.66333700 -0.98759600

O 0.85150700 0.07551600 1.58240900
H 0.51143400 0.61460800 2.35958700
H 2.48184100 0.92701100 -0.16851400
O 2.96009600 0.98238600 0.72613500
H 2.07454400 0.60960700 1.29247800
H 3.63885000 0.23403800 0.70187700
O -1.96420500 3.29312500 -1.23944800
H -1.61006600 3.09544600 -2.11916000
H -1.32104900 2.85500000 -0.64663900
O 4.46914800 -1.16818900 0.43776200
H 5.17283700 -1.09314100 -0.22602400
H 3.77813000 -1.71435200 0.01791300
O -0.11864100 2.01662700 3.11884400
H -0.23574800 2.35326200 2.20099100
H -1.02319200 1.88996400 3.44595700
Zero-point correction= 0.230497 (Hartree/Particle)
Thermal correction to Energy= 0.252243
Thermal correction to Enthalpy= 0.253187
Thermal correction to Gibbs Free Energy= 0.178869
Sum of electronic and zero-point Energies= -1701.899541
Sum of electronic and thermal Energies= -1701.877795
Sum of electronic and thermal Enthalpies= -1701.876851
Sum of electronic and thermal Free Energies= -1701.951169
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -1702.134769

1-INT3a

C 1.84376000 -1.23436600 -0.00005300
C 0.45028400 -1.20389800 -0.00006400
C -0.22022600 0.02741400 -0.00003000
C 0.51228500 1.22248700 0.00002200
C 1.90402200 1.18703100 0.00003800
C 2.57076900 -0.04140000 0.00000000
H 2.36319000 -2.18860800 -0.00008400
H -0.12082000 -2.12568900 -0.00010200
H -0.02819900 2.16336000 0.00004500
H 2.46987500 2.11445000 0.00008100
H 3.65727400 -0.06887500 0.00000900
C -1.70347800 0.12161300 -0.00005600
O -2.33674800 1.15881300 0.00002000
O -2.31507300 -1.09209200 0.00007700
H -3.27124600 -0.90169600 0.00012700
Zero-point correction= 0.115913 (Hartree/Particle)
Thermal correction to Energy= 0.123007
Thermal correction to Enthalpy= 0.123952
Thermal correction to Gibbs Free Energy= 0.083906

Sum of electronic and zero-point Energies= -420.706219
Sum of electronic and thermal Energies= -420.699125
Sum of electronic and thermal Enthalpies= -420.698180
Sum of electronic and thermal Free Energies= -420.738226
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -420.775961

H2O

O 0.00000000 0.00000000 0.11972000
H 0.00000000 0.76154500 -0.47888000
H 0.00000000 -0.76154500 -0.47888000
Zero-point correction= 0.021167 (Hartree/Particle)
Thermal correction to Energy= 0.024002
Thermal correction to Enthalpy= 0.024946
Thermal correction to Gibbs Free Energy= 0.003500
Sum of electronic and zero-point Energies= -76.387787
Sum of electronic and thermal Energies= -76.384952
Sum of electronic and thermal Enthalpies= -76.384008
Sum of electronic and thermal Free Energies= -76.405454
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -76.425629

3H2O

O -1.43066900 -0.69436900 -0.08167800
H -1.15581900 0.25359400 -0.11114300
H -1.89054100 -0.79177700 0.76521900
O 0.11366600 1.58580500 -0.08174000
H 0.79721700 0.87380900 -0.11171400
H 0.26004200 2.03295600 0.76493900
O 1.31701100 -0.89145100 -0.08168800
H 1.62996500 -1.23968300 0.76627500
H 0.35907500 -1.12878100 -0.11272900
Zero-point correction= 0.073782 (Hartree/Particle)
Thermal correction to Energy= 0.080579
Thermal correction to Enthalpy= 0.081523
Thermal correction to Gibbs Free Energy= 0.045231
Sum of electronic and zero-point Energies= -229.190974
Sum of electronic and thermal Energies= -229.184177
Sum of electronic and thermal Enthalpies= -229.183233
Sum of electronic and thermal Free Energies= -229.219525
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -229.298315

4H2O

O 1.83389600 -1.31446500 0.18905300
H 0.96355900 -1.15814400 -0.26006300
H 1.59616100 -1.68435700 1.05236700
O -0.40326900 -0.15752000 -0.85833300
H 0.14637300 0.63373200 -0.65708100
H -1.15880100 -0.10646700 -0.23883000

O -2.92460300 0.05359300 0.44720800
H -3.31314400 -0.80226700 0.20619000
H -3.21870700 0.64978400 -0.25990700
O 1.67544500 1.44236800 0.09152200
H 1.52300800 1.75596200 0.99546600
H 2.00980400 0.51994700 0.20625300
Zero-point correction= 0.098244 (Hartree/Particle)
Thermal correction to Energy= 0.108536
Thermal correction to Enthalpy= 0.109480
Thermal correction to Gibbs Free Energy= 0.062547
Sum of electronic and zero-point Energies= -305.588618
Sum of electronic and thermal Energies= -305.578326
Sum of electronic and thermal Enthalpies= -305.577382
Sum of electronic and thermal Free Energies= -305.624315
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -305.732474

5H2O

O 2.80617500 -0.29269300 0.25991500
H 2.08406100 -0.93533500 0.41880200
H 3.02159100 0.05482200 1.13817500
O 0.10721900 -1.26425500 0.28748500
H 0.28051300 -0.65575800 -0.48218500
H -0.11559100 -0.60599900 0.97518300
O -1.04537100 1.26475600 0.87766900
H -1.48067000 1.88019500 1.48532600
H -1.77104900 0.73877800 0.45384700
O -2.56007700 -0.67615400 -0.28856800
H -1.75469600 -1.22427500 -0.14290200
H -2.59932400 -0.56474100 -1.25125200
O 0.74822400 0.86447900 -1.27447500
H 0.24646000 1.38570400 -0.61575400
H 1.63935200 0.75754000 -0.87545100
Zero-point correction= 0.126105 (Hartree/Particle)
Thermal correction to Energy= 0.137699
Thermal correction to Enthalpy= 0.138643
Thermal correction to Gibbs Free Energy= 0.090064
Sum of electronic and zero-point Energies= -381.998501
Sum of electronic and thermal Energies= -381.986907
Sum of electronic and thermal Enthalpies= -381.985963
Sum of electronic and thermal Free Energies= -382.034542
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -382.172748

$NHCl_2$

N 0.00019800 0.79741000 -0.15786100
Cl 1.46898000 -0.20290500 0.01109900
Cl -1.46906500 -0.20284500 0.01109100

H 0.00006900 1.31588300 0.72779300
Zero-point correction= 0.016801 (Hartree/Particle)
Thermal correction to Energy= 0.020410
Thermal correction to Enthalpy= 0.021354
Thermal correction to Gibbs Free Energy= -0.009992
Sum of electronic and zero-point Energies= -975.641303
Sum of electronic and thermal Energies= -975.637694
Sum of electronic and thermal Enthalpies= -975.636750
Sum of electronic and thermal Free Energies= -975.668096
M06-2X/6-311G(d,p)-SMD(H2O)//B3LYP/6-31G(d) energy= -975.648849

1-INTa

C 3.19472500 0.78325700 0.62127200
C 1.84924800 1.13372100 0.62882600
C 0.92218700 0.36670600 -0.08674000
C 1.35590400 -0.73611500 -0.83174300
C 2.70648300 -1.06928800 -0.85174100
C 3.62492100 -0.31727500 -0.11985900
H 3.90804100 1.37199400 1.18614300
H 1.50425000 1.99884800 1.18152000
H 0.64462200 -1.31579200 -1.40605000
H 3.04241400 -1.91466700 -1.44080500
H 4.67546800 -0.58477300 -0.13238100
C -0.49194000 0.83956800 -0.09667100
O -0.81331500 1.99820500 -0.06523400
N -1.48031100 -0.19802700 -0.28882300
Cl -3.12142700 0.39504900 -0.35555800
Cl -1.34182500 -1.58084400 0.80115500
Zero-point correction= 0.106436 (Hartree/Particle)
Thermal correction to Energy= 0.116299
Thermal correction to Enthalpy= 0.117243
Thermal correction to Gibbs Free Energy= 0.069385
Sum of electronic and zero-point Energies= -1320.100380
Sum of electronic and thermal Energies= -1320.090517
Sum of electronic and thermal Enthalpies= -1320.089573
Sum of electronic and thermal Free Energies= -1320.137432
B3LYP/6-311++G(d,p)

2-INTa

C 1.90657000 1.16882000 0.15313200
C 0.51642900 1.20555700 0.12630700
C -0.21960100 0.02436600 -0.01624300
C 0.45912300 -1.19217200 -0.15527300
C 1.85180800 -1.22666400 -0.13857000
C 2.57728300 -0.04749500 0.02201300
H 2.46833500 2.08839300 0.27200300

H -0.02156100 2.14159900 0.21156100
H -0.08998800 -2.11359000 -0.31333200
H 2.36916600 -2.17171200 -0.25902400
H 3.66106900 -0.07540200 0.03743400
C -1.71881900 0.13672200 -0.03727900
O -2.28480100 1.18227600 -0.31150200
N -2.41967400 -1.00838100 0.24955100
H -1.98744700 -1.77421900 0.73922000
H -3.42019600 -0.90942300 0.33278000
Zero-point correction= 0.126988 (Hartree/Particle)
Thermal correction to Energy= 0.134577
Thermal correction to Enthalpy= 0.135521
Thermal correction to Gibbs Free Energy= 0.094586
Sum of electronic and zero-point Energies= -400.943400
Sum of electronic and thermal Energies= -400.935811
Sum of electronic and thermal Enthalpies= -400.934867
Sum of electronic and thermal Free Energies= -400.975802
B3LYP/6-311++G(d,p)

Benzene

C -1.33713500 -0.39522600 0.00000200
C -0.32617400 -1.35560100 0.00005700
C 1.01082700 -0.96037900 -0.00005100
C 1.33710200 0.39534500 0.00000600
C 0.32629100 1.35557100 0.00005300
C -1.01091000 0.96029200 -0.00004800
H -2.37691700 -0.70269700 -0.00006200
H -0.58001600 -2.40976900 0.00008000
H 1.79703100 -1.70707500 -0.00012100
H 2.37695700 0.70254900 0.00002200
H 0.57988000 2.40979500 -0.00000300
H -1.79693600 1.70718500 -0.00003500
Zero-point correction= 0.100086 (Hartree/Particle)
Thermal correction to Energy= 0.104492
Thermal correction to Enthalpy= 0.105436
Thermal correction to Gibbs Free Energy= 0.072619
Sum of electronic and zero-point Energies= -232.211214
Sum of electronic and thermal Energies= -232.206808
Sum of electronic and thermal Enthalpies= -232.205864
Sum of electronic and thermal Free Energies= -232.238681
B3LYP/6-311++G(d,p)

PhCHO

C -1.33277800 -1.32586600 -0.00000800
C 0.03804800 -1.10482300 -0.00001600
C 0.53393100 0.20618300 -0.00001600

C -0.35470600 1.28672700 0.00000900
C -1.72926100 1.06390900 0.00005700
C -2.21655200 -0.24226200 -0.00002200
H -1.71958700 -2.33855600 -0.00003300
H 0.74235500 -1.92844400 -0.00001800
H 0.03522400 2.30004400 -0.00000200
H -2.41722900 1.90143000 0.00010600
H -3.28640500 -0.41900100 -0.00002600
C 1.99216800 0.46353200 -0.00007600
O 2.84877100 -0.39235900 0.00008700
H 2.27037500 1.53899700 -0.00029300
Zero-point correction= 0.109329 (Hartree/Particle)
Thermal correction to Energy= 0.115664
Thermal correction to Enthalpy= 0.116608
Thermal correction to Gibbs Free Energy= 0.078742
Sum of electronic and zero-point Energies= -345.559855
Sum of electronic and thermal Energies= -345.553520
Sum of electronic and thermal Enthalpies= -345.552576
Sum of electronic and thermal Free Energies= -345.590442
B3LYP/6-311++G(d,p)

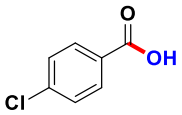
$PhNCl_2$

C 3.05865400 0.00021100 0.25836600
C 2.58421300 -0.00092700 -1.05003200
C 1.21076600 -0.00110500 -1.29457900
C 0.32633400 -0.00010200 -0.21887000
C 0.79217600 0.00103900 1.09661500
C 2.16155700 0.00118700 1.33011500
H 4.12593800 0.00034200 0.44829200
H 3.27746800 -0.00168600 -1.88313300
H 0.81376500 -0.00197100 -2.30203400
H 0.08825900 0.00179400 1.92014500
H 2.53280000 0.00207000 2.34849800
N -1.07354400 -0.00039100 -0.59632900
Cl -1.88597700 -1.45800500 0.08666100
Cl -1.88611900 1.45802700 0.08468300
Zero-point correction= 0.096139 (Hartree/Particle)
Thermal correction to Energy= 0.104232
Thermal correction to Enthalpy= 0.105177
Thermal correction to Gibbs Free Energy= 0.061433
Sum of electronic and zero-point Energies= -1206.742016
Sum of electronic and thermal Energies= -1206.733923
Sum of electronic and thermal Enthalpies= -1206.732979
Sum of electronic and thermal Free Energies= -1206.776723
B3LYP/6-311++G(d,p)

$PhNH_2$

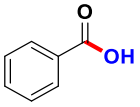
C 1.15502000 1.21968300 0.00001400
C -0.23837600 1.19241800 0.00000700
C -0.92631400 -0.02665100 -0.00002300
C -0.19493100 -1.21544400 -0.00004200
C 1.19952900 -1.18975300 -0.00000200
C 1.87813800 0.02722800 0.00002000
H 1.67538200 2.17129900 0.00003000
H -0.80042300 2.12124400 0.00002500
H -0.73742600 -2.15342700 -0.00009800
H 1.75464900 -2.12143200 -0.00001300
H 2.96219200 0.04788700 0.00003400
N -2.36701500 -0.11329400 -0.00006500
H -2.76182300 0.34062800 0.81781300
H -2.76184000 0.34198300 -0.81718000
Zero-point correction= 0.116208 (Hartree/Particle)
Thermal correction to Energy= 0.121488
Thermal correction to Enthalpy= 0.122433
Thermal correction to Gibbs Free Energy= 0.087338
Sum of electronic and zero-point Energies= -287.562705
Sum of electronic and thermal Energies= -287.557425
Sum of electronic and thermal Enthalpies= -287.556481
Sum of electronic and thermal Free Energies= -287.591575
B3LYP/6-311++G(d,p)

Characterization data of products



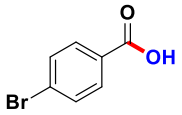
4-chlorobenzoic acid (2a)

petroleum ether / ethyl acetate = 8:1, white solid, 99% yield (77.2 mg). mp: 231-233 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.12 (s, br, 1H), 7.94-7.91 (m, 2H), 7.56-7.53 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.5, 137.8, 131.1, 129.7, 128.7. **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_5{}^{35}ClO_2$+H^+: 159.0022, found: 159.0021. **IR** (neat, cm^{-1}): υ 2920, 2850, 1671, 1420, 1280, 1127, 960, 759, 681.



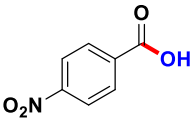
benzoic acid (2b)

petroleum ether / ethyl acetate = 10:1, white solid, 99% yield (60.4 mg). mp: 119-121 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.95 (s, br, 1H), 7.97-7.94 (m, 2H), 7.62-7.58 (m, 1H), 7.50-7.46 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 167.4, 132.9, 130.8, 129.3, 128.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_6O_2$-H^+: 121.0295, found: 121.0286. **IR** (neat, cm^{-1}): υ 2901, 2825, 1665, 1429, 1330, 1155, 931, 805, 739, 697.



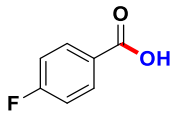
4-bromobenzoic acid (2c)

petroleum ether / ethyl acetate = 10:1, white solid, 99% yield (99.0 mg). mp: 250-252 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.17 (s, br, 1H), 7.87-7.84 (m, 2H), 7.70-7.66 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.6, 131.7, 131.3, 130.0, 126.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_5{}^{79}BrO_2$-H^+: 198.9392, found: 198.9400. **IR** (neat, cm^{-1}): υ 3096, 2849, 2553, 1676, 1509, 1498, 1274, 995, 723, 608.



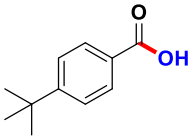
4-nitrobenzoic acid (2d)

petroleum ether / ethyl acetate = 5:1, white solid, 99% yield (82.7 mg). mp: 236-238 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.63 (s, br, 1H), 8.30-8.27 (m, 2H), 8.15-8.13 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 165.8, 150.1, 136.4, 130.7, 123.7. **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_5NO_4$-H^+: 166.0145, found: 166.0142. **IR** (neat, cm^{-1}): υ 3115, 2927, 2546, 1680, 1548, 1366, 1209, 1007, 800, 714.



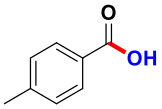
4-fluorobenzoic acid (2e)

petroleum ether / ethyl acetate = 10:1, white solid, 87% yield (60.9 mg). mp: 179-181 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.02 (s, br, 1H), 8.02-7.98 (m, 2H), 7.33-7.29 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.4, 164.9 (d, J = 250.6 Hz), 132.1 (d, J = 9.6 Hz), 127.4 (d, J = 2.8 Hz), 115.6 (d, J = 22.0 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -106.95 (s, 1F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_5FO_2$-H^+: 139.0201, found: 139.0198. **IR** (neat, cm^{-1}): υ 3082, 2850, 2559, 1651, 1603, 1592, 1315, 1184, 985, 722, 609.



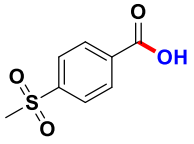
4-(*tert*-butyl)benzoic acid (2f)

petroleum ether / ethyl acetate = 10:1, white solid, 80% yield (71.2 mg). mp: 162-164 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.77 (s, br, 1H), 7.89-7.86 (m, 2H), 7.51-7.48 (m, 2H), 1.28 (s, 9H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 167.3, 155.8, 129.2, 128.1, 125.3, 34.8, 30.8. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{11}H_{14}O_2$+H^+: 179.1067, found: 179.1069. **IR** (neat, cm^{-1}): υ 2922, 2853, 1679, 1496, 1257, 1154, 1006, 946 887, 709.



4-methylbenzoic acid (2g)

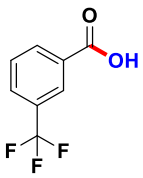
petroleum ether / ethyl acetate = 10:1, white solid, 91% yield (61.9 mg). mp: 178-180 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.78 (s, br, 1H), 7.85-7.83 (m, 2H), 7.27-7.25 (m, 2H), 2.33 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 167.4, 143.1, 129.4, 129.2, 128.2, 21.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_8O_2$-H^+: 135.0452, found: 135.0444. **IR** (neat, cm^{-1}): υ 2951, 1256, 1666, 1591, 1575, 1286, 1118, 932, 847, 750.



4-(methylsulfonyl)benzoic acid (2h)

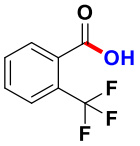
petroleum ether / ethyl acetate = 6:1, white solid, 97% yield (97.0 mg). mp: 245-247 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.52 (s, br, 1H), 8.18-8.15 (m, 2H), 8.06-8.04 (m, 2H), 3.27 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.2, 144.3, 135.4, 130.2, 127.3, 43.3. **HRMS** (ESI-TOF): Anal Calcd. For.

$C_8H_8O_4S+H^+$: 201.0216, found: 201.0218. **IR** (neat, cm^{-1}): υ 3082, 2970, 1714, 1689, 1630, 1539, 1350, 1161, 947, 713.



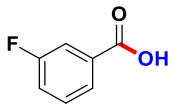
3-(trifluoromethyl)benzoic acid (2i)

petroleum ether / ethyl acetate = 8:1, white solid, 61% yield (58.0 mg). mp: 98-100 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.22-8.19 (m, 1H), 8.16-8.15 (m, 1H), 7.96-7.94 (m, 1H), 7.75-7.71 (m, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.1, 133.2, 132.0, 130.1, 129.5 (q, *J* = 24.0 Hz), 129.3 (q, *J* = 3.9 Hz), 125.6 (q, *J* = 3.9 Hz), 123.8 (q, *J* = 272.3 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -61.58 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_5F_3O_2+H^+$: 191.0314, found: 191.0315. **IR** (neat, cm^{-1}): υ 3026, 2960, 1366, 1650, 1496, 1286, 1120, 1079, 916, 706, 684.



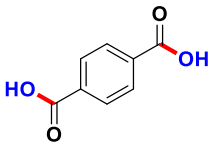
2-(trifluoromethyl)benzoic acid (2j)

petroleum ether / ethyl acetate = 8:1, white solid, 99% yield (94.1 mg). mp: 108-110 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 14.24 (s, br, 1H), 7.72-7.50 (m, 4H). **^{13}C NMR** (100 MHz, DMSO-*d*6) δ 169.6, 137.1 (q, *J* = 2.4 Hz), 129.9, 128.7, 126.6, 126.1 (q, *J* = 31.2 Hz), 126.53(q, *J* = 5.0 Hz), 124.2 (q, *J* = 271.9 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -57.96 (s, 3F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_5F_3O_2+NH_4^+$: 208.0580, found: 208.0582. **IR** (neat, cm^{-1}): υ 3066, 2646, 1709, 1563, 1459, 1312, 1277, 1166, 1059, 891, 765.



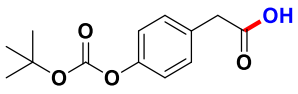
3-fluorobenzoic acid (2k)

petroleum ether / ethyl acetate = 10:1, white solid, 99% yield (69.3 mg). mp: 120-122 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.26 (s, br, 1H), 7.79-7.75 (m, 1H), 7.67-7.34 (m, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.2 (d, J = 2.9 Hz), 161.9 (dd, J = 244.8, 3.1 Hz), 133.2 (d, J = 7.2 Hz), 130.8 (d, J = 7.9 Hz), 125.4 (d, J = 3.0 Hz), 119.8 (d, J = 21.4 Hz), 115.7 (d, J = 22.4 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -112.61 (s, 1F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_5FO_2+H^+$: 141.0346, found: 141.0345. **IR** (neat, cm^{-1}): υ 2966, 1659, 1512, 1463, 1261, 1160, 1087, 942, 837, 721.



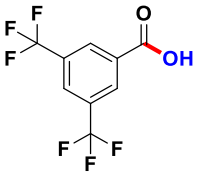
terephthalic acid (2l)

white solid, 99% yield (82.2 mg). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.25 (s, br, 2H), 8.03-8.02 (m, 4H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.9, 134.6, 129.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_6O_4$-H^+: 165.0193, found: 165.0190. **IR** (neat, cm^{-1}): υ 3085, 2970, 2866, 1649, 1605, 1528, 1367, 1173, 972, 860, 728.



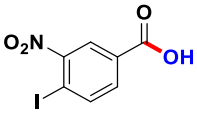
2-(4-((*tert*-butoxycarbonyl)oxy)phenyl)acetic acid (2m)

petroleum ether / ethyl acetate = 10:1, white solid, 86% yield (108.4 mg). mp: 127-129 °C. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 7.31-7.28 (m, 2H), 7.13-7.11 (m, 2H), 3.59 (s, 2H), 1.49 (s, 9H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 172.6, 151.4, 149.5, 132.7, 130.5, 121.2, 83.1, 40.0, 27.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{16}O_5$+Na^+: 275.0890, found: 275.0888. **IR** (neat, cm^{-1}): υ 2934, 1767, 1743, 1476, 1390, 1154, 1109, 1057, 972, 746, 731.



3,5-bis(trifluoromethyl)benzoic acid (2n)

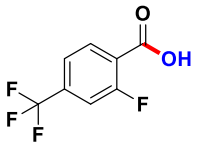
petroleum ether / ethyl acetate = 5:1, white solid, 88% yield (113.5 mg). mp: 142-144 °C. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.72 (s, br, 1H), 8.34 (s, 2H), 8.21 (s, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 164.7, 133.7, 130.9 (q, J = 33.5 Hz), 129.5 (d, J = 4.0 Hz), 126.1 – 126.0 (m), 122.9 (q, J = 272.7 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -62.19 (s, 6F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_9H_4F_6O_2$-H^+: 257.0043, found: 257.0037. **IR** (neat, cm^{-1}): υ 2919, 2856, 1712, 1653, 1469, 1366, 1181, 997, 860, 734.



4-iodo-3-nitrobenzoic acid (2o)

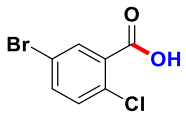
petroleum ether / ethyl acetate = 10:1, yellow solid, 86% yield (126.0 mg). mp: 81-82 °C. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.63 (s, br, 1H), 8.33-8.32 (m, 1H), 8.27-8.25 (m, 1H), 7.87-7.85 (m, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 165.3, 153.4, 142.0, 133.4, 132.1, 125.1, 94.1. **HRMS** (ESI-TOF):

Anal Calcd. For. $C_7H_4INO_4$-H^+: 291.9912, found: 291.9913. **IR** (neat, cm^{-1}): υ 3091, 2970, 1687, 1599, 1536, 1333, 1245, 1120, 986, 840, 755, 702.



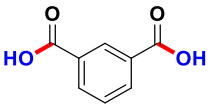
2-fluoro-4-(trifluoromethyl)benzoic acid (2p)

petroleum ether / ethyl acetate = 8:1, white solid, 99% yield (103.0 mg). mp: 168-170 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.25 (s, br, 1H), 7.95-7.89 (m, 1H), 7.41-7.31 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 164.6 (d, J = 3.1 Hz), 161.4 (d, J = 259.9 Hz), 134.8 (qd, J = 33.2, 8.4 Hz), 127.3, 123.8 (d, J = 10.3 Hz), 123.3 (q, J = 272.6 Hz), 121.2 (d, J = 3.9 Hz), 114.6 (d, J = 27.2 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -62.96 (s, 3F), -108.24 (s, 1F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_4F_4O_2$-H^+: 207.0075, found: 207.0069. **IR** (neat, cm^{-1}): υ 3092, 2845, 2840, 1761, 1681, 1544, 1439, 1152. 981, 727.



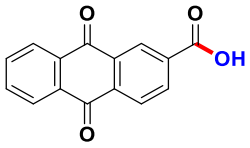
4-bromo-2-chlorobenzoic acid (2q)

petroleum ether / ethyl acetate = 8:1, white solid, 99% yield (115.8 mg). mp: 146-148 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.15 (s, br, 1H), 7.85 (s, 1H), 7.53-7.49 (m, 1H), 7.32-7.28 (m, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 165.3, 135.2, 133.3, 133.1, 132.6, 131.0, 119.8. **HRMS** (ESI-TOF): Anal Calcd. For. $C_7H_4{}^{79}Br^{35}ClO_2$-$H^+$: 234.8990, found: 234.8987. **IR** (neat, cm^{-1}): υ 3091, 2852, 1694, 1579, 1461, 1364, 1076, 803, 742, 659.



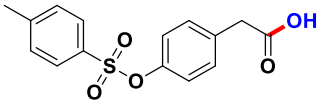
isophthalic acid (2r)

white solid, 99% yield (82.2 mg). mp: 340-342 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.23 (s, br, 2H), 8.49-8.48 (m, 1H), 8.17-8.10 (m, 2H), 7.64-7.56 (m, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.6, 133.3, 131.2, 130.0, 129.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_6O_4$+H^+: 167.0339, found: 167.0338. **IR** (neat, cm^{-1}): υ 3019, 2917, 1762, 1643, 1582, 1349, 1190, 934, 850, 736.



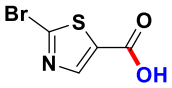
9,10-dioxo-9,10-dihydroanthracene-2-carboxylic acid (2s)

white solid, 81% yield (102.1 mg). mp: 292-294 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.64 (s, br, 1H), 8.62 (d, *J* = 1.7 Hz, 1H), 8.36 (dd, *J* = 8.0, 1.8 Hz, 1H), 8.27-8.25 (m, 1H), 8.22-8.17 (m, 2H), 7.96-7.91 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 182.0, 181.9, 165.9, 135.6, 135.6, 134.7, 134.7, 134.4, 133.2, 133.0, 133.0, 132.7, 127.3, 127.3, 126.8. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_8O_4$+H^+: 253.0495, found: 253.0496. **IR** (neat, cm^{-1}): υ 3019, 2902, 1687, 1648 1596, 1486, 1307, 934, 757.



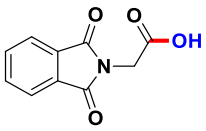
2-(4-(tosyloxy)phenyl)acetic acid (2t)

petroleum ether / ethyl acetate = 8:1, white solid, 85% yield (130.1 mg). mp: 115-116 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 7.76-7.74 (m, 2H), 7.45-7.43 (m, 2H), 7.28-7.25 (m, 2H), 6.98-6.96 (m, 2H), 3.57 (s, 2H), 2.39 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 172.4, 147.9, 145.8, 134.5, 131.6, 131.1, 130.3, 128.2, 121.8, 39.8, 21.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{14}O_5S$+Na^+: 329.0454, found: 329.0451. **IR** (neat, cm^{-1}): υ 2930, 1697, 1532, 1371, 1306, 1159, 854, 720, 685.



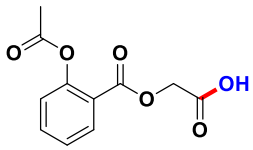
2-bromothiazole-5-carboxylic acid (2u)

petroleum ether / ethyl acetate = 8:1, white solid, 57% yield (58.8 mg). mp: 179-181 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.17 (s, 1H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 161.0, 147.7, 141.5, 134.5. **HRMS** (ESI-TOF): Anal Calcd. For. $C_4H_2{}^{79}BrNO_2S$+H^+: 207.9072, found: 207.9072. **IR** (neat, cm^{-1}): υ 3089, 2912, 2780, 1701, 1516, 1362, 1256, 142, 1082, 1014, 891, 774.



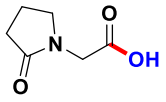
2-(1,3-dioxoisoindolin-2-yl)acetic acid (2v)

petroleum ether / ethyl acetate = 8:1, white solid, 90% yield (92.3 mg). mp: 194-196 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.23 (s, br, 1H), 7.91-7.84 (m, 4H), 4.32 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 169.0, 167.3, 134.8, 131.5, 123.4, 39.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{10}H_7NO_4$+Na^+: 228.0267, found: 28.0266. **IR** (neat, cm^{-1}): υ 3061, 2984, 1768, 1689, 1653, 1596, 1434, 1381, 1073, 946, 726.



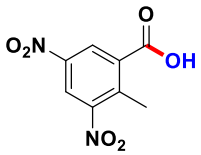
2-((2-acetoxybenzoyl)oxy)acetic acid (2w)

petroleum ether / ethyl acetate = 15:1, white solid, 89% yield (105.9 mg). mp: 156-158 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.45 (s, br, 1H), 8.10-8.07 (m, 1H), 7.62-7.57 (s, 1H), 7.36-7.31 (s, 1H), 7.1-7.12 (m, 1H), 4.84 (s, 2H), 2.34 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 172.8, 169.9, 163.6, 150.9, 134.5, 132.0, 126.1, 123.9, 122.1, 60.6, 21.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{11}H_{10}O_6$+H^+: 239.0550, found: 239.0553. **IR** (neat, cm^{-1}): υ 3092, 2967, 1760, 1657, 1639, 1561, 1491, 1366, 1153, 973, 824, 730.



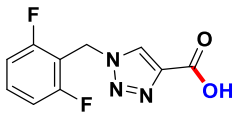
2-(2-oxopyrrolidin-1-yl)acetic acid (2x)

white solid, 85% yield (60.8 mg). mp: 140-142 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 3.90 (s, 2H), 3.37 (t, *J* = 7.0 Hz, 2H), 2.22 (t, *J* = 8.1 Hz, 2H), 1.97-1.90 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 174.5, 170.3, 47.1, 43.6, 29.9, 17.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_6H_9NO_3$+Na^+: 166.0475, found: 166.0472. **IR** (neat, cm^{-1}): υ 3099, 2933, 2806, 1623, 1357, 1182, 1003, 976, 851.



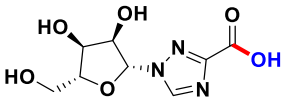
2-methyl-3,5-dinitrobenzoic acid (2y)

petroleum ether / ethyl acetate = 8:1, yellow solid, 99% yield (111.9 mg). mp: 146-147 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.81-8.79 (m, 2H), 8.66-8.64 (m, H), 2.60 (s, 3H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.0, 151.4, 145.3, 138.5, 135.6, 127.1, 121.2, 16.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_6N_2O_6$-H^+: 225.0153, found: 225.0147. **IR** (neat, cm^{-1}): υ 3089, 2900, 2526, 1699, 1506, 1348 1165, 1087, 919, 807, 742.



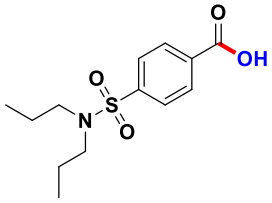
1-(2,6-difluorobenzyl)-1*H*-1,2,3-triazole-4-carboxylic acid (2z)

petroleum ether / ethyl acetate = 8:1, white solid, 99% yield (118.3 mg). mp: 129-130 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.04 (s, br, 1H), 8.72 (s, 1H), 7.55-7.47 (m, 1H), 7.21-7.14 (m, 2H), 5.72 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 162.1 (d, *J* = 7.3 Hz), 161.5, 159.6 (d, *J* = 7.3 Hz), 139.6, 131.9 (t, *J* = 10.5 Hz), 129.3, 112.0 (dd, *J* = 5.6, 13.1 Hz), 111.0 (t, *J* = 19.1 Hz), 41.3 (t, *J* = 3.9 Hz). **^{19}F NMR** (376 MHz, DMSO-d_6) δ -114.54 (s, 2F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{10}H_7F_2N_3O_2$+H^+: 240.0579, found: 240.0581. **IR** (neat, cm^{-1}): υ 3096, 1726, 1655, 1396, 1255, 1159, 1029, 890, 766.



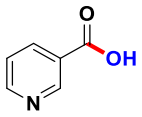
1-((2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydrofuran-2-yl)-1*H*-1,2,4-triazole-3-carboxylic acid (2aa)

white solid, 61% yield (74.7 mg). mp: 95-97 ℃. $[\alpha]_D^{20}$ = -10.6 (*c* 0.14, acetone). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.38 (s, br, 1H), 8.90 (s, 1H), 5.83 (d, *J* = 3.9 Hz, 1H), 5.61-5.15 (m, br, 3H), 4.33 (t, *J* = 4.4 Hz, 1H), 4.12 (t, *J* = 5.0 Hz, 1H), 3.95 (q, *J* = 4.6 Hz, 1H), 3.63 (dd, *J* = 12.0, 4.0 Hz, 1H), 3.50 (dd, *J* = 12.0, 4.9 Hz, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 160.9, 155.2, 145.5, 92.0, 85.6, 74.6, 70.0, 61.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_8H_{11}N_3O_6$+H^+: 246.0721, found: 246.0726. **IR** (neat, cm^{-1}): υ 1648, 1542, 1507, 1473, 1239, 1047, 1023, 990, 825, 763.



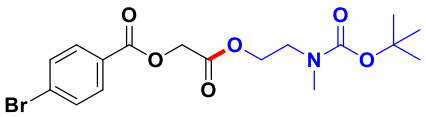
4-(*N*,*N*-dipropylsulfamoyl)benzoic acid (2ab)

petroleum ether / ethyl acetate = 8:1, white solid, 97% yield (138.2 mg). mp: 192-194 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 13.43 (s, br, 1H), 8.13-8.11 (m, 2H), 7.91-7.89 (m, 2H), 3.03 (t, *J* = 7.4 Hz, 4H), 1.44 (h, *J* = 7.4 Hz, 4H), 0.78 (t, *J* = 7.4 Hz, 6H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.2, 143.2, 134.3, 130.2, 127.0, 49.6, 21.6, 10.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{19}NO_4S$+H^+: 286.1108, found: 286.1108. **IR** (neat, cm^{-1}): υ 3092, 2973, 1688, 1578, 1345, 1295, 1081, 999, 861, 686.



nicotinic acid (2ac)

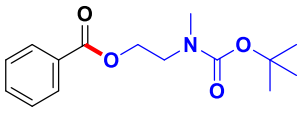
white solid, 88% yield (54.1 mg). mp: 234-236℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.79 (s, br, 1H) 9.07-9.06 (m, 1H), 8.77-8.75 (m, 1H), 8.26-8.23 (m, 1H), 7.52-7.49 (m, 1H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 166.4, 153.3, 150.3, 137.1, 126.7, 123.8. **HRMS** (ESI-TOF): Anal Calcd. For. $C_6H_5NO_2$+H^+: 124.0393, found: 124.0395. **IR** (neat, cm^{-1}): υ 3086, 2957, 2841, 1659, 1533, 1437, 1196, 1005, 932, 831, 712.



2-(2-((*tert*-butoxycarbonyl)(methyl)amino)ethoxy)-2-oxoethyl 4-bromobenzoate (5a)

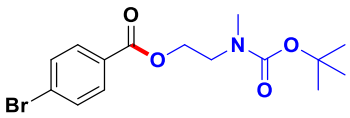
petroleum ether / ethyl acetate = 10:1, colorless oil, 86% yield (71.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.91 (m, 2H), 7.59-7.57 (m, 2H), 4.83 (s, 2H), 4.33-4.25 (m, 2H), 3.51-3.43 (m, 2H), 2.86 (s, 3H), 1.43 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.0, 155.6, 155.2,

131.7, 131.3, 128.6, 127.9, 79.7, 79.6, 63.4, 61.0, 47.6, 47.3, 35.2, 28.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{22}{}^{79}BrNO_6+Na^+$: 438.0523, found: 438.0525. **IR** (neat, cm^{-1}): υ 2913, 1711, 1698, 1611, 1450, 1345, 1265, 1007, 998, 976, 836, 755, 712.



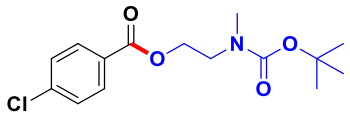
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl benzoate (5b)

petroleum ether / ethyl acetate = 10:1, colorless oil, 84% yield (46.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.90 (m, 2H), 7.43-7.39 (m, 1H), 7.31-7.27 (m, 2H), 4.31-4.28 (m, 2H), 3.50-3.46 (m, 2H), 2.84-2.82 (m, 3H), 1.31-1.28 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.0, 155.6, 155.2, 131.7, 131.3, 128.6, 127.9, 79.7, 79.6, 63.4, 61.0, 47.6, 47.3, 35.2, 28.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{21}NO_4+H^+$: 280.1543, found: 280.1544. **IR** (neat, cm^{-1}): υ 2948 ,1720, 1691, 1480, 1422, 1390, 1269, 1111, 1069, 970, 805, 709.



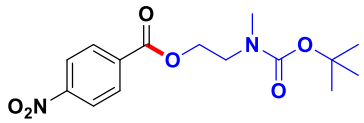
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-bromobenzoate (5c)

petroleum ether / ethyl acetate = 15:1, colorless oil, 90% yield (64.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.81-7.79 (m, 2H), 7.48-7.46 (m, 2H), 4.34-4.31 (m, 2H), 3.53-3.49 (m, 2H), 2.87-2.84 (m, 3H), 1.33-1.32 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 165.3, 155.4, 155.2, 131.4, 130.9, 128.7, 128.6, 127.9, 127.8, 79.5, 79.4, 62.7, 47.7, 47.1, 34.9, 34.8, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{20}{}^{79}BrNO_4+H^+$: 358.0648, found: 358.0646. **IR** (neat, cm^{-1}): υ 2982, 1726, 1692, 1456, 1392, 1343, 1270, 1154, 1106, 992, 869, 739, 693.



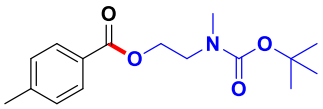
2-((tert-butoxycarbonyl)(methyl)amino)ethyl 4-chlorobenzoate (5d)

petroleum ether / ethyl acetate = 10:1, colorless oil, 93% yield (58.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.39-7.37 (m, 2H), 4.41-4.38 (m, 2H), 3.61-3.55 (m, 2H), 2.94-2.91 (m, 3H), 1.41-1.39 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 165.2, 155.4, 155.2, 139.3, 139.1, 130.8, 129.4, 128.4, 79.5, 79.3, 62.6, 62.5, 47.7, 47.1, 34.9, 34.8, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{20}{}^{35}ClNO_4+H^+$: 314.1154, found: 314.1152. **IR** (neat, cm^{-1}): υ 2945, 1721, 1692, 1594, 1487, 1365, 1365, 1153, 1153, 1091, 1014, 873, 759.



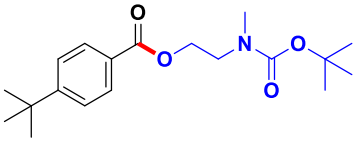
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-nitrobenzoate (5e)

petroleum ether / ethyl acetate = 10:1, colorless oil, 99% yield (64.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.22-8.15 (m, 4H), 4.44 (t, *J* = 5.5 Hz, 2H), 3.61-3.58 (m, 2H), 2.93-2.90 (m, 3H), 1.36 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 164.4, 155.7, 155.3, 150.4, 135.3, 130.7, 123.4, 79.8, 79.6, 63.4, 63.2, 47.7, 47.1, 34.9, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{20}N_2O_6$+Na^+: 347.1214, found: 347.1212. **IR** (neat, cm^{-1}): υ 2951, 1714, 1686, 1530, 1478, 1391, 1366, 1341, 1269, 1229, 1072, 870, 787, 712.



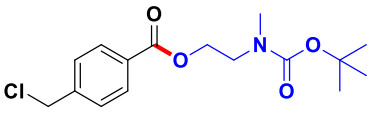
2-((tert-butoxycarbonyl)(methyl)amino)ethyl 4-methylbenzoate (5f)

petroleum ether / ethyl acetate = 15:1, yellow oil, 48% yield (28.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.84-7.82 (m, 2H), 7.13-7.11 (m, 2H), 4.33-4.30 (m, 2H), 3.50-3.48 (m, 2H), 2.87-2.85 (m, 3H), 2.29 (s, 3H), 1.35-1.32 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.0, 155.4, 155.2, 143.4, 129.3, 128.7, 127.0, 79.3, 79.2, 62.3, 47.7, 47.2, 35.0, 34.7, 28.0, 21.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{23}NO_4$+Na^+: 316.1519, found: 316.1516. **IR** (neat, cm^{-1}): υ 2850, 1686, 1612, 1750, 1392, 1366, 1273, 1156, 1109, 908, 873, 728, 682.



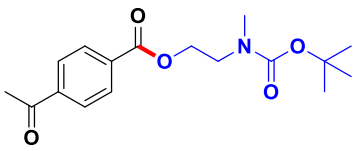
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-(*tert*-butyl)benzoate (5g)

petroleum ether / ethyl acetate = 10:1, colorless oil, 71% yield (47.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.44-7.42 (m, 2H), 4.41-4.38 (m, 2H), 3.60-3.55 (m, 2H), 2.96-2.93 (m, 3H), 1.40 (s, 9H), 1.31 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.3, 156.7, 156.6, 155.6, 155.4, 129.5, 127.1, 125.3, 79.7, 79.5, 62.7, 48.0, 47.5, 35.4, 35.1, 35.0, 31.0, 28.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{29}NO_4$+Na^+: 358.1989, found: 358.1992. **IR** (neat, cm^{-1}): υ 2960, 1697, 1670, 1564, 1549, 1360, 1353, 1247, 1003, 923, 874, 718.



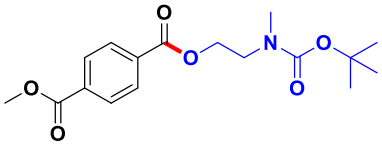
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-(chloromethyl)benzoate (5h)

petroleum ether / ethyl acetate = 15:1, colorless oil, 84% yield (54.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.04-8.02 (m, 2H), 7.46-7.44 (m, 2H), 4.61 (s, 2H), 4.44-4.41 (m, 2H), 3.62-3.57 (m, 2H), 2.96-2.94 (m, 3H), 1.43-1.41 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 165.4, 155.4, 155.1, 142.2, 142.0, 129.7, 128.1, 79.3, 79.2, 62.5, 47.6, 47.1, 44.9, 34.9, 34.7, 28.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{22}{}^{35}ClNO_4$+$Na^+$: 350.1130, found: 350.1133. **IR** (neat, cm^{-1}): υ 2963, 1718, 1685, 1455, 1392, 1366, 1273, 1157, 1105, 907, 874, 727.



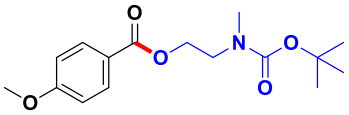
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-acetylbenzoate (5i)

petroleum ether / ethyl acetate = 10:1, colorless oil, 92% yield (59.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97-7.95 (m, 2H), 7.85-7.83 (m, 2H), 4.31 (t, *J* = 5.5 Hz, 2H), 3.49-3.48 (m, 2H), 2.82-2.81 (m, 3H), 2.48 (s, 3H), 1.30-1.26 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 196.9, 165.1, 155.3, 155.1, 140.0, 133.4, 129.5, 127.8, 79.3, 79.2, 62.7, 47.5, 47.0, 34.8, 34.6, 28.0, 26.5. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{23}NO_5$+Na^+: 344.1468, found: 344.1466. **IR** (neat, cm^{-1}): υ 2959, 1719, 1687, 1457, 1394, 1367, 1263, 1160, 1111, 1017, 904, 723.



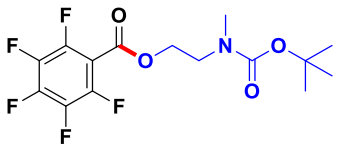
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl methyl terephthalate (5j)

petroleum ether / ethyl acetate = 15:1, colorless oil, 92% yield (62.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.02 (s, 4H), 4.40-4.37 (m, 2H), 3.57 (s, 3H), 3.56-3.53 (m, 2H), 2.90-2.88 (m, 3H), 1.35-1.33 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.0, 165.4, 155.6, 155.3, 133.9, 133.5, 129.4, 129.4, 79.6, 79.5, 62.9, 52.2, 47.7, 47.2, 35.0, 34.8, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{23}NO_6$+Na^+: 360.1418, found: 360.1414. **IR** (neat, cm^{-1}): υ 2959, 1721, 1693, 1391, 1366 1268, 1248, 1154, 1103, 1019, 874, 822, 729.



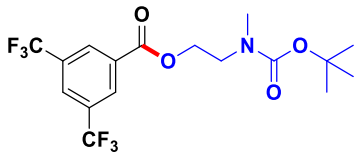
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-methoxybenzoate (5k)

petroleum ether / ethyl acetate = 10:1, colorless oil, 63% yield (38.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 6.86-6.84 (m, 2H), 4.36-4.31 (m, 2H), 3.79 (s, 3H), 3.57-3.52 (m, 2H), 2.92-2.89 (m, 3H), 1.39-1.36 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 165.9, 163.3, 155.6, 155.4, 131.5, 122.2, 113.4, 79.5, 79.4, 62.4, 55.2, 47.9, 47.3, 35.1, 34.9, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{23}NO_5$+H^+: 310.1649, found: 310.1646. **IR** (neat, cm^{-1}): υ 2959, 1691, 1605, 1511, 1456, 1252, 1153, 1101, 1074, 1027, 874, 769.



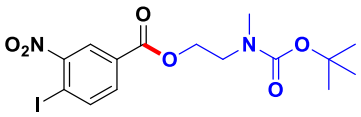
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 2,3,4,5,6-pentafluorobenzoate (5l)

petroleum ether / ethyl acetate = 10:1, yellow oil, 56% yield (41.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 4.49-4.41 (m, 2H), 3.61-3.54 (m, 2H), 2.91 (s, 3H), 1.43 (s, 9H). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -137.92 to -138.01 (m, 2F), -148.21 to -148.77 (m, 1F), -160.41 to -160.75 (m, 2F) **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{16}F_5NO_4$+Na^+: 392.0892, found: 392.0888. **IR** (neat, cm^{-1}): υ 2925, 1741, 1694, 1497, 1366, 993, 934, 916, 769, 713.



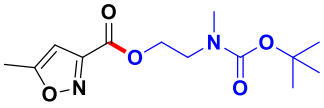
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 3,5-bis(trifluoromethyl)benzoate (5m)

petroleum ether / ethyl acetate = 10:1, colorless oil, 78% yield (64.7 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.47 (s, 2H), 8.04 (s, 1H), 4.49 (t, *J* = 5.5 Hz, 2H), 3.65-3.63 (m, 2H), 2.95-2.94 (m, 3H), 1.39 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 163.7, 155.8, 155.4, 132.3-131.9 (m), 129.7, 126.4-126.2 (m), 122.8 (q, *J* = 272.8 Hz), 79.9, 79.7, 63.6, 63.5, 47.8, 47.2, 34.9, 28.1. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -63.13 (s, 6F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{19}F_6NO_4$+Na^+: 438.1110, found: 438.1109. **IR** (neat, cm^{-1}): υ 2926, 1733, 1691, 1394, 1367, 1278, 1248, 1134, 911, 731, 700, 681.



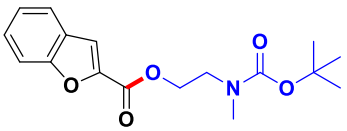
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-iodo-3-nitrobenzoate (5n)

petroleum ether / ethyl acetate = 5:1, bright yellow oil, 81% yield (72.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.31-8.30 (m, 1H), 8.05 (s, 1H), 7.80-7.77 (m, 1H), 4.38 (t, *J* = 5.4 Hz, 2H), 3.54 (m, 2H), 2.86 (m, 3H), 1.32 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 163.6, 155.5, 155.1, 152.9, 142.1 (d, *J* = 10.9 Hz), 133.2 (d, *J* = 7.3 Hz), 131.2 (d, *J* = 14.9 Hz), 125.7, 92.1 (d, *J* = 24.0 Hz), 79.6, 79.5, 63.4, 63.2, 47.5, 47.0, 34.8, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{19}IN_2O_6$+Na^+: 473.0180, found: 473.0186. **IR** (neat, cm^{-1}): υ 2960, 1727, 1686, 1598, 1479, 1364, 1279, 1238, 1150, 1117, 1020, 765, 742.



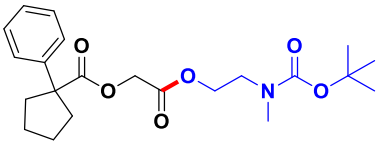
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 5-methylisoxazole-3-carboxylate (5o)

petroleum ether / ethyl acetate = 15:1, colorless oil, 72% yield (40.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 6.37 (s, 1H), 4.44-4.42 (m, 2H), 3.56-3.55 (m, 2H), 2.91 (s, 3H), 2.45 (s, 3H), 1.39 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 171.4, 159.9, 156.1, 155.6, 155.3, 102.2, 79.8, 63.9, 63.6, 47.6, 47.3, 35.6, 35.1, 28.3, 28.2, 12.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{20}N_2O_5$+H^+: 285.1445, found: 285.1445. **IR** (neat, cm^{-1}): υ 3010, 1735, 1689, 1600, 1456, 1392, 1269, 1151, 1104, 1003, 773.



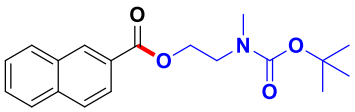
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl benzofuran-2-carboxylate (5p)

petroleum ether / ethyl acetate = 10:1, white solid, 90% yield (57.4 mg). mp: 57-59 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.61-7.59 (m, 1H), 7.52-7.50 (m, 1H), 7.46 (s, 1H), 7.39-7.35 (m, 1H), 7.25-7.21 (m, 1H), 4.43-4.41 (m, 2H), 3.56-3.54 (m, 2H), 2.93-2.90 (m, 3H), 1.37 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 159.1, 155.5, 155.2, 145.0, 127.5, 126.6, 123.6, 122.6, 114.0, 112.1, 79.6, 79.5, 63.0, 47.7, 47.3, 35.2, 34.9, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{21}NO_5$+Na^+: 342.1312, found: 342.1311. **IR** (neat, cm^{-1}): υ 2866, 1725, 1688, 1449, 1421, 1294, 1222, 1144, 1073, 886, 871, 749.



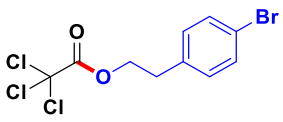
2-(2-((*tert*-butoxycarbonyl)(methyl)amino)ethoxy)-2-oxoethyl 1-phenylcyclopentane-1-carboxylate (5q)

petroleum ether / ethyl acetate = 10:1, colorless oil, 83% yield (67.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.40-7.37 (m, 2H), 7.33-7.29 (m, 2H), 7.25-7.21 (m, 1H), 4.52 (s, 2H), 4.25-4.16 (m, 2H), 3.45-3.36 (m, 2H), 2.85 (s, 3H), 2.75-2.69 (m, 2H), 1.99-1.92 (m, 2H), 1.81-1.75 (m, 4H), 1.44 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 175.3, 167.6, 155.6, 155.3, 142.7, 128.2, 126.9, 126.8, 79.8, 79.6, 63.0, 60.8, 58.8, 47.5, 47.3, 36.2, 35.3, 35.1, 28.3, 23.5. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{22}H_{31}NO_6$+Na^+: 428.2044, found: 428.2040. **IR** (neat, cm^{-1}): υ 2962, 1710, 1679, 1642, 1560, 1346, 1150, 950, 876, 813, 760, 721.



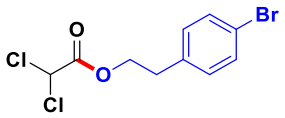
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 2-naphthoate (5r)

petroleum ether / ethyl acetate = 20:1, colorless oil, 77% yield (50.7 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.59 (s, 1H), 8.05-8.03 (m, 1H), 7.93-7.91 (m, 1H), 7.85-7.83 (m, 2H), 7.57-7.49 (m, 2H), 4.48-4.46 (m, 2H), 3.68-3.60 (m, 2H), 2.99-2.96 (m, 3H), 1.43-1.41 (m, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.4, 155.6, 155.4, 135.4, 132.3, 131.0, 129.2, 128.2, 128.0, 127.6, 127.1, 126.5, 125.1, 79.7, 79.5, 62.8, 47.9, 47.4, 35.2, 35.0, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{23}NO_4$+H^+: 330.1700, found: 330.1696. **IR** (neat, cm^{-1}): υ 2953, 1744, 1735, 1649, 1593, 1460, 1410, 1306, 1190, 957, 845, 717.



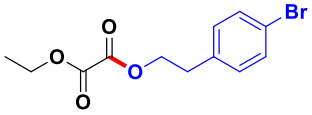
4-bromophenethyl 2,2,2-trichloroacetate (5s)

petroleum ether / ethyl acetate = 20:1, colorless oil, 57% yield (39.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.45-7.43 (m, 2H), 7.14-7.12 (m, 2H), 4.53 (t, *J* = 6.7 Hz, 2H), 3.03 (t, *J* = 6.7 Hz, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 164.3, 135.7, 131.7, 130.6, 120.8, 67.3, 64.1, 34.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{10}H_8{}^{79}Br^{35}Cl_3O_2$+$Na^+$: 366.8665, found: 366.8666. **IR** (neat, cm^{-1}): υ 2922, 1754, 1487, 1458, 1299, 1236, 1090, 1096, 1050, 988, 867, 846, 675.



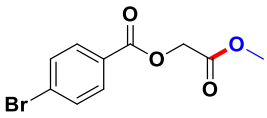
4-bromophenethyl 2,2-dichloroacetate (5t)

petroleum ether / ethyl acetate = 20:1, colorless oil, 82% yield (50.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.45-7.43 (m, 2H), 7.12-7.10 (m, 2H), 5.91 (s, 1H), 4.45 (t, *J* = 6.8 Hz, 2H), 2.98 (t, *J* = 6.8 Hz, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 161.9, 135.5, 131.7, 130.7, 120.9, 69.2, 34.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{10}H_9{}^{79}Br^{35}Cl_2O_2$+$Na^+$: 332.9055, found: 332.9052. **IR** (neat, cm^{-1}): υ 2960, 1747, 1685, 1490, 1385, 1282, 1163, 1073, 1012, 904, 816, 724.



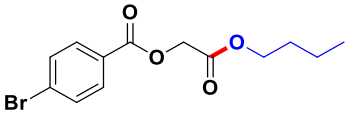
4-bromophenethyl ethyl oxalate (5u)

petroleum ether / ethyl acetate = 20:1, colorless oil, 79% yield (47.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.44-7.42 (m, 2H), 7.13-7.11 (m, 2H), 4.45 (t, *J* = 7.0 Hz, 2H), 4.35 (q, *J* = 7.1 Hz, 2H), 3.00 (t, *J* = 7.0 Hz, 2H), 1.37 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 157.7, 157.5, 135.8, 131.7, 130.7, 120.8, 66.8, 63.2, 34.1, 13.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{12}H_{13}{}^{79}BrO_4$+Na^+: 322.9889, found: 322.9886. **IR** (neat, cm^{-1}): υ 2974, 1761, 1738, 1659, 1537, 1390, 1249, 1069, 964, 860, 795, 721.



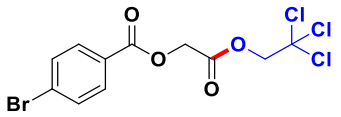
2-methoxy-2-oxoethyl 4-bromobenzoate (5v)

petroleum ether / ethyl acetate = 20:1, white solid, 97% yield (52.8 mg). mp: 50-52 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.93 (m, 2H), 7.61-7.58 (m, 2H), 4.85 (s, 2H), 3.79 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 168.0, 165.2, 131.8, 131.4, 128.6, 128.0, 61.1, 52.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{10}H_9{}^{79}BrO_4$+Na^+: 294.9576, Found: 294.9577. **IR** (neat, cm^{-1}): υ 2917, 1752, 1719, 1588, 1381, 1275, 1221, 1116, 1103, 1021, 849, 752.



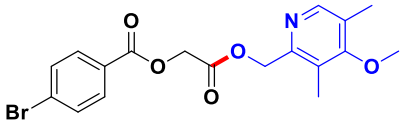
2-butoxy-2-oxoethyl 4-bromobenzoate (5w)

petroleum ether / ethyl acetate = 30:1, colorless oil, 96% yield (60.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.93 (m, 2H), 7.61-7.58 (m, 2H), 4.83 (s, 2H), 4.19 (t, *J* = 6.7 Hz, 2H), 1.67-1.60 (m, 2H), 1.42-1.32 (m, 2H), 0.92 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 165.2, 131.8, 131.4, 128.6, 128.1, 65.3, 61.3, 30.5, 18.9, 13.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{15}{}^{79}BrO_4$+Na^+: 337.0046, Found: 337.0046. **IR** (neat, cm^{-1}): υ 2943, 1759, 1727, 1590, 1398, 1271, 1202, 1115, 1203, 1068, 1010, 755.



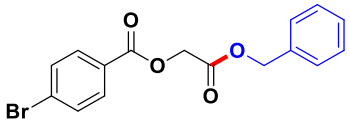
2-oxo-2-(2,2,2-trichloroethoxy)ethyl 4-bromobenzoate (5x)

petroleum ether / ethyl acetate = 30:1, white solid, 84% yield (65.2 mg). mp: 71-73 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97-7.94 (m, 2H), 7.63-7.59 (m, 2H), 5.00 (s, 2H), 4.83 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.2, 165.1, 131.9, 131.4, 128.9, 127.7, 94.2, 74.3, 60.8. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{11}H_8{}^{79}Br^{35}Cl_3O_4$+$Na^+$: 410.8564, Found: 410.8563. **IR** (neat, cm^{-1}): υ 2866, 1763, 1732, 1588, 1483, 1199, 1120, 938, 807, 756, 702, 682.



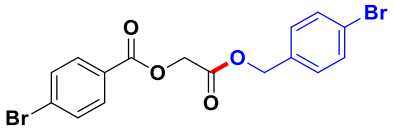
2-((4-methoxy-3,5-dimethylpyridin-2-yl)methoxy)-2-oxoethyl 4-bromobenzoate (5y)

petroleum ether / ethyl acetate = 5:1, white solid, 88% yield (71.7 mg). mp: 104-106 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.21 (s, 1H), 7.92-7.90 (m, 2H), 7.56-7.54 (m, 2H), 5.29 (s, 2H), 4.89 (s, 2H), 3.72 (s, 3H), 2.23 (s, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.1, 164.1, 152.2, 149.4, 131.7, 131.3, 128.5, 128.0, 126.5, 125.7, 66.5, 61.1, 59.8, 13.2, 10.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{18}H_{18}{}^{79}BrNO_5$+$H^+$: 408.0441, found: 408.0040. **IR** (neat, cm^{-1}): υ 2981, 1759, 1721, 1587, 1455, 1396, 1275, 1119, 1006, 971, 844, 756.



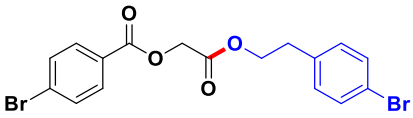
2-(benzyloxy)-2-oxoethyl 4-bromobenzoate (5z)

petroleum ether / ethyl acetate = 40:1, white solid, 83% yield (57.8 mg). mp: 95-97 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.61-7.59 (m, 2H), 7.38-7.34 (m, 5H), 5.23 (s, 2H), 4.89 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.2, 135.0, 131.8, 131.4, 128.6, 128.6, 128.5, 128.3, 128.0, 67.1, 61.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{13}{}^{79}BrO_4$+Na^+: 370.9889, Found: 370.9890. **IR** (neat, cm^{-1}): υ 2933, 1756, 1736, 1586, 1425, 1209, 1107, 1020, 958, 818, 756.



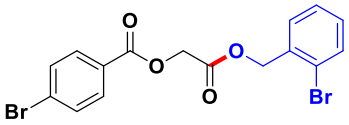
2-((2-bromobenzyl)oxy)-2-oxoethyl 4-bromobenzoate (5aa)

petroleum ether / ethyl acetate = 20:1, white solid, 80% yield (68.2 mg). mp: 120-122 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.93 (m, 2H), 7.61-7.59 (m, 2H), 7.50-7.47 (m, 2H), 7.23-7.21 (m, 2H), 5.17 (s, 2H), 4.88 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.2, 134.0, 131.8, 131.8, 131.4, 130.0, 128.7, 127.9, 122.6, 66.3, 61.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{12}{}^{79}Br_2O_4$+$Na^+$: 448.8995, Found: 448.8994. **IR** (neat, cm^{-1}): υ 2945, 1754, 1726, 1588, 1273, 1174, 1029, 941, 806, 756, 698, 680.



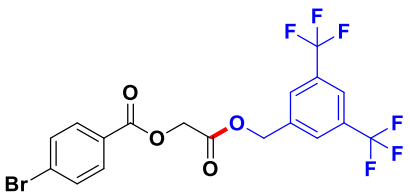
2-(4-bromophenethoxy)-2-oxoethyl 4-bromobenzoate (5ab)

petroleum ether / ethyl acetate = 20:1, white solid, 84% yield (73.9 mg). mp: 70-72 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.92-7.90 (m, 2H), 7.62-7.59 (m, 2H), 7.37-7.35 (m, 2H), 7.06-7.04 (m, 2H), 4.81 (s, 2H), 4.38 (t, *J* = 6.8 Hz, 2H), 2.91 (t, *J* = 6.8 Hz, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.1, 136.2, 131.8, 131.5, 131.3, 130.5, 128.7, 127.9, 120.5, 65.3, 61.2, 34.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{14}{}^{79}Br_2O_4$+Na+: 462.9151, found: 462.9149. **IR** (neat, cm^{-1}): υ 2982, 1752, 1721, 1589, 1486, 1397, 1286, 1196, 1068, 969, 804.



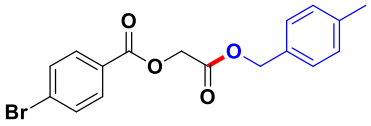
2-((2-bromobenzyl)oxy)-2-oxoethyl 4-bromobenzoate (5ac)

petroleum ether / ethyl acetate = 20:1, white solid, 78% yield (66.5 mg). mp: 75-77 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.9-7.94 (m, 2H), 7.61-7.56 (m, 3H), 7.41-7.39 (m, 1H), 7.33-7.29 (m, 1H), 7.22-7.18 (m, 1H), 5.32 (s, 2H), 4.92 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.2, 165.2, 134.3, 132.9, 131.8, 131.4, 130.1, 130.0, 128.7, 127.9, 127.5, 123.5, 66.6, 61.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{12}{}^{79}Br_2O_4$+$Na^+$: 448.8995, Found: 448.8995. **IR** (neat, cm^{-1}): υ 2954, 1755, 1729, 1588, 1506, 1392, 1272, 971, 735, 698.



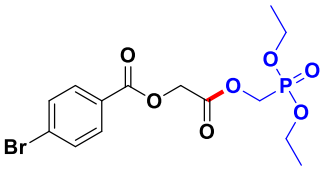
2-((3,5-bis(trifluoromethyl)benzyl)oxy)-2-oxoethyl 4-bromobenzoate (5ad)

petroleum ether / ethyl acetate = 20:1, white solid, 78% yield (75.5 mg). mp: 65-67 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.93 (m, 2H), 7.85 (s, 1H), 7.81-7.80 (m, 2H), 7.62-7.59 (m, 2H), 5.33 (s, 2H), 4.93 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.2, 165.2, 137.7, 132.0 (q, *J* = 33.4 Hz), 131.8, 131.3, 128.8, 127.9 (q, *J* = 3.9 Hz), 127.7, 123.0 (q, *J* = 272.8 Hz), 122.3 (p, *J* = 3.7 Hz), 65.2, 61.1. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -62.95 (s, 6F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{18}H_{11}{}^{79}BrF_6O_4$+$Na^+$: 506.9637, Found: 506.9639. **IR** (neat, cm^{-1}): υ 2954, 1751, 1721, 1590, 1425, 1170, 843, 761, 725, 706.



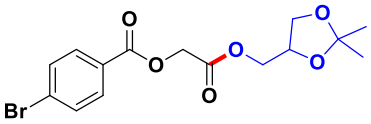
2-((4-methylbenzyl)oxy)-2-oxoethyl 4-bromobenzoat (5ae)

petroleum ether / ethyl acetate = 20:1, white solid, 70% yield (50.7 mg). mp: 198-200 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.61-7.59 (m, 2H), 7.27-7.25 (m, 2H), 7.19-7.17 (m, 2H), 5.19 (s, 2H), 4.88 (s, 2H), 2.36 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.5, 165.2, 138.4, 132.0, 131.8, 131.4, 129.3, 128.6, 128.5, 128.1, 67.1, 61.2, 21.2 **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{15}{}^{79}BrO_4$+Na^+: 385.0046, Found: 385.0043. **IR** (neat, cm^{-1}): υ 2934, 1728, 1695, 1656, 1566, 1470, 1298, 1143, 1116, 962, 812, 748, 689.



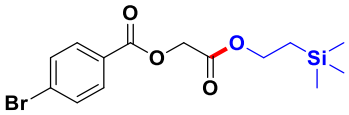
2-((diethoxyphosphoryl)methoxy)-2-oxoethyl 4-bromobenzoate (5af)

petroleum ether / ethyl acetate = 1:1, colorless oil, 92% yield (75.1 mg). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 7.94-7.90 (m, 2H), 7.80-7.77 (m, 2H), 5.03 (s, 2H), 4.53 (d, *J* = 8.6 Hz, 2H), 4.09-4.01 (m, 4H), 1.21 (t, *J* = 7.1 Hz, 6H).**^{13}C NMR** (100 MHz, DMSO-d_6) δ 167.1 (d, *J* = 8.1 Hz), 164.6, 132.2, 131.3, 128.1, 127.8, 62.4 (d, *J* = 6.1 Hz), 61.2, 56.9 (d, *J* = 164.6 Hz), 16.2 (d, *J* = 5.6 Hz). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{18}{}^{79}BrO_7P$+$Na^+$: 430.9866, found: 430.9862. **IR** (neat, cm^{-1}): υ 2988, 1726, 1609, 1512, 1503, 1389, 1246, 1190, 982, 915, 805, 718.



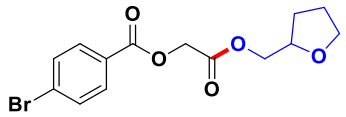
2-((2,2-dimethyl-1,3-dioxolan-4-yl)methoxy)-2-oxoethyl 4-bromobenzoate (5ag)

petroleum ether / ethyl acetate = 8:1, white solid, 92% yield (68.4 mg). mp: 48-50 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.91 (m, 2H), 7.60-7.57 (m, 2H), 4.87 (s, 2H), 4.33-4.17 (m, 3H), 4.05 (dd, *J* = 8.5, 6.4 Hz, 1H), 3.73 (dd, *J* = 8.6, 5.9 Hz, 1H), 1.40 (s, 3H), 1.34 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.1, 131.8, 131.4, 128.7, 127.9, 109.9, 73.2, 66.1, 65.4, 61.1, 26.6, 25.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{17}{}^{79}BrO_6$+Na^+: 395.0101, found: 395.0102. **IR** (neat, cm^{-1}): υ 2933, 1760, 1721, 1589, 1274, 1203, 1118, 1106, 848, 839, 758.



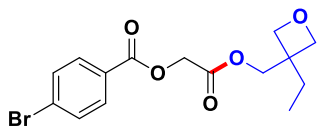
2-oxo-2-(2-(trimethylsilyl)ethoxy)ethyl 4-bromobenzoate (5ah)

petroleum ether / ethyl acetate = 40:1, yellow oil, 80% yield (57.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.60-7.58 (m, 2H), 4.81 (s, 2H), 4.31-4.26 (m, 2H), 1.04-1.00 (m, 2H), 0.03 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.7, 165.2, 131.8, 131.4, 128.6, 128.1, 63.9, 61.4, 17.3, -1.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{19}{}^{79}BrO_4Si$+Na+: 381.0128, found: 381.0127. **IR** (neat, cm^{-1}): υ 2933, 1726, 1602, 1548, 1507, 1460, 1349, 1270, 1169, 1004, 913, 765, 712.



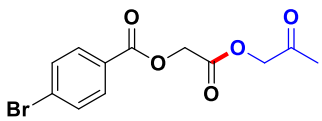
2-oxo-2-((tetrahydrofuran-2-yl)methoxy)ethyl 4-bromobenzoate (5ai)

petroleum ether / ethyl acetate = 7:1, colorless oil, 89% yield (60.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.94 (m, 2H), 7.61-7.59 (m, 2H), 4.89 (s, 2H), 4.30-4.26 (m, 1H), 4.17-4.11 (m, 2H), 3.89-3.76 (m, 2H), 2.04-1.96 (m, 1H), 1.93-1.86 (m, 2H), 1.63-1.58 (m, 1H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 165.2, 131.8, 131.4, 128.7, 128.1, 76.2, 68.5, 67.2, 61.2, 27.9, 25.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{15}{}^{79}BrO_5$+Na^{+}: 364.9995, found: 364.9995. **IR** (neat, cm^{-1}): υ 2963, 1760, 1727, 1590, 1421, 1398, 1272, 1204, 1117, 1104, 1009, 756.



2-((3-ethyloxetan-3-yl)methoxy)-2-oxoethyl 4-bromobenzoate (5aj)

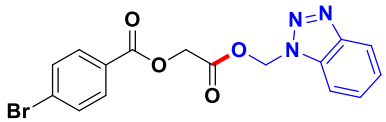
petroleum ether / ethyl acetate = 7:1, colorless oil, 64% yield (45.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 7.61-7.59 (m, 2H), 4.87 (s, 2H), 4.40 (dd, J = 6.2, 26.2 Hz, 4H), 4.34 (s, 2H), 1.72 (q, J = 7.4 Hz, 2H), 0.88 (t, J = 7.4 Hz, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 165.2, 131.8, 131.3, 128.7, 127.9, 73.6, 67.2, 61.2, 42.6, 26.7, 8.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{17}{}^{79}BrO_5$+Na^{+}: 379.0152, found: 379.0150. **IR** (neat, cm^{-1}): υ 2980, 1766, 1712, 1655, 1587, 1432, 1208, 1159, 1056, 912, 705, 689.



2-oxo-2-(2-oxopropoxy)ethyl 4-bromobenzoate (5ak)

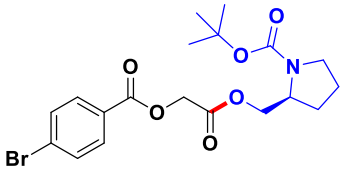
petroleum ether / ethyl acetate = 10:1, white solid, 57% yield (35.8 mg). mp: 74-76 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.91 (m, 2H), 7.59-7.56 (m, 2H), 4.95 (s, 2H), 4.74 (s, 2H), 2.14 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 199.5, 165.9, 164.1, 130.8, 130.3, 127.7, 126.8, 67.6, 59.8, 24.9.

HRMS (ESI-TOF): Anal Calcd. For. $C_{12}H_{11}{}^{79}BrO_5+Na^+$: 336.9682, found: 336.9680. **IR** (neat, cm^{-1}): υ 2929, 1750, 1719, 1588, 1484, 1391, 1222, 1011, 976, 814, 755, 701.



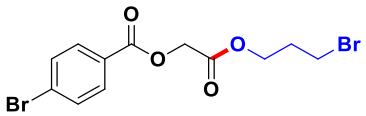
2-((1*H*-benzo[*d*][1,2,3]triazol-1-yl)methoxy)-2-oxoethyl 4-bromobenzoate (5al)

petroleum ether / ethyl acetate = 10:1, white solid, 80% yield (62.2 mg). mp: 125-127 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.06-8.01 (m, 1H), 7.86-7.82 (m, 2H), 7.73-7.69 (m, 1H), 7.56-7.48 (m, 3H), 7.41-7.35 (m, 1H), 6.65 (s, 2H), 4.83 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.9, 164.9, 145.8, 132.5, 131.7, 131.2, 128.7, 128.4, 127.4, 124.5, 119.9, 109.8, 68.2, 60.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{12}{}^{79}BrN_3O_4+Na^+$: 411.9903, found: 411.9902. **IR** (neat, cm^{-1}): υ 2966, 1767, 1721, 1591, 1497, 1399, 1270, 1029, 987, 842, 765, 704, 681.



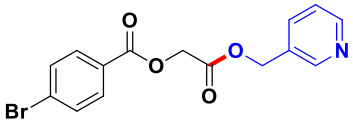
***tert*-butyl (*S*)-2-((2-((4-bromobenzoyl)oxy)acetoxy)methyl)pyrrolidine-1-carboxylate (5am)**

petroleum ether / ethyl acetate = 10:1, colorless oil, 60% yield (52.9 mg). $[\alpha]_D^{20}$ = -23.2 (*c* 0.10, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.87-7.84 (m, 2H), 7.52-7.50 (m, 2H), 4.77 (s, 2H), 4.23-3.88 (m, 3H), 3.29-3.20 (m, 2H), 1.86-1.67 (m, 4H), 1.38 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.1, 164.9, 154.3, 154.0, 131.6, 131.1, 128.4, 127.8, 79.5, 79.1, 65.3, 61.0, 55.1, 46.4, 46.2, 28.4, 28.2, 27.5, 23.5, 22.7. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{24}{}^{79}BrNO_6+Na^+$: 464.0679, found: 464.0771. **IR** (neat, cm^{-1}): υ 2806, 1766, 1690, 1612, 1498, 1432, 1311, 1207, 1056, 932, 847, 745, 702.



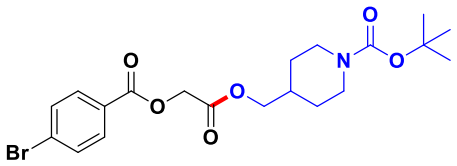
2-(3-bromopropoxy)-2-oxoethyl 4-bromobenzoate (5an)

petroleum ether / ethyl acetate = 40:1, white solid, 88% yield (66.5 mg). mp: 43-45 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.91 (m, 2H), 7.60-7.57 (m, 2H), 4.83 (s, 2H), 4.33 (t, *J* = 6.0 Hz, 2H), 3.42 (t, *J* = 6.5 Hz, 2H), 2.22-2.15 (m, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.1, 131.8, 131.3, 128.6, 127.9, 63.0, 61.1, 31.3, 28.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{12}H_{12}{}^{79}Br_2O_4+Na^+$: 400.8995, found: 400.8999. **IR** (neat, cm^{-1}): υ 2970, 1749, 1727, 1589, 1445, 1419, 1211, 1173, 1117, 817, 757, 730.



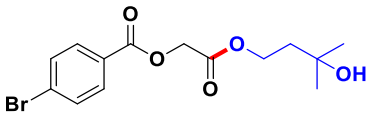
2-oxo-2-(pyridin-2-ylmethoxy)ethyl 4-bromobenzoate (5ao)

petroleum ether / ethyl acetate = 3:1, yellow solid, 78% yield (54.4 mg). mp: 98-100 ℃. **^{1}H NMR** (400 MHz, Chloroform-d_3) δ 8.62-8.58 (m, 2H), 7.95-7.91 (m, 2H), 7.71-7.68 (m, 1H), 7.61-7.58 (m, 2H), 7.32-7.29 (m, 1H), 5.24 (s, 2H), 4.88 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 165.2, 149.8, 149.5, 136.2, 131.8, 131.4, 130.8, 128.8, 127.8, 123.6, 64.5, 61.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{12}{}^{79}BrNO_4$+$Na^+$: 371.9842, found: 371.9841. **IR** (neat, cm^{-1}): υ 2907, 1766, 1712, 1486, 1390, 1112, 1069, 961, 867, 803, 738, 685.



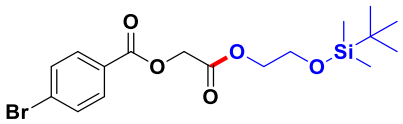
***tert*-butyl 4-((2-((4-bromobenzoyl)oxy)acetoxy)methyl)piperidine-1-carboxylate (5ap)**

petroleum ether / ethyl acetate = 8:1, colorless oil, 49% yield (44.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.88-7.86 (m, 2H), 7.54-7.51 (m, 2H), 4.77 (s, 2H), 4.04-3.98 (m, 4H), 2.64-2.58 (m, 2H), 1.80-1.70 (m, 1H), 1.61-1.57 (m, 2H), 1.38 (s, 9H), 1.15-1.05 (m, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.0, 154.5, 131.6, 131.1, 128.4, 127.8, 79.2, 69.0, 61.0, 43.1, 35.3, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{20}H_{26}{}^{79}BrNO_6$+$Na^+$: 478.0836, found: 478.0839. **IR** (neat, cm^{-1}): υ 2936, 1761, 1729, 1686, 1590, 1420, 1398, 1171, 1116, 1009, 756.



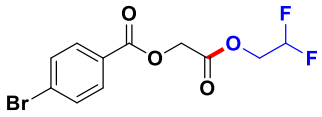
2-(3-hydroxy-3-methylbutoxy)-2-oxoethyl 4-bromobenzoate (5aq)

petroleum ether / ethyl acetate = 5:1, colorless oil, 75% yield (51.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.92 (m, 2H), 7.60-7.58 (m, 2H), 4.82 (s, 2H), 4.36 (t, *J* = 6.9 Hz, 2H), 1.86-1.82 (m, 3H), 1.23 (s, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 165.2, 131.8, 131.4, 128.7, 127.9, 69.8, 62.5, 61.3, 41.3, 29.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{17}{}^{79}BrO_5$+Na^+: 367.0152, found: 367.0151. **IR** (neat, cm^{-1}): υ 2966, 1744, 1724, 1650, 1618, 1509, 1437, 1379, 1311, 1070, 941, 726.



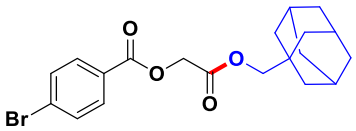
2-(2-(*tert*-butyldimethylsilyl)ethoxy)-2-oxoethyl 4-bromobenzoate (5ar)

petroleum ether / ethyl acetate = 30:1, white solid, 74% yield (61.6 mg). mp: 35-37 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.93 (m, 2H), 7.60-7.58 (m, 2H), 4.86 (m, 2H), 4.27-4.25 (m, 2H), 3.84-3.81 (m, 2H), 0.88 (s, 9H), 0.05 (s, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 165.1, 131.8, 131.4, 128.6, 128.0, 66.6, 61.1, 60.9, 25.8, 18.2, -5.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{17}H_{25}{}^{79}BrO_4Si$+$Na^+$: 423.0598, found: 423.0600. **IR** (neat, cm^{-1}): υ 2909, 1761, 1726, 1589, 1422, 1396, 1201, 1105, 829, 778, 755.



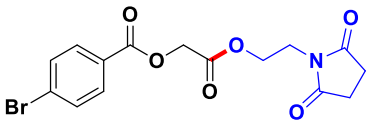
2-(2,2-difluoroethoxy)-2-oxoethyl 4-bromobenzoate (5as)

petroleum ether / ethyl acetate = 30:1, white solid, 85% yield (54.7 mg). mp: 70-72 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 7.61-7.59 (m, 2H), 5.96 (tt, *J* = 54.8, 4.0 Hz, 1H), 4.91 (s, 2H), 4.38 (td, *J* = 13.5, 4.0 Hz, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.9, 165.1, 131.9, 131.4, 128.9, 127.7, 112.2 (t, *J* = 241.6 Hz), 62.9 (t, *J* = 29.6 Hz), 60.8. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -125.74 (s, 2F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{11}H_9{}^{79}BrF_2O_4$+Na^+: 344.9544, found: 344.9545. **IR** (neat, cm^{-1}): υ 2894, 1761, 1728, 1588, 1423, 1398, 1272, 1200, 989, 925, 850, 756.



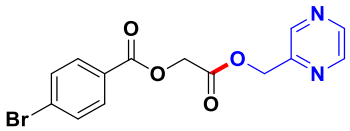
2-(adamantan-1-ylmethoxy)-2-oxoethyl 4-bromobenzoate (5at)

petroleum ether / ethyl acetate = 30:1, white solid, 75% yield (60.9 mg). mp: 52-54 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97-7.95 (m, 2H), 7.61-7.59 (m, 2H), 4.86 (s, 2H), 3.78 (s, 2H), 1.96-1.94 (m, 3H), 1.73-1.69 (m, 3H), 1.61-1.57 (m, 3H), 1.50-1.49 (m, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.7, 165.2, 131.8, 131.4, 128.6, 128.2, 74.8, 61.3, 39.0, 36.8, 33.2, 27.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{20}H_{23}{}^{79}BrO_4$+Na^+: 380.9944, found: 380.9942. **IR** (neat, cm^{-1}): υ 2987, 1756, 1733, 1596, 1444, 1384, 1362, 1304, 1139, 947, 860, 755, 691.



2-(2-(2,5-dioxopyrrolidin-1-yl)ethoxy)-2-oxoethyl 4-bromobenzoate (5au)

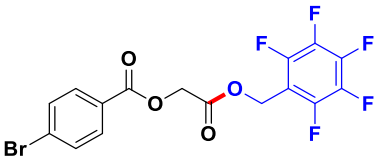
petroleum ether / ethyl acetate = 10:1, white solid, 57% yield (43.7 mg). mp: 76-78 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.91 (m, 2H), 7.60-7.58 (m, 2H), 4.78 (s, 2H), 4.39-4.36 (m, 2H), 3.81-3.79 (m, 2H), 2.69 (s, 4H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 177.0, 167.5, 165.1, 131.8, 131.4, 128.7, 127.9, 61.7, 61.1, 37.6, 28.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{14}{}^{79}BrNO_6$+$Na^+$: 405.9897, found: 405.9896. **IR** (neat, cm^{-1}): υ 2931, 1692, 1640, 1589, 1494, 1350, 1094, 942, 812, 750, 741, 699.



2-oxo-2-(pyrazin-2-ylmethoxy)ethyl 4-bromobenzoate (5av)

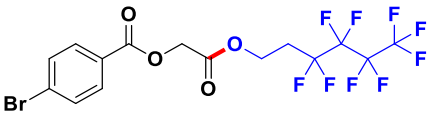
petroleum ether / ethyl acetate = 2:1, white solid, 64% yield (44.8 mg). mp: 98-100 ℃. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.73-8.72 (m, 1H), 8.65-8.62 (m, 2H), 7.93-7.91 (m, 2H), 7.78-7.75 (m, 2H), 5.36

(s, 2H), 5.08 (s, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 167.5, 164.7, 150.7, 144.4, 144.2, 143.6, 132.1, 131.3, 128.0, 127.9, 64.9, 61.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{11}{}^{79}BrN_2O_4$+$Na^+$: 327.9794, found: 327.9796. **IR** (neat, cm^{-1}): υ 2937, 1753, 1742, 1694, 1560, 1338, 1293, 1109, 918, 860, 702, 689.



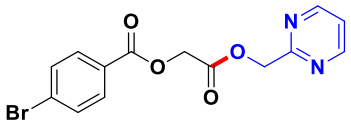
2-oxo-2-((perfluorophenyl)methoxy)ethyl 4-bromobenzoate (5aw)

petroleum ether / ethyl acetate = 20:1, white solid, 93% yield (81.5 mg). mp: 142-144 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.92 (m, 2H), 7.61-7.59 (m, 2H), 5.32 (s, 2H), 4.86 (s, 2H). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -141.43 to -141.53 (m, 2F), -151.76 (m, 1F), -161.17 to -161.32 (m, 2F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_8{}^{79}BrF_5O_4$+Na^+: 460.9418, found: 460.9416. **IR** (neat, cm^{-1}): υ 2966, 1761, 1726, 1656, 1484, 1399, 1134, 1177, 1029, 931, 857, 768.



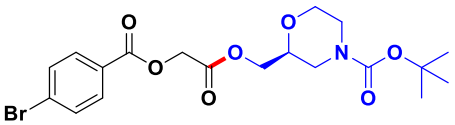
2-((3,3,4,4,5,5,6,6,6-nonafluorohexyl)oxy)-2-oxoethyl 4-bromobenzoate (5ax)

petroleum ether / ethyl acetate = 20:1, white solid, 82% yield (82.7 mg). mp: 58-60 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.93 (m, 2H), 7.61-7.59 (m, 2H), 4.85 (s, 2H), 4.50 (t, *J* = 6.5 Hz, 2H), 2.56-2.44 (m, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.2, 131.9, 131.4, 128.8, 127.9, 61.0, 57.2 (t, *J* = 4.5 Hz), 30.3 (t, *J* = 22.0 Hz). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -81.05 to -81.12 (m, 3F), -113.77 to -113.87 (m, 2F), -124.47 to -124.56 (m, 2F), -125.97 to -126.09 (m, 2F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{15}H_{10}{}^{79}BrF_9O_4$+$Na^+$: 526.9511, found: 526.9510. **IR** (neat, cm^{-1}): υ 2933, 1761, 1729, 1589, 1273, 1175, 1107, 1071, 877, 757, 709.



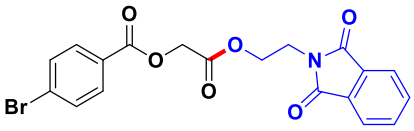
2-oxo-2-(pyrimidin-2-ylmethoxy)ethyl 4-bromobenzoate (5ay)

petroleum ether / ethyl acetate = 3:1, white solid, 56% yield (39.2 mg). mp: 76-78 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.74-8.72 (m, 2H), 7.97-7.95 (m, 2H), 7.60-7.58 (m, 2H), 7.25-7.22 (m, 1H), 5.44 (s, 2H), 5.04 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.5, 165.2, 164.4, 157.3, 131.8, 131.5, 128.6, 128.0, 120.0, 66.5, 61.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{11}{}^{79}BrN_2O_4$+$Na^+$: 372.9794, found: 372.9793. **IR** (neat, cm^{-1}): υ 2912, 1752, 1721, 1587, 1567, 1274, 1199, 1169, 1008, 818, 782, 757.



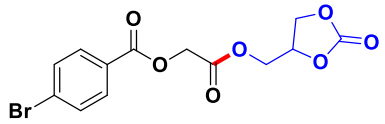
***tert*-butyl (*S*)-2-((2-((4-bromobenzoyl)oxy)acetoxy)methyl)morpholine-4-carboxylate (5az)**

petroleum ether / ethyl acetate = 8:1, colorless oil, 75% yield (68.6 mg). $[\alpha]_D^{20}$ = -6.21 (*c* 0.27, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.92 (m, 2H), 7.60-7.58 (m, 2H), 4.87 (s, 2H), 4.22-4.21 (m, 2H), 3.88-3.85 (m, 3H), 3.65-3.62 (m, 1H), 3.51 (td, *J* = 11.7, 2.8 Hz, 1H), 2.94-2.67 (m, 2H), 1.45 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.1, 154.5, 131.8, 131.4, 128.6, 127.9, 80.3, 72.9, 66.3, 65.2, 61.0, 28.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{24}{}^{79}BrNO_7$+$Na^+$: 480.0628, found: 480.0626. **IR** (neat, cm^{-1}): υ 2865, 1771, 1685, 1587, 1408, 1310, 1250, 1091, 1005, 973, 883, 776, 707.



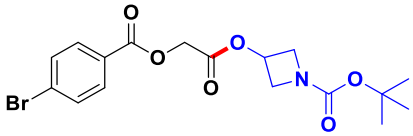
2-(2-(1,3-dioxoisoindolin-2-yl)ethoxy)-2-oxoethyl 4-bromobenzoate (5ba)

petroleum ether / ethyl acetate = 7:1, white solid, 50% yield (43.1 mg). mp: 98-100 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.88-7.85 (m, 2H), 7.82-7.79 (m, 2H), 7.73-7.71 (m, 2H), 7.56-7.53 (m, 2H), 4.81 (s, 2H), 4.44 (t, *J* = 5.3 Hz, 2H), 3.98 (t, *J* = 5.3 Hz, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.9, 167.4, 165.0, 134.1, 131.8, 131.7, 131.4, 128.6, 127.9, 123.4, 62.5, 61.1, 36.7. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{14}{}^{79}BrNO_6$+$H^+$: 432.0077, found: 432.0072. **IR** (neat, cm^{-1}): υ 2822, 1719, 1680, 1613, 1502, 1491, 1206, 1140, 1006, 915, 861, 730, 695.



2-oxo-2-((2-oxo-1,3-dioxolan-4-yl)methoxy)ethyl 4-bromobenzoate (5bb)

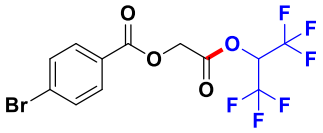
petroleum ether / ethyl acetate = 10:1, white solid, 95% yield (68.0 mg). mp: 92-94 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93-7.91 (m, 2H), 7.61-7.59 (m, 2H), 4.97-4.91 (m, 1H), 4.88 (s, 2H), 4.56-4.51 (t, *J* = 8.7 Hz, 1H), 4.47 (dd, *J* = 3.3, 12.6 Hz, 1H), 4.37 (dd, J = 4.1, 12.6 Hz, 1H), 4.28 (dd, J = 6.0, 8.8 Hz, 1H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.2, 165.2, 154.1, 131.9, 131.3, 128.9, 127.6, 73.4, 65.7, 63.7, 60.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{11}{}^{79}BrO_7$+Na^+: 380.9580, found: 380.9585. **IR** (neat, cm^{-1}): υ 2967, 1784, 1728, 1589, 1484, 1396, 1119, 1071, 978, 850, 757.



tert-butyl 3-(2-((4-bromobenzoyl)oxy)acetoxy)azetidine-1-carboxylate (5bc)

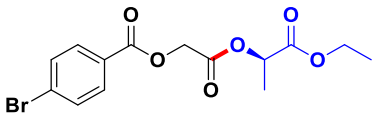
petroleum ether / ethyl acetate = 10:1, white solid, 75% yield (62.0 mg). mp: 76-78 °C. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.91 (m, 2H), 7.61-7.57 (m, 2H), 5.25-5.20 (m, 1H), 4.86 (s, 2H), 4.26-4.22 (m, 2H), 3.93-3.90 (m, 2H), 1.42 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.0, 165.1, 155.9, 131.8, 131.3, 128.8, 127.7, 80.0, 64.4, 61.0, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For.

$C_{17}H_{20}{}^{79}BrNO_6$+$Na^+$: 436.0366, found: 436.0363. **IR** (neat, cm^{-1}): υ 2980, 1765, 1731, 1623, 1531, 1488, 1246, 1109, 1032, 956, 877, 699.



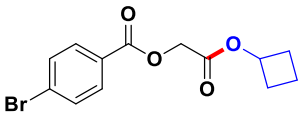
2-((1,1,1,3,3,3-hexafluoropropan-2-yl)oxy)-2-oxoethyl 4-bromobenzoate (5bd)

petroleum ether / ethyl acetate = 30:1, white solid, 68% yield (55.5 mg). mp: 55-57 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.93 (m, 2H), 7.63-7.61 (m, 2H), 5.86-5.77 (m, 1H), 5.03 (s, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 165.0, 164.9, 132.0, 131.4, 129.2, 127.3, 120.1 (q, *J* = 282.9 Hz), 67.04 (p, *J* = 35.1 Hz), 60.2. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -73.25 (s, 6F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{12}H_7{}^{79}BrF_6O_4$+Na^+: 430.9324, found: 430.9322. **IR** (neat, cm^{-1}): υ 2987, 1794, 1733, 1590, 1482, 1357, 1222, 1083, 939, 818, 716.



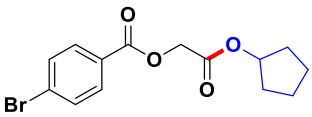
(*R*)-2-((1-ethoxy-1-oxopropan-2-yl)oxy)-2-oxoethyl 4-bromobenzoate (5be)

petroleum ether / ethyl acetate = 30:1, white solid, 77% yield (55.1 mg). mp: 56-58 ℃. $[\alpha]_D^{20}$ = -38.7 (*c* 0.24, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.93 (m, 2H), 7.59-7.57 (m, 2H), 5.18 (q, *J* = 7.1 Hz, 1H), 4.92 (q, *J* = 11.2 Hz, 2H), 4.20 (q, *J* = 7.1 Hz, 2H), 1.51 (d, *J* = 7.1 Hz, 3H), 1.26 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 170.0, 167.0, 165.1, 131.8, 131.4, 128.6, 127.9, 69.5, 61.6, 60.9, 16.8, 14.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{15}{}^{79}BrO_6$+Na^+: 380.9944, found: 380.9942. **IR** (neat, cm^{-1}): υ 2956, 1766, 1731, 1658, 1589, 1432, 1355, 1206, 1104, 987, 842, 703.



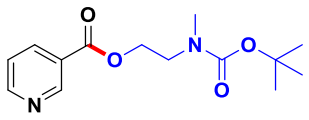
2-(cyclobutylmethoxy)-2-oxoethyl 4-bromobenzoate (5bf)

petroleum ether / ethyl acetate = 30:1, white solid, 76% yield (47.4 mg). mp: 55-57 ℃. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.92 (m, 2H), 7.60-7.57 (m, 2H), 5.12-5.04 (m, 1H), 4.80 (s, 2H), 2.40-2.32 (s, 2H), 2.15-2.05 (m, 2H), 1.85-1.76 (m, 1H), 1.68-1.58 (m, 1H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.9, 165.2, 131.8, 131.4, 128.6, 128.1, 69.8, 61.2, 30.2, 13.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{13}H_{13}{}^{79}BrO_4$+Na^+: 334.9889, found: 334.9888. **IR** (neat, cm^{-1}): υ 2956, 1725, 1704, 1522, 1483, 1308, 1246, 1084, 931, 861, 713.



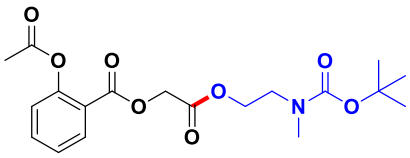
2-(cyclopentyloxy)-2-oxoethyl 4-bromobenzoate (5bg)

petroleum ether / ethyl acetate = 30:1, colorless oil, 57% yield (37.2 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96-7.93 (m, 2H), 7.61-7.58 (m, 2H), 5.29-5.25 (m, 1H), 4.79 (s, 2H), 1.88-1.84 (m, 2H), 1.74-1.67 (m, 4H), 1.60-1.56 (m, 2H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 165.3, 131.8, 131.3, 128.6, 128.2, 78.6, 61.5, 32.6, 23.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{15}{}^{79}BrO_4$+Na^+: 349.0046, found: 349.0044. **IR** (neat, cm^{-1}): υ 2954, 1756, 1702, 1688, 1500, 1407, 1388, 1331, 1107, 1001, 987, 876, 756.



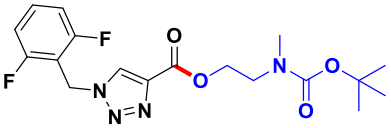
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl nicotinate (5bh)

petroleum ether / ethyl acetate = 1:1, colorless oil, 98% yield (54.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 9.09 (s, 1H), 8.64 (s, 1H), 8.16 (dt, *J* = 7.9, 2.0 Hz, 1H), 7.27 (s, 1H), 4.34 (t, *J* = 5.5 Hz, 2H), 3.51-3.48 (m, 2H), 2.84-2.82 (m, 3H), 1.28 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 164.7, 155.5, 155.1, 153.3, 153.2, 150.6, 136.8, 125.6, 123.0, 79.5, 79.4, 62.8, 47.6, 47.1, 34.9, 34.8, 28.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{20}N_2O_4$+H^+: 281.1496, found: 281.1497. **IR** (neat, cm^{-1}): υ 2866, 1725, 1685, 1479, 1456, 1280, 1158, 1130, 907, 725.



2-(2-((*tert*-butoxycarbonyl)(methyl)amino)ethoxy)-2-oxoethyl 2-acetoxybenzoate (5bi)

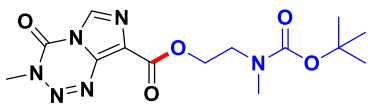
petroleum ether / ethyl acetate = 15:1, colorless oil, 76% yield (60.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.09-8.07 (m, 1H), 7.60-7.56 (m, 1H), 7.34-7.30 (m, 1H), 7.12-7.10 (m, 1H), 4.79 (s, 2H), 4.31-4.27 (m, 2H), 3.47-3.45 (m, 2H), 2.87 (s, 3H), 2.32 (s, 3H), 1.44 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 169.5, 167.3, 163.5, 155.7, 155.3, 150.9, 150.9, 134.3, 131.9, 126.0, 123.9, 122.2, 79.8, 79.8, 63.4, 63.4, 61.0, 47.6, 47.4, 35.3, 28.3, 20.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{19}H_{25}NO_8$+Na^+: 418.1472, found: 418.1477. **IR** (neat, cm^{-1}): υ 2963, 1766, 1732, 1688, 1485, 1391, 1298, 1189, 1155, 1092, 913, 833, 753.



2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl
1-(2,6-difluorobenzyl)-1*H*-1,2,3-triazole-4-carboxylate (5bj)

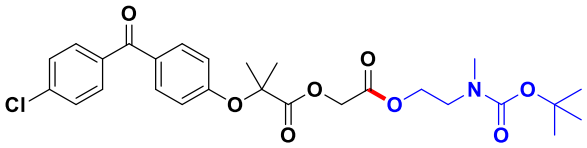
petroleum ether / ethyl acetate = 2:1, colorless oil, 85% yield (67.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.06 (s, 1H), 7.36-7.28 (m, 1H), 6.92-6.88 (m, 2H), 5.61 (s, 2H), 4.36-4.34 (m, 2H), 3.50-3.48 (m, 2H), 2.84 (s, 3H), 1.30 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 161.0 (dd, *J* = 6.7, 250.1 Hz), 160.1, 155.5, 155.2, 139.7, 131.68 (t, *J* = 9.3 Hz), 127.5, 111.7 (dd, *J* = 5.5, 189.1 Hz), 109.9 (t, *J* = 186.6 Hz), 79.5, 79.3, 62.8, 62.7, 47.6, 47.2, 41.5 (t, *J* = 4.2 Hz), 35.2, 34.9, 28.0. **^{19}F**

NMR (376 MHz, Chloroform-*d*) δ -114.18 (s, 2F). **HRMS** (ESI-TOF): Anal Calcd. For. $C_{18}H_{22}F_2N_4O_4$+H^+: 397.1682, found: 397.1679. **IR** (neat, cm^{-1}): υ 2966, 1760, 1609, 1548, 1394, 1342, 1317, 1190, 1046, 915, 878, 745, 730.



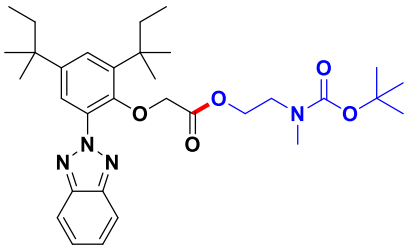
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl
3-methyl-4-oxo-3,4-dihydroimidazo[5,1-*d*][1,2,3,5]tetrazine-8-carboxylate (5bk)

petroleum ether / ethyl acetate = 1:1, yellow oil, 70% yield (49.3 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.42 (s, 1H), 4.52-4.50 (m, 2H), 4.01 (s, 3H), 3.60-3.57 (m, 2H), 2.95 (s, 3H), 1.38 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 160.1, 155.6, 155.2, 138.4, 135.7, 129.8, 128.5, 79.7, 79.5, 64.2, 63.9, 47.7, 47.5, 36.6, 35.7, 35.4, 28.2. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{14}H_{20}N_6O_5$+H^+: 353.1568, found: 353.1566. **IR** (neat, cm^{-1}): υ 2945, 1698, 1660, 1577, 1512, 1401, 1287, 1109, 1098, 946, 807, 745.



2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl
2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (5bl)

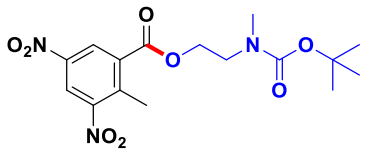
petroleum ether / ethyl acetate = 10:1, colorless oil, 83% yield (88.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.66-7.59 (m, 4H), 7.34-7.32 (m, 2H), 6.89-6.87 (m, 2H), 4.62 (s, 2H), 4.20-4.18 (m, 2H), 3.39-3.36 (m, 2H), 2.79 (s, 3H), 1.63 (s, 6H), 1.35 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 193.7, 172.7, 166.8, 159.0, 155.4, 155.0, 137.9, 136.1, 131.6, 130.8, 130.2, 128.2, 117.6, 79.5, 79.4, 78.8, 77.2, 63.0, 62.9, 60.8, 47.3, 47.0, 34.9, 28.0, 25.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{25}H_{30}{}^{35}ClNO_6$+$H^+$: 534.1889, found: 534.1891. **IR** (neat, cm^{-1}): υ 2951, 1749, 1691, 1654, 1598, 1366, 1302, 1127, 1089, 1014, 927, 838, 793, 731.



2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl
2-(2-(2*H*-benzo[*d*][1,2,3]triazol-2-yl)-4,6-di-*tert*-pentylphenoxy)acetate (5bm)

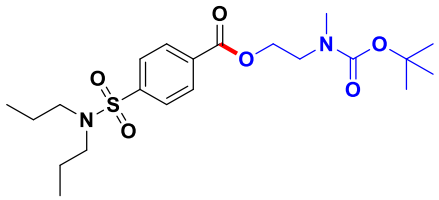
petroleum ether / ethyl acetate = 15:1, colorless oil, 57% yield (64.5 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97-7.95 (m, 2H), 7.45-7.40 (m, 4H), 4.00-3.96 (m, 2H), 3.74 (s, 2H), 3.25-3.22 (m, 2H), 2.69 (s, 3H), 1.87 (q, *J* = 7.4 Hz, 2H), 1.64 (q, *J* = 7.4 Hz, 2H), 1.43 (s, 6H), 1.39 (s, 9H), 1.28 (s, 6H), 0.70 (td, *J* = 7.5, 2.1 Hz, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.3, 155.3, 155.0, 148.8,

144.8, 144.8, 141.5, 133.4, 127.8, 127.0, 123.5, 118.2, 79.5, 79.4, 69.0, 62.8, 47.3, 47.1, 39.2, 37.7, 36.6, 35.3, 35.0, 34.1, 28.4, 28.1, 9.4, 8.9. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{32}H_{46}N_4O_5$+Na^+: 589.3360, found: 589.3363. **IR** (neat, cm^{-1}): υ 2951, 1756, 1687, 1471, 1435, 1388, 1297, 1117, 1106, 915, 860, 772, 713, 695.



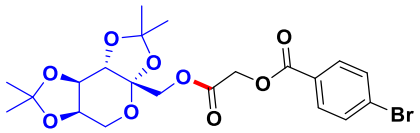
2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 2-methyl-3,5-dinitrobenzoate (5bn)

petroleum ether / ethyl acetate = 10:1, colorless oil, 71% yield (54.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.76 (s, 1H), 8.58 (s, 1H), 4.44 (t, *J* = 5.55 Hz, 2H), 3.58 (t, *J* = 5.5 Hz, 2H), 2.88 (s, 3H), 2.65 (s, 3H), 1.32 (s, 9H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 163.9, 155.6, 155.1, 151.6, 145.2, 140.0, 134.5, 134.1, 127.6, 127.5, 121.4, 121.2, 79.6, 63.9, 63.5, 47.5, 47.0, 34.8, 28.0, 16.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{16}H_{21}N_3O_8$+Na^+: 406.1221, found: 406.1222. **IR** (neat, cm^{-1}): υ 2942, 1732, 1714, 1687, 1532, 1422, 1391, 1309, 1199, 1148, 933, 786, 716.



2-((*tert*-butoxycarbonyl)(methyl)amino)ethyl 4-(*N*,*N*-dipropylsulfamoyl)benzoate (5bo)

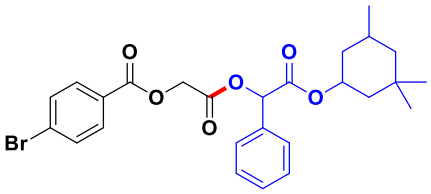
petroleum ether / ethyl acetate = 5:1, colorless oil, 90% yield (79.6 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.16-8.13 (m, 2H), 7.87-7.85 (m, 2H), 4.45 (t, *J* = 5.4 Hz, 2H), 3.64-3.61 (m, 2H), 3.10-3.07 (m, 4H), 2.96-2.94 (m, 3H), 1.58-1.48 (m, 4H), 1.41-1.39 (m, 9H), 0.86 (t, *J* = 7.4 Hz, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 164.9, 155.6, 155.3, 144.3, 133.1, 130.2, 126.8, 79.6, 63.1, 49.7, 47.7, 47.2, 34.9, 28.2, 21.7, 11.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{21}H_{34}N_2O_6S$+H^+: 443.2210, found: 443.2206. **IR** (neat, cm^{-1}): υ 2925, 1726, 1692, 1456, 1365, 1270, 1154, 1116, 1087, 992, 764, 739, 693.



2-oxo-2-(((3a*S*,5a*R*,8a*R*,8b*S*)-2,2,7,7-tetramethyltetrahydro-3a*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-3a-yl)methoxy)ethyl 4-bromobenzoate (5bp)

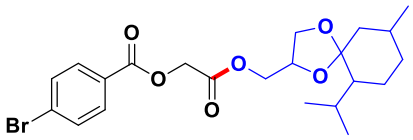
petroleum ether / ethyl acetate = 20:1, colorless oil, 80% yield (80.0 mg). $[\alpha]_D^{20}$ = -8.3 (*c* 0.25, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 7.61-7.57 (m, 2H), 4.90 (s, 2H), 4.60 (dd, *J* = 7.9, 2.6 Hz, 1H), 4.53 (d, *J* = 11.6 Hz, 1H), 4.28 (d, *J* = 2.6 Hz, 1H), 4.23 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.15 (d, *J* = 11.6 Hz, 1H), 3.89 (dd, *J* = 13.0, 1.9 Hz, 1H), 3.76 (dd, *J* = 13.0, 0.8 Hz, 1H), 1.53 (s, 3H), 1.48 (s, 3H), 1.37 (s, 3H), 1.33 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 166.9,

165.1, 131.8, 131.4, 128.7, 127.9, 109.1, 108.8, 101.2, 70.6, 70.5, 69.9, 65.9, 61.3, 61.0, 26.4, 25.8, 25.1, 24.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{21}H_{25}{}^{79}BrO_9+Na^+$: 523.0574, found: 523.0573. **IR** (neat, cm^{-1}): υ 2957, 1770, 1726, 1590, 1316, 1250, 1210, 1110, 859, 756, 706.



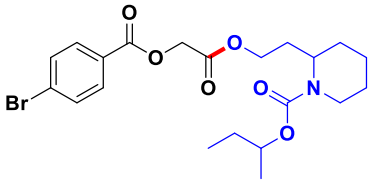
2-oxo-2-(2-oxo-1-phenyl-2-((3,3,5-trimethylcyclohexyl)oxy)ethoxy)ethyl 4-bromobenzoate (5bq)

cyclohexane / ethyl acetate = 50:1, colorless oil, 92% yield (95.0 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.98-7.94 (m, 2H), 7.62-7.58 (m, 2H), 7.45-7.37 (m, 5H), 5.97 (s, 1H), 5.00 (s, 2H), 4.97-4.89 (m, 1H), 2.01-1.48 (m, 4H), 1.32-1.09 (m, 2H), 1.01-0.67 (m, 13H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.6, 167.0, 165.0, 133.3, 131.8, 131.5, 129.3, 128.8, 128.7, 128.0, 127.5, 75.3, 73.2, 61.0, 47.4, 43.6, 43.2, 40.0, 39.7, 32.9, 32.9, 32.3, 32.2, 27.0, 27.0, 25.4, 25.4, 22.2, 22.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{26}H_{29}{}^{79}BrO_6+Na^+$: 539.1040, found: 539.1036. **IR** (neat, cm^{-1}): υ 3091, 2855, 1713, 1702, 1619, 1504, 1465, 1362, 1189, 978, 813, 802, 712.



2-((6-isopropyl-9-methyl-1,4-dioxaspiro[4.5]decan-2-yl)methoxy)-2-oxoethyl 4-bromobenzoate (5br)

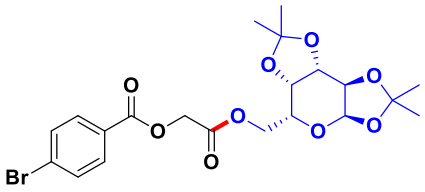
petroleum ether / ethyl acetate = 60:1, colorless oil, 87% yield (81.4 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 7.61-7.58 (m, 2H), 4.88-4.84 (m, 2H), 4.44-4.20 (m, 3H), 4.14-4.01 (m, 1H), 3.77-3.61 (m, 1H), 2.20-2.00 (m, 1H), 1.84-1.31 (m, 7H), 0.92-0.78 (m, 10H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.4, 167.4, 165.1, 131.8, 131.4, 128.7, 128.7, 127.9, 127.9, 113.6, 113.3, 113.1, 113.0, 74.0, 73.8, 72.4, 72.1, 66.3, 66.1, 66.0, 65.8, 65.6, 65.4, 65.3, 65.0, 61.1, 61.0, 49.6, 49.2, 48.6, 48.2, 46.4, 45.6, 43.8, 43.6, 34.4, 34.4, 34.3, 30.7, 30.3, 30.3, 30.1, 29.6, 26.1, 24.8, 24.4, 24.1, 23.5, 23.4, 23.4, 23.2, 23.1, 22.1, 22.0, 18.7, 18.4, 18.1, 18.1. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{22}H_{29}{}^{79}BrO_6+Na^+$: 491.1040, found: 491.1037. **IR** (neat, cm^{-1}): υ 2901, 1761, 1750, 1691, 1612, 1549, 1432, 1208, 1165, 1073, 971, 819, 741, 690.



***sec*-butyl 2-(2-(2-((4-bromobenzoyl)oxy)acetoxy)ethyl)piperidine-1-carboxylate (5bs)**

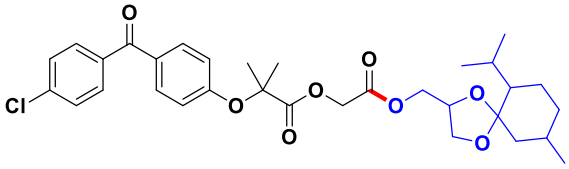
petroleum ether / ethyl acetate = 60:1, colorless oil, 63% yield (59.1 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95-7.92 (m, 2H), 7.61-7.58 (m, 2H), 4.88-4.86 (m, 2H), 4.43-4.20 (m, 3H),

4.14-4.01 (m, 1H), 3.77-3.61 (m, 1H), 2.20-2.00 (m, 1H), 1.83-1.56 (m, 4H), 1.40-1.31 (m, 2H), 0.90-0.83 (m, 10H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.5, 165.1, 155.4, 155.4, 131.8, 131.4, 128.6, 128.1, 73.0, 72.9, 63.1, 61.2, 47.6, 38.9, 29.6, 29.0, 29.0, 28.6, 28.6, 25.4, 25.4, 19.7, 19.7, 19.0, 9.7, 9.6. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{21}H_{28}{}^{79}BrNO_6+Na^+$: 492.0992, found: 492.0090. **IR** (neat, cm^{-1}): υ 2918, 1730, 1605, 1594, 1560, 1482, 1305, 1160, 924, 826, 714.



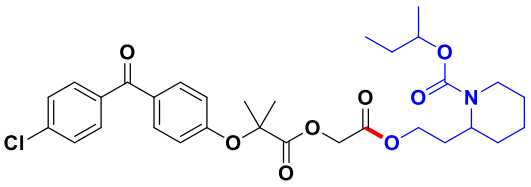
2-oxo-2-(((3a*R*,5*R*,5a*S*,8a*S*,8b*R*)-2,2,7,7-tetramethyltetrahydro-5*H*-bis([1,3]dioxolo)[4,5-b:4',5'-d] pyran-5-yl)methoxy)ethyl 4-bromobenzoate (5bt)

petroleum ether / ethyl acetate = 20:1, colorless oil, 60% yield (60.0 mg). $[\alpha]_D^{20}$ = -17.6 (*c* 0.28, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.94-7.92 (m, 2H), 7.59-7.57 (m, 2H), 5.52 (d, *J* = 5.0 Hz, 1H), 4.91-4.83 (m, 2H), 4.60 (dd, *J* = 7.9, 2.5 Hz, 1H), 4.39-4.29 (m, 3H), 4.20 (dd, *J* = 7.9, 2.0 Hz, 1H), 4.03-4.00 (m, 1H), 1.45 (s, 3H), 1.43 (s, 3H), 1.31 (s, 6H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 167.5, 165.1, 131.8, 131.4, 128.6, 128.1, 109.7, 108.8, 96.2, 70.6, 65.8, 64.4, 61.2, 31.5, 30.1, 25.9, 25.9, 24.9, 24.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{21}H_{25}{}^{79}BrO_9+Na^+$: 523.0574, found: 523.0573. **IR** (neat, cm^{-1}): υ 3014, 1689, 1654, 1574, 1532, 1469, 1356, 1124, 965, 732.



2-((6-isopropyl-9-methyl-1,4-dioxaspiro[4.5]decan-2-yl)methoxy)-2-oxoethyl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (5bu)

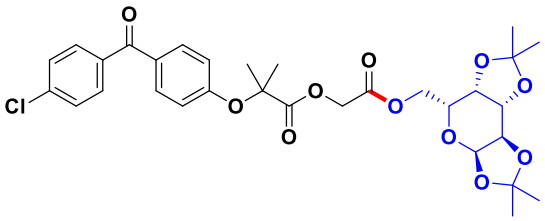
petroleum ether / ethyl acetate = 10:1, colorless oil, 98% yield (114.9 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.73-7.66 (m, 4H), 7.42-7.39 (m, 2H), 6.96-6.93 (m, 2H), 4.72-4.70 (m, 2H), 4.40-3.98 (m, 4H), 3.74-3.57 (m, 1H), 2.18-1.47 (m, 12H), 1.38-1.23 (m, 2H), 0.88-0.79 (m, 10H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 194.0, 172.9, 167.0, 166.9, 166.9, 166.9, 159.1, 138.2, 136.2, 131.8, 131.0, 130.5, 128.4, 117.7, 117.7, 113.6, 113.2, 113.1, 113.0, 79.0, 74.0, 73.7, 72.4, 72.0, 66.2, 66.0, 65.8, 65.7, 65.6, 65.5, 65.4, 65.1, 61.0, 61.0, 49.5, 49.2, 48.5, 48.1, 46.4, 45.5, 43.7, 43.5, 34.3, 34.3, 34.2, 30.6, 30.2, 30.1, 30.0, 29.5, 26.3, 25.4, 25.3, 25.3, 24.7, 24.4, 24.3, 24.0, 23.5, 23.3, 23.3, 23.3, 23.2, 23.0, 22.1, 21.9, 21.9, 18.6, 18.3, 18.0, 18.0. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{31}H_{35}{}^{35}ClO_{11}+Na^+$: 609.2226, found: 609.2224. **IR** (neat, cm^{-1}): υ 2931, 1765, 1723, 1605, 1503, 1499, 1260, 1109, 945, 808, 732, 688.



***sec*-butyl**

2-(2-(2-((2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoyl)oxy)acetoxy)ethyl)piperidine-1-carboxylate (5bv)

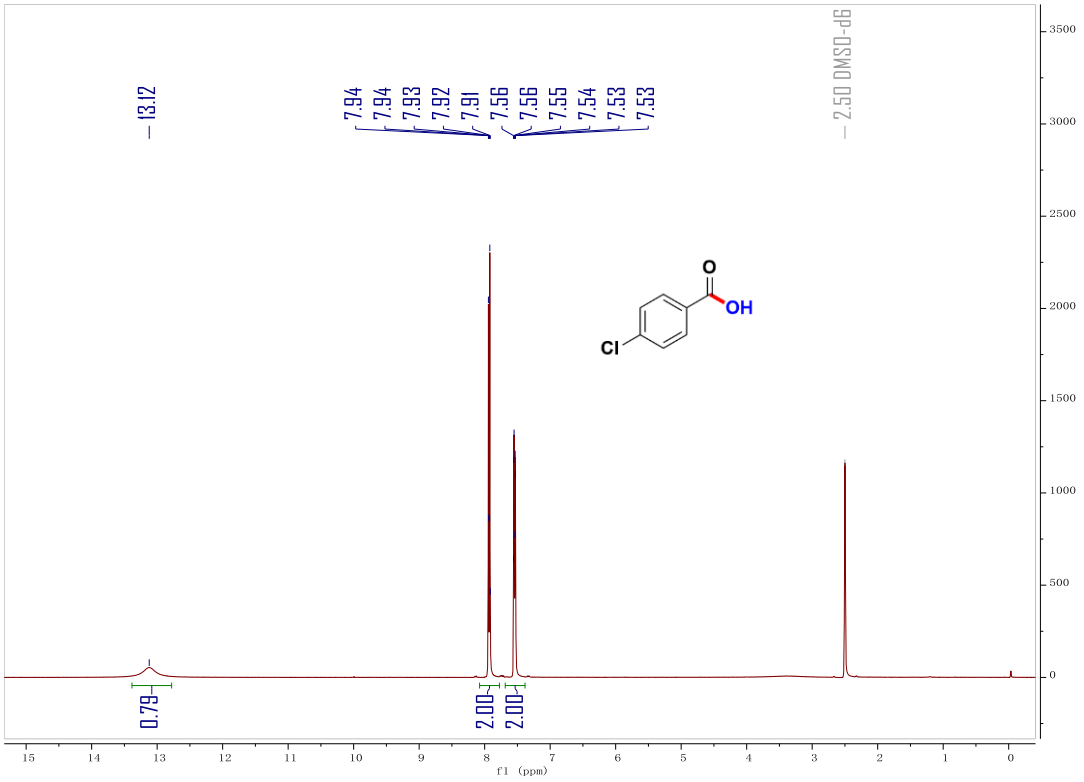
petroleum ether / ethyl acetate = 20:1, colorless oil, 79% yield (92.8 mg). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.69-7.63 (m, 4H), 7.38-7.36 (m, 2H), 6.92-6.90 (m, 2H), 4.69-4.64 (m, 3H), 4.35 (s, br, 1H), 4.17-4.05 (m, 2H), 3.96 (s, br, 1H), 2.75-2.68 (m, 1H), 2.10-2.01 (m, 1H), 1.66 (s, 6H), 1.61-1.31 (m, 9H), 1.12 (d, *J* = 6.3 Hz, 3H), 0.84-0.80 (m, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 193.9, 172.8, 167.0, 166.9, 159.1, 155.2, 155.2, 138.1, 136.2, 131.7, 130.9, 130.3, 128.3, 117.7, 78.9, 72.8, 72.7, 63.0, 61.0, 47.4, 38.6, 28.8, 28.8, 28.4, 28.4, 25.3, 25.2, 19.5, 19.5, 18.8, 9.5, 9.4. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{31}H_{38}{}^{35}ClNO_8$+$Na^+$: 610.2178, found: 610.2177. **IR** (neat, cm^{-1}): υ 2976, 1742, 1686, 1654, 1489, 1302, 1208, 1056, 932, 846, 745, 708, 679.



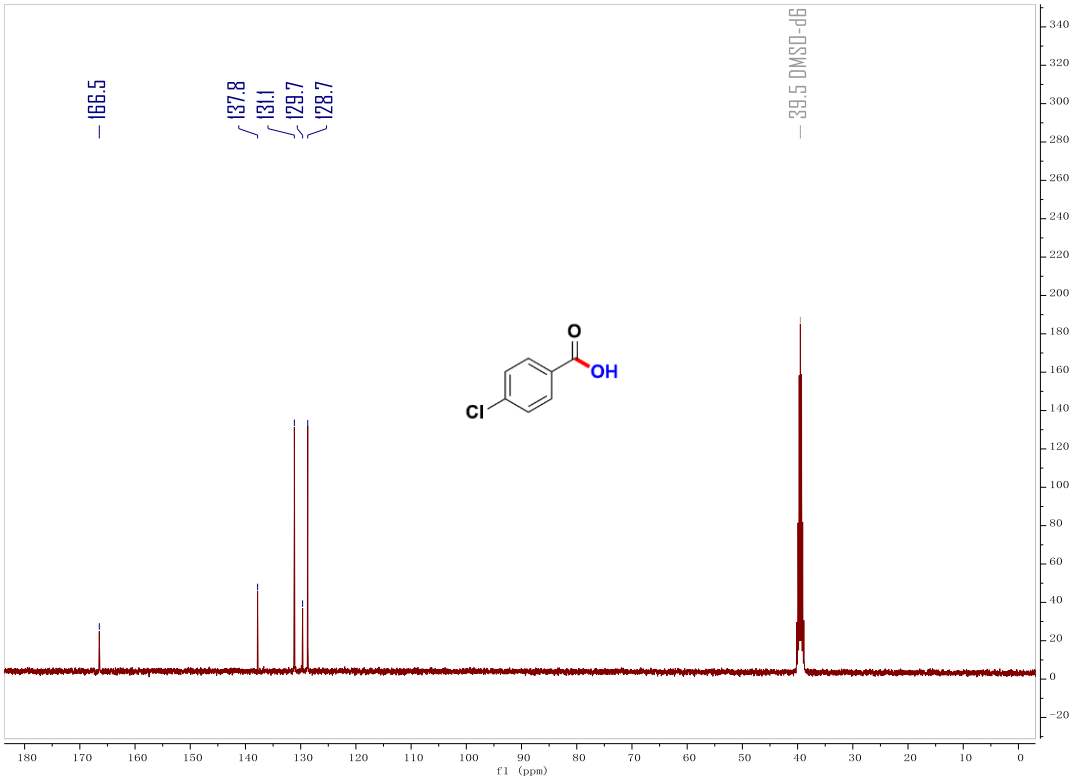
2-oxo-2-(((3a*R*,5*R*,5a*S*,8a*S*,8b*R*)-2,2,7,7-tetramethyltetrahydro-5*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-5-yl)methoxy)ethyl 2-(4-(4-chlorobenzoyl)phenoxy)-2-methylpropanoate (5bw)

petroleum ether / ethyl acetate = 10:1, colorless oil, 71% yield (87.8 mg). $[\alpha]_D^{20}$ = -29.5 (c 0.42, chloroform). **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.72-7.66 (m, 4H), 7.42-7.40 (m, 2H), 6.95-6.93 (m, 2H), 5.48 (d, *J* = 5.0 Hz, 1H), 4.76-4.66 (m, 2H), 4.58 (dd, *J* = 7.9, 2.4 Hz, 1H), 4.38-4.17 (m, 4H), 4.01-3.98 (m, 1H), 1.69 (s, 6H), 1.44 (s, 3H), 1.39 (s, 3H), 1.29 (s, 3H), 1.28 (s, 3H). **^{13}C NMR** (100 MHz, Chloroform-*d*) δ 194.1, 172.9, 167.1, 159.1, 138.2, 136.3, 131.8, 131.1, 130.4, 128.4, 117.7, 109.6, 108.7, 96.1, 79.0, 70.8, 70.5, 70.2, 65.7, 64.4, 61.1, 25.8, 25.8, 25.5, 25.2, 24.8, 24.3. **HRMS** (ESI-TOF): Anal Calcd. For. $C_{31}H_{35}{}^{35}ClO_{11}$+$Na^+$: 641.1760, found: 641.1752. **IR** (neat, cm^{-1}): υ 2986, 1756, 1742, 1686, 1513, 1432, 1411, 1249, 1173, 956, 812, 706.

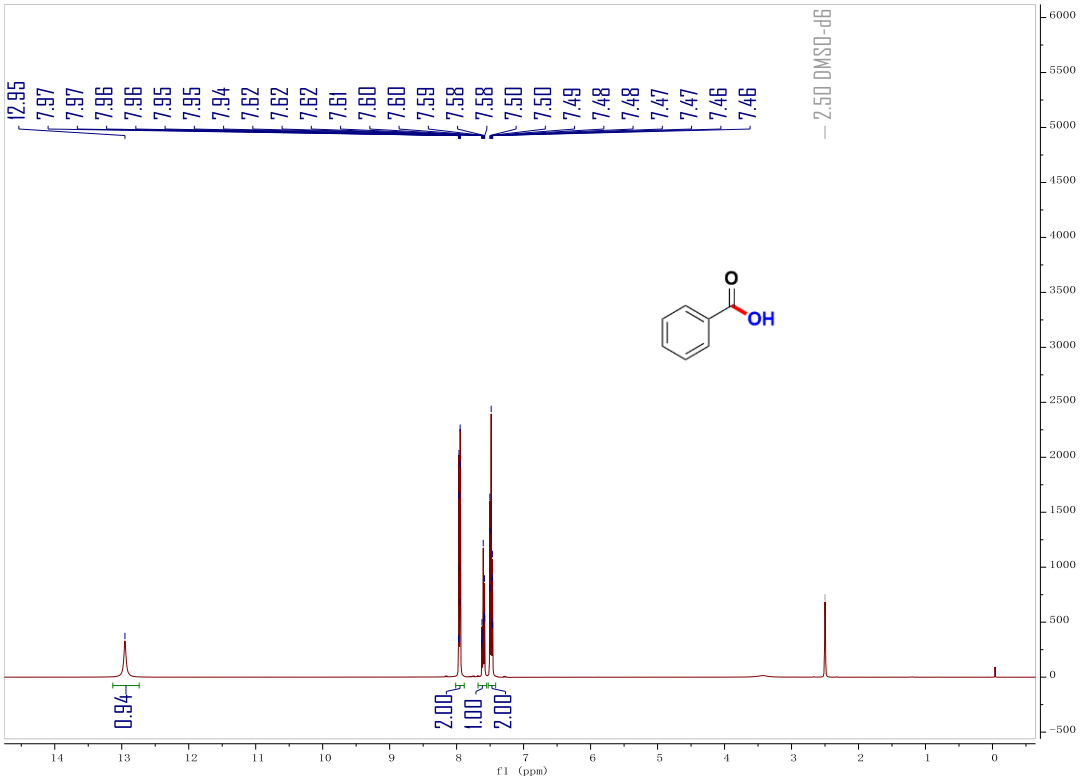
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2a**



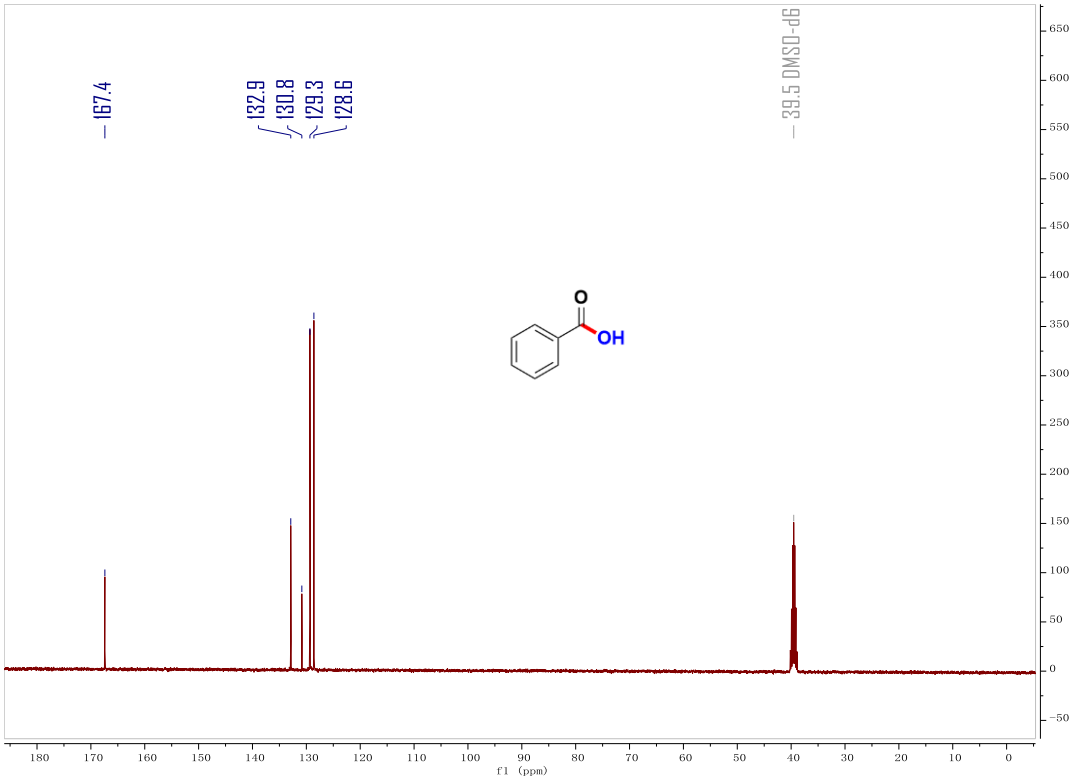
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2a**



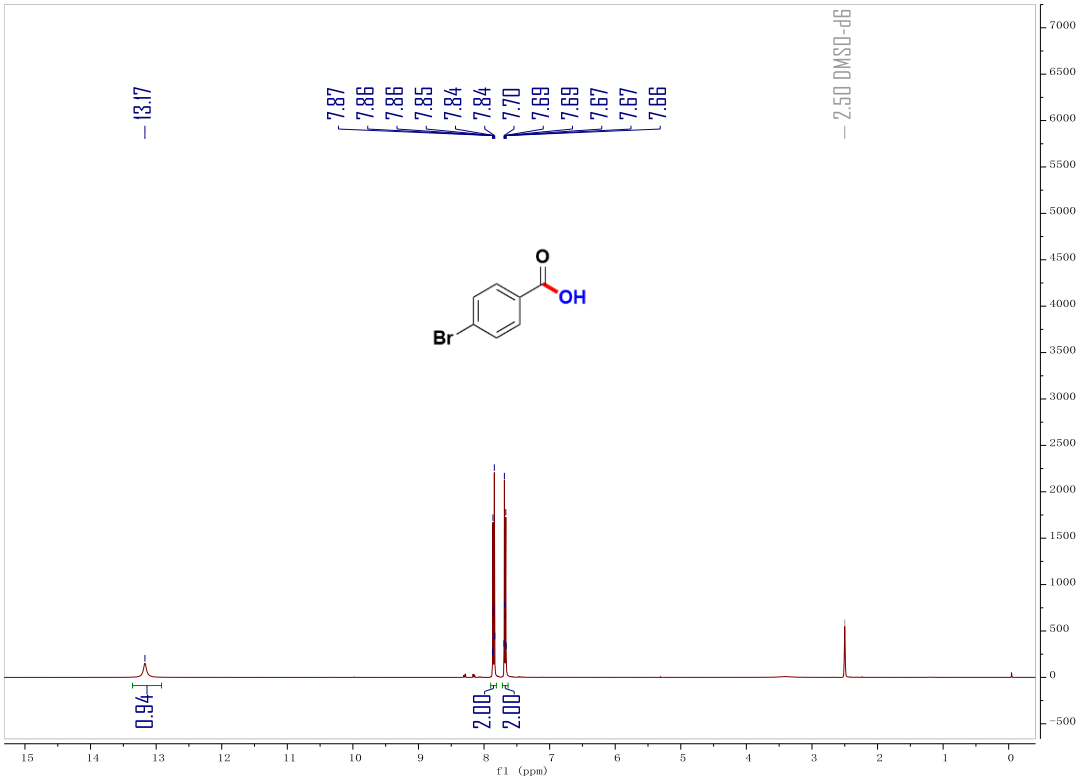
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2b**



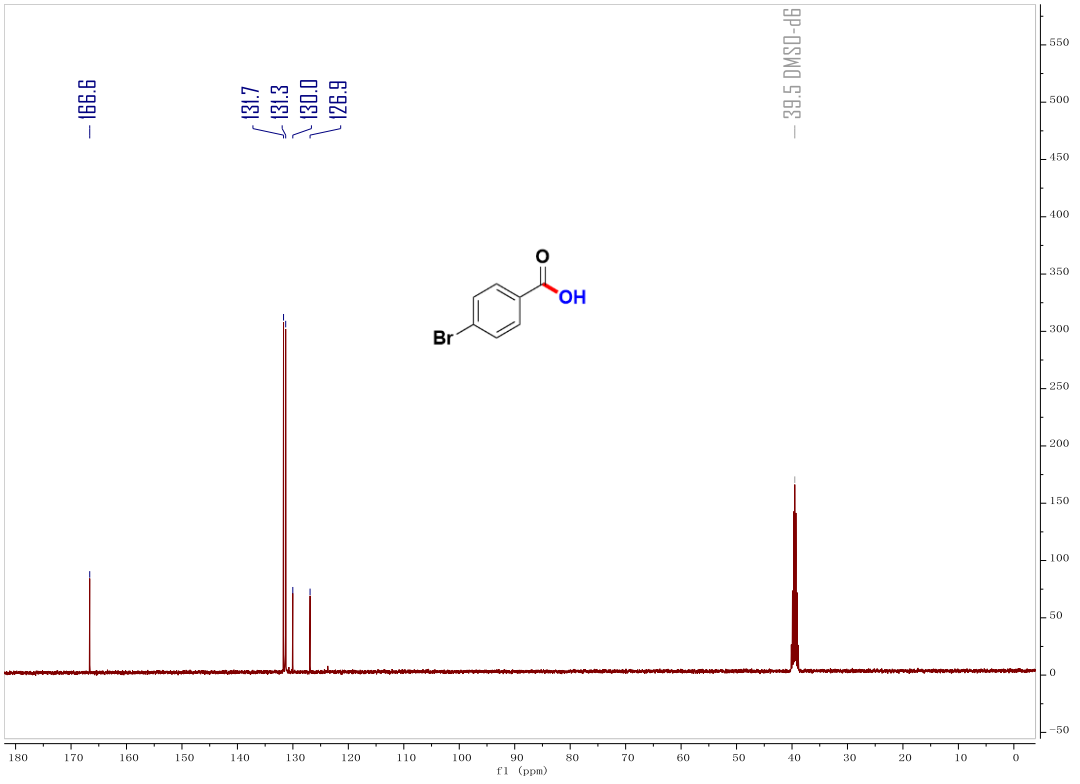
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2b**



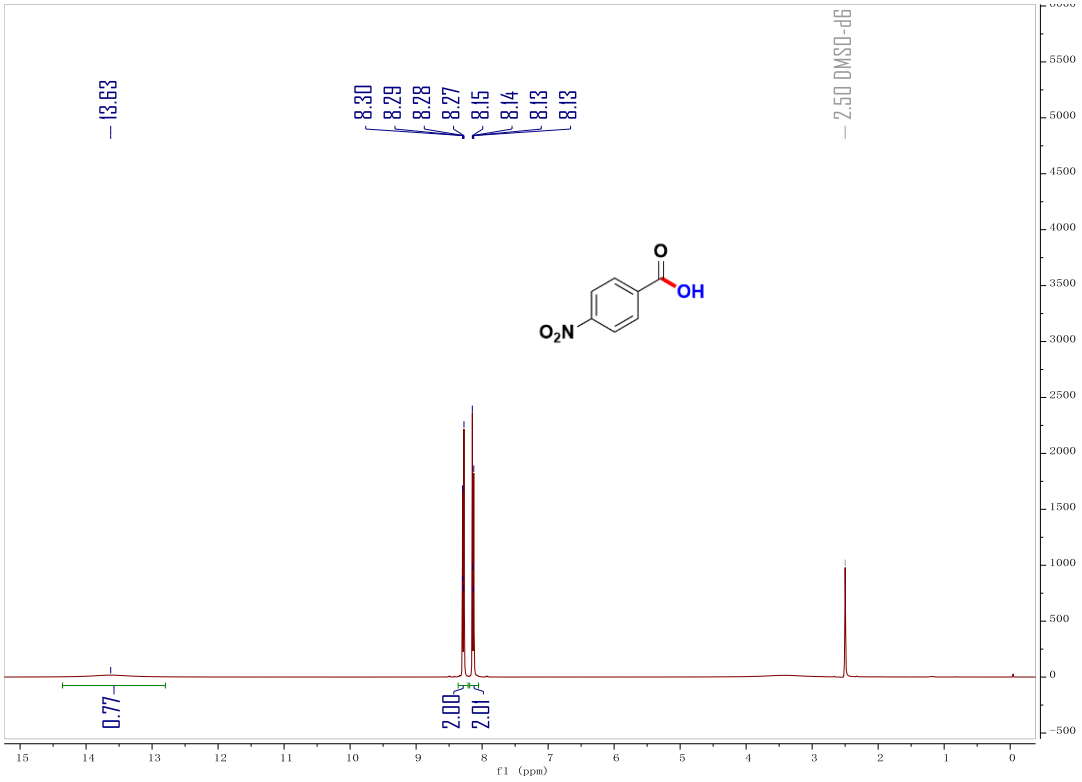
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2c**



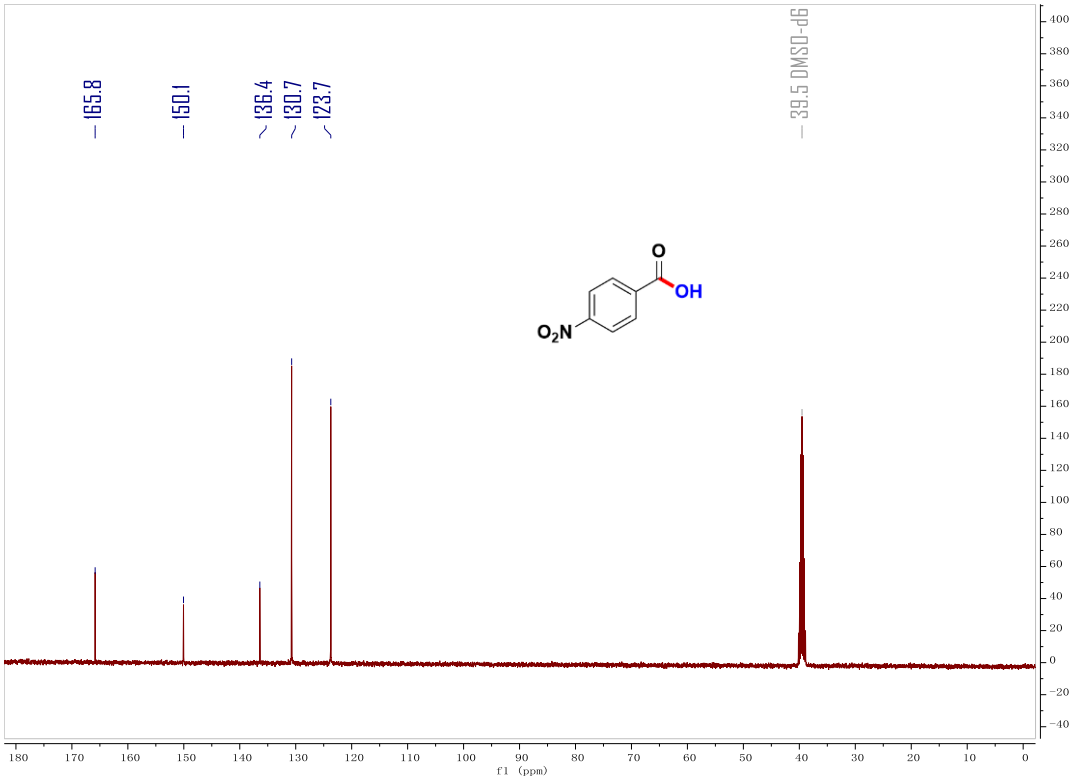
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2c**



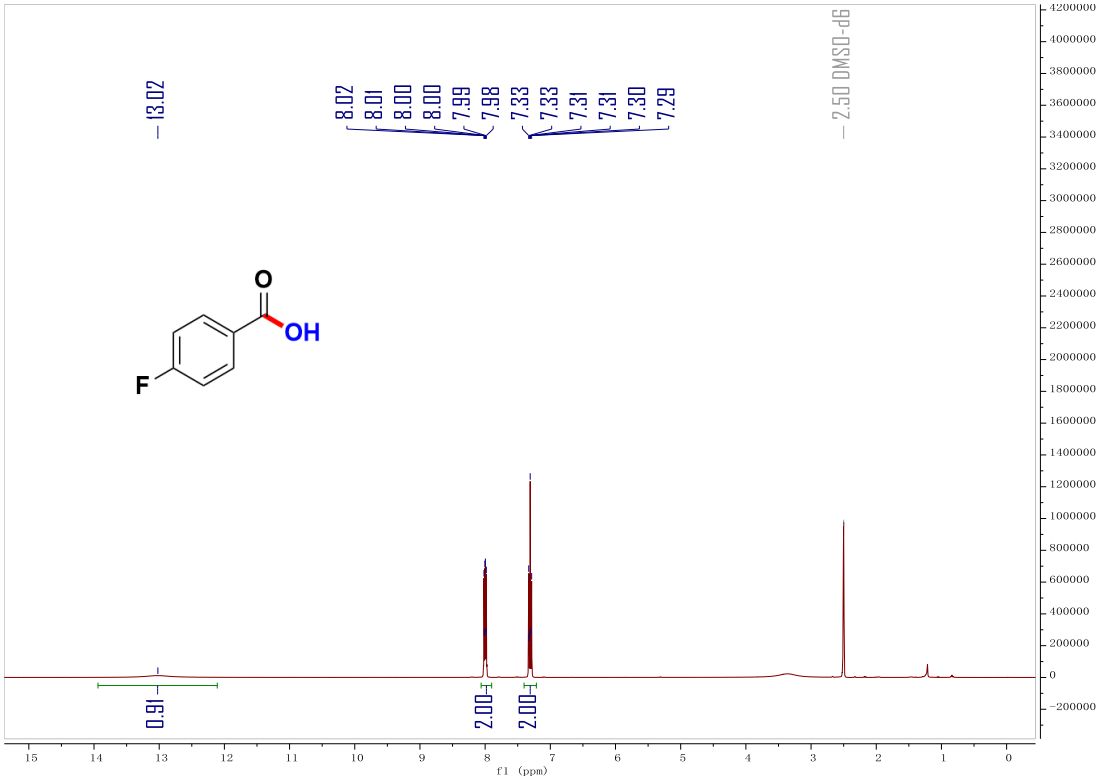
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2d**



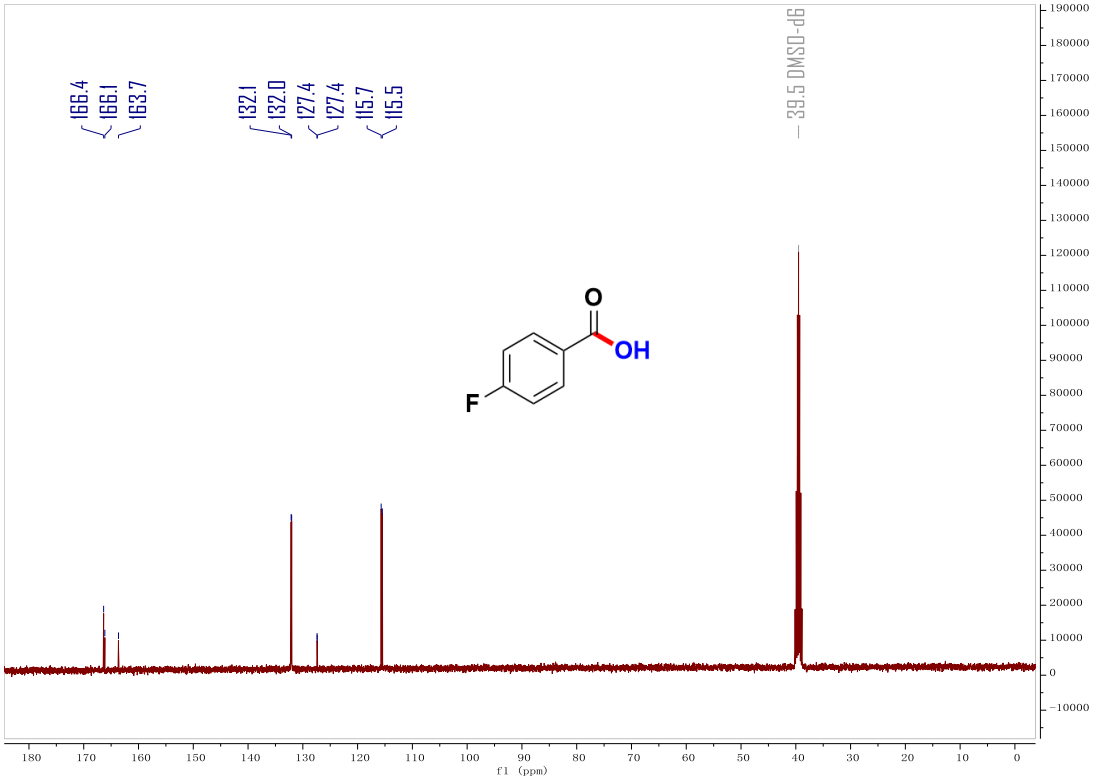
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2d**



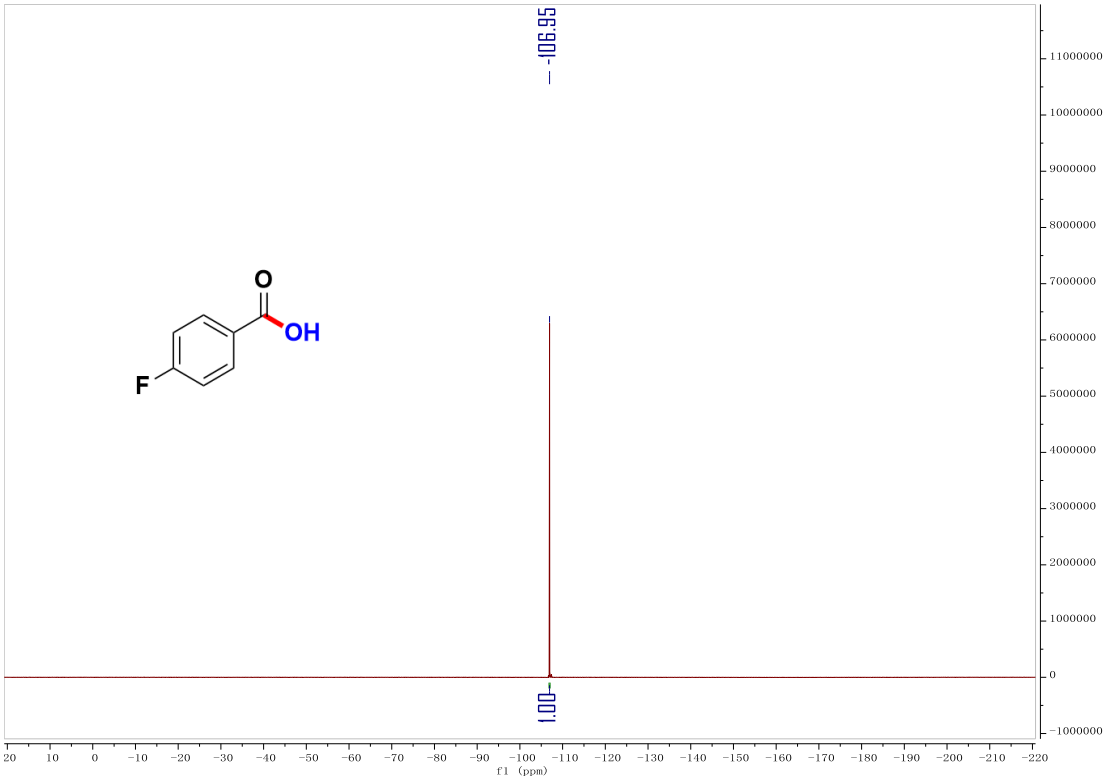
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2e**



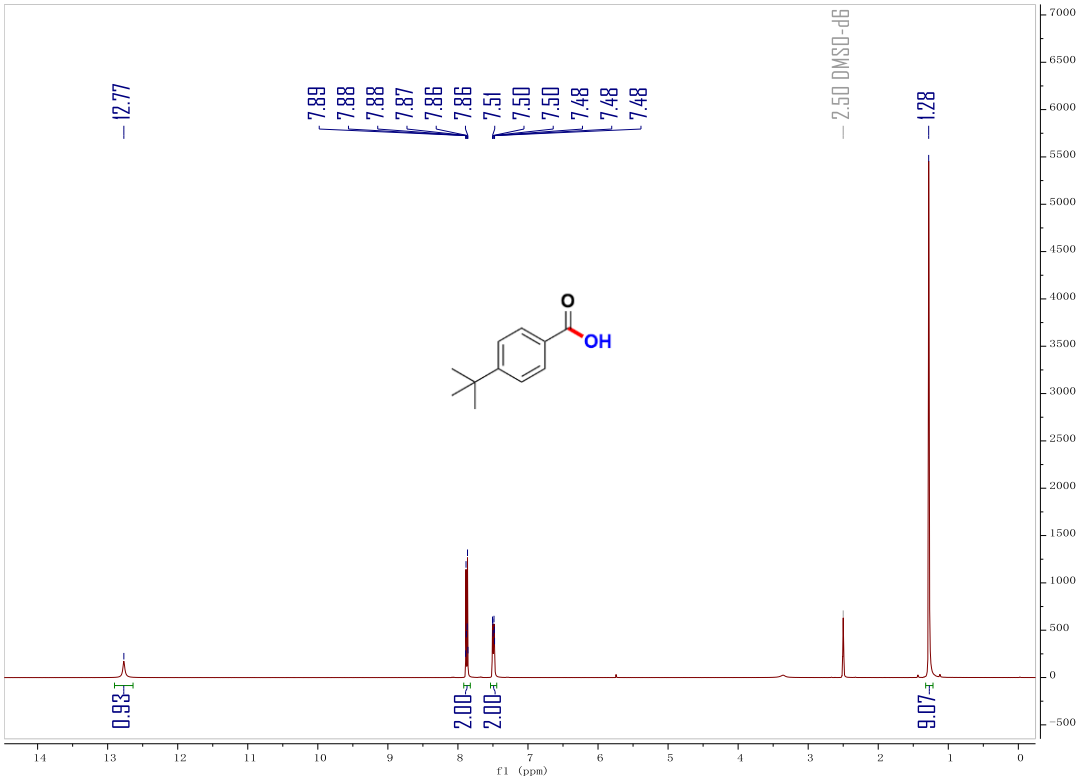
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2e**



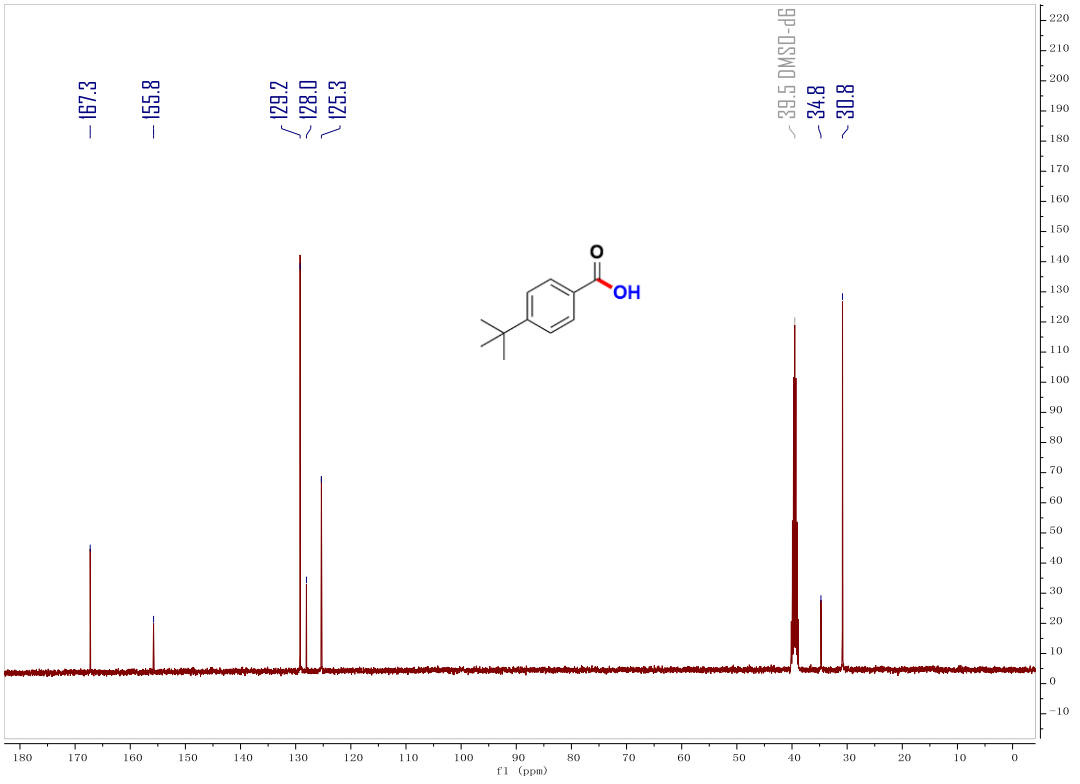
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2e**



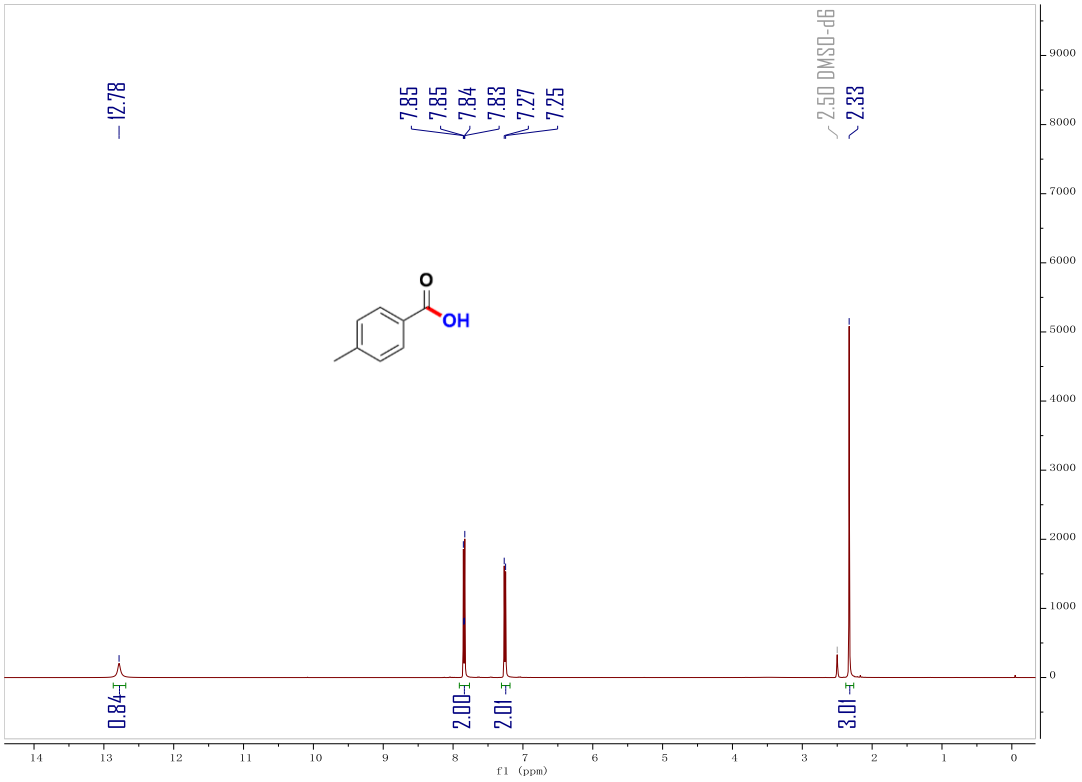
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2f**



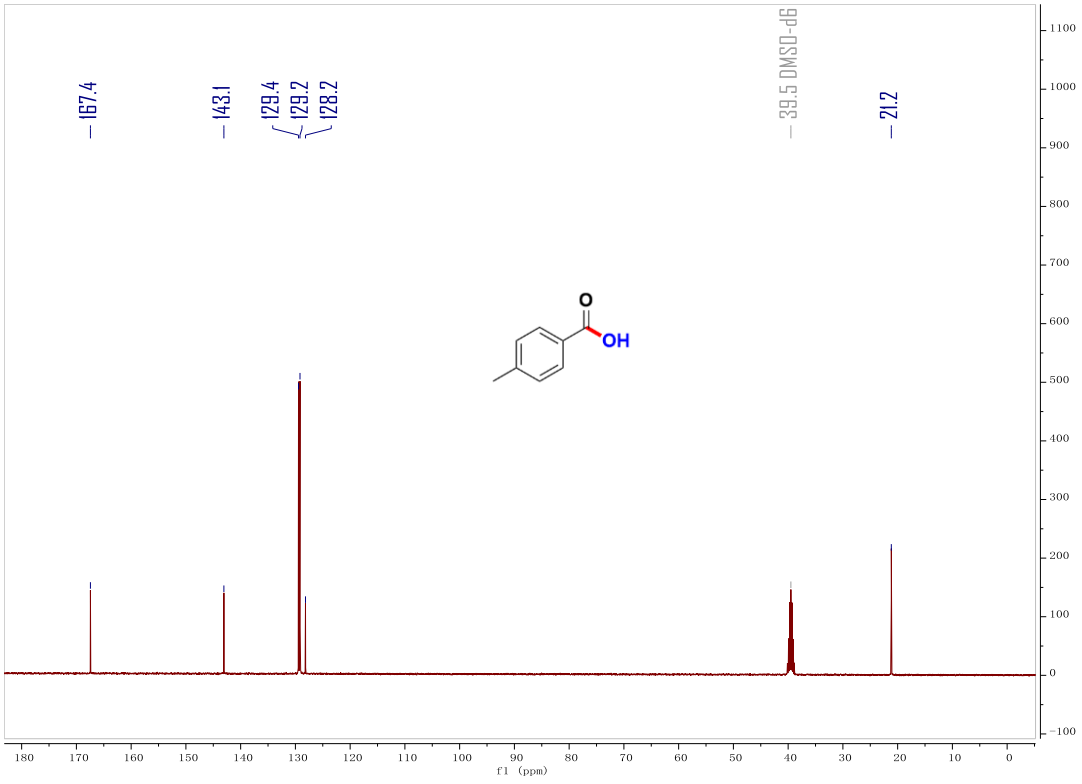
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2f**



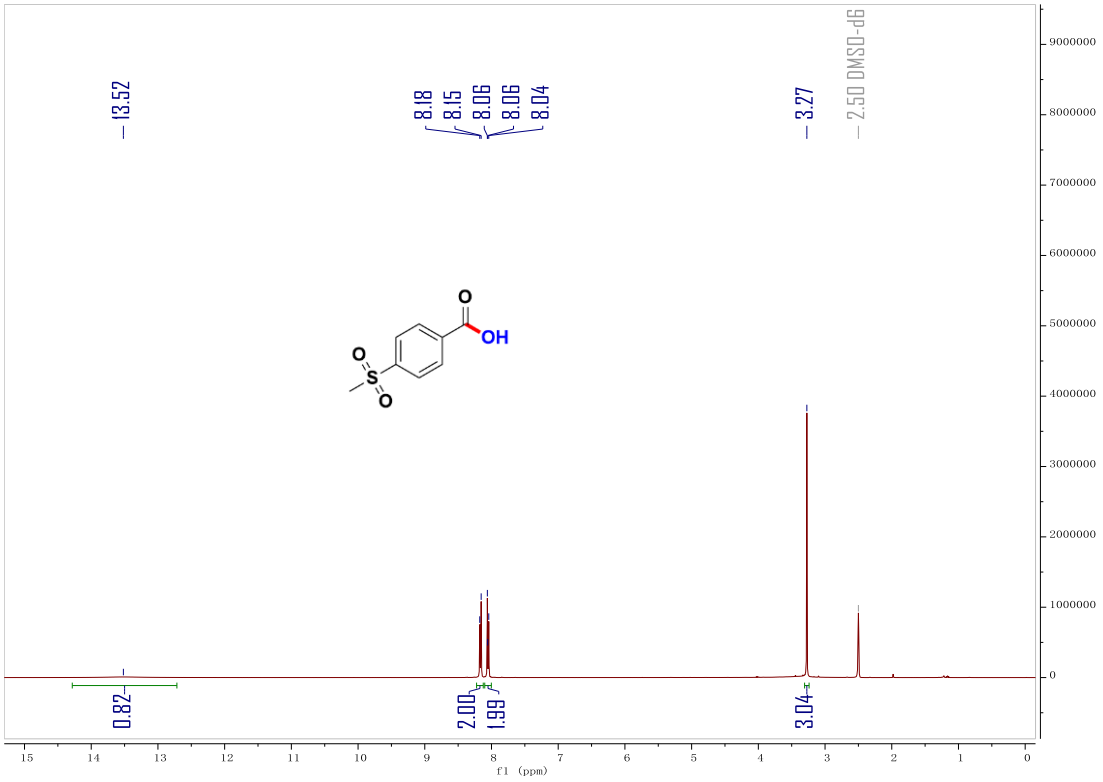
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2g**



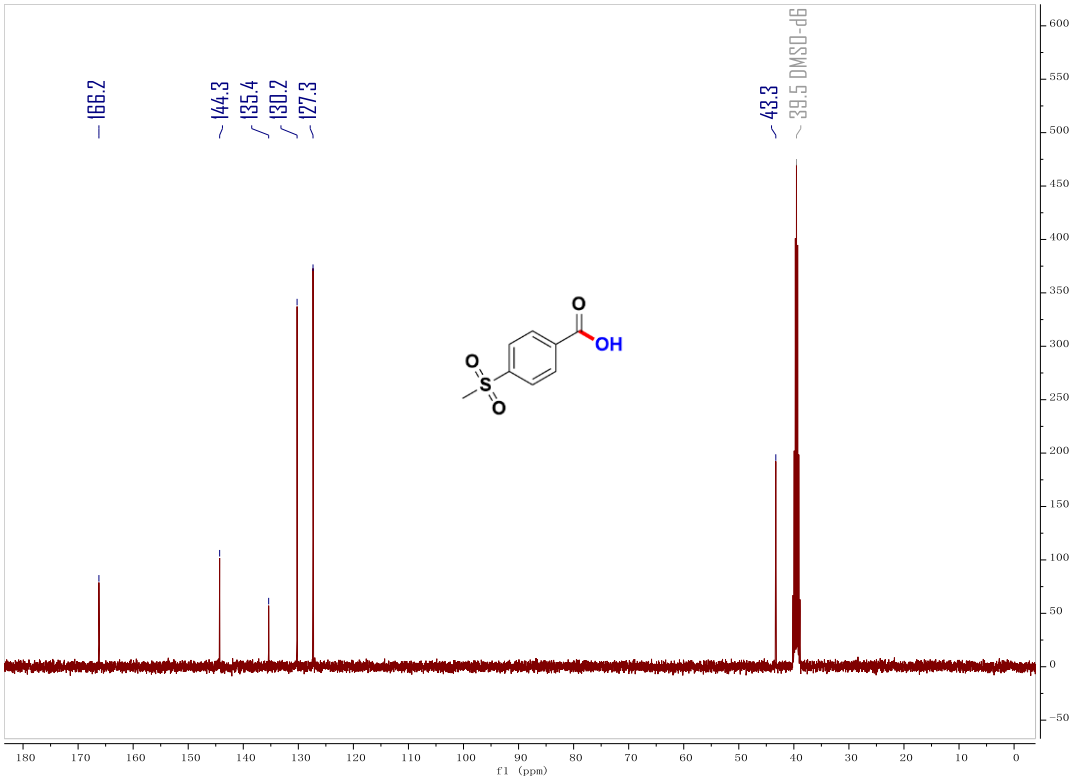
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2g**



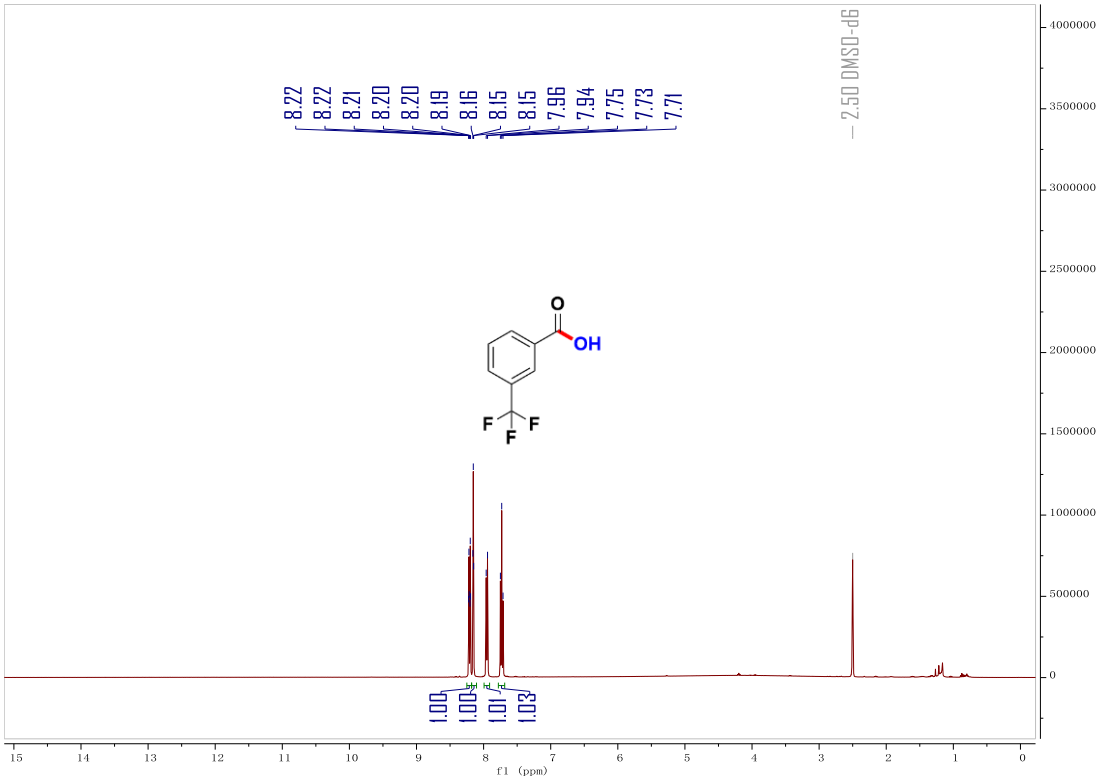
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2h**



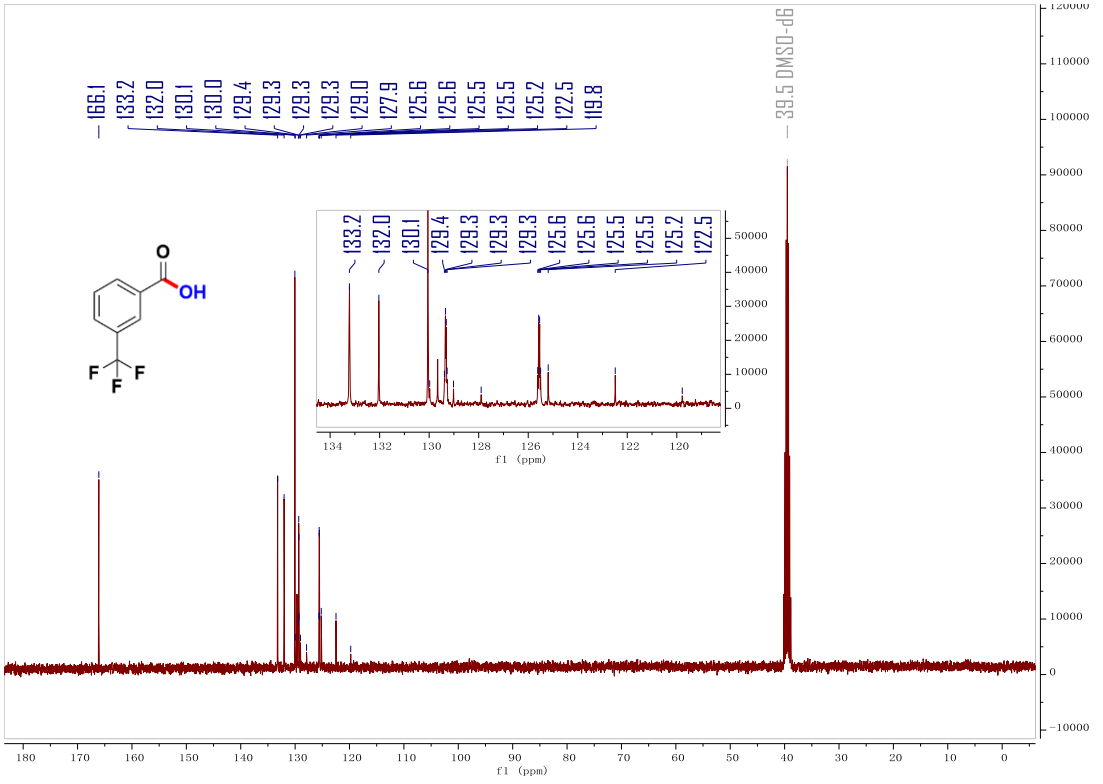
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2h**



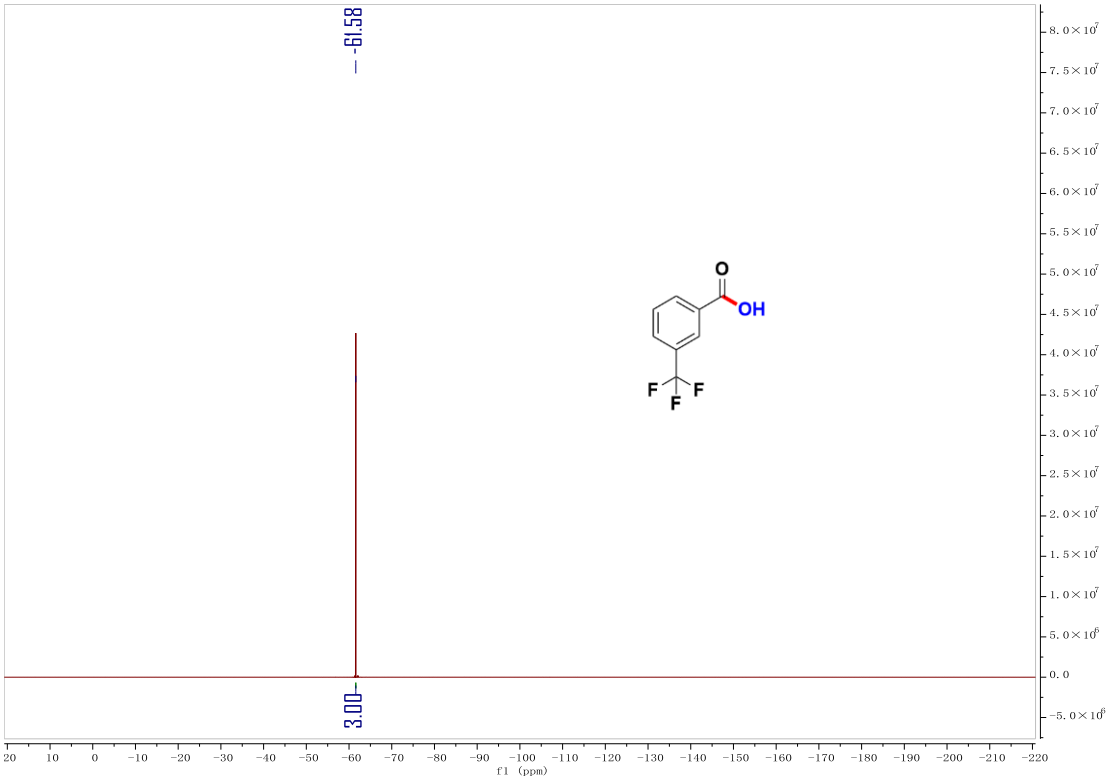
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2i**



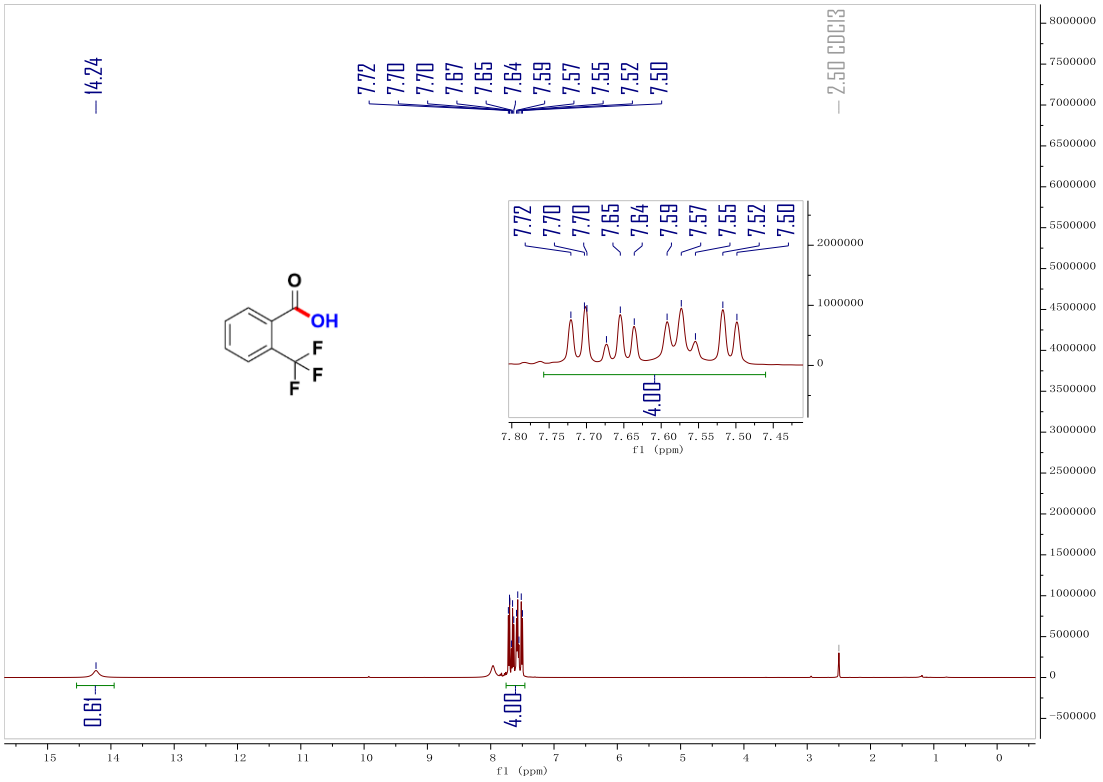
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2i**



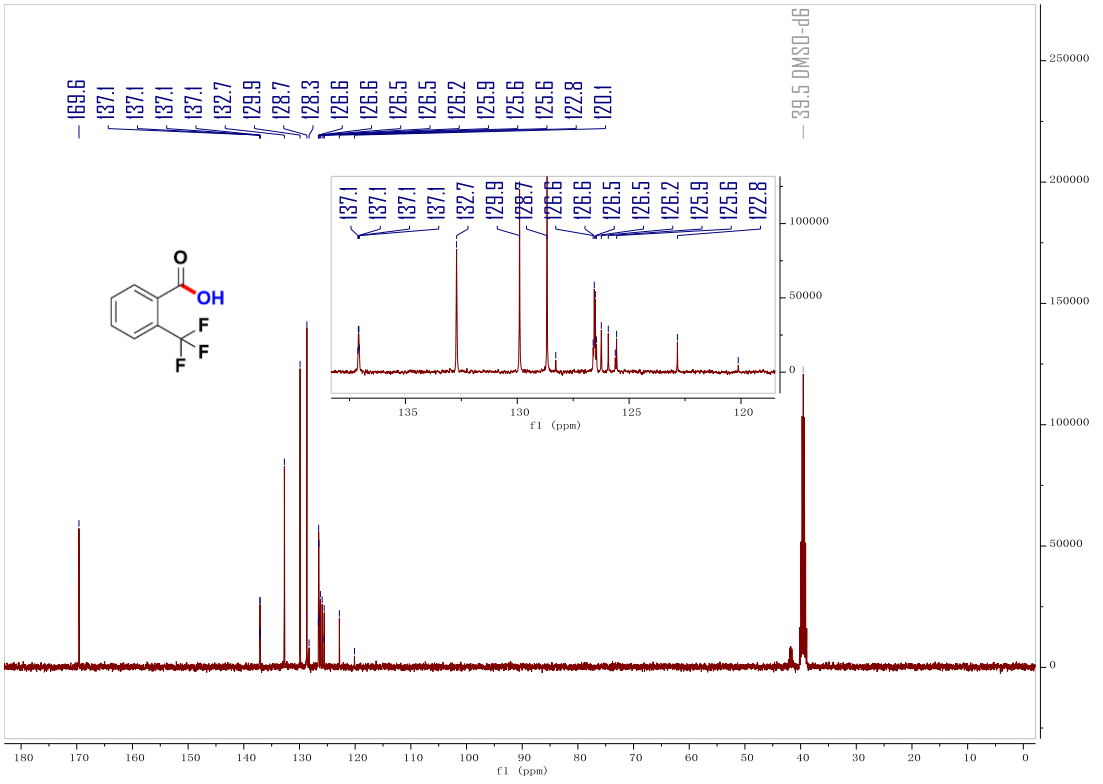
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2i**



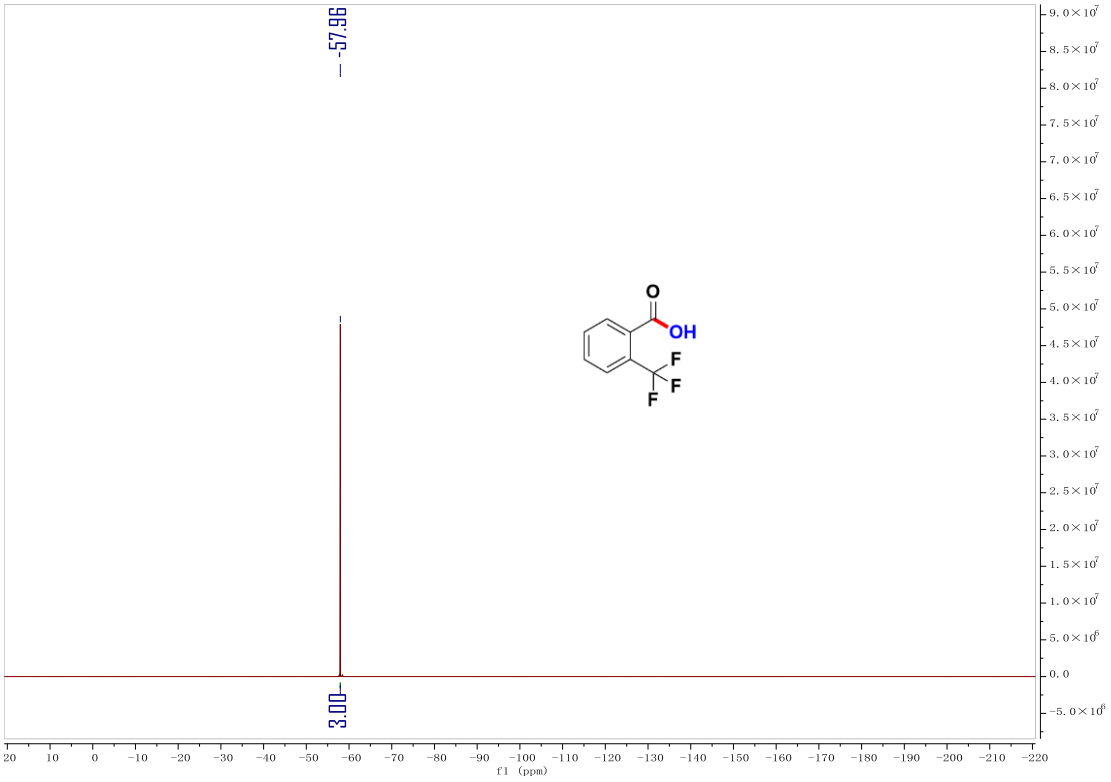
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2j**



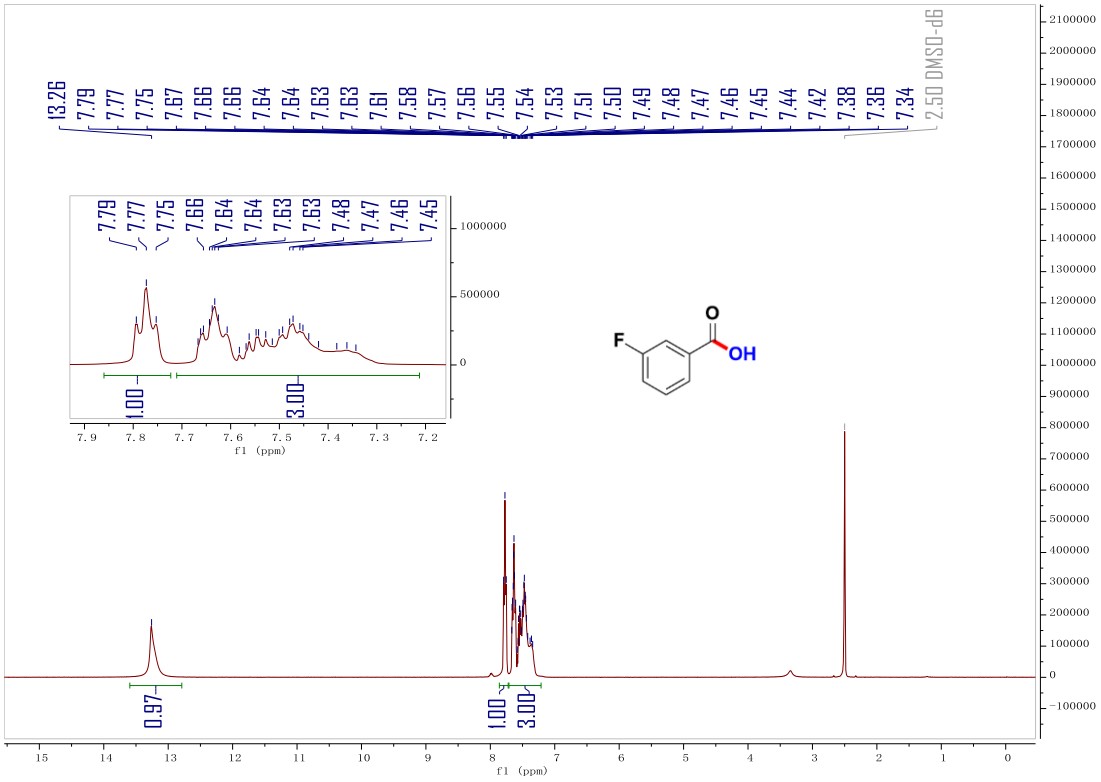
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2j**



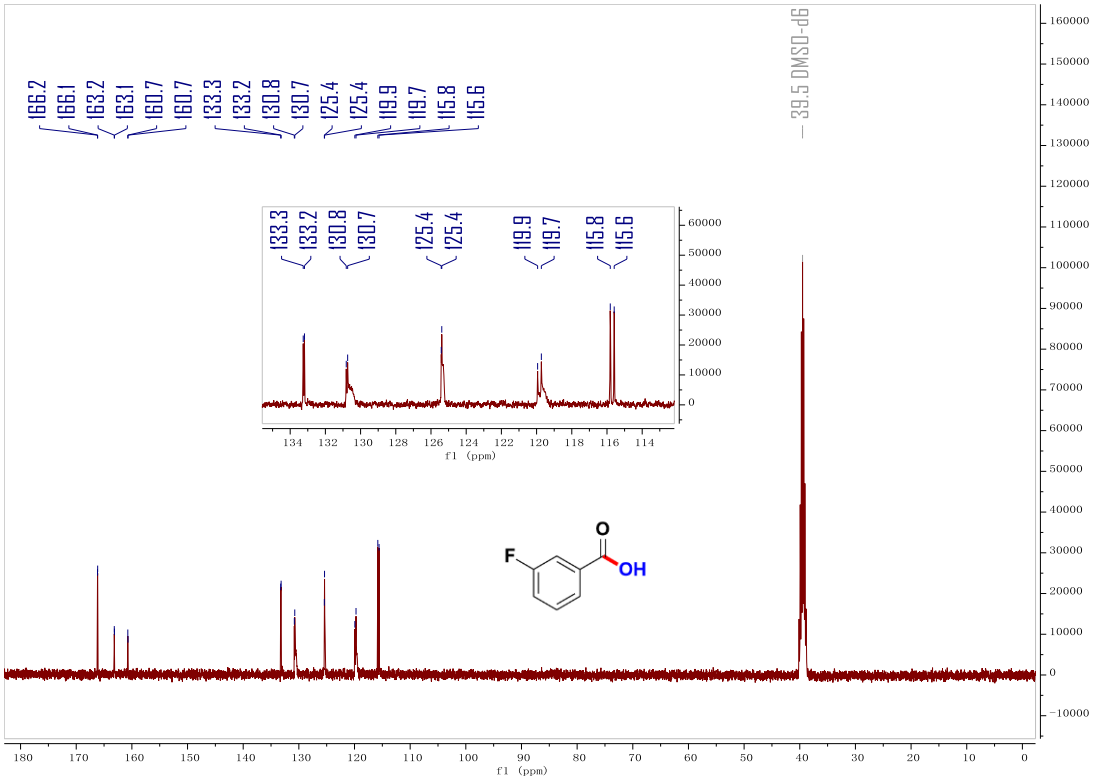
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2j**



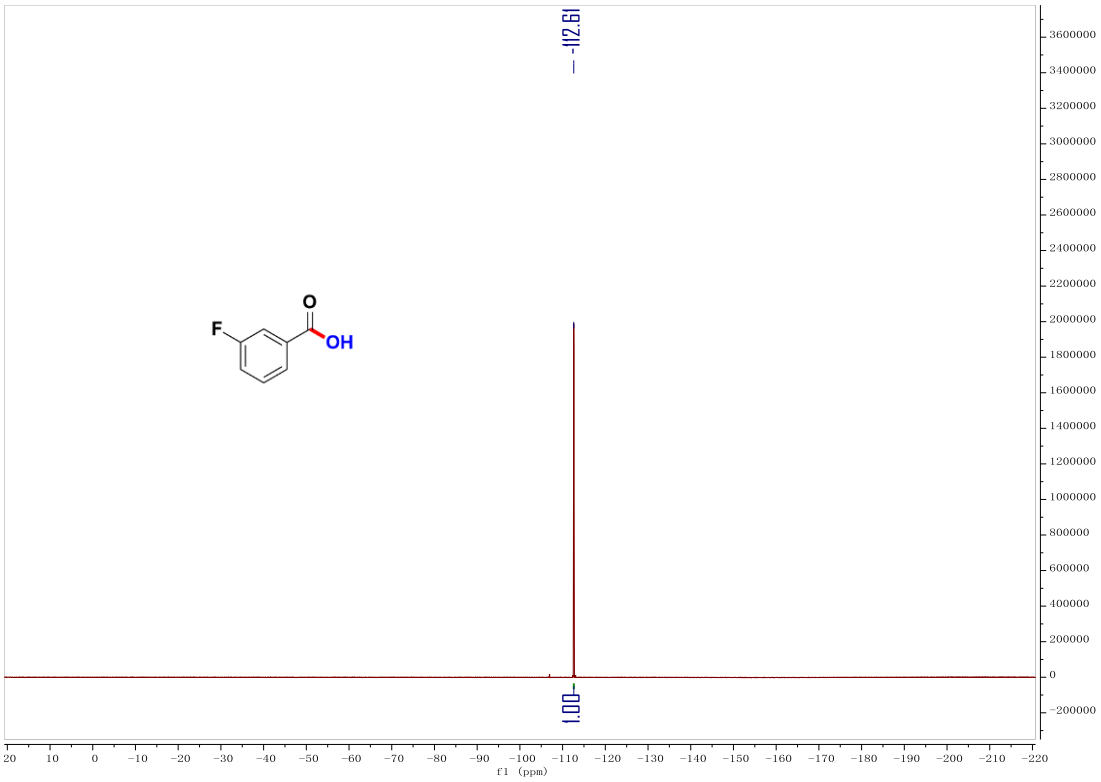
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2k**



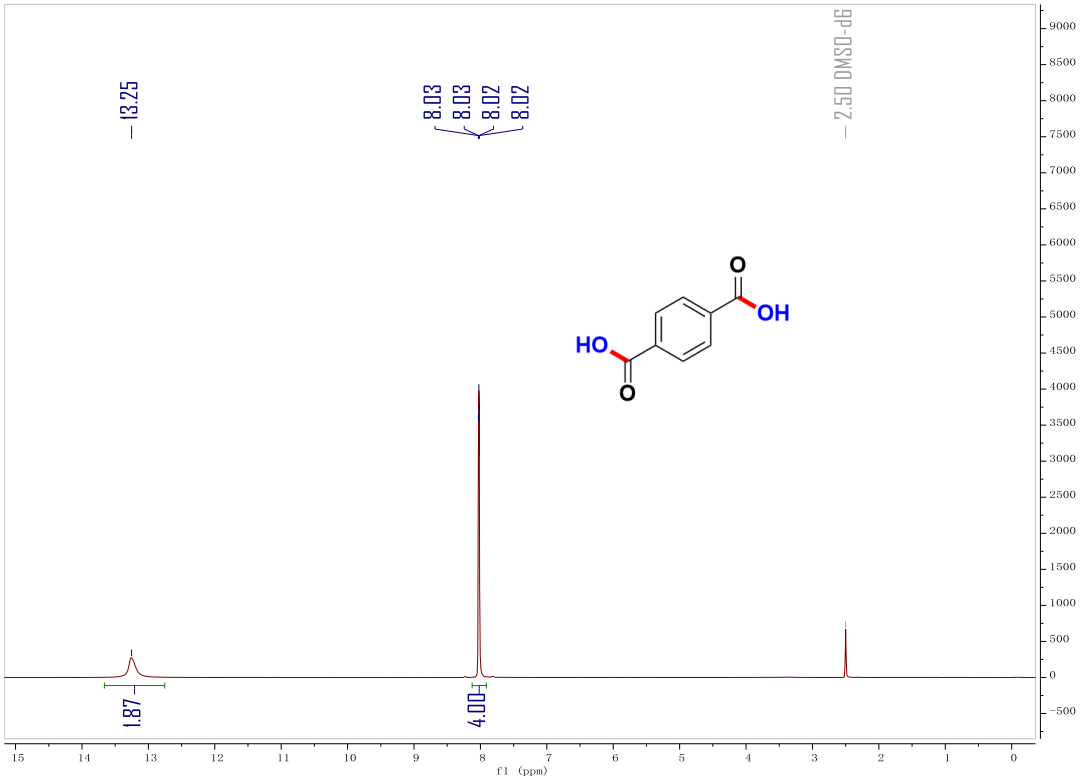
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2k**



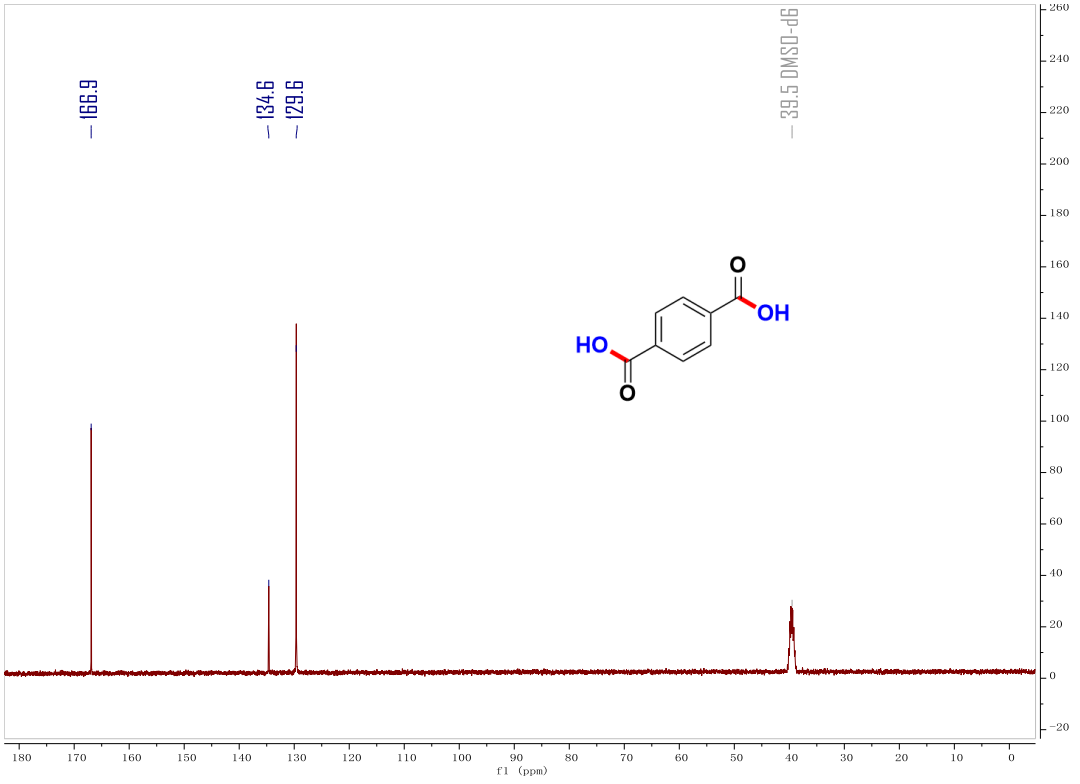
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2k**



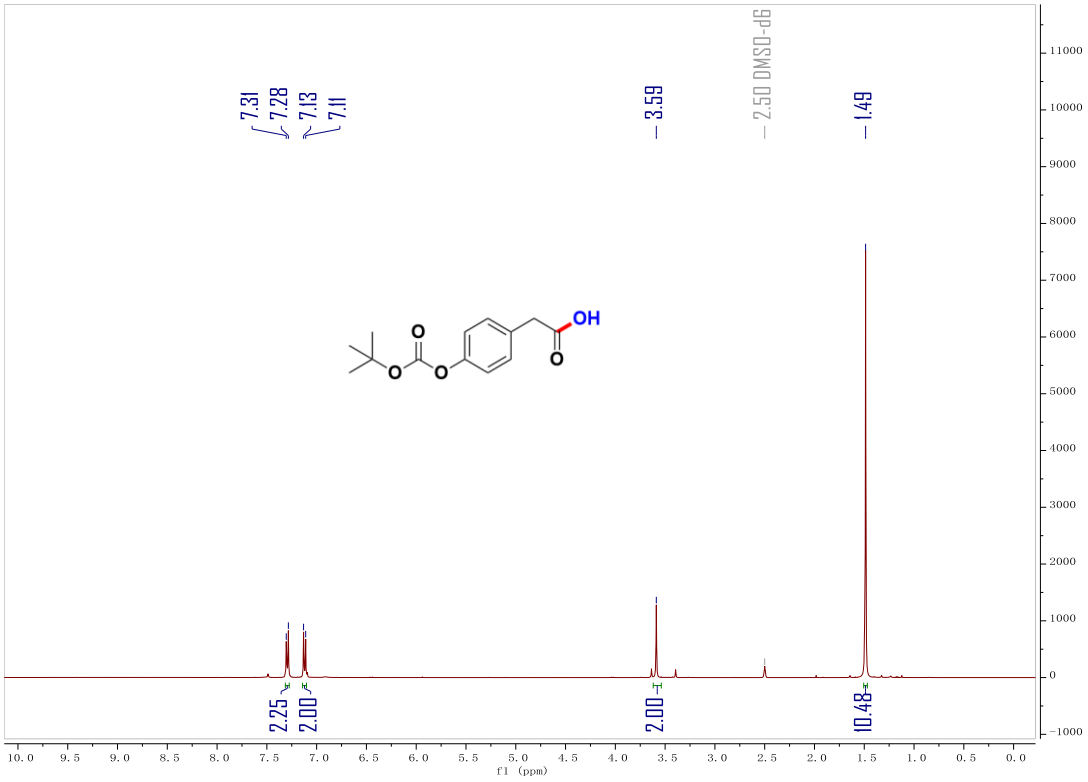
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2l**



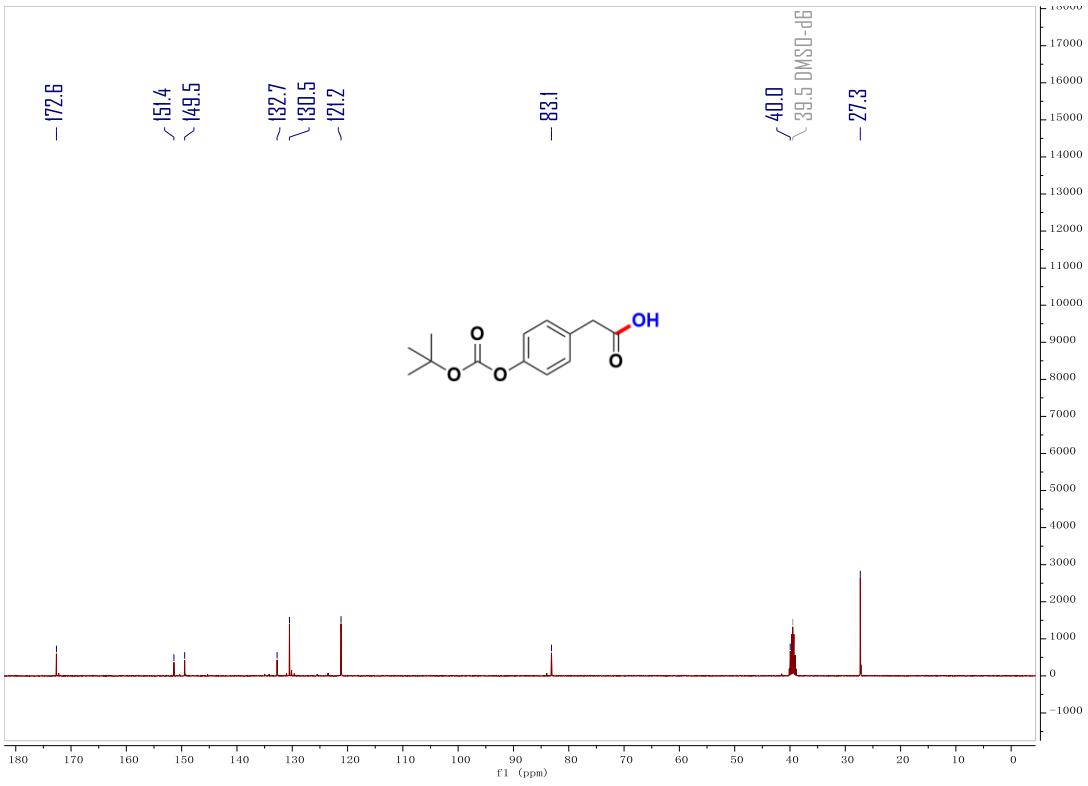
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2l**



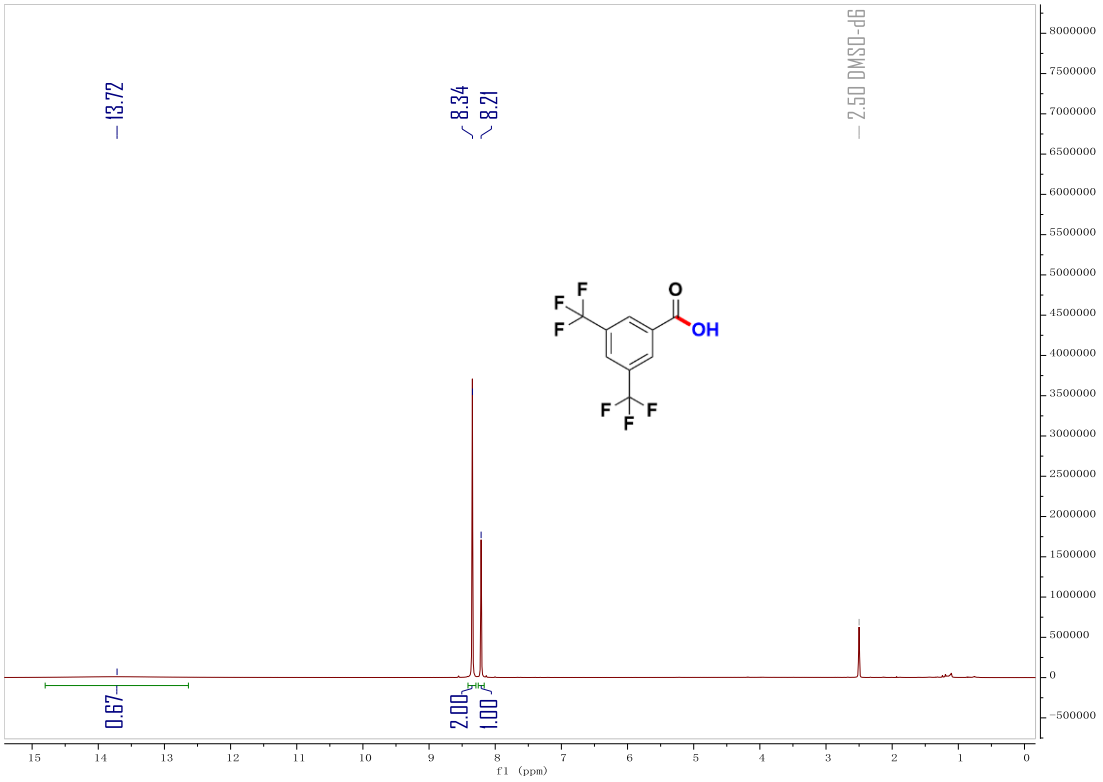
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2m**



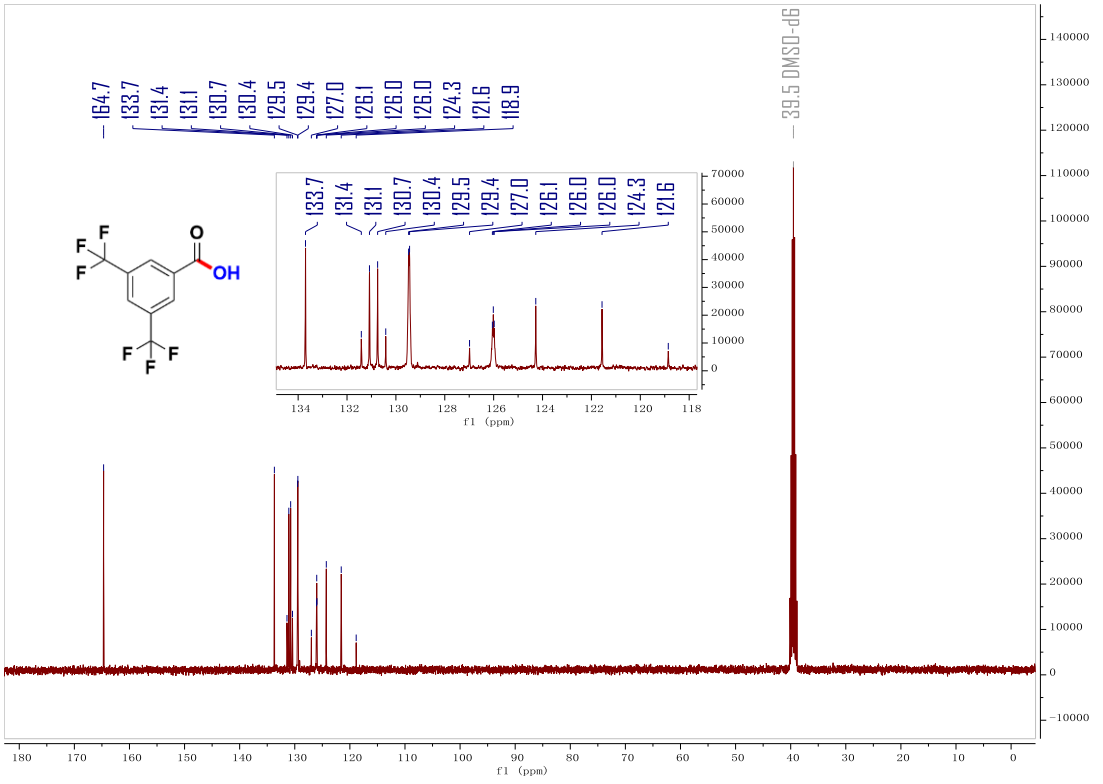
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2m**



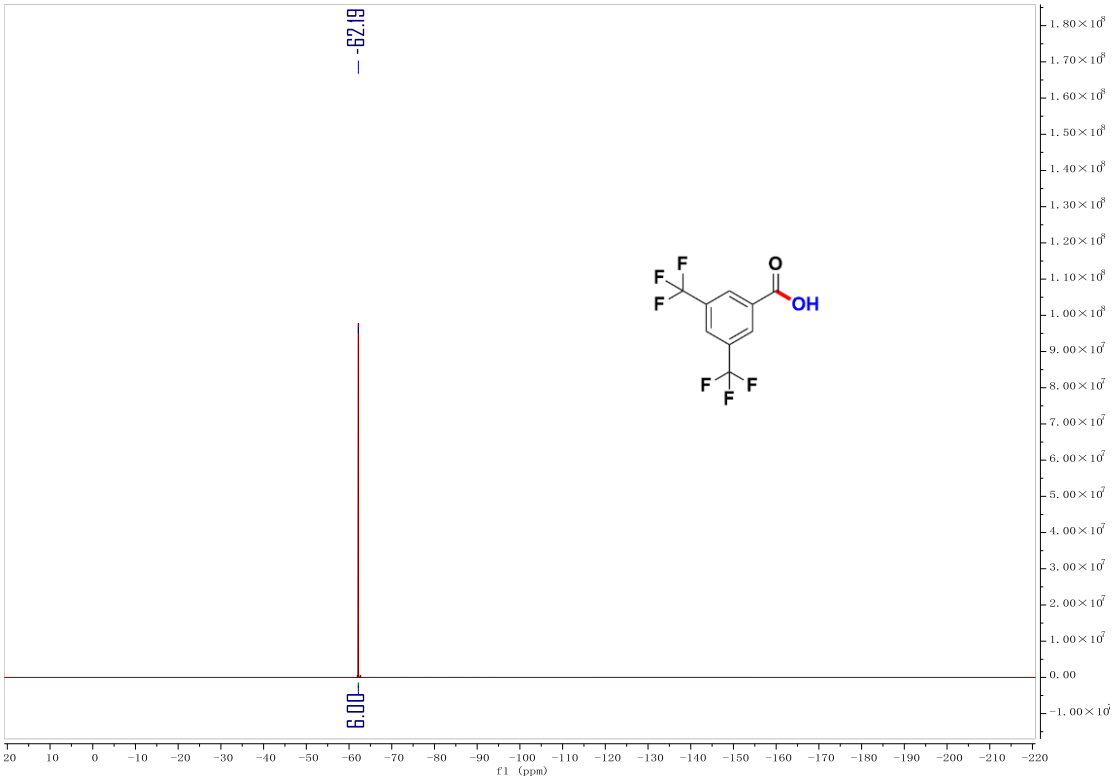
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2n**



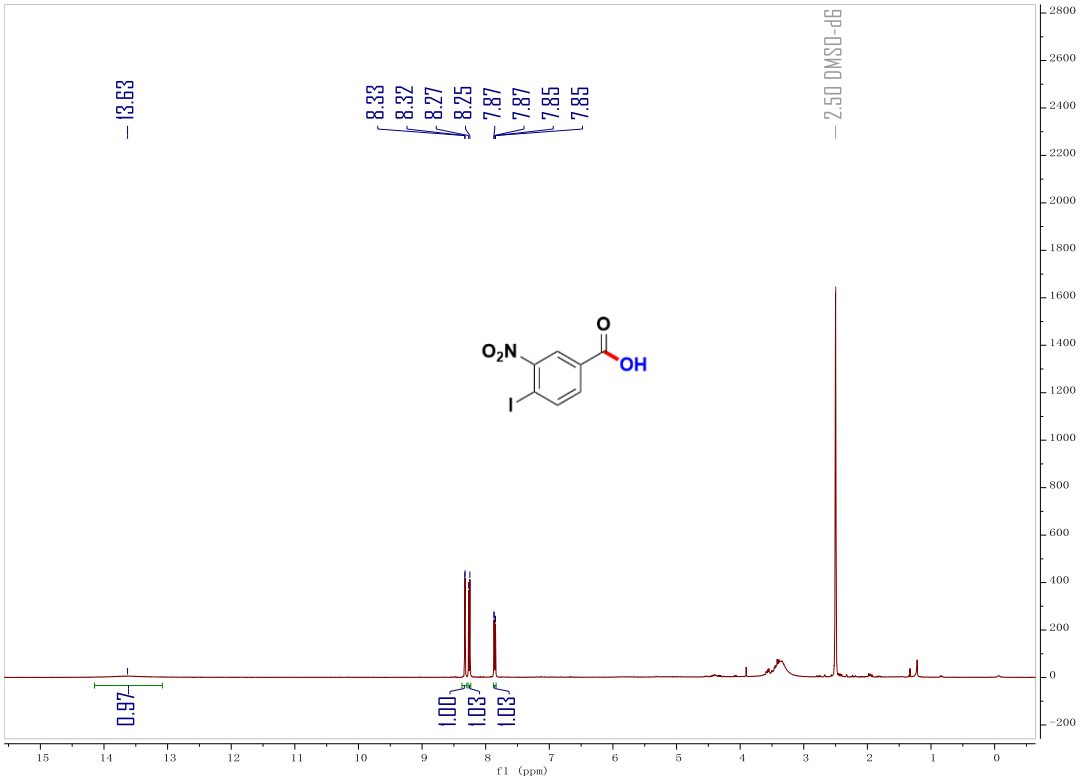
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2n**



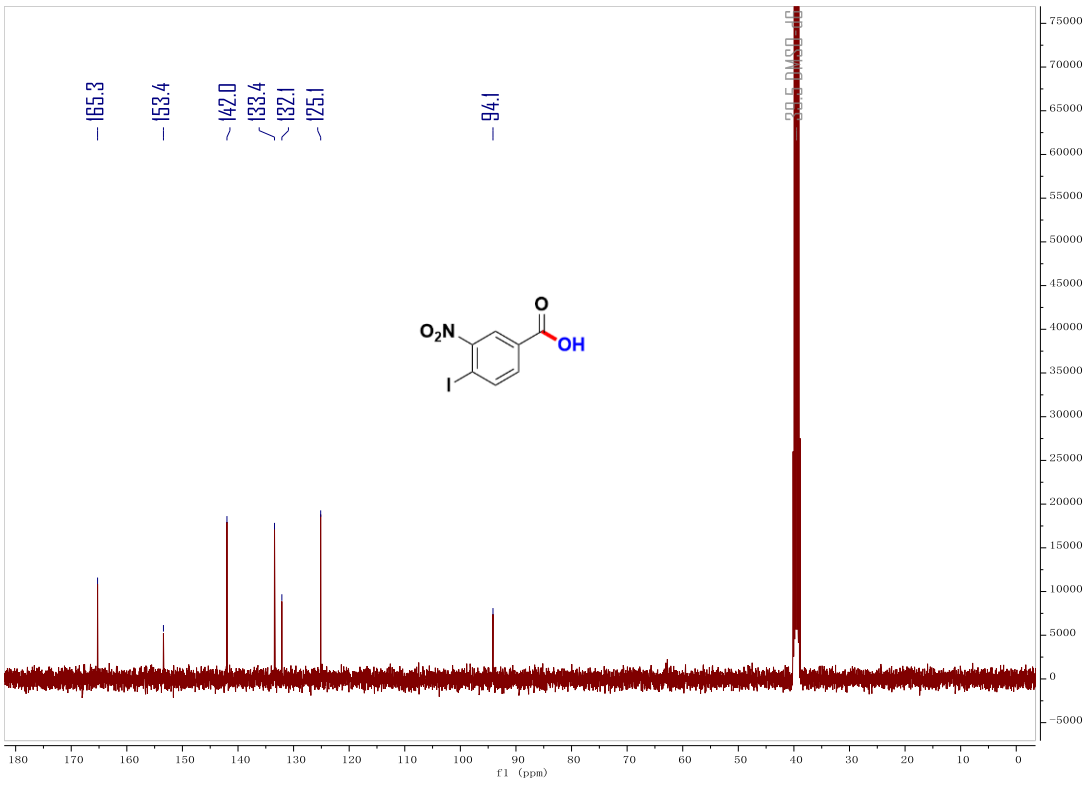
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2n**



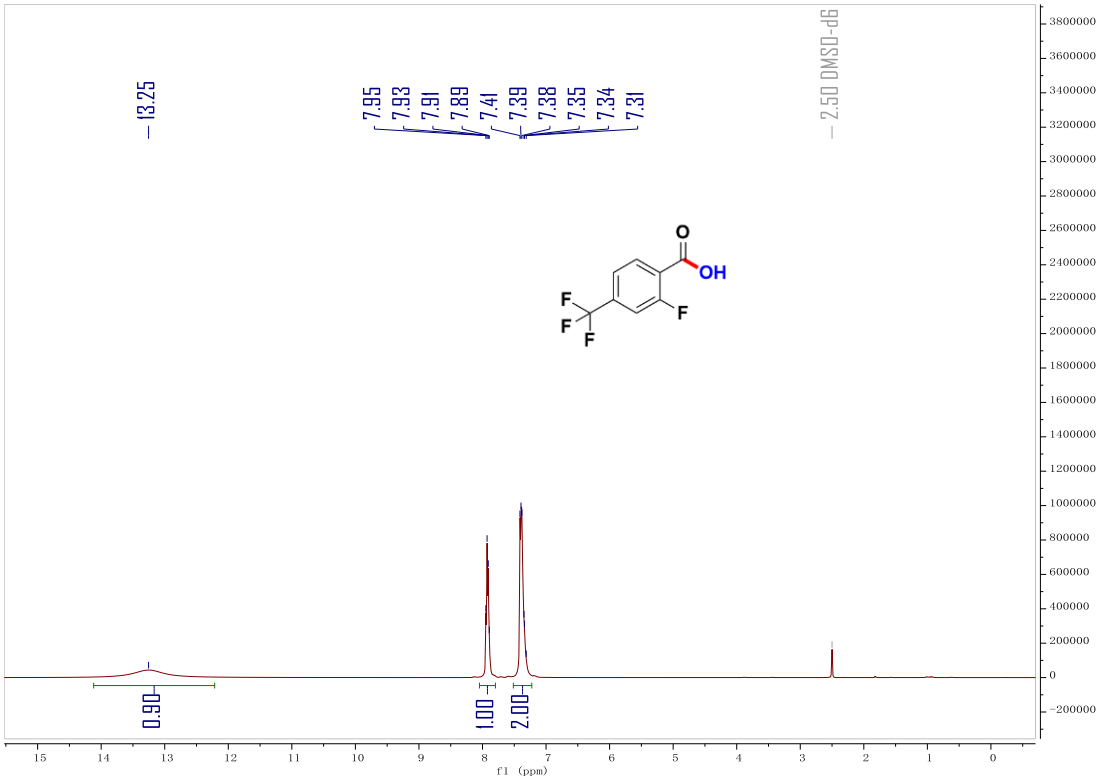
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2o**



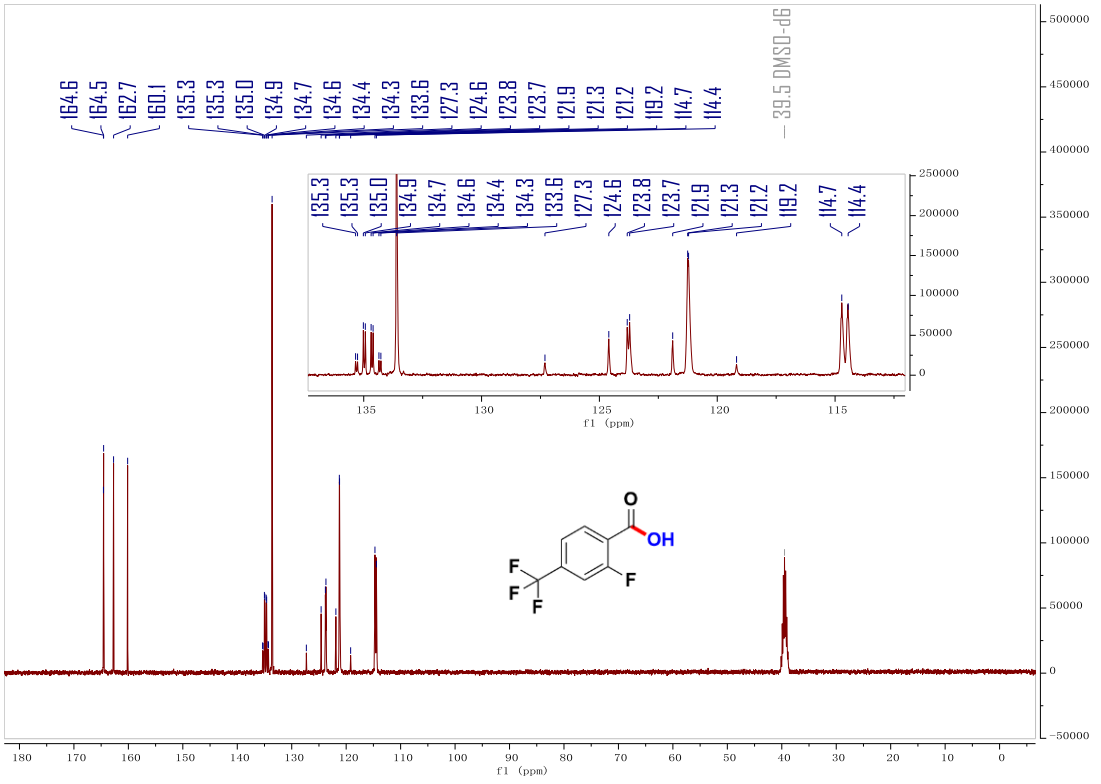
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2o**



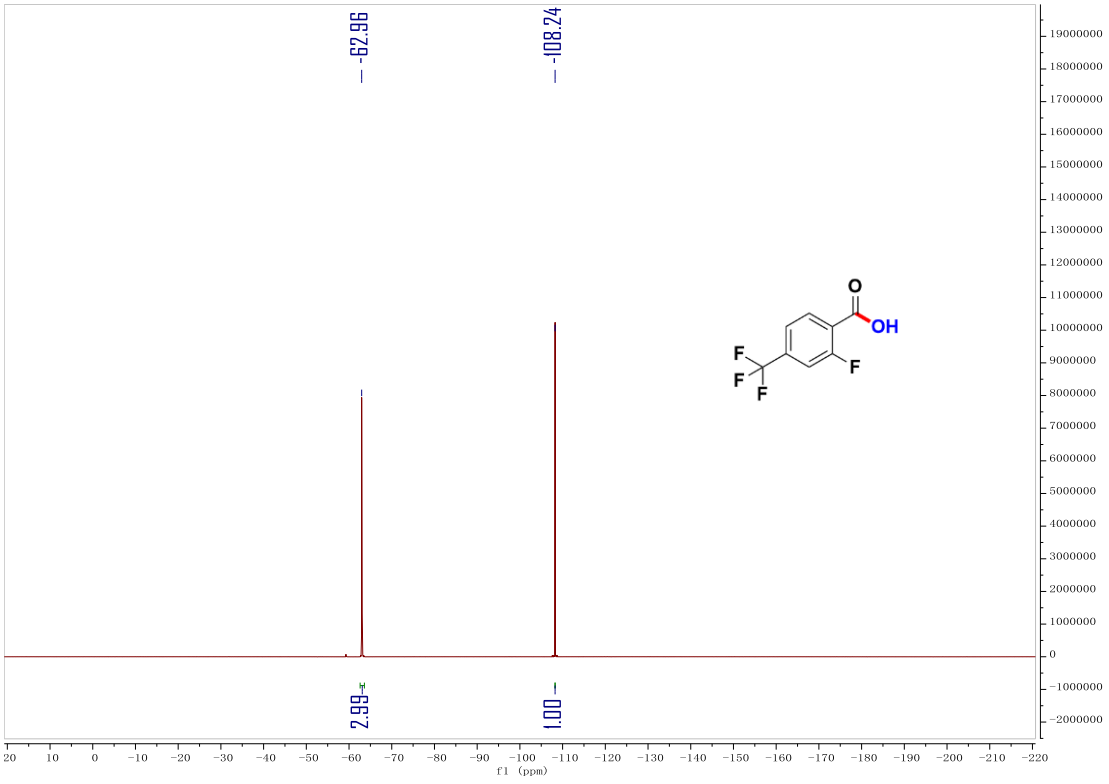
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2p**



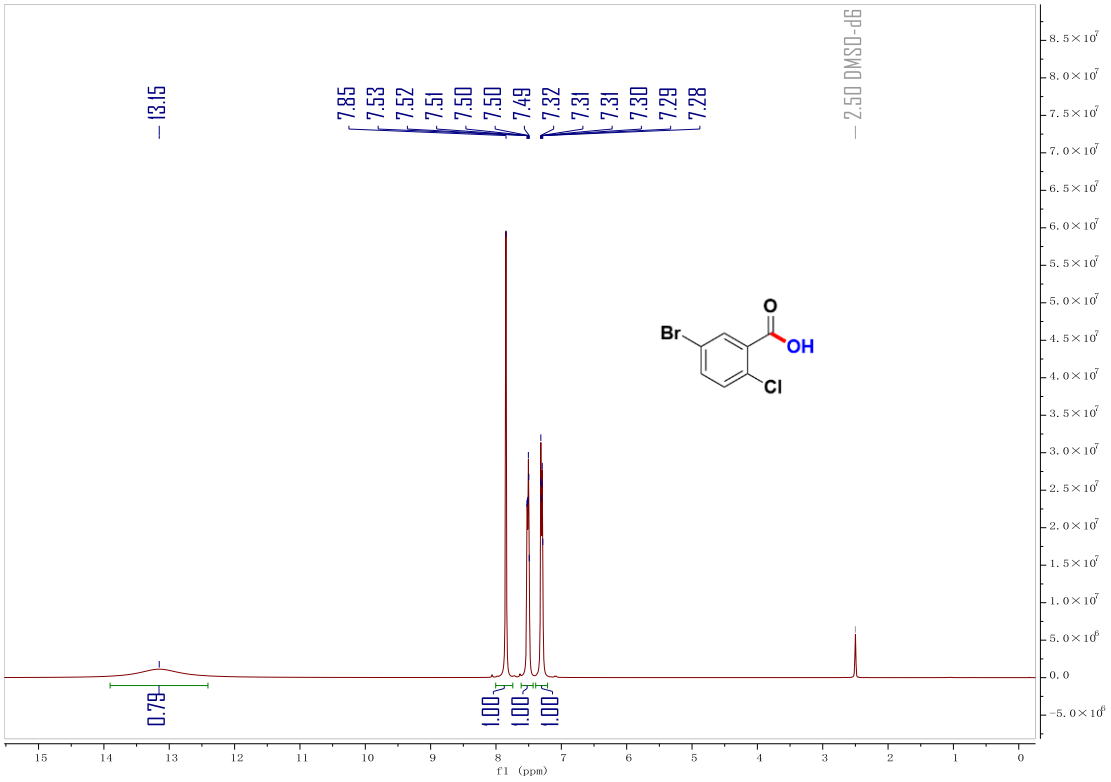
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2p**



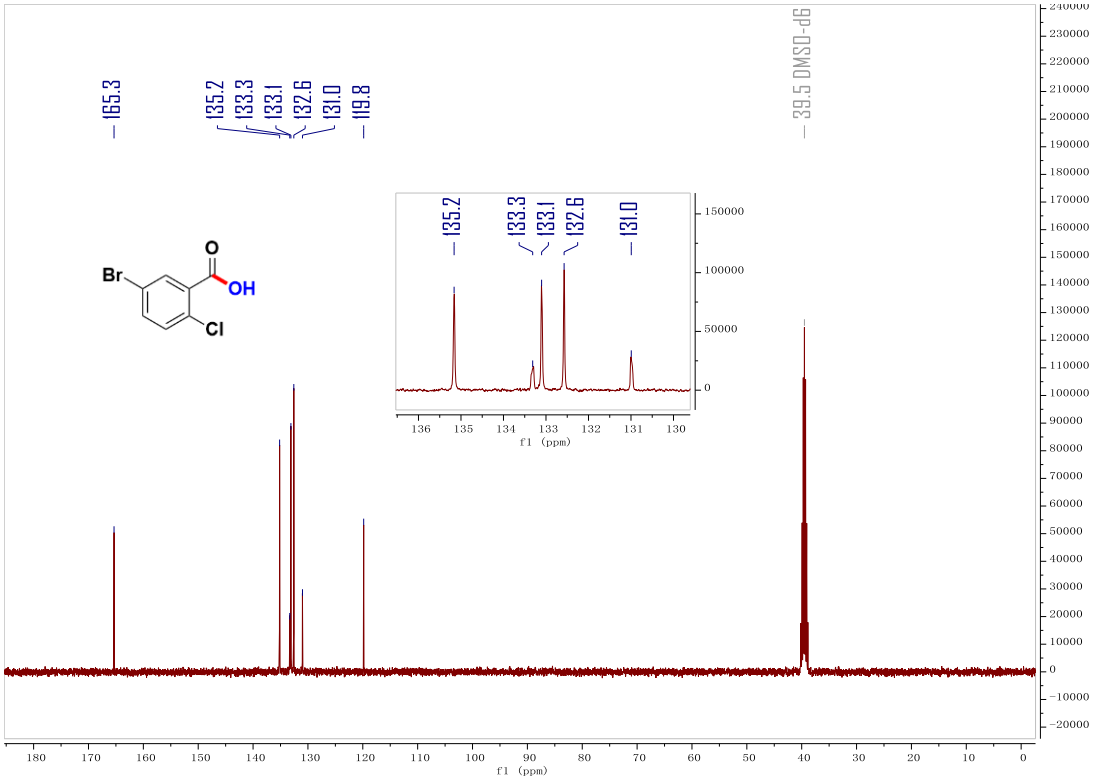
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2p**



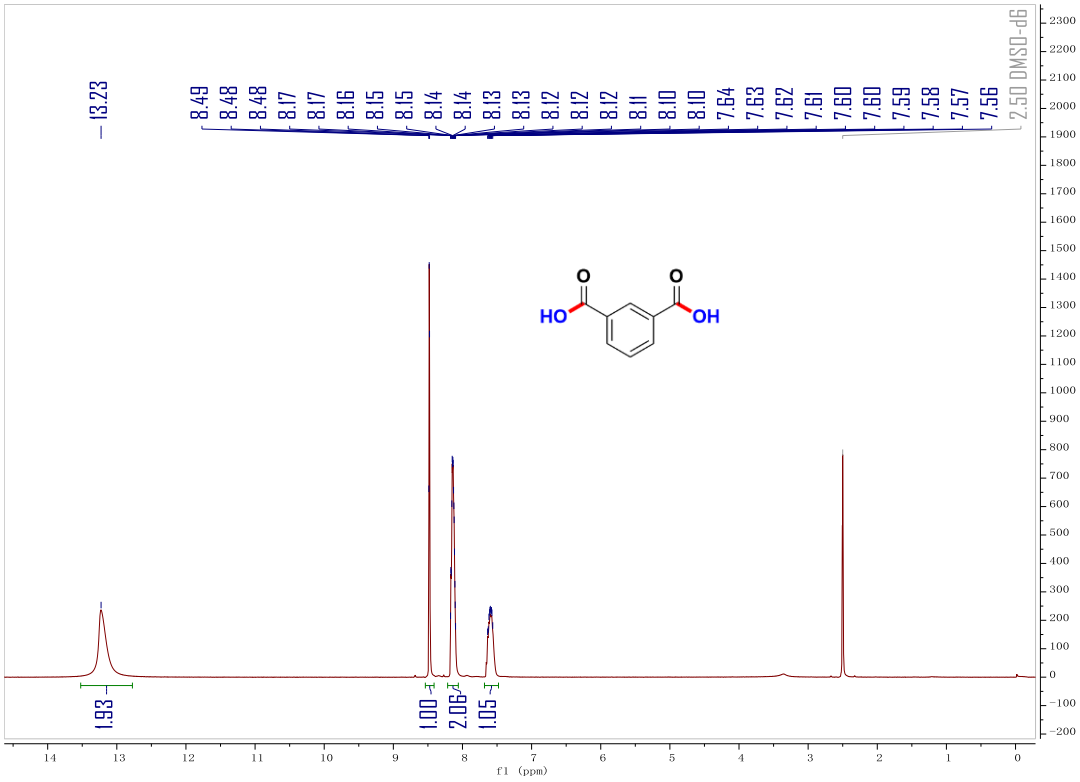
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2q**



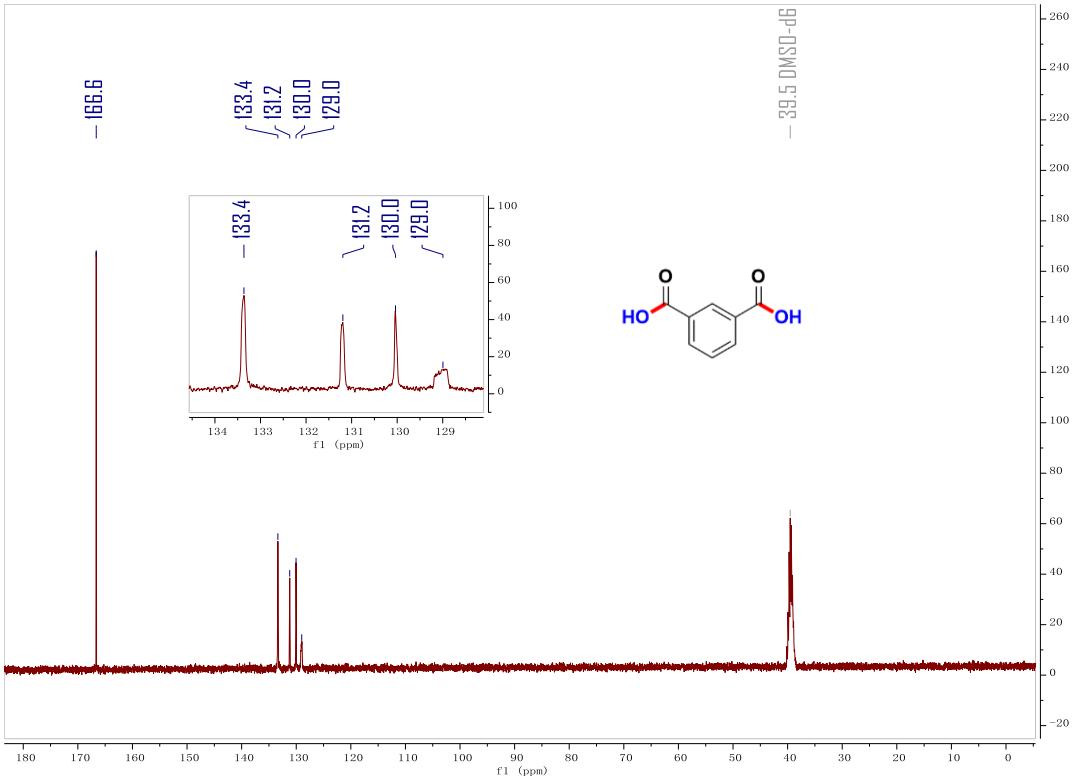
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2q**



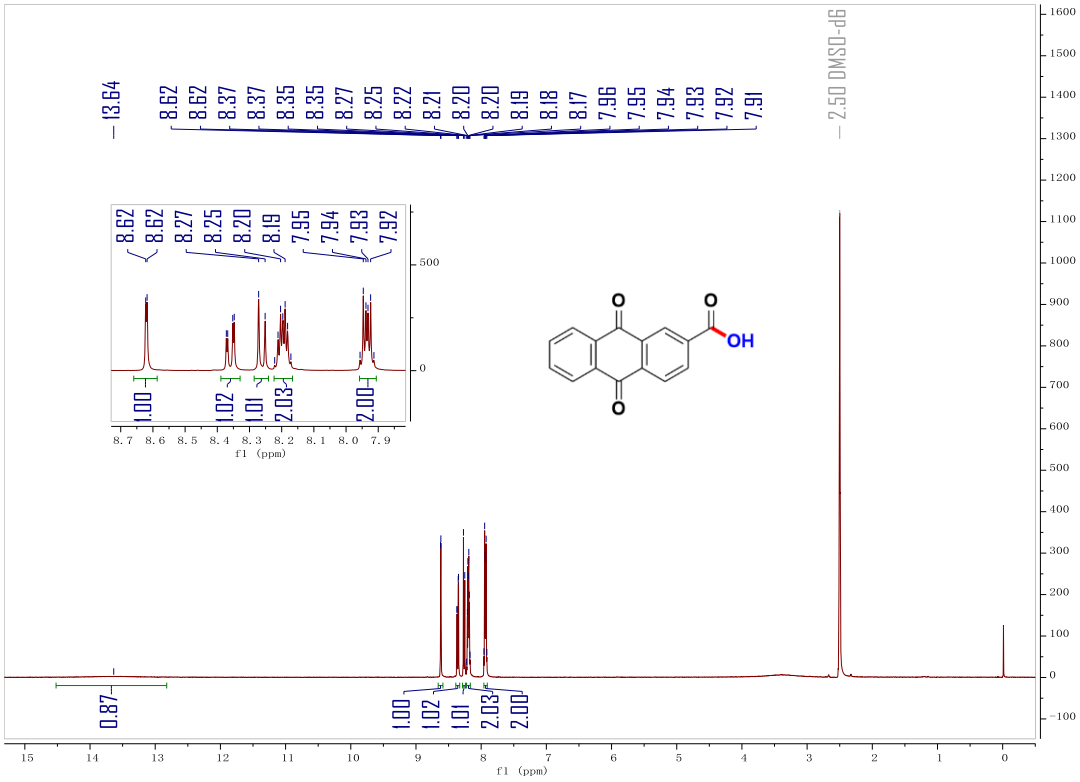
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2r**



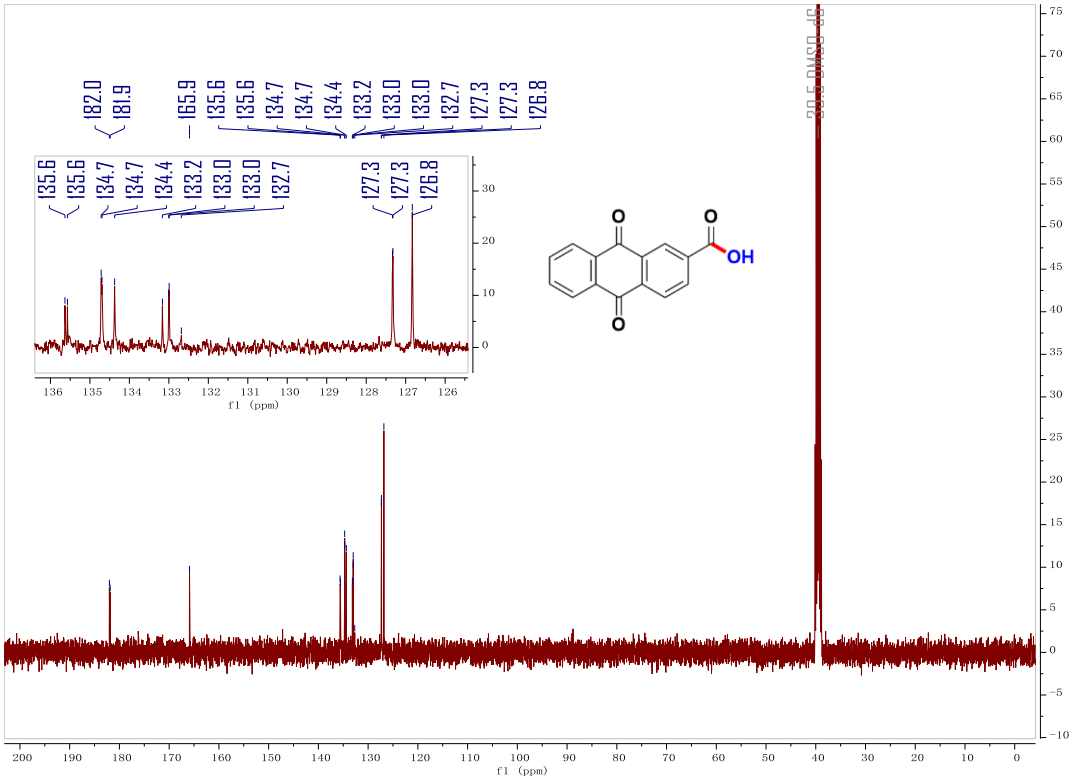
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2r**



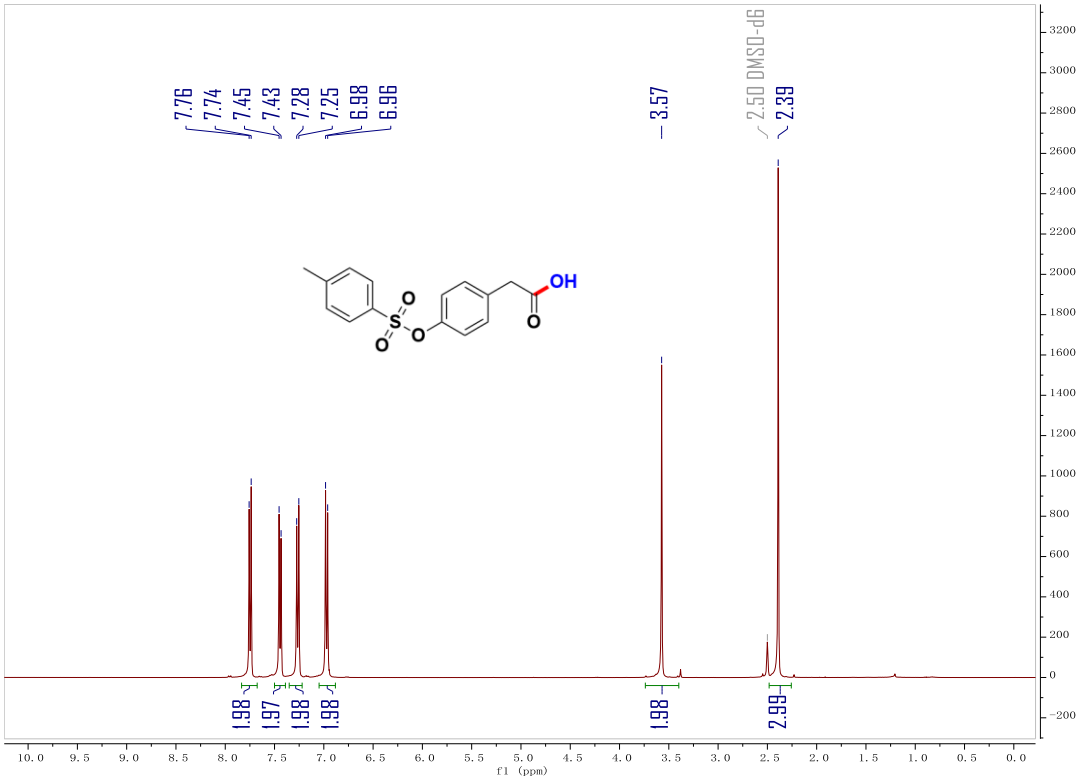
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2s**



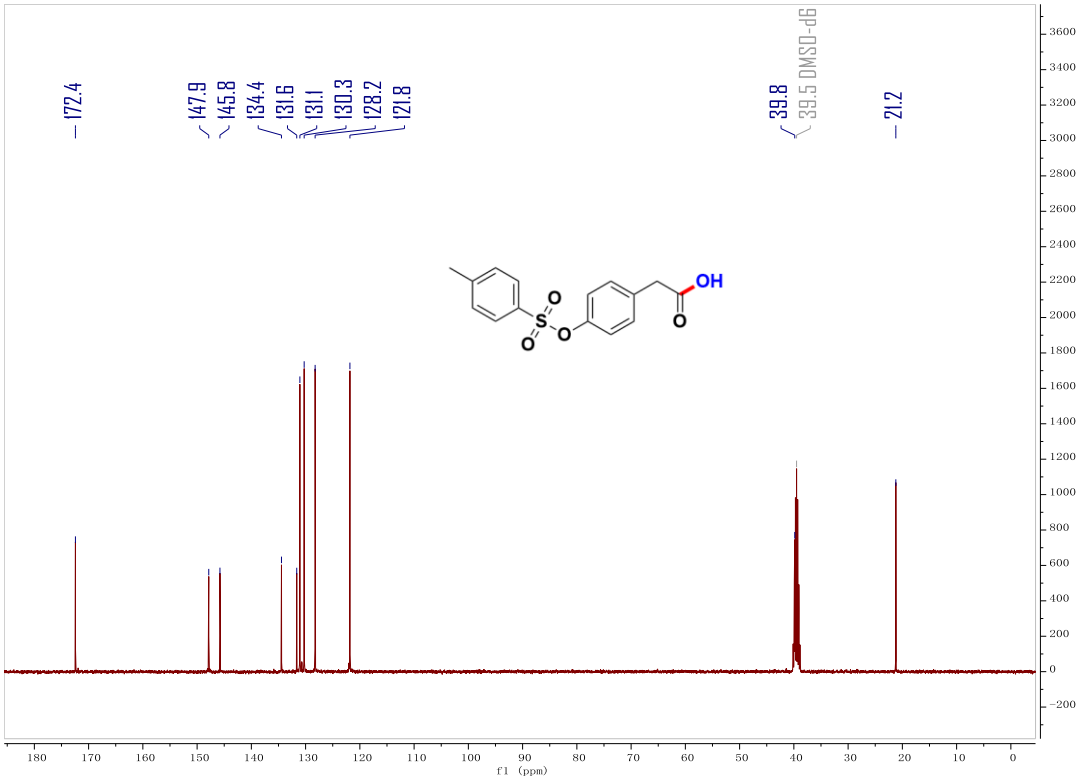
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2s**



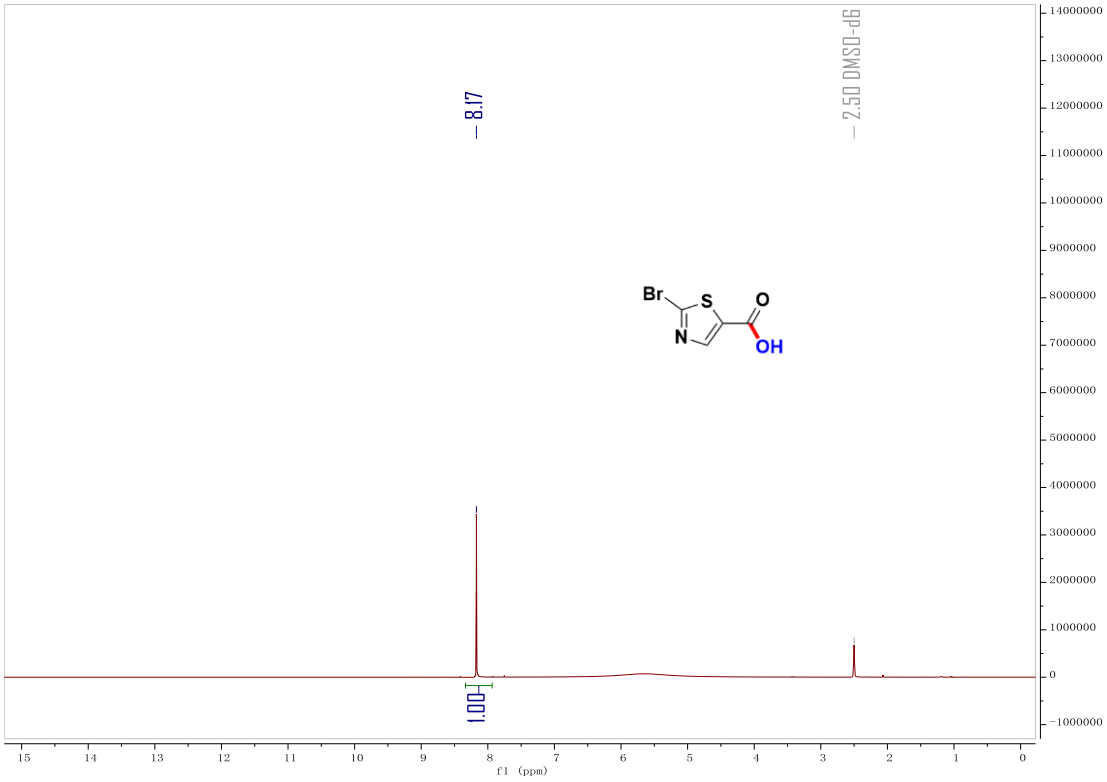
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2t**



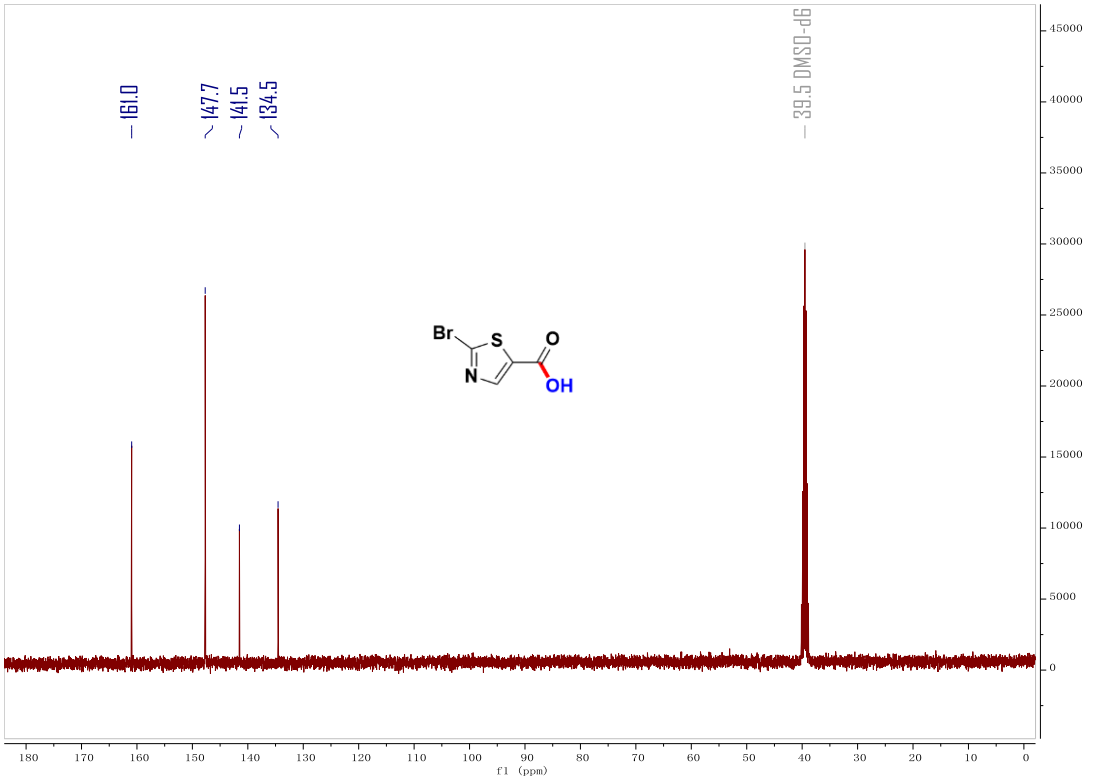
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2t**



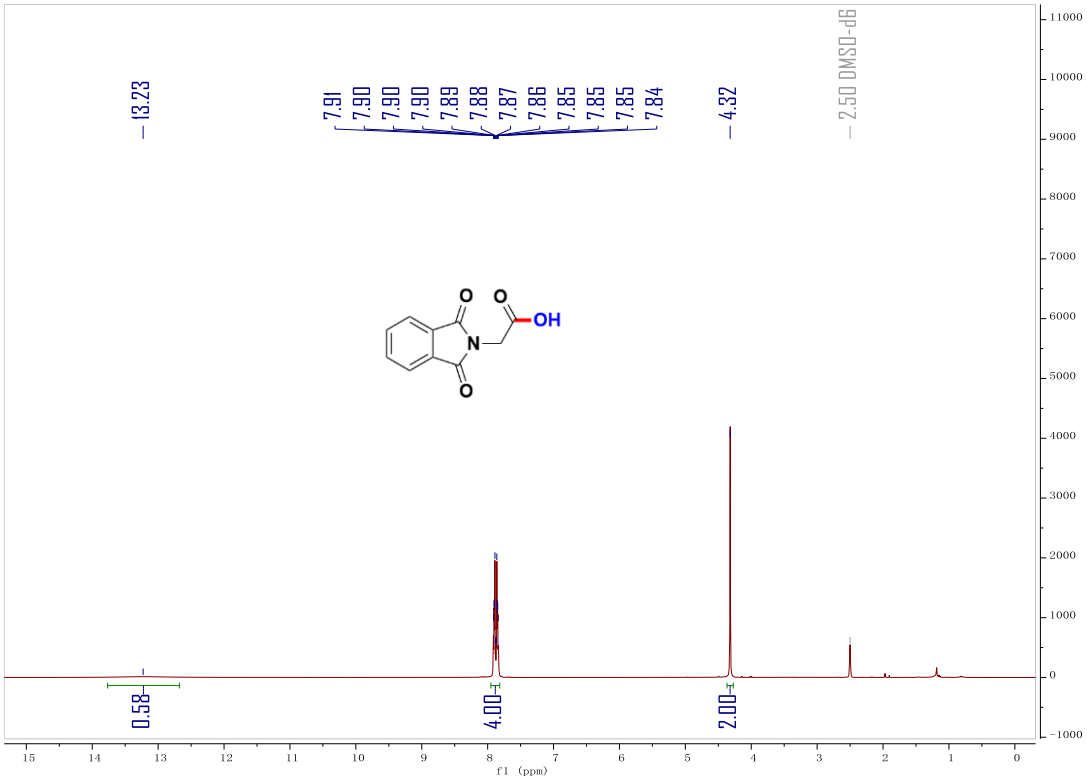
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2u**



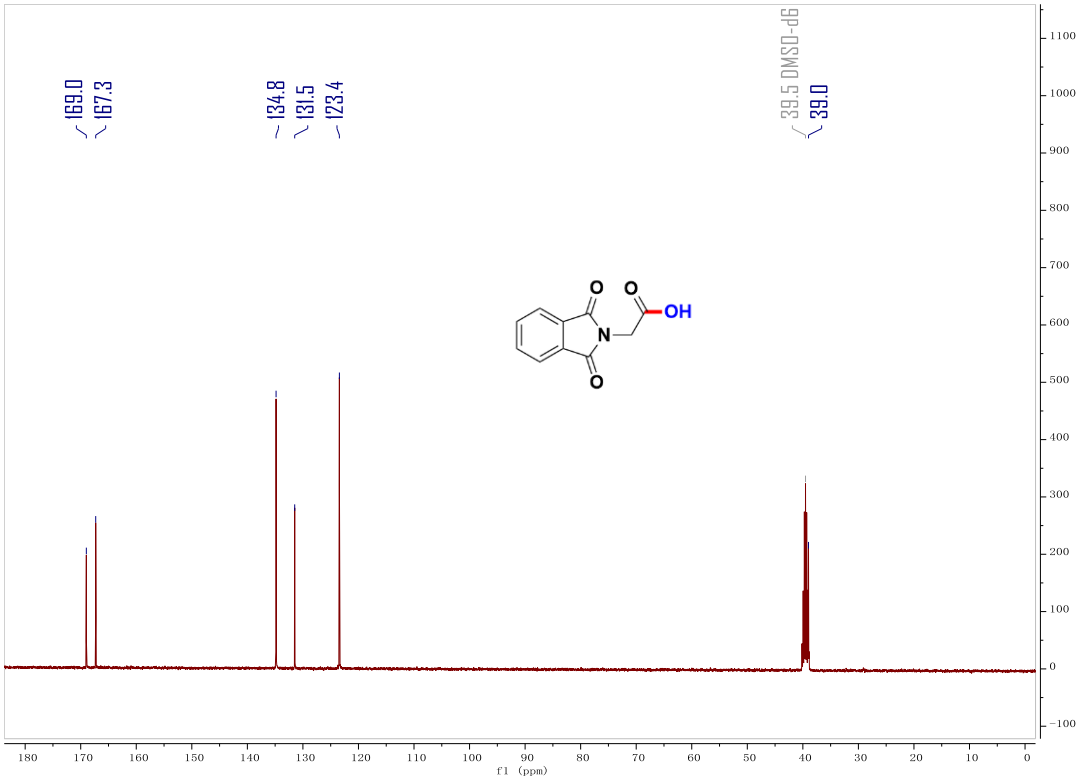
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2u**



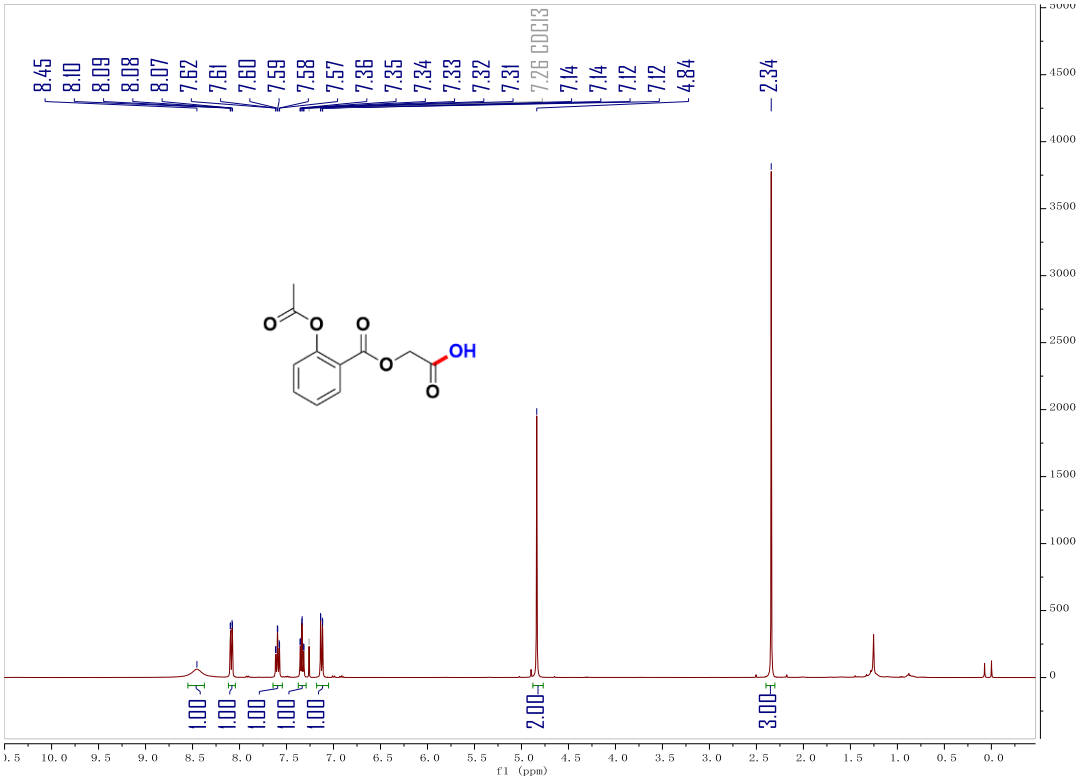
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2v**



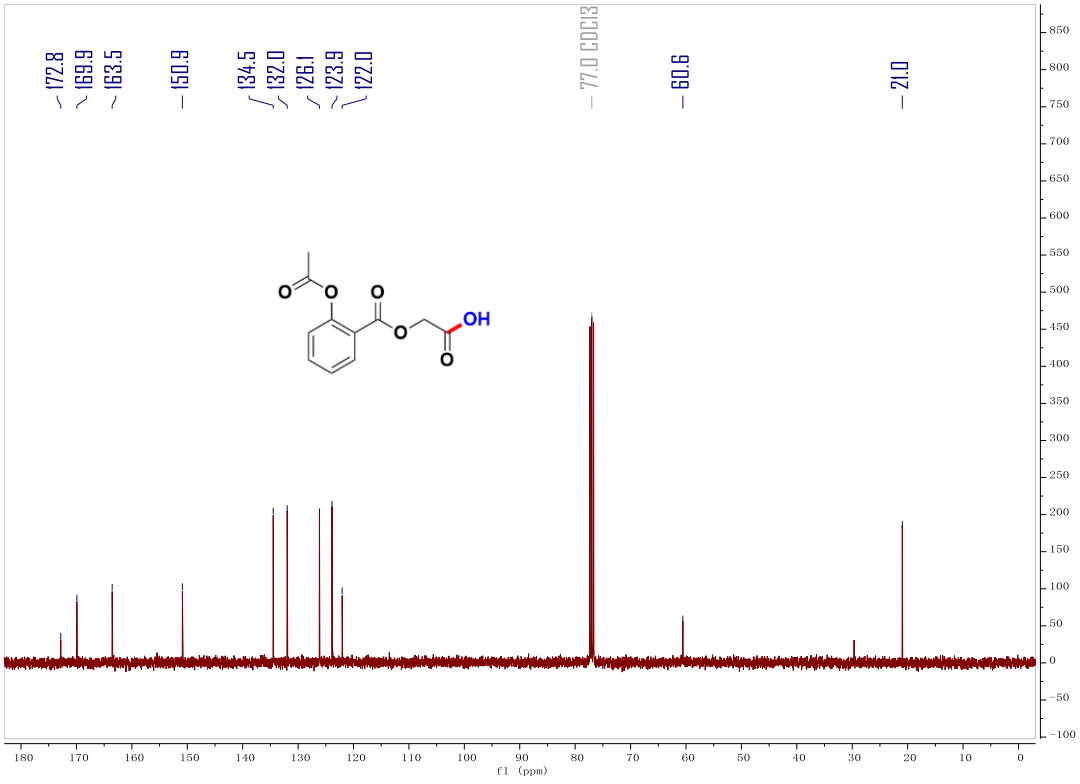
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2v**



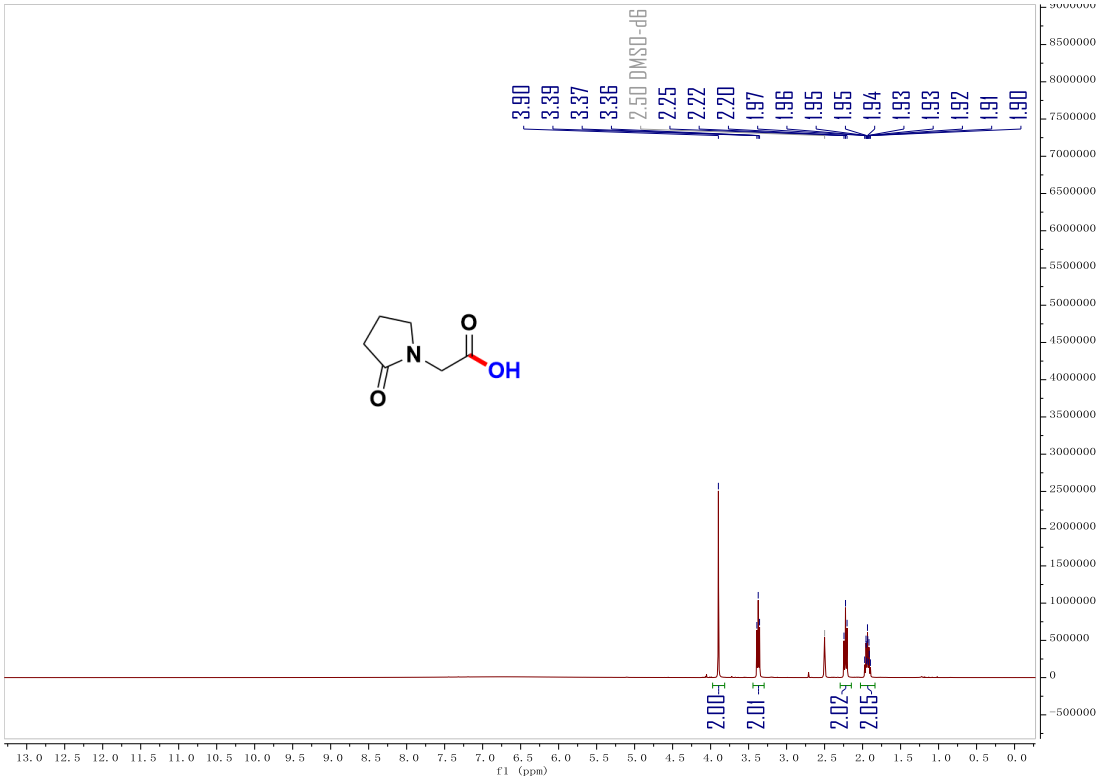
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **2w**



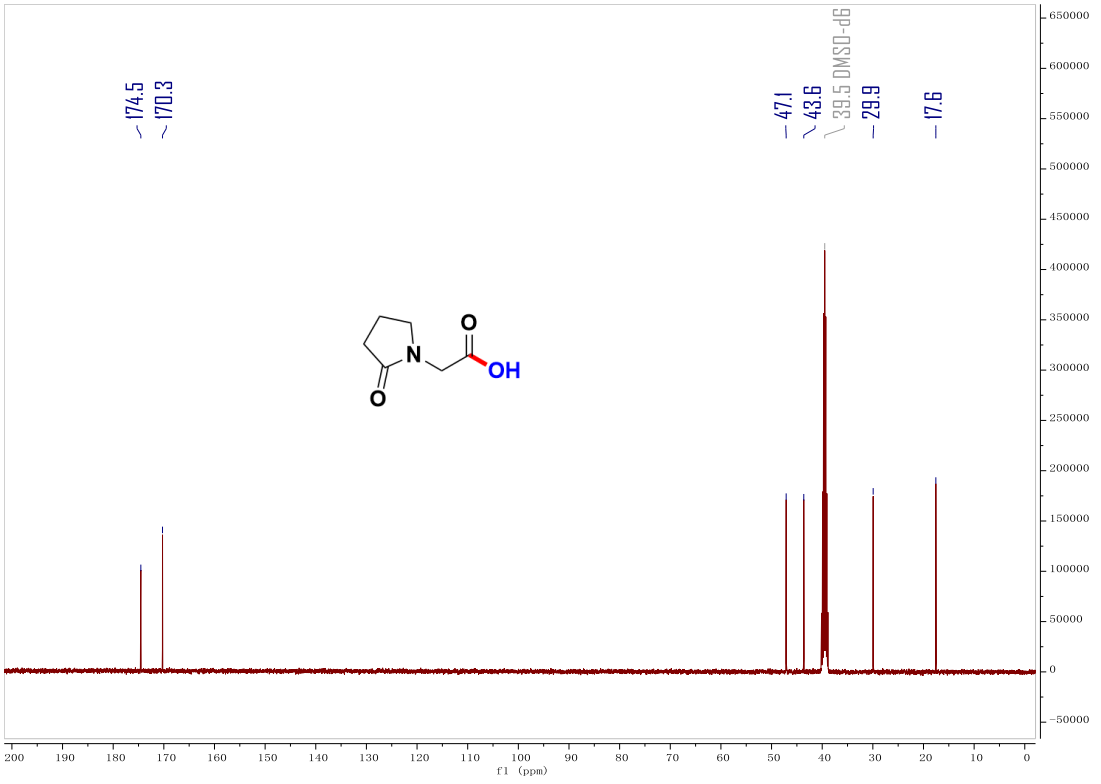
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **2w**



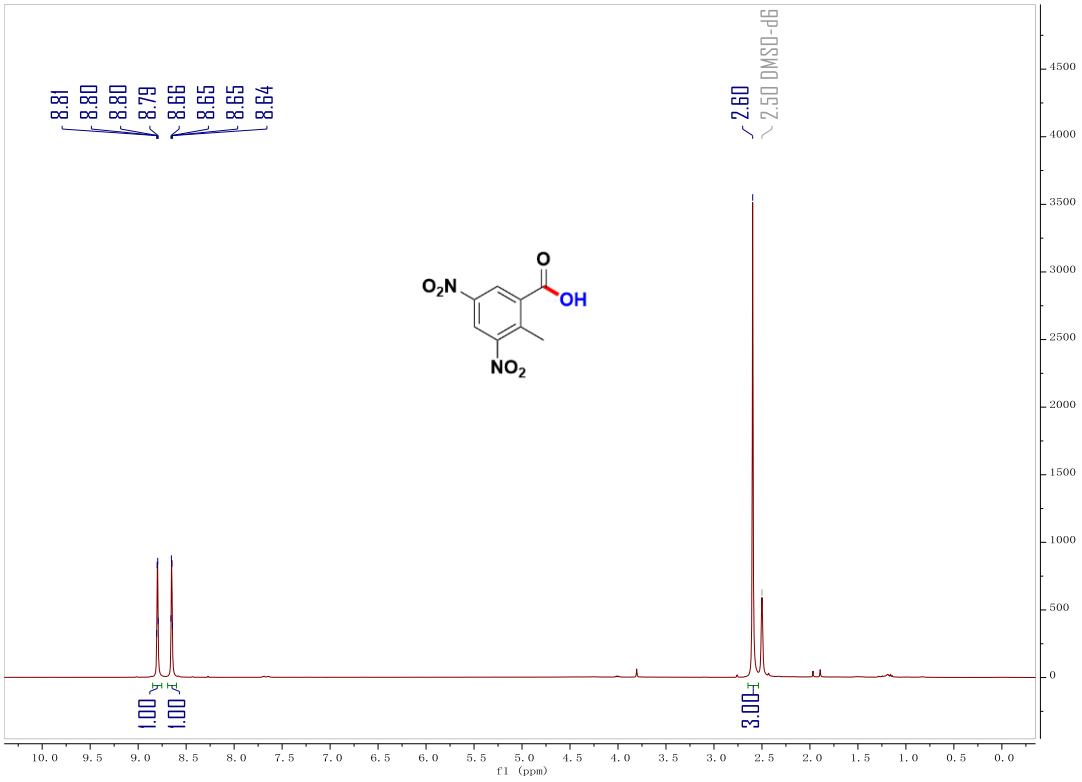
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2x**



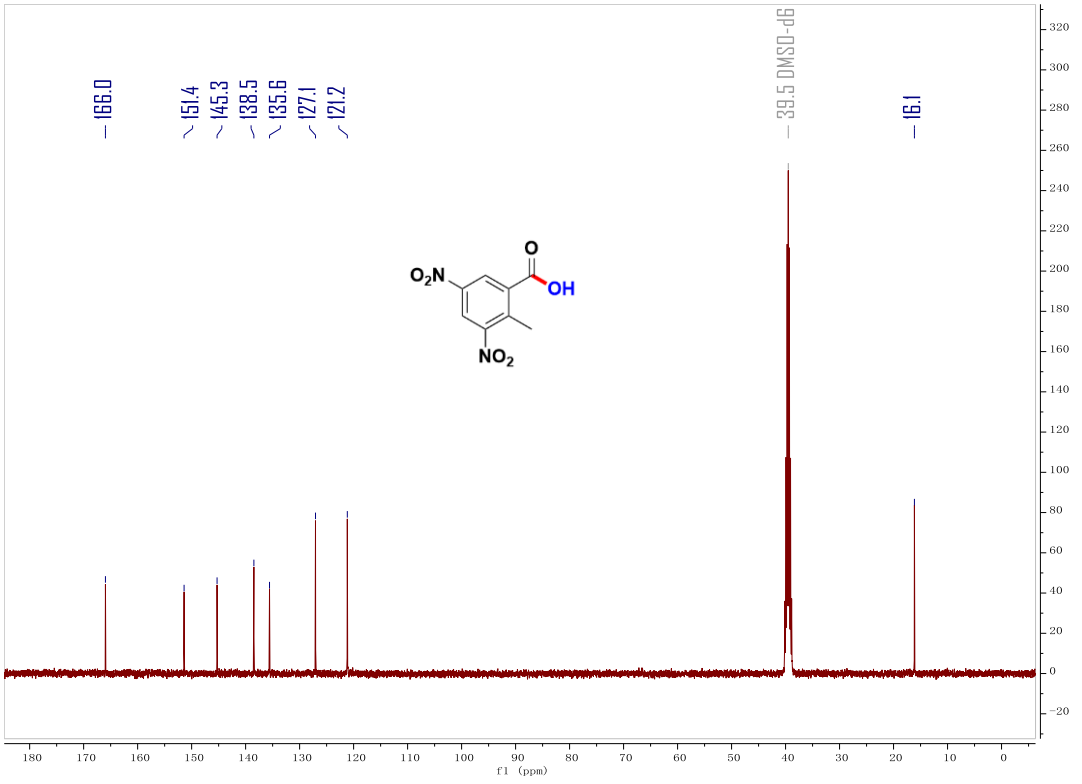
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2x**



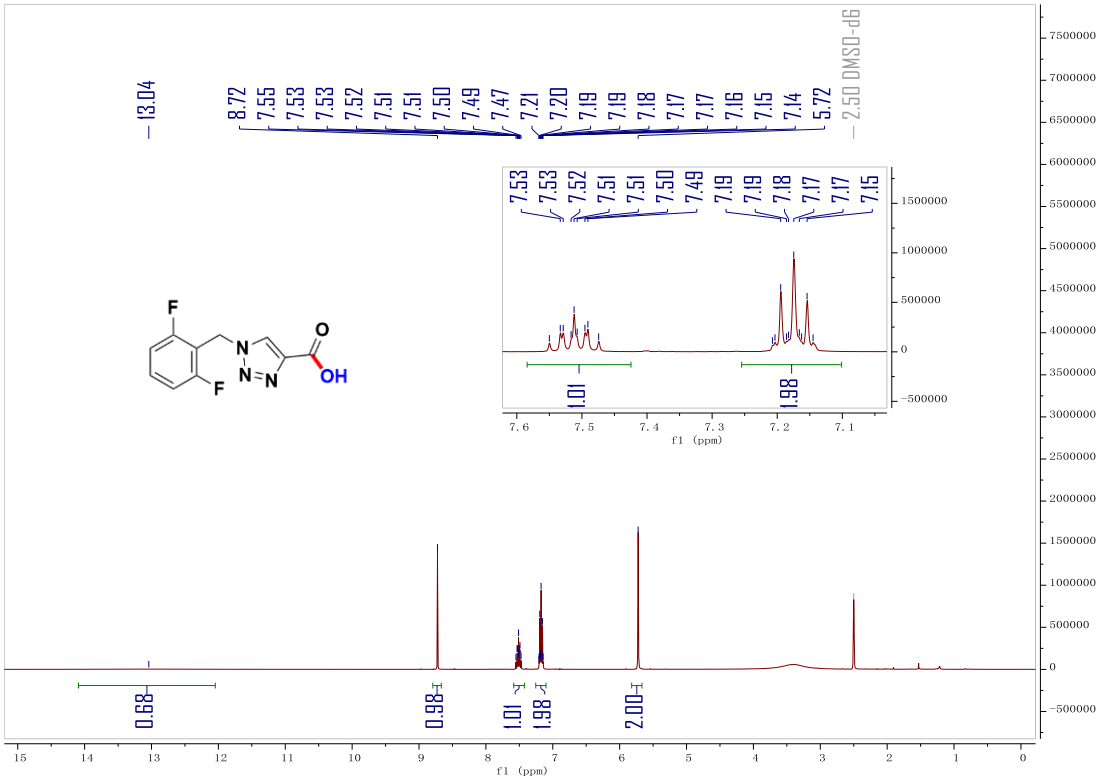
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2y**



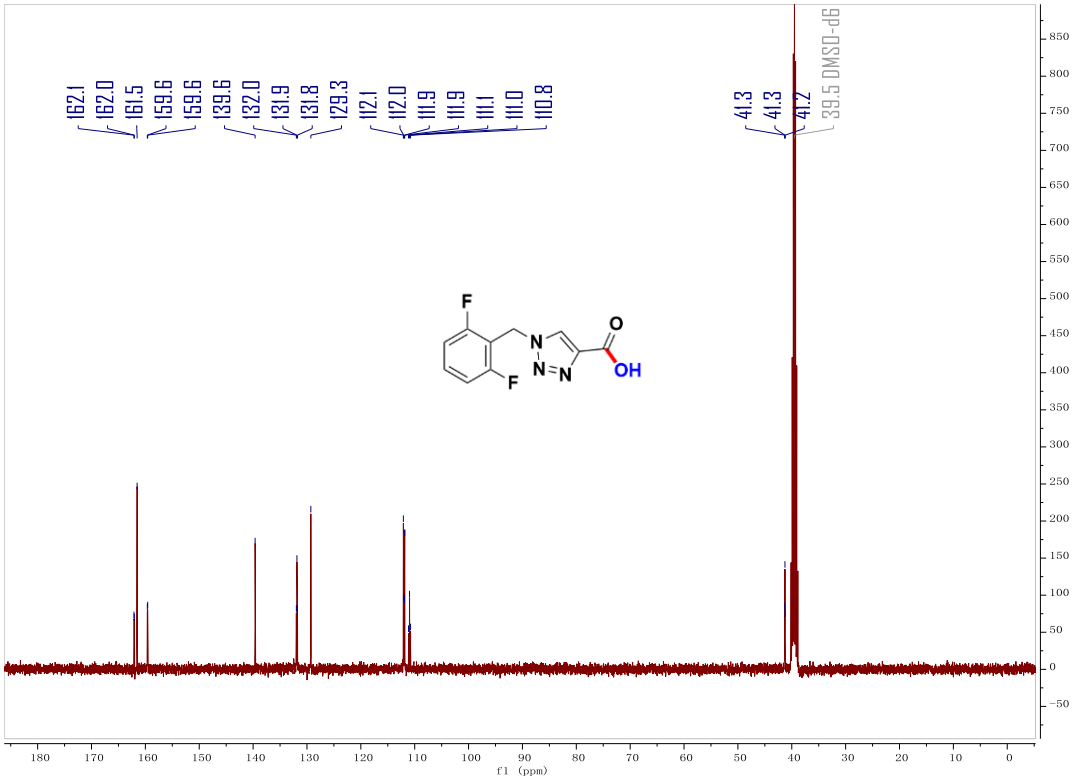
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2y**



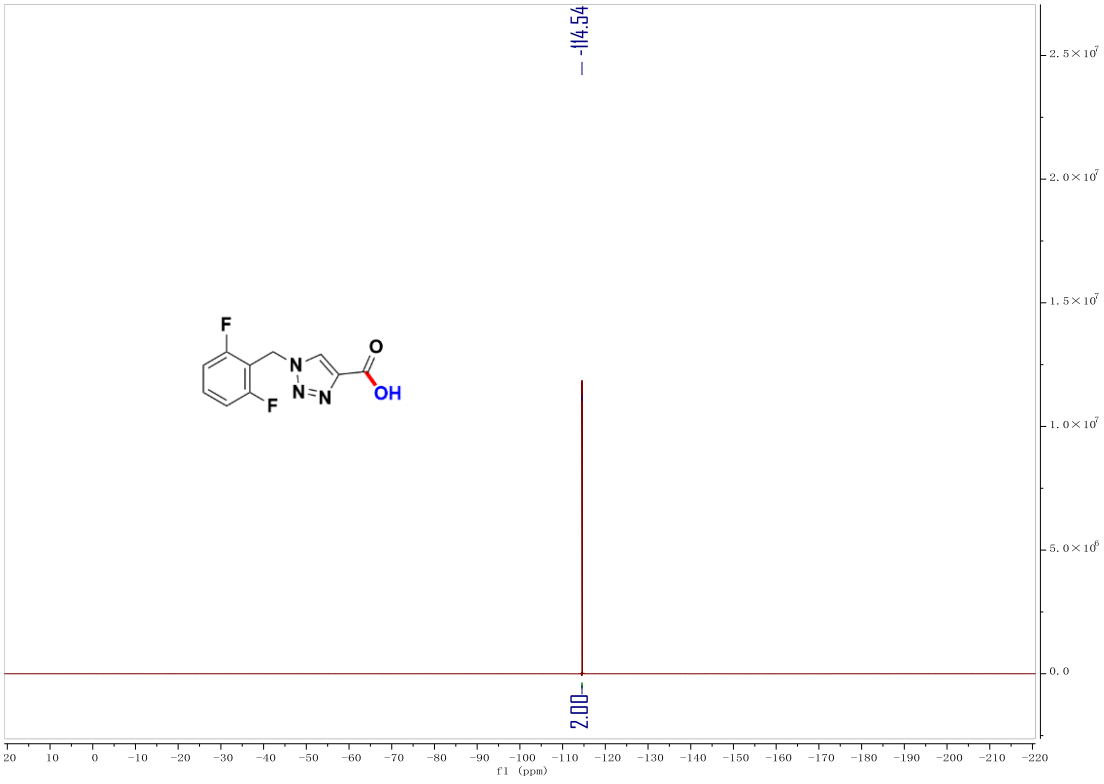
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2z**



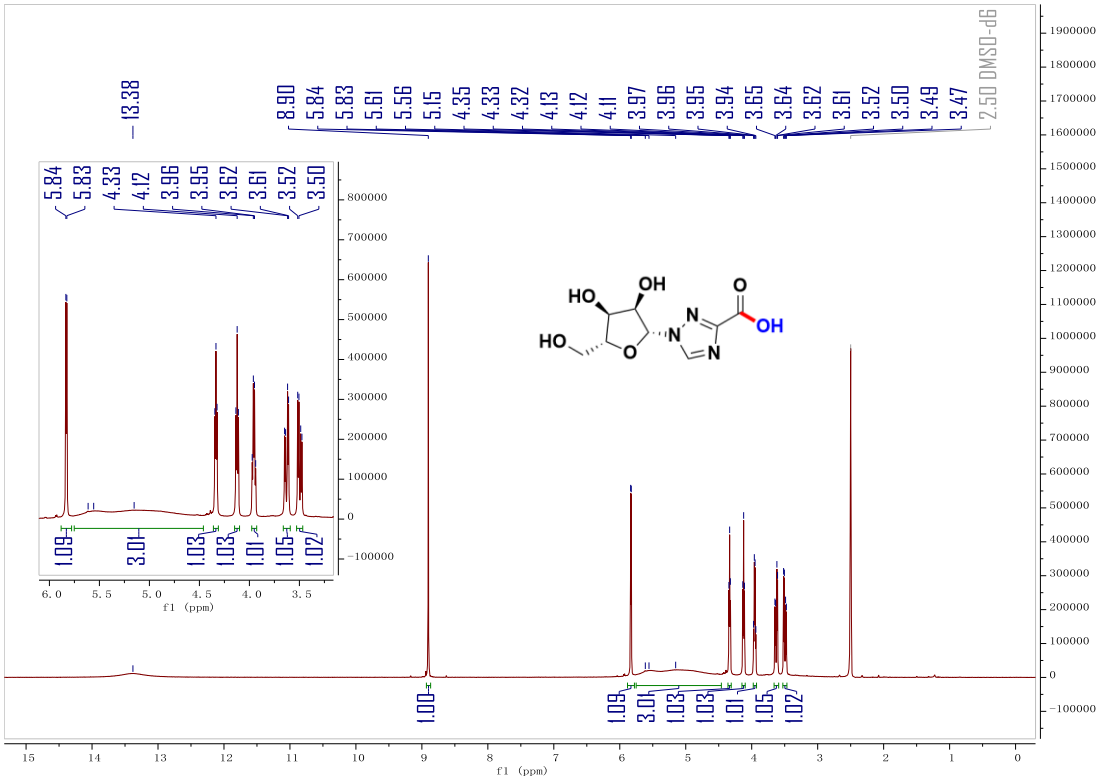
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2z**



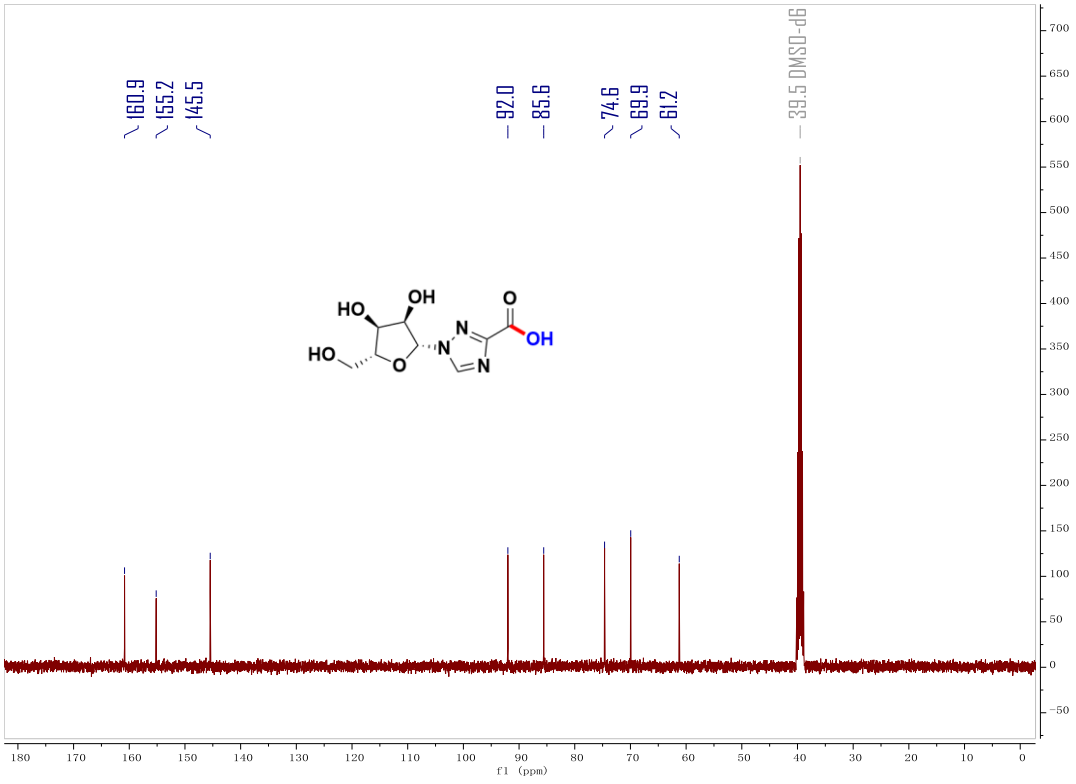
^{19}F NMR (376 MHz, DMSO-d_6) of compound **2z**



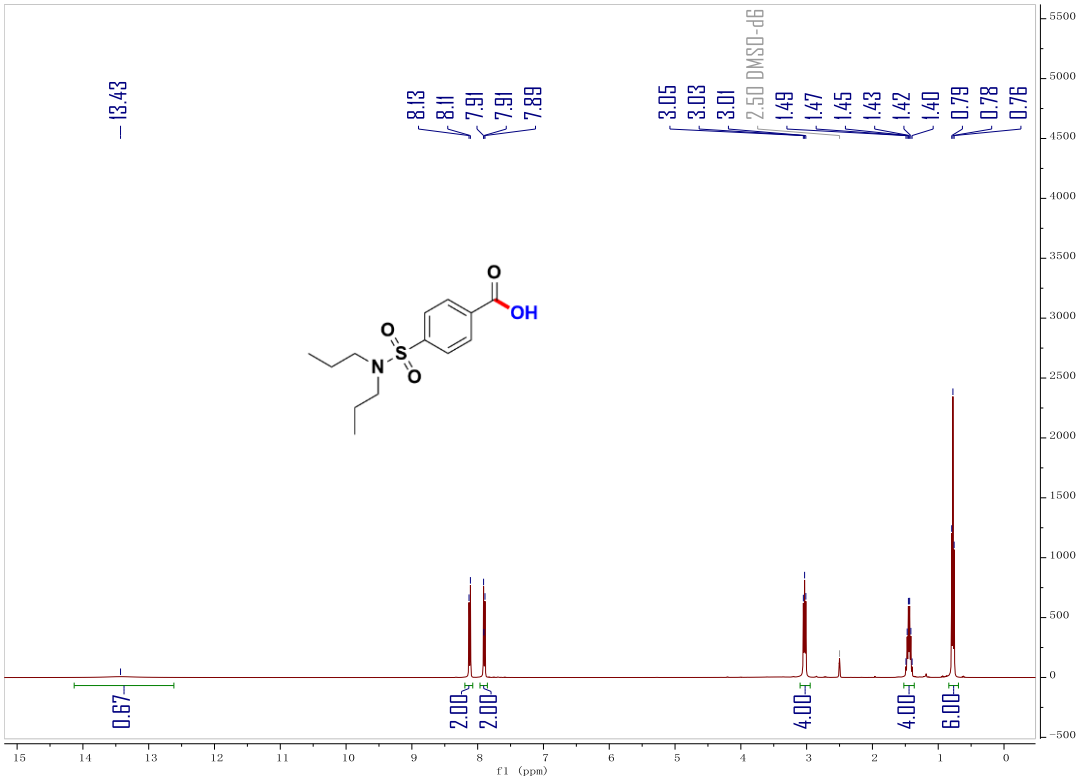
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2aa**



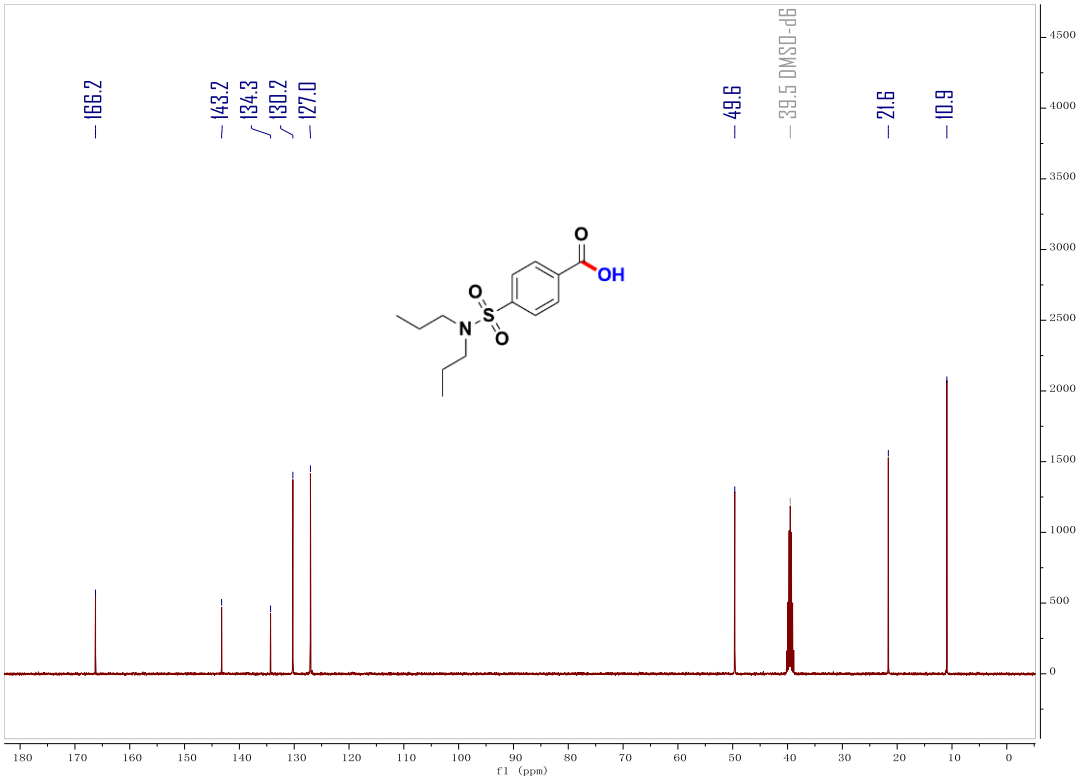
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2aa**



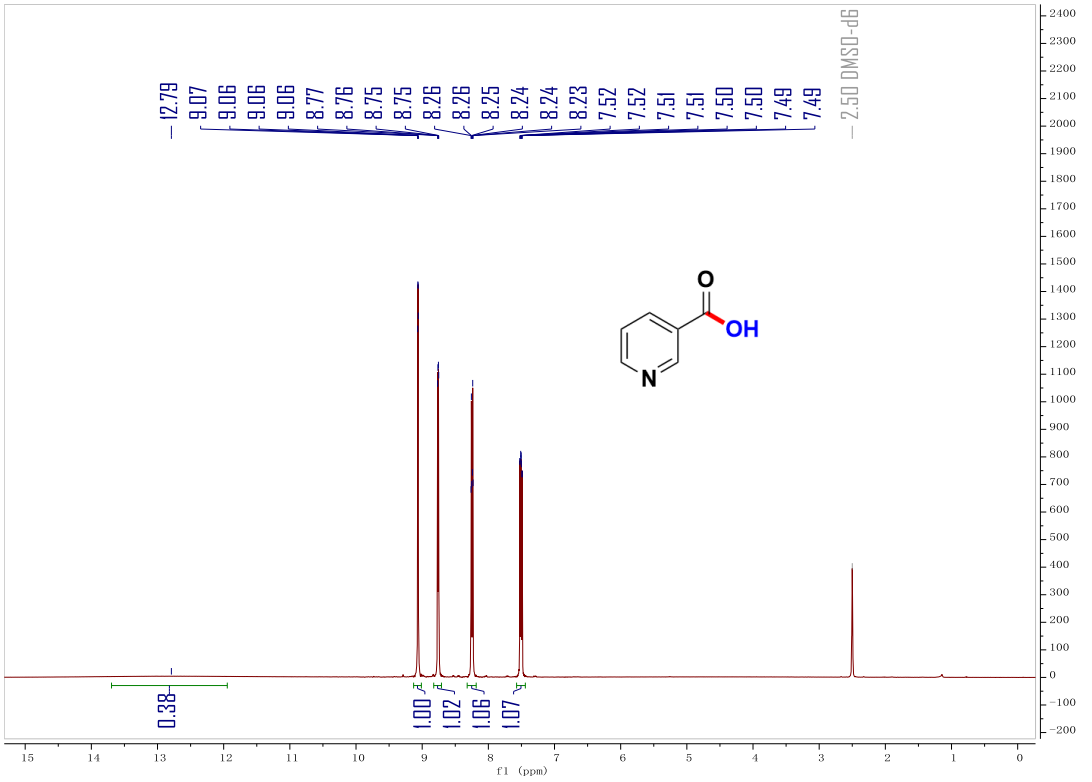
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2ab**



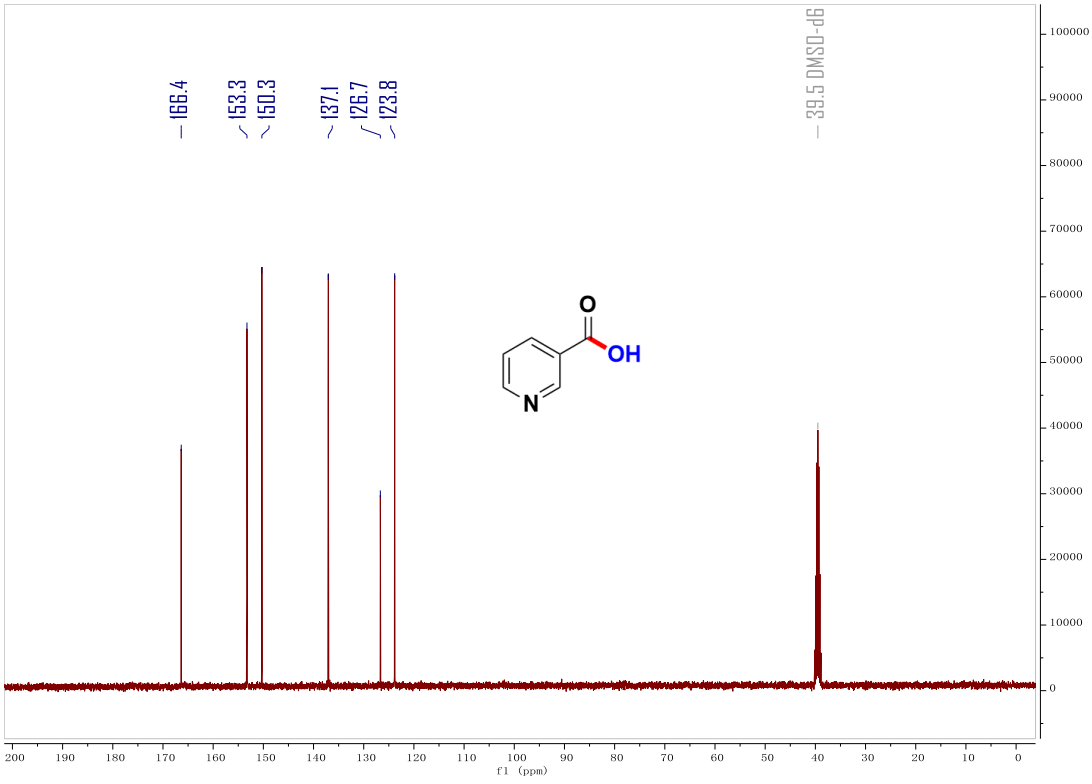
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2ab**



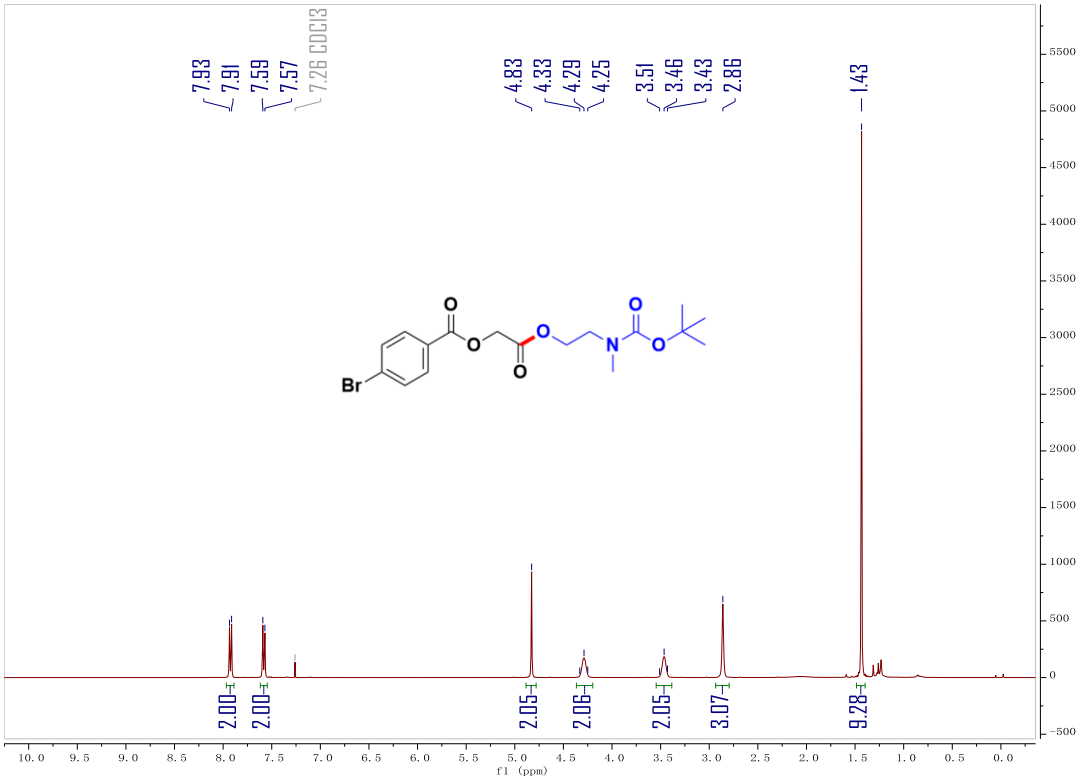
^{1}H NMR (400 MHz, DMSO-d_6) of compound **2ac**



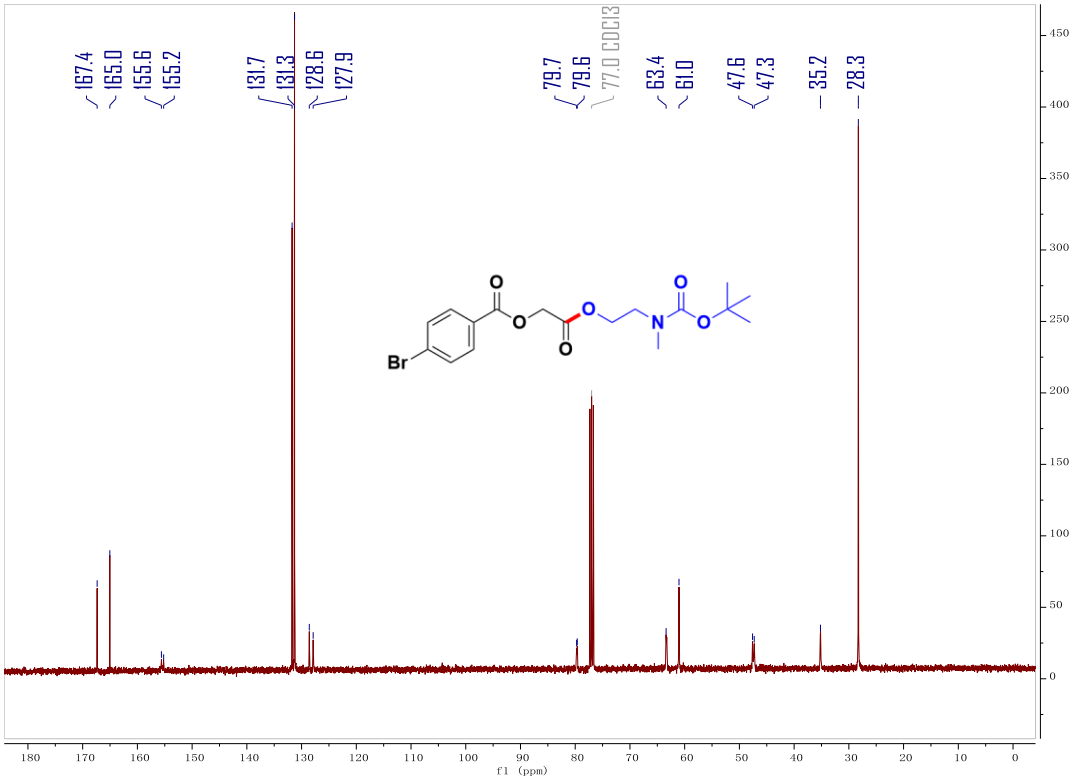
^{13}C NMR (100 MHz, DMSO-d_6) of compound **2ac**



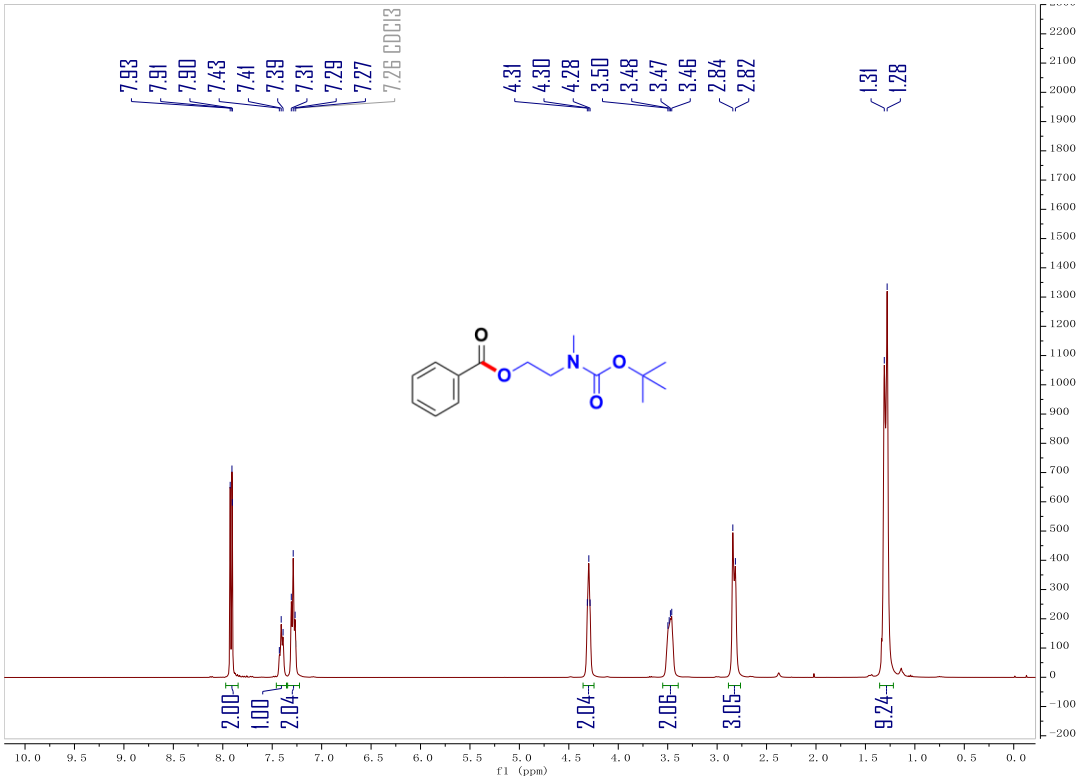
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5a**



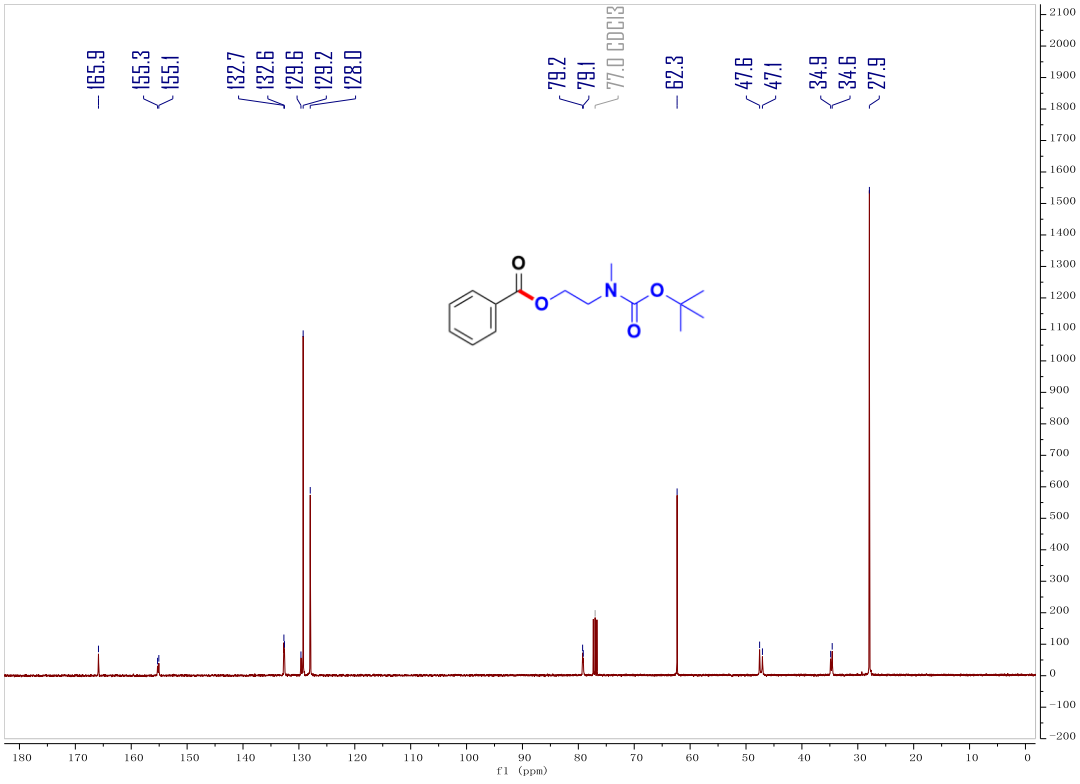
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5a**



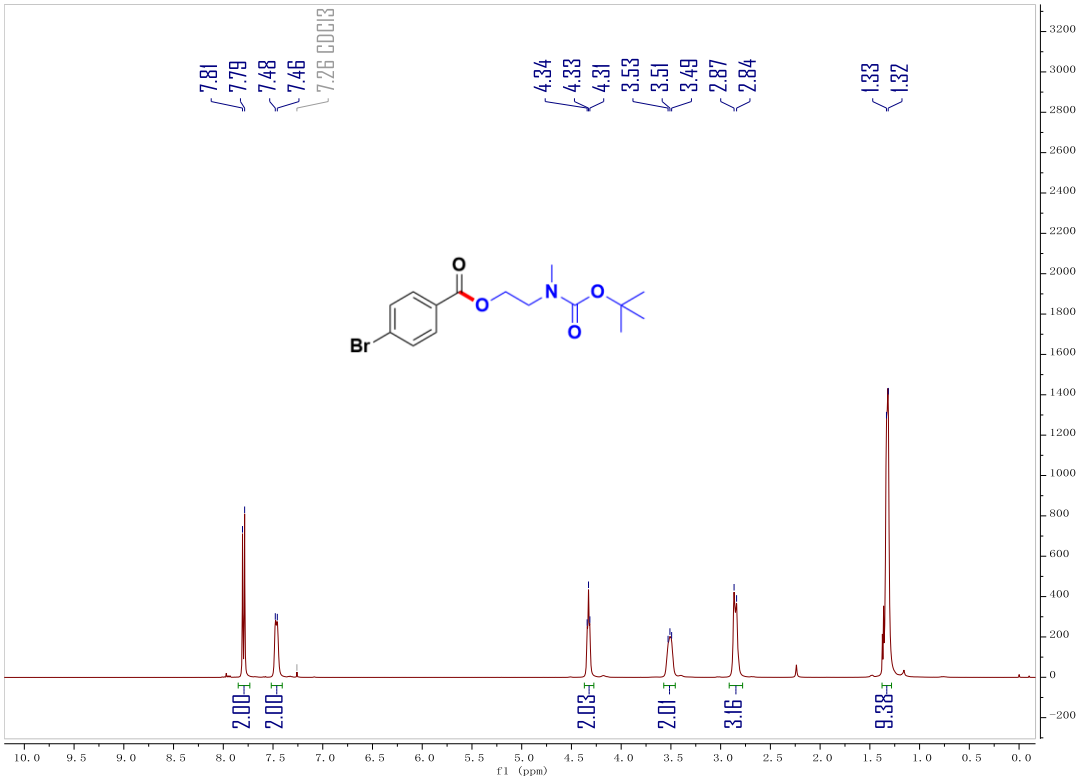
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5b**



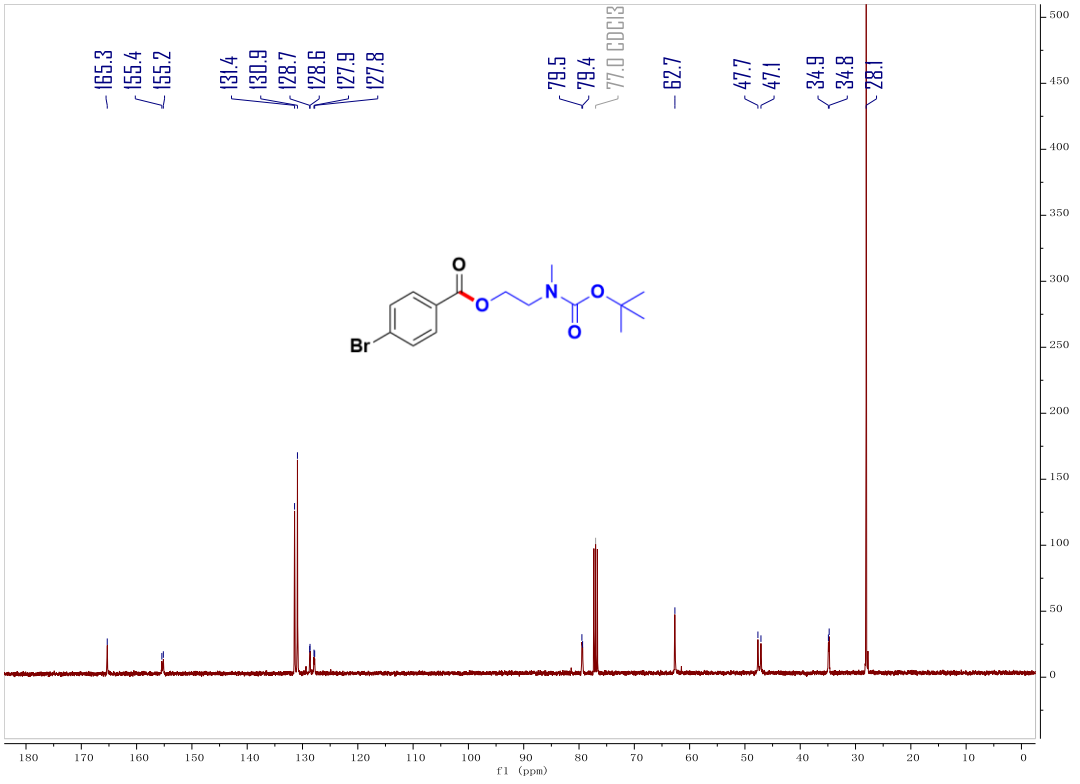
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5b**



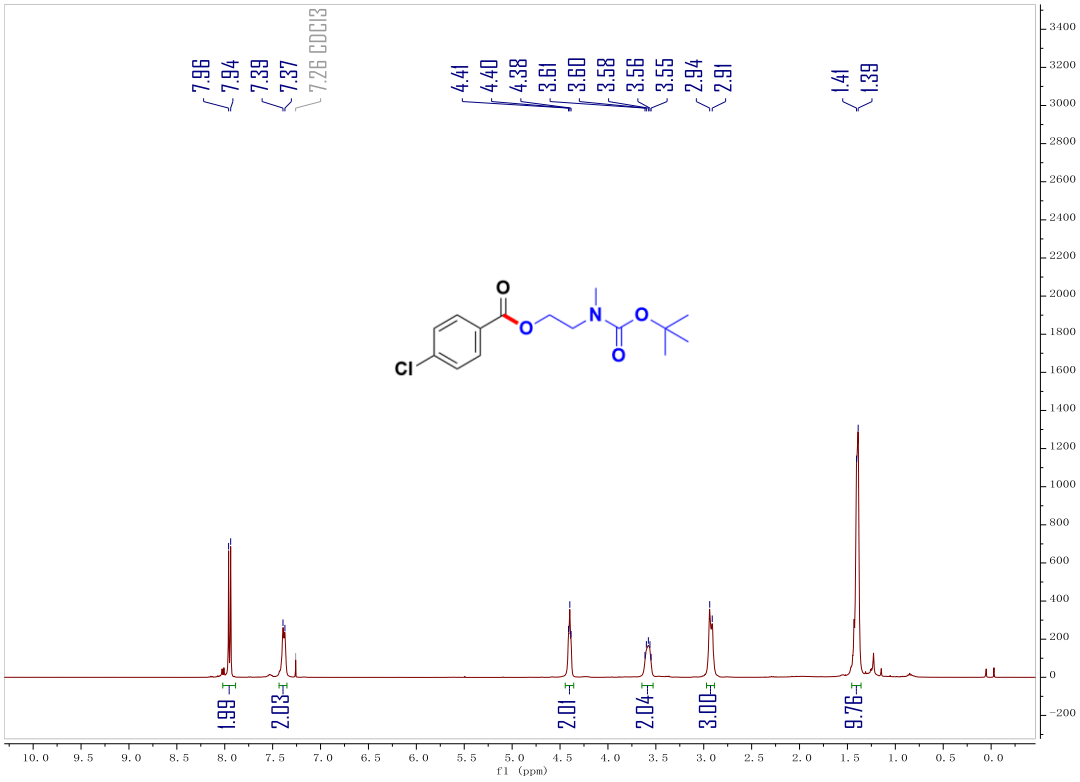
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5c**



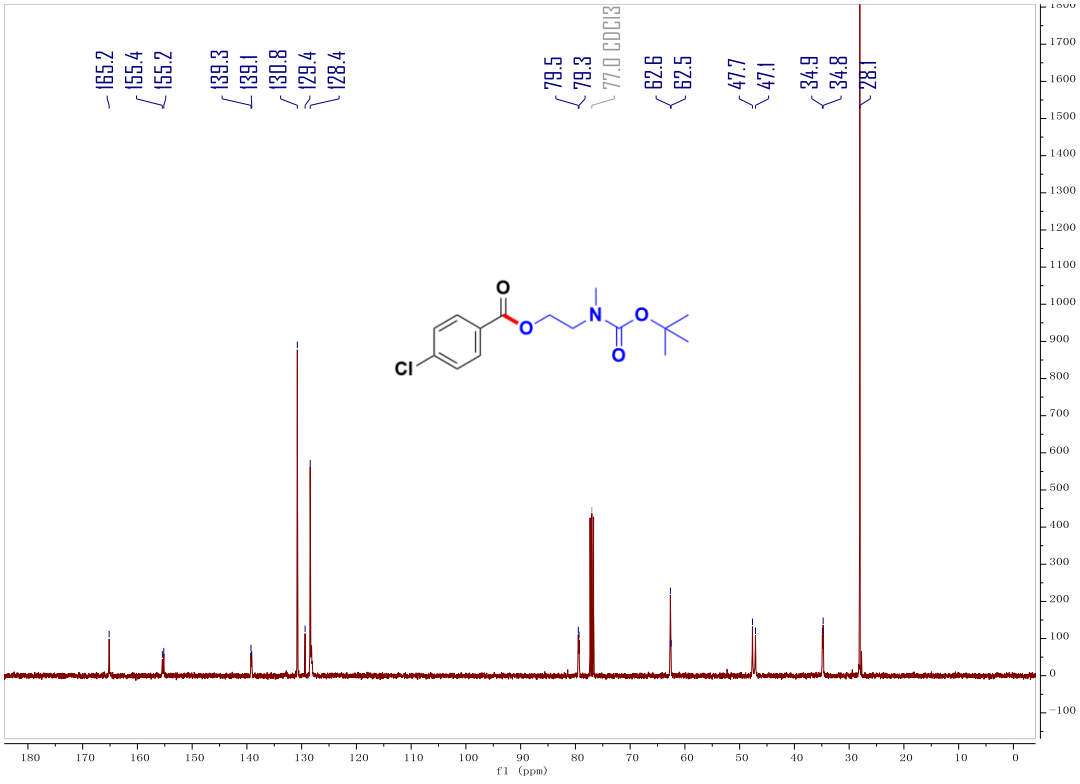
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5c**



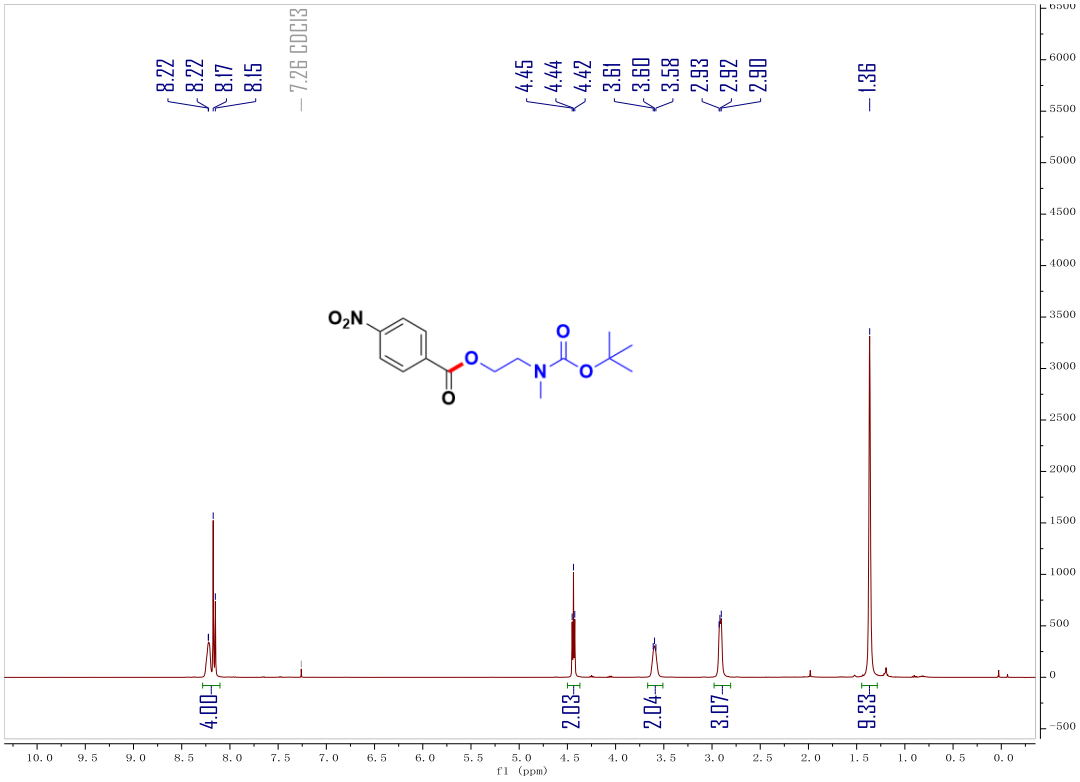
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5d**



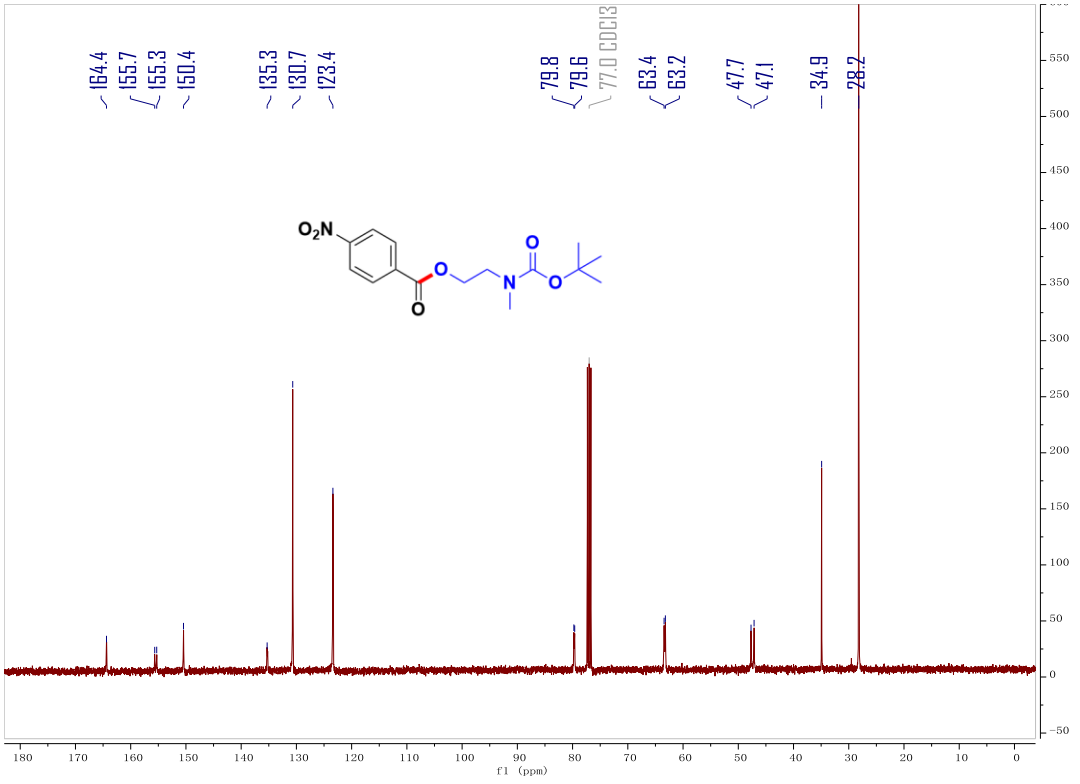
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5d**



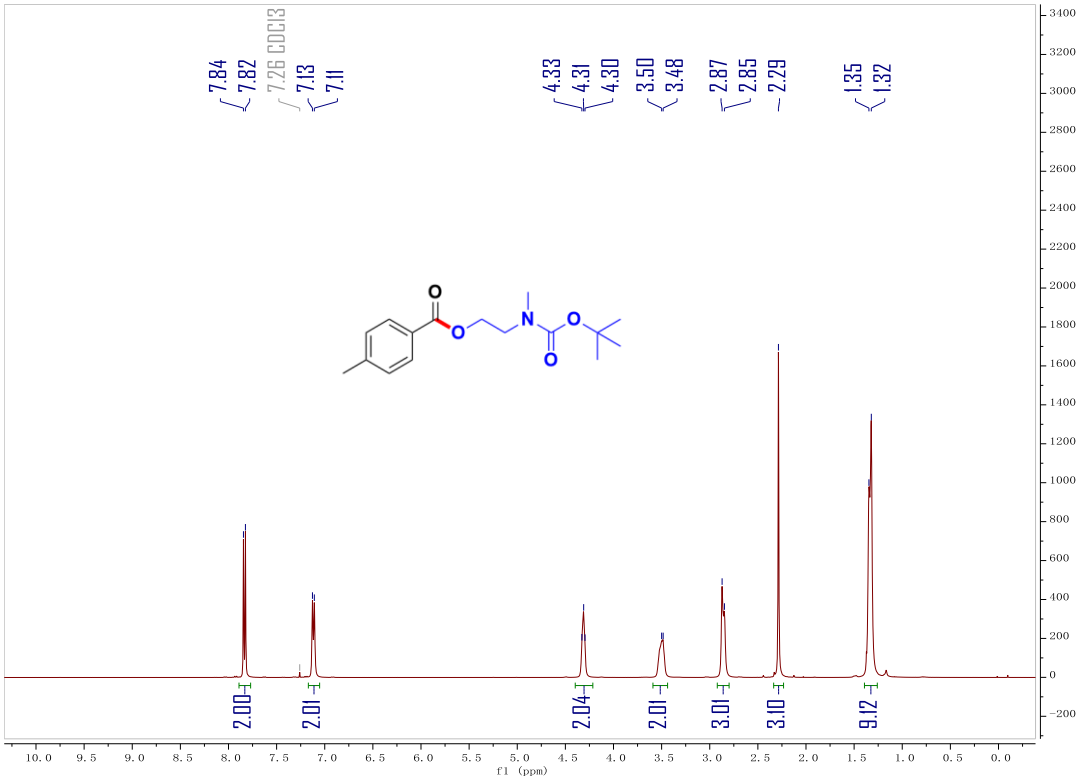
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5e**



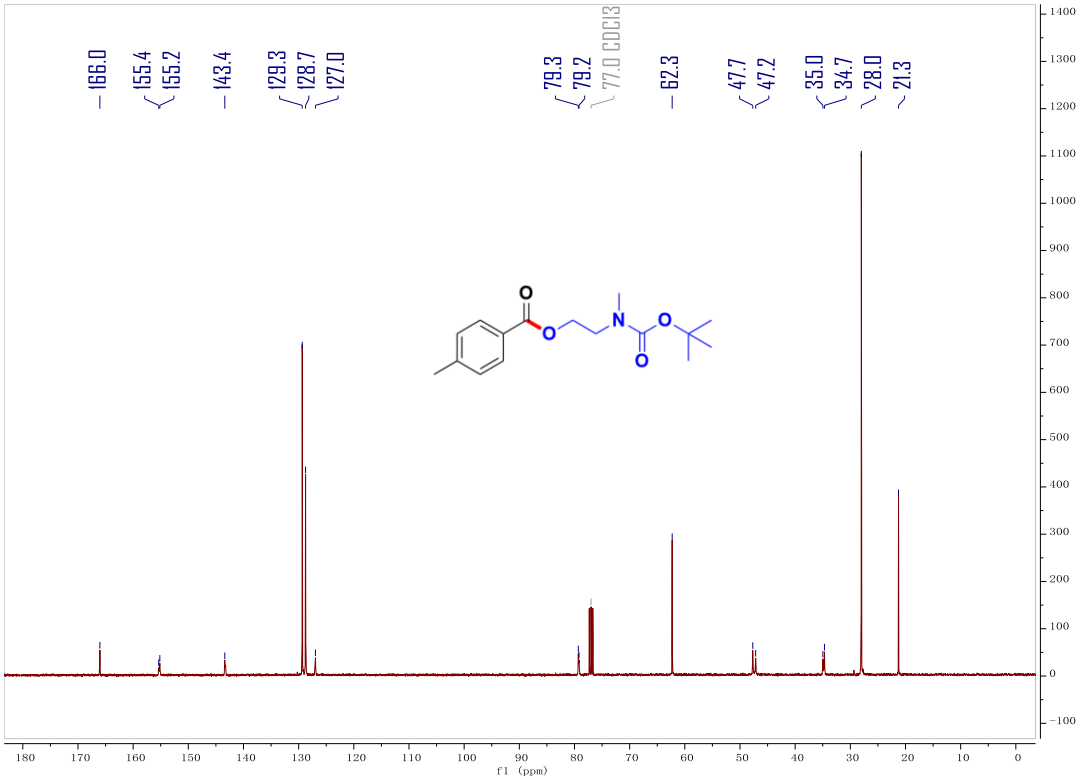
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5e**



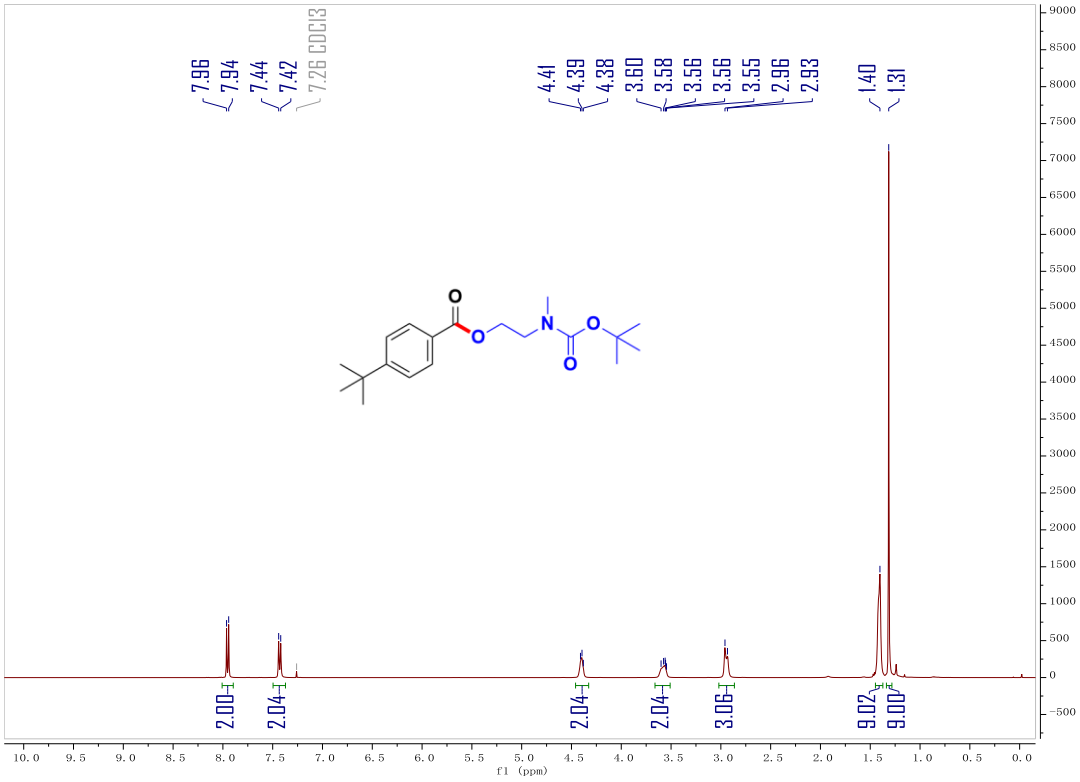
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5f**



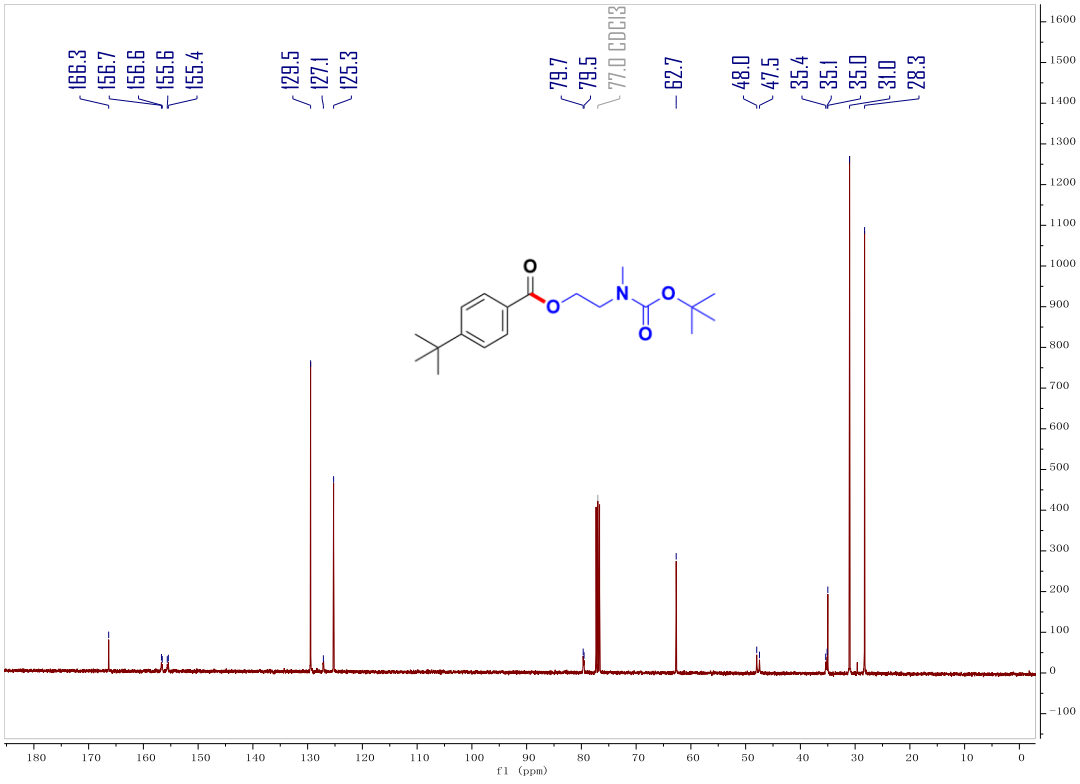
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5f**



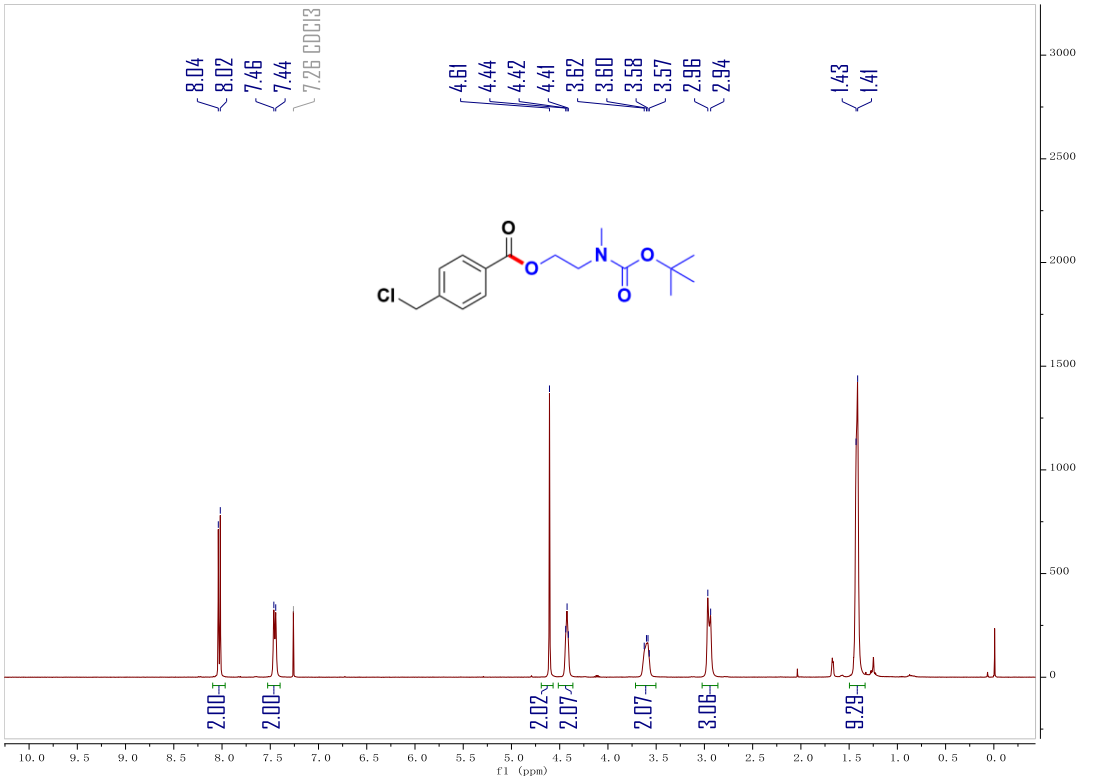
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5g**



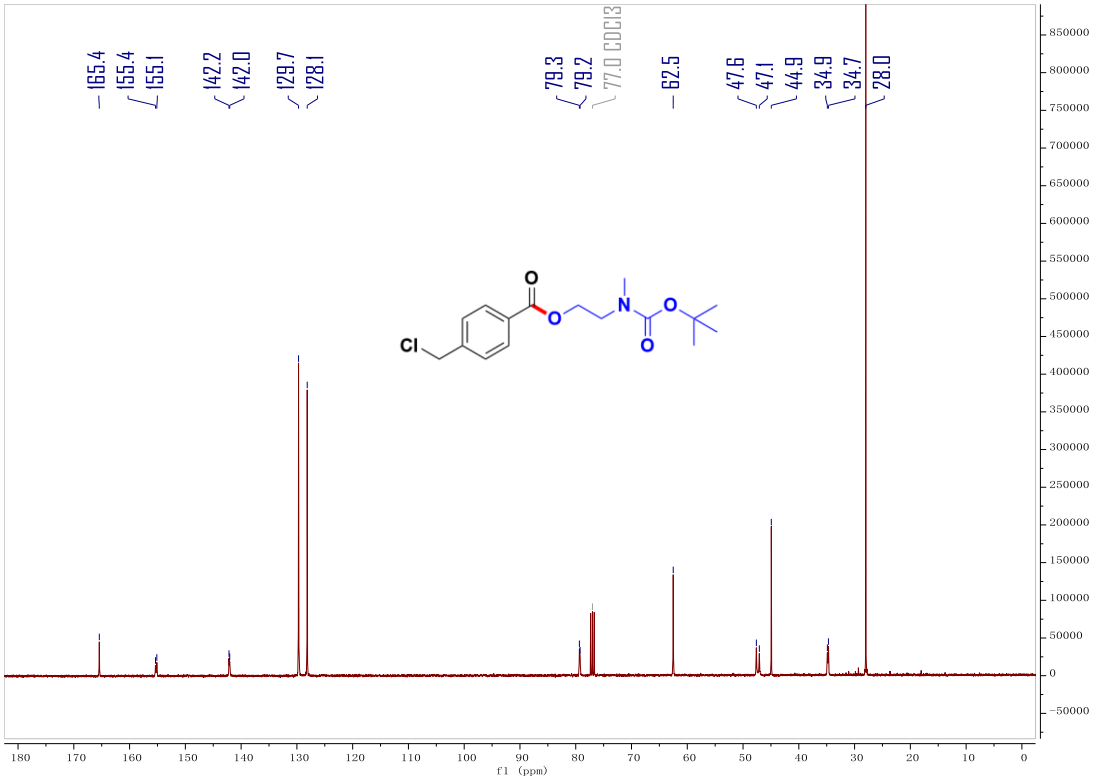
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5g**



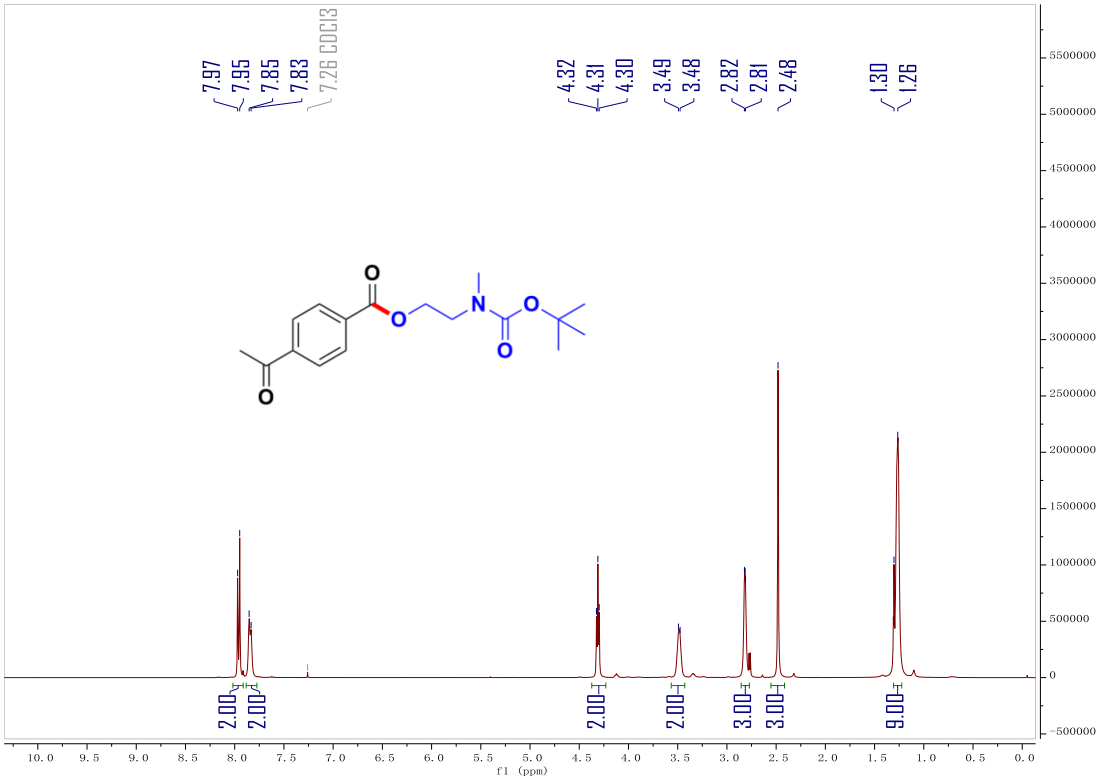
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5h**



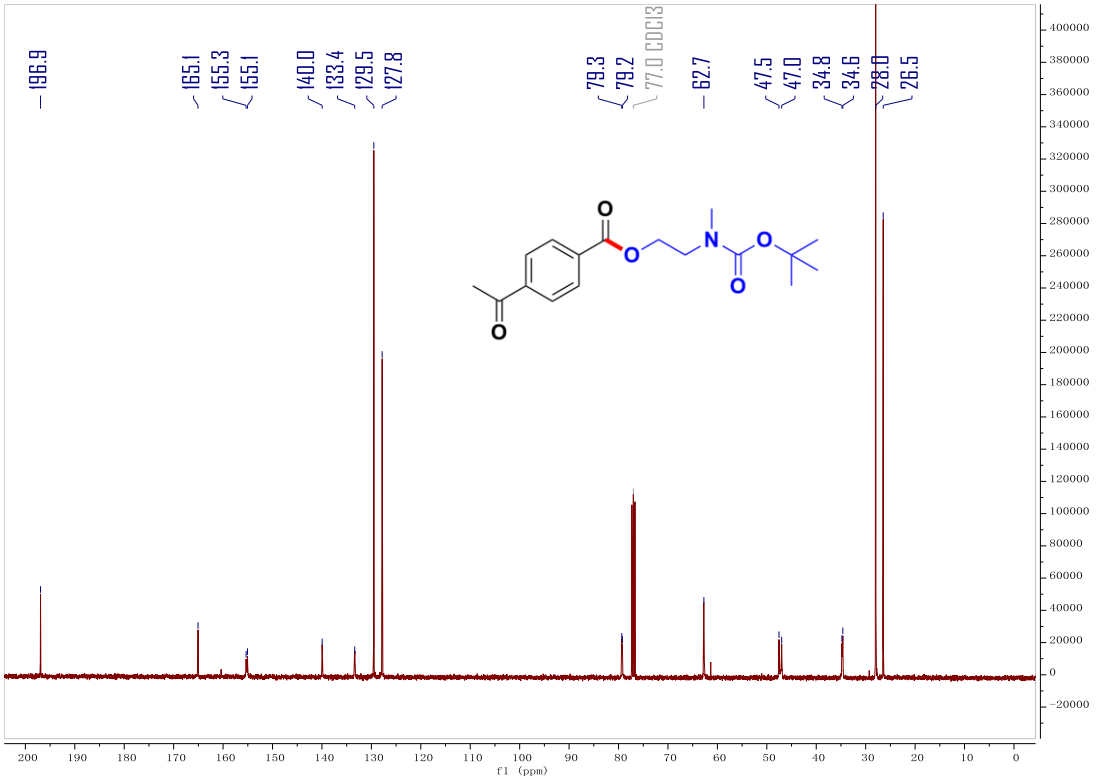
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5h**



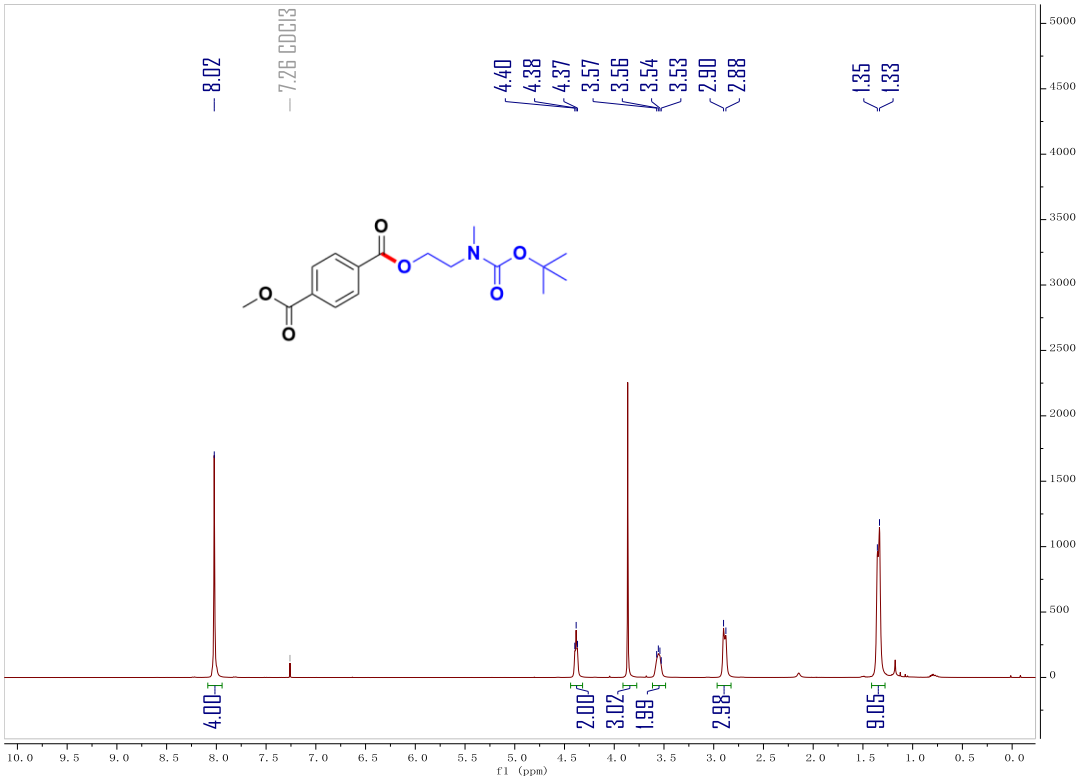
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5i**



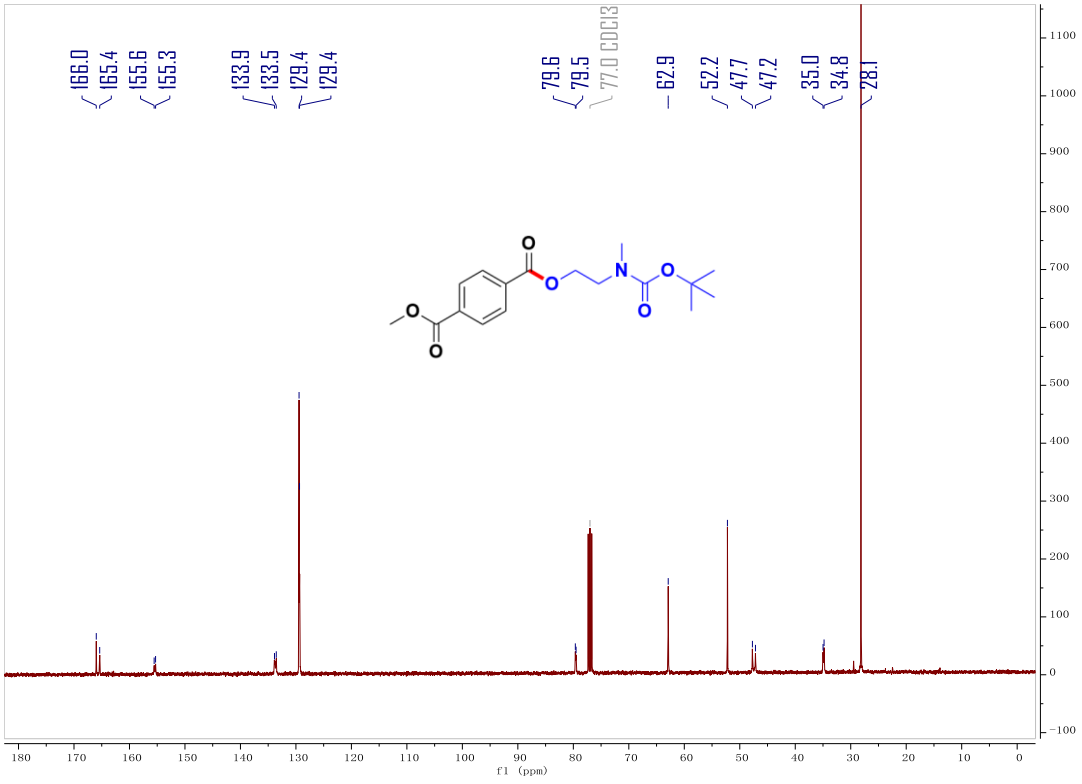
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5i**



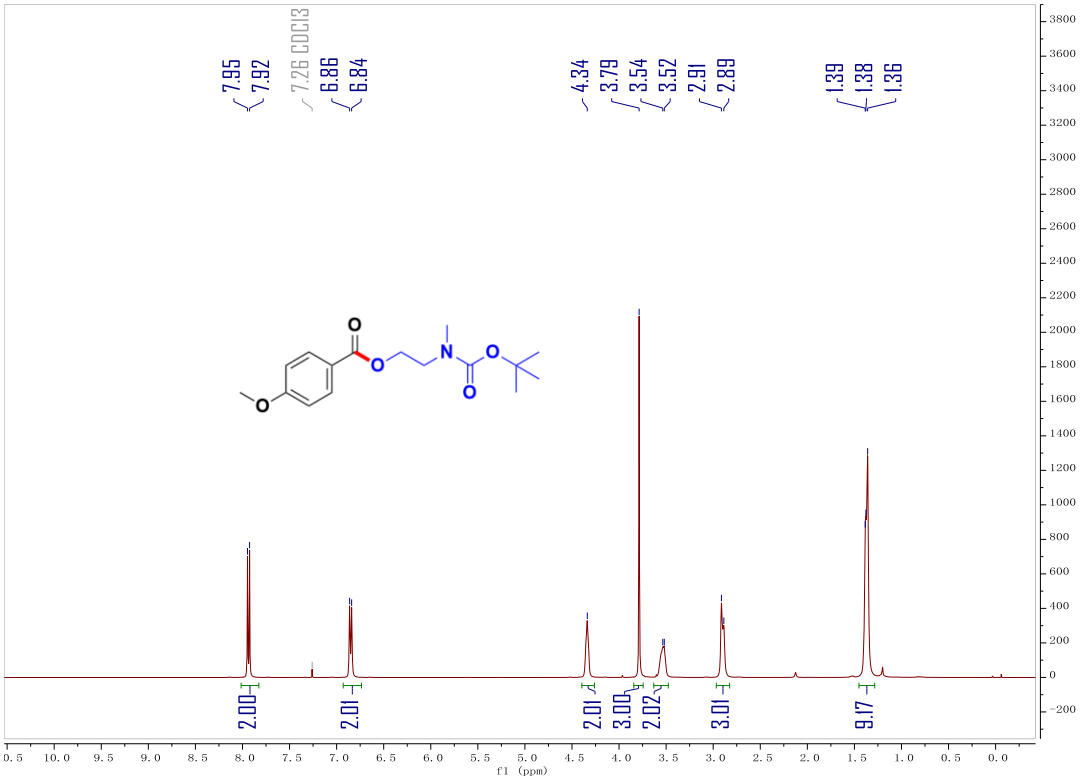
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5j**



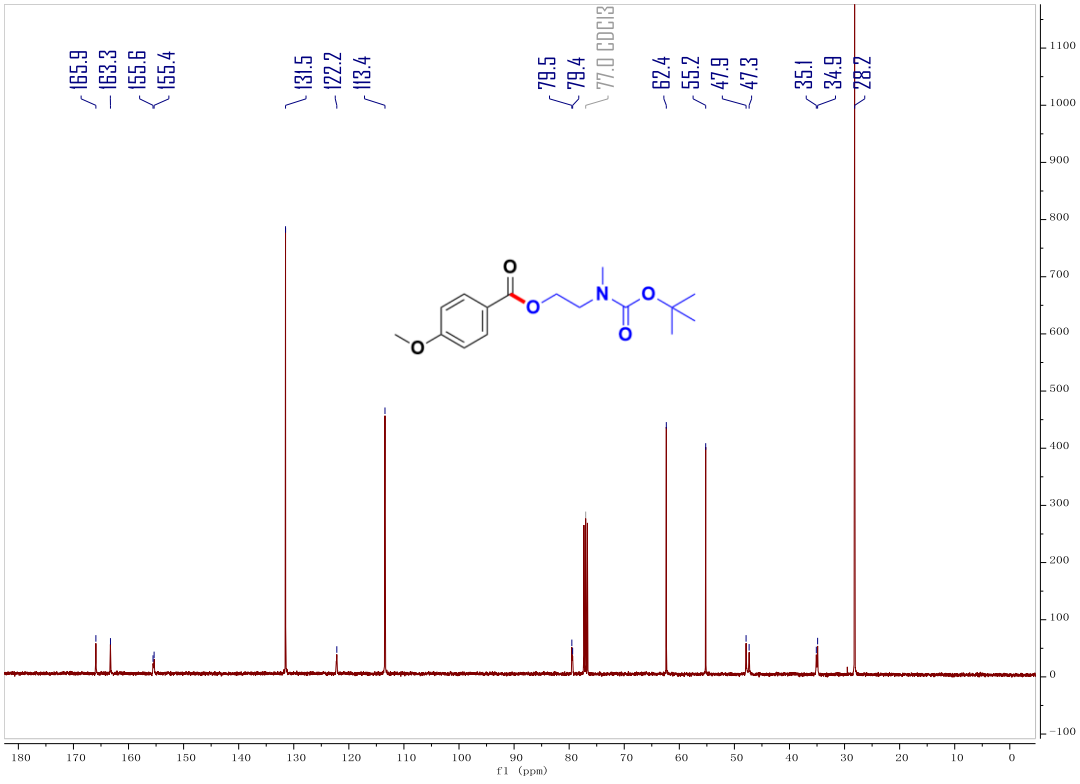
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5j**



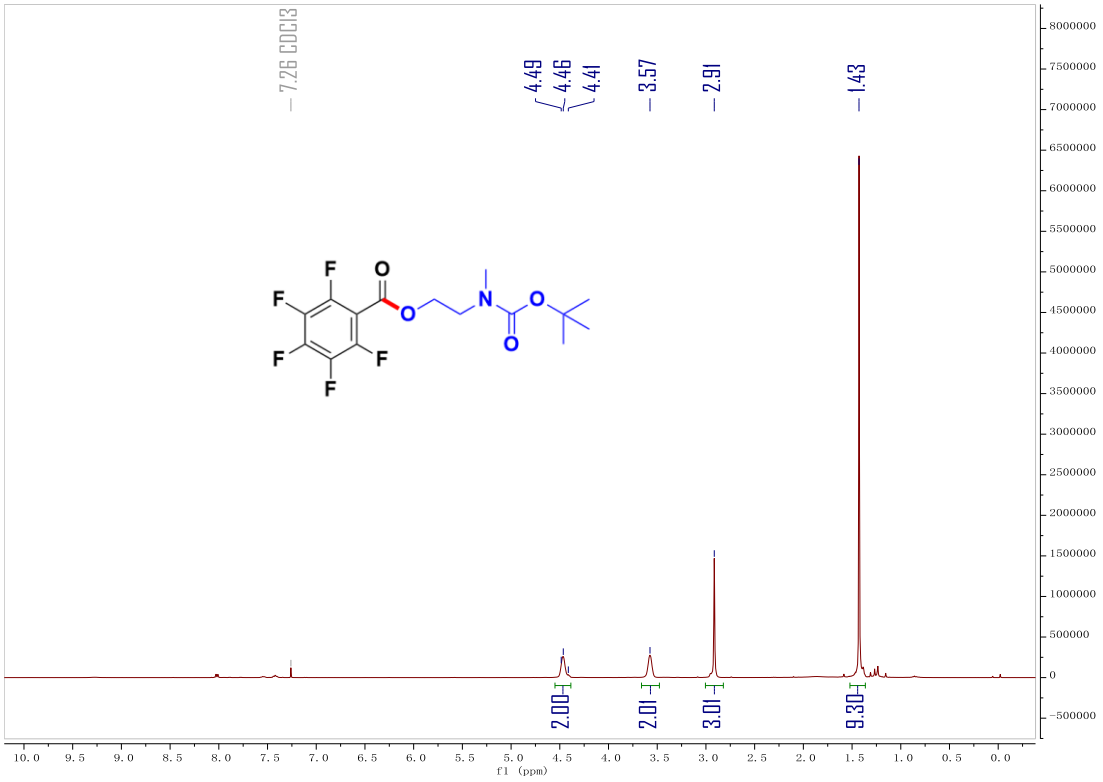
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5k**



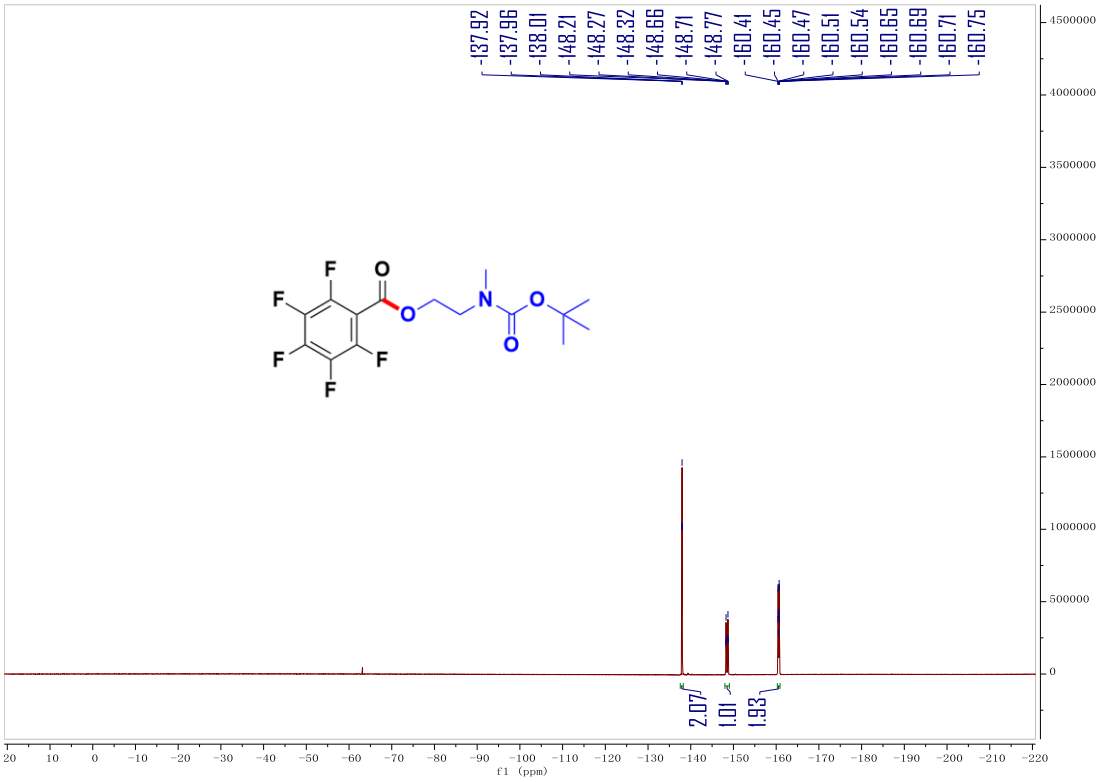
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5k**



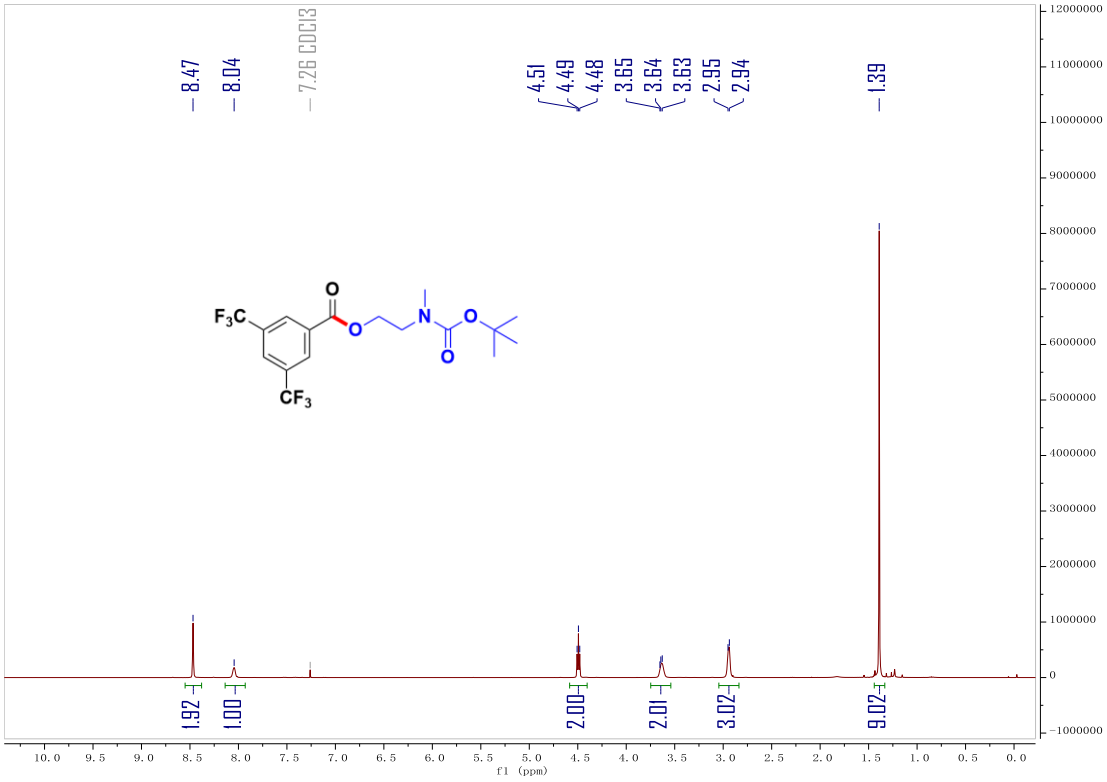
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5l**



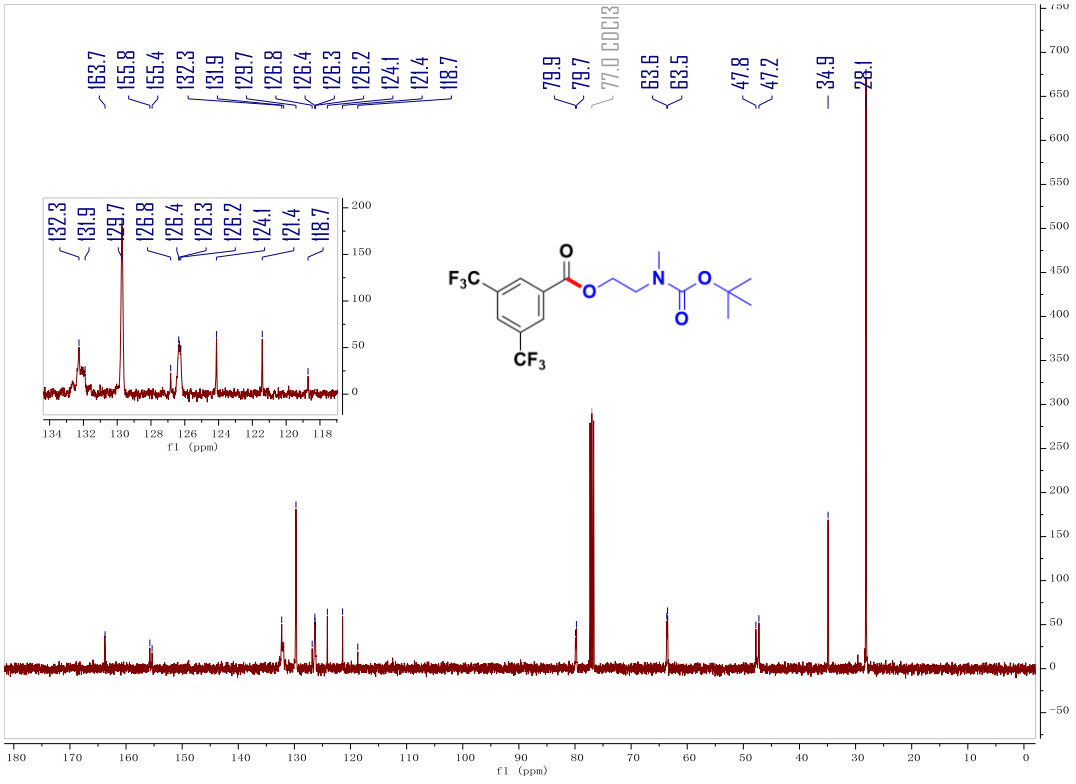
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5l**



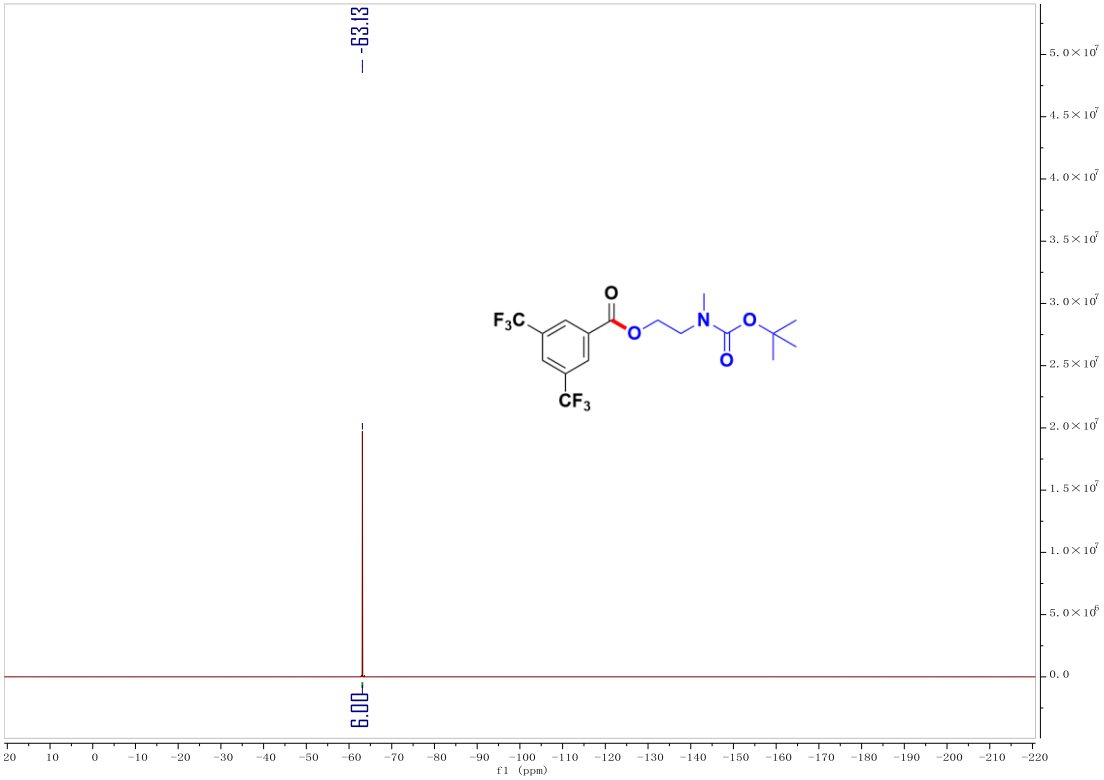
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5m**



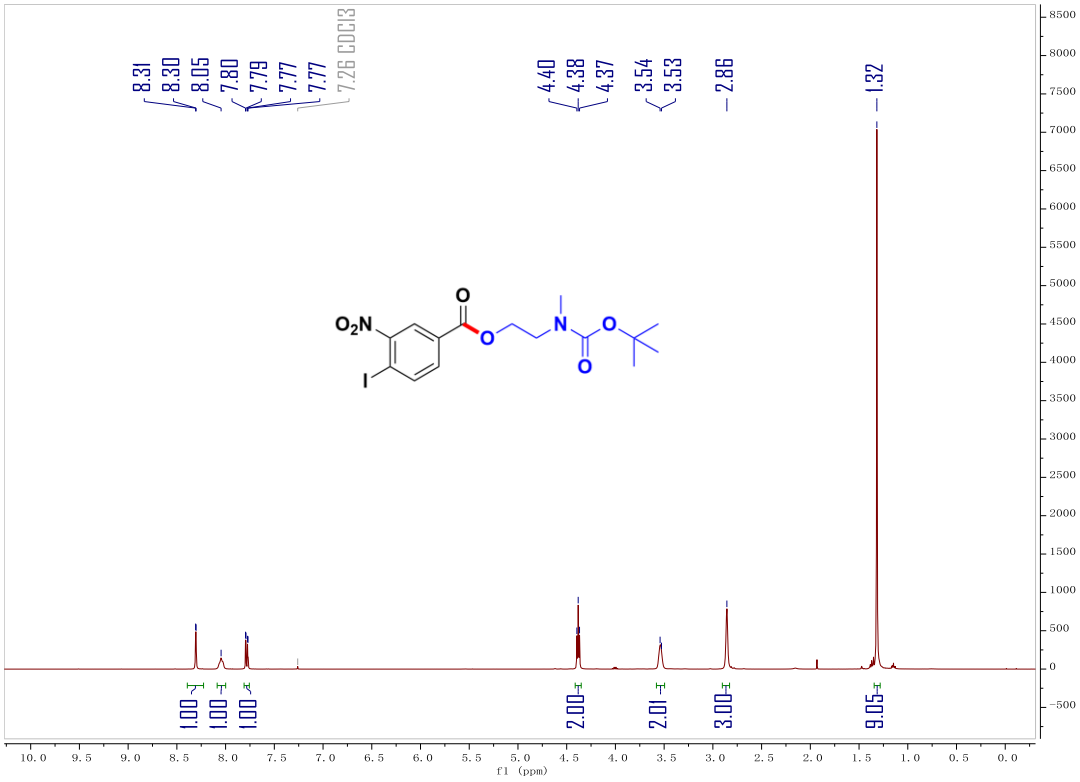
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5m**



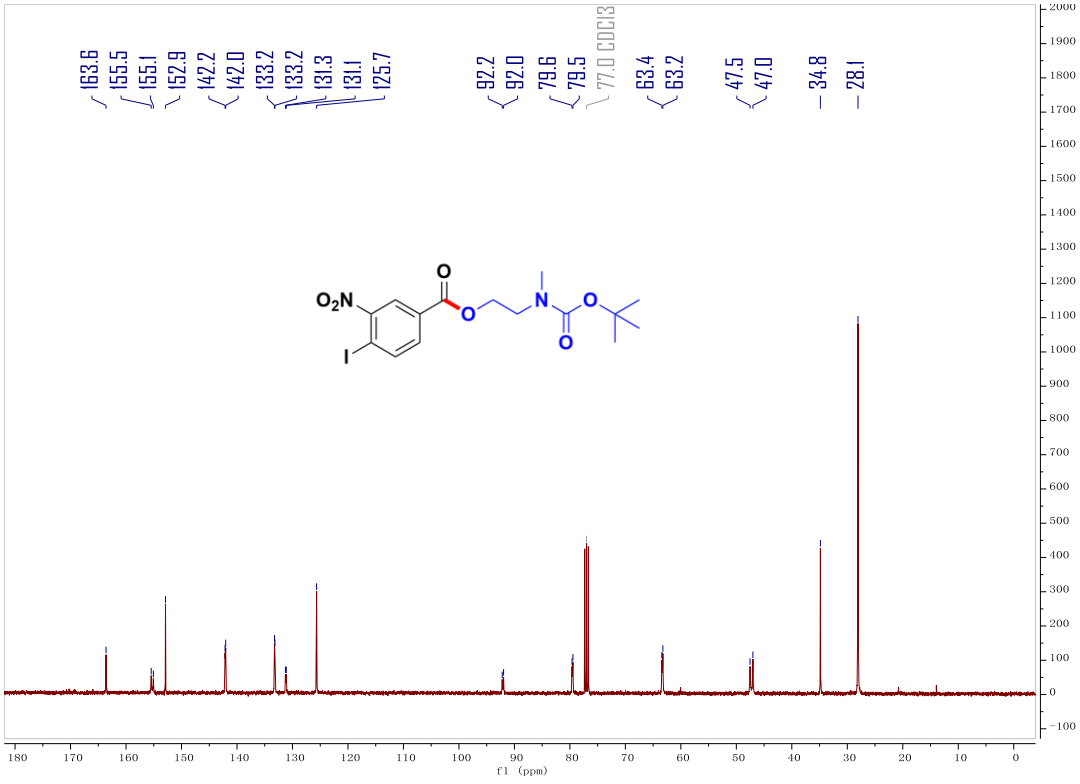
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5m**



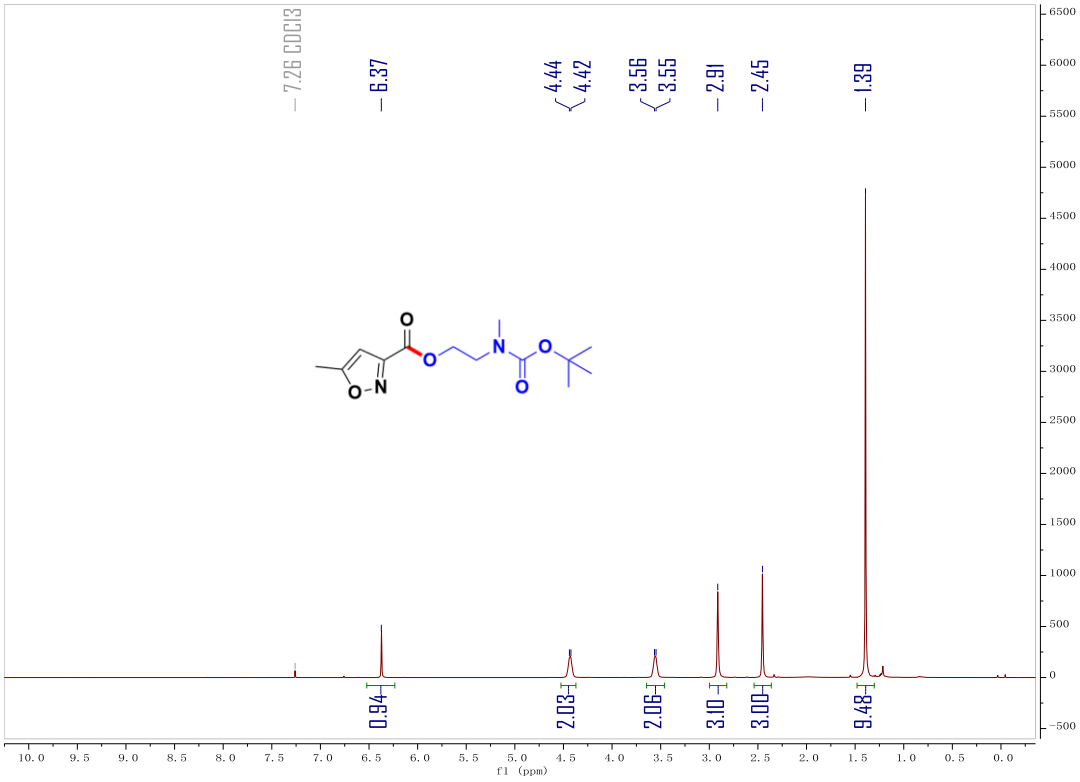
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5n**



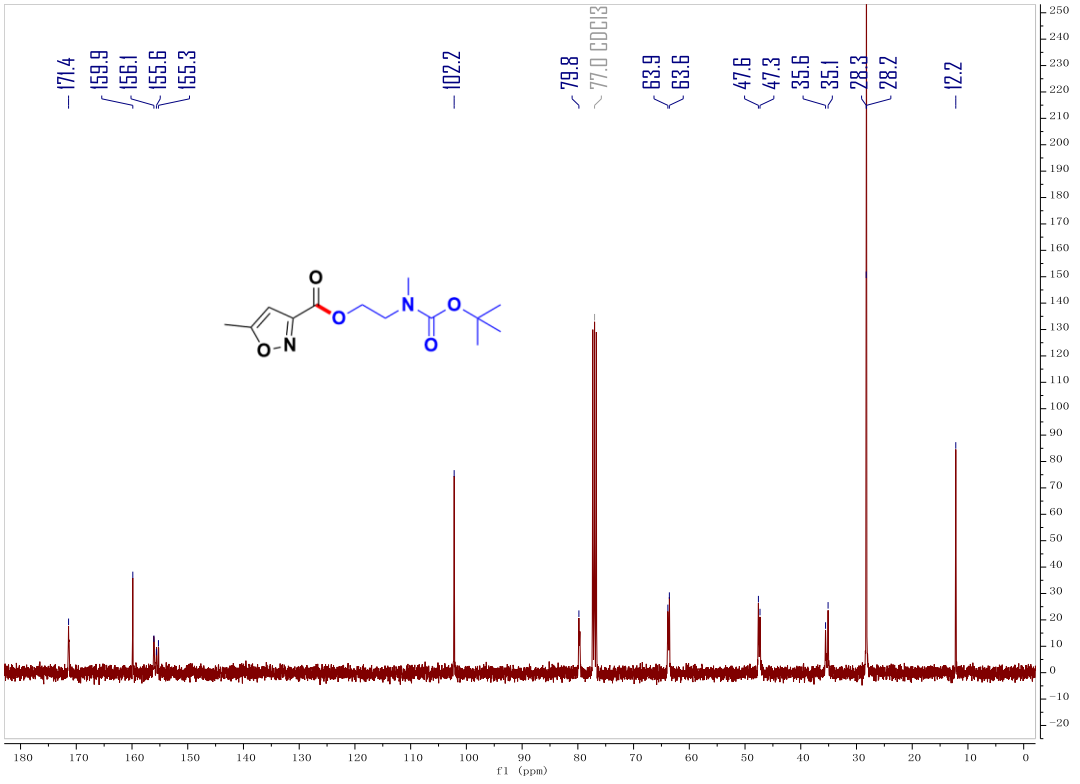
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5n**



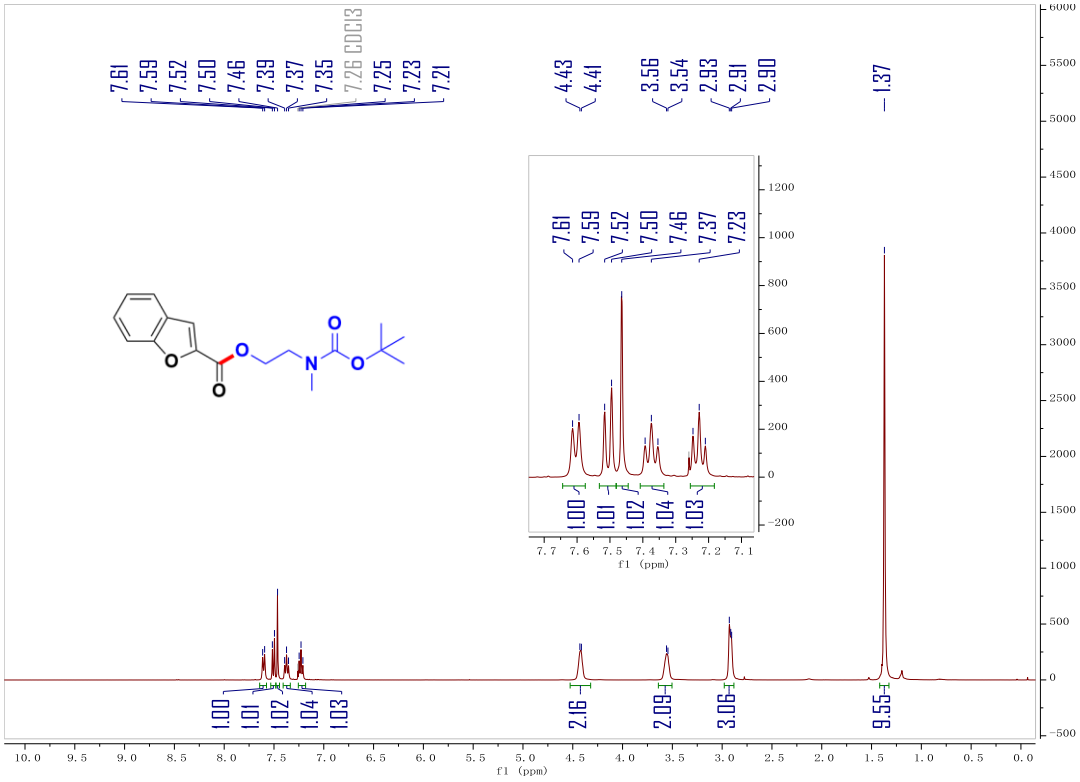
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5o**



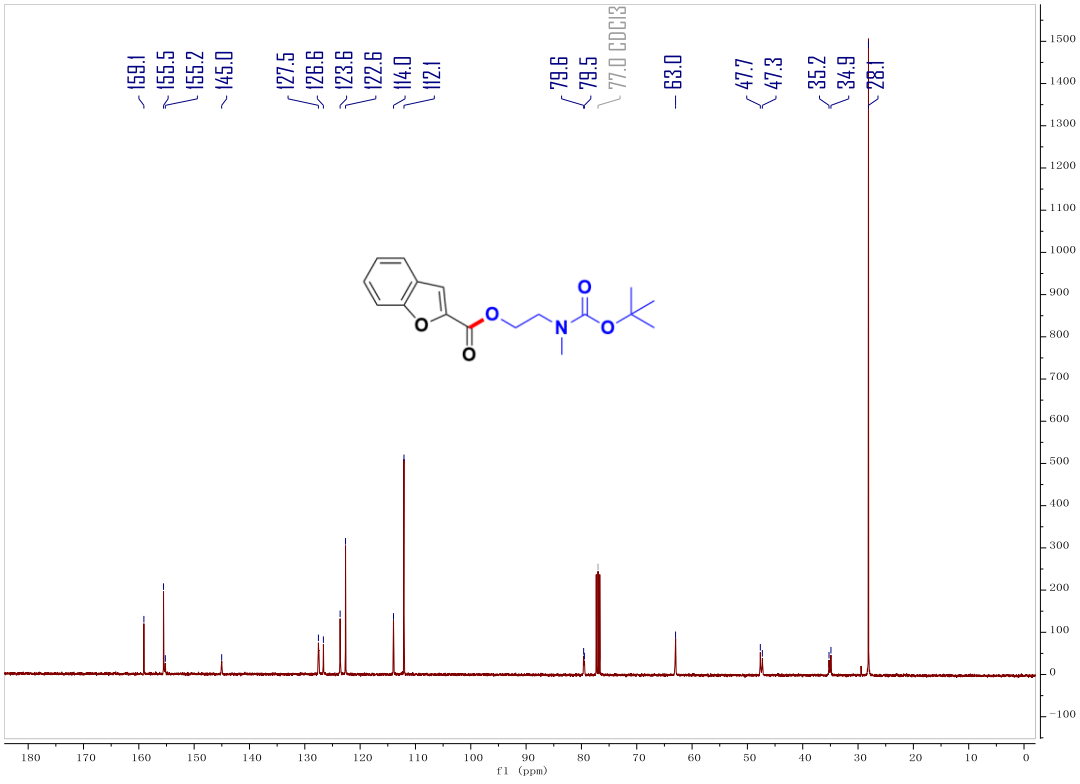
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5o**



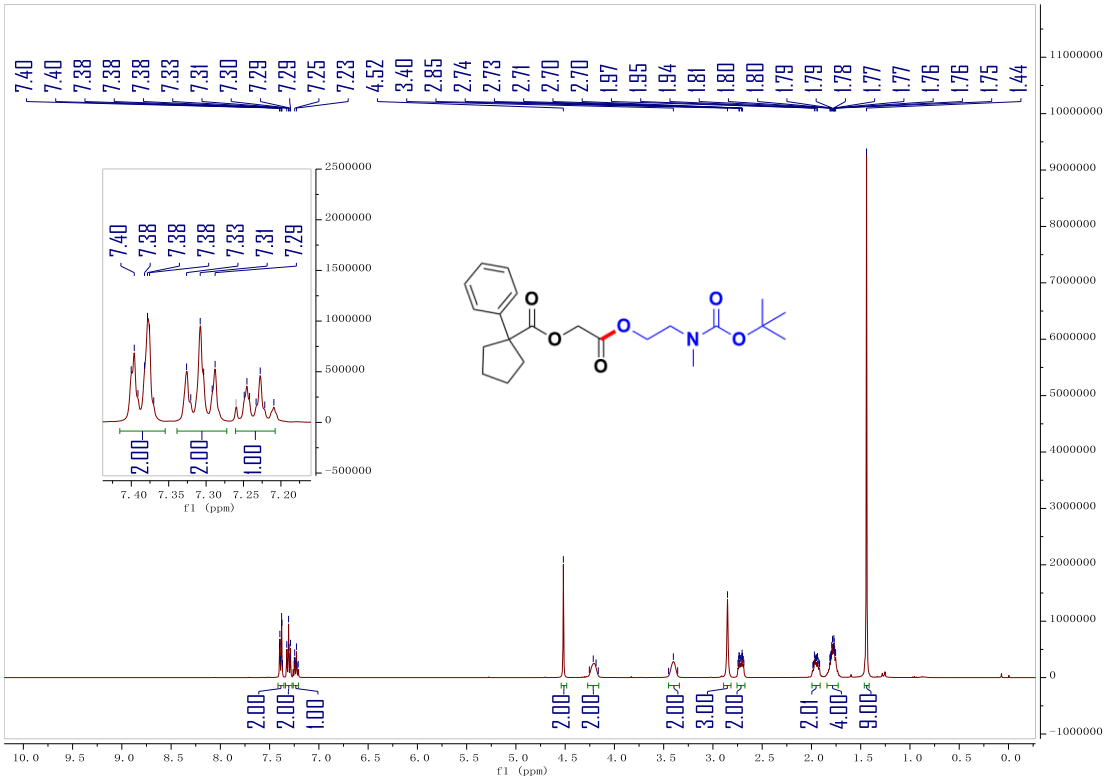
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5p**



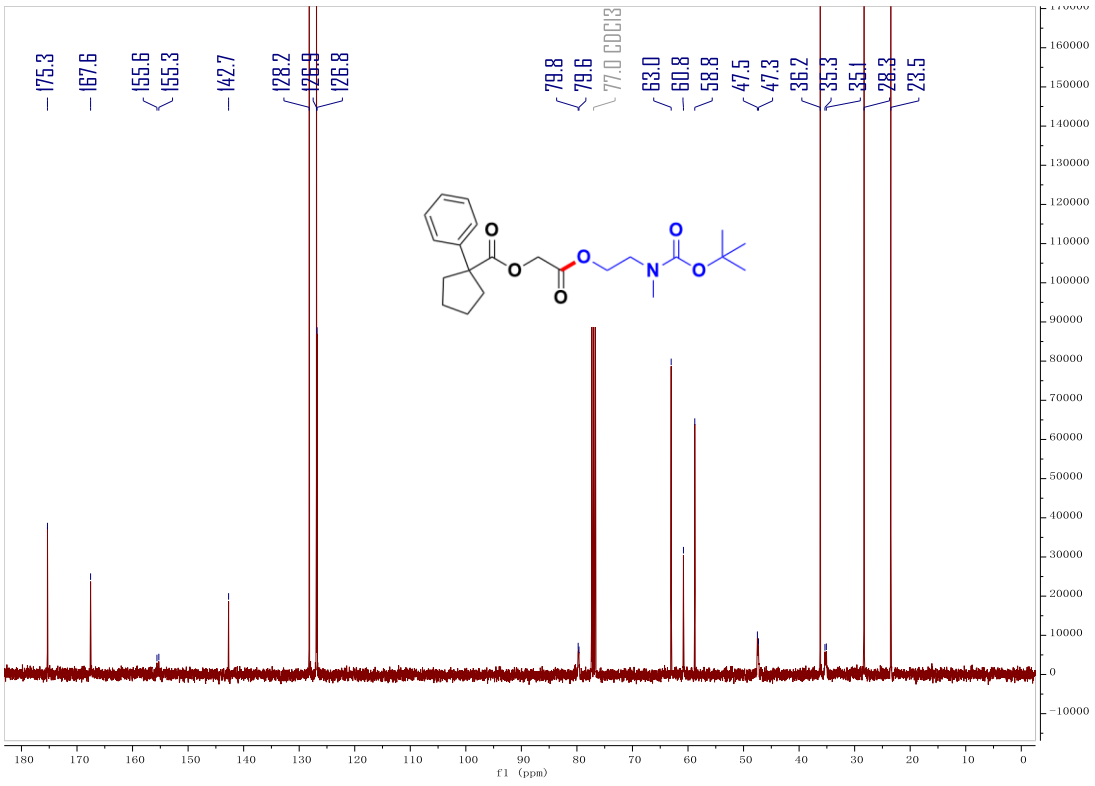
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5p**



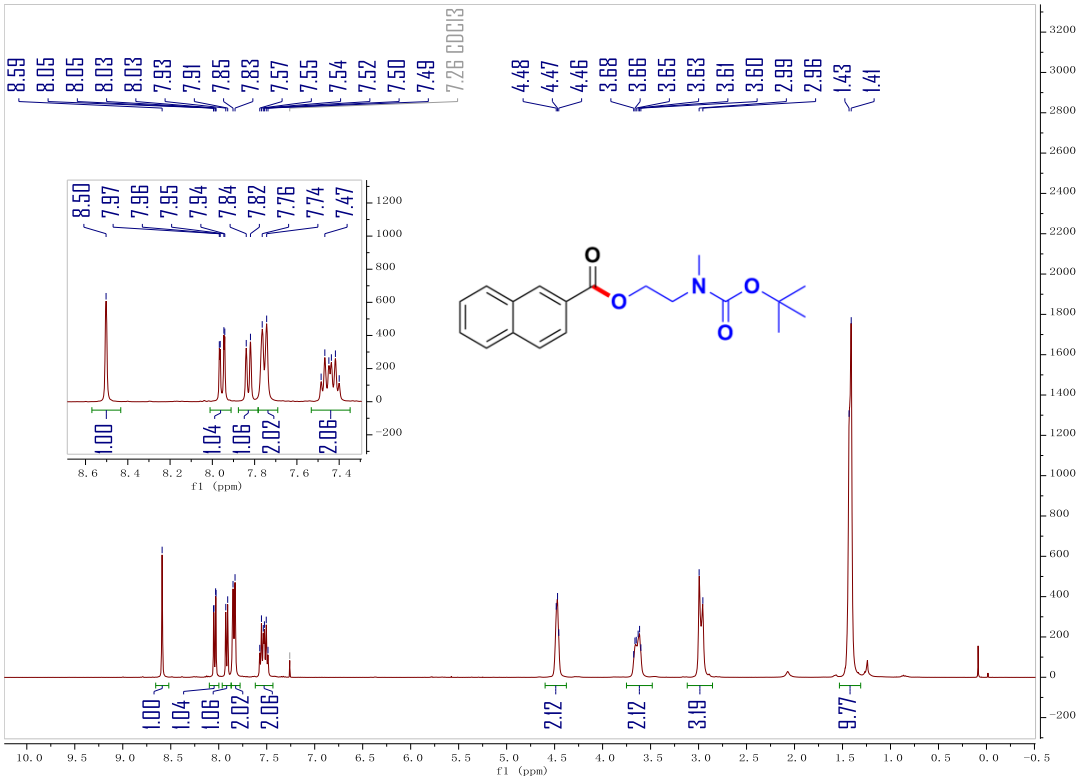
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5q**



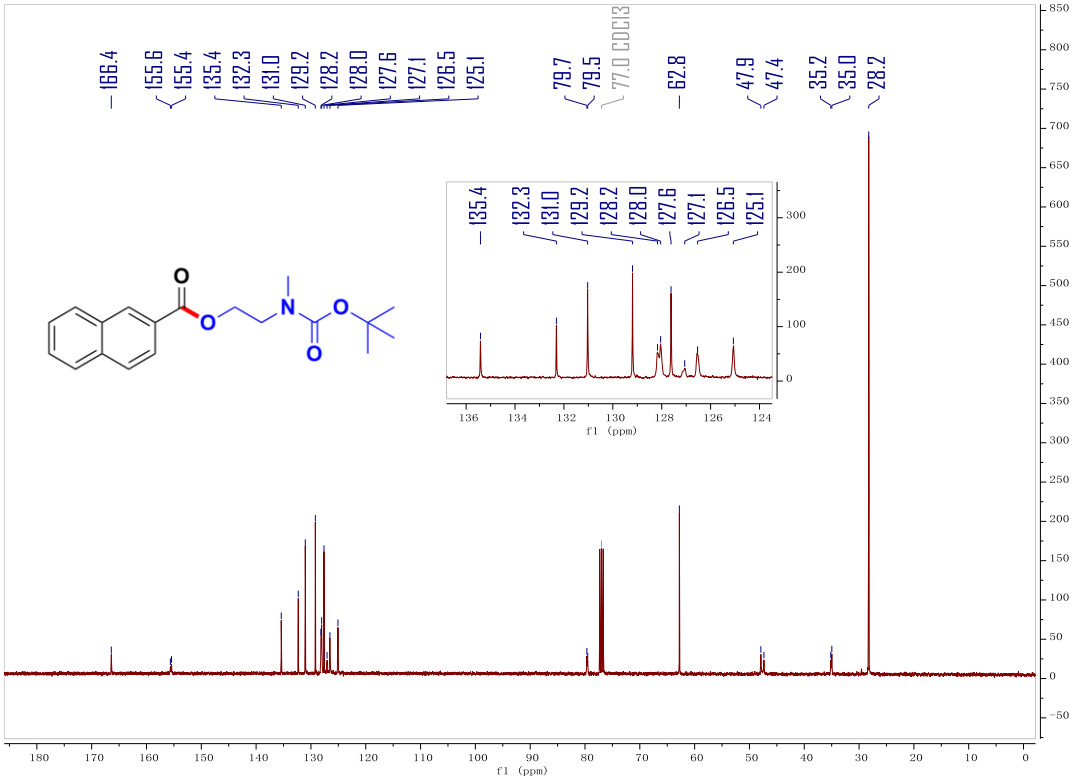
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5q**



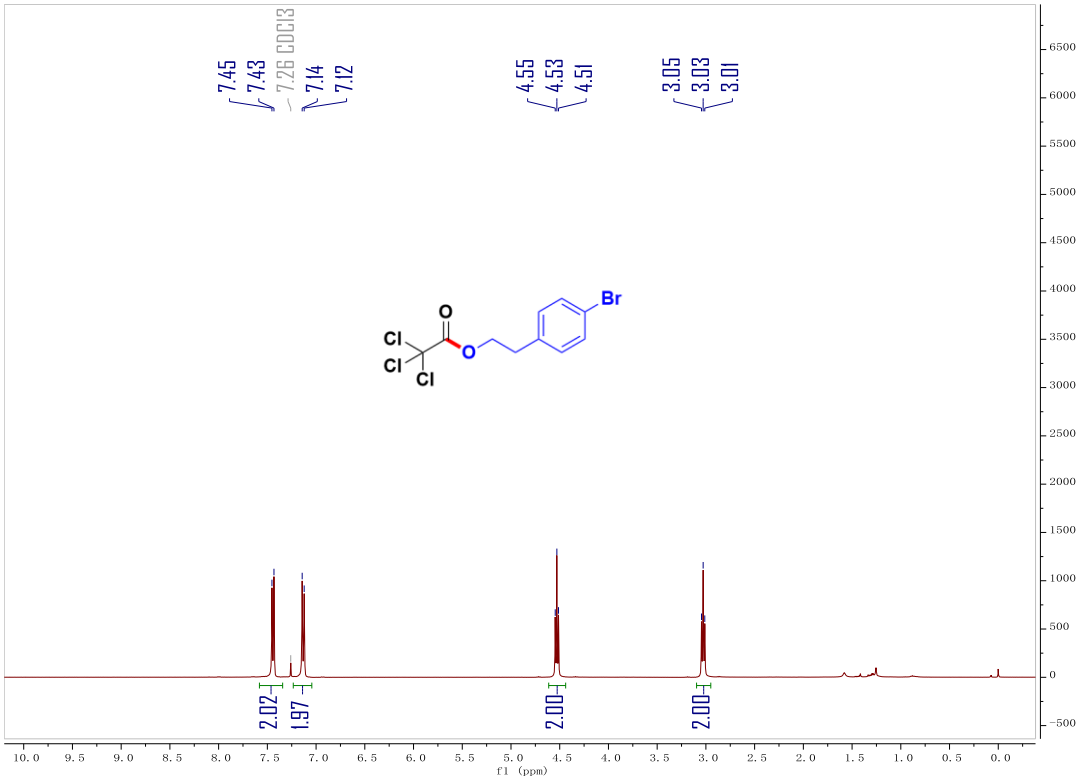
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5r**



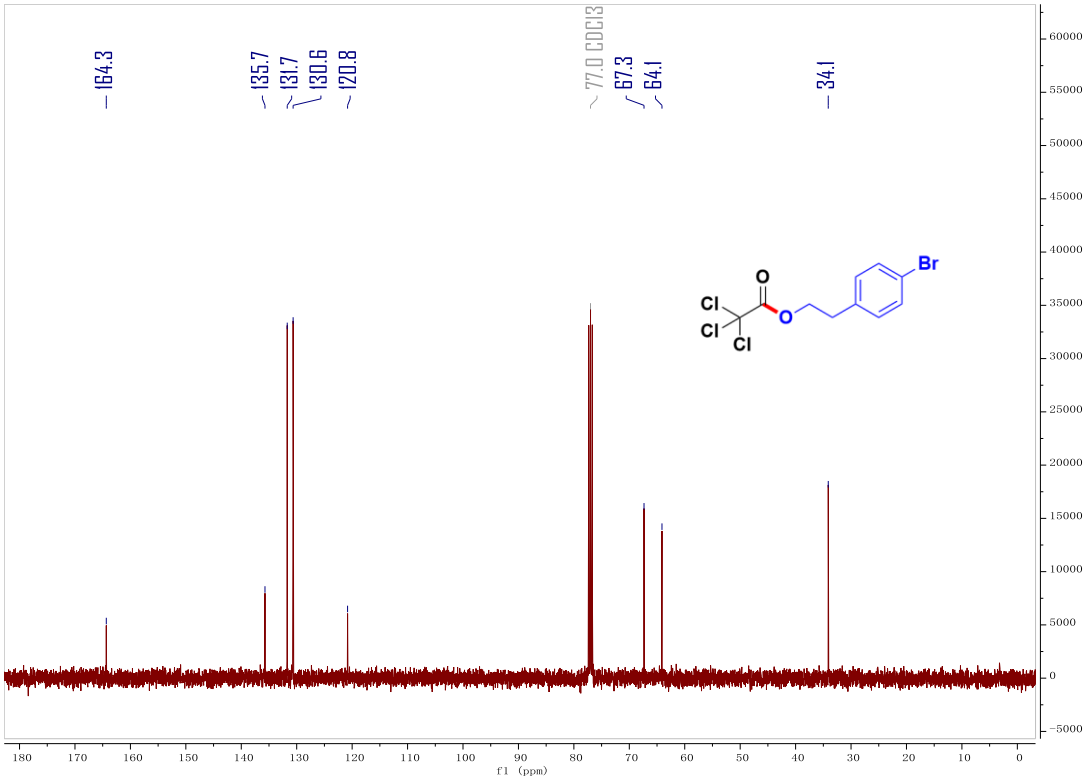
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5r**



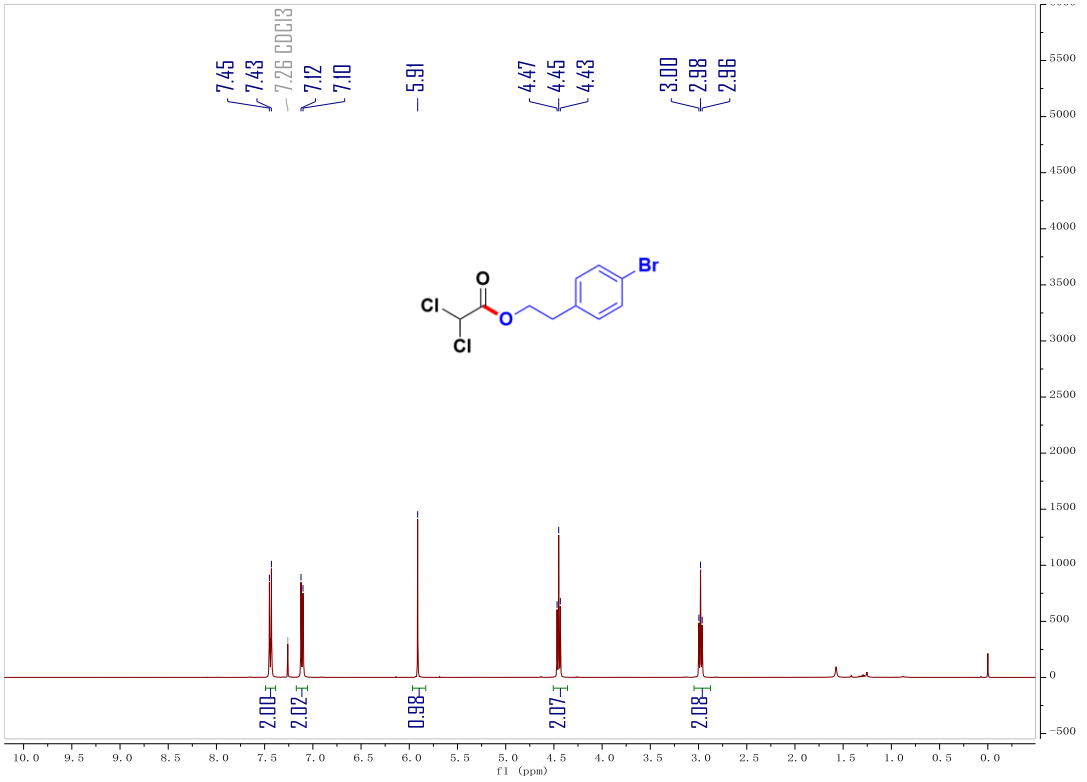
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5s**



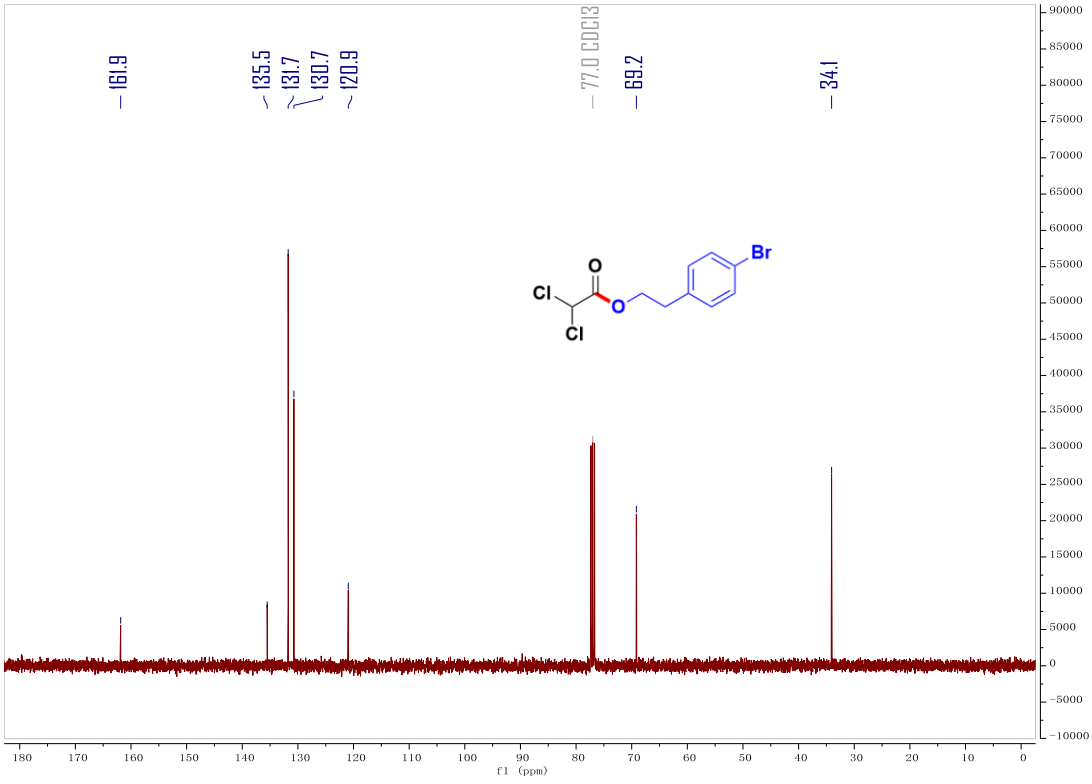
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5s**



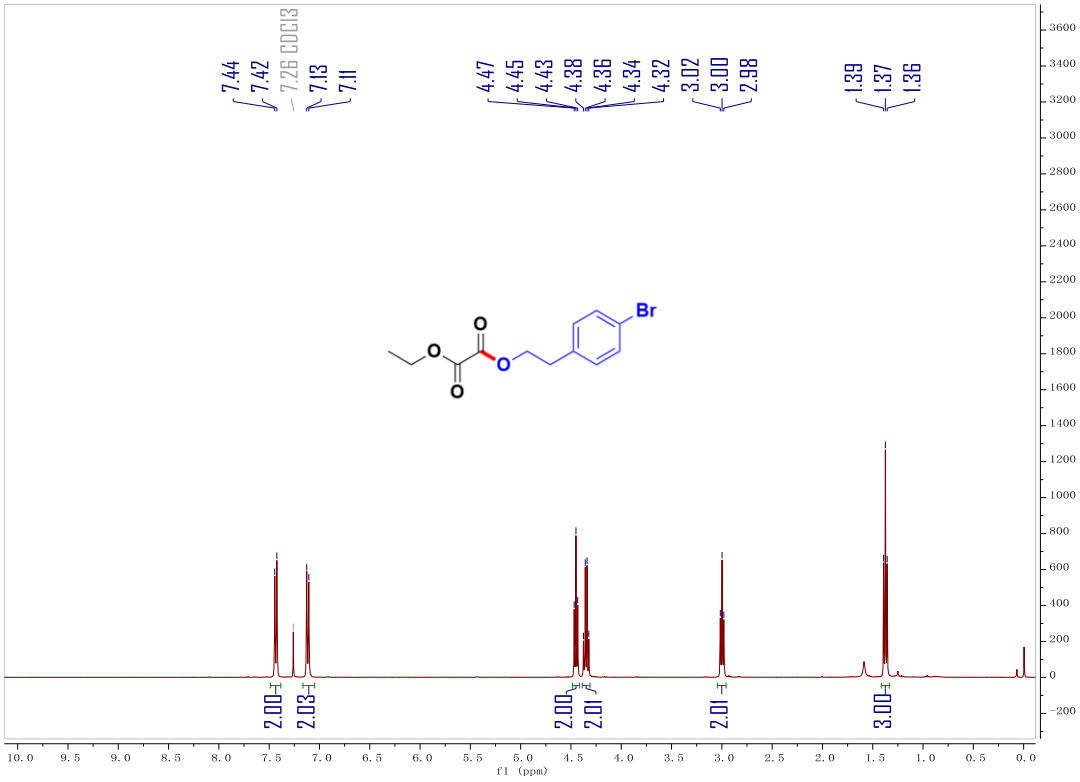
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5t**



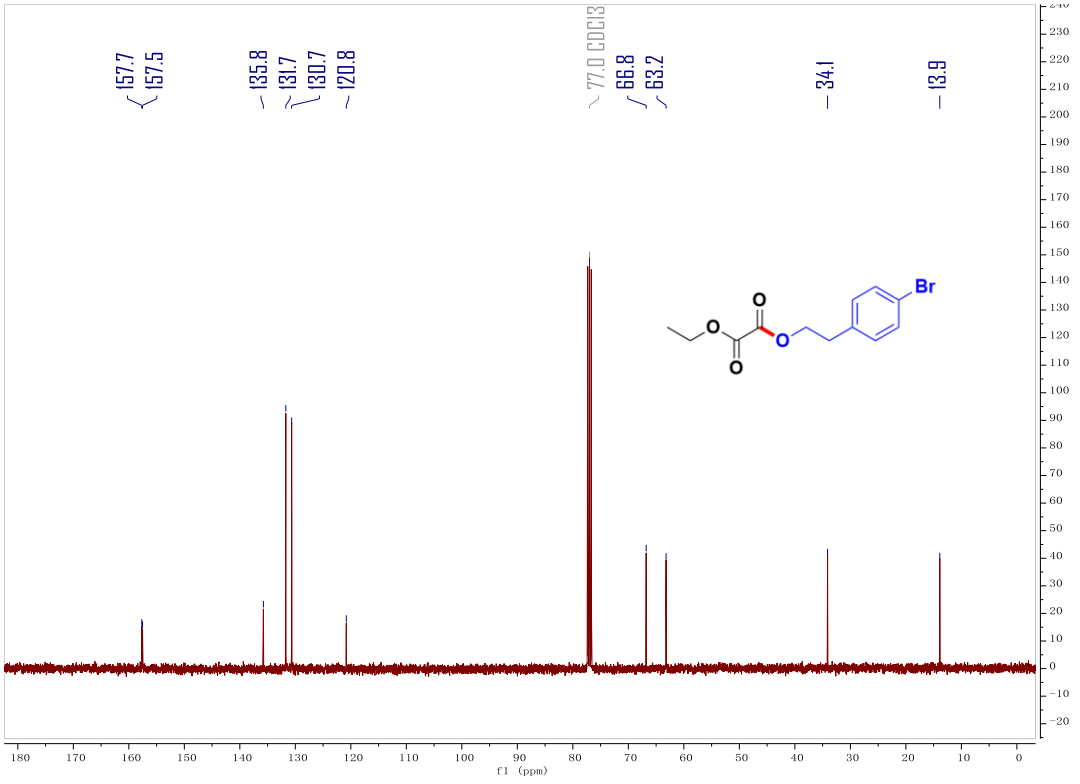
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5t**



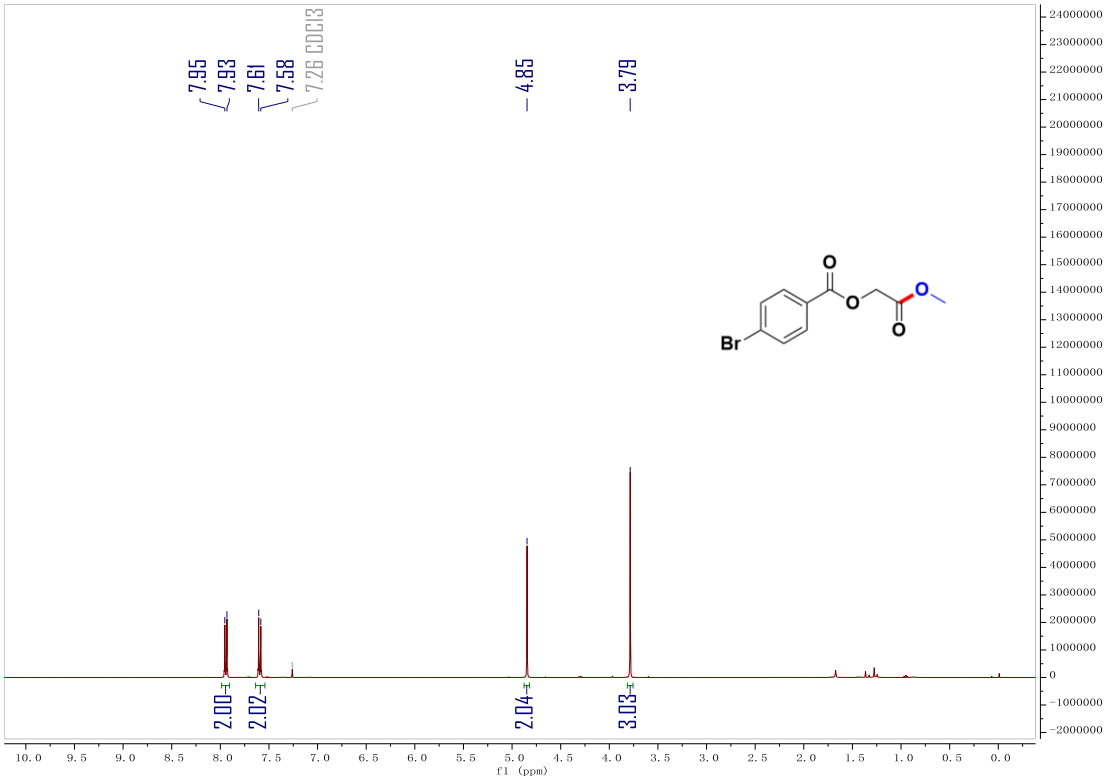
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5u**



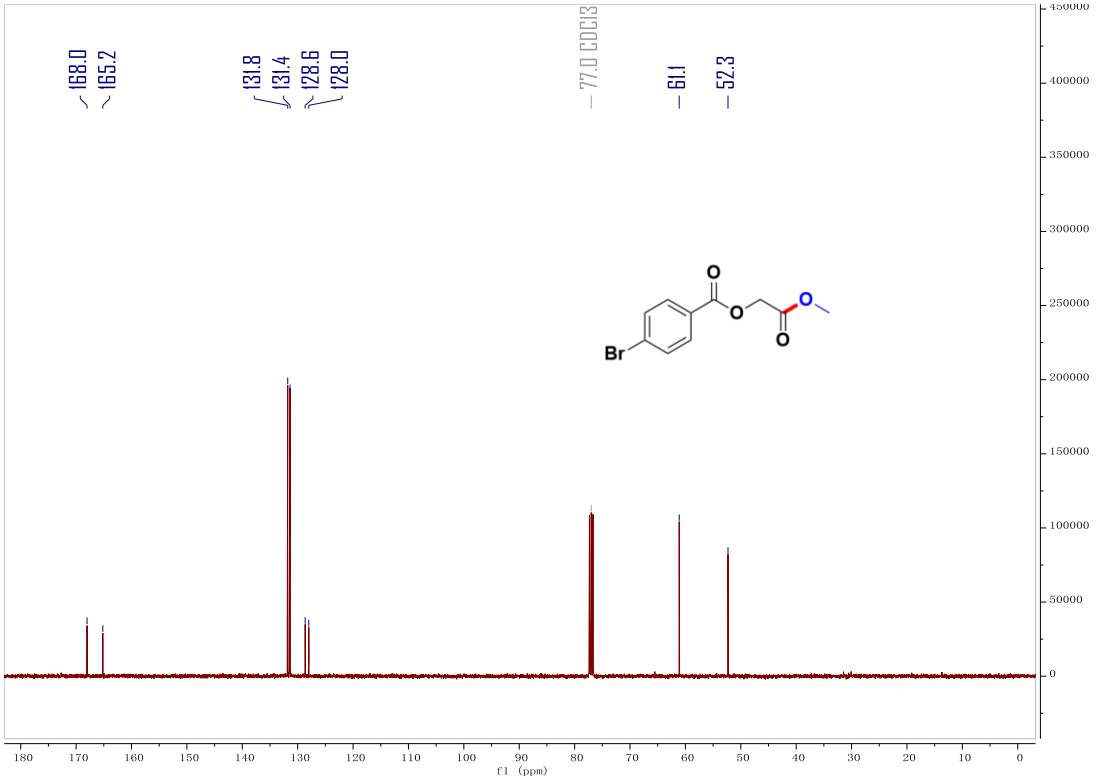
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5u**



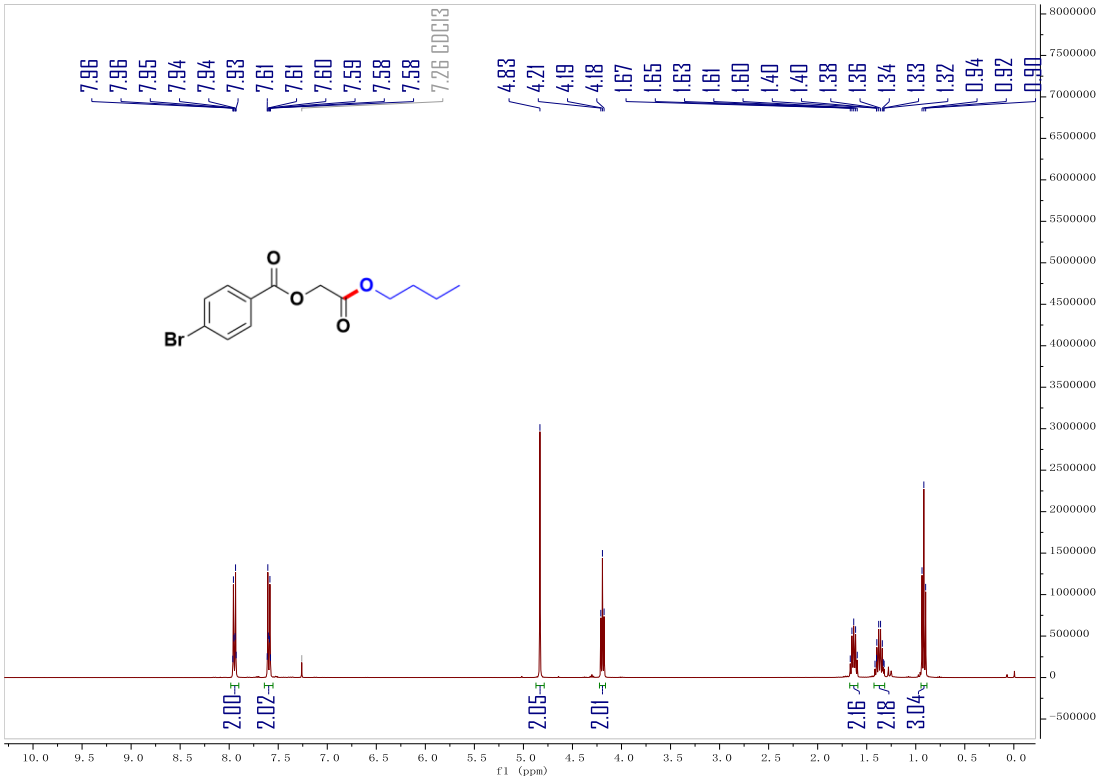
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5v**



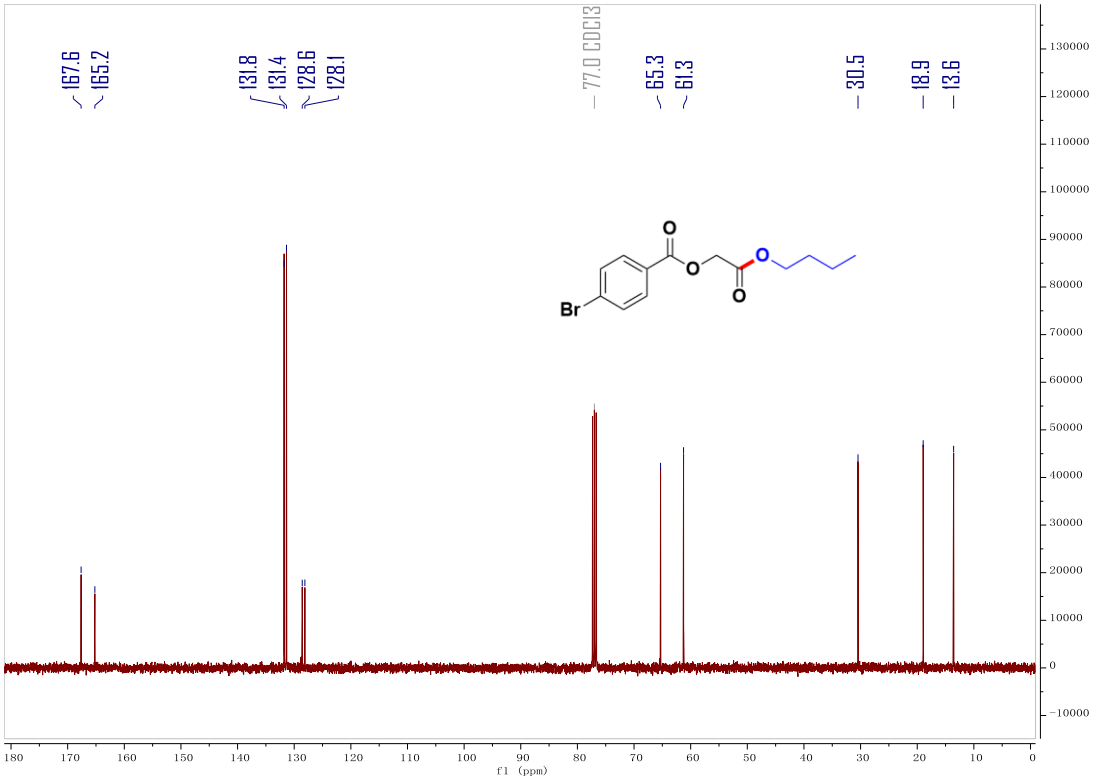
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5v**



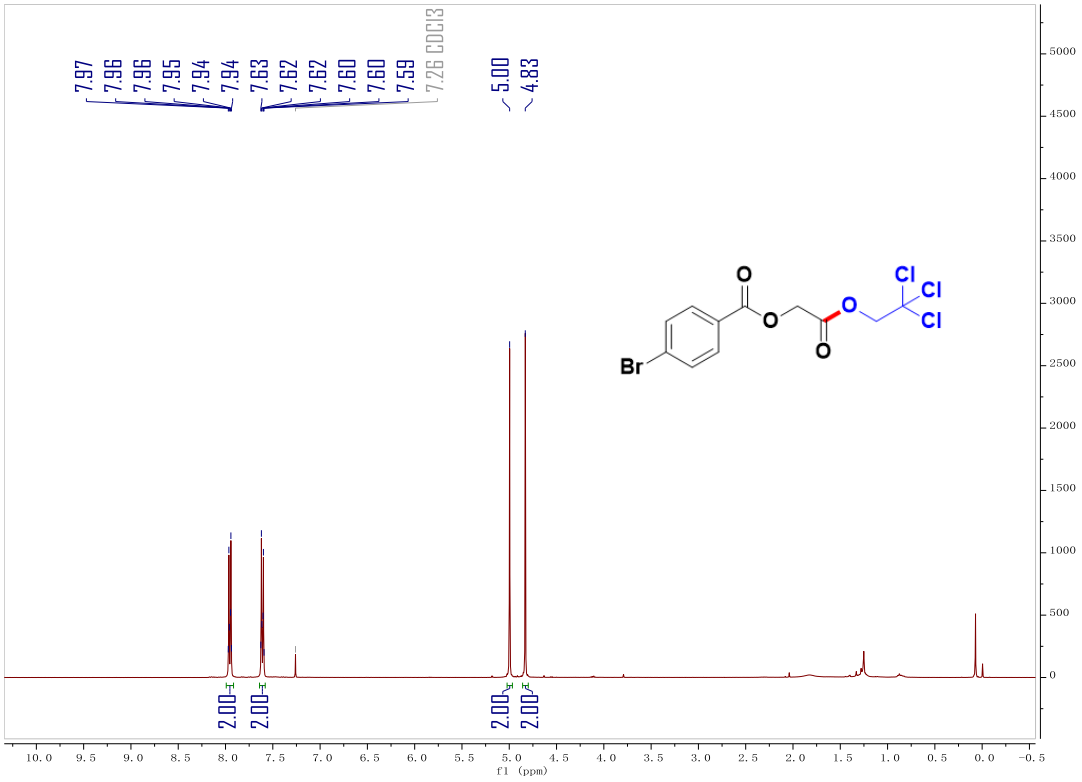
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5w**



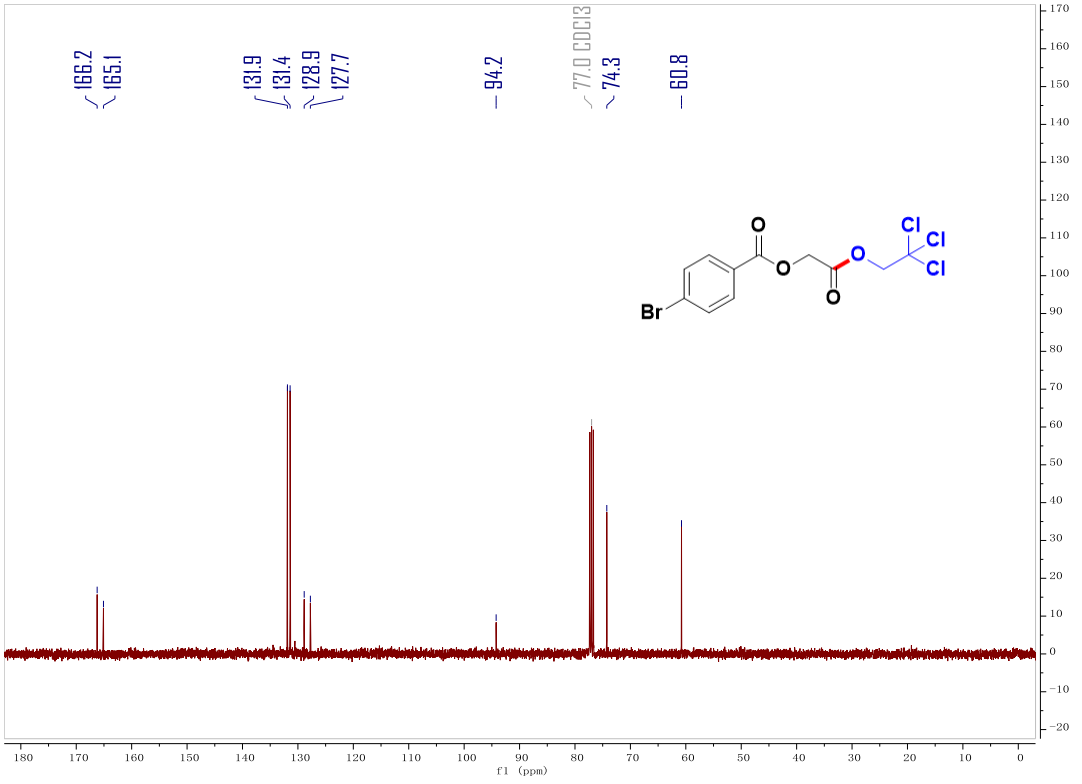
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5w**



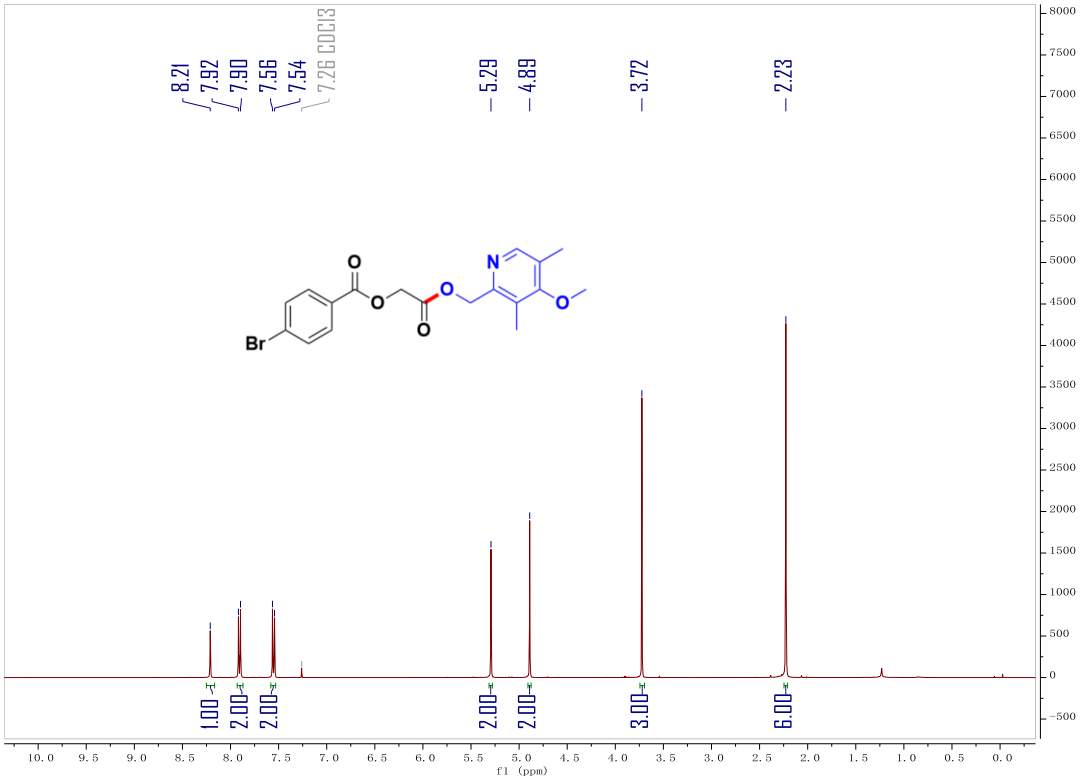
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5x**



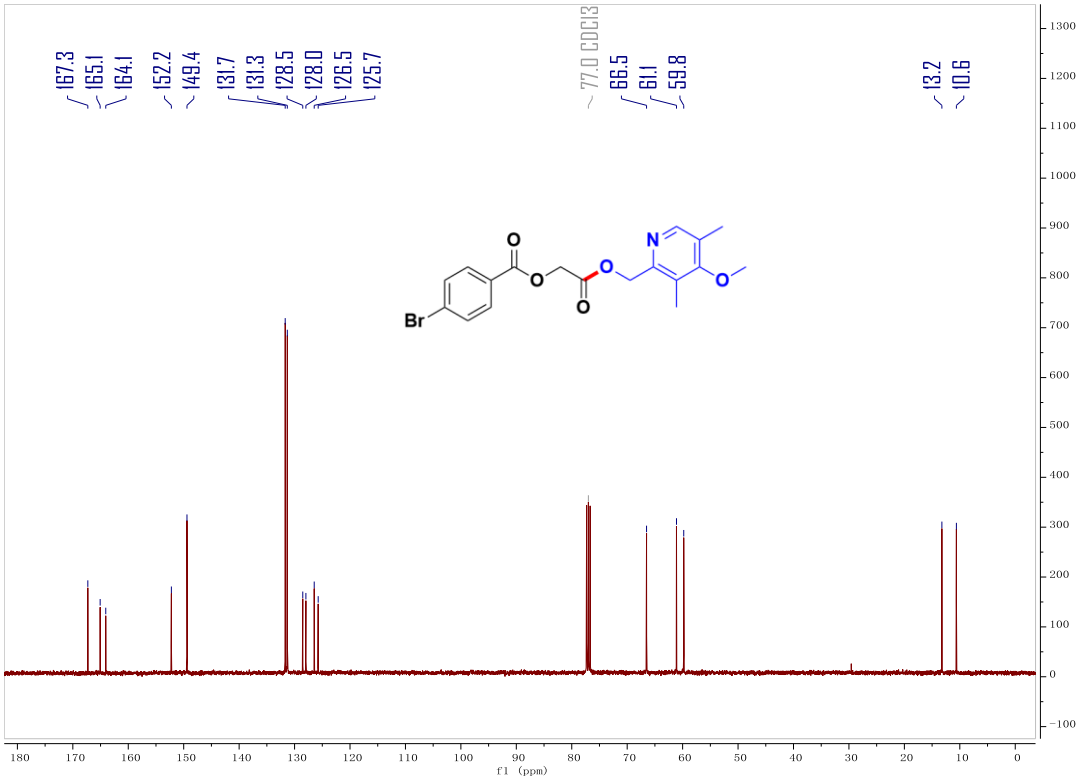
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5x**



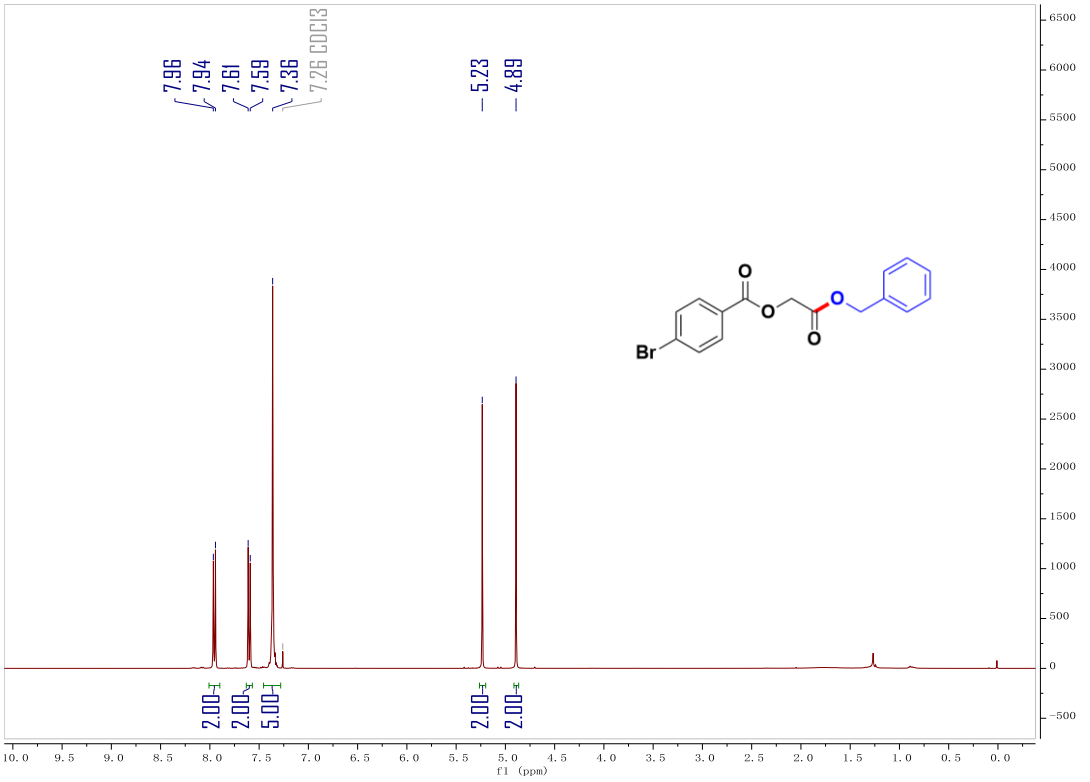
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5y**



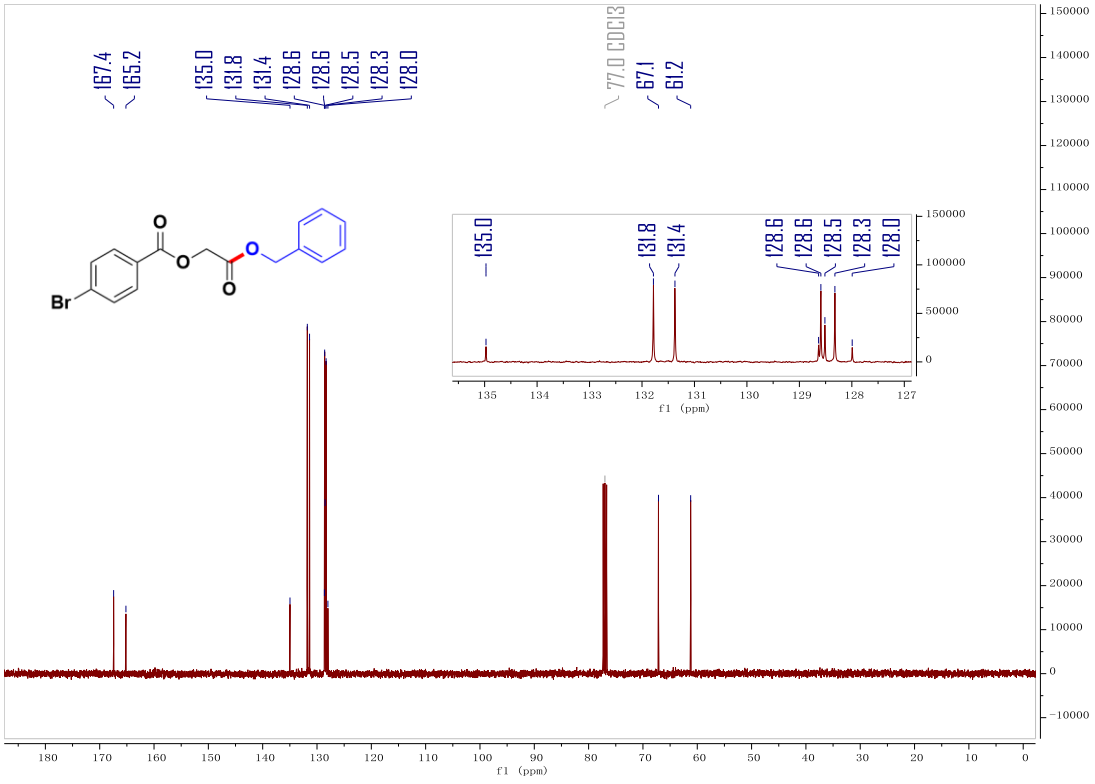
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5y**



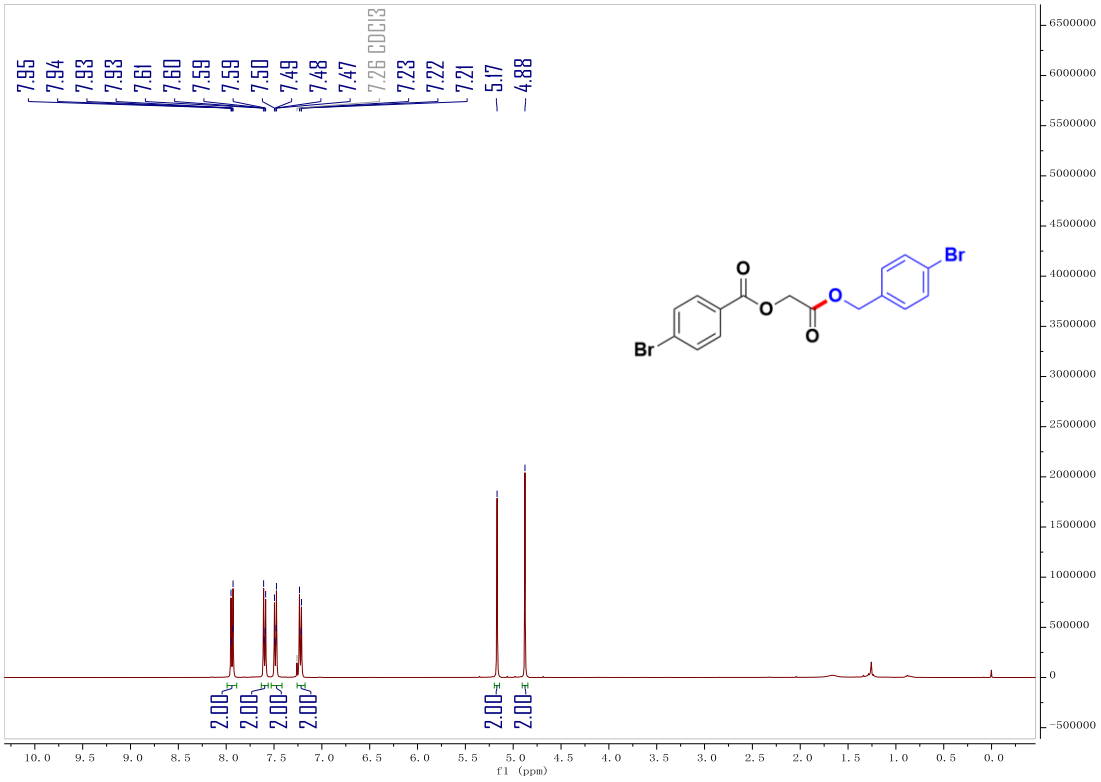
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5z**



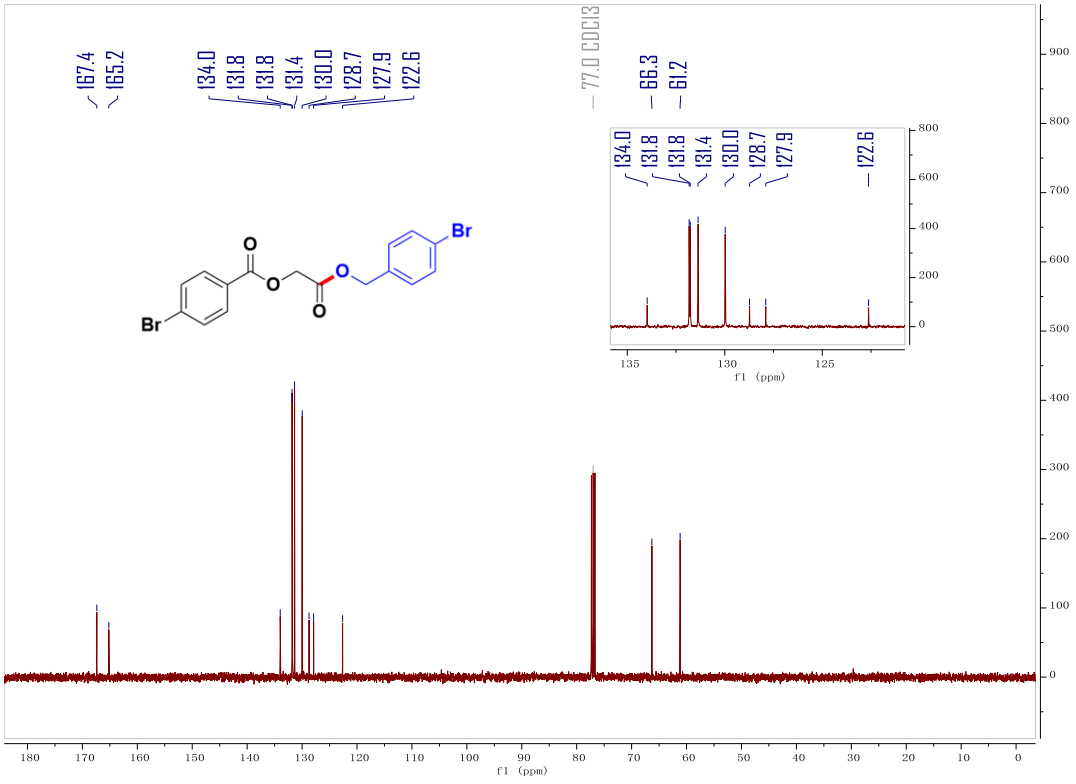
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5z**



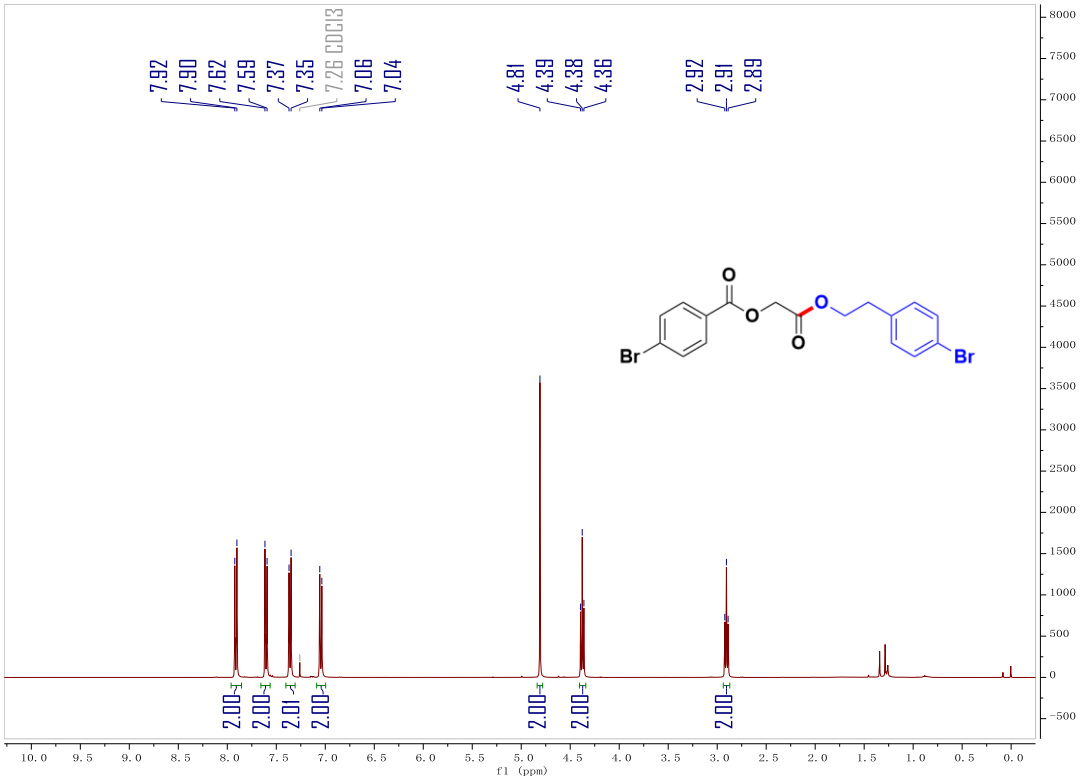
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5aa**



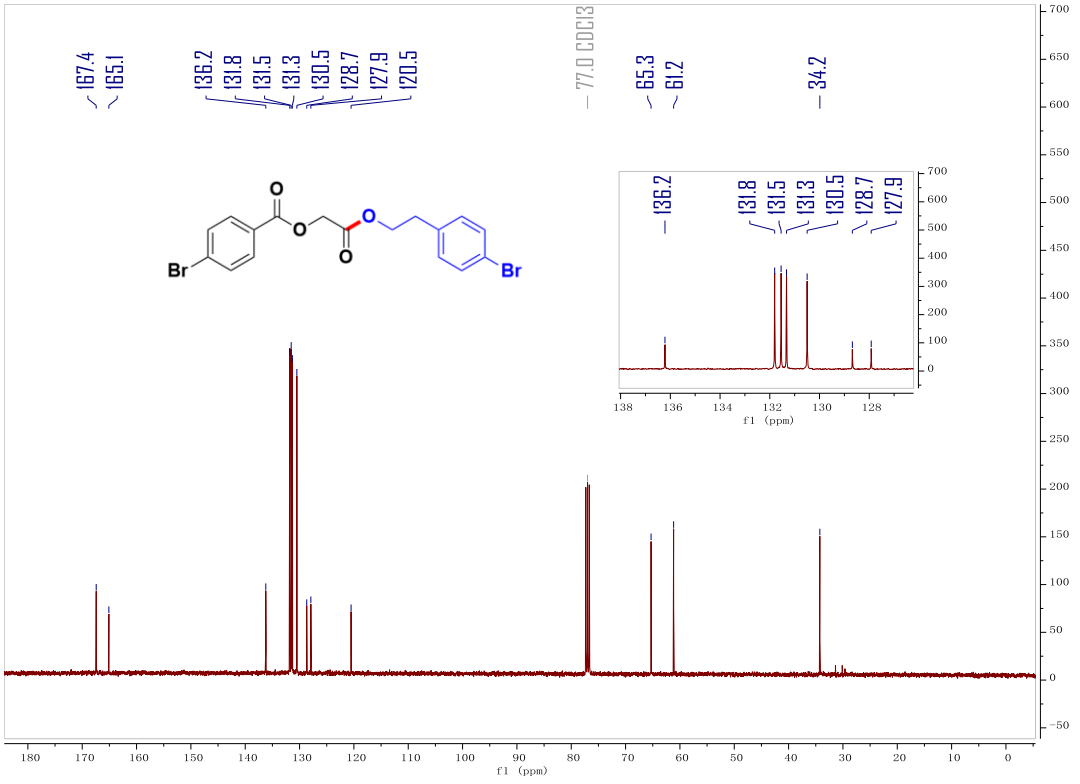
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5aa**



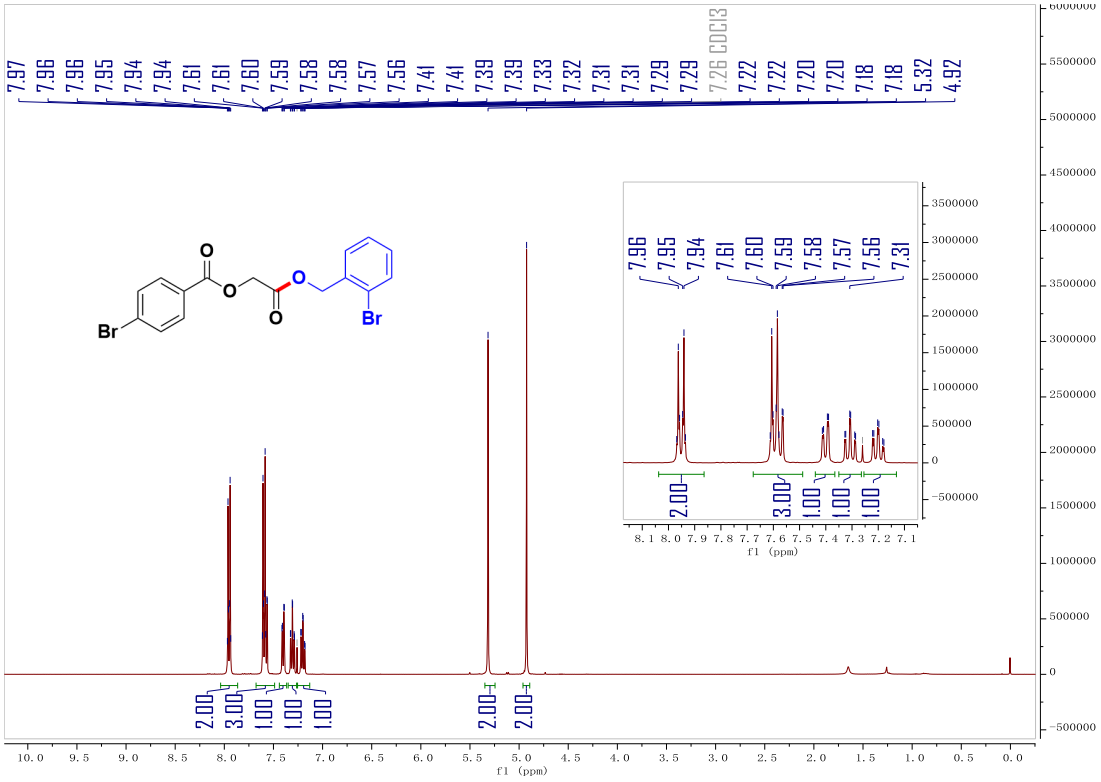
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ab**



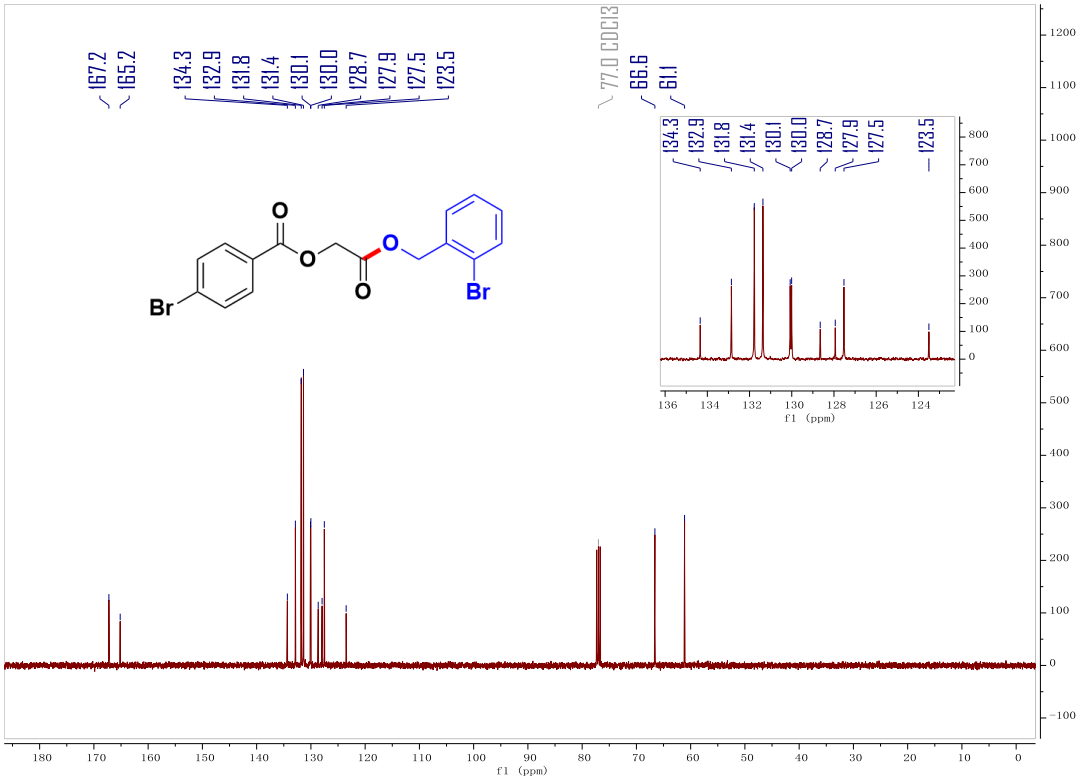
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ab**



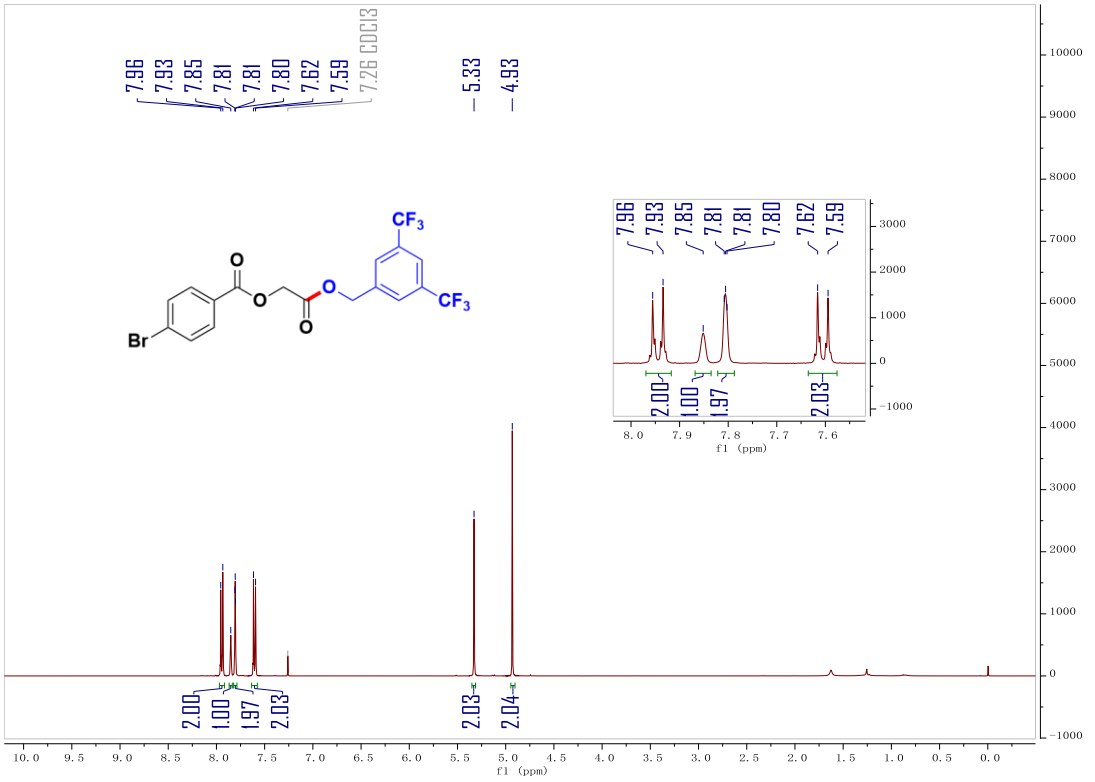
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ac**



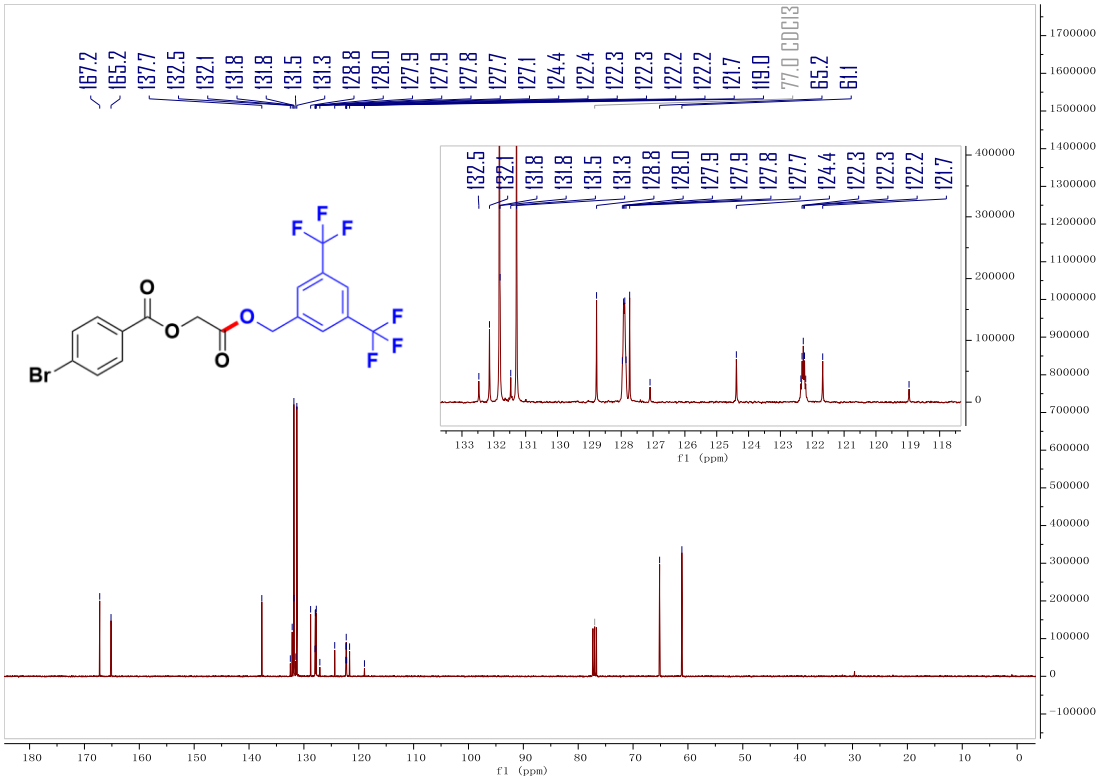
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ac**



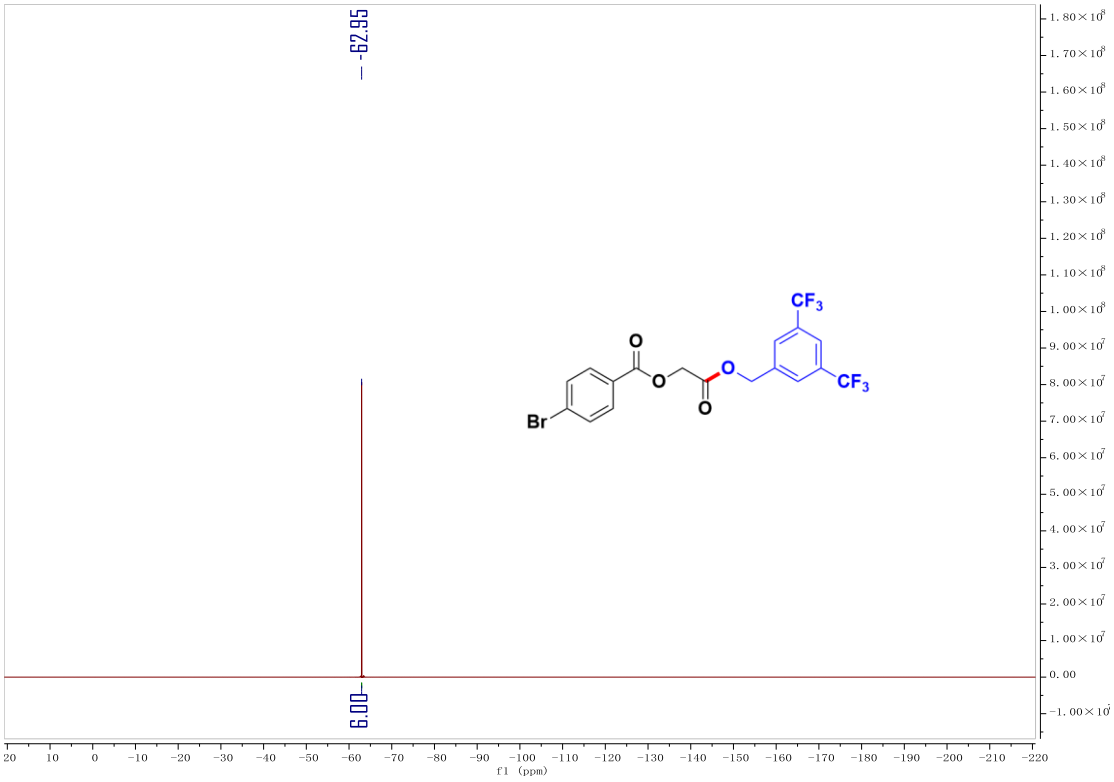
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ad**



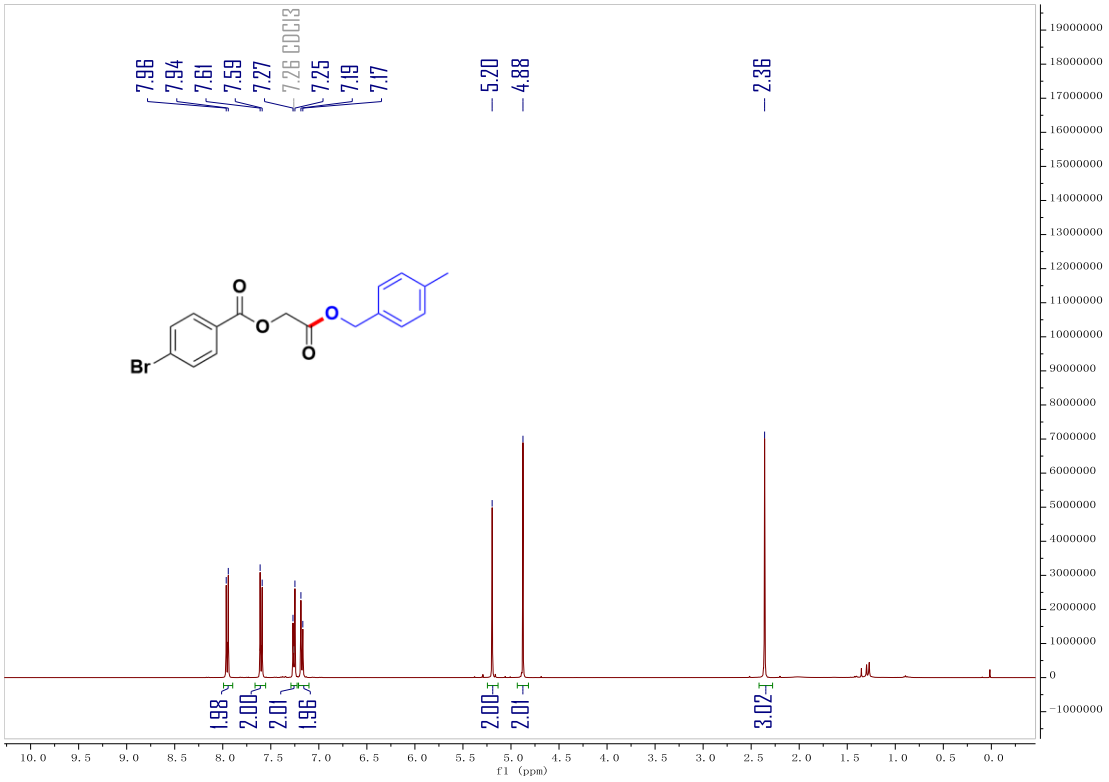
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ad**



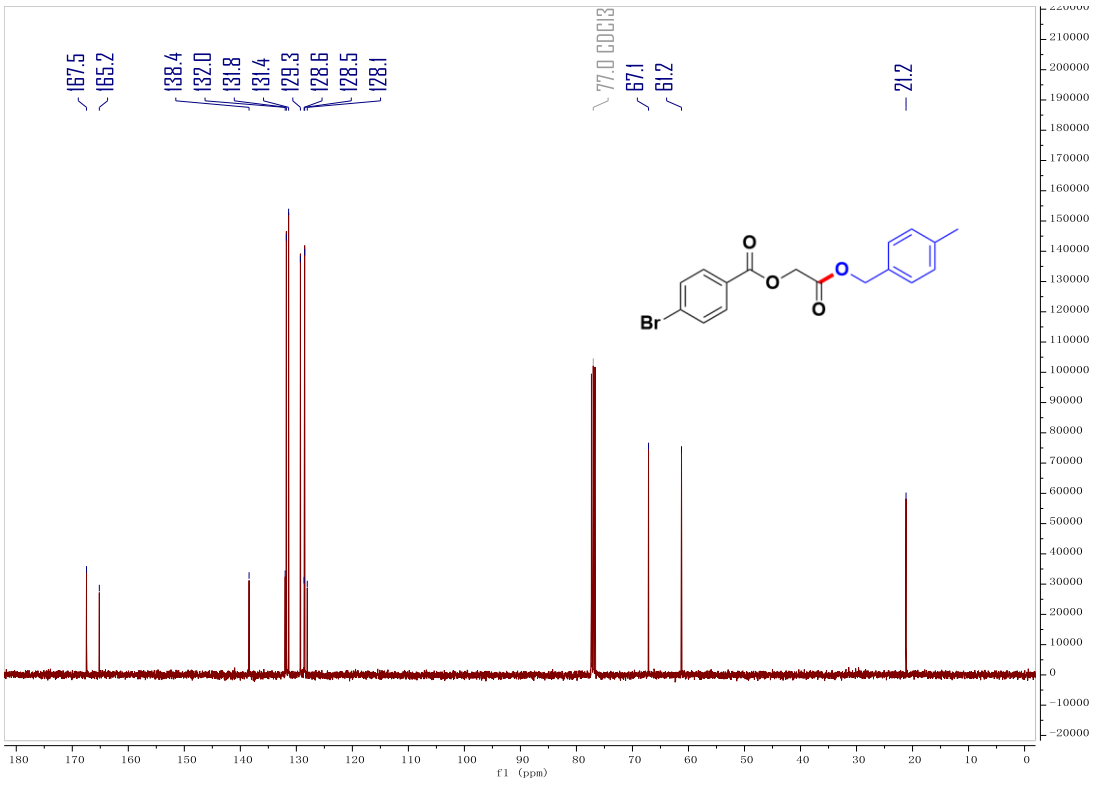
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5ad**



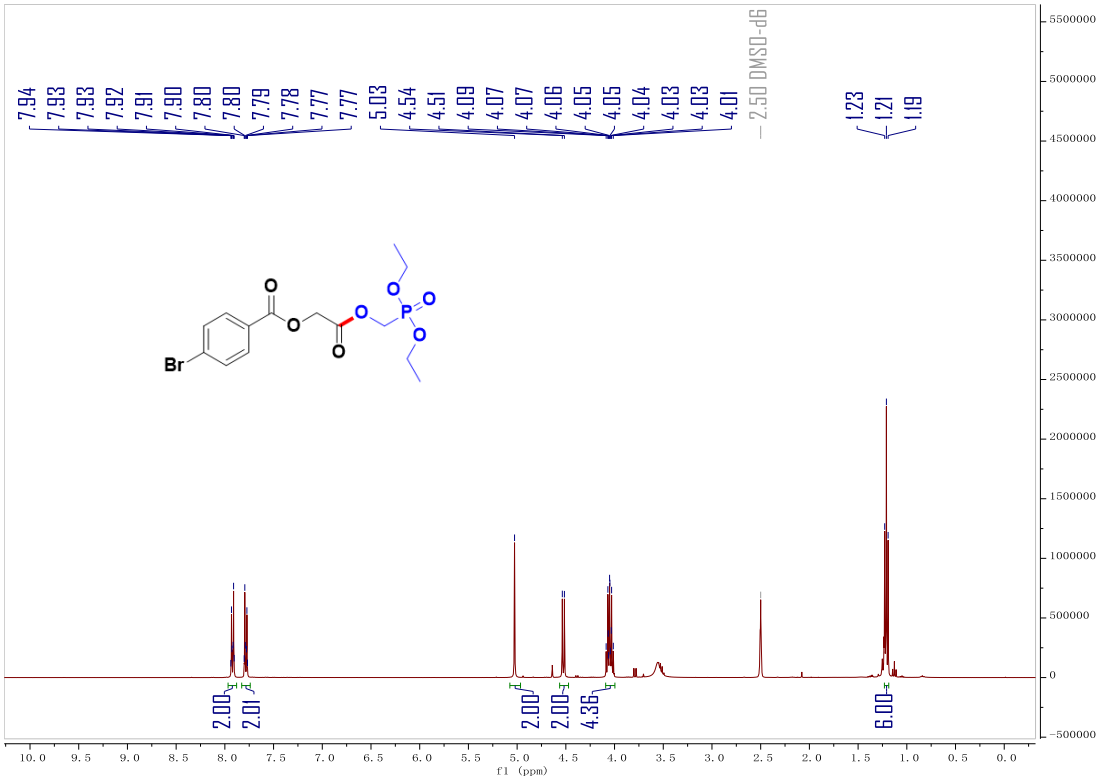
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ae**



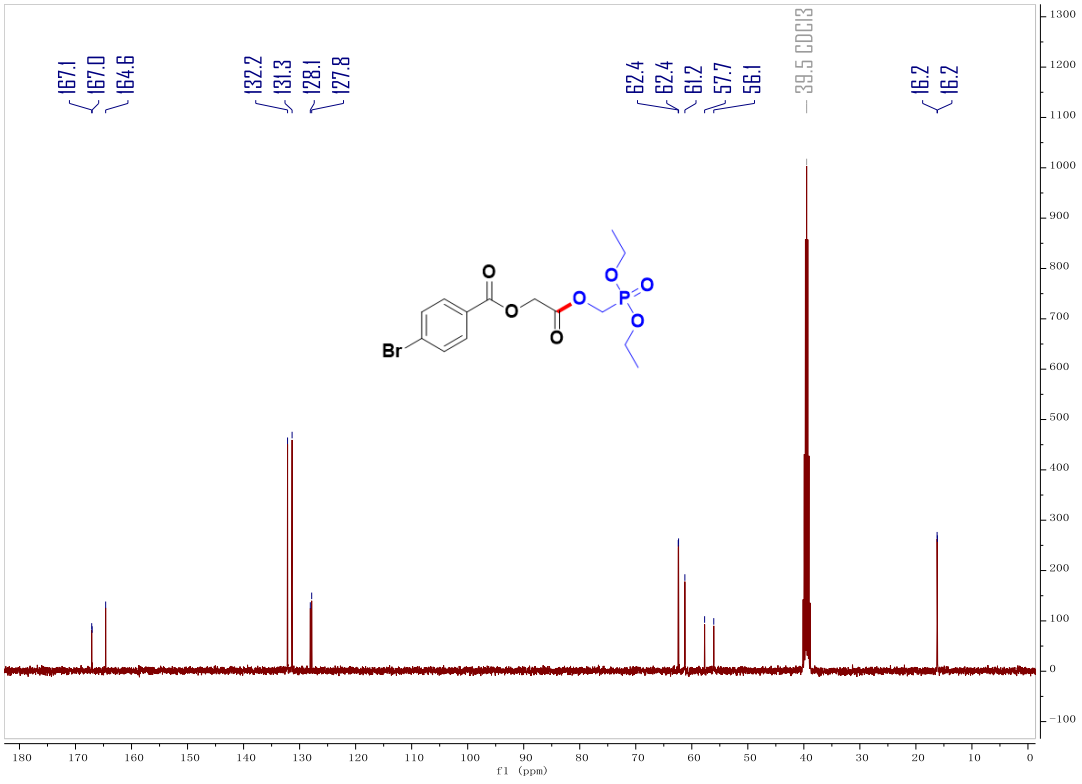
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ae**



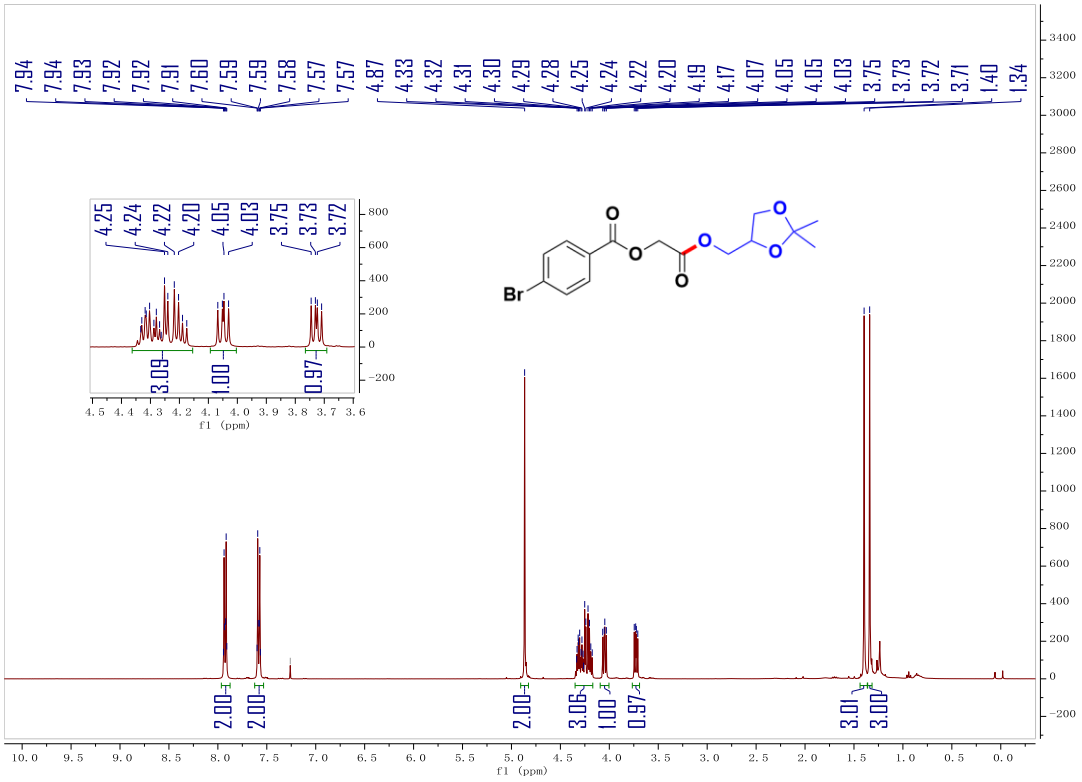
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5af**



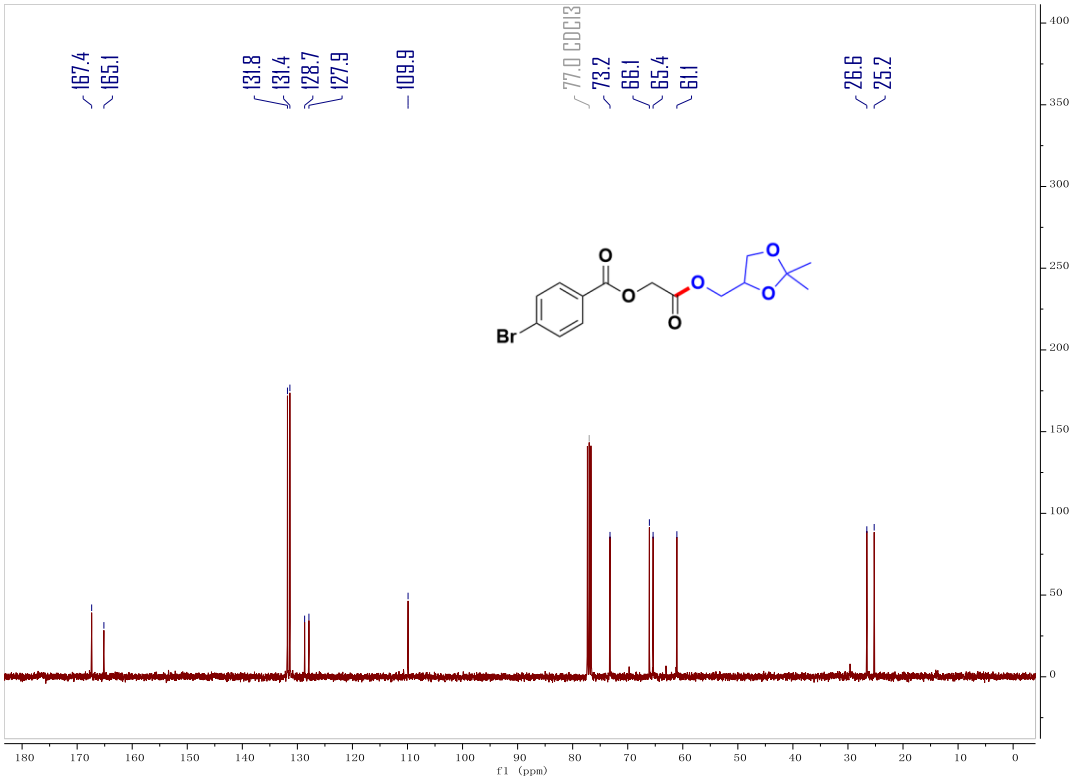
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5af**



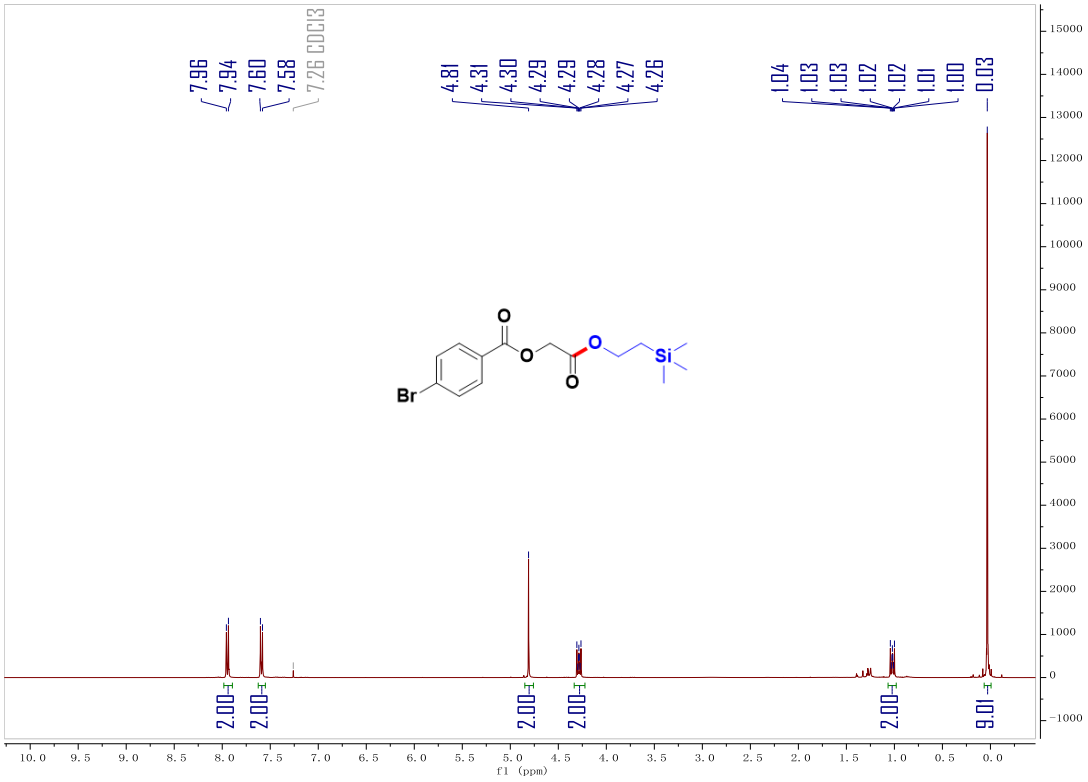
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ag**



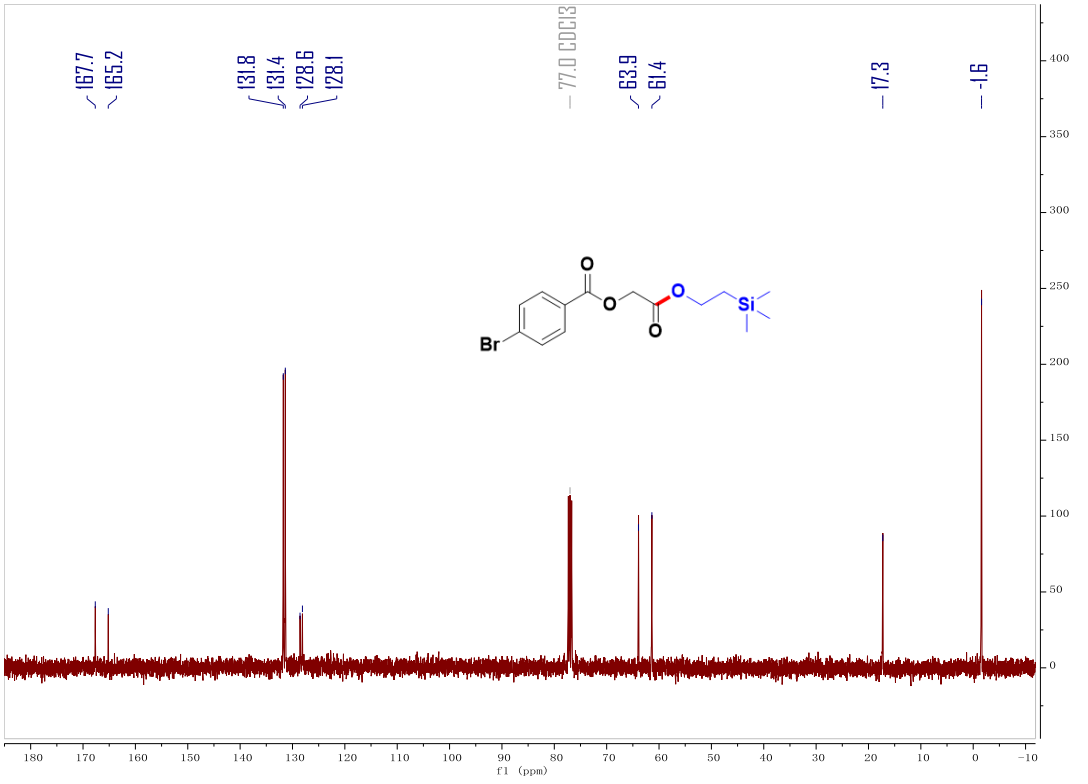
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ag**



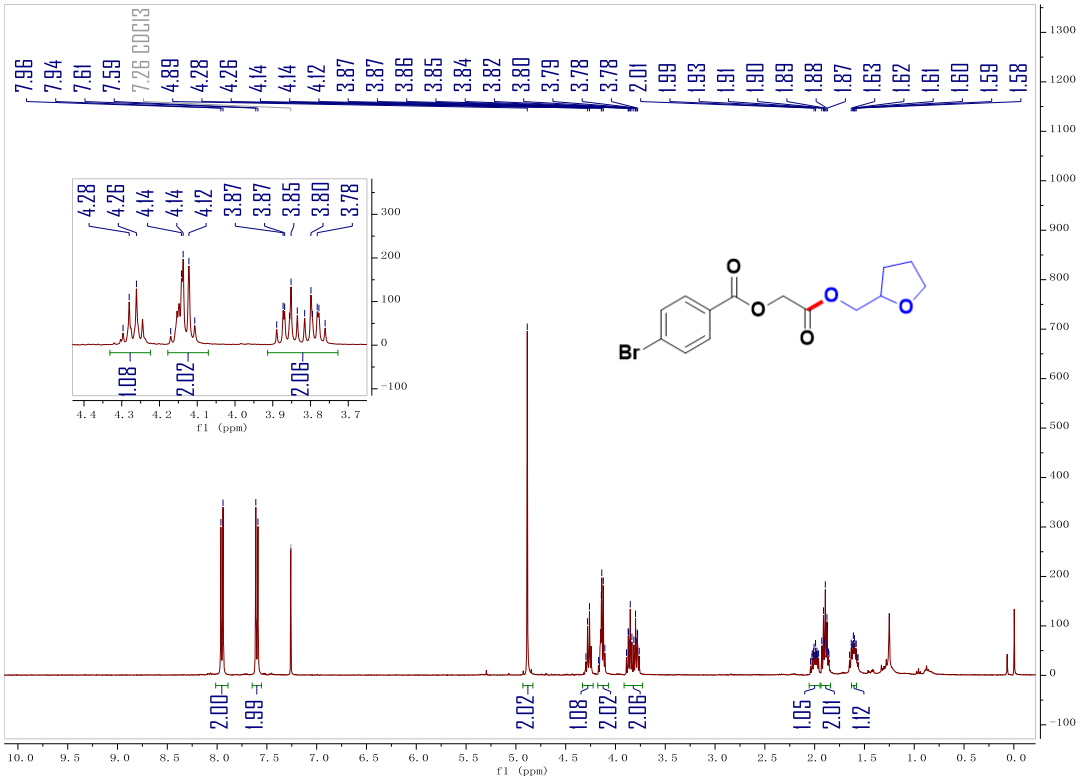
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ah**



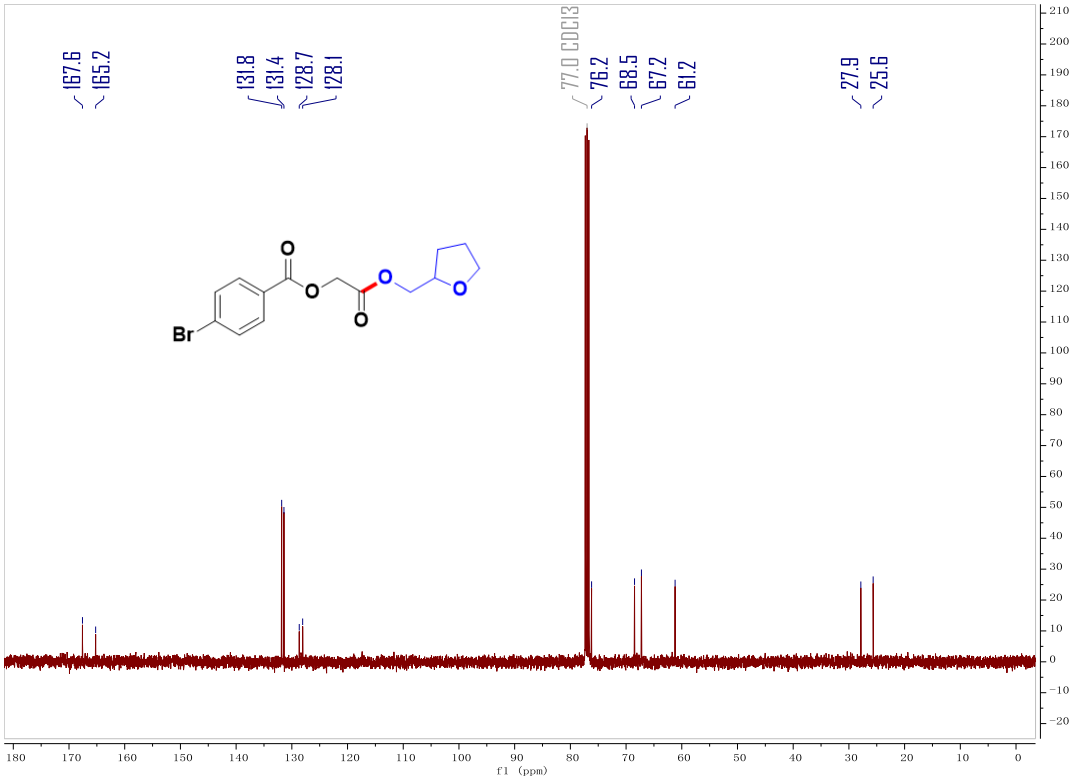
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ah**



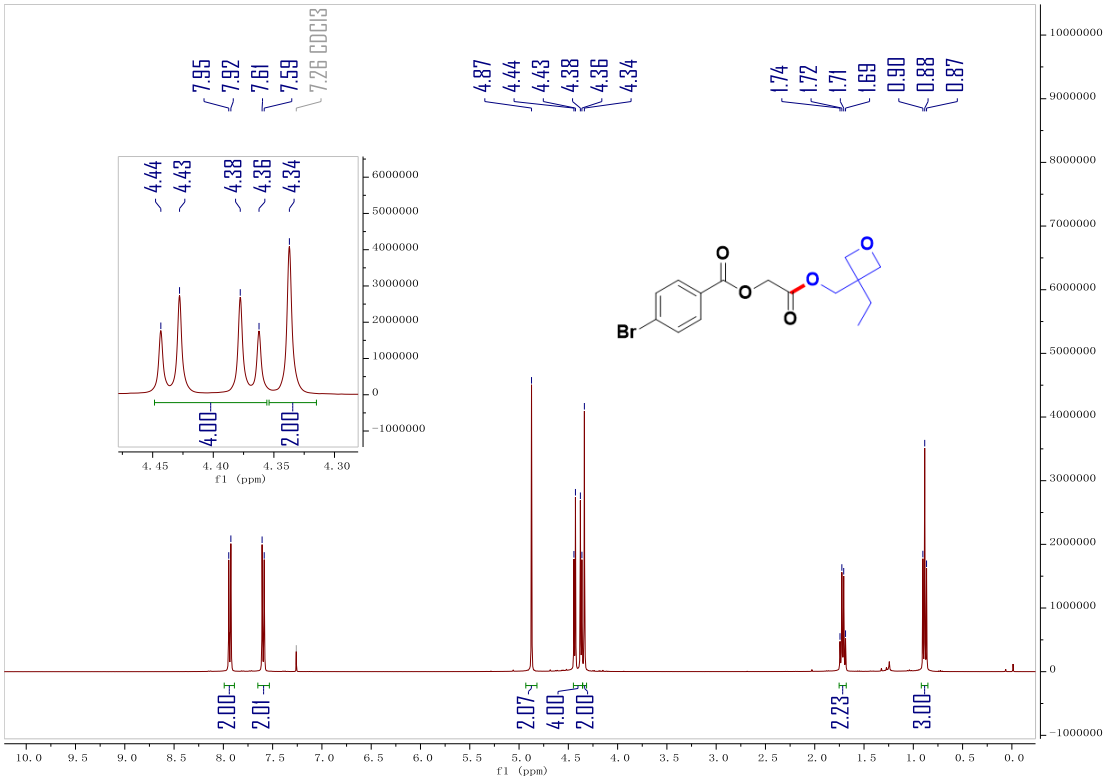
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ai**



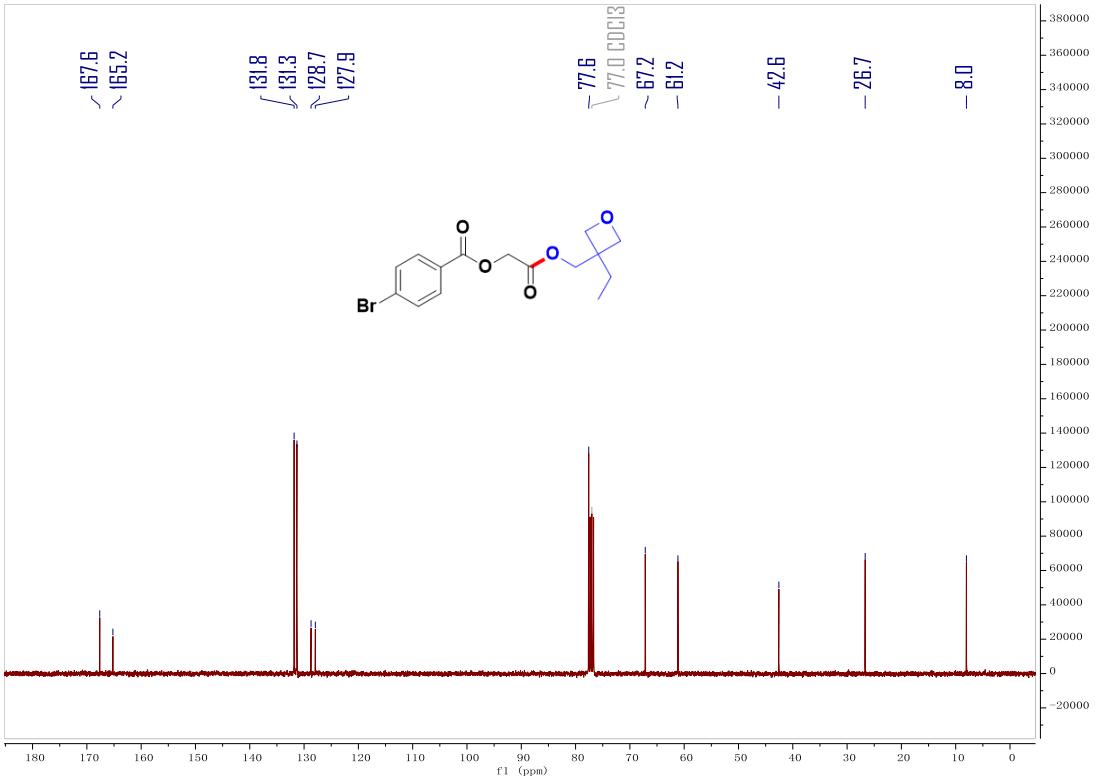
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ai**



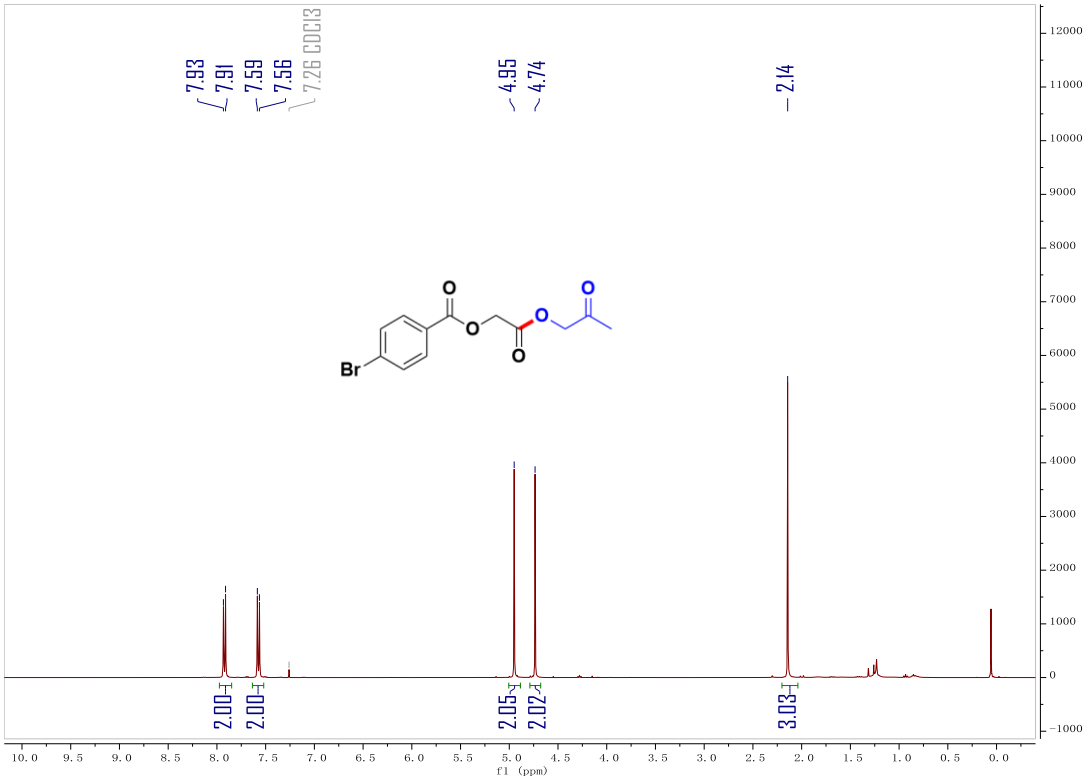
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5aj**



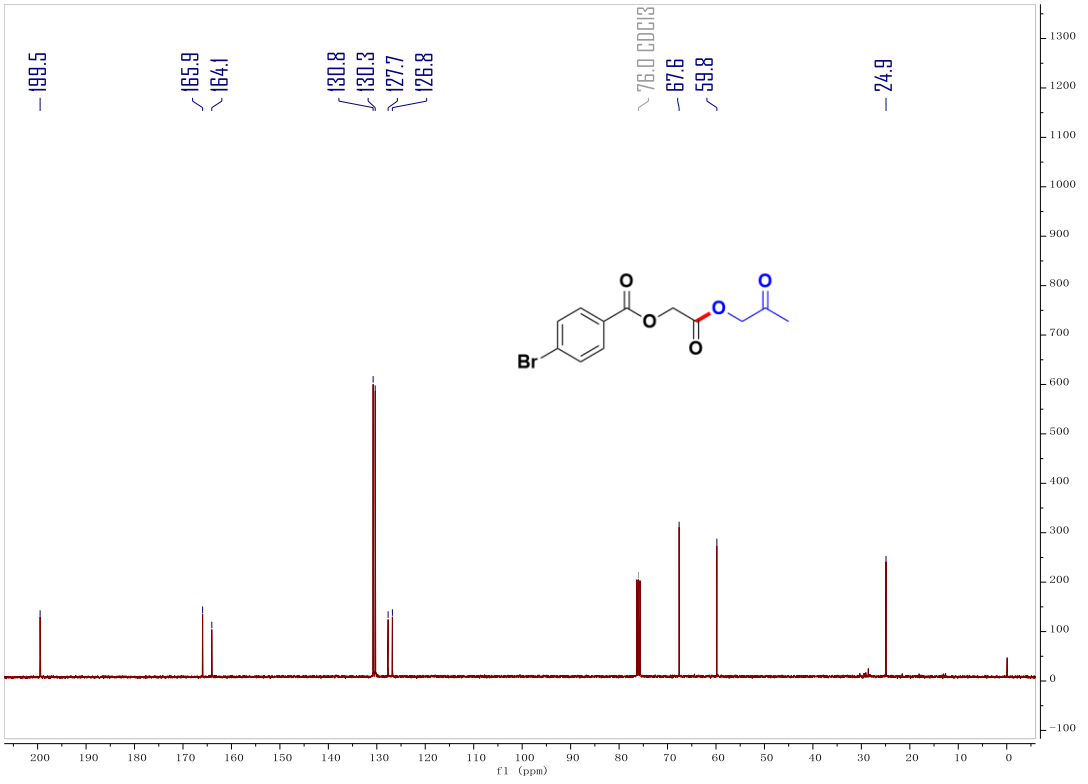
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5aj**



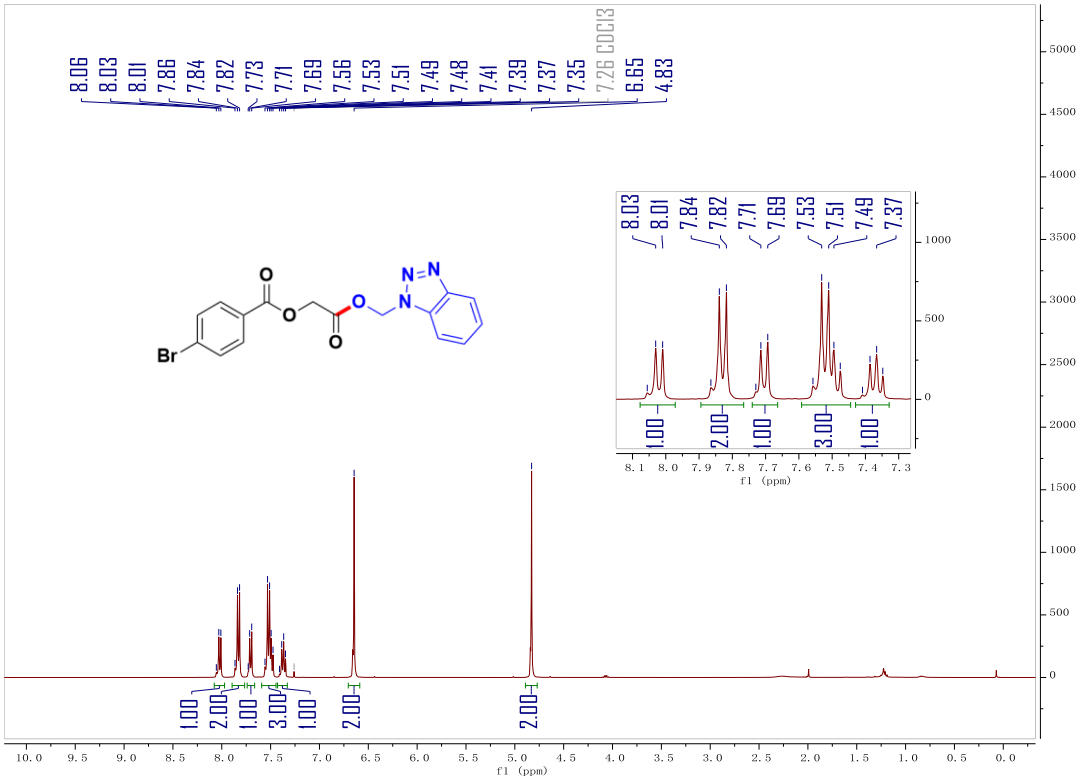
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ak**



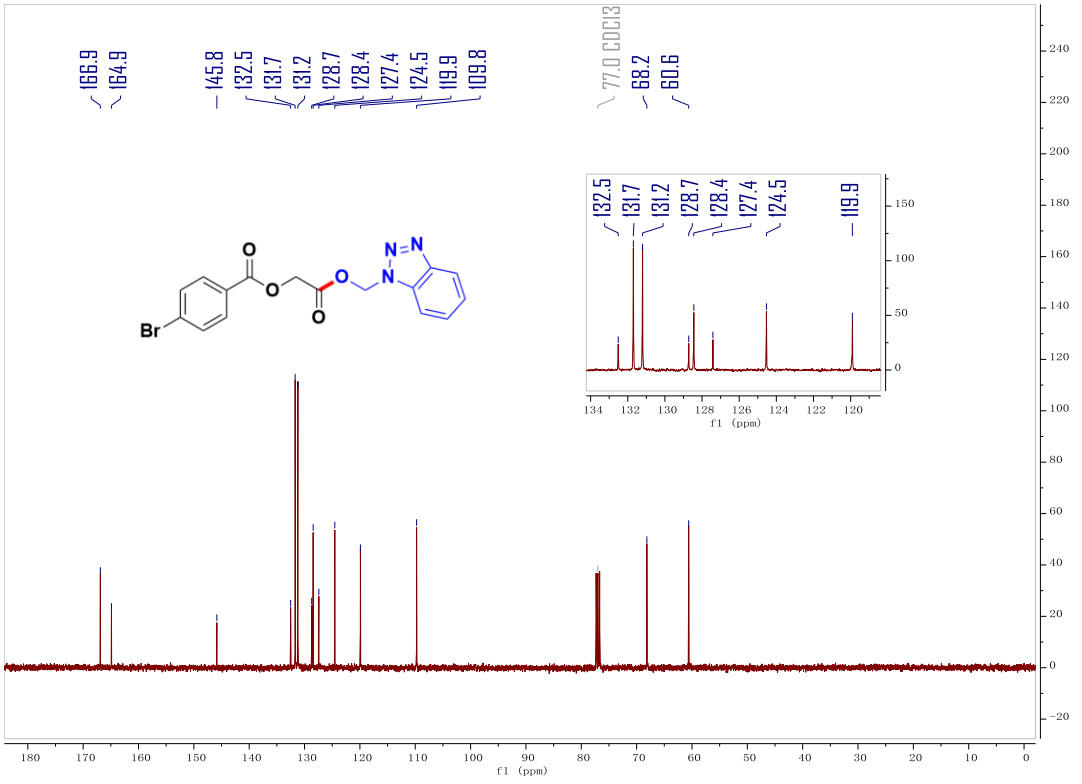
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ak**



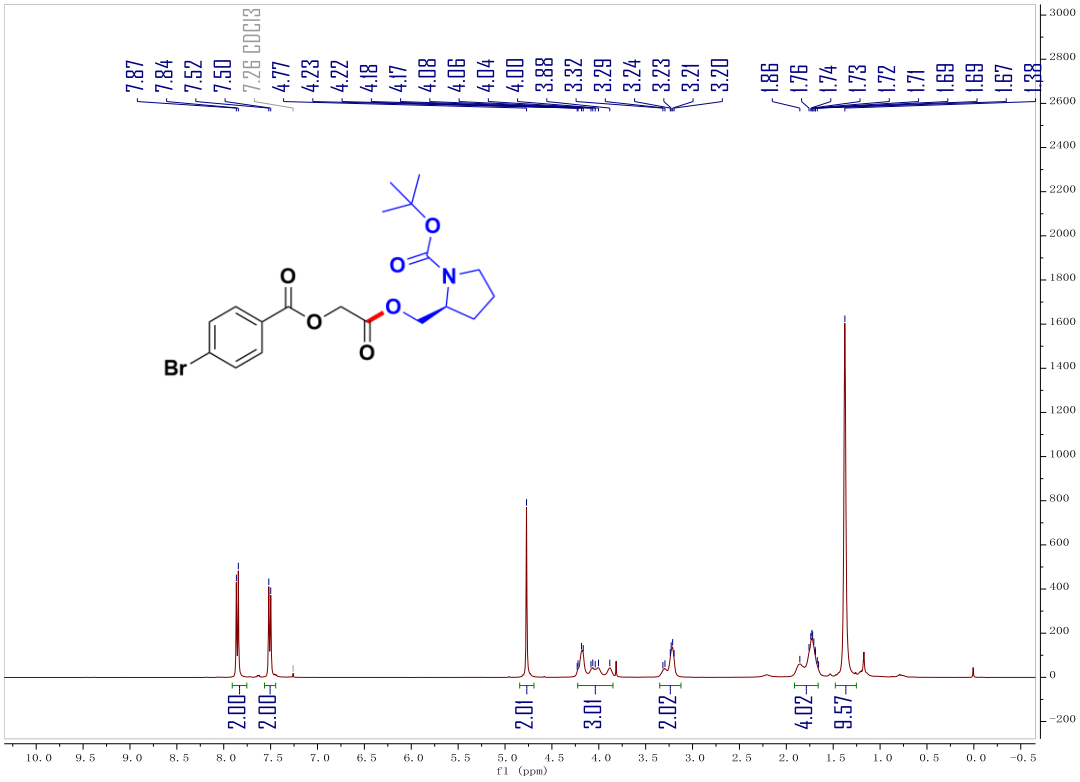
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5al**



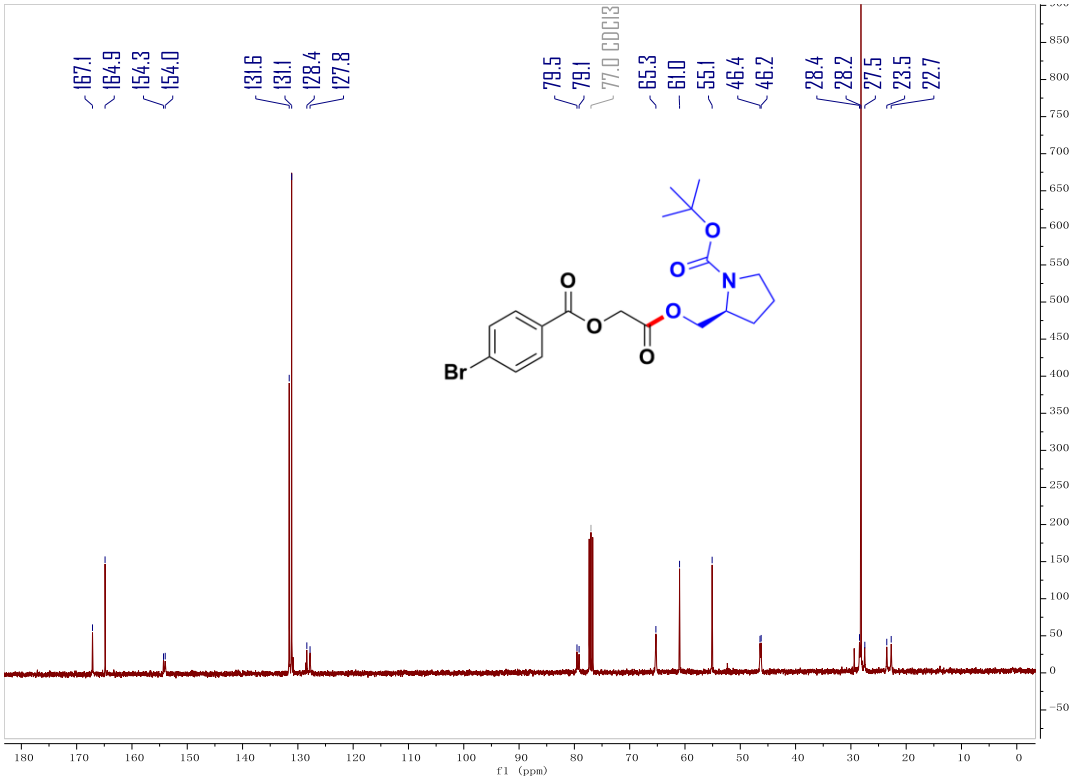
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5al**



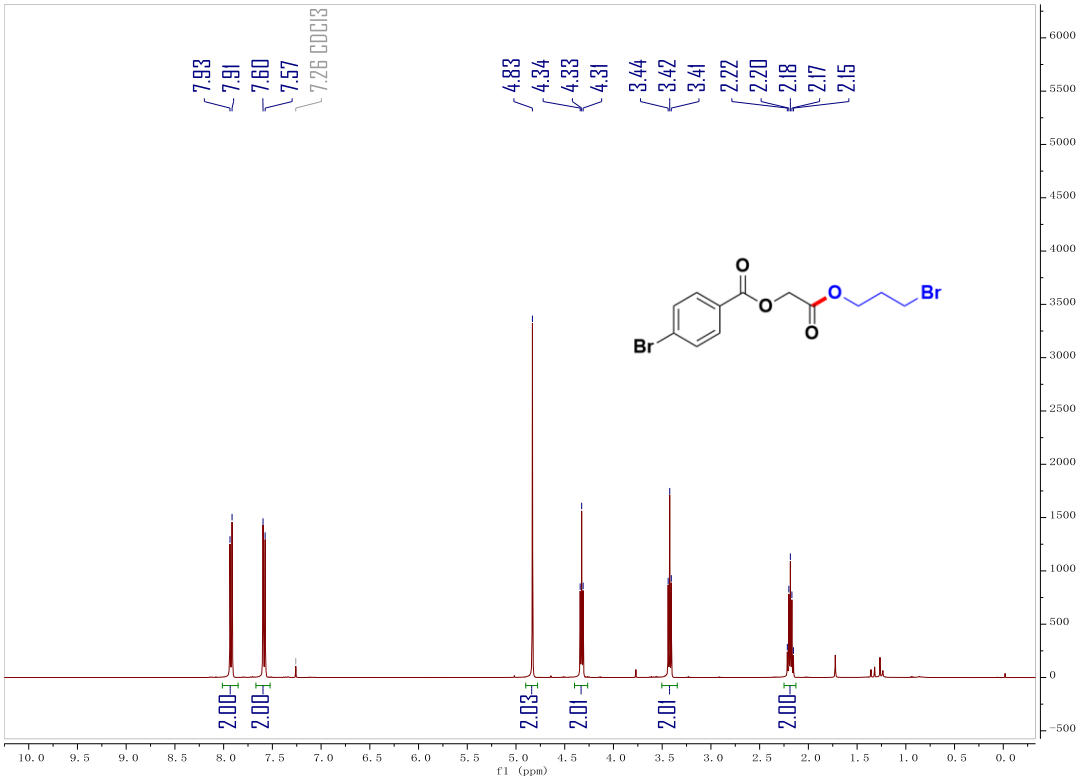
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5am**



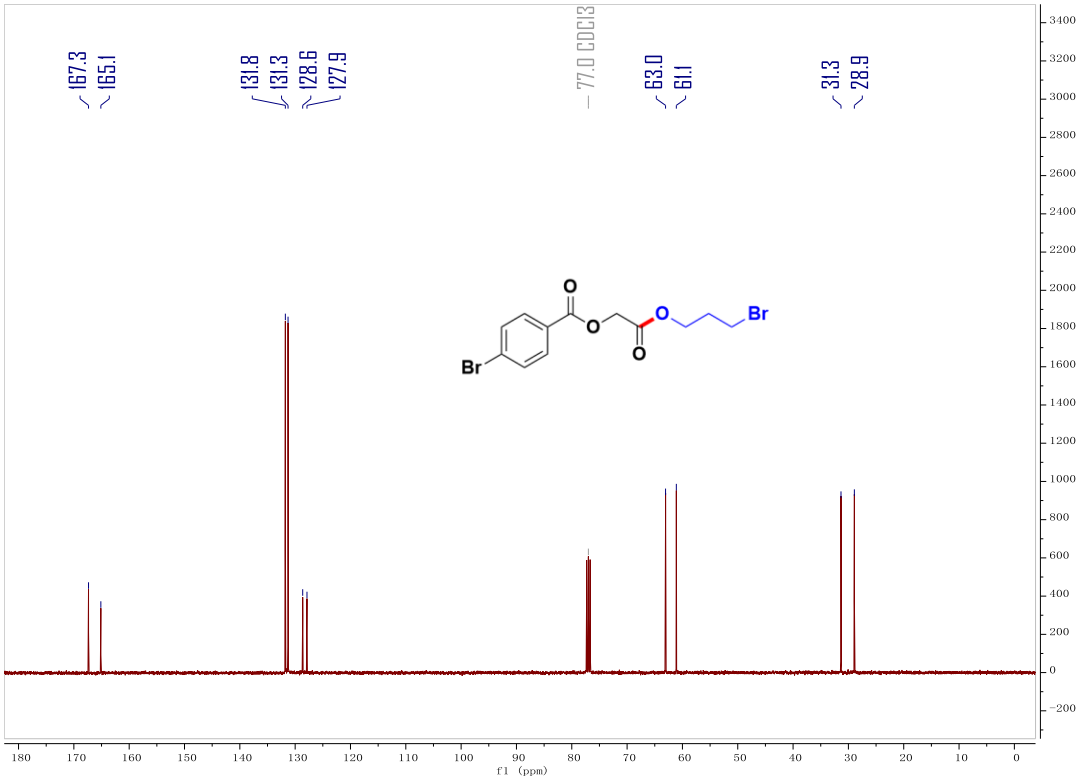
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5am**



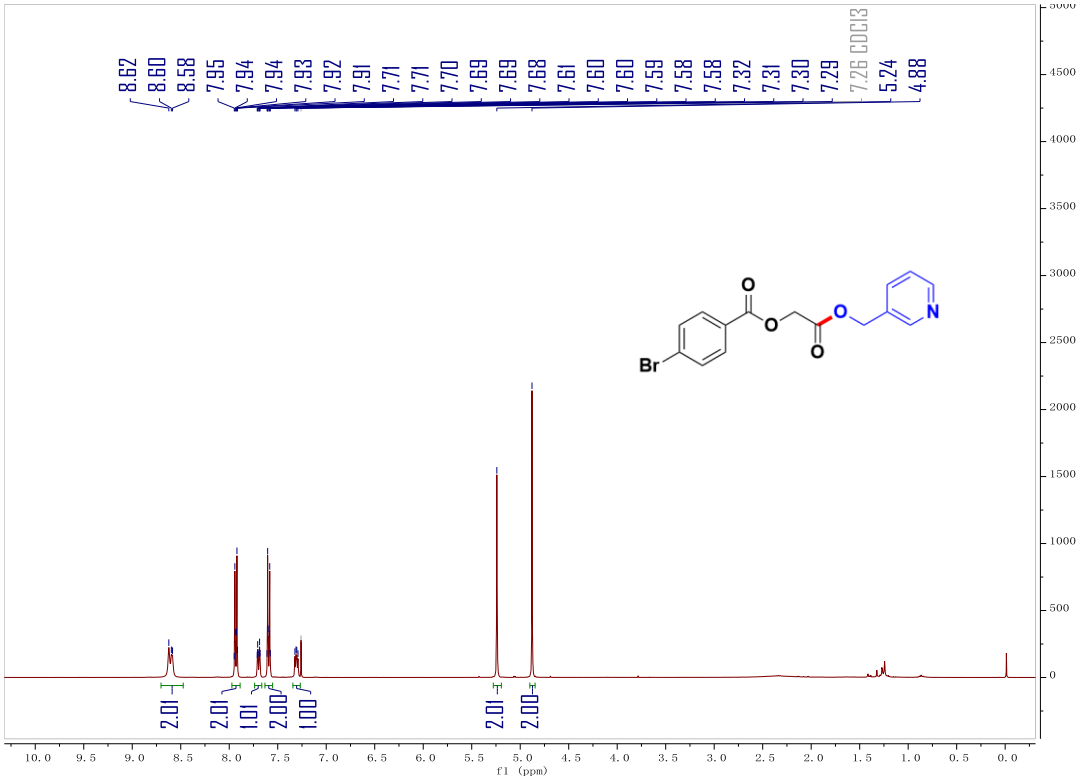
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5an**



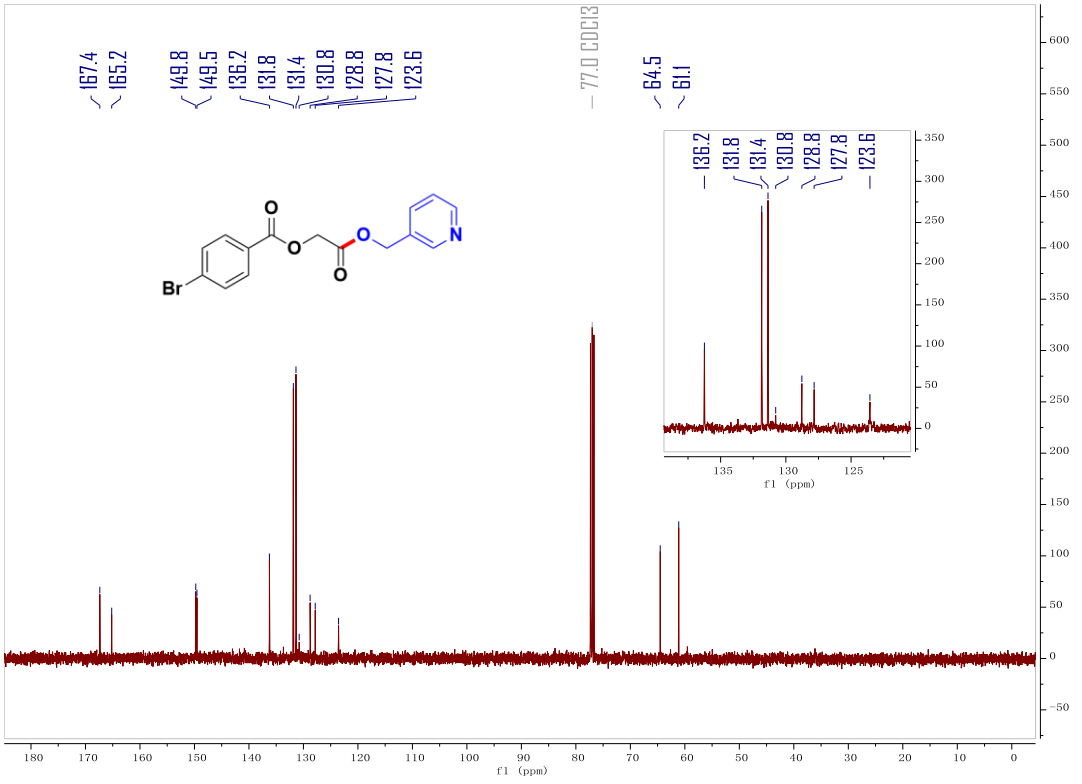
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5an**



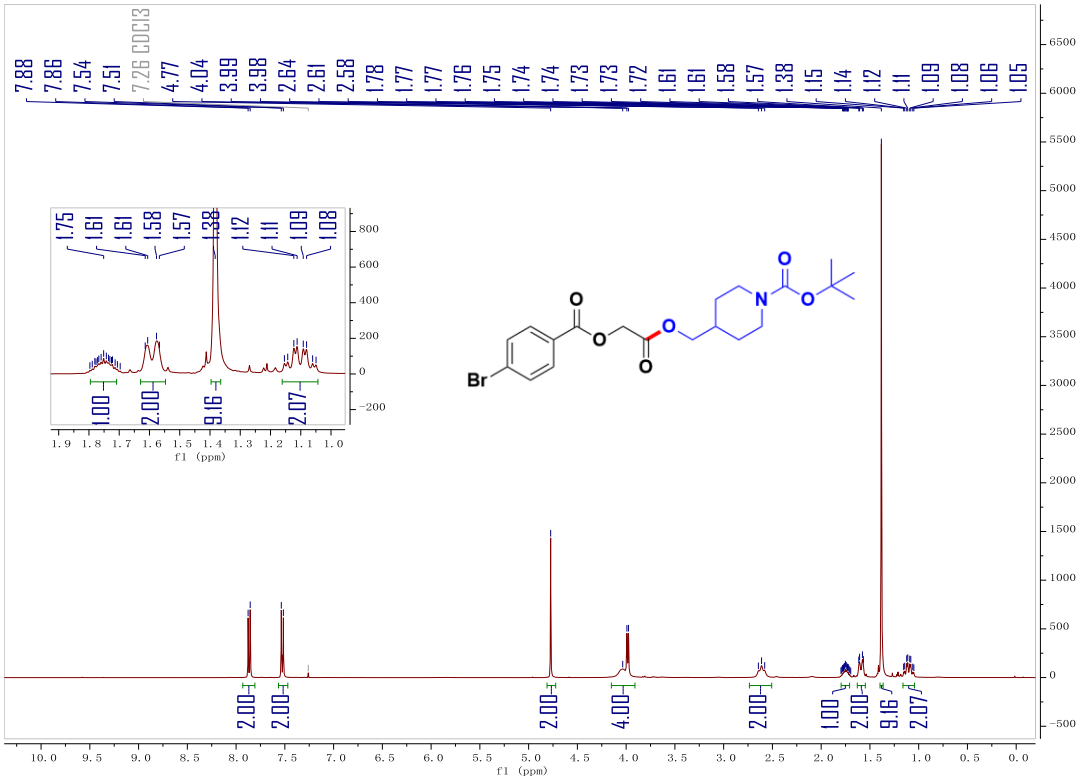
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ao**



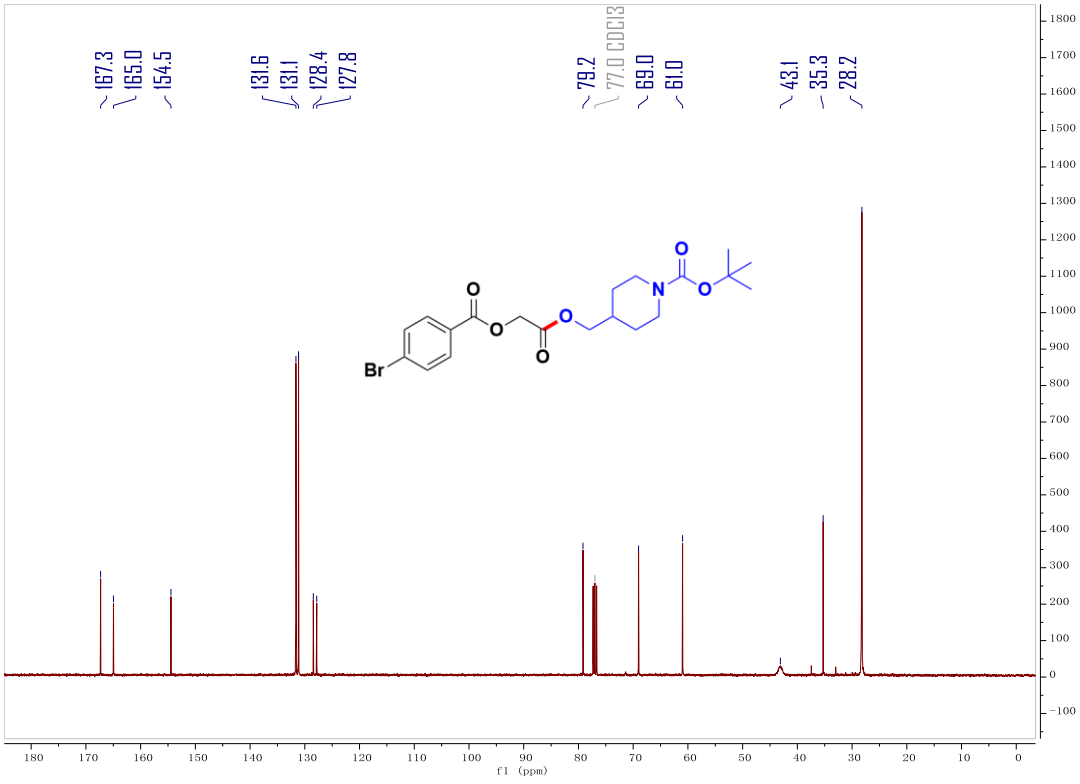
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ao**



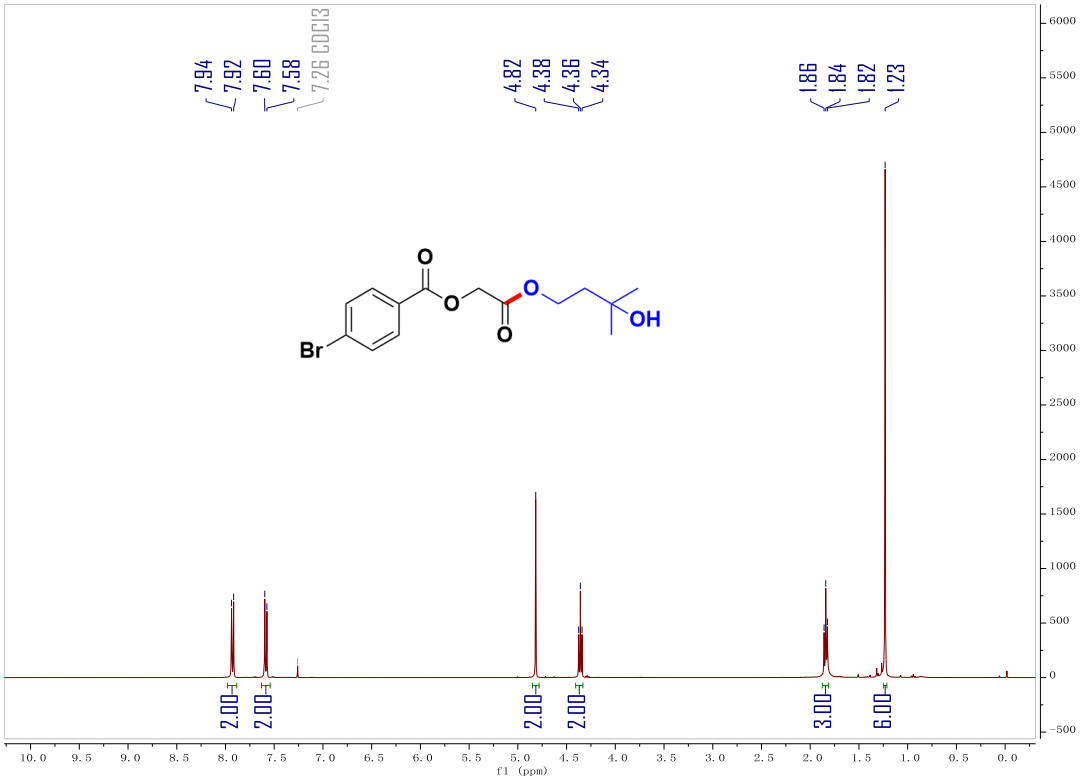
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ap**



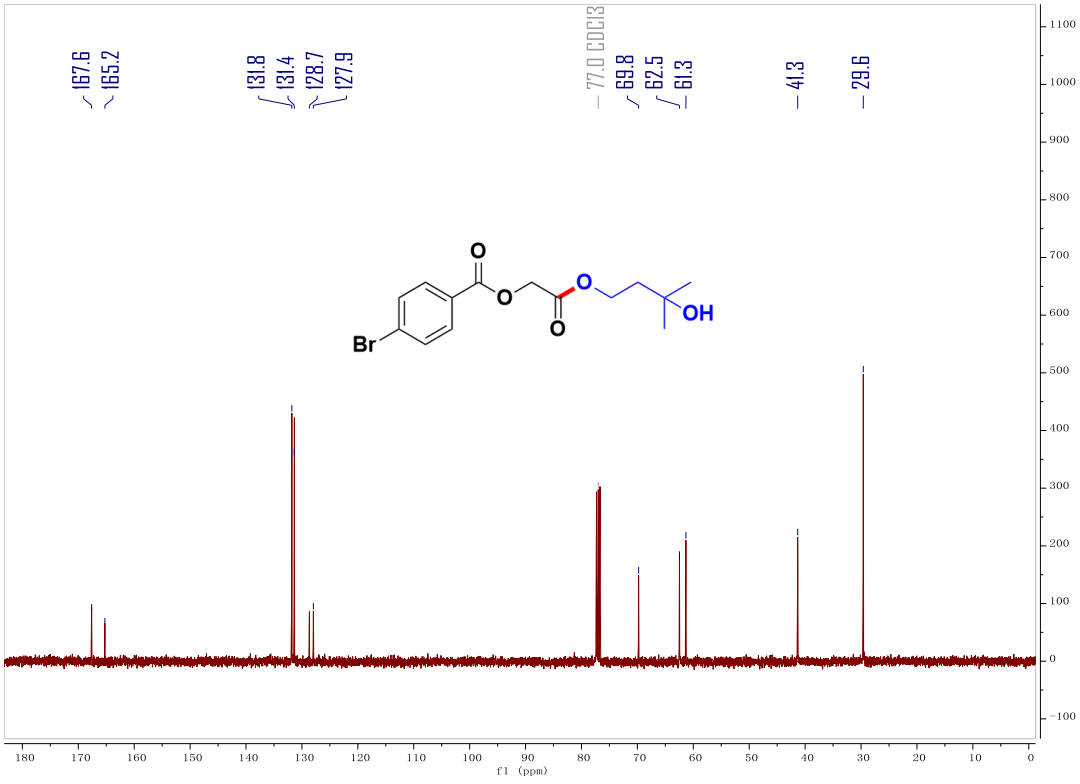
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ap**



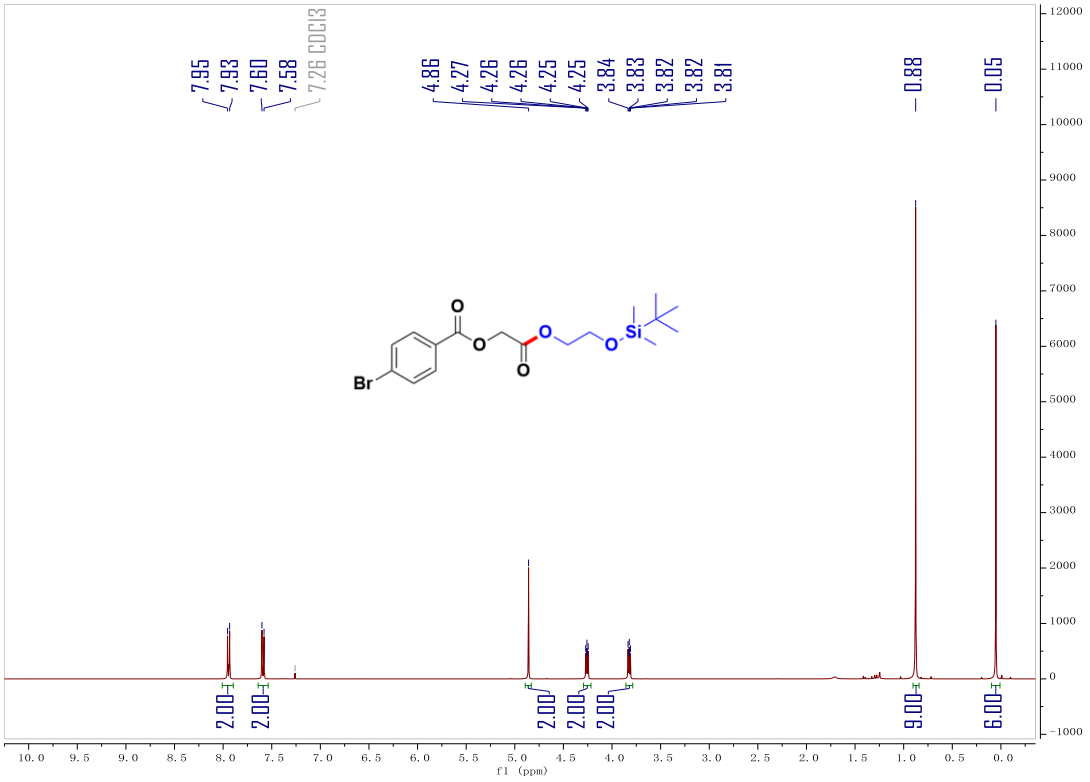
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5aq**



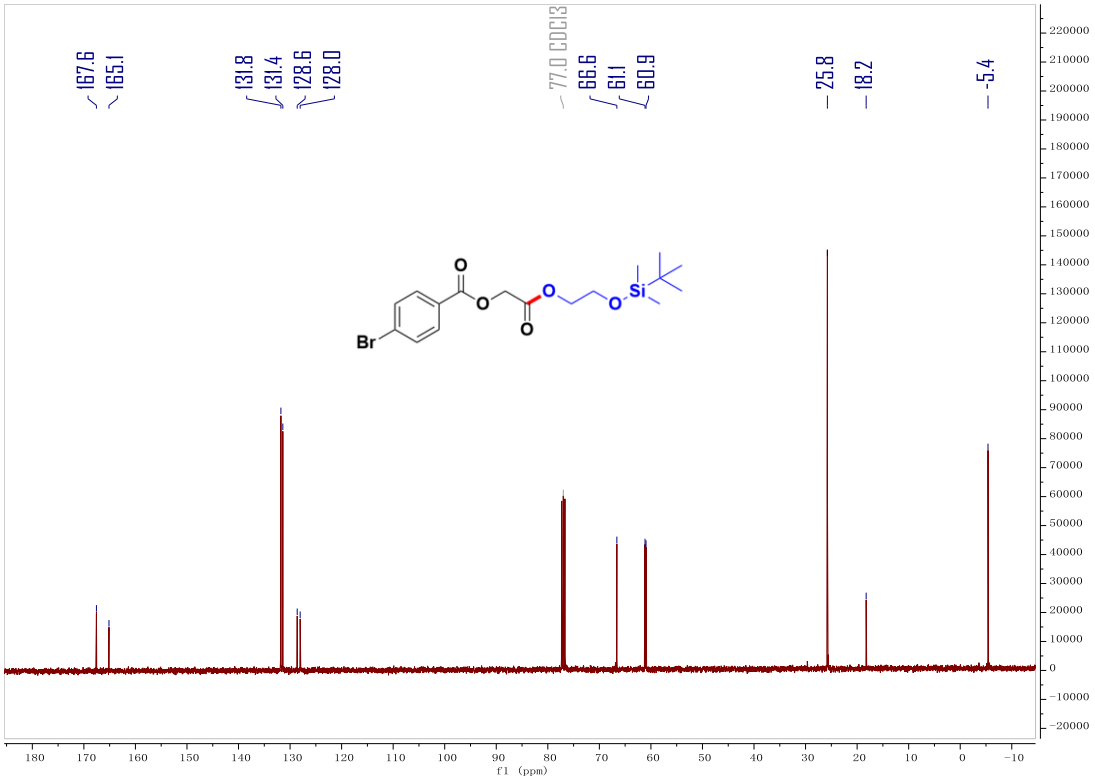
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5aq**



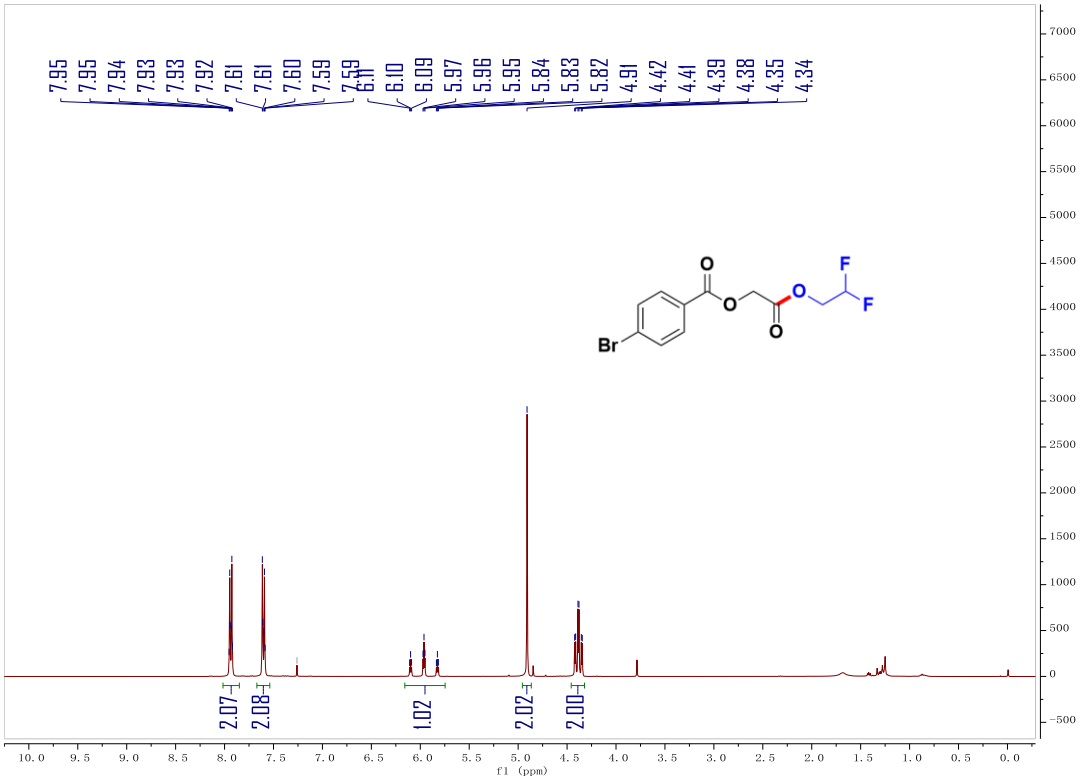
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ar**



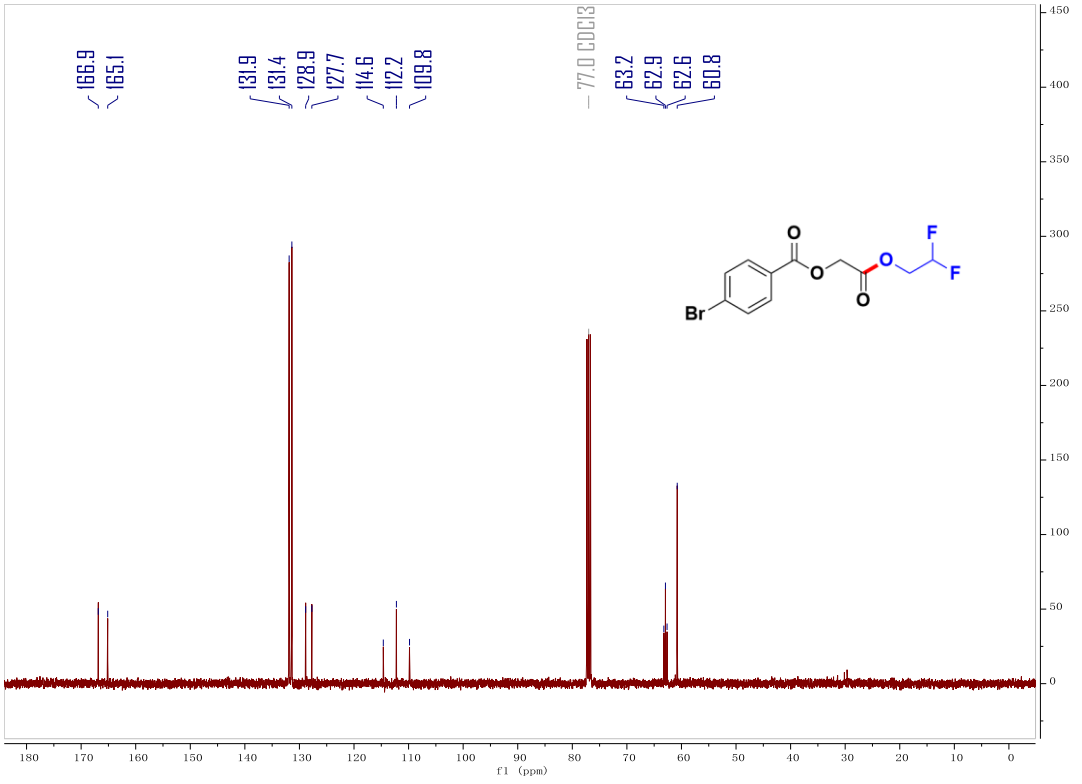
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ar**



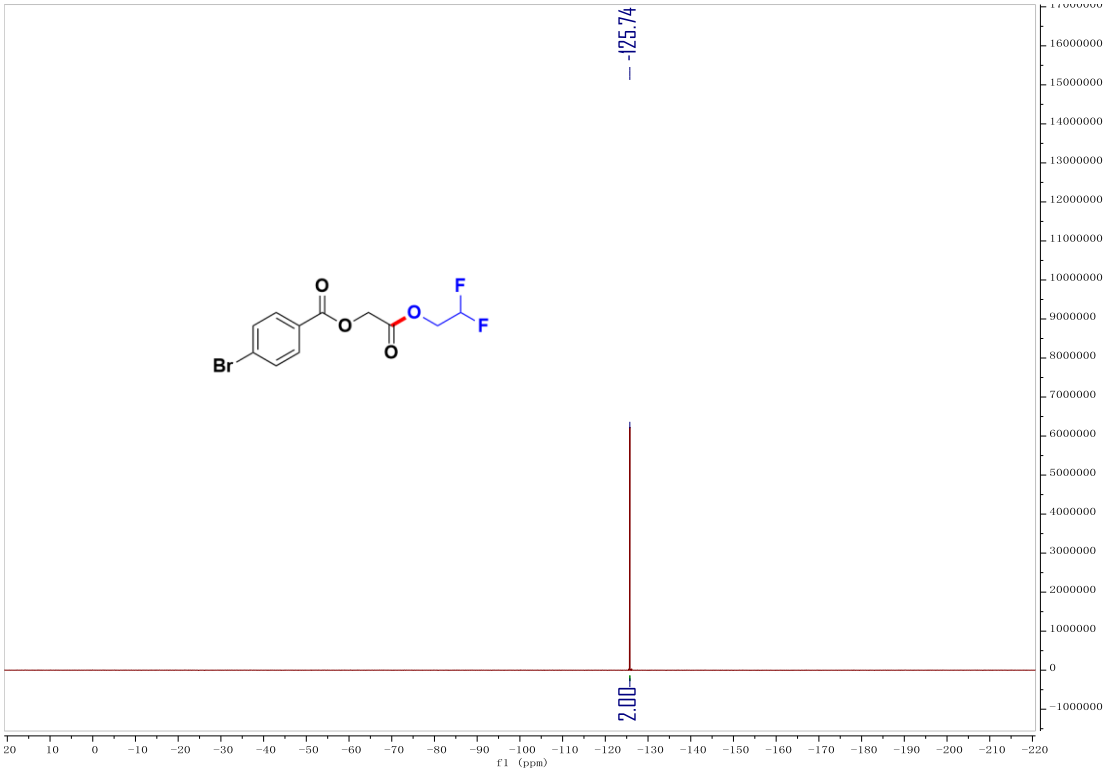
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5as**



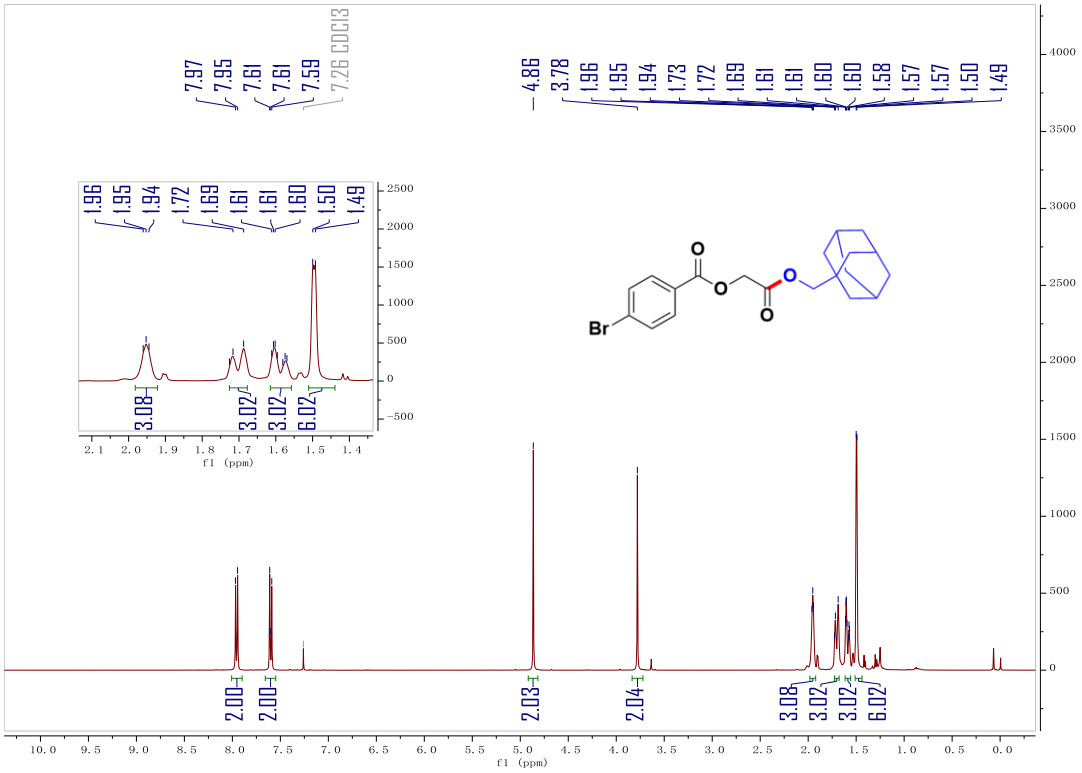
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5as**



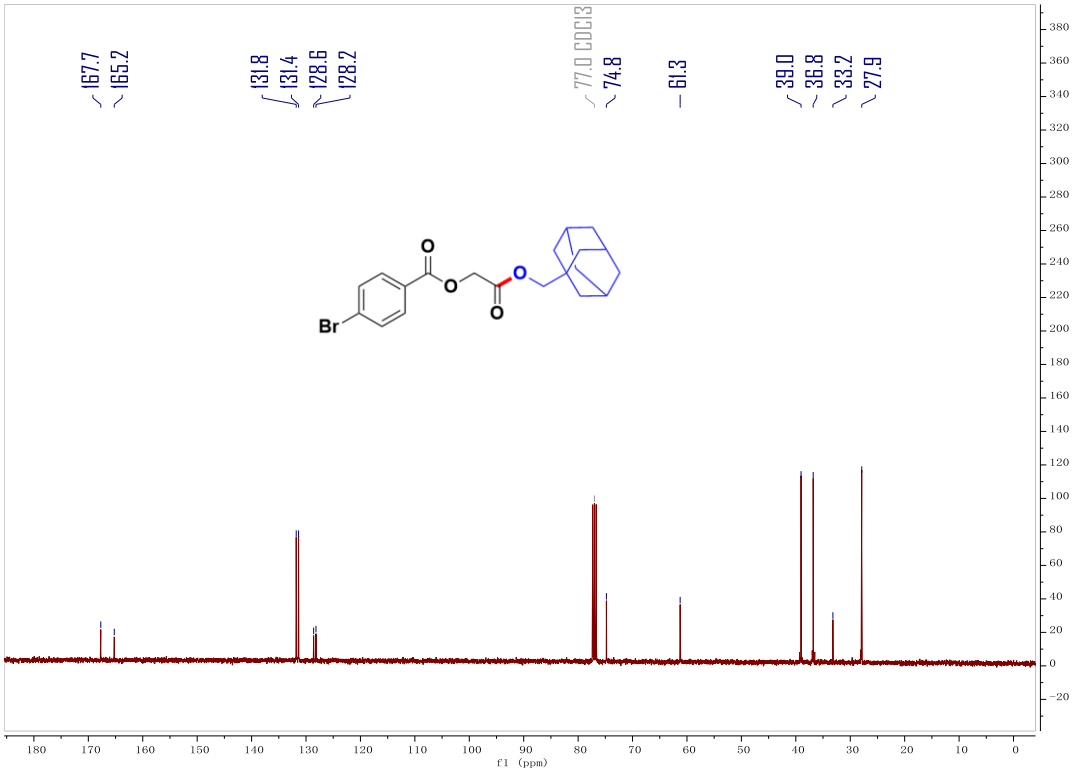
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5as**



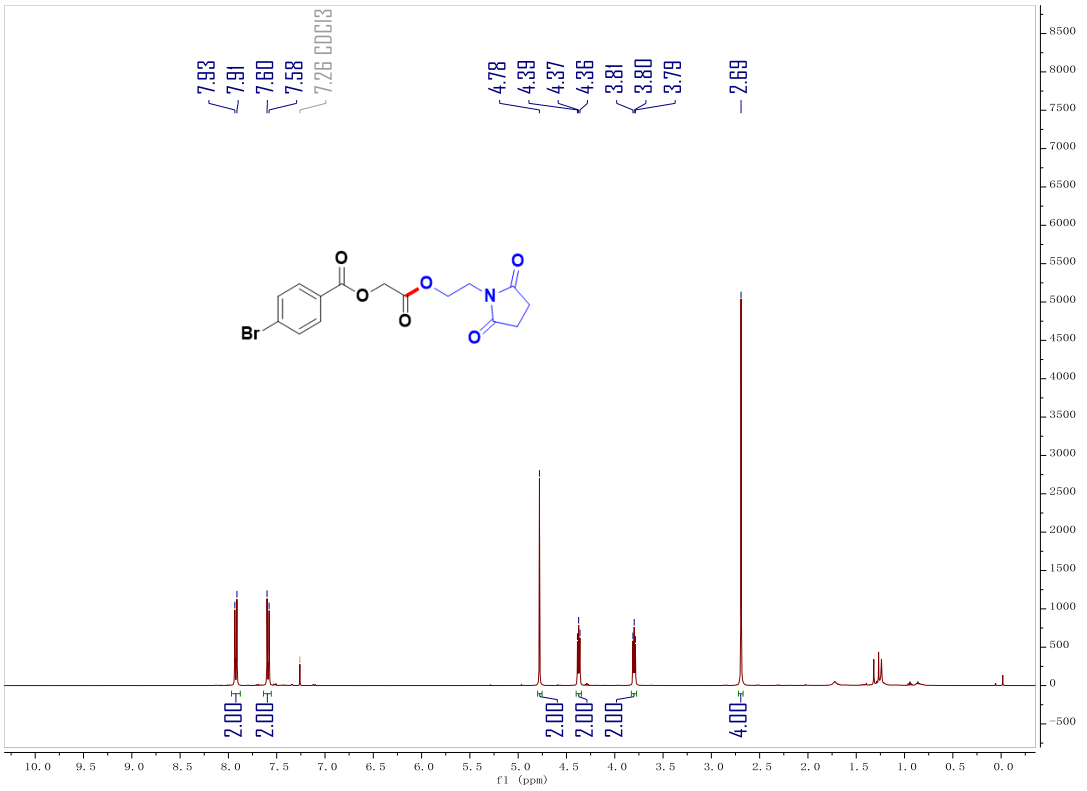
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5at**



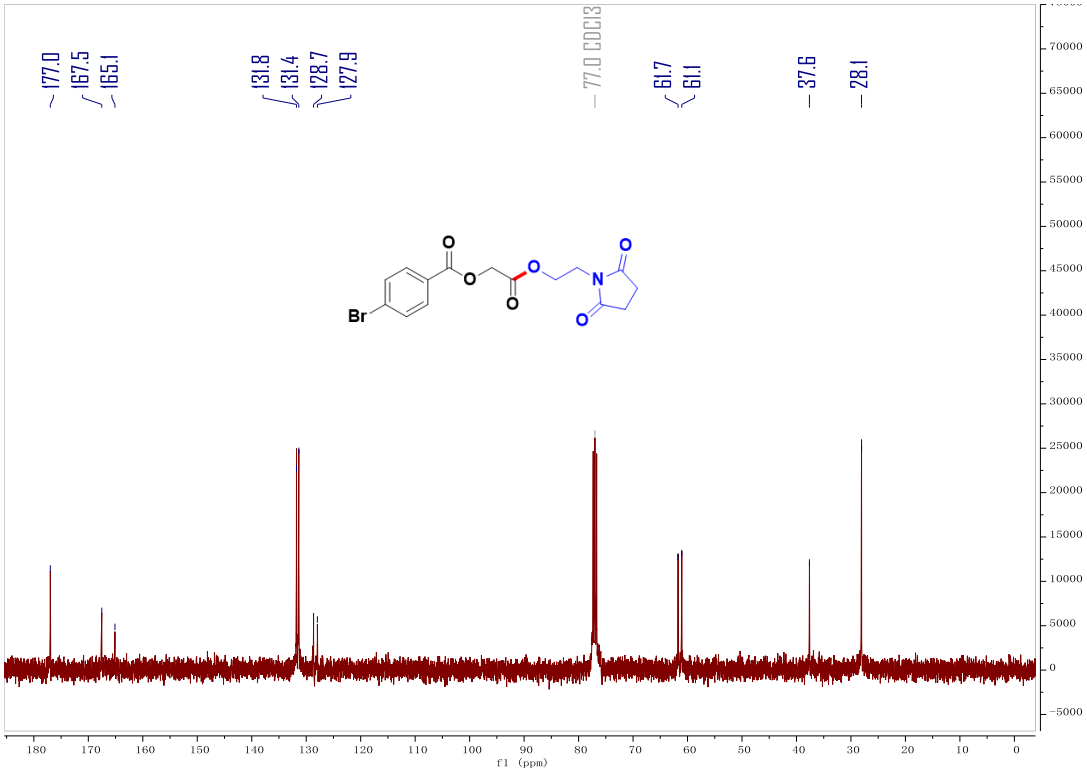
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5at**



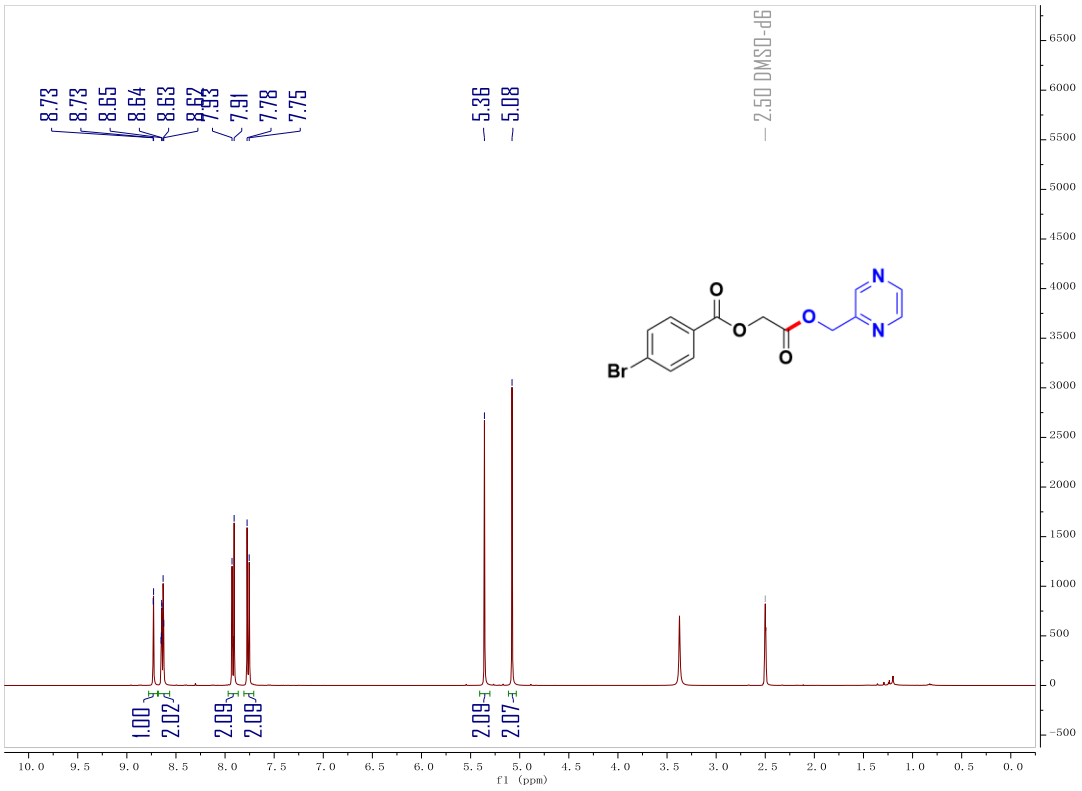
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5au**



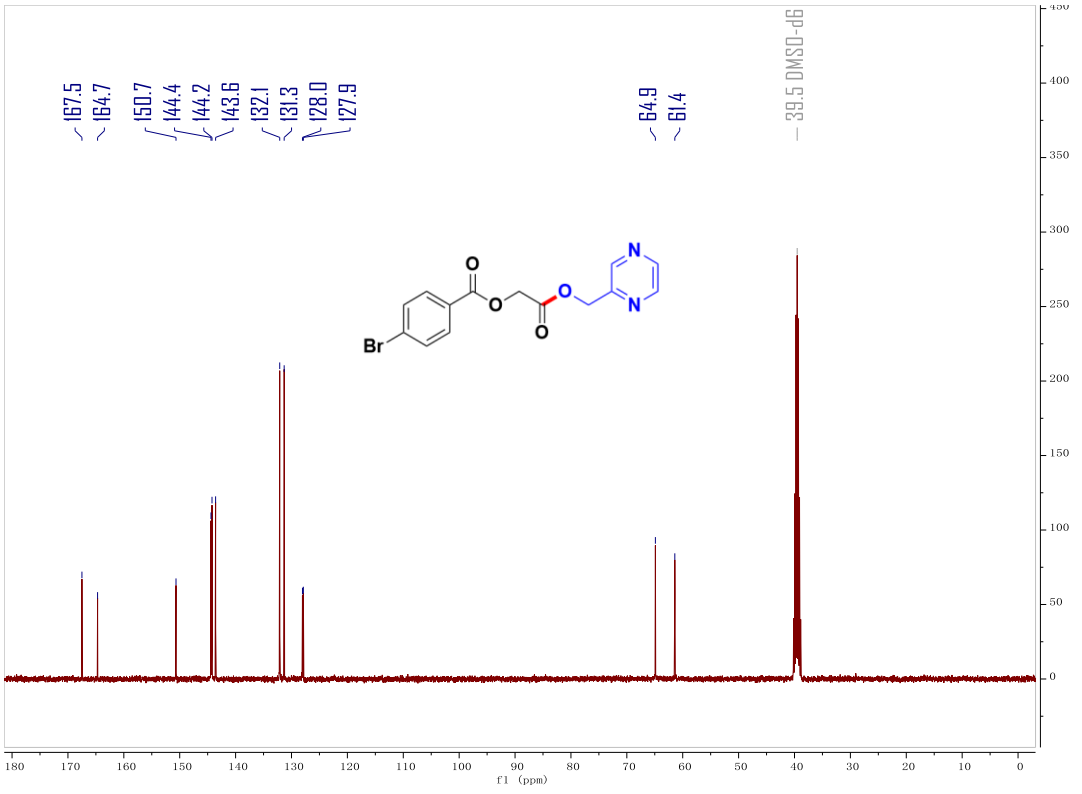
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5au**



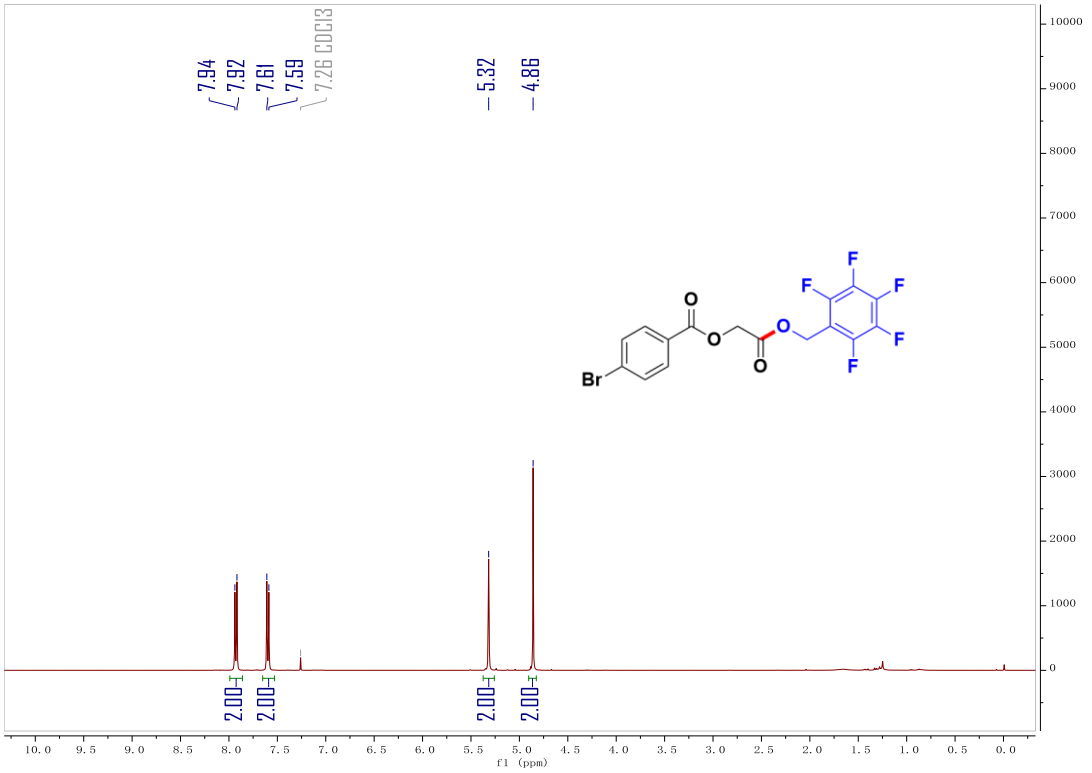
^{1}H NMR (400 MHz, DMSO-d_6) of compound **5av**



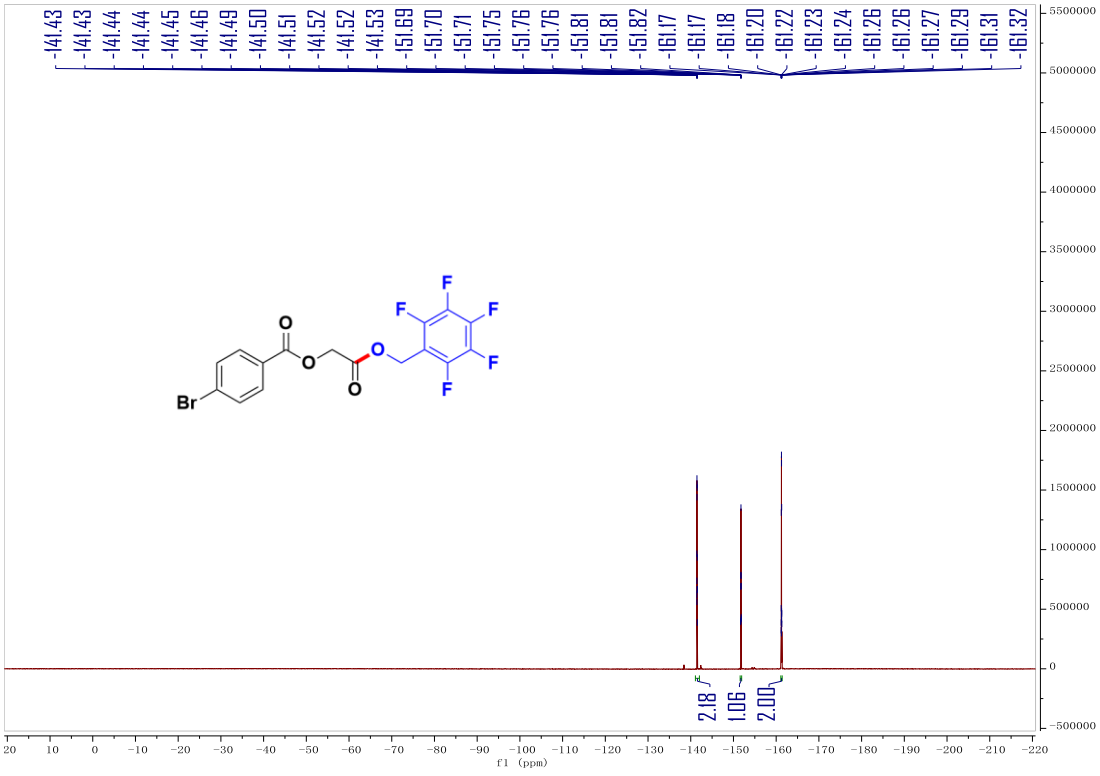
^{13}C NMR (100 MHz, DMSO-d_6) of compound **5av**



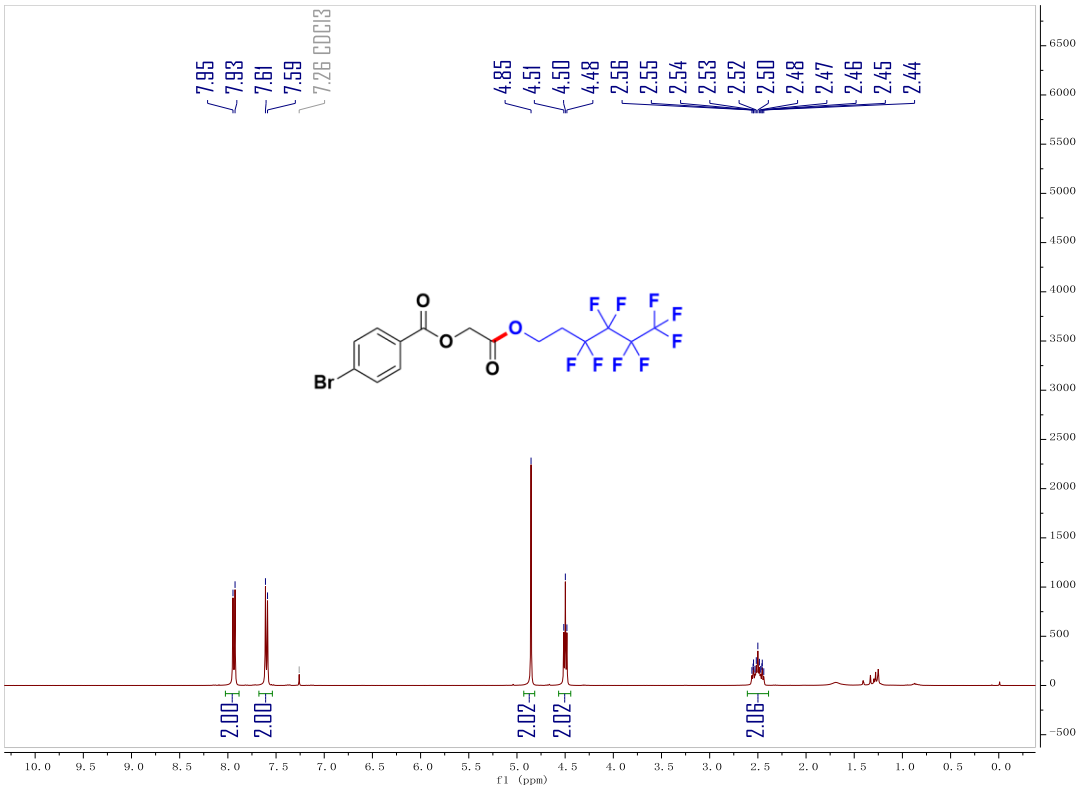
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5aw**



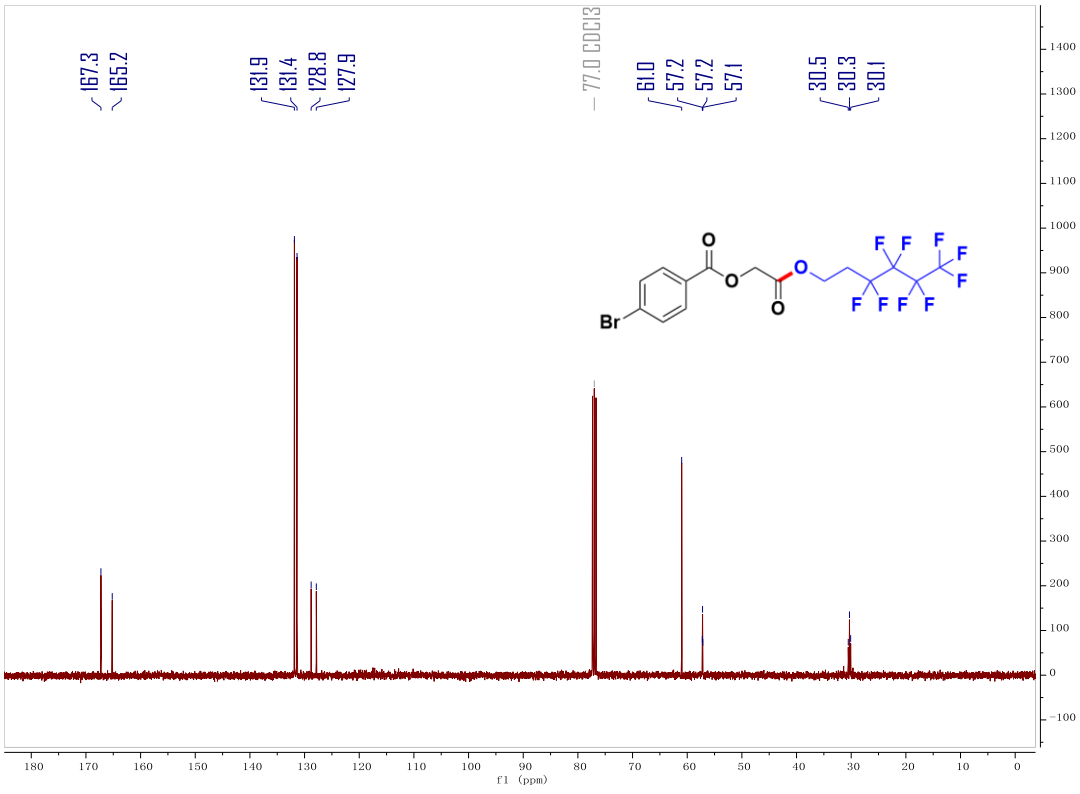
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5aw**



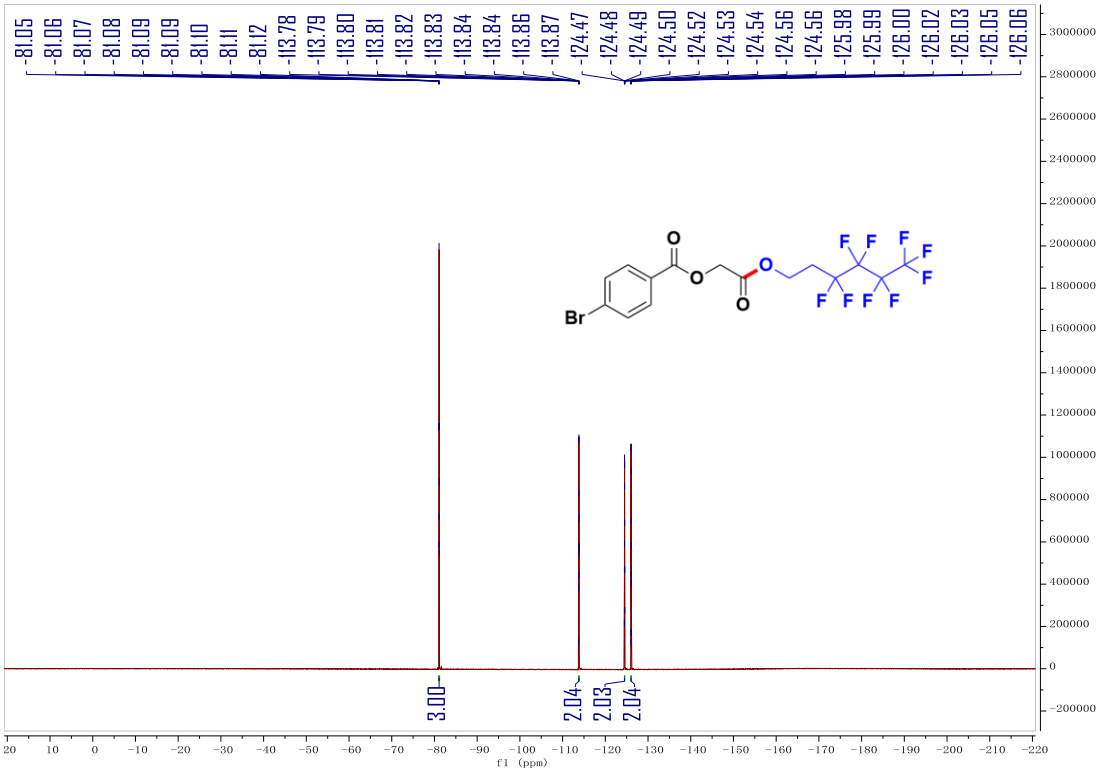
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ax**



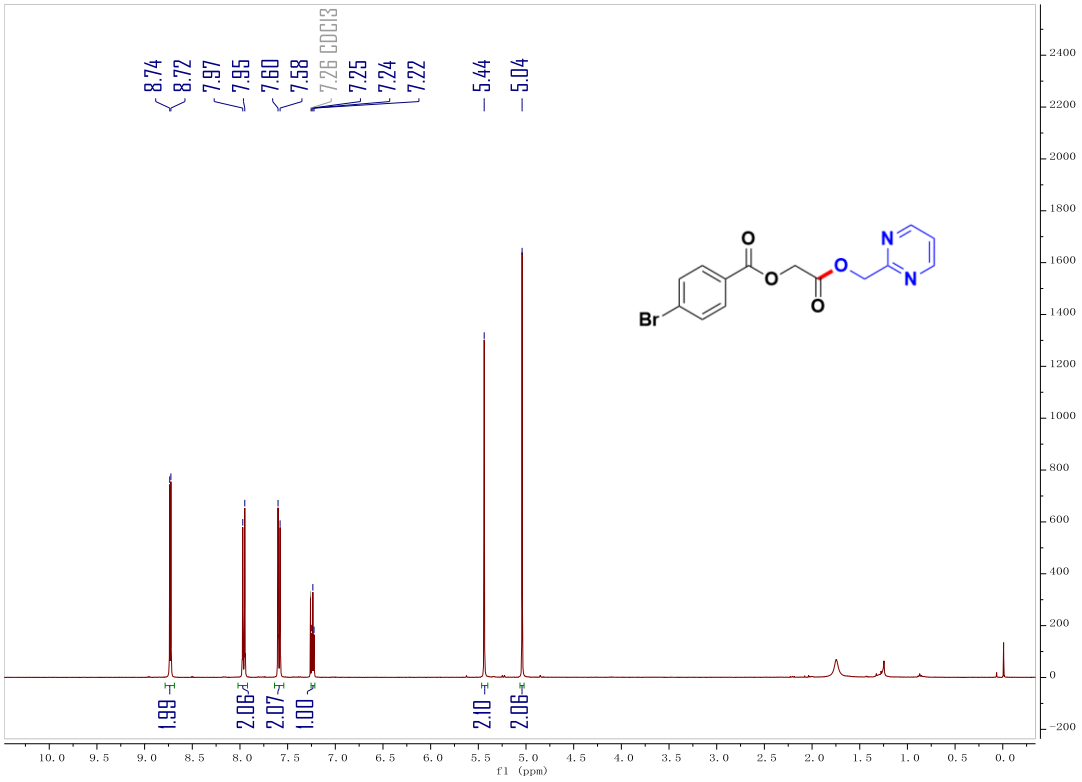
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ax**



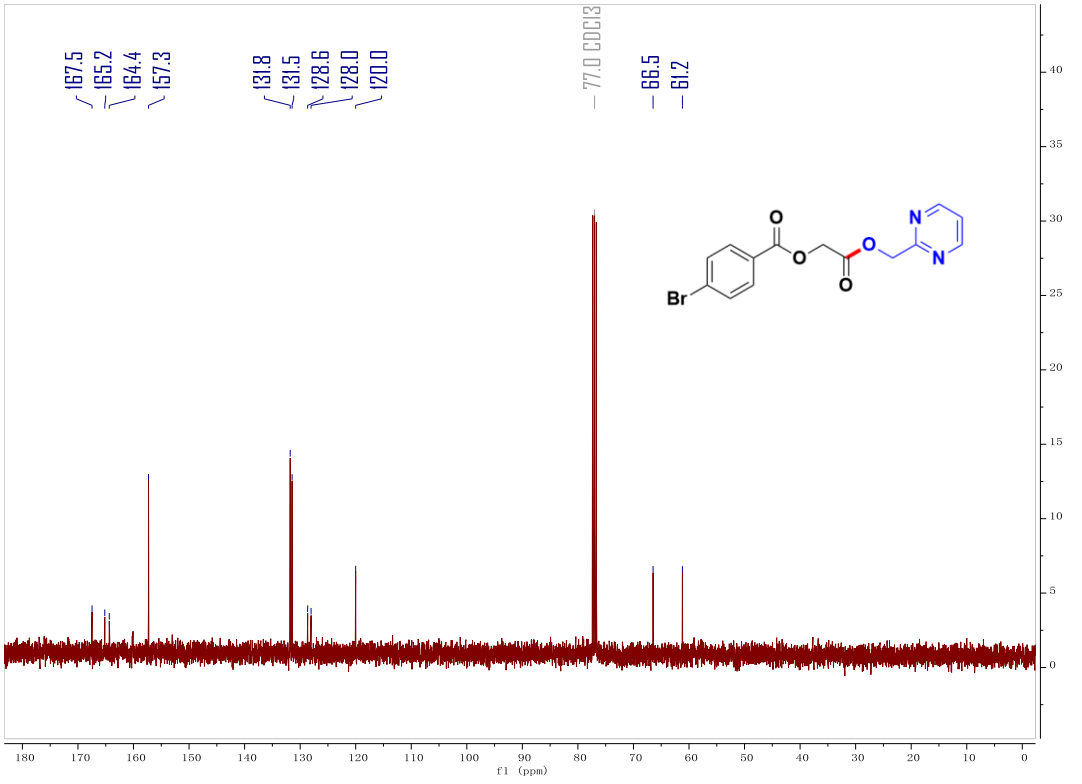
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5ax**



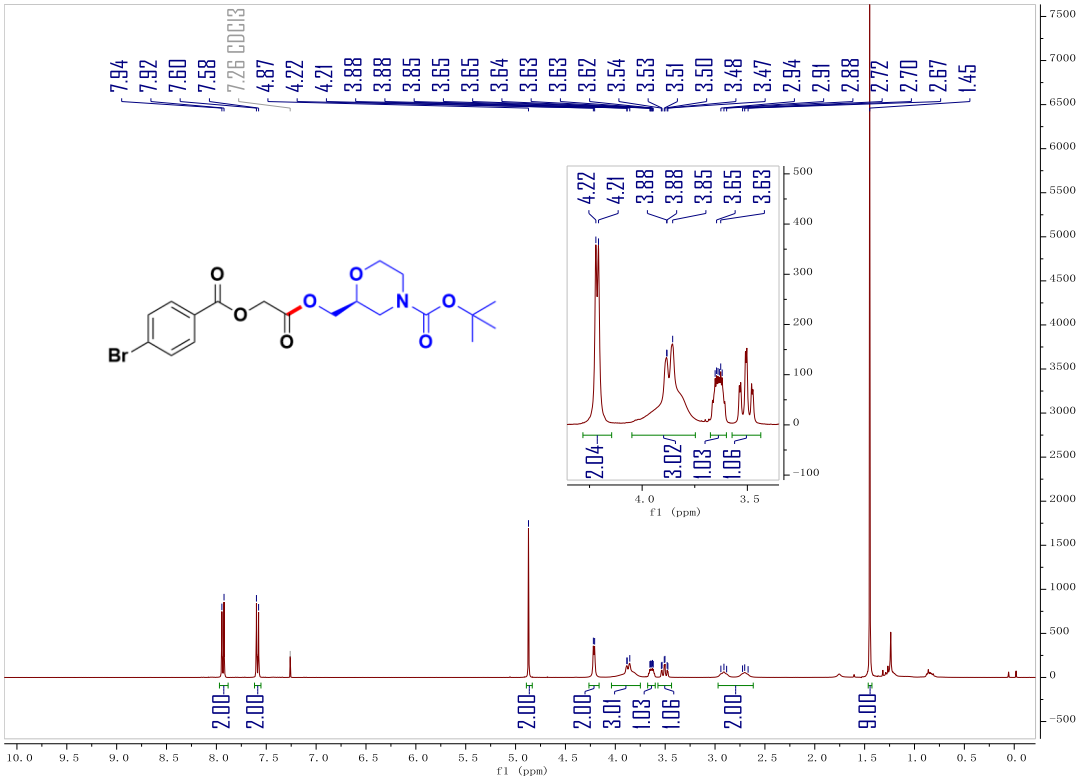
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ay**



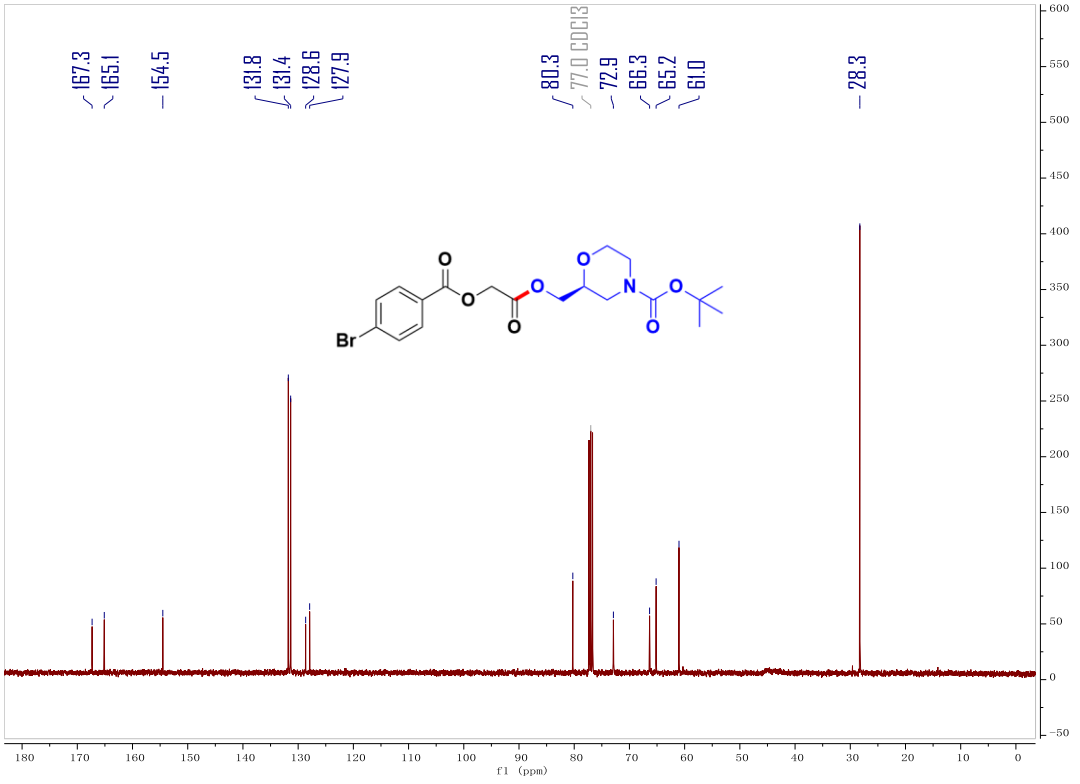
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ay**



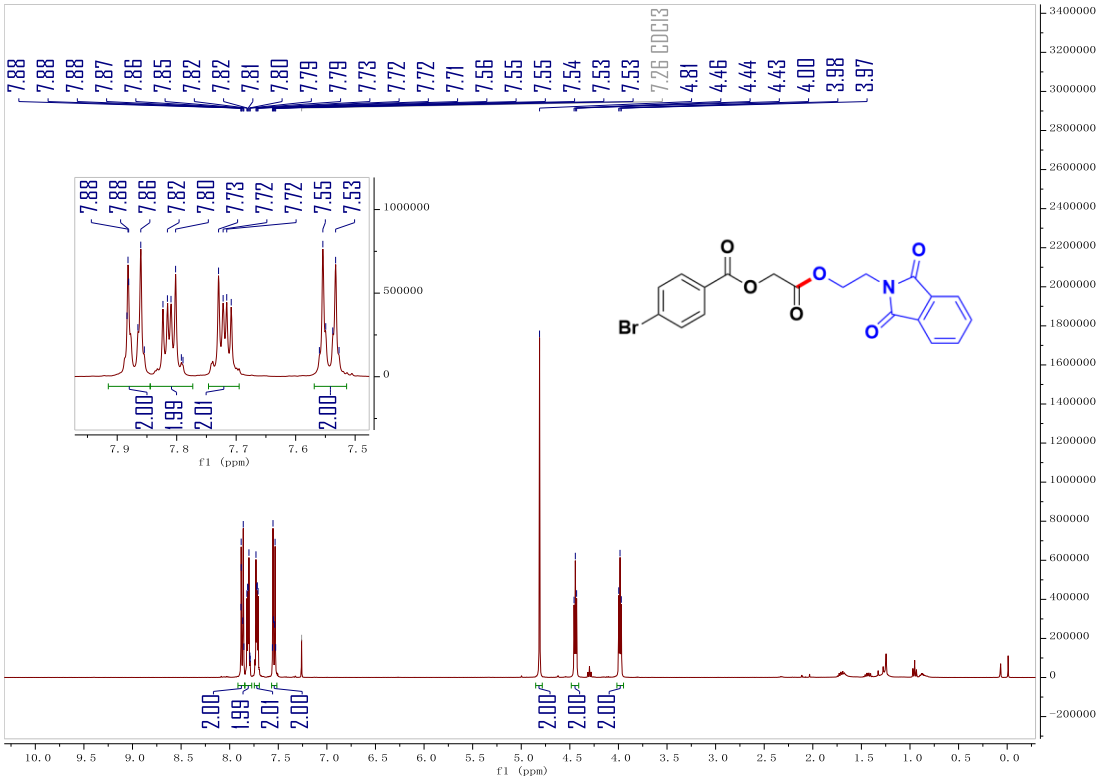
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5az**



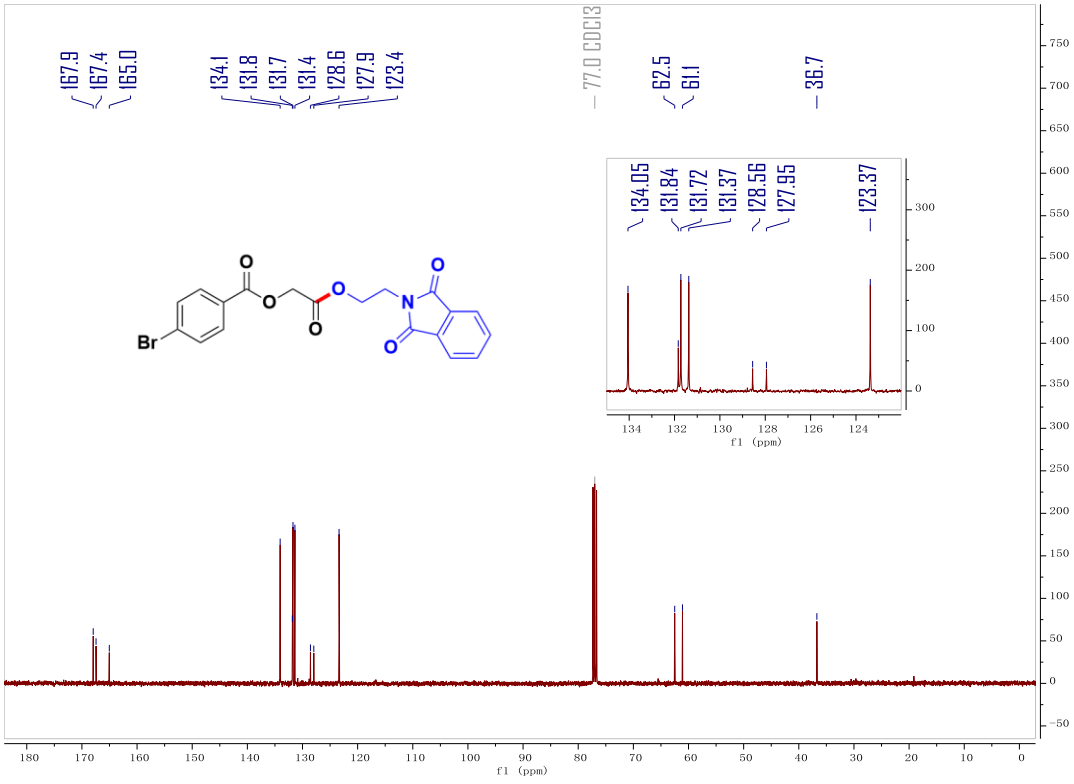
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5az**



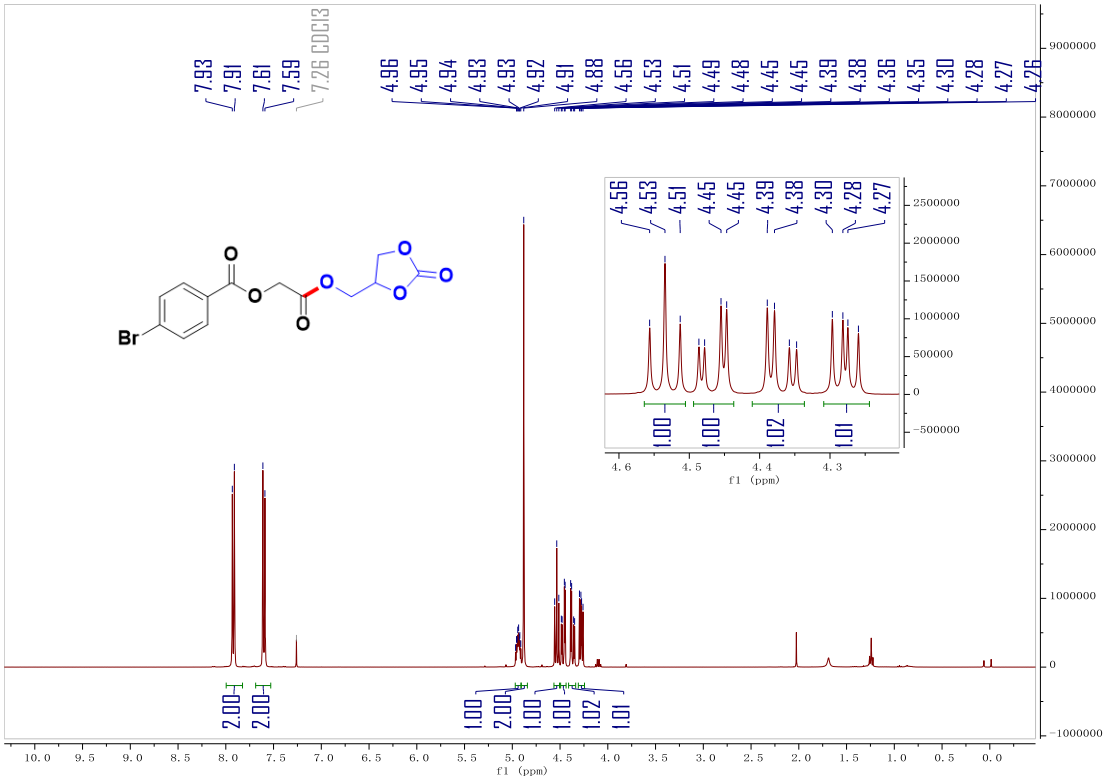
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5ba**



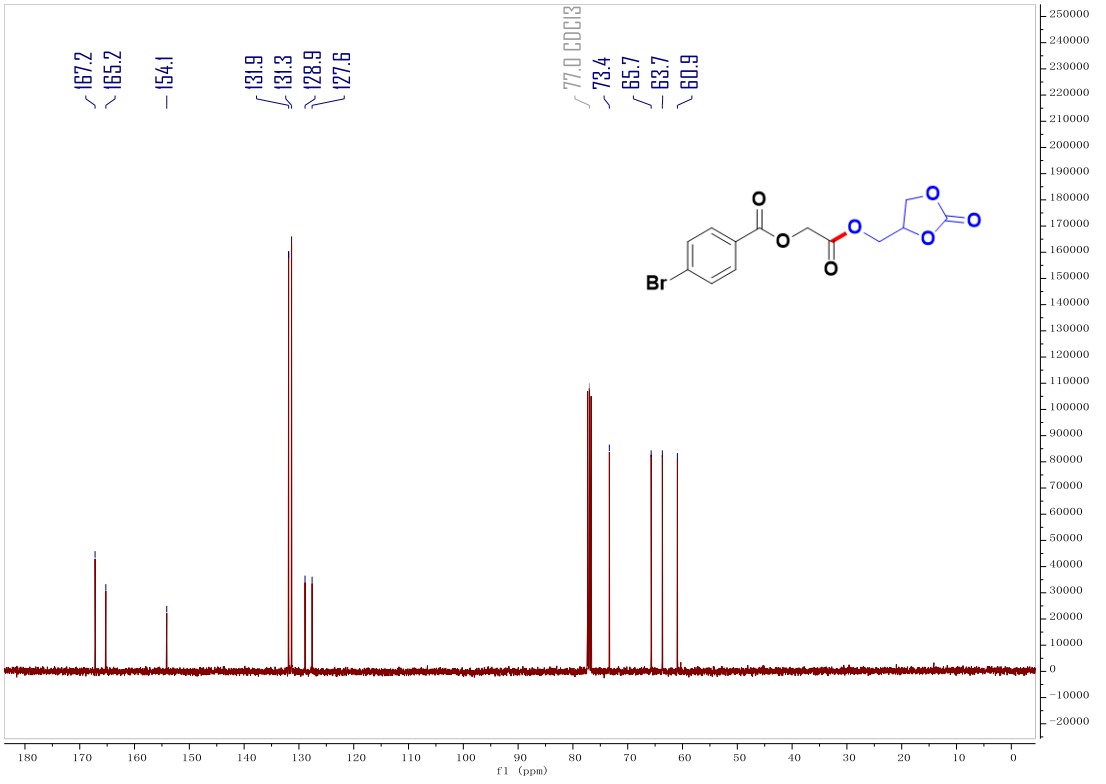
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5ba**



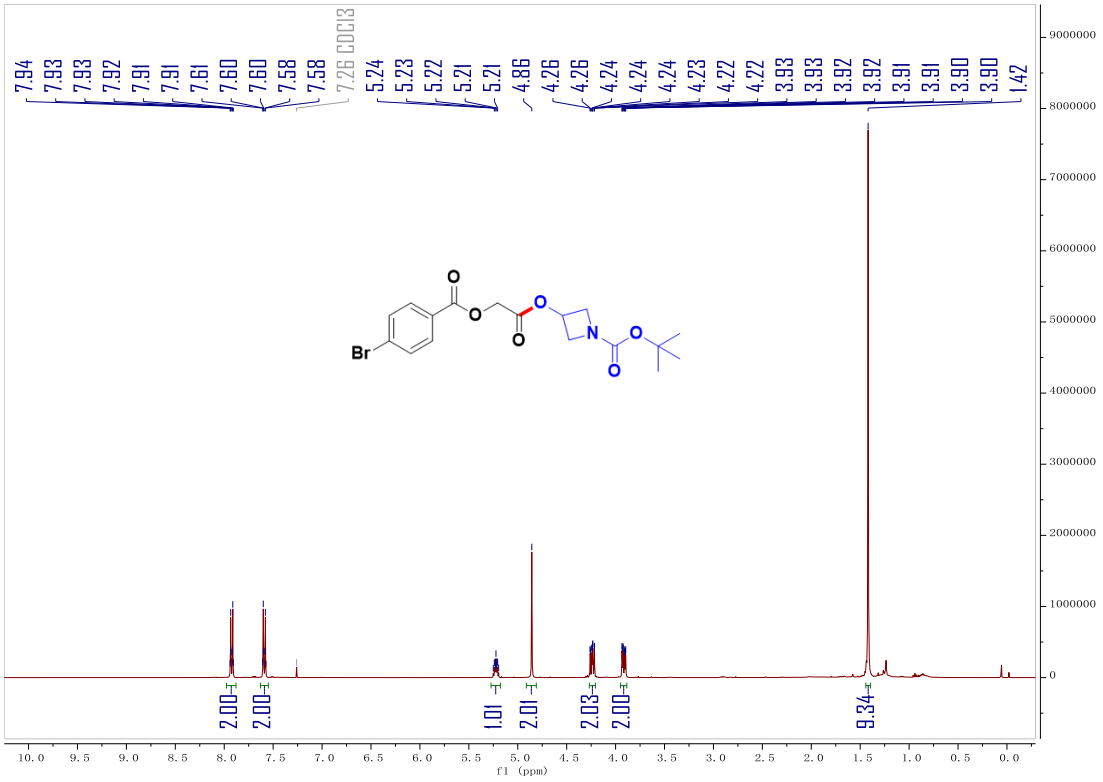
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bb**



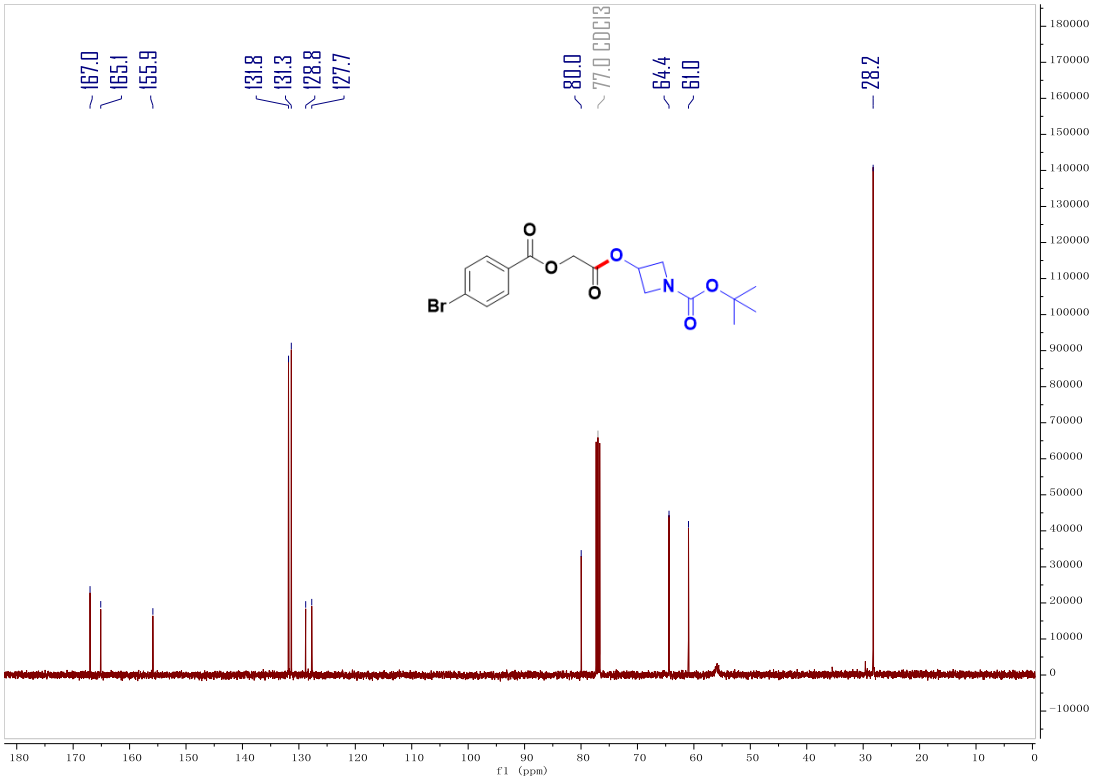
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bb**



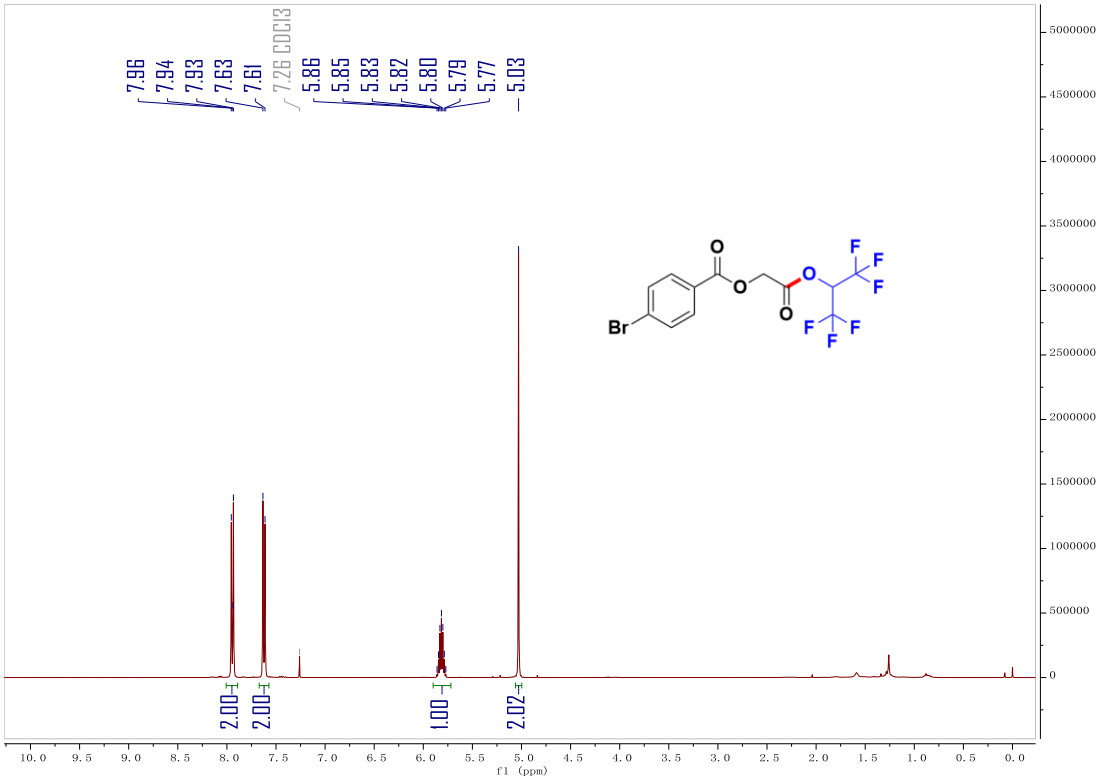
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bc**



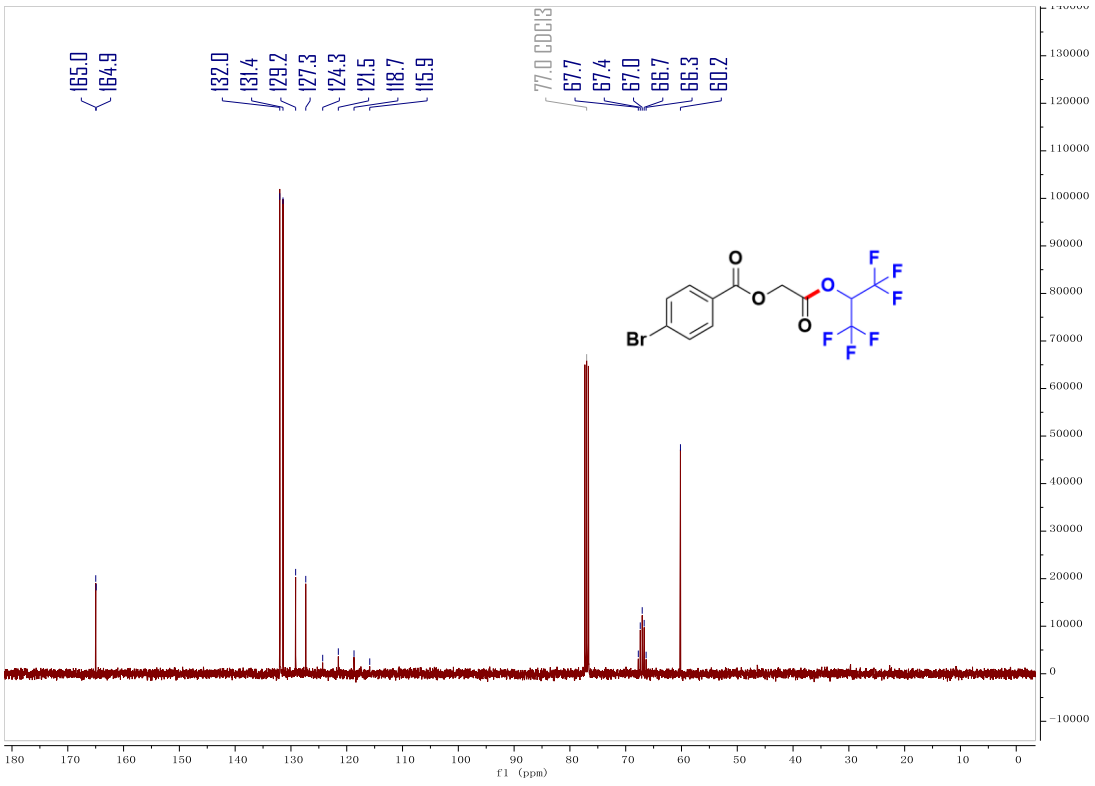
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bc**



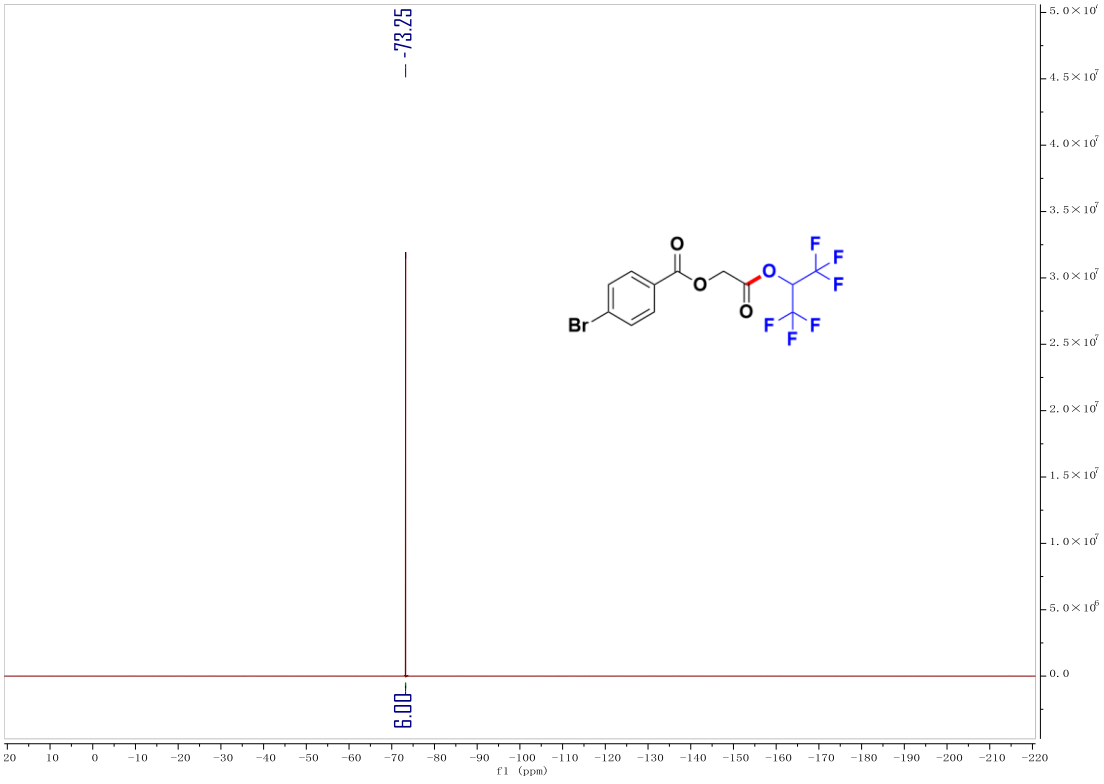
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bd**



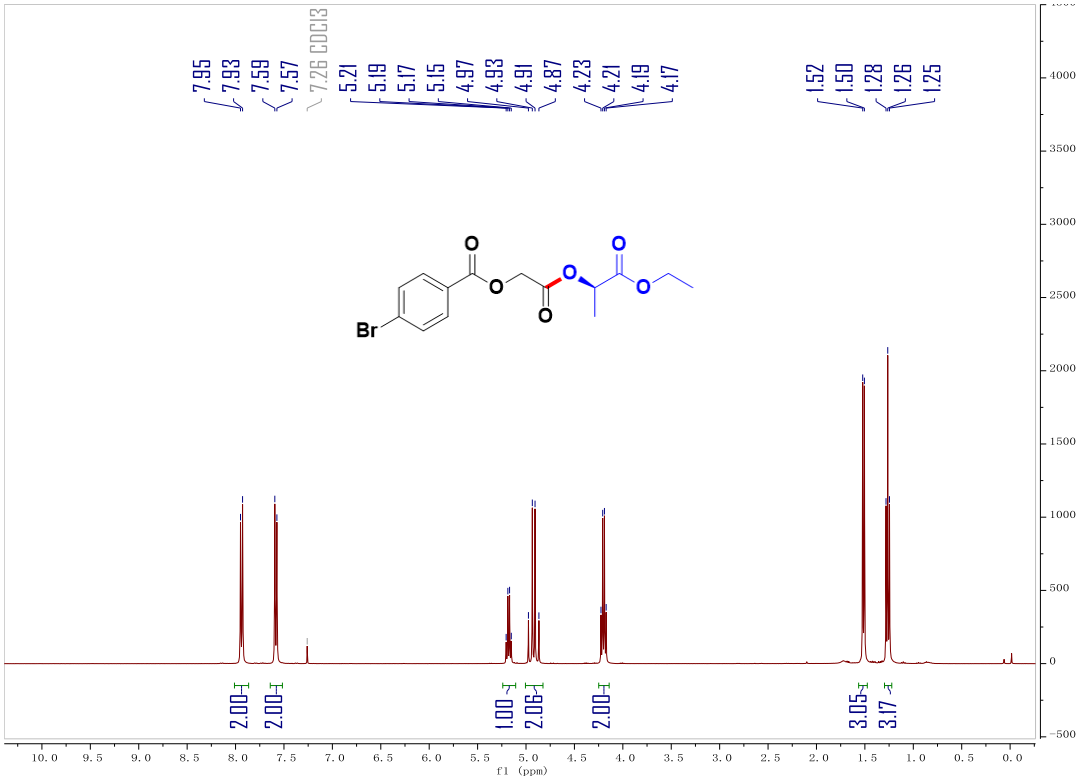
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bd**



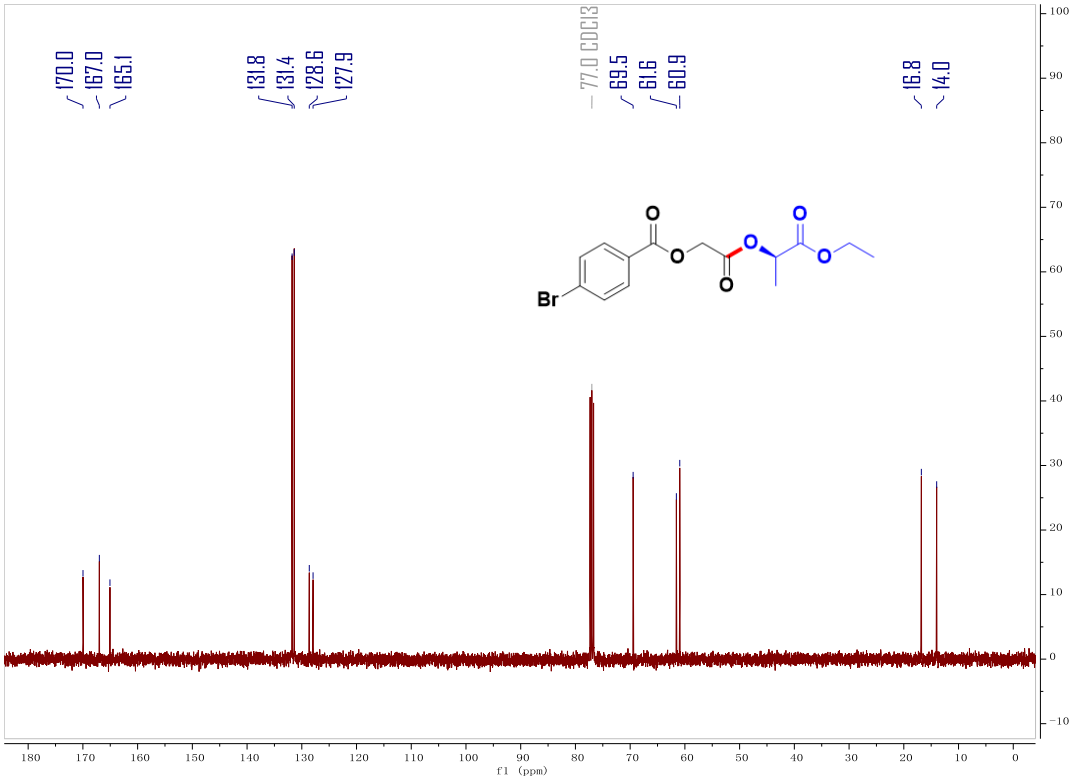
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5bd**



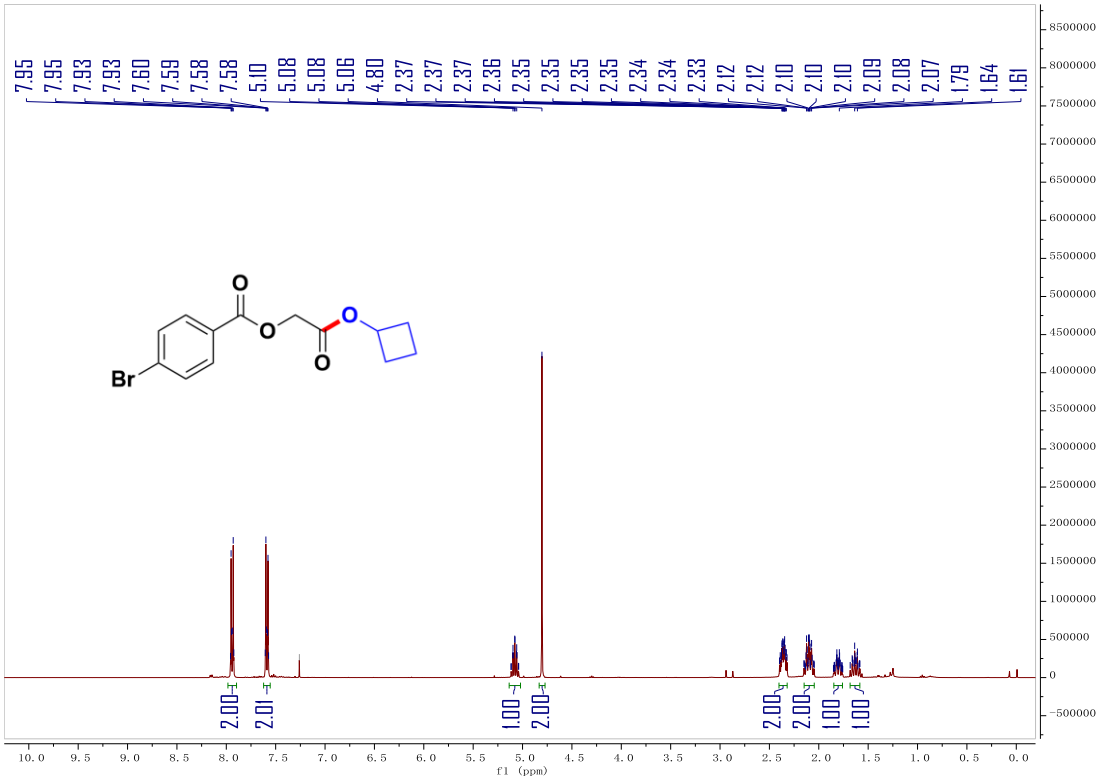
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5be**



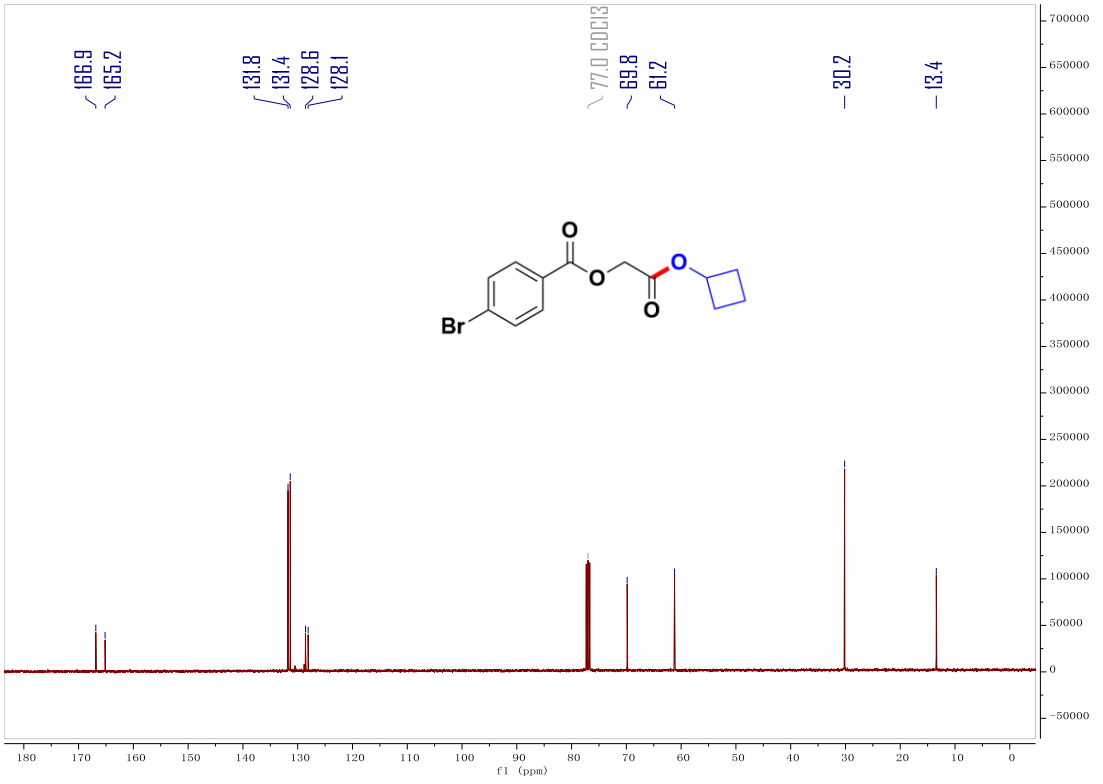
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5be**



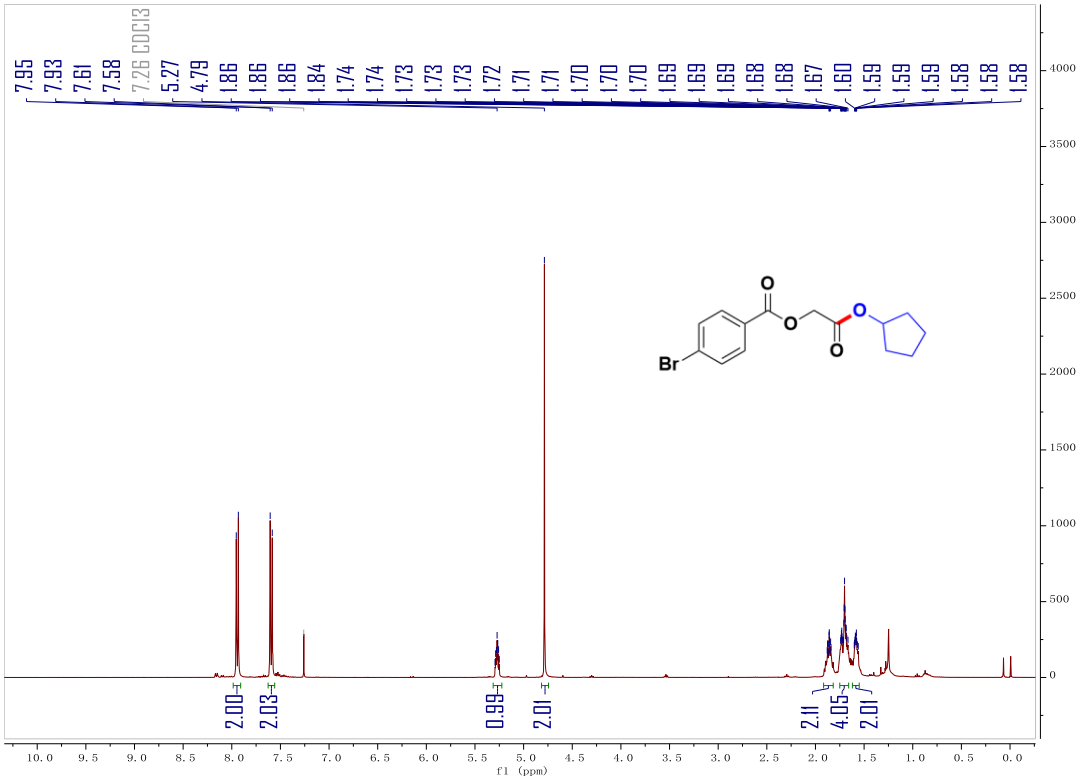
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bf**



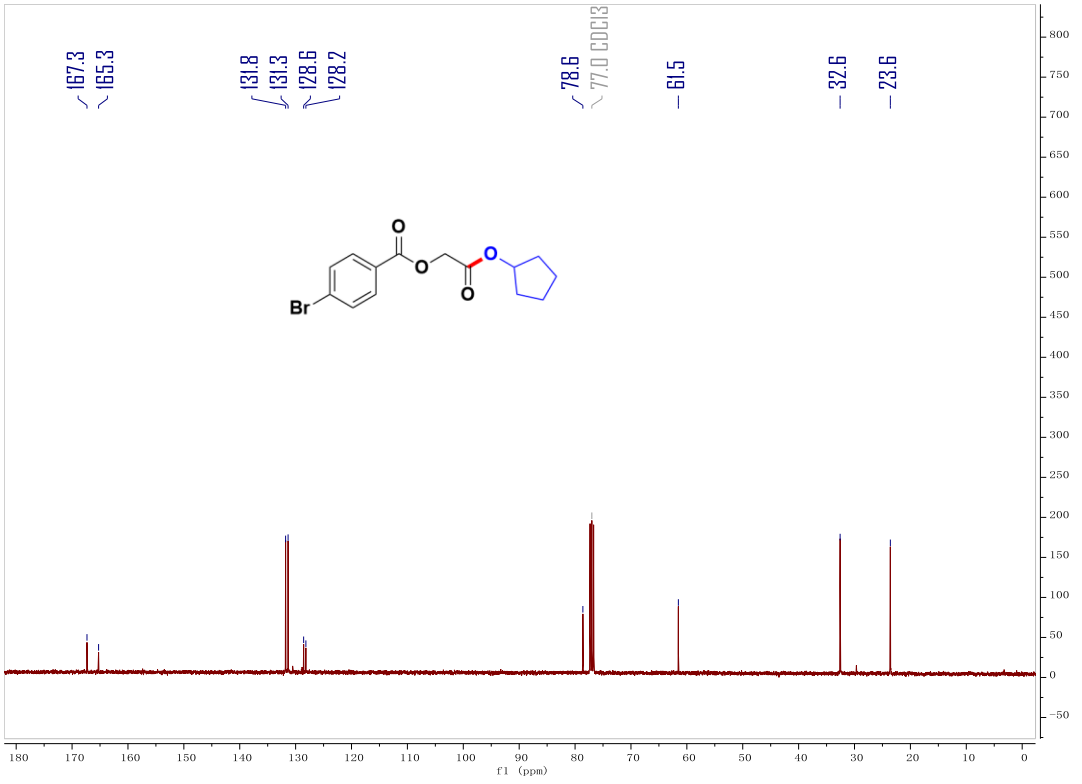
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bf**



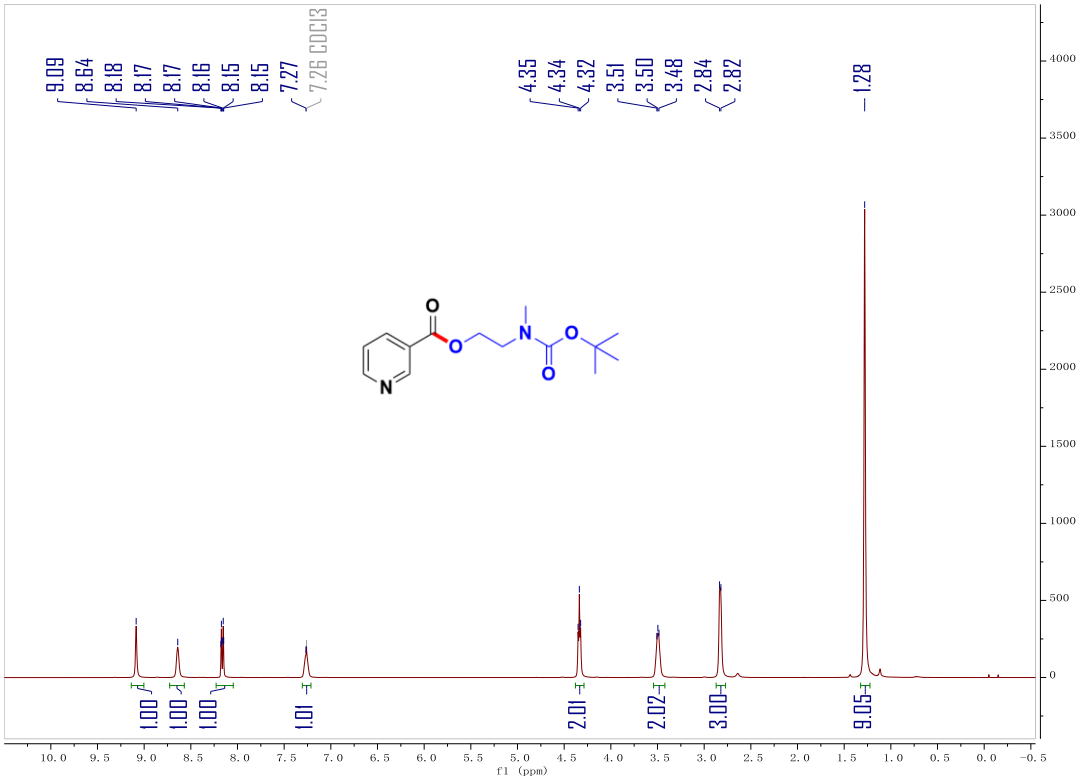
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bg**



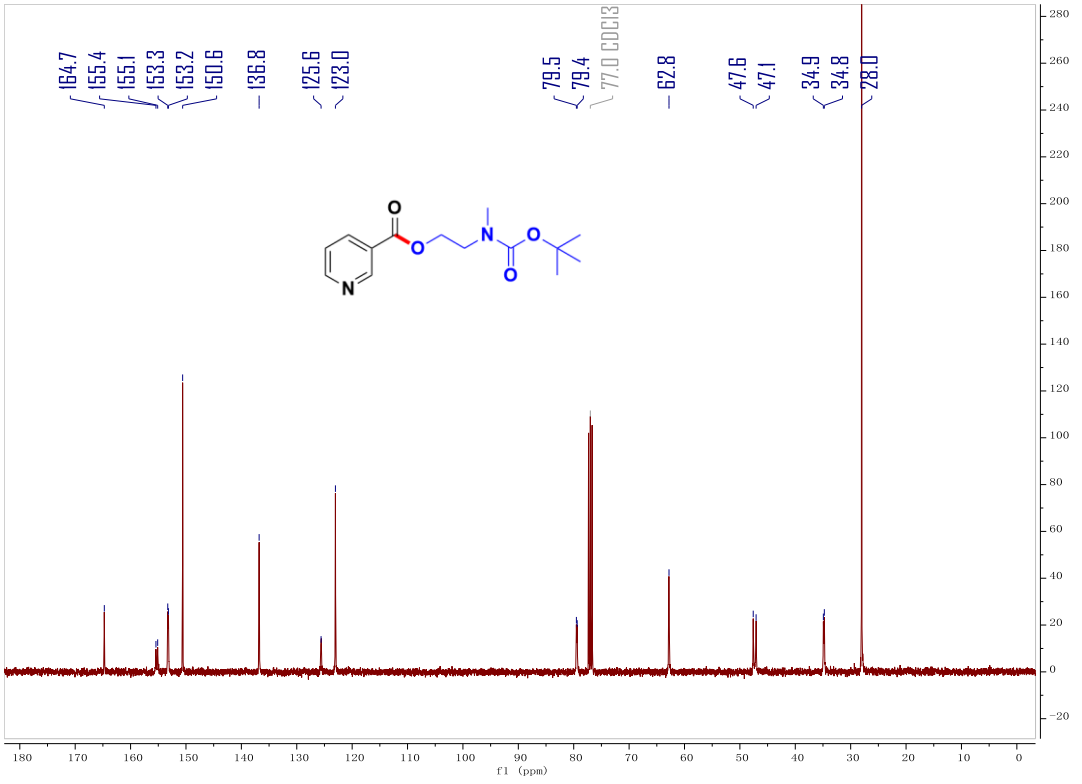
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bg**



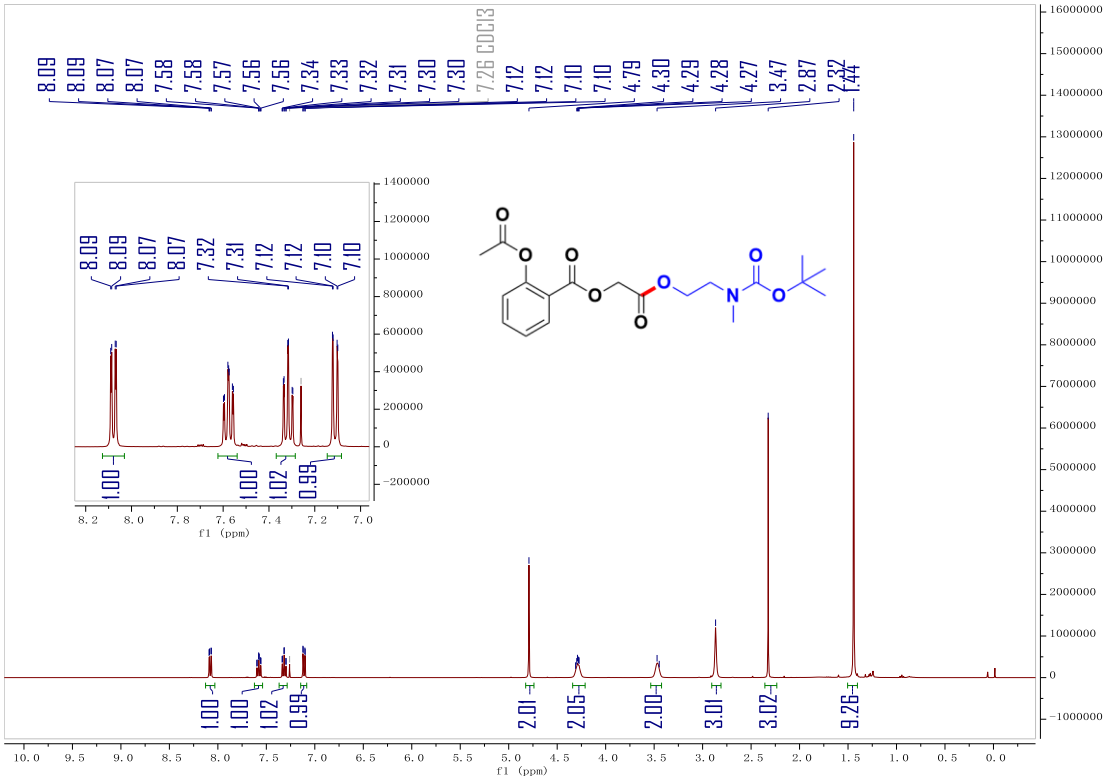
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bh**



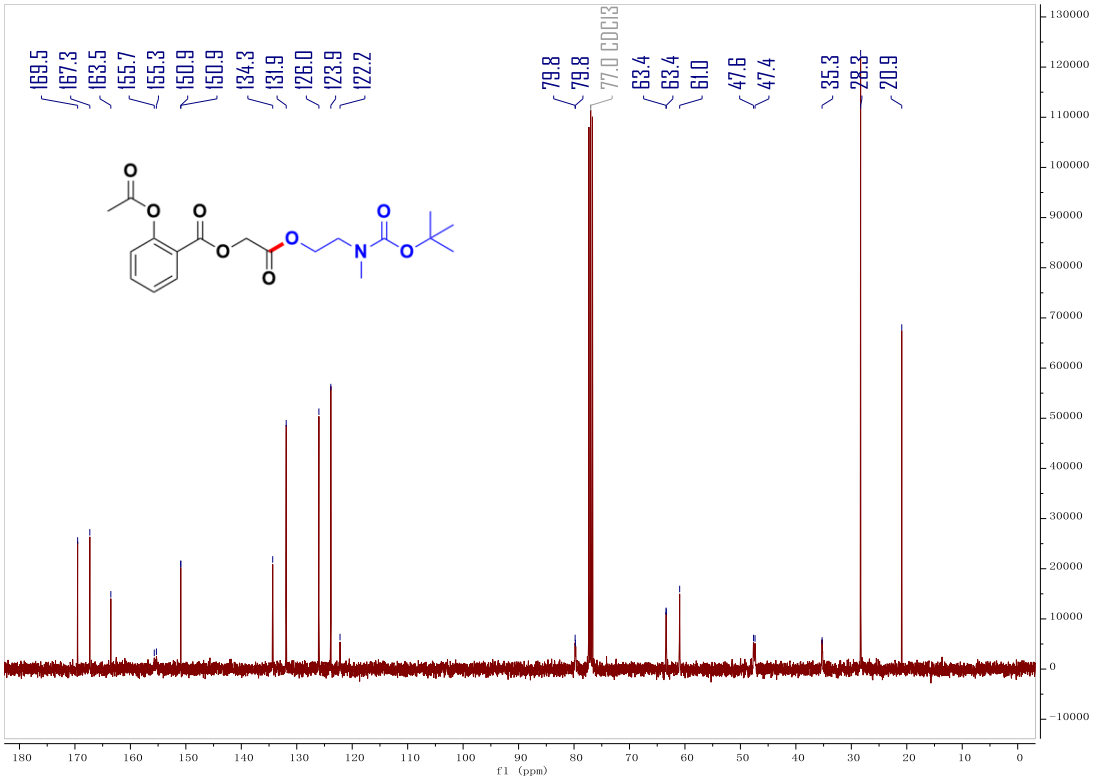
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bh**



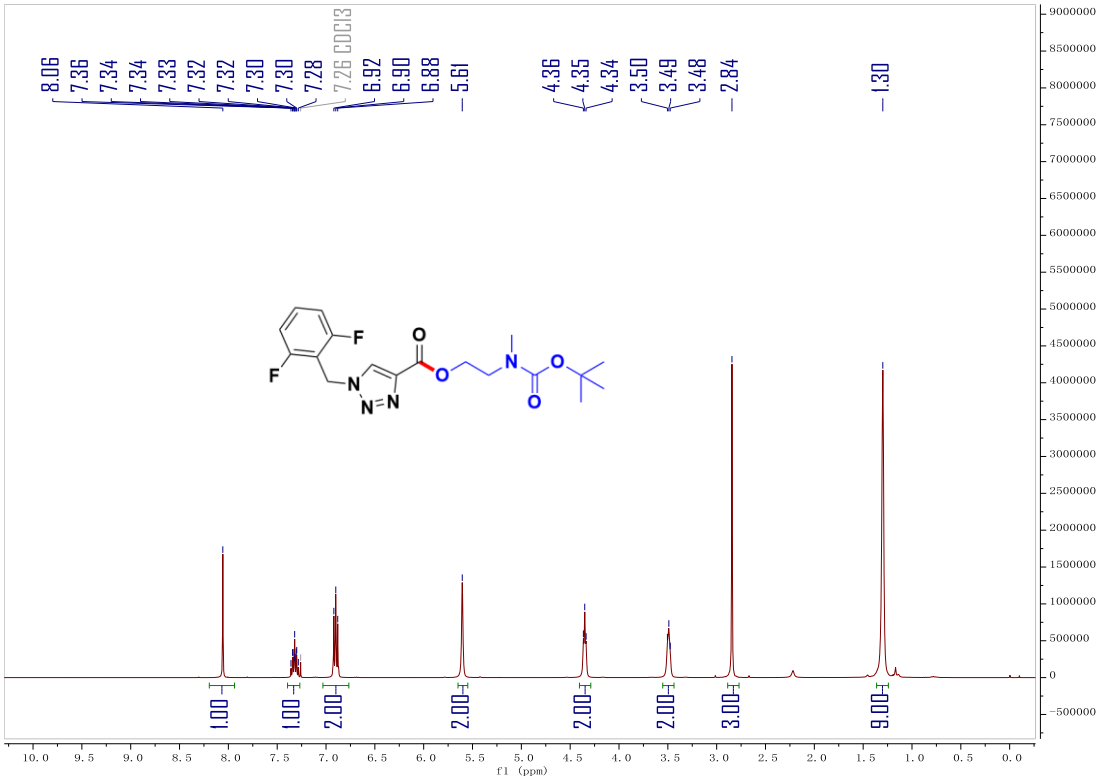
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bi**



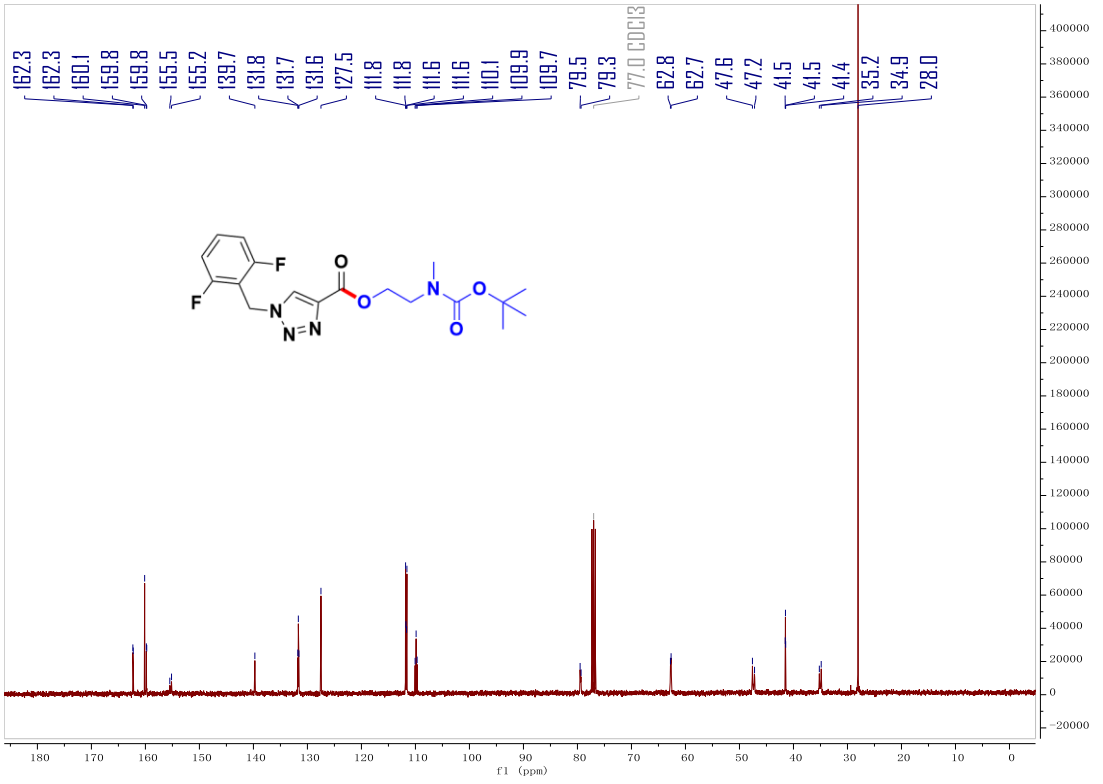
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bi**



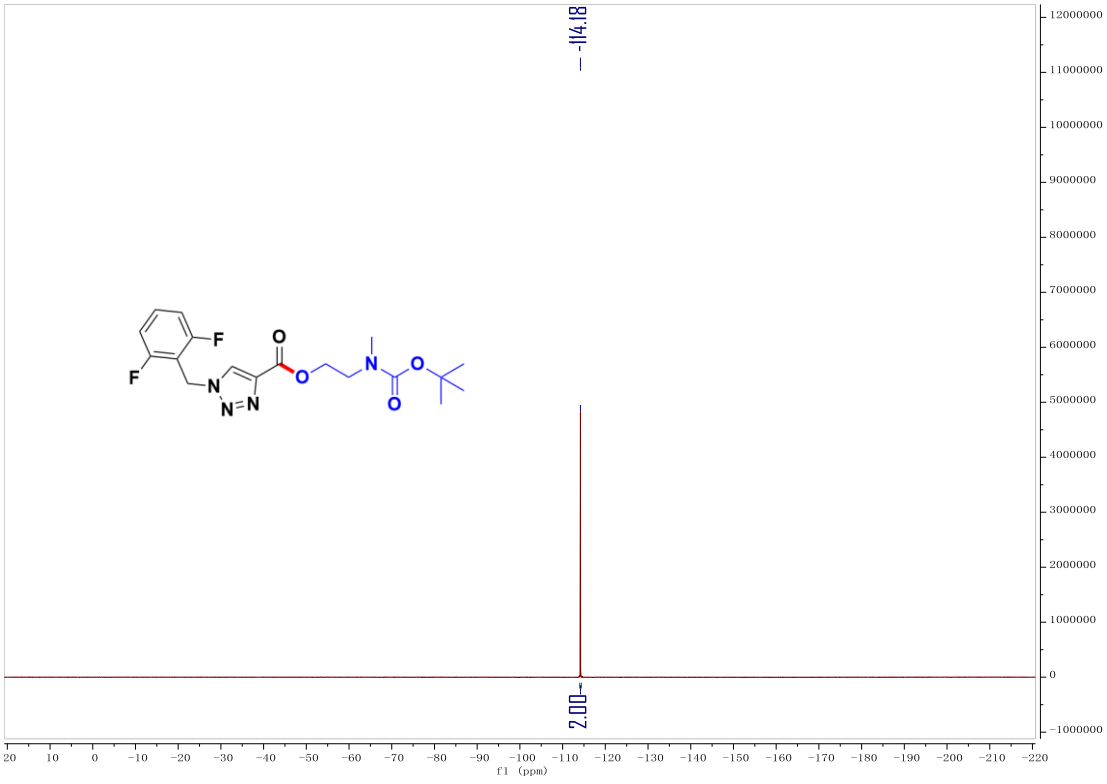
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bj**



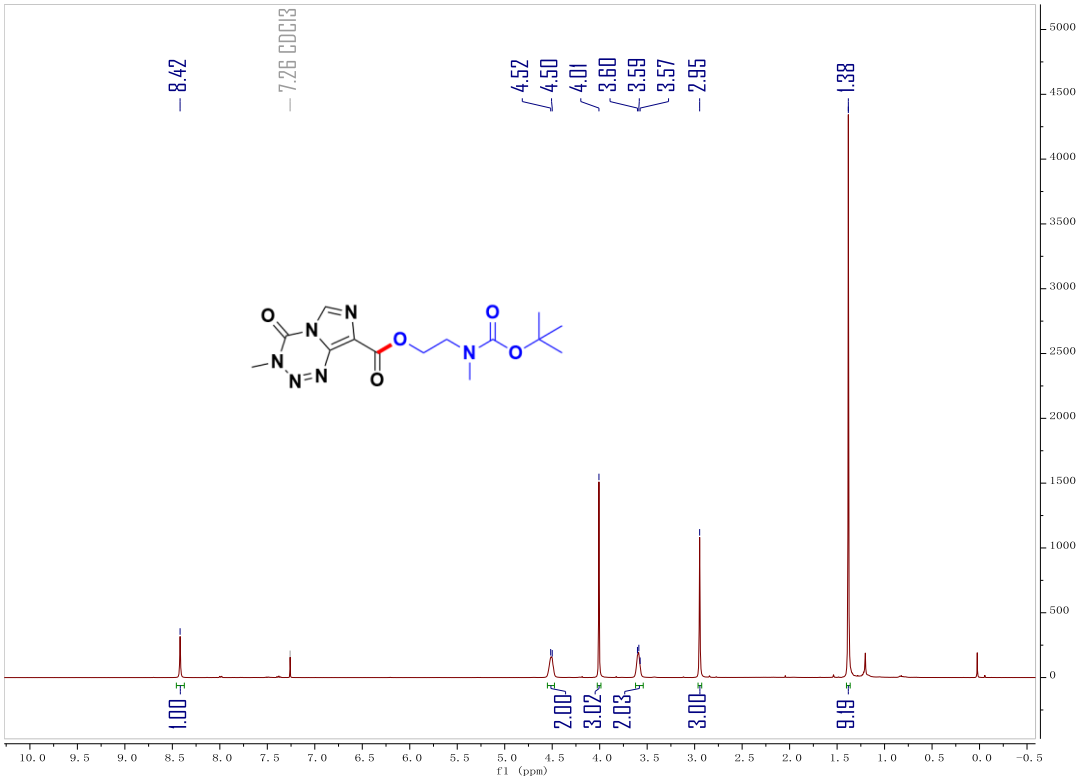
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bj**



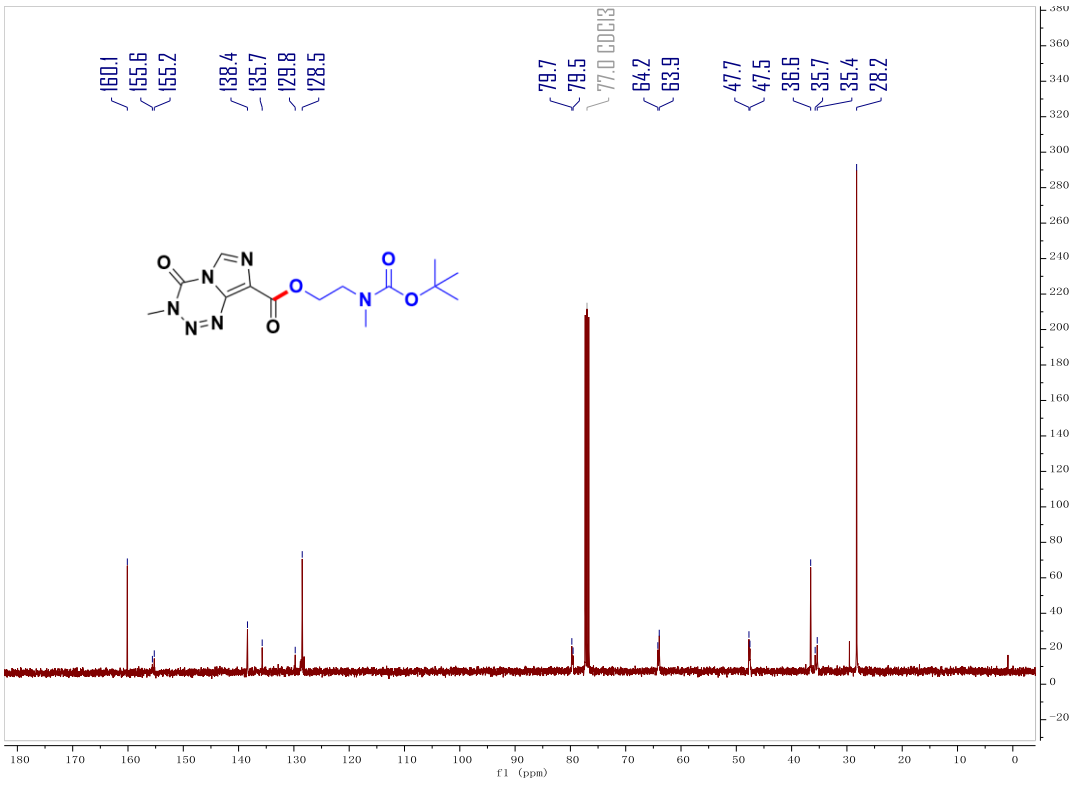
^{19}F NMR (376 MHz, Chloroform-*d*) of compound **5bj**



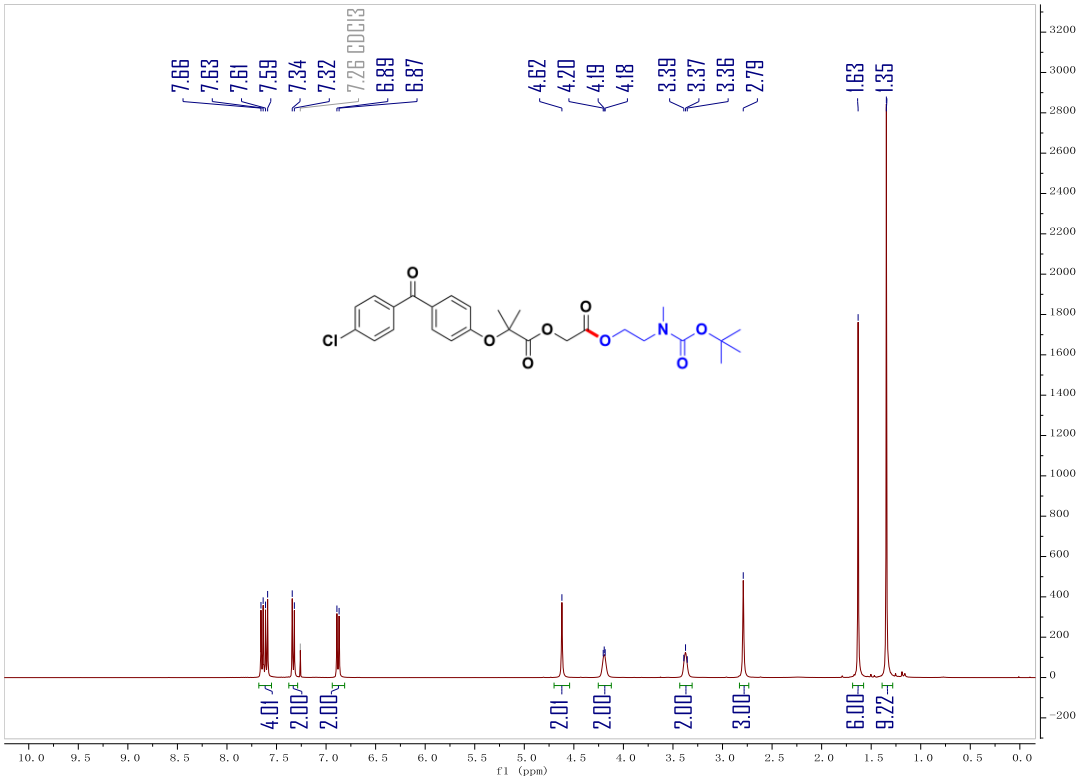
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bk**



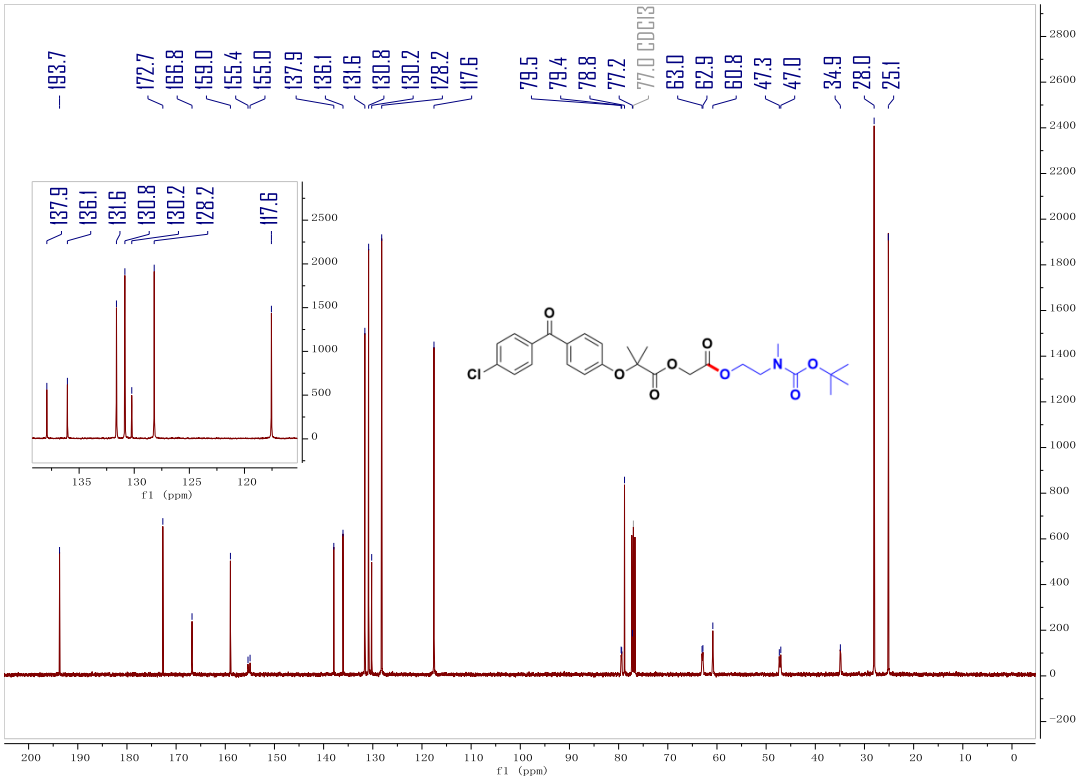
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bk**



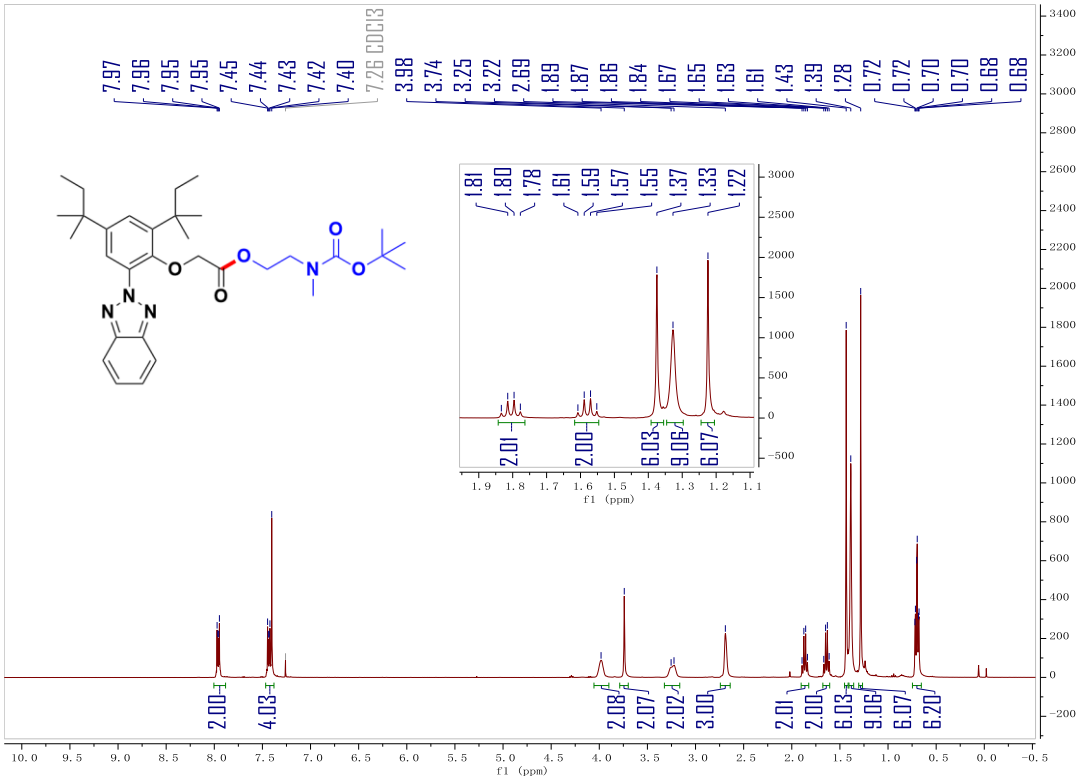
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bl**



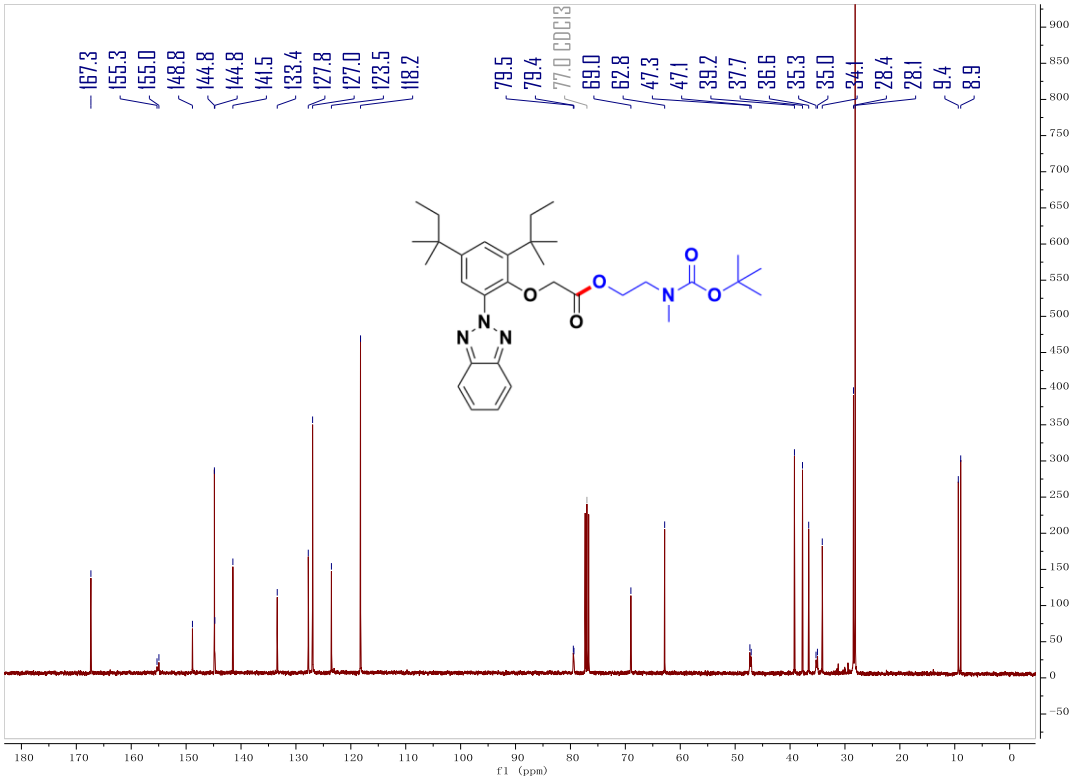
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bl**



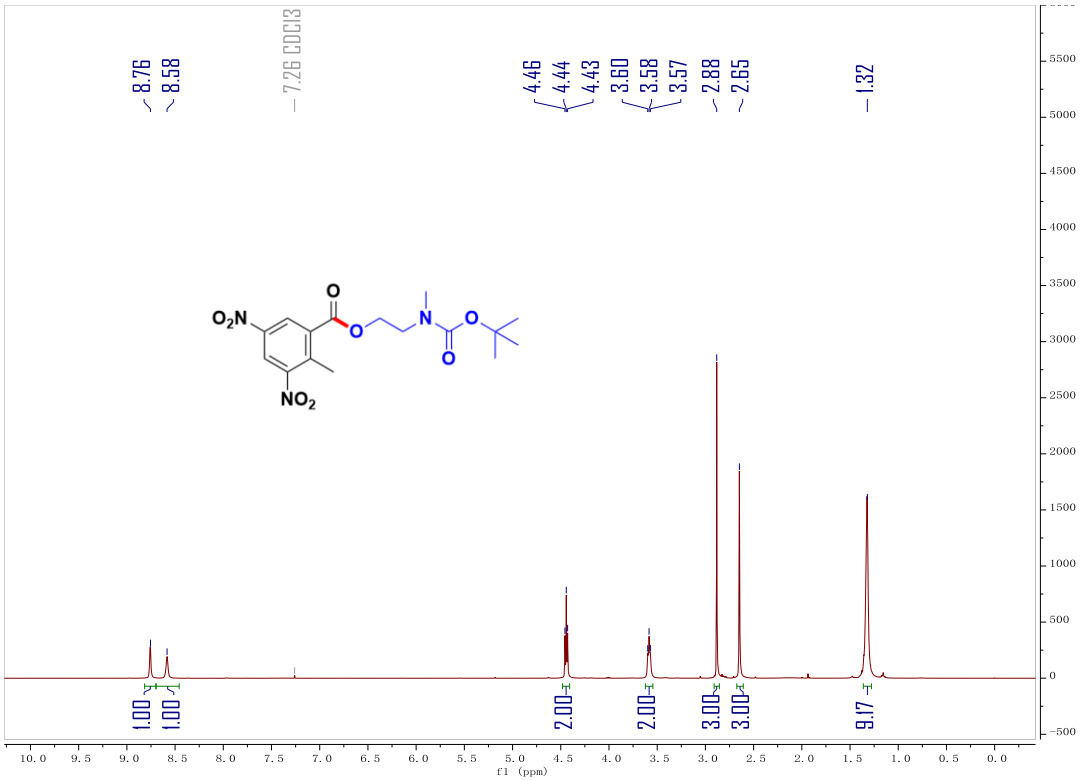
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bm**



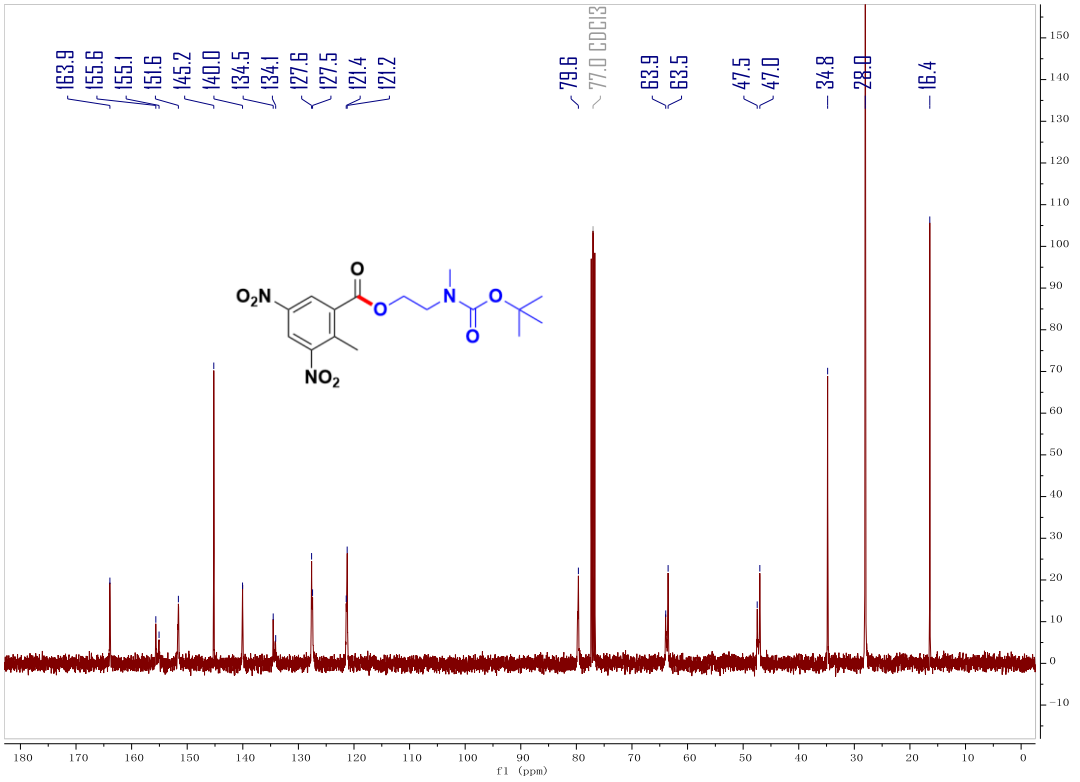
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bm**



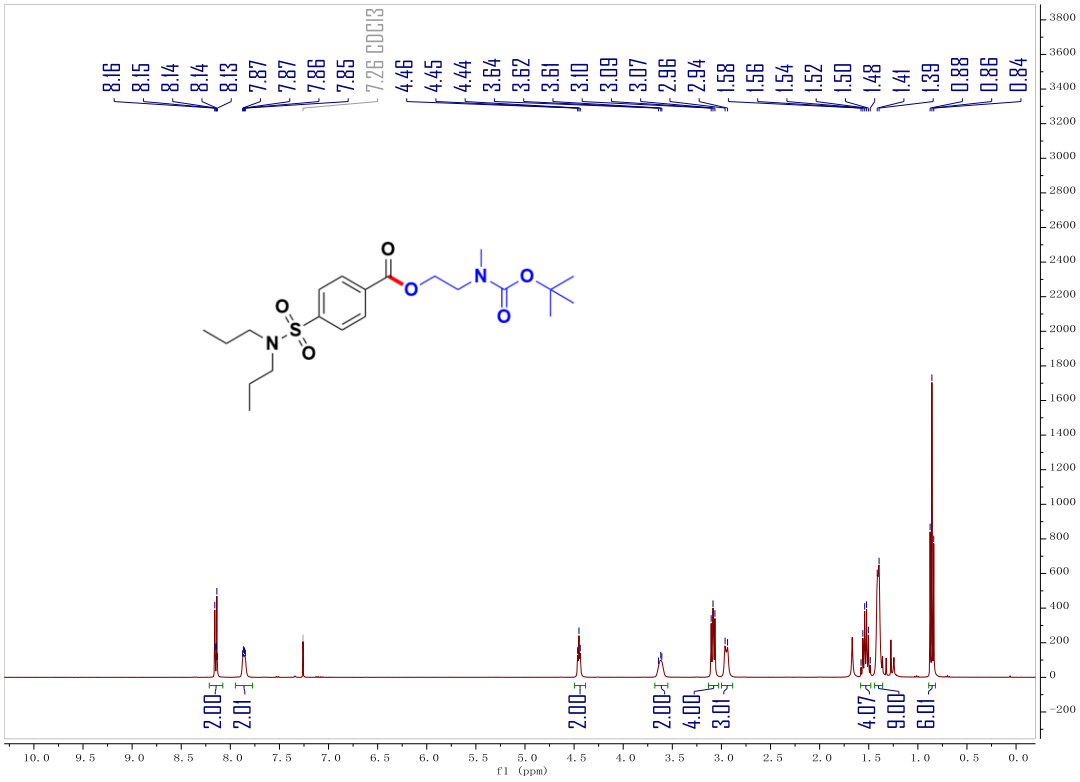
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bn**



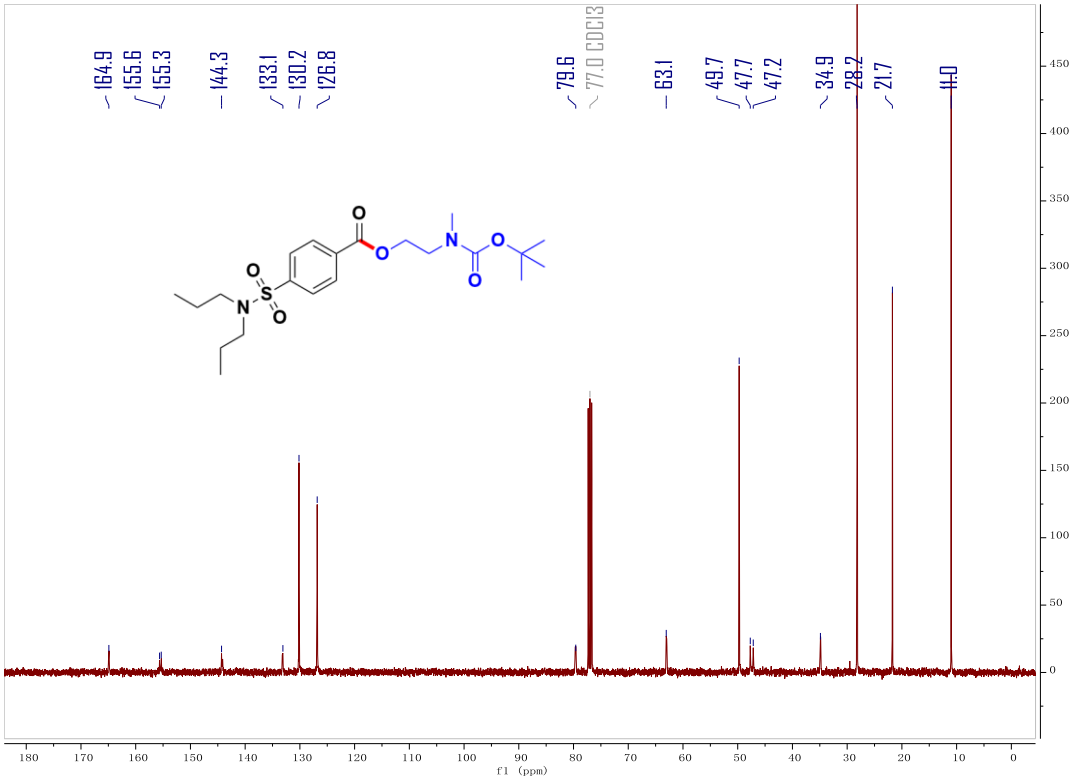
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bn**



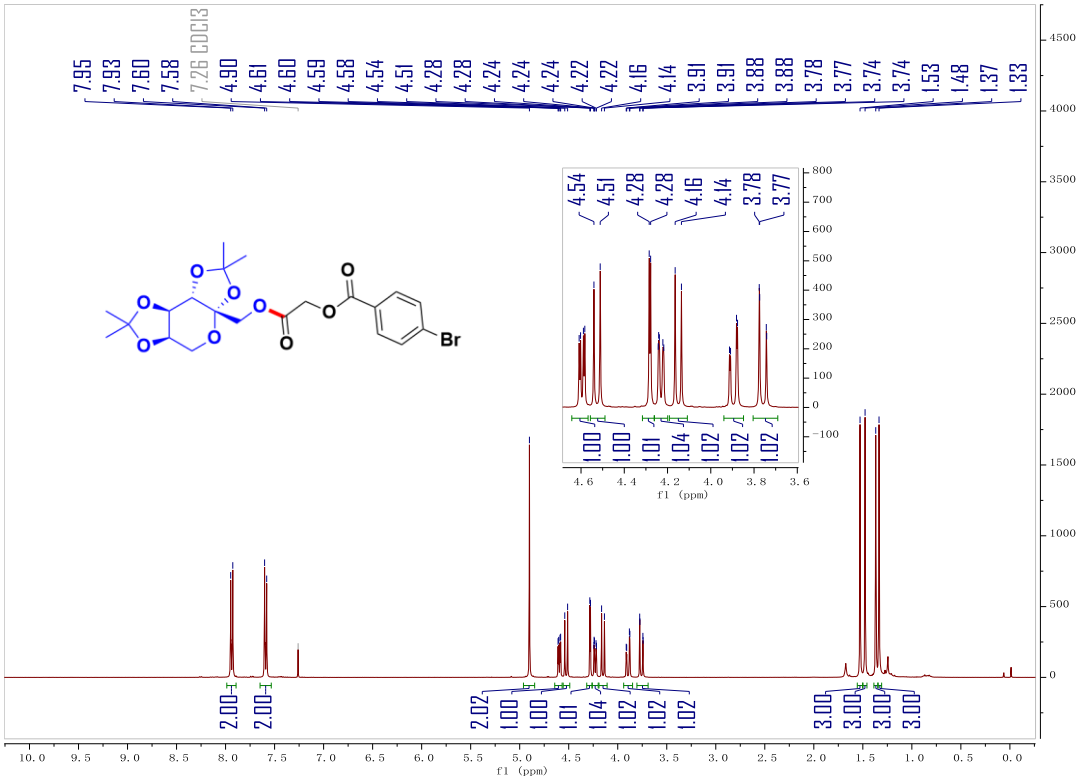
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bo**



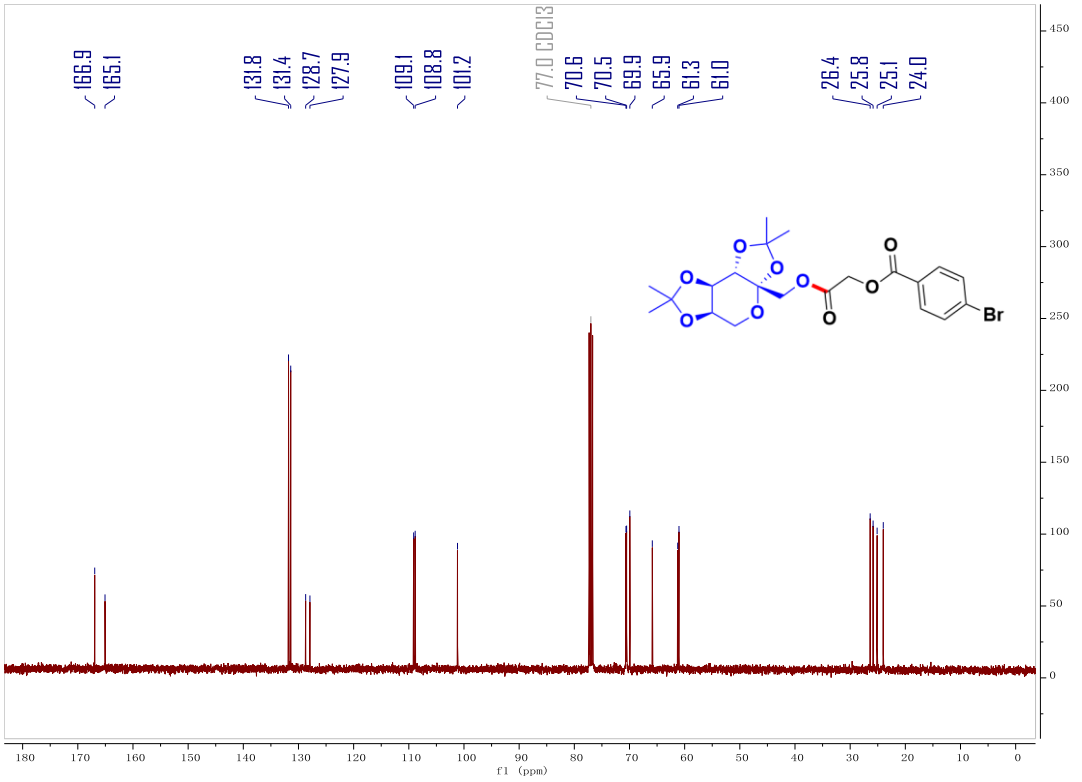
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bo**



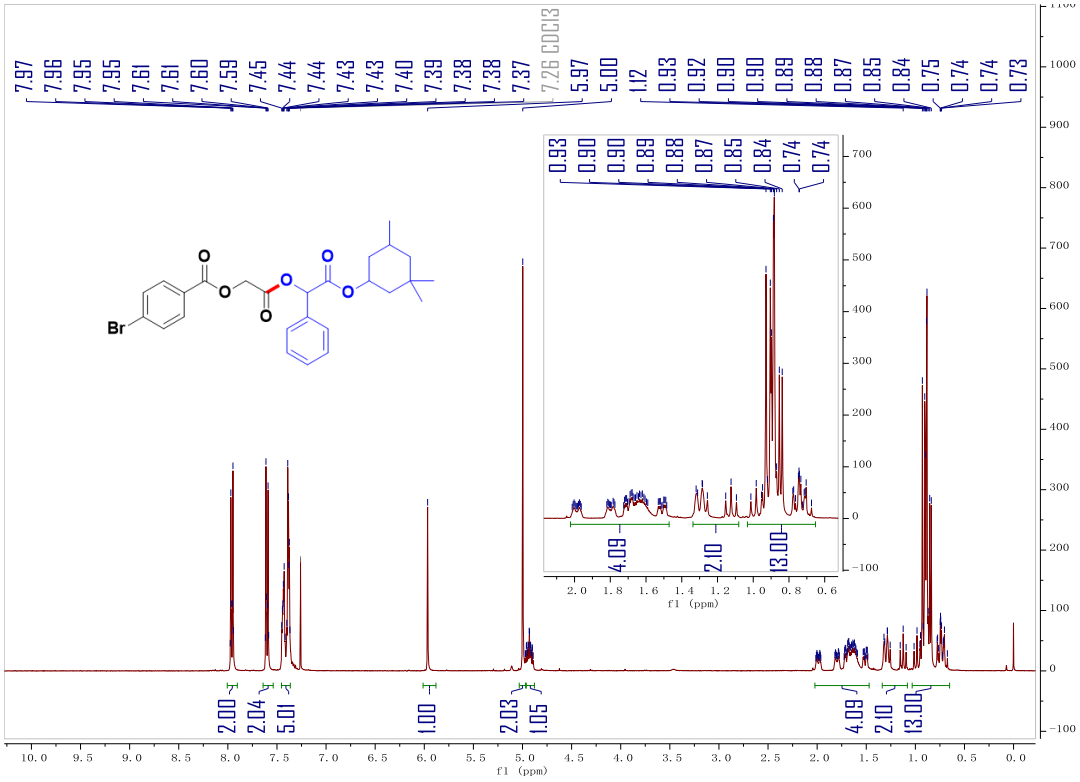
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bp**



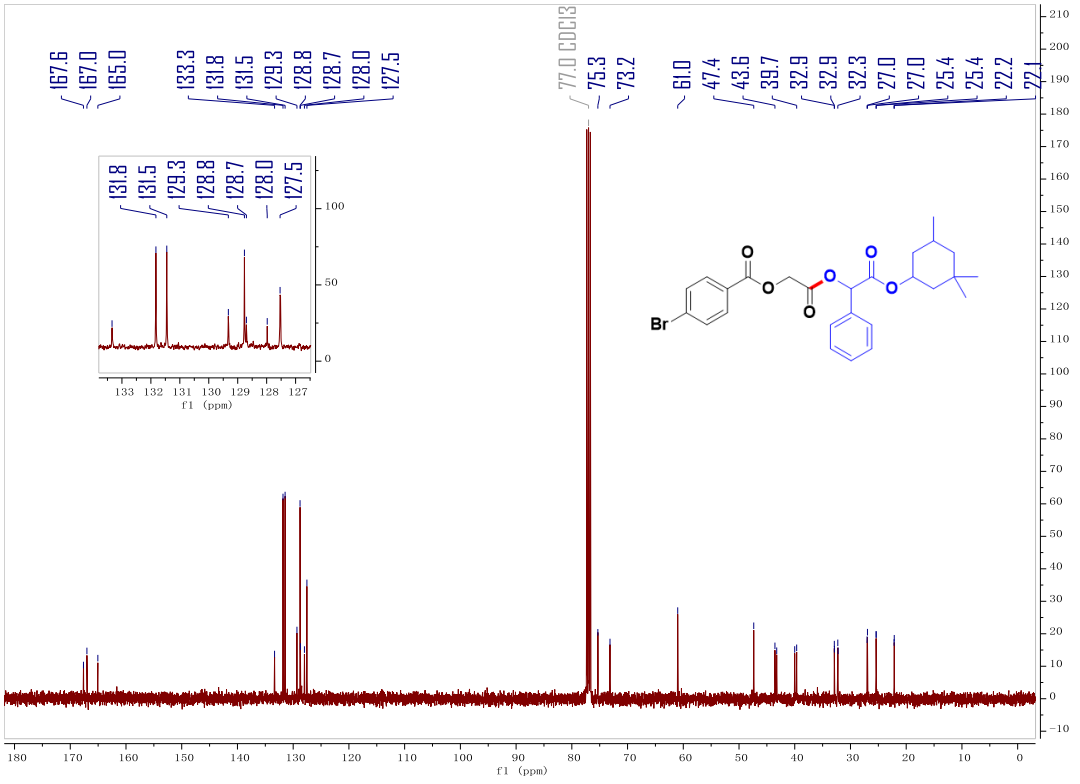
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bp**



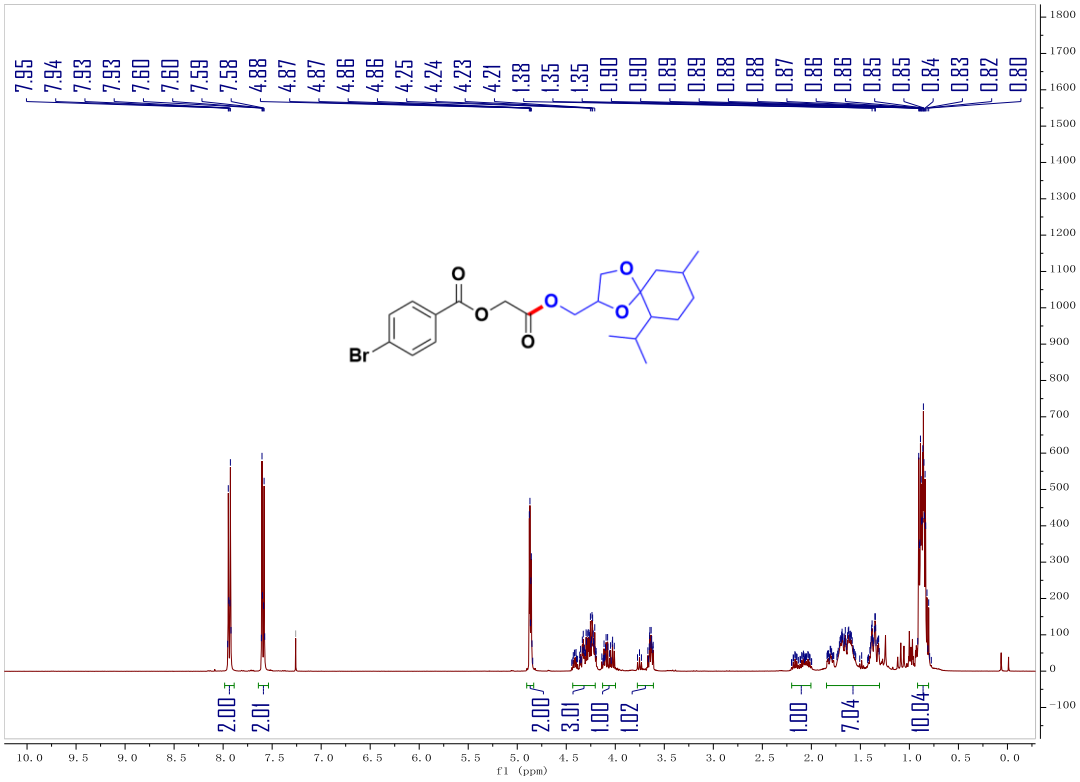
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bq**



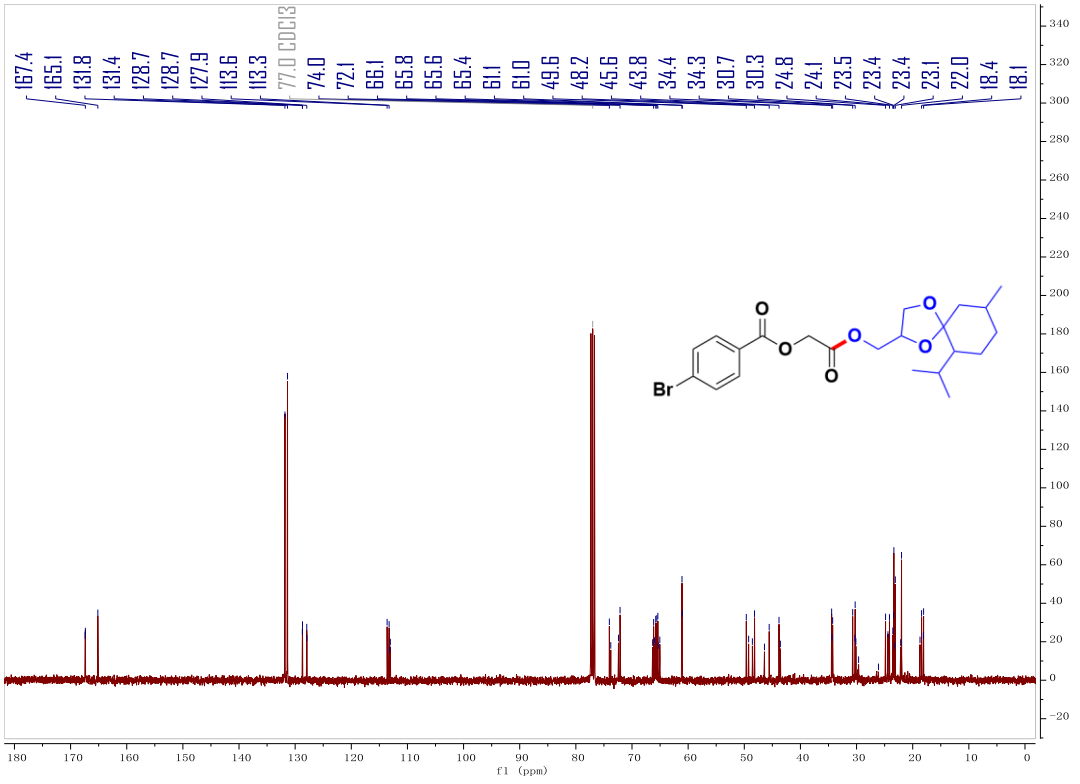
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bq**



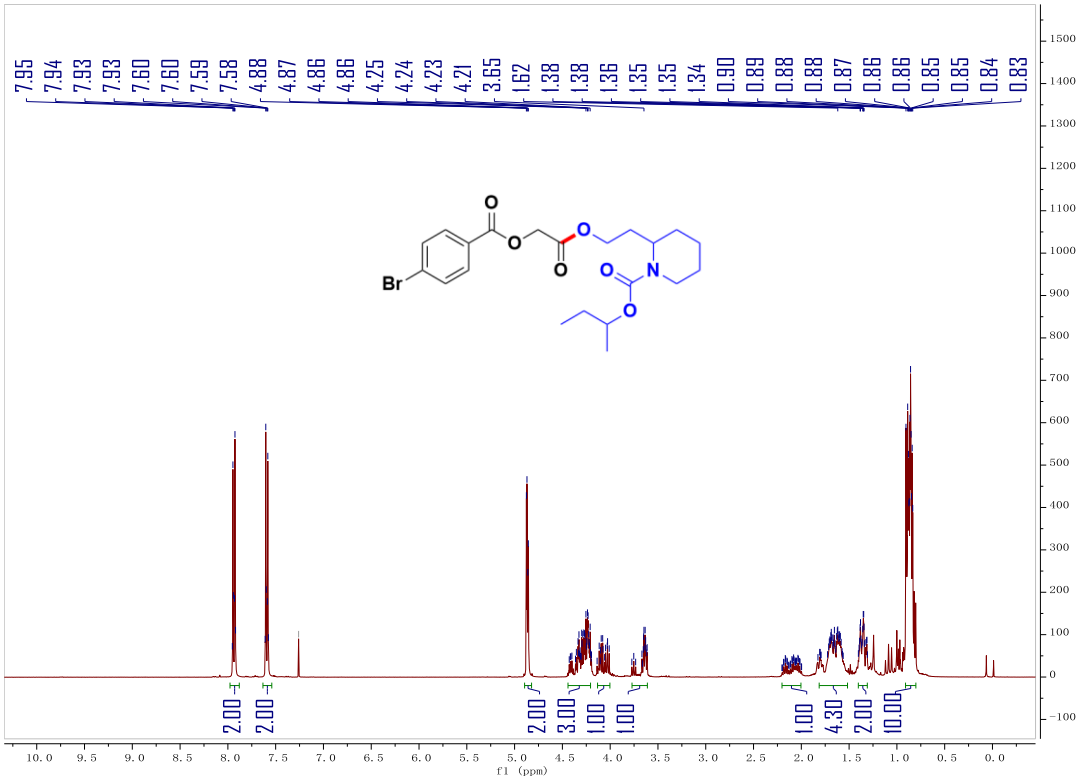
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5br**



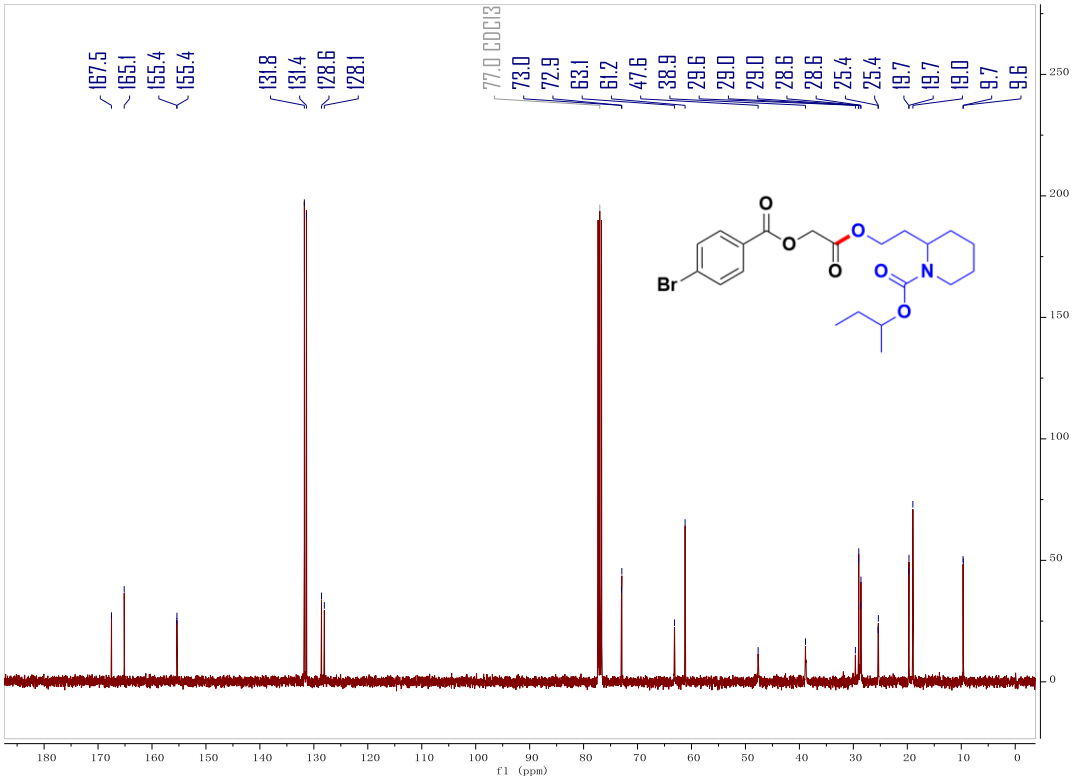
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5br**



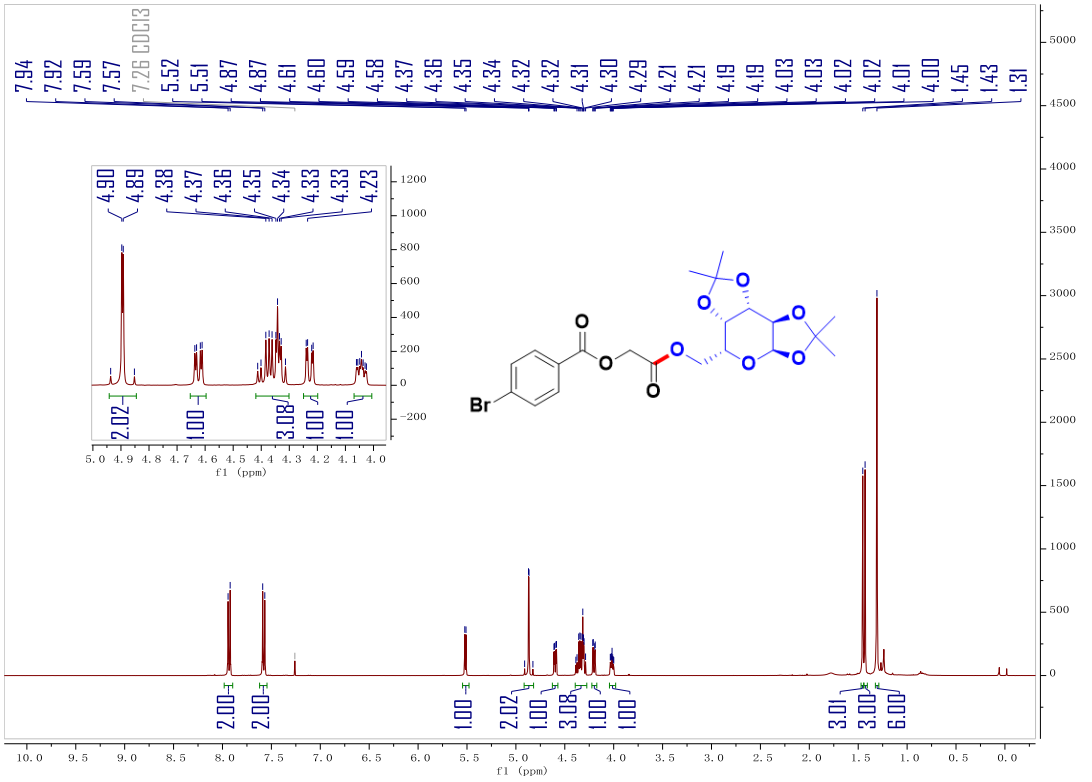
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bs**



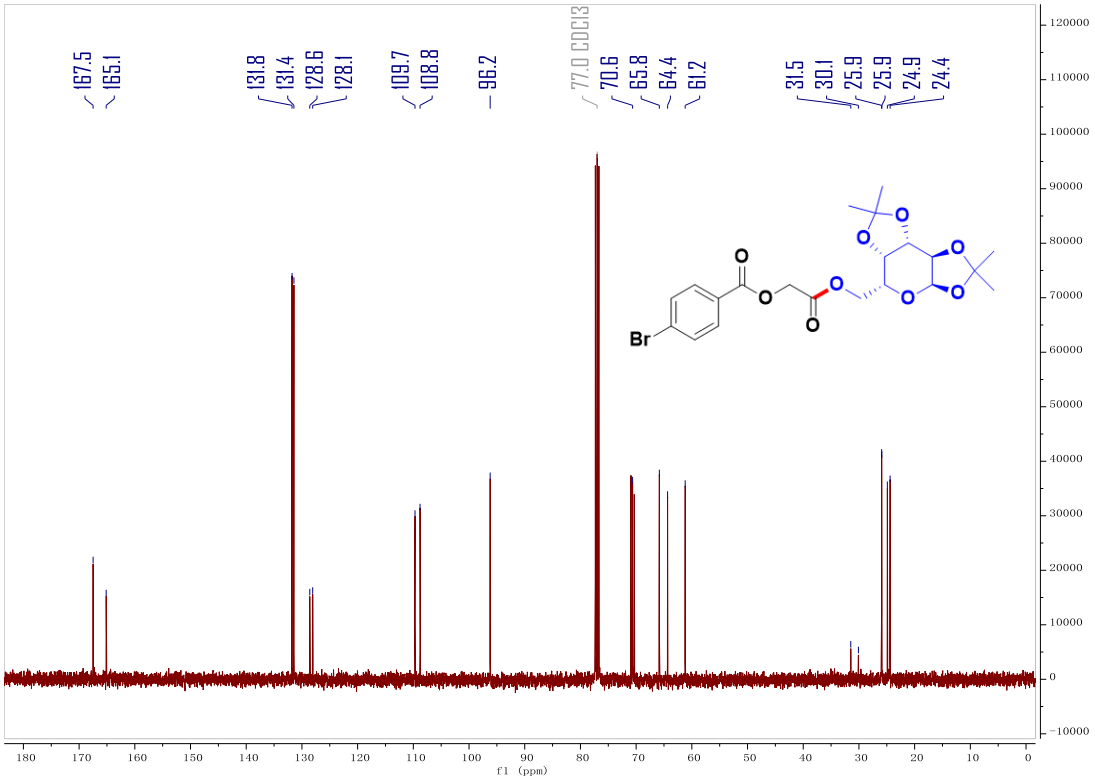
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bs**



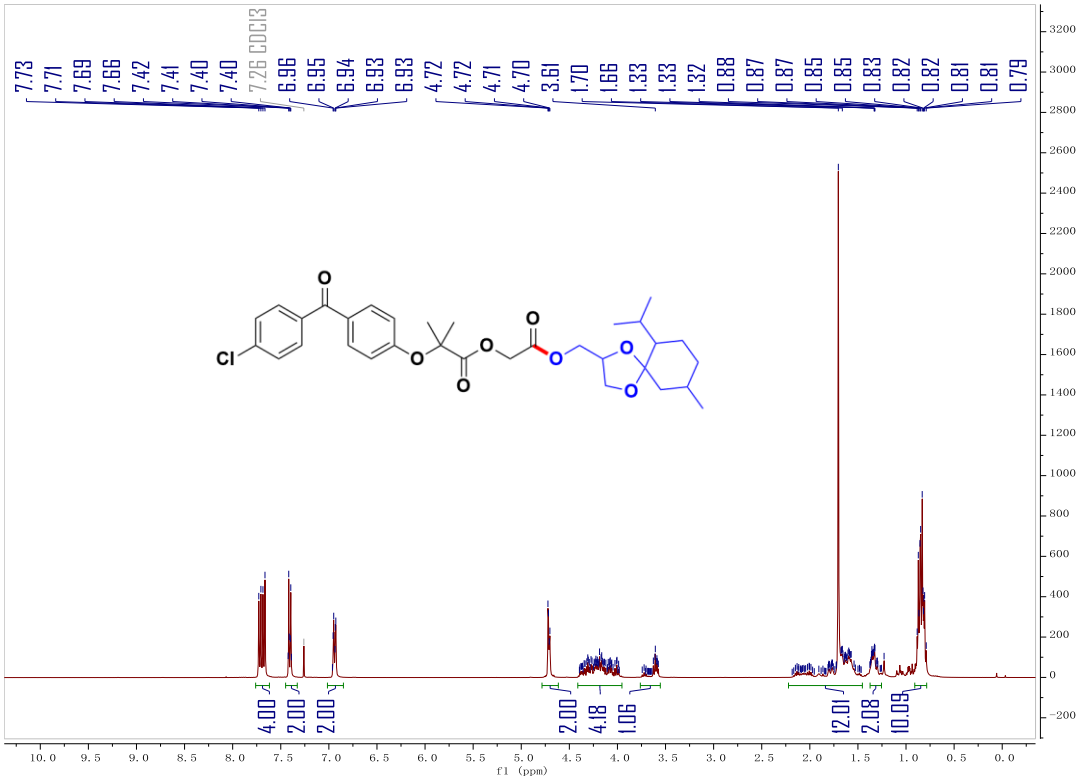
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bt**



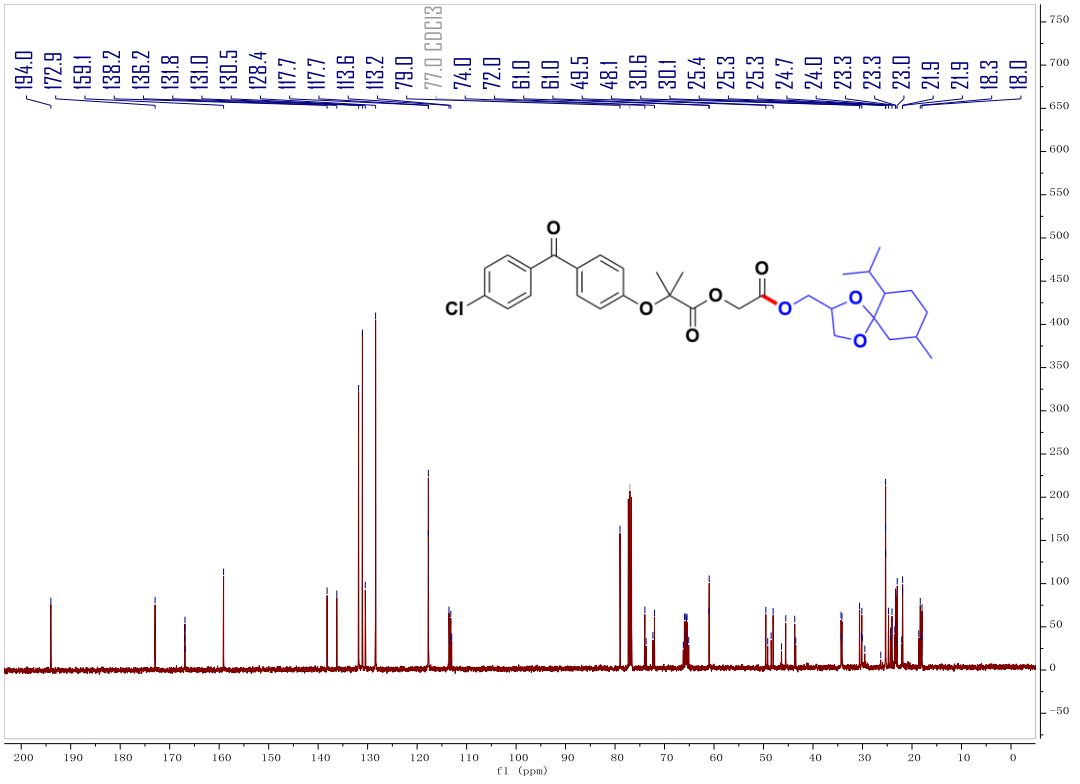
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bt**



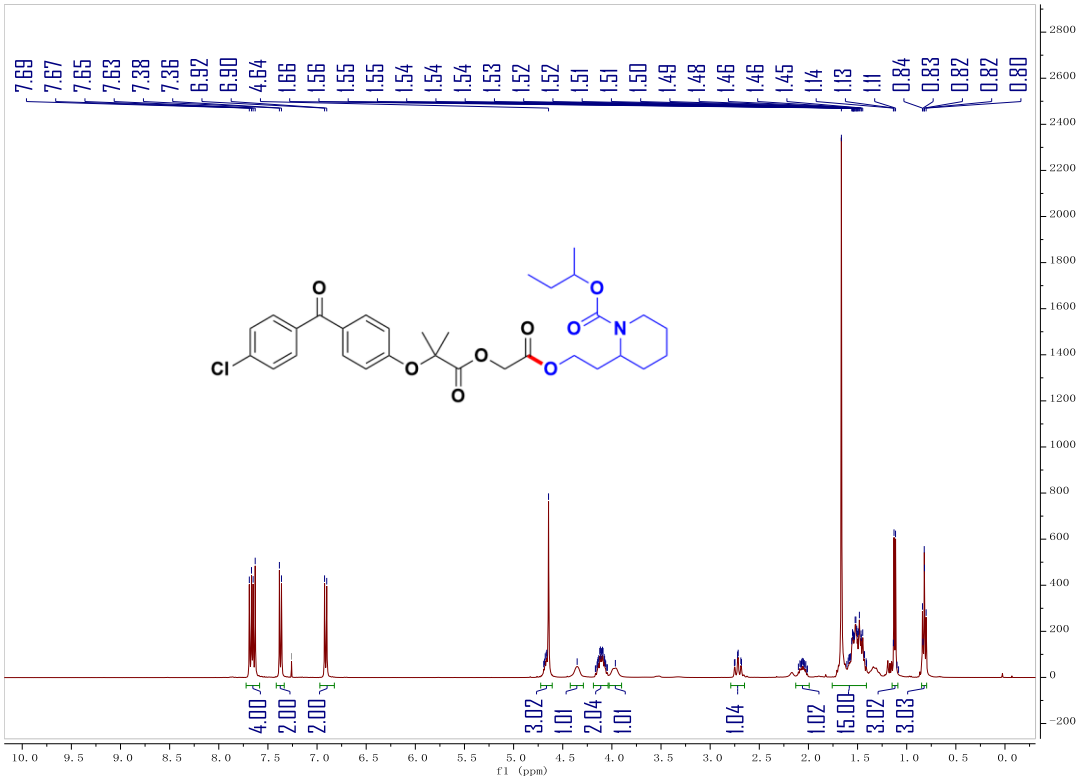
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bu**



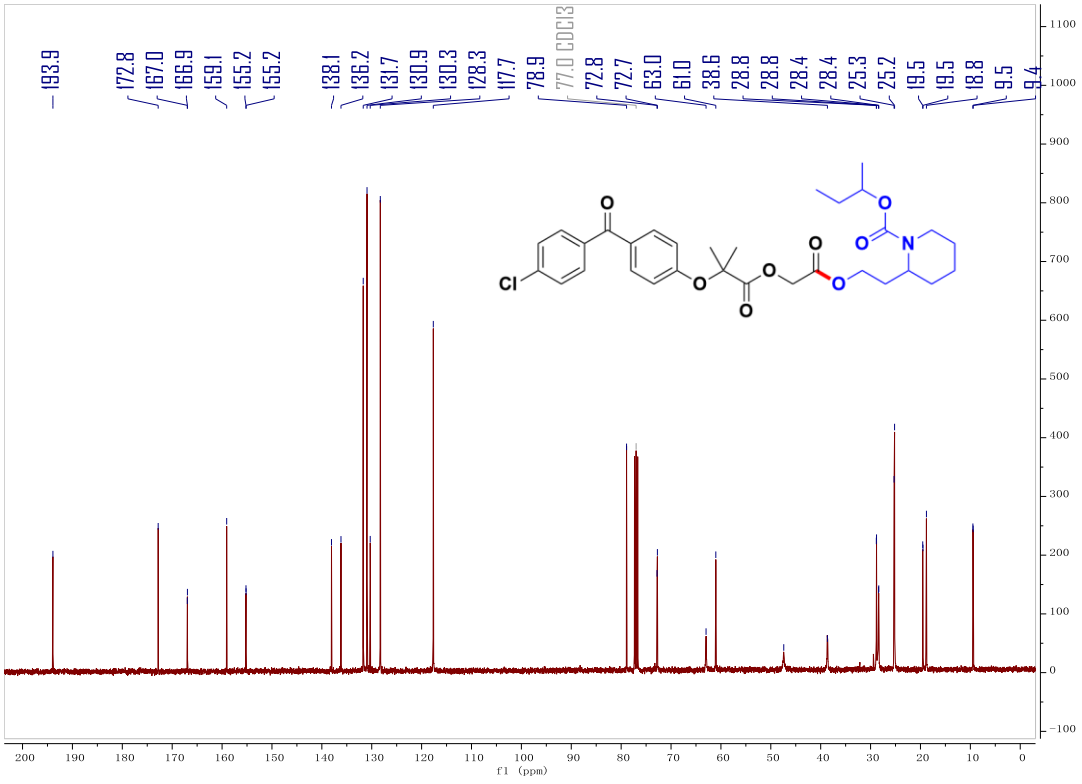
^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bu**



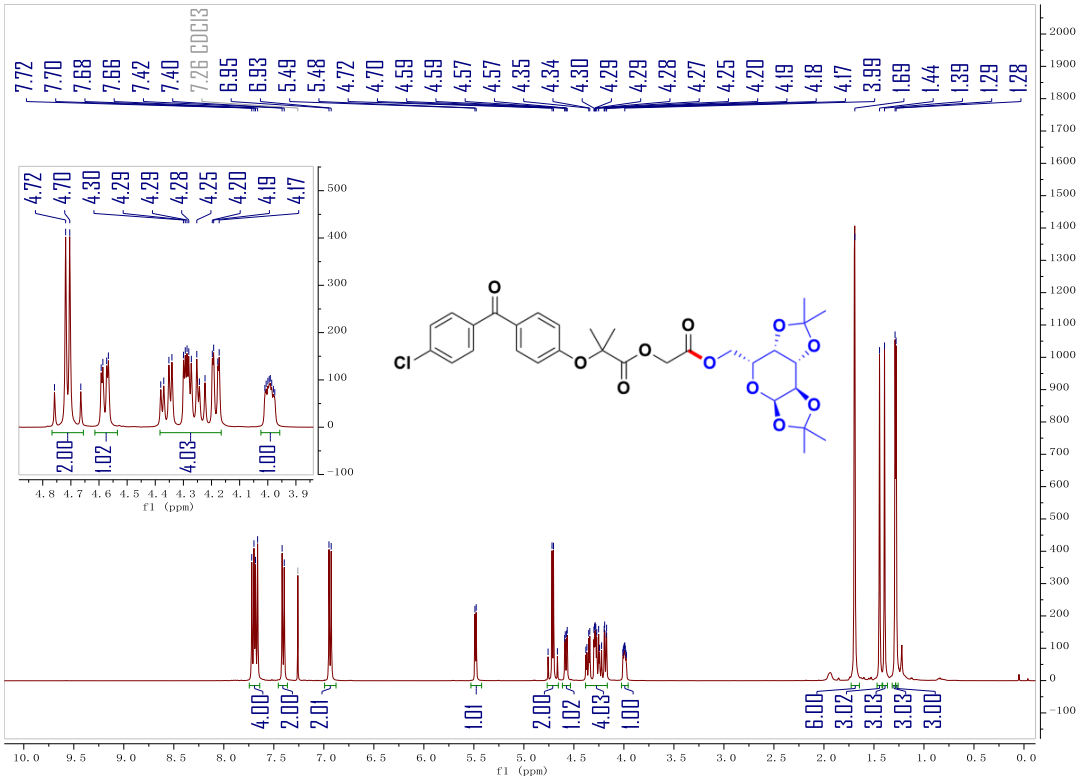
^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bv**



^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bv**



^{1}H NMR (400 MHz, Chloroform-*d*) of compound **5bw**



^{13}C NMR (100 MHz, Chloroform-*d*) of compound **5bw**