Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Synthesis of heteroaryl C-glycosides via Ru-catalyzed C-H activation/cyclization: dioxazolones glycogen designs and applications

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Contents

1. General Information

Unless otherwise noted, all reactions were carried out under an atmosphere of nitrogen in flame-dried glassware. If reaction was not carried out at room temperature, reaction temperatures are reported as the temperature of the bath surrounding the vessel unless otherwise stated. The dry solvents used were purified by distillation over the drying agents indicated in parentheses and were transferred under nitrogen. Commercially available chemicals were obtained from commercial suppliers and used without further purification unless otherwise stated.

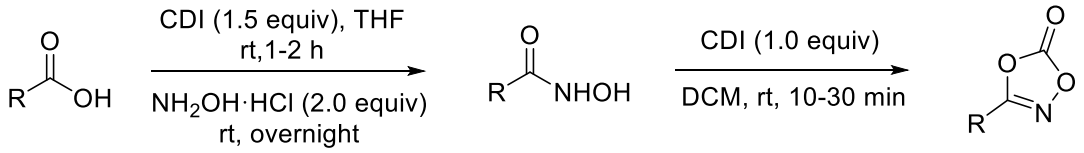
Proton NMR (^{1}H) were recorded at 300/400/500/600 MHz, and Carbon NMR (^{13}C) at 75/101/126/151 MHz NMR spectrometer unless otherwise stated. The following abbreviations are used for the multiplicities: s: singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br s: broad singlet for proton spectra. Coupling constants (*J*) are reported in Hertz (Hz).

High–resolution mass spectra (HRMS, LCMS-IT-TOF) were recorded on a Bruker VPEXII spectrometer with EI and ESI mode unless otherwise stated.

Analytical thin layer chromatography was performed on Polygram SIL G/UV254 plates. Visualization was accomplished with short wave UV light, or $KMnO_4$ staining solutions followed by heating. Flash column chromatography was performed using silica gel (300-400 mesh) with solvents distilled prior to use.

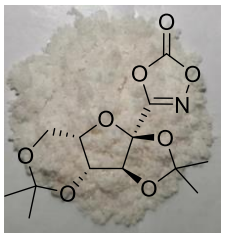
2. Synthesis of Starting Materials

2.1 The preparation of dioxazolones glycogen anomeric substrates (General procedure 1)

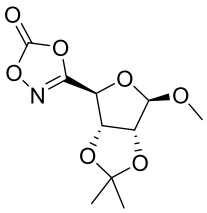


Step 1. Synthesis of hydroxamic acids: 1,1'-Carbonyldiimidazole (CDI, 1.5 equiv) was added to a mixture of carboxylic acid (1.0 equiv) in dry tetrahydrofuran (THF, 1.0 M) at room temperature. The reaction mixture was stirred for 1-2 hours. Afterward, powdered hydroxylamine hydrochloride (2.0 equiv) was added. The resulting mixture was stirred overnight. The reaction direct vacuum concentration. The resulting residue was purified by silica gel flash chromatography (DCM/methanol = 50:1 ~ 20:1) to give the hydroxamic acid.

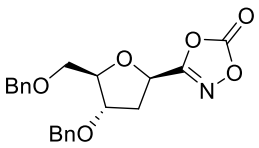
Step 2. Synthesis of dioxazolone substrates: To a stirred solution of hydoxamic acid (1.0 equiv) in freshly distilled dichloromethane, 1,1′-carbonyldiimidazole (1.0 equiv) was added in one portion at room temperature. After being stirred for 10-30 minutes, the reaction mixture was quenched with 1 N HCl, and extracted with EtOAc. The combined organic phase was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The resulting residue was purified quickly by short silica pad (PE/EA = 10:1 ~ 5:1) to give the desired dioxazolones glycogen anomeric.



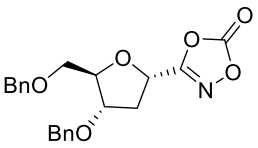
SI-1-1 64%
[4-gram scale]



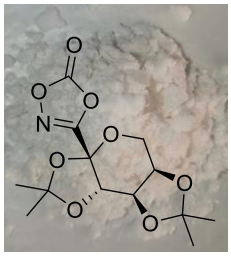
SI-1-2 14%



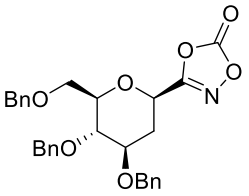
SI-1-3 19%



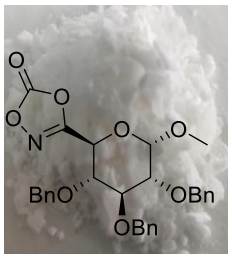
SI-1-4 8%



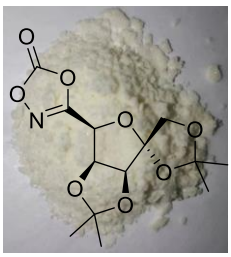
SI-1-5 58%
[gram scale]



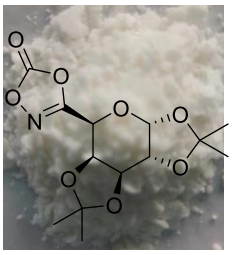
SI-1-6 26%



SI-1-7 73%
[gram scale]

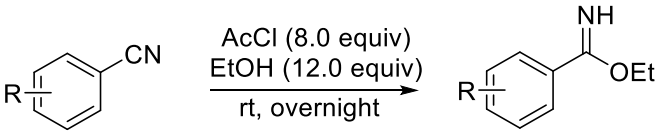


SI-1-8 45%
[gram scale]

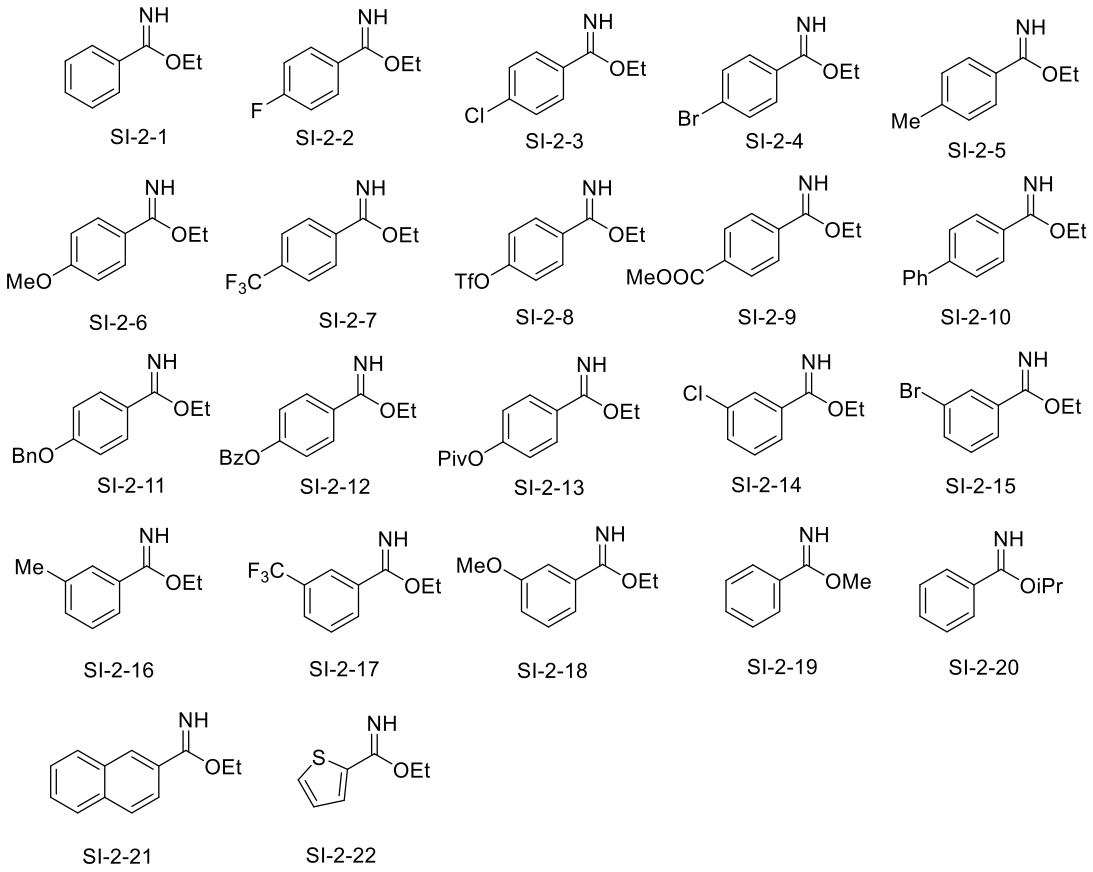


SI-1-9 46%
[gram scale]

2.2 General Procedure for the Preparation of Imidates [1]:

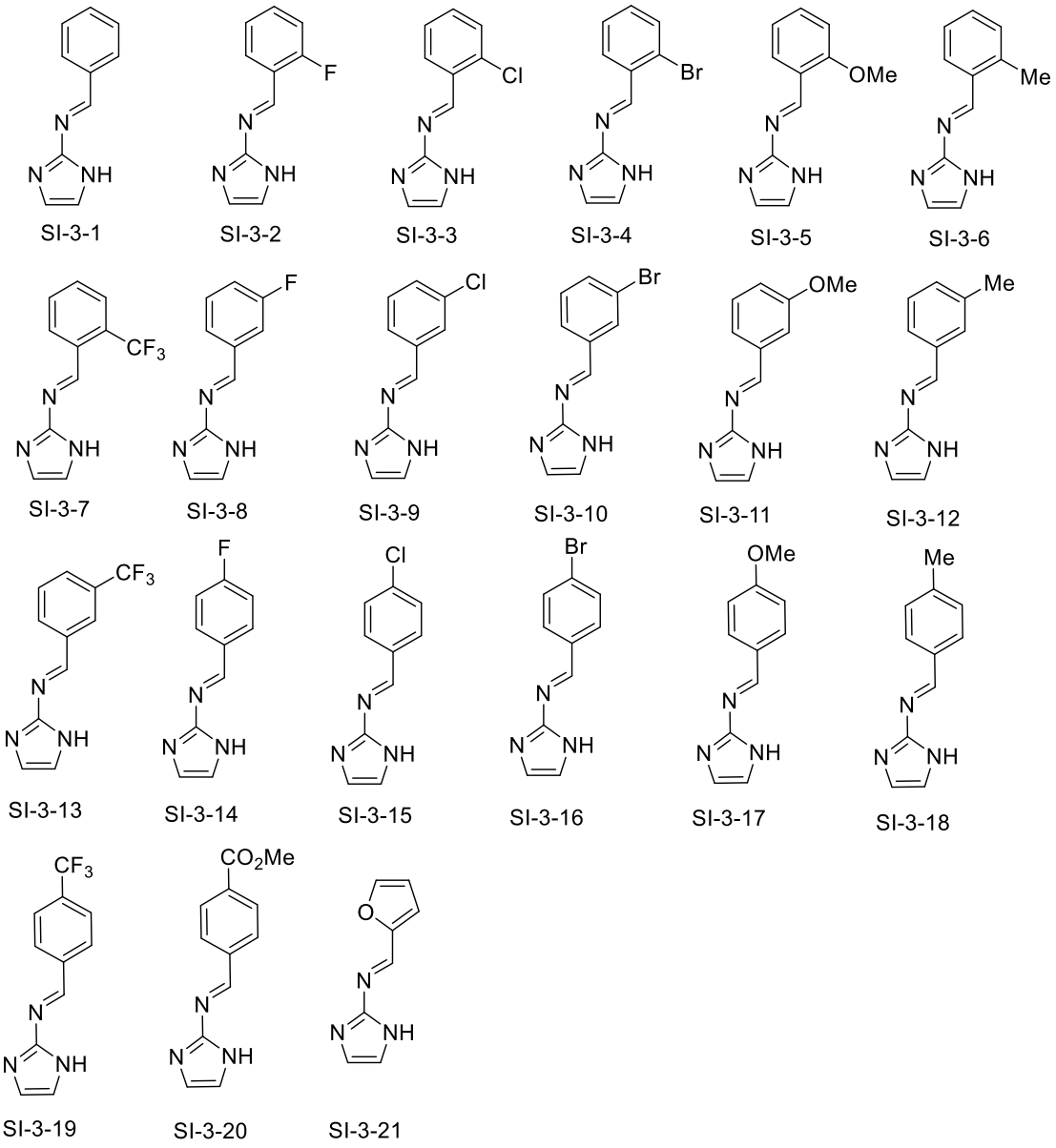


Acetyl chloride (8.0 equiv) was added dropwise over 15 minutes to a stirred solution of the required nitrile in ethanol (12.0 equiv). The mixture was stirred at room temperature overnight. The solution was cooled to 0 °C before the addition of saturated aqueous sodium hydrogen carbonate until the evolution of gas ceased. The solution was then warmed to room temperature and extracted with diethyl ether (3 x 150 mL). The combined organic fractions were washed with brine (50 mL) and dried ($MgSO_4$) before the solvent was removed under reduced pressure to yield the crude compound. The title compound was reacted on without further purification.

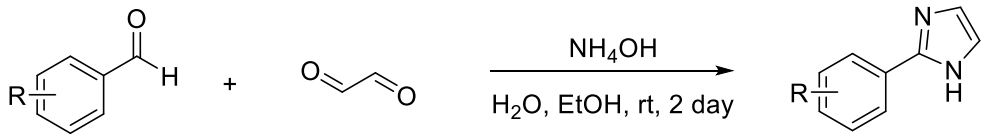


2.3 Synthesis and Characterization of Azolo Imines[2]:

To a solution of aldehyde (1.0 equiv) in dichloromethane (1 M) were added sequentially aminoazole sulfate (1.0 equiv), titanium (IV) ethoxide (1.6 equiv), and triethylamine (2.0 equiv). The reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was quenched with H_2O (2 x volume of dichloromethane). The resulting solid was filtered and washed with dichloromethane. The filtrate was transferred to a separatory funnel, and the layers were separated. The organic layer was dried over $MgSO_4$, filtered, and concentrated *in vacuo*. Then the crude material was filtered through a plug of silica with 50% Ethyl acetate/hexanes. Subsequently, the imine was recrystallized in Ethyl acetate/hexanes (yields for imines were not optimized).

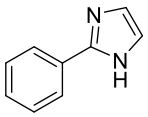


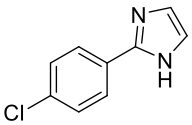
2.4 Synthesis of 2-Hydrazinyl Pyridines [3]:

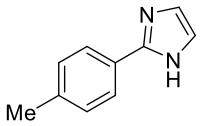


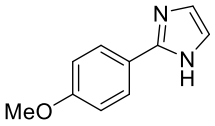
To a solution of appropriate benzaldehyde (10 mmol) in ethanol (35 mL) at 0 °C was added a solution of oxalaldehyde (40% in water, 1.28 mL, 11 mmol) and a solution of ammonium hydroxide (29% in water, 100 mmol, 14 mL). After stirring for 2 days at room temperature, the reaction mixture was concentrated and the residue was

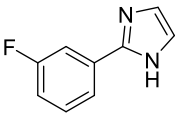
subjected to flash column chromatography with dichloromethane as eluent to yield the titled compound as a yellow powder.

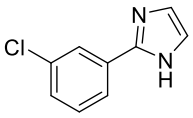

SI-4-1

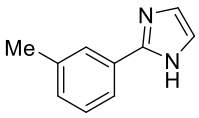

SI-4-2

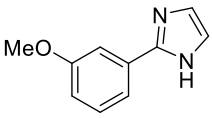

SI-4-3

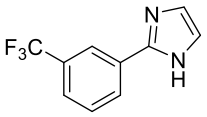

SI-4-4

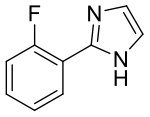

SI-4-5

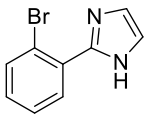

SI-4-6

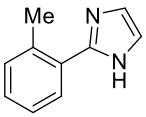

SI-4-7

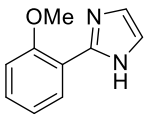

SI-4-8

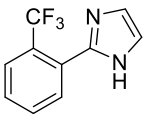

SI-4-9

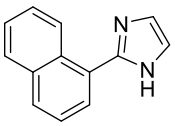

SI-4-10


SI-4-11


SI-4-12


SI-4-13

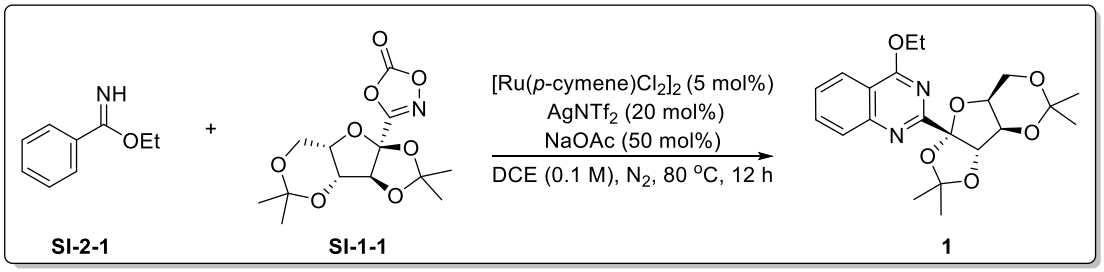

SI-4-14


SI-4-15

3. General procedure for the ruthenium-catalyzed C–H functionalization

3.1 Optimization reactions

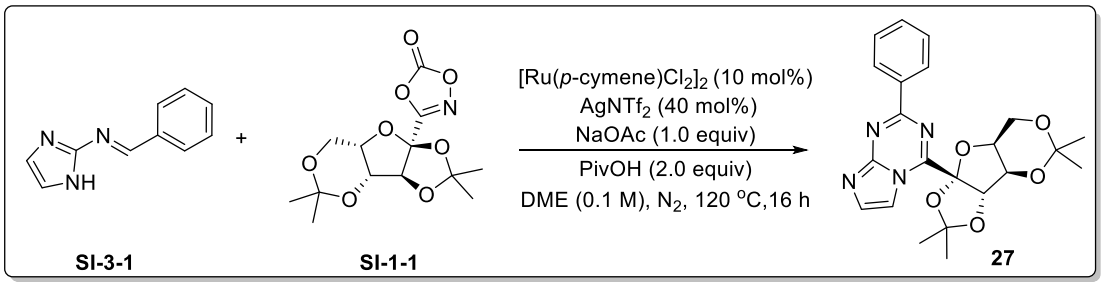
Table S1. Reaction of benzimidates **SI-2-1** with dioxazolones glycogen anomeric **SI-1-1**.



entry	A gsalts	Solvent	Additive	Yield of **1**(%)
1	$AgSbF_6$	DCM	-	40
2	$AgSbF_6$	THF		trace
3	$AgSbF_6$	DMA		0
4	$AgSbF_6$	TFE	-	0
5	$AgSbF_6$	PhCl	-	25
6	$AgSbF_6$	DCE	-	43
7	AgOAc	DCE	-	0
8	Ag_2CO_3	DCE	-	0
9	$AgNTf_2$	DCE	-	62
10	$AgNTf_2$	DCE	Na_2CO_3(1)	trace
11	$AgNTf_2$	DCE	Li_2CO_3(1)	32
12	$AgNTf_2$	DCE	PivOH(1)	50
13	$AgNTf_2$	DCE	$Zn(OAc)_2$(1)	66
14	$AgNTf_2$	DCE	NaOAc(1)	84
15	$AgNTf_2$	DCE	NaOAc(0.5)	92
16[b]	-	DCE	NaOAc(0.5)	0
17[c]	$AgNTf_2$	DCE	NaOAc(0.5)	0
18[d]	-	DCE	NaOAc(0.5)	0

Reaction conditions: [a] **SI-2-1** (0.2 mmol, 1.0 equiv), **SI-1-1** (0.3 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (5 mol %), Ag salt (20 mol %), DCE (0.1 M), 80 °C, N_2, 12 h. Isolated yields. [b] without $AgNTf_2$, [c] without catalyst. [d] without catalyst and $AgNTf_2$.

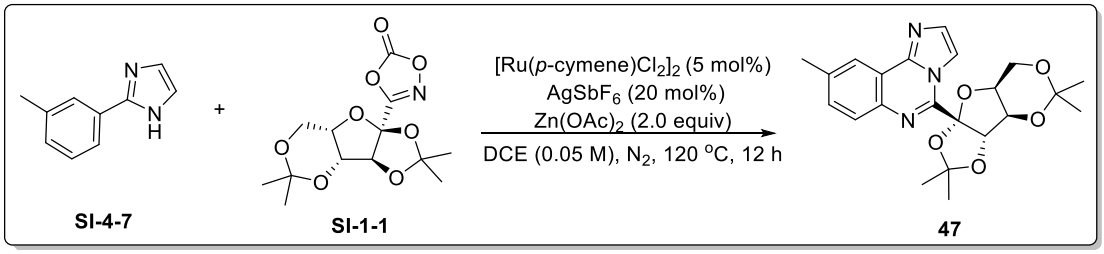
Table S2. Reaction of Azoloaldimines **SI-3-1** with dioxazolones glycogen anomeric **SI-1-1**.



Entry	Deviation from standard conditions	Yield of **27**(%)[b]
1	None	70
2	$RuCl_3 \cdot H_2O$ instead of [Ru(*p*-cymene)Cl_2]$_2$	0
3	Cp*Ru(PPh_3)$_2$Cl instead of [Ru(*p*-cymene)Cl_2]$_2$	19
4	AgOAc instead of $AgNTf_2$	18
5	$AgSbF_6$ instead of $AgNTf_2$	44
6	HOAc (2.0 equiv) instead of PivOH (2.0 equiv)	32
7	Without PivOH	24
8	Without NaOAc	36
9	DCE instead of DME	trace
10	TFE instead of DME	trace
11	Without[Ru(*p*-cymene)Cl_2]$_2$	-
12	Without $AgSbF_6$	37
13	Without [Ru(*p*-cymene)Cl_2]$_2$ and $AgSbF_6$	-

Reaction conditions: [a] **SI-3-1** (0.1 mmol, 1.0 equiv), **SI-1-1** (0.15 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (10 mol %), $AgNTf_2$ (40 mol %), DME (0.1 M), NaOAc (0.1 mmol, 1.0 equiv), PivOH (0.2 mmol, 2.0 equiv), 120 °C, N_2, 16 h. [b] Isolated yields.

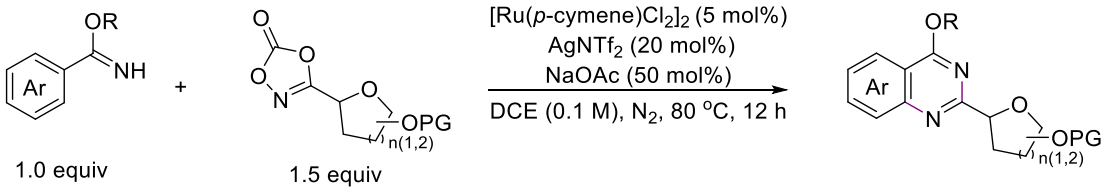
Table S3. Reaction of 2-aryl-1H-imidazole **SI-3-1** with dioxazolones glycogen anomeric **SI-1-1**.



Entry	Deviation from standard conditions	Yield of **47**(%)[b]
1	None	55
2	$RuCl_3 \cdot H_2O$ instead of $[Ru(p\text{-cymene})Cl_2]_2$	0
3	$[Ru(p\text{-cymene})](OAc)_2$ instead of $[Ru(p\text{-cymene})Cl_2]_2$	17
4	AgOAc instead of $AgSbF_6$	42
5	$AgNTf_2$ instead of $AgSbF_6$	20
6	Ag_2CO_3 instead of $AgSbF_6$	40
7	HOAc (2.0 equiv) instead of $Zn(OAc)_2$ (1.0 equiv)	31
8	PivOH (2.0 equiv) instead of $Zn(OAc)_2$ (1.0 equiv)	50
9	DCM instead of DCE	37
10	toluene instead of DCE	33
11	Without$[Ru(p\text{-cymene})Cl_2]_2$	-
12	Without $AgSbF_6$	-
13	Without $[Ru(p\text{-cymene})Cl_2]_2$ and $AgSbF_6$	-

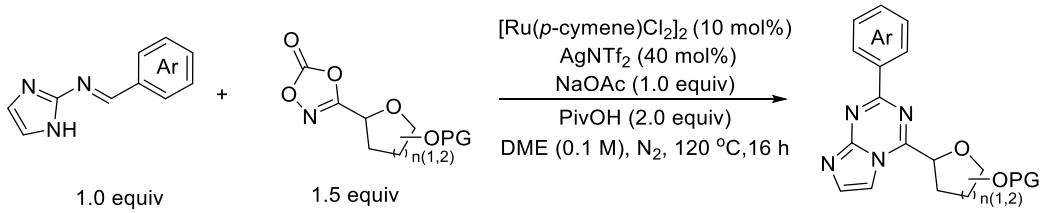
Reaction conditions: [a] **SI-4-7** (0.1 mmol, 1.0 equiv), **SI-1-1** (0.15 mmol, 1.5 equiv) $[Ru(p\text{-cymene})Cl_2]_2$ (5 mol %), $AgSbF_6$ (20 mol %), $Zn(OAc)_2$ (0.2 mmol, 2.0 equiv), DCE (0.05 M), 80 °C, N_2, 12 h. [b] Isolated yields.

3.2 Procedure for the annulation of benzimidates with dioxazolones glycogen anomeric (General procedure 2)



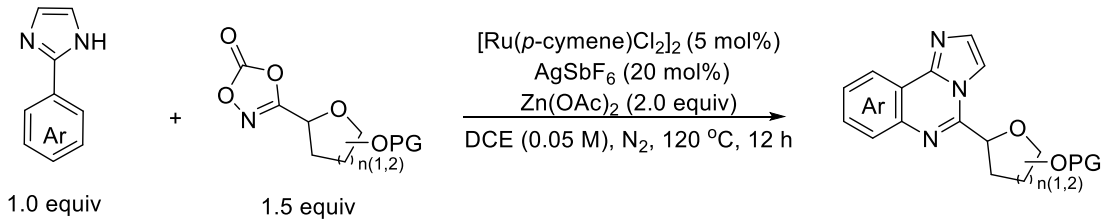
A Schlenk tube (15 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with benzimidates SI-3 (0.2 mmol), dioxazolones glycogen anomeric SI-1 (0.3 mmol), [Ru(*p*-cymene)Cl_2]$_2$ (6.1 mg, 5 mol %), $AgNTf_2$ (15.5 mg, 20 mol %), NaOAc (8.2 mg, 0.1 mmol, 50 mol %) and DCE (2 mL, 0.1 M). The vial was then sealed and heated at 80 °C for 12 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford the product.

3.3 General Procedures for C−H Functionalization of N-Azolo Imines with dioxazolones glycogen anomeric (General procedure 3)



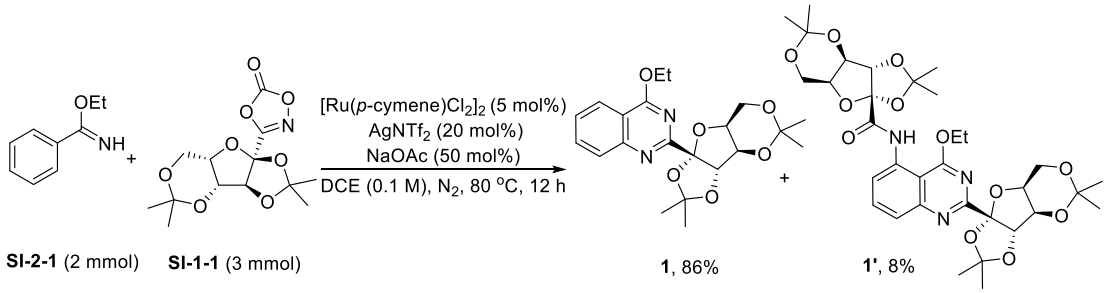
A Schlenk tube (15 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with substituted N-azolo imine SI-4 (0.1 mmol), dioxazolones glycogen anomeric SI-1 (0.15 mmol), [Ru(*p*-cymene)Cl_2]$_2$ (6.1 mg, 10 mol %), $AgNTf_2$ (15.5 mg, 40 mol %), NaOAc (8.2 mg, 0.1 mmol, 1.0 equiv), PivOH (20.4 mg, 0.2 mmol, 2.0 equiv) and DME (1 mL, 0.1 M). The vial was then sealed and heated at 120 °C for 16 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using n-Hexane/acetone to afford the product.

3.4 Annulation toward 5-Arylimidazo[1,2-c]quinazolines (General procedure 4)



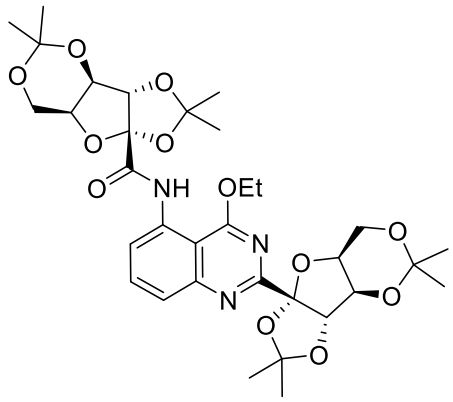
A Schlenk tube (15 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with substituted 2-phenyl-1H-imidazoles SI-5 (0.1 mmol), dioxazolones glycogen anomeric SI-1 (0.15 mmol), [Ru(*p*-cymene)Cl_2]$_2$ (3.1 mg, 5 mol %), $Zn(OAc)_2$ (36.7 mg, 0.2 mmol, 2.0 equiv) and DCE (2 mL, 0.05 M). The vial was then sealed and heated at 120 °C for 12 h under N_2. After the completion of the reaction, the mixture was then allowed to warm to room temperature and a saturated solution of $NaHCO_3$ (2 mL) was added. The aqueous layer was extracted with EtOAc (3 ×5 mL), washed with brine (5 mL) and dried over $MgSO_4$, and the residue was purified by flash column chromatography on silica gel with petroleum ether/EtOAc (V1/V2, 5:1) as the eluent to give the desired products.

4 Experimental procedure for scale-up reaction



A Schlenk tube (100 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with substituted benzimidates **SI-3-1** (298.4 mg, 2.0 mmol, 1.0 equiv), dioxazolones glycogen anomeric **SI-1-1** (945.8 mg, 3.0 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (61.2 mg, 5 mol %), $AgNTf_2$ (155.2 mg, 20 mol %), NaOAc (82.0 mg, 1.0 mmol, 50 mol %) and DCE (20 mL, 0.1 M). The vial was then sealed and heated at 80 °C for 12 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford the product **1** (690.7 mg, 86% yield) as yellow oil and **1'** (110.1 mg, 8% yield) as yellow oil.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (1')



TLC: R*f* = 0.20 (Ethyl acetate/Petroleum Ether = 1/2)

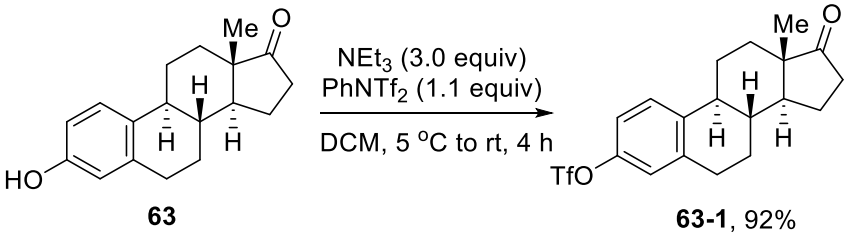
^{1}H NMR (400 MHz, Chloroform-*d*): δ 11.21 (s, 1H), 8.83 (dt, *J* = 6.5, 3.4 Hz, 1H), 7.78 (t, *J* = 3.2 Hz, 2H), 5.39 – 5.28 (m, 1H), 4.94 (p, *J* = 6.8, 5.2 Hz, 1H), 4.82 (d, *J* = 3.4 Hz, 1H), 4.59 (s, 1H), 4.39 (d, *J* = 2.4 Hz, 1H), 4.35 (d, *J* = 2.6 Hz, 2H), 4.30 (d, *J* = 2.2 Hz, 1H), 4.19 (d, *J* = 3.9 Hz, 1H), 4.16 (q, *J* = 2.4 Hz, 2H), 4.11 (d, *J* = 4.1 Hz, 1H), 1.58 (s, 3H), 1.55 – 1.52 (t, 3H), 1.43 (s, 6H), 1.40 (s, 6H), 1.18 (s, 3H), 1.12 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 166.67, 165.92, 159.94, 152.85, 134.76, 134.07, 124.35, 118.19, 114.96, 114.84, 114.11, 112.85, 111.47, 107.14, 97.71, 88.16, 87.52, 74.38, 74.03, 73.76, 72.60, 72.38, 64.38, 60.13, 29.11, 28.71, 27.34, 27.19, 26.66, 25.87, 19.03, 18.68, 14.54.

HRMS (ESI): m/z calculated for $C_{33}H_{43}N_3NaO_{12}^+$ $[M+Na]^+$, 696.2739; found, 696.2738.

5 Late-stage modification of estron

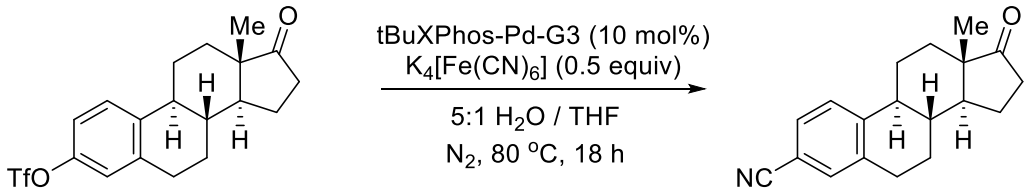
5.1 Transformations of 63 to 63-1 [4]



63 **63-1**, 92%

To a solution of estrone (2.7 g, 10.0 mmol, 1.0 equiv) and triethylamine (4.2 mL, 30.0 mmol, 3.0 equiv) in dichloromethane at 5 °C was charged dropwise a solution of 1,1,1-trifluoro-*N*-phenyl-*N*-((trifluoromethyl)sulfonyl)methanesulfonamide (3.9 g, 11.0 mmol, 1.1 equiv) in dichloromethane and the resulting mixture was stirred at rt for 4 h and then concentrated. The residue was purified by silica gel column chromatography to give pure product **63-1** (3.7 g, 92%) as white solid.

5.2 Transformations of 63-1 to 63-2 [5]

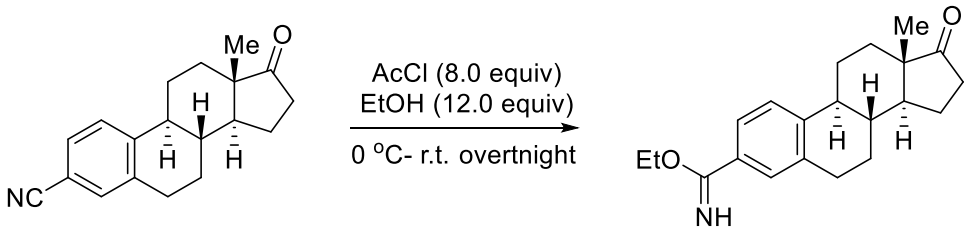


63-1 **63-2**, 92%

63-1 (402 mg, 1.0 mmol, 1.0 equiv), $K_4[Fe(CN)_6]$ (0.53 g, 0.5 mmol, 0.5 equiv) and *t*BuXPhos-Pd-G3 (79 mg, 0.1 mmol, 0.1 equiv) were added to a 4 mL screwcap vial fitted with a septum cap prior to evacuation and backfill with N_2. Degassed water (5.0 mL) and degassed THF (1.0 mL) were added prior to heating at 80 °C with vigorous stirring for 18 h. After cooling to room temperature, the reaction mixture was diluted with water (10 mL) and extracted with 1:1 ethyl acetate / dichloromethane (3x10 mL), the combined organic layers were washed with brine, dried over $MgSO_4$ and concentrated under reduced pressure. Silica gel column chromatography (elute: *n*-hexane / ethyl acetate) afforded the desired product **63-2** as a white solid (1.28 mg,

92%).

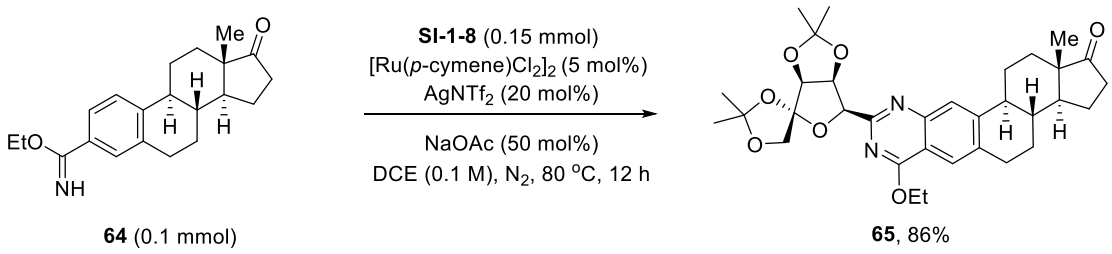
5.3 Transformations of 63-2 to 64 [6]



63-2 **64**, 72%

AcCl (1.14 mL, 16 mmol, 8.0 equiv) was added to a stirred solution of a **63-2** (559 mg, 2 mmol, 1.0 equiv) and an alcohol (1.4 mL, 24 mmol, 12.0 equiv). The reaction flask was stoppered tightly and the stirring was continued at 25°C. After the reaction was complete by TLC, the volatiles were removed under reduced pressure to isolate the imidate hydrochloride. Alternatively, the reaction mixture was cooled to 0 °C and mixed slowly with saturated aqueous $NaHCO_3$ solution, until gas evolution had ceased. The product was extracted into Et_2O (3×5 mL) and the organic solution was washed with H_2O (1×5 mL) and brine (1×5 mL) and Silica gel column chromatography (elute: *n*-hexane / ethyl acetate) afforded the desired product **64** as a yellow oil (0.47 g, 72%).

5.4 Transformations of 64 to 65



64 (0.1 mmol) **65**, 86%

A Schlenk tube (10 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with substituted **64** (32.5 mg, 0.1 mmol, 2.0 equiv), dioxazolones glycogen anomeric **SI-1-8** (47.3 mg, 0.15 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (3.1 mg, 5 mol %), $AgNTf_2$ (7.8 mg, 20 mol %), NaOAc (4.1 mg, 50 mol %) and DCE

(1.0 mL, 0.1 M). The vial was then sealed and heated at 80 °C for 12 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford the product **65** (49.9 mg, 86% yield) as white solid.

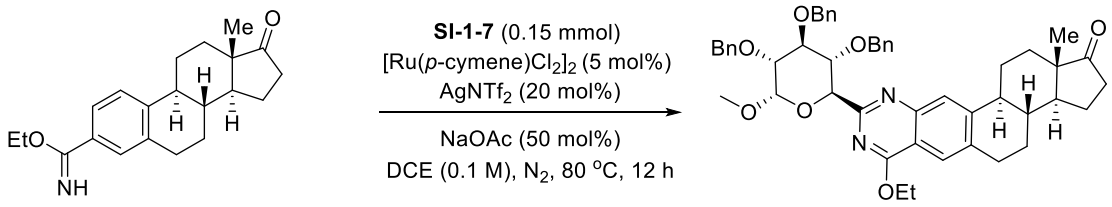
TLC: R*f* = 0.45 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (600 MHz, Chloroform-*d*): δ 7.91 (s, 1H), 7.82 (s, 1H), 5.25 (d, *J* = 6.0 Hz, 2H), 4.70 (d, *J* = 5.3 Hz, 1H), 4.65 – 4.57 (m, 2H), 4.44 – 4.38 (m, 2H), 3.13 – 3.01 (m, 2H), 2.59 – 2.48 (m, 2H), 2.44 (td, *J* = 11.3, 4.2 Hz, 1H), 2.16 (dt, *J* = 18.5, 8.8 Hz, 1H), 2.08 (td, *J* = 10.2, 8.3, 5.5 Hz, 2H), 2.01 (dt, *J* = 13.1, 3.2 Hz, 1H), 1.83 (s, 2H), 1.71 – 1.60 (m, 3H), 1.58 – 1.53 (m, 2H), 1.52 (s, 3H), 1.48 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.31 (s, 3H), 1.23 (s, 3H), 0.91 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 165.96, 159.55, 149.85, 146.99, 136.38, 124.01, 122.19, 113.94, 113.30, 112.34, 111.92, 85.09, 82.59, 82.37, 69.27, 62.83, 50.99, 48.02, 44.93, 37.80, 35.95, 31.60, 29.32, 26.72, 26.69, 26.47, 26.19, 25.81, 25.58, 21.78, 14.50, 13.89.

HRMS (ESI): m/z calculated for $C_{33}H_{43}N_2O_7^+$ $[M+H]^+$, 579.3065; found, 579.3061.

5.5 Transformations of 64 to 66



64 (0.1 mmol) **66**, 85%

A Schlenk tube (10 mL) equipped with a magnetic stir bar and a Teflon-lined screwed

cap was charged with substituted **64** (32.5 mg, 0.1 mmol, 2.0 equiv), dioxazolones glycogen anomeric **SI-1-7** (77.9 mg, 0.15 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (3.1 mg, 5 mol %), $AgNTf_2$ (7.8 mg, 20 mol %), NaOAc (4.1 mg, 50 mol %) and DCE (1.0 mL, 0.1 M). The vial was then sealed and heated at 80 °C for 12 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford the product **66** (66.2 mg, 86% yield) as yellow solid.

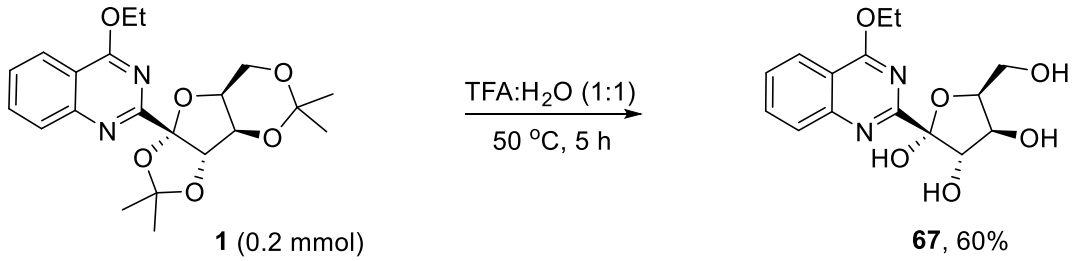
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (600 MHz, Chloroform-*d*): δ 7.88 (s, 1H), 7.83 (s, 1H), 7.42 – 7.36 (m, 4H), 7.35 – 7.27 (m, 6H), 7.06 – 7.02 (m, 1H), 6.98 (t, *J* = 7.2 Hz, 2H), 6.84 – 6.80 (m, 2H), 5.00 (d, *J* = 10.8 Hz, 1H), 4.90 – 4.82 (m, 3H), 4.77 – 4.71 (m, 2H), 4.59 (d, *J* = 11.2 Hz, 1H), 4.51 (pd, *J* = 10.3, 9.2, 3.5 Hz, 2H), 4.20 – 4.12 (m, 3H), 3.78 (dd, *J* = 9.4, 3.6 Hz, 1H), 3.48 (s, 3H), 3.10 (dddd, *J* = 34.4, 17.1, 12.1, 5.0 Hz, 2H), 2.59 – 2.50 (m, 2H), 2.45 (td, *J* = 11.3, 4.3 Hz, 1H), 2.17 (dt, *J* = 18.4, 8.8 Hz, 1H), 2.12 – 2.07 (m, 2H), 2.03 (dt, *J* = 13.0, 3.4 Hz, 1H), 1.72 (d, *J* = 5.5 Hz, 2H), 1.66 (ddd, *J* = 18.1, 9.9, 3.7 Hz, 2H), 1.56 (ddd, *J* = 16.8, 11.5, 5.0 Hz, 3H), 1.44 (t, *J* = 7.2 Hz, 3H), 0.94 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 166.53, 161.15, 149.98, 147.33, 138.98, 138.40, 138.38, 137.05, 128.57, 128.48, 128.28, 128.20, 128.01, 127.94, 127.70, 127.23, 124.02, 122.19, 114.00, 98.89, 82.18, 81.21, 79.88, 76.03, 75.11, 74.52, 73.60, 62.96, 55.60, 50.96, 47.99, 44.92, 37.75, 35.92, 31.62, 31.59, 31.55, 29.38, 26.43, 25.52, 21.76, 14.46, 13.89.

HRMS (ESI): m/z calculated for $C_{49}H_{54}N_2KO_7^+$ $[M+K]^+$, 821.3563; found, 821.3569.

6 Deprotection reaction of 1



1 (0.2 mmol) **67**, 60%

Dissolve the reactant **1** (80.5 mg, 0.2 mmol, 1.0 equiv) in 2 mL of a solution of 50% TFA (aq.) and stir at 50 ℃ for 5 h. Remove the solvent under reduced pressure. Purify the residue by column chromatography (silica, CH_2Cl_2/MeOH) to give the corresponding product **67** (35.4 mg, 60%) as color oil.

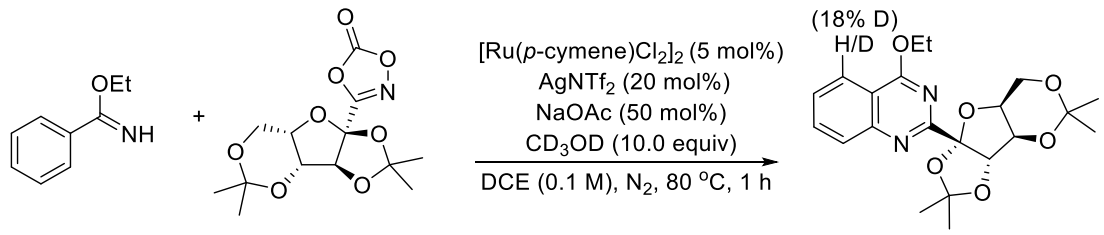
TLC: R*f* = 0.3 (DCM/MeOH = 10/1)

^{1}H NMR (400 MHz, CD_3OD): δ 8.20 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.99 – 7.87 (m, 2H), 7.64 (ddd, *J* = 8.1, 6.8, 1.4 Hz, 1H), 4.78 – 4.69 (m, 2H), 4.28 (d, *J* = 9.4 Hz, 1H), 3.93 – 3.86 (m, 1H), 3.80 (t, *J* = 8.9 Hz, 1H), 3.76 – 3.70 (m, 2H), 1.54 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (101 MHz, CD_3OD): δ 169.04, 163.06, 151.59, 135.30, 128.77, 128.40, 124.63, 116.80, 98.78, 76.35, 74.79, 71.66, 64.83, 64.77, 14.58.

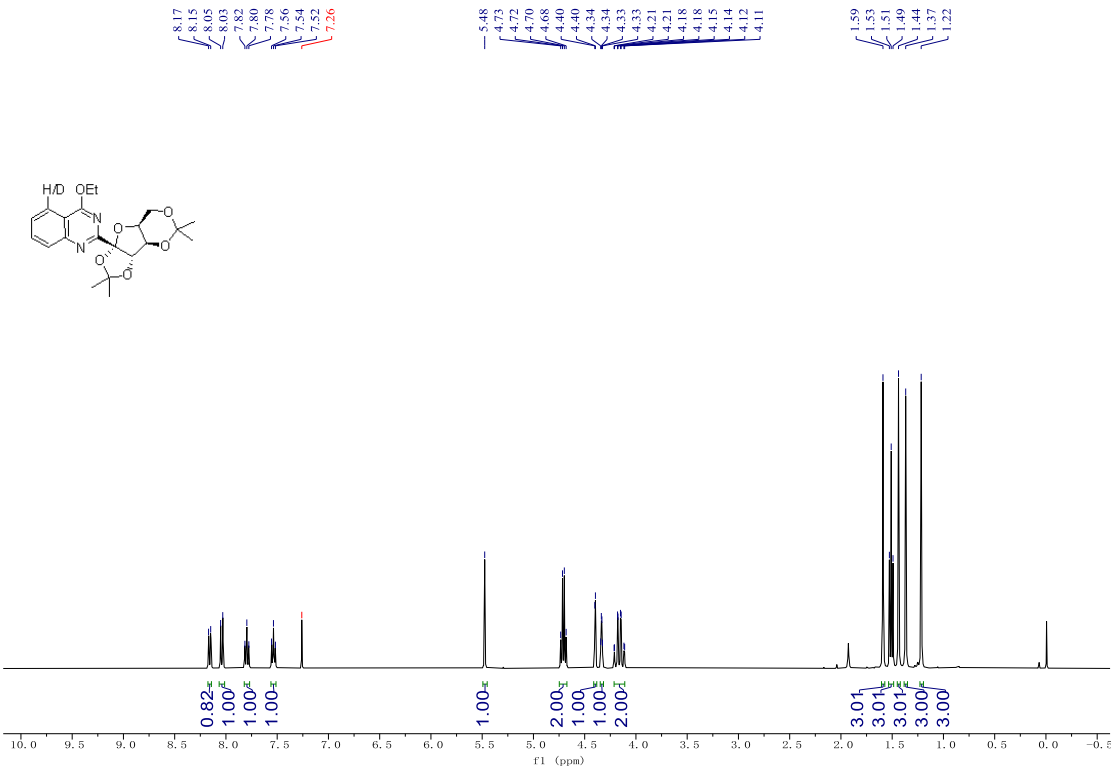
HRMS (ESI): m/z calculated for $C_{15}H_{18}N_2NaO_6^+$ $[M+Na]^+$, 345.1057; found, 345.1066.

7 H/D Exchange Experiment for 1



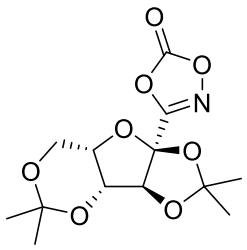
SI-2-1 (0.2 mmol) **SI-1-1** (0.3 mmol) **1-d_n**, 51%

A Schlenk tube (15 mL) equipped with a magnetic stir bar and a Teflon-lined screwed cap was charged with substituted benzimidates **SI-2-1** (29.8 mg, 0.2 mmol, 1.0 equiv), dioxazolones glycogen anomeric **SI-1-1** (94.6 mg, 0.3 mmol, 1.5 equiv), [Ru(*p*-cymene)Cl_2]$_2$ (6.1 mg, 5 mol %), $AgNTf_2$ (15.5 mg, 20 mol %), NaOAc (8.2 mg, 0.1 mmol, 50 mol %), CD_3OD (81 μL, 2.0 mmol, 10.0 equiv) and DCE (2.0 mL, 0.1 M). The vial was then sealed and heated at 80 °C for 1 h under N_2. After that, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using PE/EA to afford **1-d_n** in 51% yield. Upon analyzing the ^{1}H NMR spectrum of the product, the deuteration percentage was determined as 18%.



8 Spectra Data of substrates and products

3-((3a*S*,3b*R*,7a*S*,8a*R*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-1,4,2-dioxazol-5-one (SI-1-1)



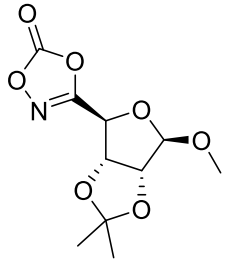
Prepared according to the general procedure **1**. Purification by flash column (ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-1** (4.06 g, 82%) as white solid.
TLC: R*f* = 0.8 (Ethyl acetate/Petroleum Ether = 1/3).

^{1}H NMR (300 MHz, $CDCl_3$): δ 4.81 (s, 1H), 4.43 (d, *J* = 2.3 Hz, 1H), 4.26 (q, *J* = 2.0 Hz, 1H), 4.12 (d, *J* = 1.9 Hz, 2H), 1.58 (s, 3H), 1.44 (s, 6H), 1.37 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 163.10, 153.64, 115.67, 106.57, 98.00, 87.89, 74.81, 72.49, 59.59, 28.76, 26.92, 25.64, 18.83.

HRMS (ESI): m/z calculated for $C_{13}H_{17}NKO_8^+$ $[M+K]^+$, 354.0586; found, 354.0586.

3-((3a*R*,4*S*,6*R*,6a*R*)-6-methoxy-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxol-4-yl)-1,4,2-dioxazol-5-one (SI-1-2)



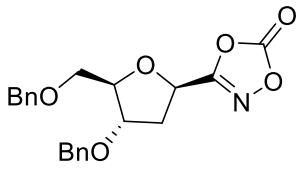
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-2** (0.59 g, 14% yield) as yellow oil.
TLC: R*f* = 0.8 (Ethyl acetate/Petroleum Ether = 1/3).

^{1}H NMR (300 MHz, $CDCl_3$): δ 5.24 (d, *J* = 5.8 Hz, 1H), 5.12 – 5.01 (m, 2H), 4.66 (d, *J* = 5.7 Hz, 1H), 3.35 (s, 3H), 1.50 (s, 3H), 1.34 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 164.72, 153.61, 113.73, 110.37, 84.50, 80.76, 77.19, 55.95, 26.31, 24.91.

HRMS (ESI): m/z calculated for $C_{10}H_{13}NNaO_7^+$ $[M+Na]^+$, 282.0584; found, 282.0595.

3-((2*R*,4*S*,5*R*)-4-(benzyloxy)-5-((benzyloxy)methyl)tetrahydrofuran-2-yl)-1,4,2-dioxazol-5-one (SI-1-3)



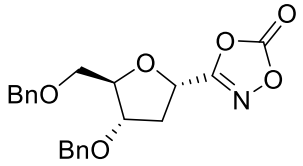
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **SI-1-3** (0.3 g, 19% yield) as yellow oil.
TLC: R*f* = 0.8 (Ethyl acetate/Petroleum Ether = 1/2).

^{1}H NMR (300 MHz, $CDCl_3$): δ 7.32 (dt, *J* = 7.3, 4.5 Hz, 10H), 5.05 (t, *J* = 7.9 Hz, 1H), 4.54 (s, *2*H), 4.53 (s, *2*H), 4.29 (dq, *J* = 24.8, 2.9 Hz, 2H), 3.52 (qd, *J* = 10.4, 4.7 Hz, 2H), 2.38 (dd, *J* = 7.9, 3.9 Hz, 2H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 165.46, 153.80, 137.78, 137.51, 128.70, 128.61, 128.14, 127.99, 127.87, 127.83, 85.03, 80.31, 73.75, 71.57, 70.69, 70.31, 35.29.

HRMS (ESI): m/z calculated for $C_{21}H_{21}NNaO_6^+$ $[M+Na]^+$, 406.1261; found, 406.1273.

3-((2*S*,4*S*,5*R*)-4-(benzyloxy)-5-((benzyloxy)methyl)tetrahydrofuran-2-yl)-1,4,2-dioxazol-5-one (SI-1-4)



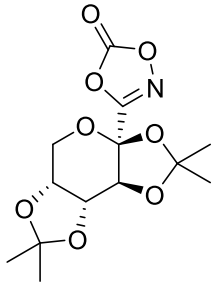
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-4** (0.15 g, 8% yield) as yellow oil.
TLC: R*f* = 0.5 (Ethyl acetate/Petroleum Ether = 1/3).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.38 – 7.34 (m, 4H), 7.31 (ddd, *J* = 7.9, 6.1, 2.4 Hz, 6H), 5.06 (t, *J* = 7.9 Hz, 1H), 4.55 – 4.51 (m, 4H), 4.34 (td, *J* = 4.7, 2.1 Hz, 1H), 4.25 (td, *J* = 3.9, 2.1 Hz, 1H), 3.56 (dd, *J* = 10.3, 4.3 Hz, 1H), 3.49 (dd, *J* = 10.3, 5.1 Hz, 1H), 2.38 (dd, *J* = 7.9, 3.9 Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$): δ 165.43, 153.79, 137.75, 137.46, 128.68, 128.59, 128.13, 127.97, 127.86, 127.81, 84.98, 80.27, 73.71, 71.53, 70.66, 70.27, 35.24.

HRMS (ESI): m/z calculated for $C_{21}H_{21}NNaO_6^+$ $[M+Na]^+$, 406.1261; found, 406.1269.

3-((3a*R*,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)-1,4,2-dioxazol-5-one (SI-1-5)



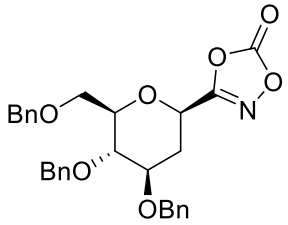
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-5** (1.84 g, 58%) as White solid.
TLC: R*f* = 0.7 (Ethyl acetate/Petroleum Ether = 1/3).

^{1}H NMR (300 MHz, $CDCl_3$): δ 4.70 – 4.60 (m, 2H), 4.30 (d, *J* = 7.9 Hz, 1H), 3.94 (s, 2H), 1.60 (s, 3H), 1.47 (s, 6H), 1.35 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 164.05, 153.49, 111.70, 110.01, 97.06, 72.48, 69.87, 69.44, 61.79, 26.17, 25.71, 24.50, 24.30.

HRMS (ESI): m/z calculated for $C_{13}H_{17}NNaO_8^+$ $[M+Na]^+$, 338.0846; found, 338.0852.

3-((2*R*,4*R*,5*S*,6*R*)-4,5-bis(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2*H*-pyran-2-yl)-1,4,2-dioxazol-5-one (SI-1-6)



Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-6** (0.48 g, 26% yield) as yellow oil.
TLC: R*f* = 0.5 (Ethyl acetate/Petroleum Ether = 1/3).

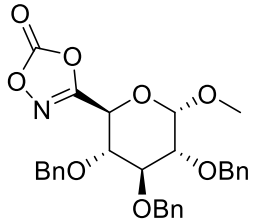
^{1}H NMR (300 MHz, $CDCl_3$): δ 7.36 – 7.27 (m, 13H), 7.23 – 7.18 (m, 2H), 4.92 (d, *J* = 10.7 Hz, 1H), 4.69 (dd, *J* = 9.7, 4.4 Hz, 2H), 4.65 – 4.39 (m, 5H), 3.73 (dd, *J* = 11.6, 3.6 Hz, 2H), 3.66 – 3.59 (m, 1H), 3.53 (d, *J* = 9.5 Hz, 1H), 2.36 (tt, *J* = 18.7, 7.7 Hz, 1H), 1.97 (q, *J* = 11.9 Hz, 1H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 164.10, 153.49, 138.06, 137.91, 128.66, 128.63, 128.53, 128.13, 128.05, 127.99, 127.97, 127.92, 127.87, 127.82, 80.22, 79.48, 77.27, 75.41, 73.67, 71.95, 68.7

HRMS (ESI): m/z calculated for $C_{29}H_{29}NNaO_7^+$ $[M+Na]^+$, 526.1836; found,

526.1849.

3-((2*S*,3*S*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2*H*-pyran-2-yl)-1,4,2-dioxazol-5-one (SI-1-7)



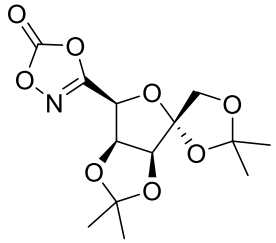
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **SI-1-7** (1.0 g, 73% yield) as white solid.
TLC: R*f* = 0.75 (Ethyl acetate/Petroleum Ether = 1/2).

^{1}H NMR (600 MHz, $CDCl_3$): δ 7.38 – 7.35 (m, 7H), 7.35 – 7.29 (m, 6H), 7.17 (dd, *J* = 7.4, 2.1 Hz, 2H), 5.01 (d, *J* = 10.9 Hz, 1H), 4.85 (d, *J* = 10.8 Hz, 1H), 4.83 (d, *J* = 4.3 Hz, 1H), 4.81 (d, *J* = 4.9 Hz, 1H), 4.65 (d, *J* = 12.0 Hz, 1H), 4.60 – 4.56 (m, 2H), 4.52 (d, *J* = 10.2 Hz, 1H), 4.05 (t, *J* = 9.2 Hz, 1H), 3.69 (dd, *J* = 10.2, 8.8 Hz, 1H), 3.56 (dd, *J* = 9.7, 3.5 Hz, 1H), 3.40 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 163.43, 153.13, 138.30, 137.80, 137.07, 128.77, 128.75, 128.67, 128.61, 128.44, 128.38, 128.29, 128.16, 128.05, 99.06, 81.52, 79.32, 77.37, 77.16, 76.95, 76.45, 76.21, 75.03, 73.92, 64.46, 56.27.

HRMS (ESI): m/z calculated for $C_{29}H_{29}NNaO_8^+$ $[M+Na]^+$, 542.1785; found, 542.1796.

3-((3a*S*,4*R*,6*S*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-d][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)-1,4,2-dioxazol-5-one (SI-1-8)



Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-8** (1.39 g, 45%) as White solid.
TLC: R*f* = 0.7 (Ethyl acetate/Petroleum Ether = 1/3).

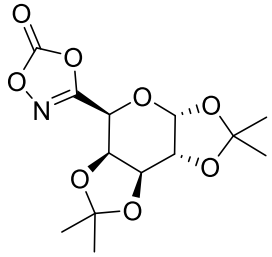
^{1}H NMR (300 MHz, $CDCl_3$): δ 5.05 (t, *J* = 4.9 Hz, 1H), 4.96 (d, *J* = 4.4 Hz, 1H), 4.68 (d, *J* = 5.6 Hz, 1H), 4.35 (d, *J* = 10.1 Hz, 1H), 4.21 (d, *J* = 10.1 Hz, 1H), 1.47 (s, 3H), 1.45 (s, 3H), 1.42 (s, 3H), 1.31 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 162.44, 153.71, 114.82, 113.00, 112.94, 84.44, 80.71,

73.47, 69.22, 26.44, 26.26, 25.81, 25.06.

HRMS (ESI): m/z calculated for $C_{13}H_{17}NNaO_8^+$ $[M+Na]^+$, 338.0846; found, 338.0852.

3-((3a*R*,5*S*,5a*R*,8a*S*,8b*R*)-2,2,7,7-tetramethyltetrahydro-5*H*-bis([1,3]dioxolo)[4,5-b:4',5'-*d*]pyran-5-yl)-1,4,2-dioxazol-5-one (SI-1-9)



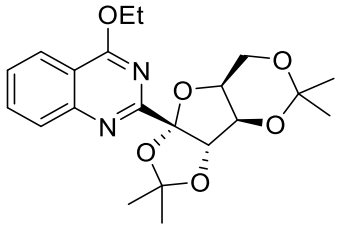
Prepared according to the general procedure **1**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/6) afforded **SI-1-9** (1.46 g, 46%) as White solid.
TLC: R*f* = 0.7 (Ethyl acetate/Petroleum Ether = 1/3).

^{1}H NMR (300 MHz, $CDCl_3$): δ 5.64 (d, *J* = 4.9 Hz, 1H), 4.89 (d, *J* = 2.3 Hz, 1H), 4.74 (dd, *J* = 7.6, 2.8 Hz, 1H), 4.48 – 4.39 (m, 2H), 1.55 (s, 3H), 1.47 (s, 3H), 1.36 (s, 3H), 1.35 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 163.14, 153.66, 111.21, 109.81, 96.42, 71.25, 70.68, 70.31, 64.22, 26.12, 25.87, 24.77, 24.71.

HRMS (ESI): m/z calculated for $C_{13}H_{17}NNaO_8^+$ $[M+Na]^+$, 338.0846; found, 338.0852.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (1)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **1** (74.1 mg, 92% yield) as yellow oil.

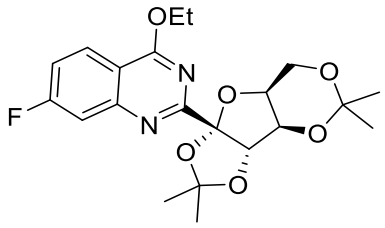
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.16 (dd, *J* = 8.1, 1.5 Hz, 1H), 8.05 (d, *J* = 8.4 Hz, 1H), 7.80 (ddd, *J* = 8.5, 7.0, 1.5 Hz, 1H), 7.57 – 7.52 (m, 1H), 5.46 (s, 1H), 4.71 (qd, *J* = 7.1, 1.2 Hz, 2H), 4.40 (d, *J* = 2.6 Hz, 1H), 4.34 (q, *J* = 2.3 Hz, 1H), 4.24 – 4.18 (m, 1H), 4.13 (dd, *J* = 13.4, 2.9 Hz, 1H), 1.59 (s, 3H), 1.51 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.38 (s, 3H), 1.21 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.29, 160.51, 151.28, 133.47, 128.60, 127.12, 123.43, 115.92, 114.53, 112.49, 97.55, 87.39, 74.22, 73.64, 63.39, 60.26, 28.69, 27.22, 26.66, 19.09, 14.46.

HRMS (ESI): m/z calculated for $C_{21}H_{26}N_2NaO_6^+$ $[M+Na]^+$, 425.1683; found, 425.1682.

4-ethoxy-7-fluoro-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (2)



Prepared from **SI-2-2** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **2** (60.8 mg, 74% yield) as yellow oil.
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

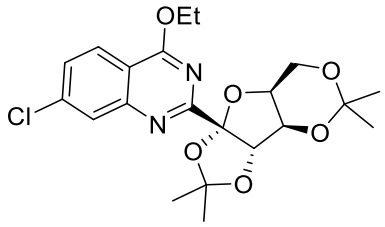
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.18 (dd, *J* = 9.1, 6.0 Hz, 1H), 7.69 (dd, *J* = 9.9, 2.5 Hz, 1H), 7.29 (dd, *J* = 9.3, 6.7 Hz, 1H), 5.43 (s, 1H), 4.70 (qd, *J* = 7.1, 2.2 Hz, 2H), 4.41 (d, *J* = 2.5 Hz, 1H), 4.34 (d, *J* = 2.2 Hz, 1H), 4.24 – 4.12 (m, 2H), 1.60 (s, 3H), 1.51 (t, *J* = 7.1 Hz, 3H), 1.45 (s, 3H), 1.40 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.09, 165.80 (d, *J* = 253.8 Hz), 161.77, 153.11 (d, *J* = 13.7 Hz), 126.12(d, *J* = 10.5 Hz), 117.08 (d, *J* = 24.8 Hz), 114.30, 112.80, 112.79(d, *J* = 21.0 Hz), 112.65, 97.53, 87.40, 77.41, 77.16, 76.90, 74.03, 73.57, 63.57, 60.17, 28.71, 27.19, 26.61, 18.96, 14.40.

^{19}F NMR (471 MHz, $CDCl_3$): δ -103.70.

HRMS (ESI): m/z calculated for $C_{21}H_{26}FN_2O_6^+$ $[M+H]^+$, 421.1769; found, 421.1769.

7-chloro-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (3)



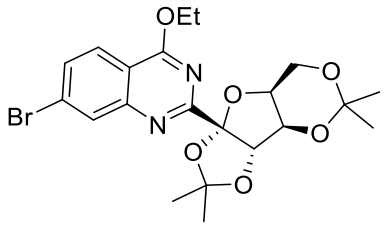
Prepared from **SI-2-3** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **3** (63.6 mg, 73% yield) as oil.
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.09 (d, *J* = 8.7 Hz, 1H), 8.04 (d, *J* = 2.0 Hz, 1H), 7.48 (dd, *J* = 8.7, 2.1 Hz, 1H), 5.42 (s, 1H), 4.70 (q, *J* = 7.1 Hz, 2H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.32 (d, *J* = 2.3 Hz, 1H), 4.22 – 4.10 (m, 2H), 1.58 (s, 3H), 1.50 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.37 (s, 3H), 1.20 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.26, 161.73, 151.96, 139.72, 128.12, 127.74, 124.94, 114.31, 114.29, 112.64, 97.54, 87.37, 74.06, 73.63, 63.68, 60.21, 28.73, 27.19, 26.60, 18.99, 14.39.

HRMS (ESI): m/z calculated for $C_{21}H_{26}ClN_2O_6^+$ $[M+H]^+$, 437.1474; found, 437.1474.

7-bromo-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (4)



Prepared from **SI-2-4** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **4** (59.4 mg, 62% yield) as oil.

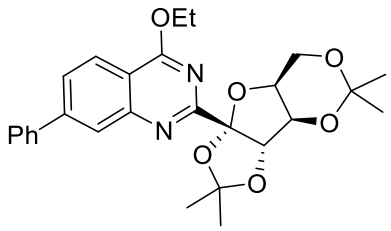
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.21 (d, *J* = 1.9 Hz, 1H), 7.99 (d, *J* = 8.7 Hz, 1H), 7.61 (dd, *J* = 8.9, 1.9 Hz, 1H), 5.40 (s, 1H), 4.67 (q, *J* = 7.1 Hz, 2H), 4.38 (d, *J* = 2.5 Hz, 1H), 4.31 (d, *J* = 2.2 Hz, 1H), 4.20 – 4.09 (m, 2H), 1.56 (s, 3H), 1.48 (t, *J* = 7.1 Hz, 3H), 1.41 (s, 3H), 1.37 (s, 3H), 1.18 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.33, 161.57, 151.94, 130.90, 130.71, 128.21, 124.87, 114.52, 114.21, 112.68, 97.50, 87.37, 73.94, 73.54, 63.67, 60.13, 28.70, 27.17, 26.57, 18.91, 14.33.

HRMS (ESI): m/z calculated for $C_{21}H_{26}BrN_2O_6^+$ $[M+H]^+$, 481.0969; found, 481.0969.

4-ethoxy-7-phenyl-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (5a)



Prepared from **SI-2-10** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **5a** (81.8 mg, 85% yield) as white solid.

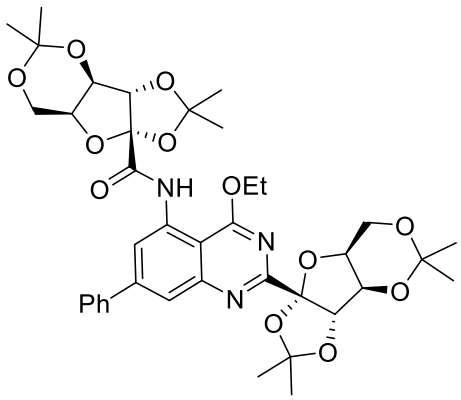
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.28 (d, *J* = 1.7 Hz, 1H), 8.21 (d, *J* = 8.4 Hz, 1H), 7.80 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.75 – 7.72 (m, 2H), 7.52 – 7.47 (m, 2H), 7.44 – 7.39 (m, 1H), 5.47 (s, 1H), 4.72 (qd, *J* = 7.1, 1.8 Hz, 2H), 4.41 (d, *J* = 2.5 Hz, 1H), 4.35 (dt, *J* = 4.1, 2.0 Hz, 1H), 4.22 (dd, *J* = 13.4, 1.6 Hz, 1H), 4.14 (dd, *J* = 13.5, 2.8 Hz, 1H), 1.60 (s, 3H), 1.53 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.41 (s, 3H), 1.23 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.28, 160.96, 151.69, 146.19, 139.93, 129.13, 128.43, 127.67, 126.59, 126.26, 123.91, 114.78, 114.51, 112.54, 97.57, 87.41, 74.17, 73.58, 63.41, 60.24, 28.73, 27.23, 26.67, 19.04, 14.47.

HRMS (ESI): m/z calculated for $C_{27}H_{31}N_2O_6^+$ $[M+H]^+$, 479.2177; found, 479.2177.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(4-ethoxy-7-phenyl-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (5b)



Prepared from **SI-2-10** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **5b** (9.8 mg, 7% yield) as white solid.

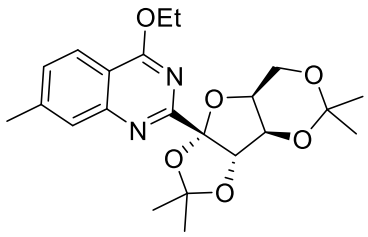
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 11.29 (s, 1H), 9.20 (d, *J* = 1.7 Hz, 1H), 8.07 (d, *J* = 1.7 Hz, 1H), 7.80 (dd, *J* = 7.3, 1.7 Hz, 2H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.40 (t, *J* = 7.3 Hz, 1H), 5.42 (s, 1H), 4.99 (dq, *J* = 10.8, 7.1 Hz, 1H), 4.86 – 4.78 (m, 2H), 4.41 (d, *J* = 2.5 Hz, 1H), 4.37 – 4.31 (m, 3H), 4.20 (dd, *J* = 13.5, 1.6 Hz, 1H), 4.17 – 4.12 (m, 3H), 1.61 (s, 3H), 1.60 (s, 3H), 1.59 – 1.56 (t, 6H), 1.44 (s, 3H), 1.41 (s, 3H), 1.39 (s, 3H), 1.22 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.58, 165.97, 160.31, 153.34, 146.48, 139.60, 135.10, 129.03, 128.59, 127.80, 122.10, 117.24, 114.97, 114.20, 112.58, 111.55, 106.02, 97.79, 97.57, 88.10, 87.43, 74.44, 74.18, 73.67, 72.38, 64.33, 60.24, 59.99, 29.08, 28.73, 27.37, 27.18, 26.68, 26.08, 19.07, 18.74, 14.58.

HRMS (ESI): m/z calculated for $C_{39}H_{47}N_3NaO_{12}^+$ $[M+Na]^+$, 772.3052; found, 772.3052.

4-ethoxy-7-methyl-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (6a)



Prepared from **SI-2-5** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **6a** (54.6 mg, 66% yield) as yellow oil.

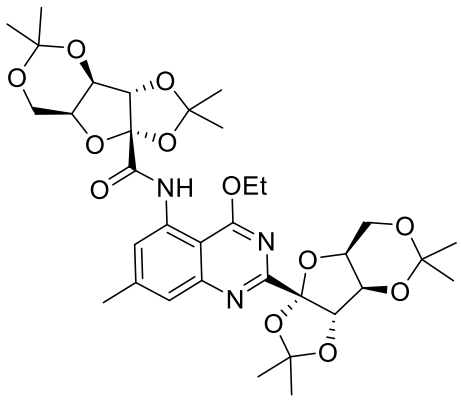
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.02 (d, *J* = 8.3 Hz, 1H), 7.82 (s, 1H), 7.34 (dd, *J* = 8.3, 1.6 Hz, 1H), 5.43 (s, 1H), 4.67 (qd, *J* = 7.1, 1.9 Hz, 2H), 4.38 (d, *J* = 2.5 Hz, 1H), 4.32 (q, *J* = 2.3 Hz, 1H), 4.22 – 4.09 (m, 2H), 2.51 (s, 3H), 1.57 (s, 3H), 1.48 (t, *J* = 7.1 Hz, 3H), 1.42 (s, 3H), 1.38 (s, 3H), 1.20 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.10, 160.48, 151.44, 144.25, 129.09, 127.70, 123.05, 114.47, 113.68, 112.41, 97.49, 87.35, 74.12, 73.47, 63.15, 60.18, 28.67, 27.18, 26.60, 22.14, 18.99, 14.42.

HRMS (ESI): m/z calculated for $C_{22}H_{29}N_2O_6^+$ $[M+H]^+$, 417.2020; found, 417.2018.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(4-ethoxy-7-methyl-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (6b)



Prepared from **SI-2-5** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **6b** (25.4 mg, 18% yield) as white solid.

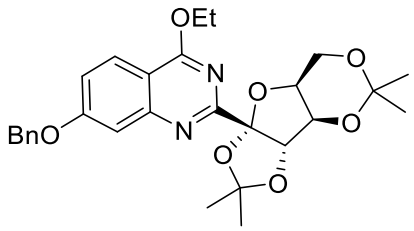
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 11.22 (s, 1H), 8.71 (d, *J* = 1.6 Hz, 1H), 7.61 (t, *J* = 1.3 Hz, 1H), 5.40 (s, 1H), 4.95 (dq, *J* = 10.8, 7.0 Hz, 1H), 4.82 (s, 1H), 4.78 (dd, *J* = 10.8, 7.1 Hz, 1H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.35 (d, *J* = 2.6 Hz, 1H), 4.32 (t, *J* = 2.3 Hz, 1H), 4.30 (q, *J* = 1.9 Hz, 1H), 4.19 – 4.17 (m, 1H), 4.15 – 4.13 (m, 2H), 4.12 – 4.09 (m, 1H), 2.51 (s, 3H), 1.73 (s, *J* = 2.7 Hz, 3H), 1.60 (s, 3H), 1.58 (s, 3H), 1.55 (t, *J* = 6.2 Hz, 3H), 1.43 (s, 3H), 1.40 (s, 3H), 1.36 (s, 3H), 1.21 (s, 3H), 1.12 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.43, 165.93, 159.95, 153.09, 145.08, 134.32, 124.07, 119.67, 114.93, 114.87, 114.20, 112.51, 111.50, 105.14, 97.77, 97.54, 88.13, 87.41, 74.40, 74.17, 73.61, 72.38, 64.13, 60.24, 59.96, 29.06, 28.72, 27.35, 26.65, 26.00, 22.51, 19.06, 18.74, 14.59.

HRMS (ESI): m/z calculated for $C_{34}H_{46}N_3O_{12}^+$ $[M+H]^+$, 688.3076; found, 688.3076.

7-(benzyloxy)-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (7a)



Prepared from **SI-2-11** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **7a** (72.2 mg, 71% yield) as yellow oil.

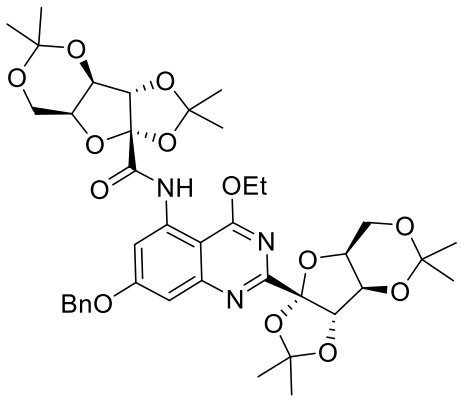
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.06 (d, *J* = 9.0 Hz, 1H), 7.49 – 7.45 (m, 3H), 7.42 – 7.38 (m, 2H), 7.36 – 7.32 (m, 1H), 7.21 (dd, *J* = 9.0, 2.4 Hz, 1H), 5.44 (s, 1H), 5.18 (s, 2H), 4.67 (qq, *J* = 7.5, 3.6 Hz, 2H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.32 (q, *J* = 2.3 Hz, 1H), 4.23 – 4.11 (m, 2H), 1.59 (s, 3H), 1.49 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.38 (s, 3H), 1.23 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.83, 162.90, 161.23, 153.77, 136.19, 128.79, 128.37, 127.85, 124.81, 119.77, 114.53, 112.42, 110.36, 108.31, 97.54, 87.35, 74.21, 73.54, 70.41, 63.13, 60.23, 28.72, 27.21, 26.69, 19.06, 14.51.

HRMS (ESI): m/z calculated for $C_{28}H_{33}N_2O_7^+$ $[M+H]^+$, 509.2282; found, 509.2282.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(7-(benzyloxy)-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (7b)



Prepared from **SI-2-11** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **7b** (14.0 mg, 9% yield) as white solid.

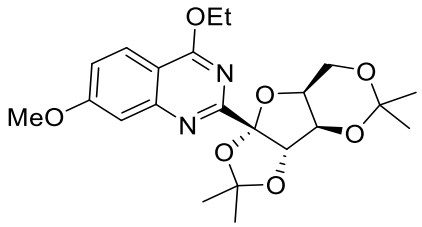
TLC: R*f* = 0.20 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 11.23 (s, 1H), 8.65 (d, *J* = 2.5 Hz, 1H), 7.47 – 7.44 (m, 2H), 7.40 – 7.36 (m, 2H), 7.34 – 7.30 (m, 1H), 7.27 (d, *J* = 2.5 Hz, 1H), 5.40 (s, 1H), 5.17 (s, 2H), 4.93 (dq, *J* = 10.7, 7.0 Hz, 1H), 4.80 (s, 1H), 4.79 – 4.73 (m, 1H), 4.39 (d, *J* = 2.6 Hz, 1H), 4.35 – 4.34 (m, 1H), 4.30 (dq, *J* = 8.8, 2.2 Hz, 2H), 4.19 – 4.16 (m, 1H), 4.15 – 4.14 (m, 2H), 4.11 (dd, *J* = 5.2, 2.6 Hz, 1H), 1.68 (s, 3H), 1.58 (s, 3H), 1.58 (s, 3H), 1.55 (s, 3H), 1.44 (s, 3H), 1.40 (s, 3H), 1.36 (s, 3H), 1.21 (s, 3H), 1.13 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 165.98, 163.02, 160.45, 155.08, 136.28, 135.83, 128.67, 128.19, 127.68, 114.96, 114.22, 112.45, 111.44, 109.87, 105.20, 102.24, 97.77, 97.54, 88.19, 88.15, 87.31, 74.41, 74.22, 73.79, 73.61, 72.61, 72.35, 70.29, 64.03, 60.23, 59.94, 29.07, 28.72, 27.34, 27.16, 26.70, 25.96, 19.08, 18.73, 14.62.

HRMS (ESI): m/z calculated for $C_{40}H_{49}N_3NaO_{13}^+$ $[M+Na]^+$, 802.3158; found, 802.3159.

4-ethoxy-7-methoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (8)



Prepared from **SI-2-6** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **8** (81.5 mg, 94% yield) as oil.

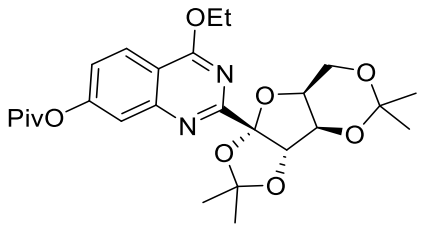
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.02 (d, *J* = 9.0 Hz, 1H), 7.39 (d, *J* = 2.6 Hz, 1H), 7.12 (dd, *J* = 9.0, 2.5 Hz, 1H), 5.42 (s, 1H), 4.66 (qt, *J* = 7.2, 3.8 Hz, 2H), 4.38 (d, *J* = 2.6 Hz, 1H), 4.31 (d, *J* = 2.7 Hz, 1H), 4.20 (d, *J* = 13.6 Hz, 1H), 4.12 (dd, *J* = 13.4, 2.8 Hz, 1H), 3.92 (s, 3H), 1.58 (s, 3H), 1.48 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.38 (s, 3H), 1.21 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.81, 163.82, 161.16, 153.75, 124.67, 119.42, 114.48, 112.43, 110.15, 107.19, 97.52, 87.35, 74.16, 73.50, 63.10, 60.20, 55.78, 28.69, 27.20, 26.66, 19.02, 14.48.

HRMS (ESI): m/z calculated for $C_{22}H_{29}N_2O_7^+$ $[M+H]^+$, 433.1969; found, 433.1969.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-7-yl pivalate (9)



Prepared from **SI-2-13** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl

acetate/Petroleum Ether = 1/5) afforded **9** (64.2 mg, 64% yield) as yellow oil.

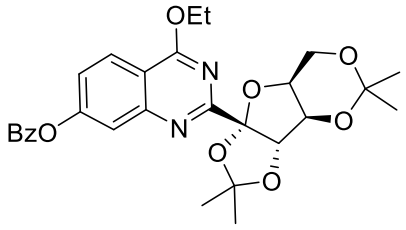
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.18 (d, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 2.3 Hz, 1H), 7.30 – 7.26 (m, 1H), 5.46 (s, 1H), 4.71 (q, *J* = 7.1 Hz, 2H), 4.40 (d, *J* = 2.5 Hz, 1H), 4.34 (q, *J* = 2.4 Hz, 1H), 4.22 – 4.12 (m, 2H), 1.59 (s, 3H), 1.51 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.39 (s, 9H), 1.38 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 176.70, 167.16, 161.32, 155.14, 152.35, 124.86, 122.34, 119.72, 114.37, 113.69, 112.51, 97.52, 87.30, 74.08, 73.69, 73.57, 63.51, 60.19, 39.34, 28.73, 27.18, 26.58, 18.98, 14.41.

HRMS (ESI): m/z calculated for $C_{26}H_{35}N_2O_8^+$ $[M+H]^+$, 503.2388; found, 503.2388.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-7-yl benzoate (10)



Prepared from **SI-2-12** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **10** (58.8 mg, 56% yield) as yellow oil.

TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

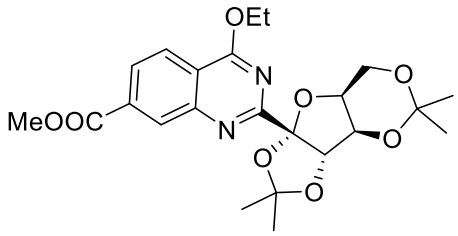
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.24 (dd, *J* = 8.3, 1.2 Hz, 3H), 7.90 (d, *J* = 2.2 Hz, 1H), 7.69 – 7.65 (m, 1H), 7.54 (t, *J* = 7.8 Hz, 2H), 7.44 (dd, *J* = 8.9, 2.3 Hz, 1H), 5.46 (s, 1H), 4.72 (q, *J* = 7.1 Hz, 2H), 4.40 (d, *J* = 2.5 Hz, 1H), 4.34 (q, *J* = 2.2 Hz, 1H), 4.22 – 4.11 (m, 2H), 1.59 (s, 3H), 1.52 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.39 (s, 3H),

1.23 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.21, 164.80, 161.46, 154.93, 152.52, 134.06, 130.46, 129.20, 128.82, 125.06, 122.46, 120.03, 114.41, 113.90, 112.56, 97.57, 87.37, 74.14, 73.61, 63.58, 60.23, 28.76, 27.20, 26.63, 19.03, 14.45.

HRMS (ESI): m/z calculated for $C_{28}H_{33}N_2O_8^+$ $[M+H]^+$, 523.2075; found, 523.2075.

methyl 4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline-7-carboxylate (11)



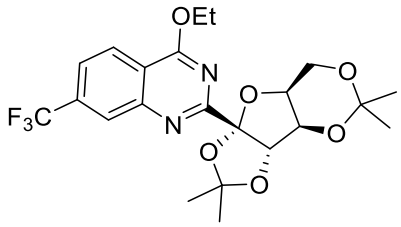
Prepared from **SI-2-9** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **11** (71.9 mg, 78% yield) as yellow oil.
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.73 (d, *J* = 1.5 Hz, 1H), 8.22 (d, *J* = 8.5 Hz, 1H), 8.14 (dd, *J* = 8.5, 1.6 Hz, 1H), 5.45 (s, 1H), 4.72 (q, *J* = 7.1 Hz, 2H), 4.40 (d, *J* = 2.5 Hz, 1H), 4.34 (td, *J* = 2.6, 1.6 Hz, 1H), 4.20 (dd, *J* = 13.0, 1.3 Hz, 1H), 4.14 (dd, *J* = 13.5, 2.7 Hz, 1H), 3.98 (s, 3H), 1.59 (s, 3H), 1.52 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.39 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.35, 166.47, 161.36, 150.78, 134.68, 130.73, 126.90, 123.90, 118.39, 114.32, 112.71, 97.56, 87.40, 74.04, 73.65, 63.85, 60.22, 52.77, 28.74, 27.21, 26.60, 18.98, 14.38.

HRMS (ESI): m/z calculated for $C_{23}H_{28}N_2NaO_8^+$ $[M+Na]^+$, 483.1738; found, 483.1737.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-7-(trifluoromethyl)quinazoline (12)



Prepared from **SI-2-7** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **12** (68.0 mg, 72% yield) as yellow oil.
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

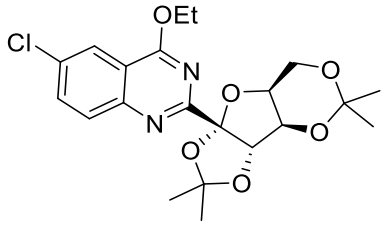
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.34 (s, 1H), 8.27 (d, *J* = 8.5 Hz, 1H), 7.71 (dd, *J* = 8.5, 1.8 Hz, 1H), 5.45 (s, 1H), 4.73 (q, *J* = 7.1 Hz, 2H), 4.40 (d, *J* = 2.4 Hz, 1H), 4.33 (d, *J* = 2.3 Hz, 1H), 4.21 – 4.10 (m, 2H), 1.58 (s, 3H), 1.51 (t, *J* = 7.1 Hz, 3H), 1.42 (s, 3H), 1.37 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.24, 161.96, 150.68, 135.08 (q, *J* = 32.8 Hz), 126.25 (q, *J* = 4.3 Hz), 123.63 (q, *J* = 273.1 Hz), 122.92 (q, *J* = 3.2 Hz), 117.62, 114.26, 112.69, 97.51, 87.33, 77.42, 77.16, 76.91, 74.02, 73.69, 63.99, 60.18, 28.71, 27.15, 26.57, 18.94, 14.31.

^{19}F NMR (471 MHz, $CDCl_3$): δ -63.17.

HRMS (ESI): m/z calculated for $C_{22}H_{26}F_3N_2O_6^+$ $[M+H]^+$, 471.1737; found, 471.1736.

6-chloro-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (13)



Prepared from **SI-2-14** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **13** (45.3 mg, 52% yield) as yellow oil.

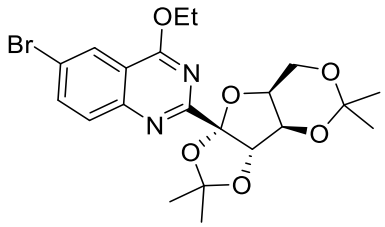
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.12 (d, *J* = 2.4 Hz, 1H), 7.98 (d, *J* = 8.9 Hz, 1H), 7.73 (dd, *J* = 8.9, 2.4 Hz, 1H), 5.41 (s, 1H), 4.69 (q, *J* = 7.1 Hz, 2H), 4.39 (d, *J* = 2.6 Hz, 1H), 4.32 (d, *J* = 2.3 Hz, 1H), 4.22 – 4.10 (m, 2H), 1.58 (s, 3H), 1.50 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.37 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.50, 160.80, 149.69, 134.35, 132.76, 130.24, 122.65, 116.57, 114.32, 112.63, 97.51, 87.38, 74.05, 73.61, 63.74, 60.19, 28.70, 27.18, 26.61, 18.98, 14.38.

HRMS (ESI): m/z calculated for $C_{21}H_{26}ClN_2O_6^+$ $[M+H]^+$, 437.1474; found, 437.1474.

6-bromo-4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (14)



Prepared from **SI-2-15** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **14** (60.3 mg, 63% yield) as oil.

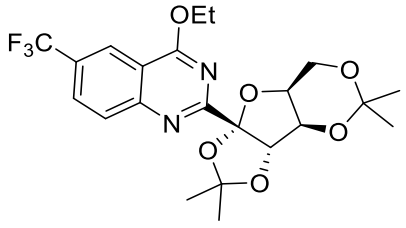
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.30 (d, *J* = 2.4 Hz, 1H), 7.92 (d, *J* = 8.8 Hz, 1H), 7.86 (dd, *J* = 9.1, 2.2 Hz, 1H), 5.40 (s, 1H), 4.69 (q, *J* = 7.1 Hz, 2H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.32 (d, *J* = 2.3 Hz, 1H), 4.22 – 4.08 (m, 2H), 1.58 (s, 3H), 1.51 (t, *J* = 7.0 Hz, 3H), 1.43 (s, 3H), 1.37 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.35, 160.88, 149.93, 136.96, 130.36, 125.96, 120.69, 117.02, 114.33, 112.65, 97.52, 87.39, 74.04, 73.63, 63.77, 60.19, 28.70, 27.19, 26.61, 18.99, 14.38.

HRMS (ESI): m/z calculated for $C_{21}H_{26}BrN_2O_6^+$ $[M+H]^+$, 481.0969; found, 481.0969.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-6-(trifluoromethyl)quinazoline (15)



Prepared from **SI-2-17** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv)

according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **15** (68.1 mg, 72% yield) as yellow oil.

TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

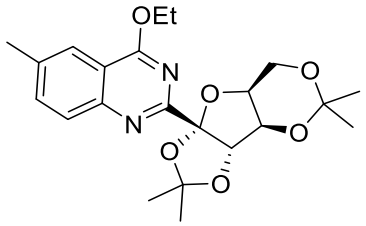
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.46 (d, *J* = 2.1 Hz, 1H), 8.15 (d, *J* = 8.8 Hz, 1H), 7.97 (dd, *J* = 8.8, 2.2 Hz, 1H), 5.43 (s, 1H), 4.73 (q, *J* = 7.1 Hz, 2H), 4.40 (d, *J* = 2.5 Hz, 1H), 4.33 (q, *J* = 2.2 Hz, 1H), 4.21 – 4.10 (m, 2H), 1.58 (s, 3H), 1.53 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.38 (s, 3H), 1.18 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.68, 162.61, 152.73, 129.72, 129.35(q, *J* = 3.1 Hz), 128.93 (q, J = 33.0 Hz), 123.76 (q, J = 272.3 Hz), 121.71(q, J = 4.4 Hz), 115.25, 114.25, 112.78, 97.51, 87.42, 77.41, 77.16, 76.90, 73.97, 73.69, 64.06, 60.17, 28.70, 27.17, 26.58, 18.95, 14.34.

^{19}F NMR (471 MHz, $CDCl_3$): δ -62.35.

HRMS (ESI): m/z calculated for $C_{22}H_{26}F_3N_2O_6^+$ $[M+H]^+$, 471.1737; found, 471.1735.

4-ethoxy-6-methyl-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (16)



Prepared from **SI-2-16** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **16** (72.5 mg, 87% yield) as oil.

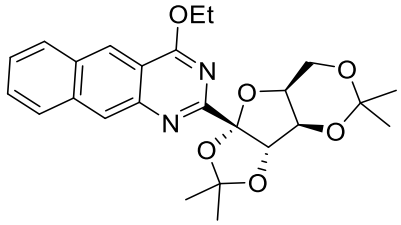
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 – 7.89 (m, 2H), 7.61 (dd, *J* = 8.7, 2.0 Hz, 1H), 5.42 (s, 1H), 4.68 (qd, *J* = 7.1, 2.2 Hz, 2H), 4.38 (d, *J* = 2.5 Hz, 1H), 4.32 (d, *J* = 2.2 Hz, 1H), 4.24 – 4.10 (m, 2H), 2.51 (s, 3H), 1.58 (s, 3H), 1.50 (t, *J* = 7.1 Hz, 3H), 1.42 (s, 3H), 1.38 (s, 3H), 1.20 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.77, 159.59, 149.60, 137.23, 135.43, 128.26, 122.25, 115.68, 114.48, 112.42, 97.50, 87.37, 74.14, 73.49, 63.19, 60.20, 28.66, 27.20, 26.62, 21.74, 19.01, 14.44.

HRMS (ESI): m/z calculated for $C_{22}H_{29}N_2O_6^+$ $[M+H]^+$, 417.2020; found, 417.2020.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)benzo[g]quinazoline (17)



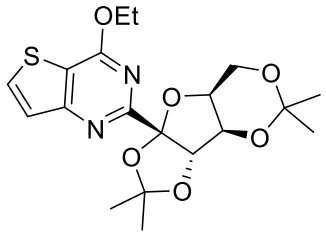
Prepared from **SI-2-21** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **17** (86.8 mg, 96% yield) as white solid.
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.75 (s, 1H), 8.59 (s, 1H), 8.02 (t, *J* = 8.5 Hz, 2H), 7.56 (ddd, *J* = 8.2, 6.5, 1.4 Hz, 1H), 7.50 (ddd, *J* = 8.0, 6.6, 1.4 Hz, 1H), 5.51 (s, 1H), 4.78 (q, *J* = 7.1 Hz, 2H), 4.42 (d, *J* = 2.5 Hz, 1H), 4.37 (d, *J* = 2.2 Hz, 1H), 4.23 (dd, *J* = 13.5, 1.6 Hz, 1H), 4.15 (dd, *J* = 13.6, 2.8 Hz, 1H), 1.61 (s, 3H), 1.57 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.42 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 168.06, 159.54, 145.96, 136.30, 131.96, 129.11, 128.40, 127.82, 126.39, 126.29, 123.84, 115.08, 114.56, 112.46, 97.51, 87.26, 74.14, 73.58, 63.56, 60.22, 28.66, 27.21, 26.61, 19.02, 14.43.

HRMS (ESI): m/z calculated for $C_{25}H_{29}N_2O_6^+$ $[M+H]^+$, 453.2020; found, 453.2020.

4-ethoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)thieno[3,2-*d*]pyrimidine (18)



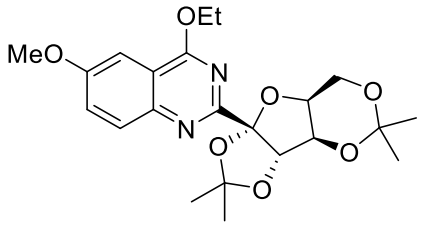
Prepared from **SI-2-22** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **18** (53.6 mg, 66% yield) as yellow oil.
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.83 (d, *J* = 5.4 Hz, 1H), 7.58 (d, *J* = 5.3 Hz, 1H), 5.39 (s, 1H), 4.69 (qt, *J* = 7.1, 3.7 Hz, 2H), 4.39 (d, *J* = 2.7 Hz, 1H), 4.34 – 4.31 (m, 1H), 4.18 (d, *J* = 4.6 Hz, 1H), 4.11 – 4.09 (m, 1H), 1.58 (s, 3H), 1.48 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.37 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ 159.11, 155.10, 132.45, 131.29, 130.65, 128.06, 116.95, 112.62, 97.52, 82.74, 77.48, 77.16, 76.84, 72.89, 72.21, 63.49, 60.42, 29.03, 28.58, 26.62, 18.74, 14.15.

HRMS (ESI): m/z calculated for $C_{19}H_{24}N_2NaO_6S^+$ $[M+Na]^+$, 370.1261; found, 431.1247.

4-ethoxy-6-methoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (19a)



Prepared from **SI-2-18** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **19a** (45.3 mg, 52% yield) as yellow oil.

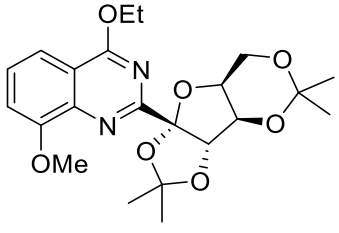
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.98 (d, *J* = 9.1 Hz, 1H), 7.43 (dd, *J* = 9.1, 2.9 Hz, 1H), 7.39 (d, *J* = 2.9 Hz, 1H), 5.41 (s, 1H), 4.70 (qd, *J* = 7.1, 1.4 Hz, 2H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.33 (q, *J* = 2.3 Hz, 1H), 4.20 (dd, *J* = 13.4, 1.6 Hz, 1H), 4.12 (dd, *J* = 5.0, 2.8 Hz, 1H), 3.94 (s, 3H), 1.58 (s, 3H), 1.52 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.38 (s, 3H), 1.21 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 175.36, 166.33, 158.42, 146.77, 130.02, 125.56, 116.50, 114.47, 112.44, 101.34, 97.54, 87.43, 77.42, 77.16, 76.91, 74.20, 73.57, 63.32, 60.22, 55.86, 28.70, 27.21, 26.64, 19.06, 14.53.

HRMS (ESI): m/z calculated for $C_{22}H_{29}N_2O_7^+$ $[M+H]^+$, 433.1969; found, 433.1969.

4-ethoxy-8-methoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (19b)



Prepared from **SI-2-18** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **19b** (40.5 mg, 47% yield) as yellow oil.

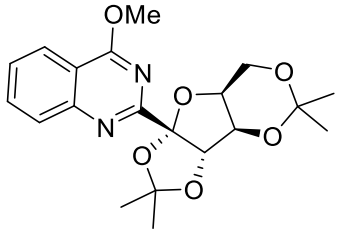
TLC: R*f* = 0.10 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.82 (dd, *J* = 8.3, 1.1 Hz, 1H), 7.59 (t, *J* = 8.1 Hz, 1H), 7.35 – 7.31 (m, 1H), 5.11 (s, 1H), 4.75 – 4.62 (m, 2H), 4.40 – 4.34 (m, 2H), 4.17 (d, *J* = 14.0 Hz, 1H), 4.03 (dd, *J* = 14.0, 1.9 Hz, 1H), 3.92 (t, *J* = 1.6 Hz, 3H), 1.63 (s, 3H), 1.59 (s, 3H), 1.55 (t, *J* = 7.1 Hz, 3H), 1.35 (s, 3H), 1.07 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.71, 160.30, 153.60, 141.59, 128.41, 116.55, 115.81, 114.56, 113.95, 113.33, 97.98, 88.34, 73.93, 72.99, 64.08, 59.87, 56.80, 29.07, 27.59, 26.80, 18.62, 14.42.

HRMS (ESI): m/z calculated for $C_{22}H_{28}N_2NaO_7^+$ $[M+Na]^+$, 455.1789; found, 455.1788.

4-methoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (20a)



Prepared from **SI-2-19** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **20a** (65.1 mg, 84% yield) as yellow oil.

TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

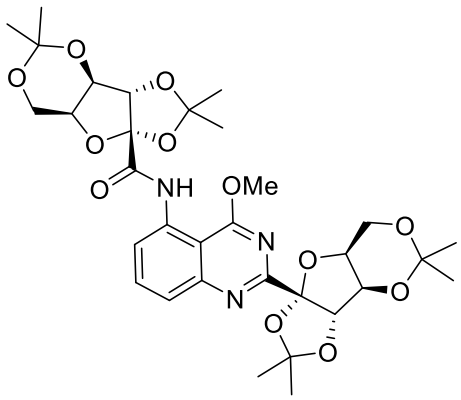
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.14 (dd, *J* = 8.2, 1.5 Hz, 1H), 8.06 (d, *J* = 8.4 Hz,

1H), 7.81 (ddd, *J* = 8.4, 6.7, 1.6 Hz, 1H), 7.55 (t, *J* = 7.6 Hz, 1H), 5.46 (s, 1H), 4.40 (d, *J* = 2.5 Hz, 1H), 4.34 (q, *J* = 2.3 Hz, 1H), 4.23 (s, 3H), 4.20 (s, 1H), 4.16 – 4.10 (m, 1H), 1.59 (s, 3H), 1.44 (s, 3H), 1.40 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.69, 160.38, 151.12, 133.58, 128.57, 127.29, 123.35, 115.85, 114.45, 112.61, 97.56, 87.45, 74.13, 73.58, 60.22, 54.65, 28.73, 27.24, 26.63, 19.02.

HRMS (ESI): m/z calculated for $C_{20}H_{25}N_2O_6^+$ $[M+H]^+$, 389.1707; found, 389.1707.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(4-methoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (20b)



Prepared from **SI-2-19** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **20b** (15.2 mg, 12% yield) as white solid.
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/2)

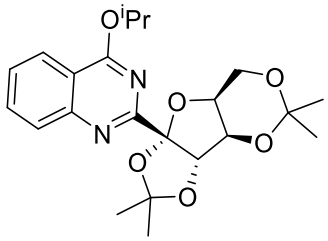
^{1}H NMR (500 MHz, $CDCl_3$): δ 11.39 (s, 1H), 8.93 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.82 – 7.77 (m, 2H), 5.42 (s, 1H), 4.77 (s, 1H), 4.40 (d, *J* = 2.4 Hz, 1H), 4.36 (d, *J* = 2.2 Hz, 1H), 4.34 (t, *J* = 2.2 Hz, 1H), 4.31 (s, 4H), 4.21 – 4.19 (m, 2H), 4.18 (d, *J* = 1.6 Hz, 1H), 4.13 (dd, *J* = 13.6, 2.7 Hz, 1H), 1.64 (s, 3H), 1.60 (s, 3H), 1.59 (s, 3H), 1.44 (s,

3H), 1.41 (s, 3H), 1.38 (s, 3H), 1.21 (s, 3H), 1.08 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.07, 166.04, 159.86, 152.78, 134.08, 127.49, 124.47, 117.78, 115.19, 114.16, 112.66, 111.27, 106.84, 97.79, 97.53, 88.41, 87.49, 74.33, 74.13, 73.68, 72.31, 60.23, 59.87, 55.08, 29.06, 28.74, 27.36, 27.20, 26.62, 25.95, 19.05, 18.69.

HRMS (ESI): m/z calculated for $C_{32}H_{41}N_3NaO_{12}^+$ $[M+Na]^+$, 682.2582; found, 682.2581.

4-isopropoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazoline (21a)



Prepared from **SI-2-20** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **21a** (45.7 mg, 55% yield) as yellow oil.
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

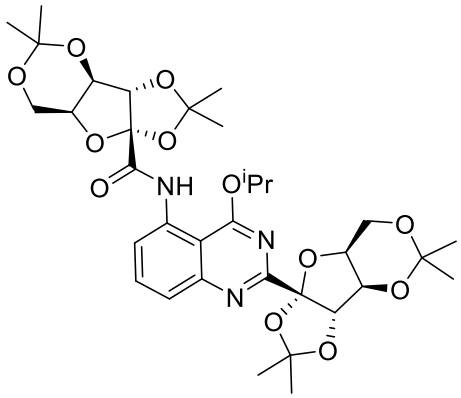
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.2 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.78 (t, *J* = 7.8 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 5.72 (h, *J* = 6.2 Hz, 1H), 5.42 (s, 1H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.33 (d, *J* = 2.9 Hz, 1H), 4.22 – 4.10 (m, 2H), 1.59 (s, 3H), 1.48 (d, *J* = 2.4 Hz, 3H), 1.46 (d, *J* = 2.5 Hz, 3H), 1.43 (s, 3H), 1.38 (s, 3H), 1.21 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.77, 160.54, 151.45, 133.40, 128.58, 126.96, 123.48, 116.15, 114.50, 112.44, 97.54, 87.44, 74.22, 73.59, 70.41, 60.24, 28.65,

27.20, 26.71, 22.02, 21.91, 19.07.

HRMS (ESI): m/z calculated for $C_{22}H_{29}N_2O_6^+$ $[M+H]^+$, 417.2020; found, 417.2019.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(4-isopropoxy-2-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)quinazolin-5-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (21b)



Prepared from **SI-2-20** (0.2 mmol, 1.0 equiv) and **SI-1-1** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **21b** (23.2 mg, 17% yield) as white solid.
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

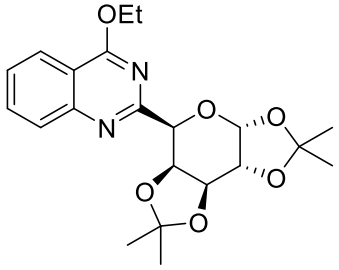
^{1}H NMR (500 MHz, $CDCl_3$): δ 10.86 (s, 1H), 8.66 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.81 (dd, *J* = 8.4, 1.3 Hz, 1H), 7.75 (t, *J* = 8.1 Hz, 1H), 5.87 (p, *J* = 6.3 Hz, 1H), 5.40 (s, 1H), 4.91 (s, 1H), 4.39 (d, *J* = 2.5 Hz, 1H), 4.35 (d, *J* = 2.3 Hz, 1H), 4.33 (q, *J* = 2.4 Hz, 1H), 4.30 (q, *J* = 2.0 Hz, 1H), 4.18 (dd, *J* = 13.5, 1.6 Hz, 1H), 4.15 – 4.09 (m, 3H), 1.72 (s, 3H), 1.59 (s, 3H), 1.57 (t, *J* = 2.6 Hz, 6H), 1.54 (s, 3H), 1.43 (s, 3H), 1.41 (s, 3H), 1.36 (s, 3H), 1.21 (s, 3H), 1.17 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.39, 165.67, 159.90, 153.12, 134.61, 133.78, 124.65, 118.71, 114.59, 114.21, 112.52, 111.88, 107.59, 97.76, 97.56, 87.65, 87.37, 74.58, 74.20, 73.67, 72.55, 72.26, 60.24, 59.93, 28.96, 28.69, 27.32, 27.16, 26.70,

25.98, 22.08, 21.84, 19.08, 18.84.

HRMS (ESI): m/z calculated for $C_{34}H_{45}N_3NaO_{12}^+$ $[M+Na]^+$, 710.2895; found, 710.2895.

4-ethoxy-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)quinazoline (22a)



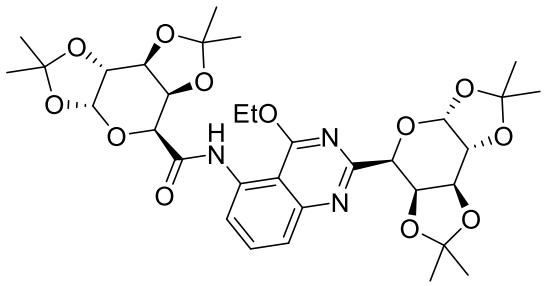
Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-9** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **22a** (38.5 mg, 48% yield) as yellow oil.
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.14 – 8.11 (m, 1H), 8.07 (dt, *J* = 8.4, 0.9 Hz, 1H), 7.77 (ddd, *J* = 8.5, 7.0, 1.5 Hz, 1H), 7.49 (ddd, *J* = 8.1, 6.9, 1.2 Hz, 1H), 5.92 (d, *J* = 5.1 Hz, 1H), 5.08 (d, *J* = 2.1 Hz, 1H), 4.97 (dd, *J* = 7.8, 2.2 Hz, 1H), 4.77 (dd, *J* = 7.8, 2.4 Hz, 1H), 4.68 – 4.57 (m, 2H), 4.46 (dd, *J* = 5.1, 2.4 Hz, 1H), 1.58 (s, 3H), 1.49 (t, *J* = 7.1 Hz, 3H), 1.43 (s, 3H), 1.39 (s, 3H), 1.29 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.55, 161.39, 151.35, 133.31, 128.24, 126.52, 123.48, 115.81, 109.61, 108.81, 97.24, 73.70, 71.23, 70.91, 70.89, 63.04, 26.29, 25.96, 25.08, 24.56, 14.42.

HRMS (ESI): m/z calculated for $C_{21}H_{26}N_2NaO_6^+$ $[M+Na]^+$, 425.1683; found, 425.1684.

5*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-5-yl)quinazolin-5-yl)-2,2,7,7-tetramethyltetrahydro-5*H*-bis([1,3]dioxolo)[4,5-*b*:4',5'-*d*]pyran-5-carboxamide (22b)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-9** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **22b** (25.9 mg, 19% yield) as white solid.

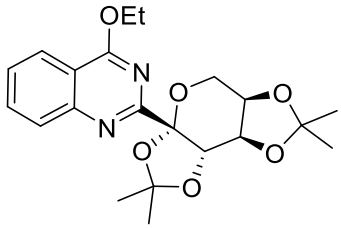
TLC: R*f* = 0.20 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 11.01 (s, 1H), 8.91 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.82 (dd, *J* = 8.4, 1.1 Hz, 1H), 7.74 (t, *J* = 8.2 Hz, 1H), 5.91 (d, *J* = 5.0 Hz, 1H), 5.70 (d, *J* = 4.9 Hz, 1H), 5.05 (d, *J* = 2.2 Hz, 1H), 4.93 (dd, *J* = 7.7, 2.2 Hz, 1H), 4.81 (dd, *J* = 7.8, 2.1 Hz, 1H), 4.78 – 4.71 (m, 4H), 4.49 (d, *J* = 2.1 Hz, 1H), 4.46 (dd, *J* = 5.1, 2.4 Hz, 1H), 4.44 (dd, *J* = 4.9, 2.5 Hz, 1H), 1.64 (s, 3H), 1.58 (t, *J* = 2.3 Hz, 3H), 1.55 (s, 3H), 1.42 (s, 3H), 1.41 (s, 3H), 1.39 (s, 3H), 1.37 (s, 3H), 1.35 (s, 3H), 1.28 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.42, 165.96, 160.62, 152.89, 134.96, 134.03, 123.88, 117.30, 109.79, 109.71, 109.65, 108.88, 106.56, 97.20, 96.59, 73.65, 72.11, 71.19, 71.03, 70.83, 70.65, 70.63, 69.59, 64.21, 26.29, 26.17, 25.95, 25.06, 25.02, 24.60, 24.33, 14.64.

HRMS (ESI): m/z calculated for $C_{33}H_{44}N_3O_{12}^+$ $[M+H]^+$, 674.2920; found, 674.2923.

4-ethoxy-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)quinazoline (23)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-5** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **23** (67.7 mg, 84% yield) as yellow oil.

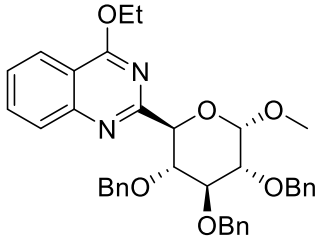
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.16 (dd, *J* = 8.2, 1.5 Hz, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.80 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.54 (ddd, *J* = 8.1, 6.8, 1.2 Hz, 1H), 5.35 (d, *J* = 2.5 Hz, 1H), 4.72 (dd, *J* = 8.0, 2.6 Hz, 1H), 4.67 (qq, *J* = 7.3, 3.5 Hz, 2H), 4.35 (dt, *J* = 7.9, 1.3 Hz, 1H), 4.16 (dd, *J* = 13.0, 2.0 Hz, 1H), 4.08 (dd, *J* = 12.8, 1.0 Hz, 1H), 1.63 (s, 3H), 1.58 (s, 3H), 1.51 (t, *J* = 7.1 Hz, 3H), 1.30 (s, 3H), 1.28 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.16, 161.32, 151.32, 133.52, 128.68, 127.22, 123.42, 115.69, 109.53, 109.45, 102.97, 73.41, 71.00, 70.93, 63.34, 61.77, 26.61, 25.94, 25.75, 24.66, 14.51.

HRMS (ESI): m/z calculated for $C_{21}H_{26}N_2NaO_6^+$ $[M+Na]^+$, 425.1683; found, 425.1682.

4-ethoxy-2-((2*R*,3*R*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2*H*-pyran-2-yl)quinazoline (24a)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-7** (0.3 mmol, 1.5 equiv)

according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **24a** (75.6 mg, 62% yield) as yellow oil.

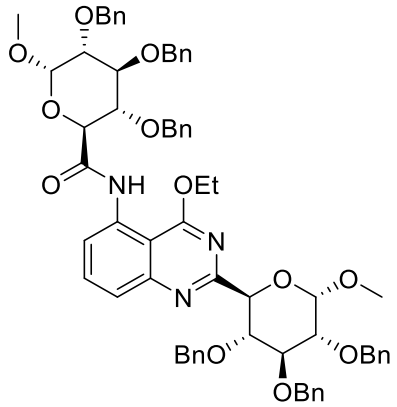
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.12 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.96 (d, *J* = 8.4 Hz, 1H), 7.81 (ddd, *J* = 8.5, 7.0, 1.5 Hz, 1H), 7.54 (td, *J* = 7.5, 6.8, 1.1 Hz, 1H), 7.43 – 7.37 (m, 4H), 7.36 – 7.32 (m, 4H), 7.32 – 7.28 (m, 2H), 7.01 – 6.96 (m, 1H), 6.91 (t, *J* = 7.5 Hz, 2H), 6.80 – 6.76 (m, 2H), 5.02 (d, *J* = 10.8 Hz, 1H), 4.92 – 4.83 (m, 3H), 4.78 – 4.72 (m, 2H), 4.64 (d, *J* = 11.4 Hz, 1H), 4.57 – 4.44 (m, 2H), 4.26 – 4.12 (m, 3H), 3.78 (dd, *J* = 9.3, 3.6 Hz, 1H), 3.49 (s, 3H), 1.45 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.13, 161.90, 151.25, 138.95, 138.38, 138.28, 133.51, 128.60, 128.53, 128.29, 128.26, 128.05, 127.90, 127.75, 127.69, 127.27, 127.08, 123.57, 115.93, 98.90, 82.30, 81.04, 79.91, 76.10, 75.10, 74.62, 73.62, 63.14, 55.63, 14.41.

HRMS (ESI): m/z calculated for $C_{37}H_{39}N_2O_6^+$ $[M+H]^+$, 607.2803; found, 607.2803.

(2*S*,3*S*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-*N*-(4-ethoxy-2-((2*R*,3*R*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2*H*-pyran-2-yl)quinazolin-5-yl)-6-methoxytetrahydro-2*H*-pyran-2-carboxamide (24b)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-7** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl

acetate/Petroleum Ether = 1/5) afforded **24b** (52.7 mg, 24% yield) as yellow oil.

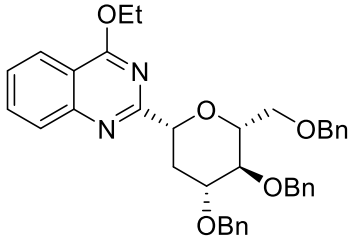
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 10.46 (s, 1H), 8.82 (d, *J* = 7.9 Hz, 1H), 7.79 (t, *J* = 8.2 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.41 – 7.30 (m, 20H), 7.16 (dd, *J* = 6.7, 2.8 Hz, 2H), 7.02 (dd, *J* = 5.1, 2.0 Hz, 3H), 6.96 (t, *J* = 7.2 Hz, 1H), 6.91 (dd, *J* = 8.3, 6.6 Hz, 2H), 6.80 (d, *J* = 7.1 Hz, 2H), 5.00 (dd, *J* = 19.0, 10.8 Hz, 2H), 4.92 – 4.84 (m, 4H), 4.80 – 4.72 (m, 4H), 4.69 – 4.62 (m, 3H), 4.59 (d, *J* = 10.4 Hz, 1H), 4.40 (dq, *J* = 10.7, 7.1 Hz, 1H), 4.27 – 4.16 (m, 4H), 4.08 (dt, *J* = 21.6, 9.4 Hz, 2H), 3.76 (dd, *J* = 9.5, 3.6 Hz, 1H), 3.64 (t, *J* = 9.4 Hz, 1H), 3.58 (dd, *J* = 9.6, 3.7 Hz, 1H), 3.50 (s, 3H), 3.44 (s, 3H), 1.28 – 1.25 (t, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.27, 166.10, 161.20, 152.76, 138.90, 138.62, 138.36, 138.28, 138.09, 137.54, 134.95, 134.26, 128.74, 128.64, 128.61, 128.57, 128.45, 128.34, 128.29, 128.27, 128.13, 128.10, 127.95, 127.91, 127.82, 127.70, 127.28, 123.66, 117.67, 106.54, 98.99, 98.95, 82.27, 81.84, 80.95, 80.42, 79.89, 79.12, 76.22, 76.13, 75.60, 74.67, 74.59, 73.88, 73.64, 72.23, 64.11, 56.09, 55.61, 14.34.

HRMS (ESI): m/z calculated for $C_{65}H_{68}N_3O_{12}^+$ $[M+H]^+$, 1082.4798; found, 1082.4797.

2-((2*R*,4*R*,5*S*,6*R*)-4,5-bis(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2*H*-pyran-2-yl)-4-ethoxyquinazoline (25a)



Prepared from **SI-2-1** (0.1 mmol, 1.0 equiv) and **SI-1-6** (0.15 mmol, 1.5 equiv)

according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **25a** (43.0 mg, 73% yield) as yellow oil.

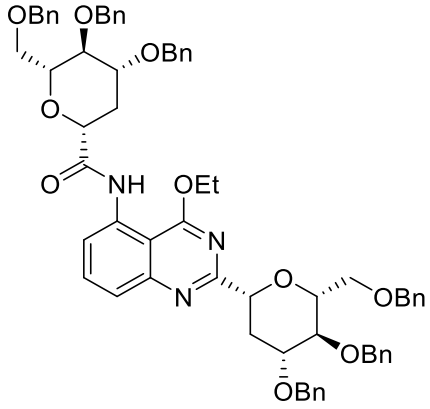
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.15 (d, *J* = 8.2 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.80 (t, *J* = 7.7 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 1H), 7.38 (d, *J* = 7.6 Hz, 4H), 7.35 – 7.27 (m, 9H), 7.25 – 7.22 (m, 2H), 4.96 (d, *J* = 10.7 Hz, 1H), 4.76 (d, *J* = 12.2 Hz, 1H), 4.70 (d, *J* = 6.2 Hz, 1H), 4.68 – 4.63 (m, 4H), 4.63 – 4.56 (m, 2H), 3.94 – 3.84 (m, 3H), 3.76 (t, *J* = 9.1 Hz, 1H), 3.68 (ddd, *J* = 9.7, 4.2, 2.0 Hz, 1H), 2.57 (ddd, *J* = 12.9, 5.0, 2.0 Hz, 1H), 2.11 (q, *J* = 11.9 Hz, 1H), 1.49 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 167.33, 163.35, 151.19, 138.74, 138.64, 138.48, 133.63, 128.54, 128.50, 128.45, 128.24, 128.12, 127.81, 127.73, 127.67, 126.95, 123.58, 115.83, 81.39, 79.73, 79.44, 78.32, 75.30, 73.61, 71.59, 69.41, 63.28, 36.00, 14.49.

HRMS (ESI): m/z calculated for $C_{37}H_{38}N_2NaO_5^+$ $[M+Na]^+$, 613.2673; found, 613.2673.

(2*R*,4*R*,5*S*,6*R*)-4,5-bis(benzyloxy)-6-((benzyloxy)methyl)-*N*-(2-((2*R*,4*R*,5*S*,6*R*)-4,5-bis(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2*H*-pyran-2-yl)-4-ethoxyquinazolin-5-yl)tetrahydro-2*H*-pyran-2-carboxamide (25b)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-6** (0.3 mmol, 1.5 equiv)

according to the general procedure **2**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/5) afforded **25b** (29.2 mg, 14% yield) as yellow oil.

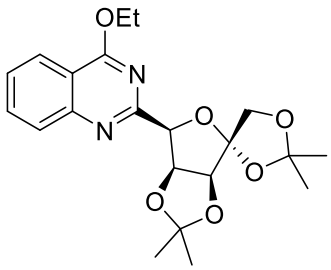
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (400 MHz, $CDCl_3$): δ 10.70 (s, 1H), 8.68 (d, *J* = 7.9 Hz, 1H), 7.76 (d, *J* = 8.2 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 7H), 7.33 (d, *J* = 2.9 Hz, 5H), 7.32 – 7.29 (m, 11H), 7.28 (s, 5H), 7.22 – 7.19 (m, 2H), 4.97 (dd, *J* = 10.8, 7.5 Hz, 2H), 4.79 – 4.72 (m, 3H), 4.70 (s, 2H), 4.66 (d, *J* = 8.3 Hz, 2H), 4.64 – 4.61 (m, 2H), 4.60 (t, *J* = 4.1 Hz, 2H), 4.55 (dd, *J* = 8.9, 3.6 Hz, 3H), 4.12 – 4.06 (m, 1H), 3.87 (dd, *J* = 11.3, 7.4 Hz, 3H), 3.83 – 3.78 (m, 2H), 3.75 (t, *J* = 9.1 Hz, 2H), 3.60 (d, J = 5.0 Hz, 2H), 2.86 – 2.78 (m, 1H), 2.52 (dd, *J* = 12.3, 4.7 Hz, 1H), 2.12 – 2.04 (m, 1H), 1.71 (d, *J* = 12.2 Hz, 1H), 1.33 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 172.71, 170.17, 155.53, 141.51, 135.25, 128.65, 128.63, 128.58, 128.53, 128.45, 128.25, 128.11, 128.05, 127.99, 127.97, 127.95, 127.84, 127.65, 80.84, 79.90, 79.36, 79.07, 75.33, 73.65, 73.49, 71.72, 71.47, 69.33, 63.88, 35.88, 28.91, 14.83.

HRMS (ESI): m/z calculated for $C_{65}H_{67}N_3NaO_{10}^+$ $[M+Na]^+$, 1072.4719; found, 1072.4718.

4-ethoxy-2-((3a*S*,4*R*,6*R*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)quinazoline (26a)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-8** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl

acetate/Petroleum Ether = 1/5) afforded **26a** (50.3 mg, 62% yield) as white solid.

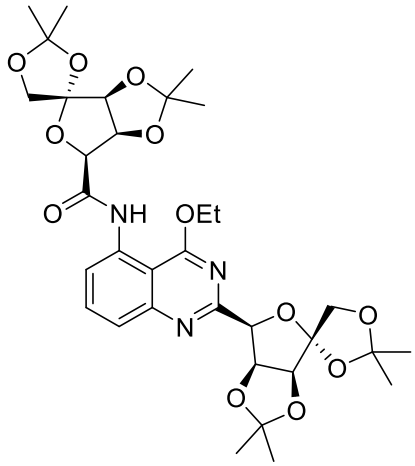
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.1 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.80 – 7.75 (m, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 5.28 (d, *J* = 2.8 Hz, 2H), 4.71 (p, *J* = 2.6 Hz, 1H), 4.64 (dddd, *J* = 17.7, 10.6, 7.0, 3.5 Hz, 2H), 4.42 (d, *J* = 2.1 Hz, 2H), 1.52 (s, 3H), 1.50 (t, *J* = 7.1 Hz, 3H), 1.44 (s, 3H), 1.33 (s, 3H), 1.24 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.56, 160.43, 151.24, 133.26, 128.07, 126.56, 123.57, 115.87, 113.39, 112.41, 111.95, 85.12, 82.64, 82.41, 69.30, 63.03, 26.72, 26.19, 25.84, 14.48.

HRMS (ESI): m/z calculated for $C_{21}H_{26}N_2NaO_6^+$ $[M+Na]^+$, 425.1683; found, 425.1682.

(3a*S*,4*R*,6*S*,6a*R*)-*N*-(4-ethoxy-2-((3a*S*,4*R*,6*R*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)quinazolin-5-yl)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolane]-6-carboxamide (26b)



Prepared from **SI-2-1** (0.2 mmol, 1.0 equiv) and **SI-1-8** (0.3 mmol, 1.5 equiv) according to the general procedure **2**. Purification by flash column (Ethyl

acetate/Petroleum Ether = 1/5) afforded **26b** (10.1 mg, 7% yield) as white solid.

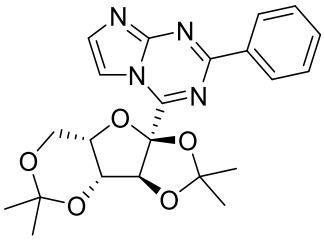
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/2)

^{1}H NMR (500 MHz, $CDCl_3$): δ 10.82 (s, 1H), 8.86 – 8.83 (m, 1H), 7.77 – 7.73 (m, 2H), 5.66 (s, 1H), 5.25 (dt, *J* = 7.8, 4.4 Hz, 2H), 5.20 (dd, *J* = 5.7, 4.1 Hz, 1H), 4.96 (dq, *J* = 10.8, 7.0 Hz, 1H), 4.80 – 4.74 (m, 1H), 4.71 – 4.68 (m, 2H), 4.43 – 4.38 (m, 2H), 4.36 (d, *J* = 9.9 Hz, 1H), 4.23 (d, *J* = 8.6 Hz, 1H), 1.53 (s, 3H), 1.52 (s, 3H), 1.45 – 1.44 (m, 6H), 1.34 (s, 3H), 1.33 (s, 3H), 1.31 (s, 3H), 1.25 (s, 6H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 166.04, 159.68, 134.67, 134.05, 123.79, 117.59, 113.44, 113.38, 112.85, 112.54, 112.42, 112.02, 109.26, 106.77, 85.14, 84.35, 84.15, 82.53, 82.00, 81.00, 80.39, 78.67, 69.75, 69.31, 63.98, 29.84, 27.08, 26.68, 26.36, 26.16, 25.71, 25.07, 24.62, 14.78.

HRMS (ESI): m/z calculated for $C_{33}H_{43}N_3NaO_{12}^+$ $[M+Na]^+$, 696.2739; found, 696.2738.

2-phenyl-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (27)



Prepared from **SI-3-1** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **27** (29.9 mg, 70% yield) as white solid.

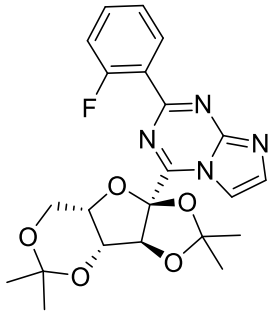
TLC: R*f* = 0.35 (acetone/hexanes = 2/5)

^{1}H NMR (300 MHz, $CDCl_3$): δ 8.59 (dd, *J* = 6.6, 3.0 Hz, 2H), 8.08 (d, *J* = 1.7 Hz, 1H), 7.78 (d, *J* = 1.7 Hz, 1H), 7.51 (dd, *J* = 5.1, 2.0 Hz, 3H), 5.73 (s, 1H), 4.50 (d, *J* = 2.4 Hz, 1H), 4.40 (q, *J* = 2.0 Hz, 1H), 4.21 – 4.04 (m, 2H), 1.61 (s, 3H), 1.45 (s, 3H), 1.36 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 158.55, 152.70, 150.18, 136.20, 135.89, 131.67, 128.84, 128.72, 114.37, 112.66, 111.95, 97.70, 87.53, 74.78, 73.04, 59.85, 28.78, 26.98, 26.20, 18.74.

HRMS (ESI): m/z calculated for $C_{22}H_{24}N_4NaO_5^+$ $[M+Na]^+$, 447.1639; found, 447.1639.

2-(2-fluorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (28)



Prepared from **SI-3-2** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **28** (23.4 mg, 53% yield) as yellow oil.

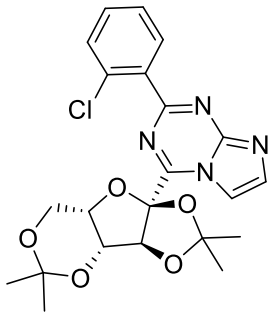
TLC: R*f* = 0.30 (acetone/hexanes = 2/5)

^{1}H NMR (300 MHz, $CDCl_3$): δ 8.31 (t, *J* = 7.8 Hz, 1H), 8.13 (s, 1H), 7.83 (s, 1H), 7.48 (q, *J* = 6.5 Hz, 1H), 7.28 (d, *J* = 7.5 Hz, 1H), 7.19 (dd, *J* = 11.2, 8.3 Hz, 1H), 5.69 (s, 1H), 4.44 (d, *J* = 20.4 Hz, 2H), 4.17 (d, *J* = 13.9 Hz, 1H), 4.09 (d, *J* = 13.6 Hz, 1H), 1.61 (s, 3H), 1.44 (s, 3H), 1.38 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ 161.87 (d, *J* = 259.2 Hz), 156.88 (d, *J* = 5.3 Hz), 152.63, 149.72, 136.41, 132.77 (d, *J* = 8.7 Hz), 132.15, 124.68 (d, *J* = 8.4 Hz), 124.19 (d, *J* = 3.9 Hz), 117.20 (d, *J* = 22.2 Hz), 114.48, 112.58, 112.01, 97.71, 87.50, 74.78, 72.97, 59.87, 28.75, 26.97, 26.02, 18.69.

HRMS (ESI): m/z calculated for $C_{22}H_{24}FN_4O_5^+$ $[M+H]^+$, 443.1725; found, 443.1736.

2-(2-chlorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (29)



Prepared from **SI-3-3** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **29** (18.1 mg, 39% yield) as yellow oil.

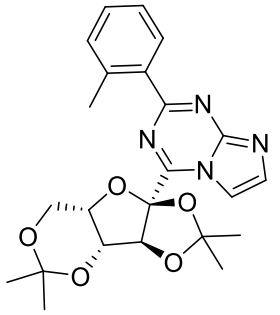
TLC: R*f* = 0.30 (acetone/hexanes = 2/5)

^{1}H NMR (300 MHz, $CDCl_3$): δ 8.12 (s, 1H), 8.05 – 7.98 (m, 1H), 7.84 (s, 1H), 7.54 – 7.48 (m, 1H), 7.40 (dd, *J* = 6.5, 3.2 Hz, 2H), 5.72 (s, 1H), 4.47 – 4.38 (m, 2H), 4.20 – 4.13 (m, 1H), 4.08 (d, *J* = 13.7 Hz, 1H), 1.60 (s, 3H), 1.43 (s, 3H), 1.35 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ 158.97, 152.32, 149.54, 136.39, 135.72, 133.70, 132.43, 131.22, 131.04, 126.87, 114.33, 112.57, 112.04, 97.70, 87.09, 74.72, 73.05, 59.88, 28.76, 26.93, 26.22, 18.67.

HRMS (ESI): m/z calculated for $C_{22}H_{24}ClN_4O_5^+$ $[M+H]^+$, 459.1430; found, 459.1437.

4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]fu*ro*[3,2-*d*][1,3]dioxin-8a-yl)-2-(*o*-tolyl)imidazo[1,2-*a*][1,3,5]triazine (30)



Prepared from **SI-3-6** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **30** (24.5 mg, 56% yield) as yellow solid.

TLC: R*f* = 0.40 (acetone/hexanes = 2/5)

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.20 – 8.15 (m, 1H), 8.05 (d, *J* = 1.6 Hz, 1H), 7.79 (d, *J* = 1.7 Hz, 1H), 7.40 – 7.35 (m, 1H), 7.32 (t, *J* = 8.1 Hz, 2H), 5.70 (s, 1H), 4.47 (d, *J* = 2.4 Hz, 1H), 4.41 – 4.36 (m, 1H), 4.16 (dd, *J* = 13.8, 2.4 Hz, 1H), 4.07 (d, *J* = 13.8 Hz, 1H), 2.75 (s, 3H), 1.59 (s, 3H), 1.44 (s, 3H), 1.29 (s, 3H), 1.17 (s, 3H).

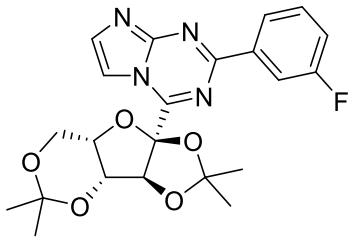
^{13}C NMR (75 MHz, $CDCl_3$): δ 160.84, 152.03, 149.72, 138.96, 136.00, 135.74, 131.81, 131.38, 130.44, 125.97, 114.14, 112.63, 111.67, 97.69, 86.94, 77.59, 77.16, 76.74, 74.69, 73.09, 59.86, 28.76, 26.89, 26.22, 22.63, 18.68.

^{1}H NMR (400 MHz, $CDCl_3$):

^{13}C NMR (75 MHz, $CDCl_3$):

HRMS (ESI): m/z calculated for $C_{23}H_{27}N_4O_5^+$ $[M+H]^+$, 439.1976; found, 439.1986.

2-(3-fluorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (31)



Prepared from **SI-3-8** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **31** (19.8 mg, 45% yield) as white solid.

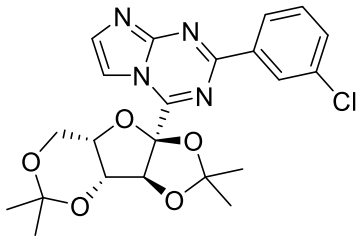
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.40 – 8.36 (m, 1H), 8.29 – 8.24 (m, 1H), 8.11 (d, *J* = 1.5 Hz, 1H), 7.80 (d, *J* = 1.5 Hz, 1H), 7.47 (td, *J* = 7.9, 5.5 Hz, 1H), 7.21 (td, *J* = 8.3, 2.6 Hz, 1H), 5.70 (s, 1H), 4.51 (d, *J* = 2.3 Hz, 1H), 4.41 (s, 1H), 4.16 (dd, *J* = 13.8, 2.4 Hz, 1H), 4.08 (d, *J* = 14.0 Hz, 1H), 1.62 (s, 3H), 1.45 (s, 3H), 1.37 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 163.25 (d, *J* = 245.4 Hz), 157.45, 152.89, 149.97, 138.31 (d, *J* = 8.0 Hz), 136.51, 130.29 (d, *J* = 7.9 Hz), 124.46, 118.58 (d, *J* = 21.4 Hz), 115.65 (d, *J* = 23.6 Hz), 114.50, 112.61, 112.23, 97.77, 87.62, 74.92, 73.05, 59.87, 28.78, 27.02, 26.25, 18.76.

HRMS (ESI): m/z calculated for $C_{22}H_{24}FN_4O_5^+$ $[M+H]^+$, 443.1725; found, 443.1737.

2-(3-chlorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (32)



Prepared from **SI-3-9** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **32** (19.1 mg, 42% yield) as white solid.

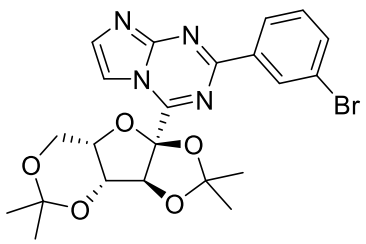
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.59 – 8.54 (m, 1H), 8.47 (dd, *J* = 7.6, 1.5 Hz, 1H), 8.11 (d, *J* = 1.4 Hz, 1H), 7.81 (s, 1H), 7.48 (dd, *J* = 7.9, 1.9 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 5.69 (s, 1H), 4.51 (d, *J* = 2.3 Hz, 1H), 4.41 (s, 1H), 4.16 (dd, *J* = 13.9, 2.4 Hz, 1H), 4.07 (d, *J* = 13.9 Hz, 1H), 1.62 (s, 3H), 1.45 (s, 3H), 1.37 (s, 3H), 1.16 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 157.27, 152.94, 149.94, 137.79, 136.58, 134.92, 131.58, 130.03, 128.88, 126.86, 114.50, 112.59, 112.23, 97.77, 87.66, 74.92, 73.06, 59.87, 28.78, 27.02, 26.25, 18.76.

HRMS (ESI): m/z calculated for $C_{22}H_{23}ClN_4NaO_5^+$ $[M+Na]^+$, 481.1249; found, 481.1249.

2-(3-bromophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (33)



Prepared from **SI-3-10** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **33** (20.2 mg, 40% yield) as white solid.

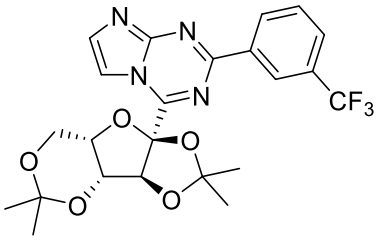
TLC: R*f* = 0.40 (acetone/hexanes = 2/5)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.73 (q, *J* = 1.7 Hz, 1H), 8.52 (d, *J* = 7.8 Hz, 1H), 8.12 (d, *J* = 1.5 Hz, 1H), 7.81 (s, 1H), 7.64 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.41 – 7.34 (m, 1H), 5.68 (s, 1H), 4.54 – 4.49 (m, 1H), 4.41 (s, 1H), 4.19 – 4.14 (m, 1H), 4.08 (d, *J* = 13.8 Hz, 1H), 1.63 (s, 3H), 1.46 (s, 3H), 1.37 (s, 3H), 1.16 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 157.16, 152.96, 137.99, 136.56, 134.52, 131.82, 130.31, 127.33, 123.02, 114.52, 112.60, 112.25, 97.78, 87.70, 74.94, 73.06, 59.88, 28.80, 27.03, 26.27, 18.77.

HRMS (ESI): m/z calculated for $C_{22}H_{24}BrN_4O_5^+$ $[M+H]^+$, 503.0925; found, 503.0925.

4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-2-(3-(trifluoromethyl)phenyl)imidazo[1,2-*a*][1,3,5]triazine (34)



Prepared from **SI-3-13** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **34** (20.8 mg, 42% yield) as white solid.

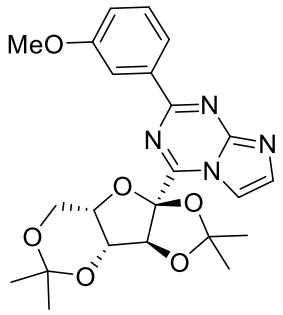
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.86 (s, 1H), 8.77 (d, *J* = 7.9 Hz, 1H), 8.15 (d, *J* = 1.6 Hz, 1H), 7.82 (d, *J* = 1.7 Hz, 1H), 7.77 (d, *J* = 7.7 Hz, 1H), 7.64 (t, *J* = 7.8 Hz, 1H), 5.66 (s, 1H), 4.52 (d, *J* = 2.4 Hz, 1H), 4.42 (d, *J* = 2.3 Hz, 1H), 4.17 (dd, *J* = 14.0, 2.5 Hz, 1H), 4.08 (d, *J* = 13.8 Hz, 1H), 1.63 (s, 3H), 1.45 (s, 3H), 1.39 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 157.12, 153.17, 149.93, 136.79, 136.70 (q, *J* = 15.1 Hz), 136.69, 131.82, 129.33, 128.06 (q, *J* = 3.9 Hz) 125.76 (q, *J* = 4.1 Hz), 125.25 (q, *J* = 274.3 Hz), 114.60, 112.59, 112.38, 97.78, 87.84, 74.99, 73.04, 59.88, 28.72, 27.05, 26.24, 18.75.

HRMS (ESI): m/z calculated for $C_{23}H_{24}F_3N_4O_5^+$ $[M+H]^+$, 493.1693; found, 493.1693.

2-(3-methoxyphenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (35)



Prepared from **SI-3-11** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **35** (24.7 mg, 54% yield) as yellow solid.

TLC: R*f* = 0.20 (acetone/hexanes = 2/5)

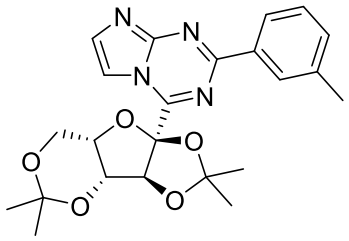
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.20 (dt, *J* = 7.8, 1.3 Hz, 1H), 8.16 (dd, *J* = 2.7, 1.5 Hz, 1H), 8.10 (d, *J* = 1.6 Hz, 1H), 7.79 (d, *J* = 1.5 Hz, 1H), 7.42 (t, *J* = 7.9 Hz, 1H),

7.08 (ddd, *J* = 8.3, 2.7, 1.0 Hz, 1H), 5.70 (s, 1H), 4.49 (d, *J* = 2.3 Hz, 1H), 4.41 (q, *J* = 2.1 Hz, 1H), 4.17 (dd, *J* = 13.9, 2.4 Hz, 1H), 4.09 (d, *J* = 14.1 Hz, 1H), 3.92 (s, 3H), 1.62 (s, 3H), 1.45 (s, 3H), 1.38 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 160.08, 152.81, 150.11, 137.26, 135.85, 129.75, 121.64, 118.63, 114.49, 112.99, 112.68, 112.21, 112.09, 97.76, 87.67, 77.42, 77.16, 76.91, 74.88, 73.05, 59.89, 55.67, 28.82, 27.03, 26.26, 18.77.

HRMS (ESI): m/z calculated for $C_{23}H_{26}N_4NaO_6^+$ $[M+Na]^+$, 477.1745; found, 477.1745.

4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-2-(*m*-tolyl)imidazo[1,2-*a*][1,3,5]triazine (36)



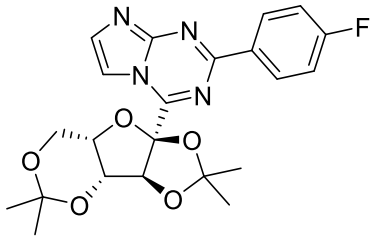
Prepared from **SI-3-12** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **36** (18.3 mg, 42% yield) as yellow solid.
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.42 (s, 1H), 8.38 (d, *J* = 7.7 Hz, 1H), 8.09 (d, *J* = 1.6 Hz, 1H), 7.77 (d, *J* = 1.7 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 7.5 Hz, 1H), 5.72 (s, 1H), 4.50 (d, *J* = 2.4 Hz, 1H), 4.40 (t, *J* = 2.0 Hz, 1H), 4.16 (dd, *J* = 13.8, 2.4 Hz, 1H), 4.09 (d, *J* = 13.9 Hz, 1H), 2.46 (s, 3H), 1.62 (s, 3H), 1.45 (s, 3H), 1.37 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 158.78, 152.70, 150.26, 138.46, 136.17, 135.89, 132.53, 129.42, 128.64, 126.15, 114.40, 112.74, 111.94, 97.75, 87.66, 74.84, 73.11, 59.90, 28.80, 27.04, 26.24, 21.64, 18.80.

HRMS (ESI): m/z calculated for $C_{23}H_{26}N_4NaO_5^+$ $[M+Na]^+$, 461.1795; found, 461.1795.

2-(4-fluorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (37)



Prepared from **SI-3-14** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **37** (21.4 mg, 48% yield) as white solid.
TLC: R*f* = 0.35 (Ethyl acetate/Petroleum Ether = 1/1)

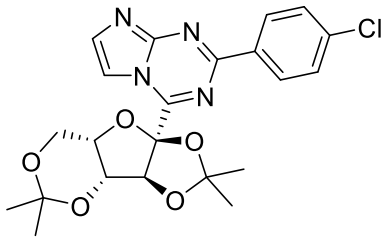
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.59 (dd, *J* = 8.3, 5.5 Hz, 2H), 8.08 (s, 1H), 7.77 (s, 1H), 7.18 (t, *J* = 8.4 Hz, 2H), 5.69 (s, 1H), 4.50 (s, 1H), 4.40 (s, 1H), 4.16 (dt, *J* = 13.9, 1.9 Hz, 1H), 4.10 – 4.05 (m, 1H), 1.62 (s, 3H), 1.45 (s, 3H), 1.36 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 169.79, 165.19 (d, *J* = 252.3 Hz), 149.97, 136.09, 132.01, 130.95 (d, *J* = 9.0 Hz), 115.70 (d, *J* = 21.9 Hz), 114.75, 114.31, 97.68, 97.62, 88.07, 87.44, 77.29, 77.03, 76.78, 74.73, 72.95, 59.74, 28.66, 26.89, 26.12, 18.65.

HRMS (ESI): m/z calculated for $C_{22}H_{23}FN_4NaO_5^+$ $[M+Na]^+$, 465.1545; found,

465.1545.

2-(4-chlorophenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (38)



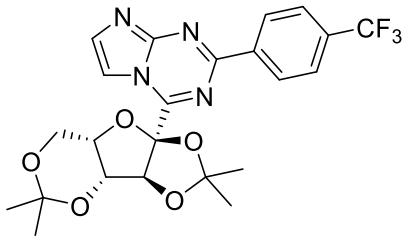
Prepared from **SI-3-15** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **38** (17.6 mg, 38% yield) as white solid.
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.52 (d, *J* = 8.3 Hz, 2H), 8.09 (d, *J* = 1.5 Hz, 1H), 7.78 (s, 1H), 7.47 (d, *J* = 8.3 Hz, 2H), 5.68 (s, 1H), 4.50 (d, *J* = 2.3 Hz, 1H), 4.40 (s, 1H), 4.16 (dd, *J* = 13.9, 2.4 Hz, 1H), 4.07 (d, *J* = 13.9 Hz, 1H), 1.61 (s, 3H), 1.45 (s, 3H), 1.35 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 157.62, 152.85, 150.01, 137.98, 136.39, 134.42, 130.13, 129.03, 114.45, 112.60, 112.12, 97.73, 87.56, 74.86, 73.05, 59.86, 28.79, 27.00, 26.24, 18.76.

HRMS (ESI): m/z calculated for $C_{22}H_{23}ClN_4NaO_5^+$ $[M+Na]^+$, 481.1249; found, 481.1248.

4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-2-(4-(trifluoromethyl)phenyl)imidazo[1,2-*a*][1,3,5]triazine (39)



Prepared from **SI-3-19** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **39** (30.5 mg, 61% yield) as white solid.

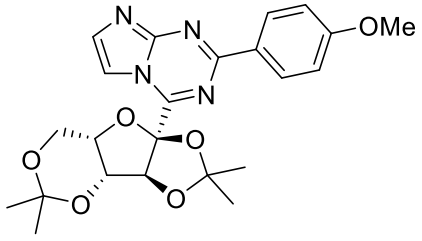
TLC: R*f* = 0.40 (acetone/hexanes = 2/5)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.70 (d, *J* = 8.1 Hz, 2H), 8.14 (s, 1H), 7.84 (s, 1H), 7.76 (d, *J* = 8.1 Hz, 2H), 5.70 (s, 1H), 4.52 (d, *J* = 2.4 Hz, 1H), 4.42 (s, 1H), 4.17 (dt, *J* = 13.9, 1.8 Hz, 1H), 4.08 (d, *J* = 13.8 Hz, 1H), 1.63 (s, 3H), 1.46 (s, 3H), 1.37 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 157.19, 153.07, 139.15, 136.61, 133.25 (q, *J* = 33.3 Hz), 129.08, 125.74 (q, *J* = 3.7 Hz), 123.05 (q, *J* = 272.7 Hz), 114.59, 112.58, 112.43, 107.41, 97.77, 87.63, 74.95, 73.05, 59.87, 28.82, 27.03, 26.26, 18.76.

HRMS (ESI): m/z calculated for $C_{23}H_{24}F_3N_4O_5^+$ $[M+H]^+$, 493.1693; found, 493.1693.

2-(4-methoxyphenyl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (40)



Prepared from **SI-3-17** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv)

according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **40** (33.4 mg, 73% yield) as yellow solid.

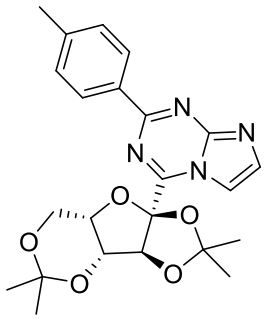
TLC: R*f* = 0.20 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.58 – 8.50 (m, 2H), 8.03 (s, 1H), 7.72 (s, 1H), 7.04 – 6.98 (m, 2H), 5.71 (s, 1H), 4.49 (d, *J* = 2.3 Hz, 1H), 4.39 (s, 1H), 4.16 (dt, *J* = 13.8, 1.8 Hz, 1H), 4.08 (d, *J* = 13.9 Hz, 1H), 3.89 (s, 3H), 1.61 (s, 3H), 1.45 (s, 3H), 1.36 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 162.73, 158.56, 152.56, 150.38, 135.77, 130.72, 128.58, 114.32, 114.12, 112.72, 111.70, 97.73, 87.55, 74.79, 73.10, 59.88, 55.58, 28.79, 27.01, 26.23, 18.79

HRMS (ESI): m/z calculated for $C_{23}H_{27}N_4O_6^+$ $[M+H]^+$, 455.1925; found, 455.1927.

4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)-2-(*p*-tolyl)imidazo[1,2-*a*][1,3,5]triazine (41)



Prepared from **SI-3-18** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **41** (25.0 mg, 57% yield) as yellow solid.

TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

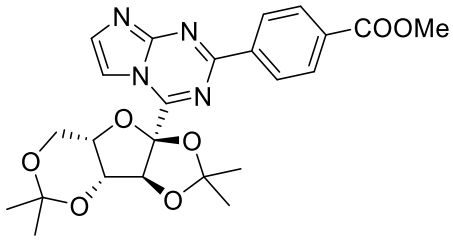
^{1}H NMR (600 MHz, $CDCl_3$): δ 8.50 – 8.46 (m, 2H), 8.06 (s, 1H), 7.75 (s, 1H), 7.31

(d, *J* = 7.9 Hz, 2H), 5.72 (s, 1H), 4.49 (t, *J* = 1.9 Hz, 1H), 4.40 (t, *J* = 2.1 Hz, 1H), 4.18 – 4.14 (m, 1H), 4.08 (d, *J* = 13.9 Hz, 1H), 2.44 (s, 3H), 1.62 (s, 3H), 1.45 (s, 3H), 1.37 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 158.78, 152.65, 150.32, 142.25, 136.01, 133.27, 129.54, 128.91, 114.36, 112.74, 111.87, 97.75, 87.58, 77.37, 77.16, 76.95, 74.82, 73.11, 59.89, 28.80, 27.03, 26.22, 21.76, 18.80.

HRMS (ESI): m/z calculated for $C_{23}H_{27}N_4O_5^+$ $[M+H]^+$, 439.1976; found, 439.1986.

methyl 4-(4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazin-2-yl)benzoate (42)



Prepared from **SI-3-20** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **42** (31.7 mg, 66% yield) as yellow solid.

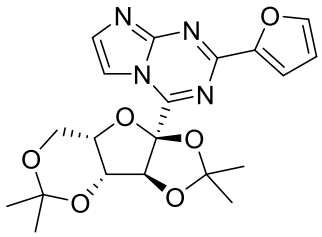
TLC: R*f* = 0.28 (acetone/hexanes = 2/5)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.65 (d, *J* = 8.2 Hz, 2H), 8.19 – 8.13 (m, 3H), 7.88 – 7.81 (m, 1H), 5.71 (s, 1H), 4.51 (d, *J* = 2.3 Hz, 1H), 4.43 – 4.40 (m, 1H), 4.16 (dd, *J* = 13.9, 2.4 Hz, 1H), 4.08 (d, *J* = 13.8 Hz, 1H), 3.96 (s, 3H), 1.63 (s, 3H), 1.45 (s, 3H), 1.38 (s, 3H), 1.14 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 172.80, 170.52, 166.88, 152.85, 146.78, 140.24, 132.66, 129.97, 128.74, 114.55, 112.64, 97.78, 87.69, 74.94, 73.08, 59.89, 52.46, 28.80, 27.04, 26.28, 18.78.

HRMS (ESI): m/z calculated for $C_{24}H_{27}N_4O_7^+$ $[M+Na]^+$, 483.1874; found, 483.1874.

2-(furan-2-yl)-4-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*a*][1,3,5]triazine (43)



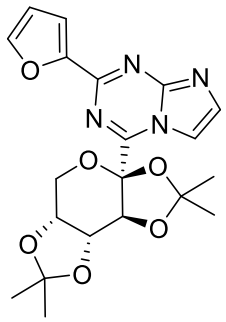
Prepared from **SI-3-21** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **43** (33.5 mg, 81% yield) as yellow solid.
TLC: R*f* = 0.20 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.10 (d, *J* = 1.6 Hz, 1H), 7.76 (d, *J* = 1.6 Hz, 1H), 7.68 (s, 1H), 7.44 (d, *J* = 3.4 Hz, 1H), 6.59 (dt, *J* = 3.3, 1.5 Hz, 1H), 5.58 (s, 1H), 4.46 (t, *J* = 1.6 Hz, 1H), 4.39 (s, 1H), 4.16 (dt, *J* = 13.8, 1.7 Hz, 1H), 4.09 (d, *J* = 13.9 Hz, 1H), 1.61 (s, 3H), 1.44 (s, 3H), 1.41 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 153.33, 150.97, 149.59, 146.38, 136.25, 116.16, 114.59, 112.58, 112.53, 112.33, 97.77, 87.85, 74.83, 72.99, 59.86, 28.76, 27.76, 27.07, 26.19, 18.77.

HRMS (ESI): m/z calculated for $C_{20}H_{23}N_4O_6^+$ $[M+H]^+$, 415.1612; found, 415.1610.

2-(furan-2-yl)-4-((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)imidazo[1,2-*a*][1,3,5]triazine (44)



Prepared from **SI-3-21** (0.1 mmol, 1.0 equiv) and **SI-1-5** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **44** (30.4 mg, 73% yield) as yellow solid.

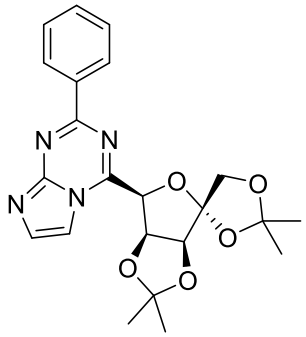
TLC: R*f* = 0.20 (acetone/hexanes = 2/5)

^{1}H NMR (600 MHz, $CDCl_3$): δ 8.05 (d, *J* = 1.6 Hz, 1H), 7.74 (d, *J* = 1.6 Hz, 1H), 7.69 (dd, *J* = 1.8, 0.9 Hz, 1H), 7.47 (dd, *J* = 3.4, 0.9 Hz, 1H), 6.60 (dd, *J* = 3.4, 1.7 Hz, 1H), 5.64 (d, *J* = 2.3 Hz, 1H), 4.77 (dd, *J* = 8.0, 2.3 Hz, 1H), 4.39 – 4.34 (m, 1H), 4.12 (dd, *J* = 12.8, 1.9 Hz, 1H), 3.98 (dd, *J* = 12.8, 0.9 Hz, 1H), 1.36 (s, 3H), 1.33 (s, 3H), 1.25 (s, 3H), 1.15 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 154.13, 151.03, 150.94, 149.72, 146.45, 136.09, 116.42, 112.69, 112.63, 110.45, 109.49, 101.92, 77.37, 77.16, 76.95, 71.72, 70.38, 70.10, 61.48, 26.21, 25.95, 25.04, 24.30.

HRMS (ESI): m/z calculated for $C_{20}H_{23}N_4O_6^+$ $[M+H]^+$, 415.1612; found, 415.1620.

2-phenyl-4-((3a*S*,4*R*,6*R*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)imidazo[1,2-*a*][1,3,5]triazine (45)



Prepared from **SI-3-1** (0.1 mmol, 1.0 equiv) and **SI-1-8** (0.15 mmol, 1.5 equiv) according to the general procedure **3**. Purification by flash column (acetone/hexanes = 1/5) afforded **45** (21.0 mg, 49% yield) as yellow solid.

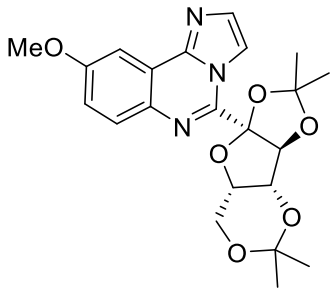
TLC: R*f* = 0.30 (acetone/hexanes = 2/5)

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.62 – 8.58 (m, 2H), 7.98 (dd, *J* = 31.1, 1.6 Hz, 1H), 7.76 (dd, *J* = 23.5, 1.7 Hz, 1H), 7.54 (dd, *J* = 5.2, 2.0 Hz, 2H), 6.29 (d, *J* = 5.7 Hz, 1H), 5.44 (s, 1H), 4.76 (d, *J* = 5.7 Hz, 1H), 4.31 (d, *J* = 10.1 Hz, 1H), 4.18 (d, *J* = 10.1 Hz, 1H), 1.56 (s, 3H), 1.47 (s, 3H), 1.08 (s, 3H), 0.70 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$): δ 158.40, 155.21, 149.89, 136.47, 135.78, 131.84, 128.92, 128.78, 114.35, 113.35, 112.52, 110.41, 84.78, 81.93, 80.93, 69.58, 26.60, 25.71, 25.43, 25.33.

HRMS (ESI): m/z calculated for $C_{22}H_{24}N_4NaO_5^+$ $[M+Na]^+$, 447.1639; found, 447.1639.

9-methoxy-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (46)



Prepared from Prepared from **SI-4-8** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **46** (25.5 mg, 60% yield) as yellow solid.

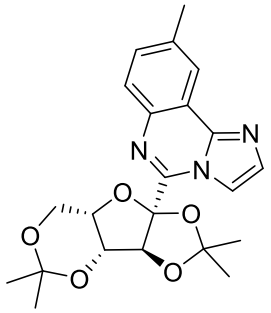
TLC: R*f* = 0.55 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.17 (d, *J* = 1.5 Hz, 1H), 7.91 (d, *J* = 2.9 Hz, 1H), 7.88 (d, *J* = 9.0 Hz, 1H), 7.57 (d, *J* = 1.5 Hz, 1H), 7.26 – 7.23 (m, 1H), 5.88 (s, 1H), 4.44 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.2 Hz, 1H), 4.16 (dd, *J* = 13.7, 2.6 Hz, 1H), 4.06 (d, *J* = 13.7 Hz, 1H), 3.99 (s, 3H), 1.58 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.20 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 159.90, 143.99, 141.60, 134.68, 131.66, 130.48, 120.48, 120.34, 115.35, 113.32, 113.16, 102.45, 97.68, 86.81, 74.24, 73.50, 60.03, 56.08, 28.74, 26.97, 26.14, 18.83.

HRMS (ESI): m/z calculated for $C_{22}H_{25}N_3NaO_6^+$ $[M+Na]^+$, 450.1636; found, 450.1636.

9-methyl-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (47)



Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **47** (22.6 mg, 55% yield) as yellow solid.

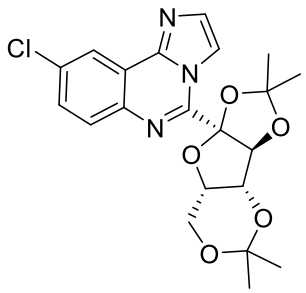
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.36 – 8.33 (m, 1H), 8.15 (d, *J* = 1.5 Hz, 1H), 7.86 (d, *J* = 8.2 Hz, 1H), 7.56 (d, *J* = 1.5 Hz, 1H), 7.47 (dd, *J* = 8.3, 2.0 Hz, 1H), 5.90 (s, 1H), 4.45 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.1 Hz, 1H), 4.15 (dd, *J* = 13.7, 2.6 Hz, 1H), 4.06 (d, *J* = 13.6 Hz, 1H), 2.56 (s, 3H), 1.58 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.05, 142.92, 139.13, 138.18, 131.71, 131.34, 128.66, 122.19, 119.28, 115.25, 113.32, 113.19, 97.67, 86.80, 74.28, 73.47, 60.01, 28.73, 26.97, 26.12, 21.81, 18.83.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1866.

9-chloro-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (48)



Prepared from Prepared from **SI-4-6** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **48** (20.4 mg, 47% yield) as yellow solid.

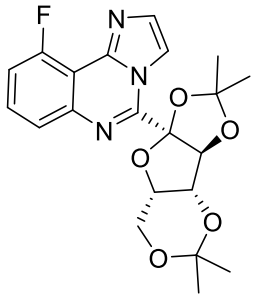
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.53 (d, *J* = 2.4 Hz, 1H), 8.18 (d, *J* = 1.4 Hz, 1H), 7.90 (d, *J* = 8.7 Hz, 1H), 7.62 – 7.57 (m, 2H), 5.87 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.2 Hz, 1H), 4.15 (dd, *J* = 13.8, 2.6 Hz, 1H), 4.06 (d, *J* = 13.8 Hz, 1H), 1.59 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 143.87, 142.98, 138.41, 134.65, 132.22, 130.38, 130.30, 122.15, 120.52, 115.77, 113.42, 113.15, 97.68, 86.84, 74.39, 73.39, 59.99, 28.74, 26.96, 26.13, 18.80.

HRMS (ESI): m/z calculated for $C_{21}H_{22}ClN_3NaO_5^+$ $[M+Na]^+$, 454.1140; found, 454.1141.

10-fluoro-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (49)



Prepared from Prepared from **SI-4-10** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **49** (22.0 mg, 53% yield) as yellow solid.

TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/1)

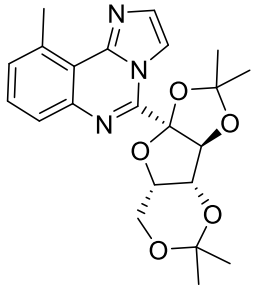
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.21 (d, *J* = 1.5 Hz, 1H), 7.81 (d, *J* = 8.2 Hz, 1H), 7.69 (d, *J* = 1.5 Hz, 1H), 7.60 (td, *J* = 8.2, 5.5 Hz, 1H), 7.39 (dd, *J* = 10.1, 8.1 Hz, 1H), 5.88 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.38 (q, *J* = 2.1 Hz, 1H), 4.15 (dd, *J* = 13.8, 2.6 Hz, 1H), 4.05 (d, *J* = 13.8 Hz, 1H), 1.59 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 158.04 (d, *J* = 259.3 Hz), 144.50, 141.86 (d, *J* = 2.1 Hz), 140.50 (d, *J* = 7.0 Hz), 132.70, 129.48 (d, *J* = 8.9 Hz), 124.68 (d, *J* = 3.7 Hz), 115.21, 114.95 (d, *J* = 19.7 Hz), 113.45, 113.14, 109.55, 97.68, 86.87, 77.42, 77.16, 76.91, 74.45, 73.38, 59.97, 28.73, 26.96, 26.13, 18.82.

^{19}F NMR (471 MHz, $CDCl_3$): δ -111.80.

HRMS (ESI): m/z calculated for $C_{21}H_{23}FN_3O_5^+$ $[M+H]^+$, 416.1616; found, 416.1615.

10-methyl-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (50)



Prepared from Prepared from **SI-4-12** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **50** (16.5 mg, 40% yield) as yellow solid.
TLC: R*f* = 0.80 (Ethyl acetate/Petroleum Ether = 1/1)

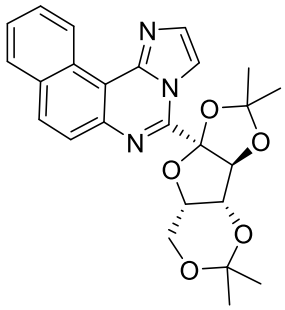
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.19 (d, *J* = 1.5 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 1.5 Hz, 1H), 7.53 (t, *J* = 7.7 Hz, 1H), 7.46 (d, *J* = 7.4 Hz, 1H), 5.93 (s,

1H), 4.45 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.1 Hz, 1H), 4.15 (dd, *J* = 13.8, 2.6 Hz, 1H), 4.05 (d, *J* = 13.7 Hz, 1H), 3.12 (s, 3H), 1.59 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.47, 143.36, 141.16, 136.05, 131.66, 130.59, 128.83, 126.76, 118.52, 114.60, 113.32, 113.19, 97.65, 86.82, 74.32, 73.47, 60.02, 28.73, 26.97, 26.13, 23.30, 18.84.

HRMS (ESI): m/z calculated for $C_{22}H_{25}N_3KO_5^+$ $[M+K]^+$, 450.1426; found, 450.1426.

5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)benzo[*f*]imidazo[1,2-*c*]quinazoline (51)



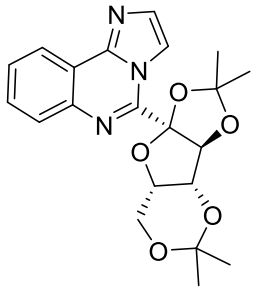
Prepared from Prepared from **SI-4-15** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **51** (6.7 mg, 15% yield) as white solid.
TLC: R*f* = 0.75 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 10.41 (d, *J* = 8.5 Hz, 1H), 8.33 (d, *J* = 1.5 Hz, 1H), 8.05 (d, *J* = 8.8 Hz, 1H), 8.03 – 7.98 (m, 2H), 7.87 – 7.81 (m, 2H), 7.70 (td, *J* = 7.5, 6.9, 1.2 Hz, 1H), 6.01 (s, 1H), 4.49 (d, *J* = 2.4 Hz, 1H), 4.41 (q, *J* = 2.1 Hz, 1H), 4.17 (dd, *J* = 13.7, 2.6 Hz, 1H), 4.08 (d, *J* = 13.8 Hz, 1H), 1.61 (s, 3H), 1.46 (s, 3H), 1.30 (s, 3H), 1.18 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.48, 143.72, 139.92, 132.95, 132.72, 130.66, 128.85, 128.63, 128.25, 128.03, 127.35, 127.03, 114.99, 114.24, 113.39, 113.33, 97.69, 86.97, 74.39, 73.49, 60.02, 28.73, 27.00, 26.18, 18.85.

HRMS (ESI): m/z calculated for $C_{25}H_{26}N_3O_5^+$ $[M+H]^+$, 448.1867; found, 448.1867.

5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (52a)



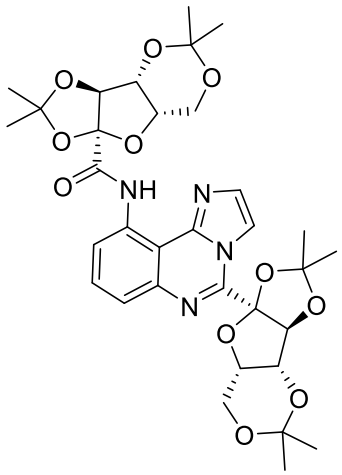
Prepared from Prepared from **SI-4-1** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **52a** (10.7 mg, 27% yield) as white solid.
TLC: R*f* = 0.45 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.57 – 8.53 (m, 1H), 8.17 (d, *J* = 1.5 Hz, 1H), 8.00 – 7.96 (m, 1H), 7.65 (qd, *J* = 7.4, 3.5 Hz, 2H), 7.59 (d, *J* = 1.5 Hz, 1H), 5.91 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.38 (q, *J* = 2.1 Hz, 1H), 4.16 (dd, *J* = 13.9, 2.6 Hz, 1H), 4.06 (d, *J* = 13.7 Hz, 1H), 1.59 (s, 3H), 1.45 (s, 3H), 1.29 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.06, 143.68, 140.10, 131.82, 129.80, 128.90, 128.78, 122.63, 119.52, 115.35, 113.29, 97.68, 86.84, 74.34, 73.45, 60.01, 28.73, 26.97, 26.14, 18.83.

HRMS (ESI): m/z calculated for $C_{21}H_{24}N_3O_5^+$ $[M+H]^+$, 398.1710; found, 398.1710.

(3a*S*,3b*R*,7a*S*,8a*R*)-2,2,5,5-tetramethyl-*N*-(5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazolin-10-yl)tetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (52b)



Prepared from Prepared from **SI-4-1** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **52b** (12.6 mg, 19% yield) as yellow solid.
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

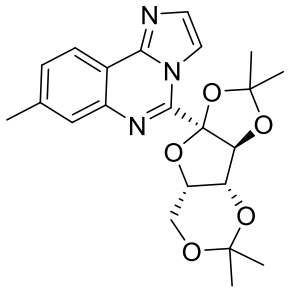
^{1}H NMR (500 MHz, $CDCl_3$): δ 13.38 (s, 1H), 9.06 (dd, *J* = 8.2, 1.1 Hz, 1H), 8.16 (d, *J* = 1.5 Hz, 1H), 7.71 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.64 (t, *J* = 8.1 Hz, 1H), 7.59 (d, *J* = 1.5 Hz, 1H), 5.87 (s, 1H), 4.88 (s, 1H), 4.45 (t, *J* = 2.4 Hz, 2H), 4.43 – 4.36 (m, 3H), 4.16 (td, *J* = 13.3, 2.8 Hz, 2H), 4.06 (d, *J* = 13.7 Hz, 1H), 1.63 (s, *J* = 1.8 Hz, 6H), 1.58 (s, 3H), 1.45 (s, 3H), 1.38 (s, 3H), 1.30 (s, 3H), 1.19 (s, 3H), 1.08 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.14, 143.40, 143.17, 140.40, 135.33, 130.99, 130.03, 123.57, 118.60, 114.67, 114.54, 113.37, 113.17, 111.75, 108.75, 97.70, 97.63, 88.39, 86.96, 74.98, 74.40, 73.40, 72.76, 60.30, 60.00, 28.72, 28.42, 27.45, 26.99, 26.16, 26.09, 19.30, 18.83.

HRMS (ESI): m/z calculated for $C_{33}H_{40}N_4NaO_{11}{}^{+}$ $[M+Na]^+$, 691.2586; found,

691.2584.

8-methyl-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (53a)



Prepared from Prepared from **SI-4-3** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **53a** (14.0 mg, 34% yield) as white solid.
TLC: R*f* = 0.45 (Ethyl acetate/Petroleum Ether = 1/1)

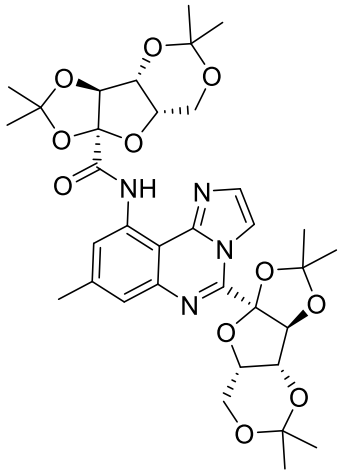
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.43 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 1.5 Hz, 1H), 7.79 (s, 1H), 7.55 (d, *J* = 1.5 Hz, 1H), 7.47 (dd, *J* = 8.1, 1.7 Hz, 1H), 5.90 (s, 1H), 4.45 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.1 Hz, 1H), 4.15 (dd, *J* = 13.8, 2.6 Hz, 1H), 4.06 (d, *J* = 13.7 Hz, 1H), 2.54 (s, 3H), 1.58 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.20 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.26, 143.68, 140.27, 140.20, 131.64, 130.34, 128.62, 122.41, 117.09, 115.06, 113.32, 113.22, 97.68, 86.81, 74.31, 73.46, 60.01, 28.76, 26.96, 26.12, 21.79, 18.84.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1867.

(3a*S*,3b*R*,7a*S*,8a*R*)-2,2,5,5-tetramethyl-*N*-(8-methyl-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazolin-10-yl)tetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-

***d*][1,3]dioxine-8a-carboxamide (53b)**



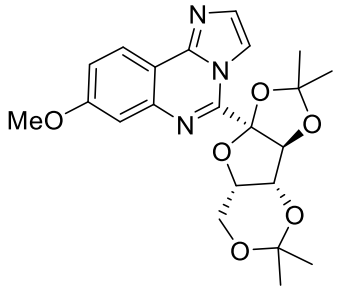
Prepared from Prepared from **SI-4-3** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **53b** (13.5 mg, 20% yield) as white solid.
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 13.31 (s, 1H), 8.94 (s, 1H), 8.12 (d, *J* = 1.4 Hz, 1H), 7.56 – 7.53 (m, 2H), 5.86 (s, 1H), 4.88 (s, 1H), 4.45 – 4.36 (m, 5H), 4.16 (ddd, *J* = 13.8, 10.6, 2.8 Hz, 2H), 4.06 (d, *J* = 13.7 Hz, 1H), 2.53 (s, 3H), 1.62 (s, 6H), 1.58 (s, 3H), 1.44 (s, 3H), 1.38 (s, 3H), 1.29 (s, 3H), 1.19 (s, 3H), 1.07 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.16, 143.44, 143.34, 140.71, 140.42, 135.02, 130.85, 123.64, 119.81, 114.67, 114.26, 113.30, 113.21, 111.77, 106.57, 97.70, 97.63, 88.39, 86.93, 75.03, 74.37, 73.41, 72.74, 60.31, 60.00, 28.74, 28.40, 27.45, 26.98, 26.14, 26.10, 22.28, 19.33, 18.84.

HRMS (ESI): m/z calculated for $C_{34}H_{42}N_4NaO_{11}{}^{+}$ $[M+Na]^+$, 705.2742; found, 705.2742.

8-methoxy-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (54a)



Prepared from Prepared from **SI-4-4** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **54a** (7.0 mg, 16% yield) as yellow oil.

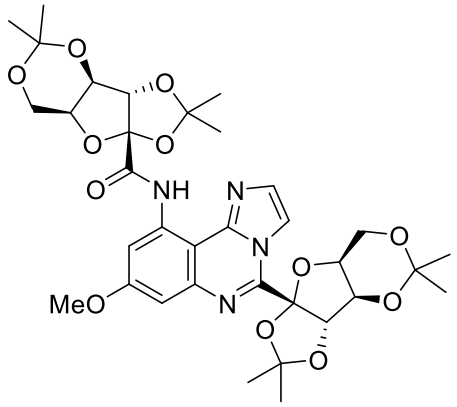
TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.44 (d, *J* = 8.8 Hz, 1H), 8.11 (d, *J* = 1.6 Hz, 1H), 7.53 (d, *J* = 1.6 Hz, 1H), 7.39 (d, *J* = 2.5 Hz, 1H), 7.26 (d, *J* = 6.3 Hz, 1H), 5.90 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.40 – 4.35 (m, 1H), 4.18 – 4.14 (m, 1H), 4.06 (d, *J* = 13.8 Hz, 1H), 3.95 (s, 3H), 1.59 (s, 3H), 1.46 (s, 3H), 1.29 (s, 3H), 1.21 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 161.14, 144.37, 144.19, 141.93, 131.63, 123.90, 118.97, 114.69, 113.30, 113.26, 109.82, 97.70, 86.76, 74.32, 73.47, 60.01, 55.78, 28.76, 26.96, 26.16, 18.84.

HRMS (ESI): m/z calculated for $C_{22}H_{25}N_3NaO_6^+$ $[M+Na]^+$, 450.1636; found, 450.1636.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(8-methoxy-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazolin-10-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (54b)



Prepared from Prepared from **SI-4-4** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **54b** (6.4 mg, 9% yield) as yellow solid.

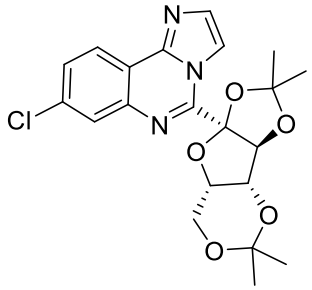
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 13.35 (s, 1H), 8.79 (d, *J* = 2.4 Hz, 1H), 8.07 (d, *J* = 1.5 Hz, 1H), 7.51 (d, *J* = 1.5 Hz, 1H), 7.18 (d, *J* = 2.5 Hz, 1H), 5.85 (s, 1H), 4.87 (s, 1H), 4.62 (s, 1H), 4.45 (d, *J* = 2.6 Hz, 1H), 4.38 (d, *J* = 2.4 Hz, 1H), 4.35 (dd, *J* = 9.2, 2.0 Hz, 1H), 4.19 – 4.17 (m, 1H), 4.14 (dd, *J* = 7.0, 2.8 Hz, 1H), 4.12 – 4.10 (m, 1H), 4.06 (d, *J* = 13.8 Hz, 1H), 3.94 (s, 3H), 1.62 (s, 3H), 1.58 (s, 3H), 1.44 (s, 3H), 1.38 (s, 3H), 1.30 (s, 3H), 1.25 (s, 3H), 1.21 (s, 3H), 1.09 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 167.18, 161.20, 143.46, 141.70, 136.31, 130.72, 114.67, 113.88, 113.30, 113.16, 111.71, 107.28, 106.56, 103.17, 97.71, 97.62, 88.35, 88.20, 86.83, 75.01, 74.33, 73.80, 73.40, 72.61, 60.28, 55.88, 29.84, 28.75, 28.42, 27.44, 26.96, 25.81, 19.30, 18.66.

HRMS (ESI): m/z calculated for $C_{34}H_{42}N_4NaO_{12}^+$ $[M+Na]^+$, 721.2691; found, 721.2690.

8-chloro-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (55a)



Prepared from Prepared from **SI-4-2** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **55a** (20.1 mg, 47% yield) as yellow solid.

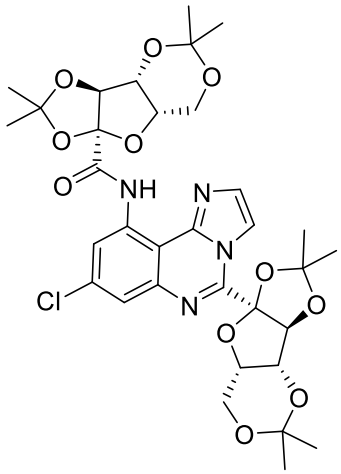
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.48 (d, *J* = 8.5 Hz, 1H), 8.17 (d, *J* = 1.5 Hz, 1H), 7.99 (d, *J* = 2.1 Hz, 1H), 7.62 – 7.58 (m, 2H), 5.86 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.37 (q, *J* = 2.2 Hz, 1H), 4.18 – 4.13 (m, 1H), 4.06 (d, *J* = 13.8 Hz, 1H), 1.59 (s, 3H), 1.45 (s, 3H), 1.28 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.79, 140.86, 135.50, 132.14, 129.36, 128.35, 123.95, 117.98, 115.60, 113.45, 113.12, 97.69, 86.85, 74.43, 73.35, 59.98, 28.78, 26.95, 26.11, 18.79.

HRMS (ESI): m/z calculated for $C_{21}H_{22}ClN_3NaO_5^{+}$ $[M+Na]^+$, 454.1140; found, 454.1140.

(3a*S*,3b*R*,7a*S*,8a*R*)-*N*-(8-chloro-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazolin-10-yl)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxine-8a-carboxamide (55b)



Prepared from Prepared from **SI-4-2** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **55b** (8.5 mg, 12% yield) as white solid.

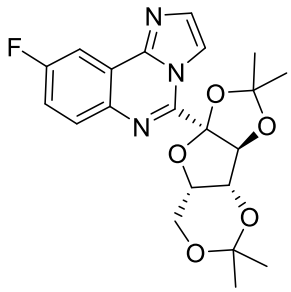
TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 13.40 (s, 1H), 9.14 (d, *J* = 2.0 Hz, 1H), 8.16 (d, *J* = 1.5 Hz, 1H), 7.72 (d, *J* = 2.0 Hz, 1H), 7.59 (d, *J* = 1.6 Hz, 1H), 5.82 (s, 1H), 4.87 (s, 1H), 4.44 (t, *J* = 3.0 Hz, 2H), 4.42 – 4.36 (m, 3H), 4.16 (ddd, *J* = 14.0, 11.2, 2.7 Hz, 2H), 4.05 (d, *J* = 13.8 Hz, 1H), 1.62 (s, 6H), 1.58 (s, 3H), 1.45 (s, 3H), 1.38 (s, 3H), 1.30 (s, 3H), 1.19 (s, 3H), 1.06 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 143.84, 142.74, 141.30, 135.67, 131.29, 118.21, 114.88, 114.75, 113.53, 113.04, 111.58, 109.68, 102.78, 97.73, 97.60, 91.73, 88.46, 86.99, 75.01, 74.50, 73.31, 72.63, 60.30, 59.98, 29.55, 28.77, 28.53, 27.43, 26.98, 26.14, 26.06, 19.21, 18.81.

HRMS (ESI): m/z calculated for $C_{33}H_{39}ClN_4NaO_{11}^+$ $[M+Na]^+$, 725.2196; found, 725.2194.

9-fluoro-5-((3a*S*,3b*R*,7a*S*,8a*S*)-2,2,5,5-tetramethyltetrahydro-8a*H*-[1,3]dioxolo[4',5':4,5]furo[3,2-*d*][1,3]dioxin-8a-yl)imidazo[1,2-*c*]quinazoline (56)



Prepared from Prepared from **SI-4-5** (0.1 mmol, 1.0 equiv) and **SI-1-1** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **56** (16.0 mg, 39% yield) as yellow solid.

TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

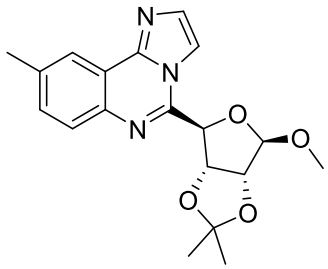
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, *J* = 8.0 Hz, 1H), 8.24 (d, *J* = 1.5 Hz, 1H), 7.63 – 7.56 (m, 2H), 7.37 (ddd, *J* = 9.9, 8.1, 1.2 Hz, 1H), 5.91 (s, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 4.38 (q, *J* = 2.1 Hz, 1H), 4.16 (dd, *J* = 13.7, 2.5 Hz, 1H), 4.06 (d, *J* = 13.8 Hz, 1H), 1.60 (s, 3H), 1.45 (s, 3H), 1.33 (s, 3H), 1.19 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 161.17 (d, *J* = 248.0 Hz), 156.57, 136.08, 130.16 (d, *J* = 13.9 Hz), 129.55 (d, *J* = 11.5 Hz), 129.26, 118.15, 116.30 (d, *J* = 20.7 Hz), 111.29 (d, *J* = 10.8 Hz), 115.82, 113.62, 113.22, 97.65, 87.21, 74.47, 73.31, 60.00, 28.73, 27.03, 26.11, 18.77.

^{19}F NMR (471 MHz, $CDCl_3$): δ -122.92.

HRMS (ESI): m/z calculated for $C_{21}H_{22}FN_3NaO_5^+$ $[M+Na]^+$, 438.1436; found, 438.1436.

5-((3a*R*,4*R*,6*R*,6a*R*)-6-methoxy-2,2-dimethyltetrahydrofuro[3,4-*d*][1,3]dioxol-4-yl)-9-methylimidazo[1,2-*c*]quinazoline (57)



Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-2** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **57** (15.8 mg, 44% yield) as white solid.

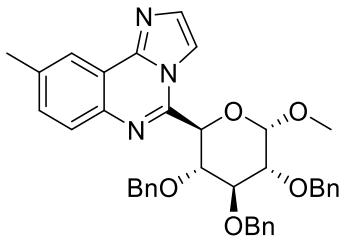
TLC: R*f* = 0.40 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (s, 1H), 8.07 (d, *J* = 1.5 Hz, 1H), 7.82 (d, *J* = 8.3 Hz, 1H), 7.62 (d, *J* = 1.5 Hz, 1H), 7.50 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.23 (d, *J* = 5.9 Hz, 1H), 5.50 (s, 1H), 5.08 (s, 1H), 4.77 (d, *J* = 5.9 Hz, 1H), 2.77 (s, 3H), 2.57 (s, 3H), 1.60 (s, 3H), 1.46 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.53, 144.11, 139.07, 138.40, 132.50, 131.65, 128.54, 122.28, 113.04, 112.72, 110.39, 85.09, 83.45, 81.01, 55.51, 26.65, 25.16, 21.81.

HRMS (ESI): m/z calculated for $C_{19}H_{22}N_3O_4^+$ $[M+H]^+$, 356.1605; found, 356.1601.

9-methyl-5-((2*R*,3*R*,4*S*,5*R*,6*S*)-3,4,5-tris(benzyloxy)-6-methoxytetrahydro-2*H*-pyran-2-yl)imidazo[1,2-*c*]quinazoline (58)



Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-7** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **58** (6.5 mg, 11% yield) as yellow solid.

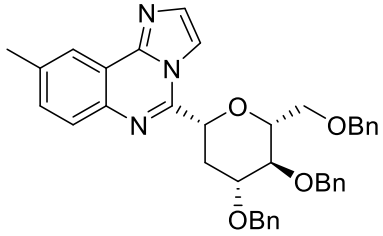
TLC: R*f* = 0.25 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (600 MHz, $CDCl_3$): δ 8.31 (d, *J* = 2.0 Hz, 1H), 7.83 (d, *J* = 8.3 Hz, 1H), 7.72 (d, *J* = 1.4 Hz, 1H), 7.54 (d, *J* = 1.5 Hz, 1H), 7.49 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.40 (d, *J* = 6.9 Hz, 4H), 7.36 (t, *J* = 7.5 Hz, 4H), 7.33 – 7.29 (m, 2H), 6.91 (t, *J* = 7.4 Hz, 1H), 6.83 (t, *J* = 7.5 Hz, 2H), 6.66 – 6.63 (m, 2H), 5.12 (d, *J* = 9.1 Hz, 1H), 5.05 (d, *J* = 10.8 Hz, 1H), 4.90 (dd, *J* = 18.8, 11.5 Hz, 2H), 4.75 (d, *J* = 3.6 Hz, 1H), 4.72 (d, *J* = 12.1 Hz, 1H), 4.64 (d, *J* = 11.1 Hz, 1H), 4.38 (d, *J* = 11.1 Hz, 1H), 4.23 (p, *J* = 8.9 Hz, 2H), 3.77 (dd, *J* = 9.1, 3.6 Hz, 1H), 3.51 (s, 3H), 2.58 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 144.14, 143.77, 139.18, 138.68, 138.50, 138.12, 137.10, 132.40, 131.68, 128.74, 128.62, 128.34, 128.30, 128.27, 128.15, 128.09, 127.98, 127.90, 127.70, 122.24, 119.03, 111.94, 99.45, 82.16, 79.69, 78.85, 77.37, 77.16, 76.95, 76.21, 75.11, 73.88, 70.87, 56.63, 21.85.

HRMS (ESI): m/z calculated for $C_{38}H_{38}N_3O_5^+$ $[M+H]^+$, 616.2806; found, 616.2817.

5-((2*R*,4*R*,5*S*,6*R*)-4,5-bis(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2*H*-pyran-2-yl)-9-methylimidazo[1,2-*c*]quinazoline (59)



Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-6** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **59** (4.3 mg, 7% yield) as yellow solid.

TLC: R*f* = 0.30 (Ethyl acetate/Petroleum Ether = 1/1)

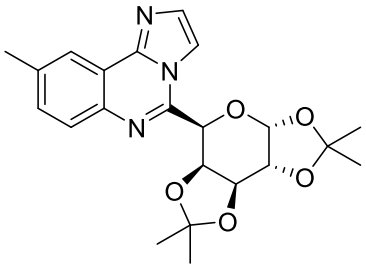
^{1}H NMR (600 MHz, $CDCl_3$): δ 8.35 – 8.32 (m, 1H), 8.10 (d, *J* = 1.4 Hz, 1H), 7.80

(d, *J* = 8.3 Hz, 1H), 7.52 – 7.48 (m, 2H), 7.38 (d, *J* = 7.1 Hz, 2H), 7.36 – 7.31 (m, 8H), 7.29 (ddd, *J* = 16.1, 6.6, 4.1 Hz, 5H), 4.99 (d, *J* = 10.8 Hz, 1H), 4.87 (dd, *J* = 12.0, 2.2 Hz, 1H), 4.80 (d, *J* = 11.6 Hz, 1H), 4.66 (dd, *J* = 21.7, 11.2 Hz, 2H), 4.57 (d, *J* = 11.9 Hz, 1H), 4.53 (d, *J* = 11.9 Hz, 1H), 3.92 (ddd, *J* = 11.3, 8.6, 4.7 Hz, 1H), 3.83 (d, *J* = 10.0 Hz, 1H), 3.78 – 3.75 (m, 1H), 3.75 – 3.72 (m, 2H), 2.72 (ddd, *J* = 13.2, 5.0, 2.2 Hz, 1H), 2.57 (s, 3H), 2.30 (dt, *J* = 13.2, 11.7 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 144.69, 144.22, 139.07, 138.38, 138.31, 138.22, 132.35, 131.63, 128.63, 128.59, 128.53, 128.22, 128.08, 128.03, 128.01, 127.97, 127.92, 127.89, 127.84, 122.31, 119.05, 113.86, 80.64, 79.80, 77.98, 77.37, 77.16, 76.95, 76.67, 75.44, 73.62, 71.69, 69.38, 33.33, 21.83.

HRMS (ESI): m/z calculated for $C_{38}H_{37}N_3NaO_4^+$ $[M+Na]^+$, 622.2676; found, 622.2686.

9-methyl-5-((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)imidazo[1,2-*c*]quinazoline (60)



Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-9** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **60** (33.6 mg, 82% yield) as yellow oil.

TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/2)

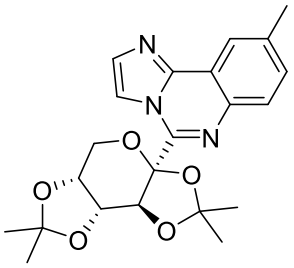
^{1}H NMR (500 MHz, $CDCl_3$): δ 8.33 (d, *J* = 2.0 Hz, 1H), 8.28 (d, *J* = 1.4 Hz, 1H), 7.78 (d, *J* = 8.3 Hz, 1H), 7.50 (d, *J* = 1.5 Hz, 1H), 7.46 (dd, *J* = 8.4, 2.0 Hz, 1H), 5.83 (d, *J* = 4.9 Hz, 1H), 5.34 (s, 1H), 4.77 (d, *J* = 1.5 Hz, 2H), 4.48 (dd, *J* = 5.1, 1.5 Hz,

1H), 2.55 (s, 3H), 1.65 (s, 3H), 1.47 (s, 3H), 1.41 (s, 3H), 1.28 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.33, 144.08, 138.67, 138.44, 131.42, 131.25, 127.78, 122.24, 118.98, 115.28, 109.90, 109.45, 96.60, 73.35, 71.76, 70.95, 70.88, 26.43, 25.93, 25.00, 23.80, 21.79.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1867.

9-methyl-5-((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)imidazo[1,2-*c*]quinazoline (61)



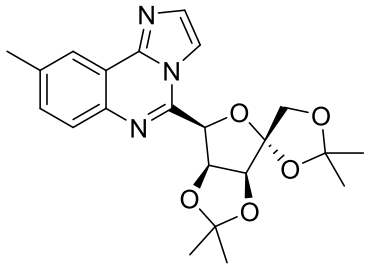
Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-5** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **61** (27.4 mg, 67% yield) as yellow solid.
TLC: R*f* = 0.50 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.36 – 8.33 (m, 1H), 8.17 (d, *J* = 1.5 Hz, 1H), 7.88 (d, *J* = 8.3 Hz, 1H), 7.54 (d, *J* = 1.5 Hz, 1H), 7.48 (dd, *J* = 8.3, 2.0 Hz, 1H), 5.90 (d, *J* = 2.2 Hz, 1H), 4.79 (dd, *J* = 8.0, 2.2 Hz, 1H), 4.37 (dq, *J* = 8.0, 1.0 Hz, 1H), 4.14 (dd, *J* = 12.9, 2.0 Hz, 1H), 3.94 (dd, *J* = 12.8, 1.0 Hz, 1H), 2.56 (s, 3H), 1.60 (s, 3H), 1.35 (s, 3H), 1.26 (s, 3H), 1.17 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 144.16, 143.78, 139.26, 137.90, 131.46, 131.36, 128.68, 122.19, 119.20, 115.74, 109.39, 109.37, 102.52, 71.01, 70.90, 70.38, 61.26, 26.16, 25.97, 25.00, 24.45, 21.81.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1868.

9-methyl-5-((3a*S*,4*R*,6*R*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)imidazo[1,2-*c*]quinazoline (62a)



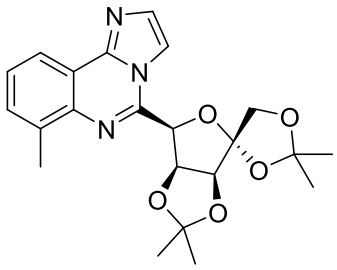
Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-8** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **62a** (15.0 mg, 36% yield) as yellow solid.
TLC: R*f* = 0.70 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (300 MHz, $CDCl_3$): δ 8.34 (s, 1H), 8.00 (s, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.59 (s, 1H), 7.51 (d, *J* = 8.1 Hz, 1H), 6.33 (d, *J* = 5.8 Hz, 1H), 5.41 (s, 1H), 4.81 (d, *J* = 5.8 Hz, 1H), 4.28 (d, *J* = 9.9 Hz, 1H), 4.14 (d, *J* = 10.0 Hz, 1H), 2.57 (s, 3H), 1.55 (s, 3H), 1.45 (s, 3H), 1.04 (s, 3H), 0.64 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 139.40, 138.17, 135.98, 132.46, 131.53, 129.02, 128.50, 122.35, 117.74, 114.20, 113.46, 112.99, 112.23, 85.11, 82.05, 81.23, 69.98, 26.58, 25.97, 25.41, 25.20, 21.81.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1866.

7-methyl-5-((3a*S*,4*R*,6*R*,6a*S*)-2,2,2',2'-tetramethyldihydro-6*H*-spiro[furo[3,4-*d*][1,3]dioxole-4,4'-[1,3]dioxolan]-6-yl)imidazo[1,2-*c*]quinazoline (62b)



Prepared from Prepared from **SI-4-7** (0.1 mmol, 1.0 equiv) and **SI-1-8** (0.15 mmol, 1.5 equiv) according to the general procedure **4**. Purification by flash column (Ethyl acetate/Petroleum Ether = 1/4) afforded **62b** (11.5 mg, 28% yield) as yellow solid.

TLC: R*f* = 0.60 (Ethyl acetate/Petroleum Ether = 1/1)

^{1}H NMR (300 MHz, $CDCl_3$): δ 8.34 (s, 1H), 8.12 (s, 1H), 7.80 (d, *J* = 8.3 Hz, 1H), 7.56 – 7.44 (m, 2H), 5.45 (d, *J* = 4.2 Hz, 1H), 5.26 (t, *J* = 5.0 Hz, 1H), 4.76 (d, *J* = 5.7 Hz, 1H), 4.51 – 4.35 (m, 2H), 2.56 (s, 3H), 1.50 (s, 3H), 1.47 (s, 3H), 1.29 (s, 3H), 1.22 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$): δ 144.44, 142.68, 138.84, 138.49, 131.59, 131.53, 127.82, 122.31, 119.00, 114.52, 113.52, 112.74, 112.48, 84.29, 82.39, 81.76, 69.55, 26.60, 26.53, 25.43, 24.02, 21.80.

HRMS (ESI): m/z calculated for $C_{22}H_{26}N_3O_5^+$ $[M+H]^+$, 412.1867; found, 412.1866.

9 References

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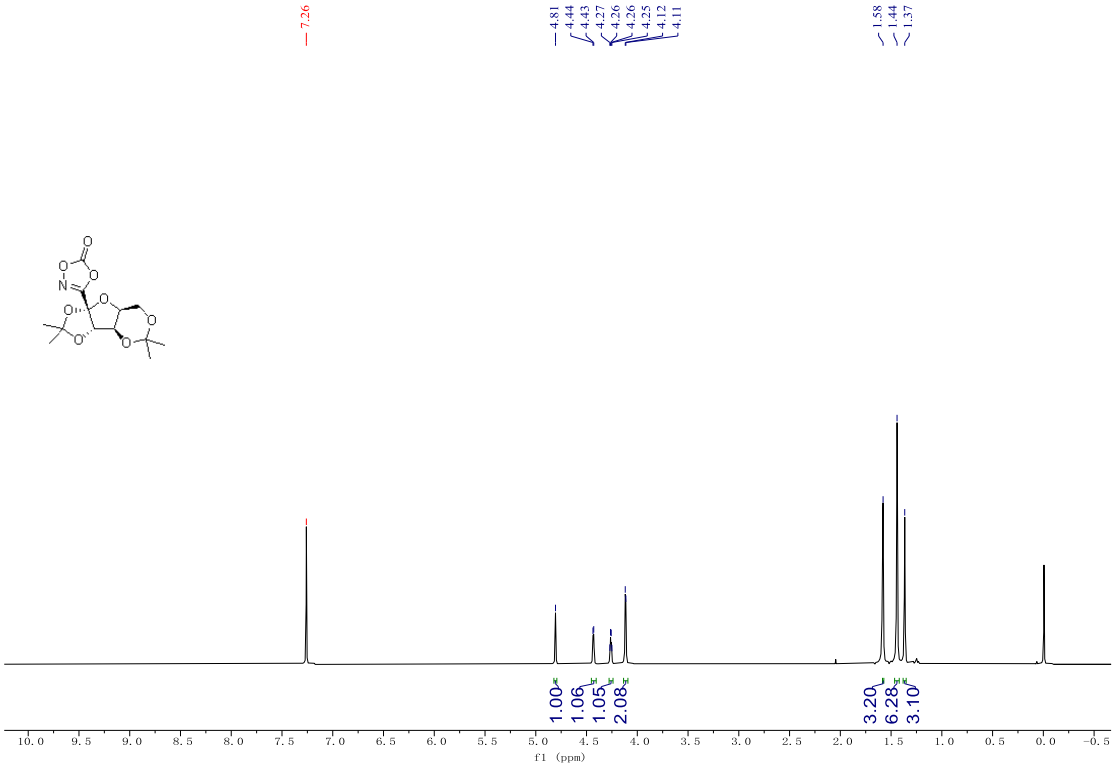
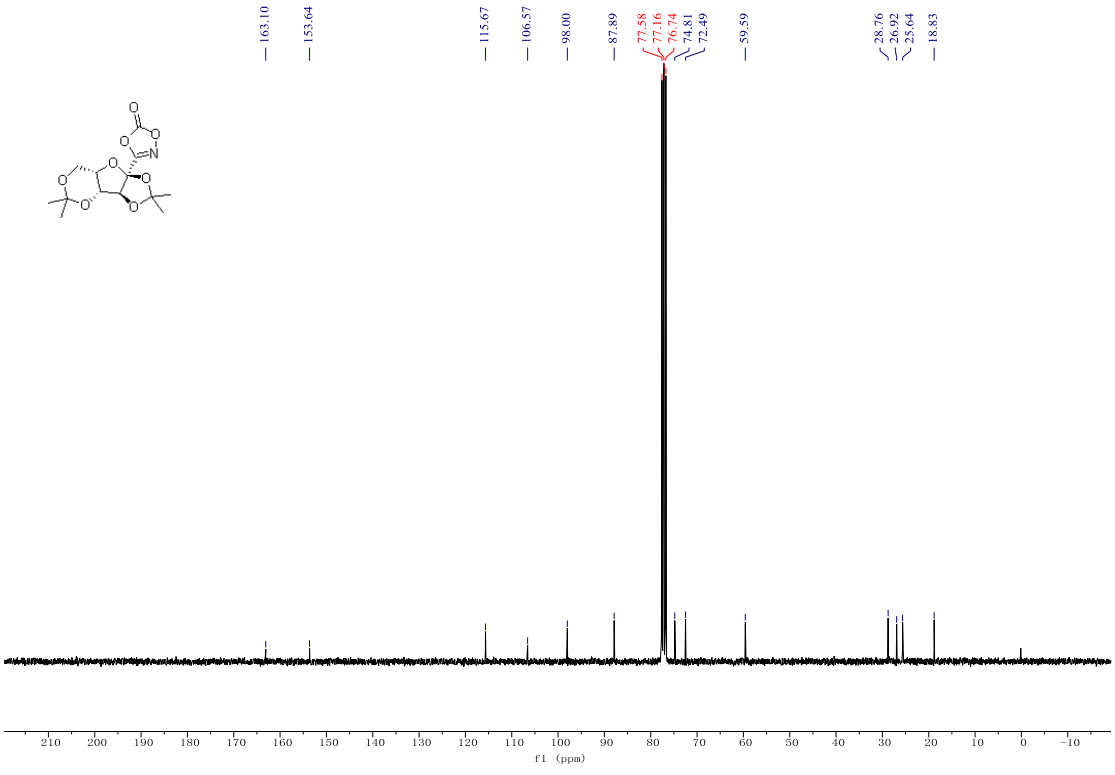
10 NMR Spectra of Substrates and Products

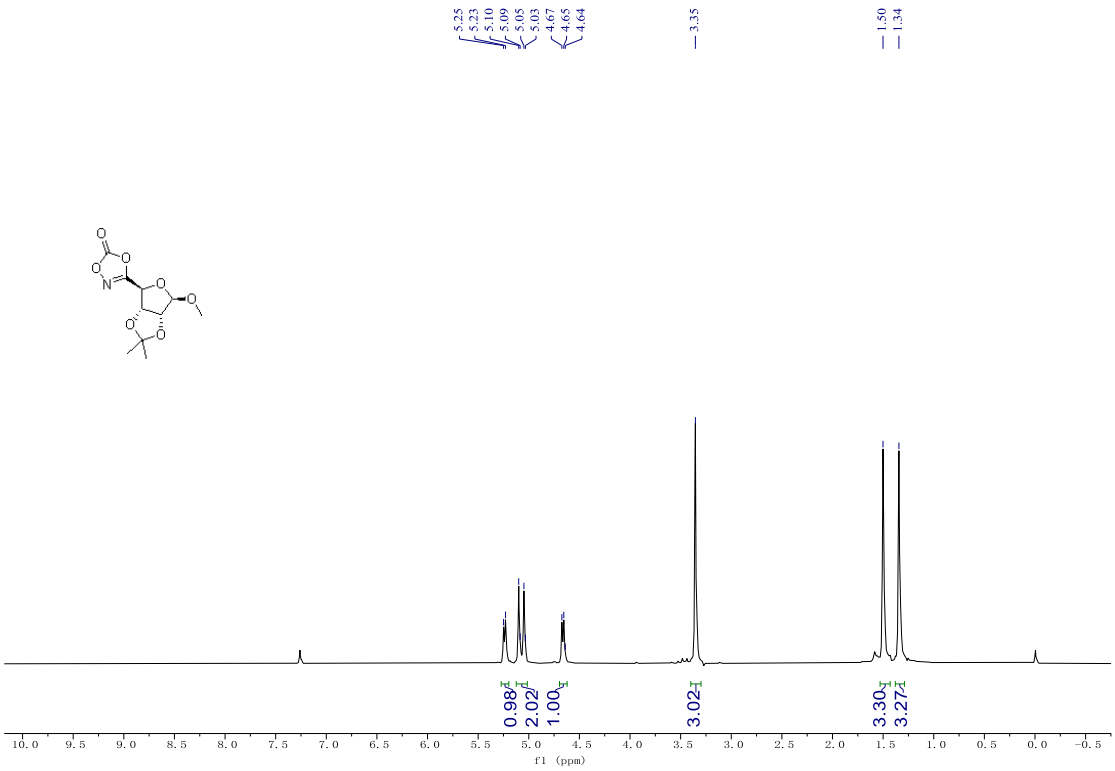
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-1



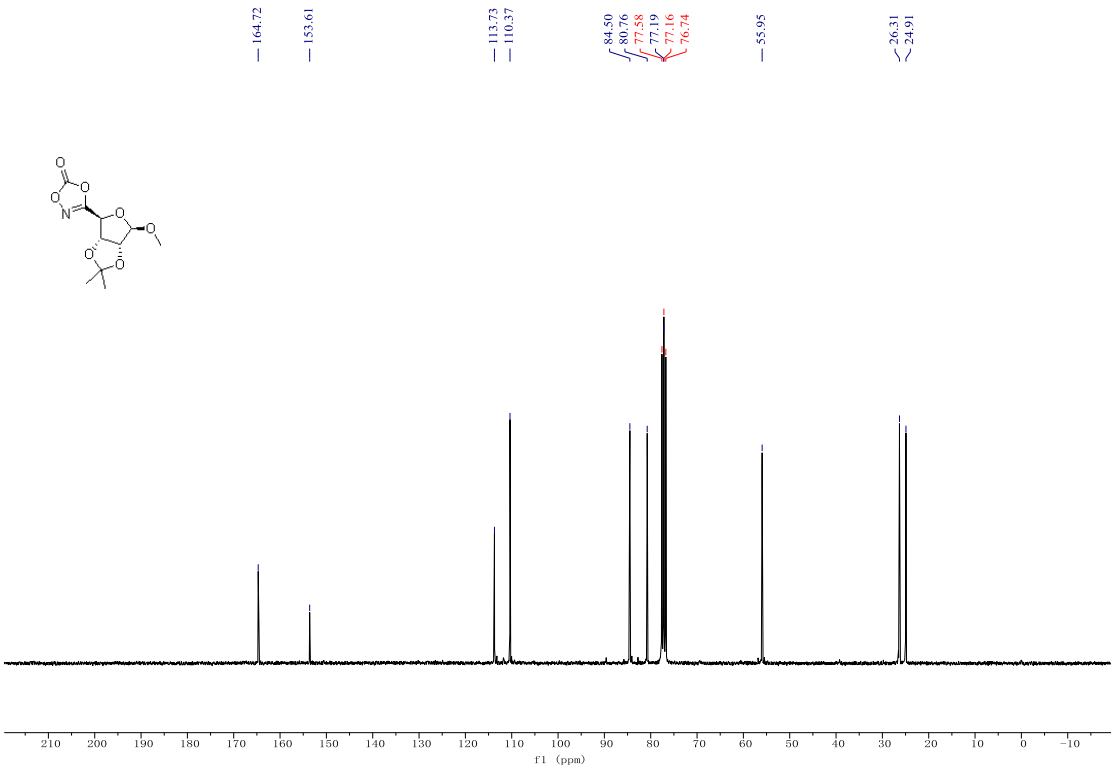
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-1



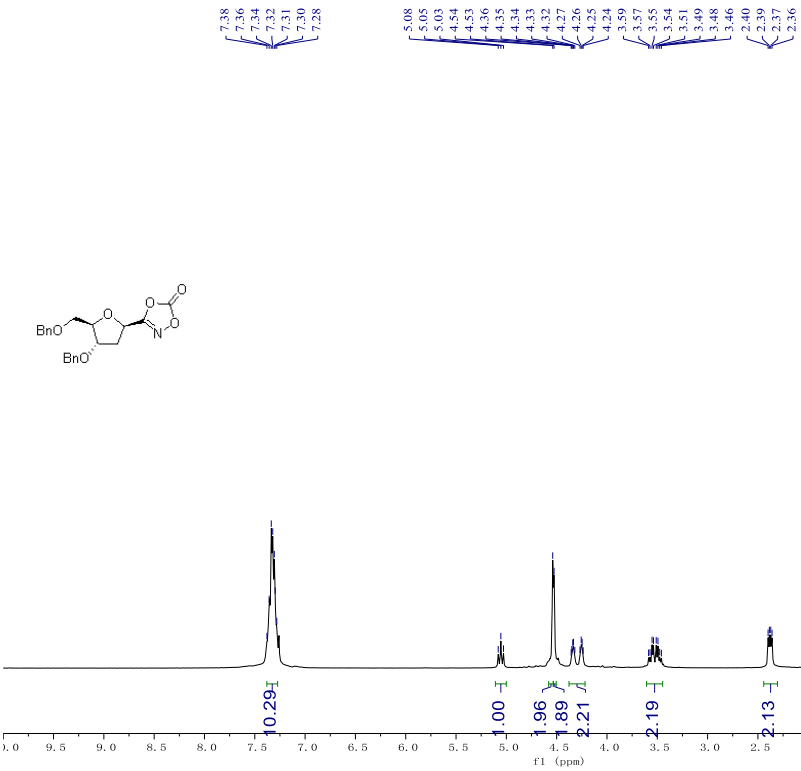
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-2



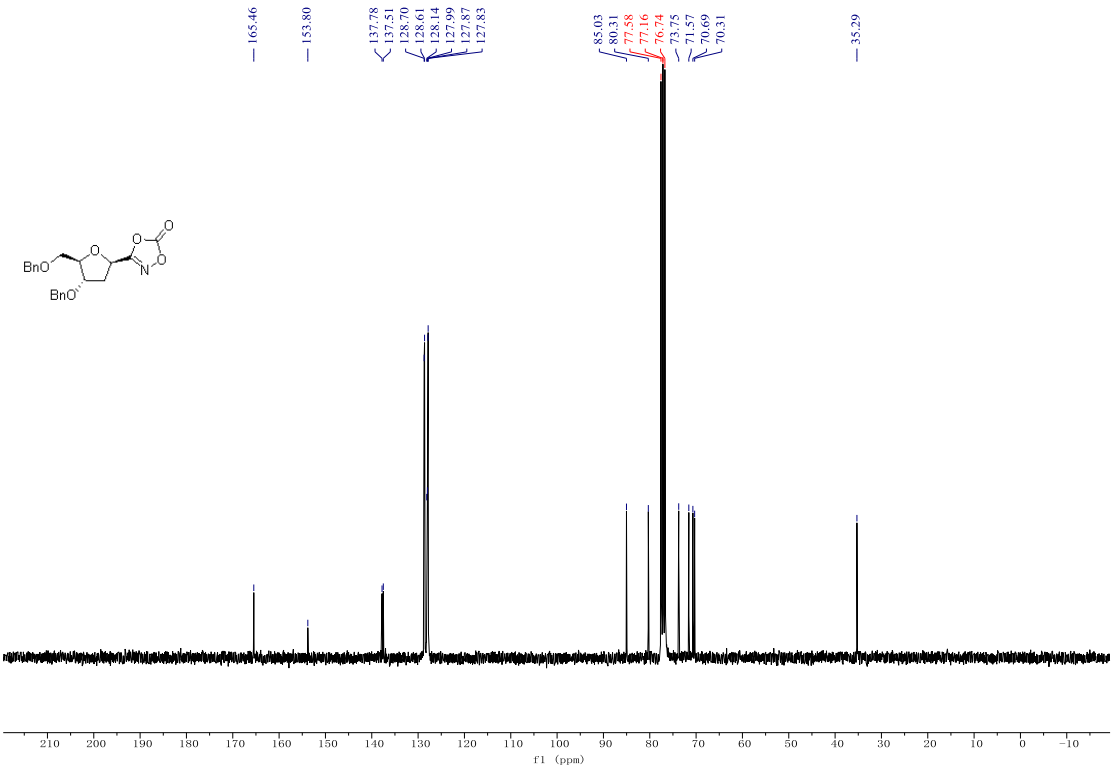
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-2



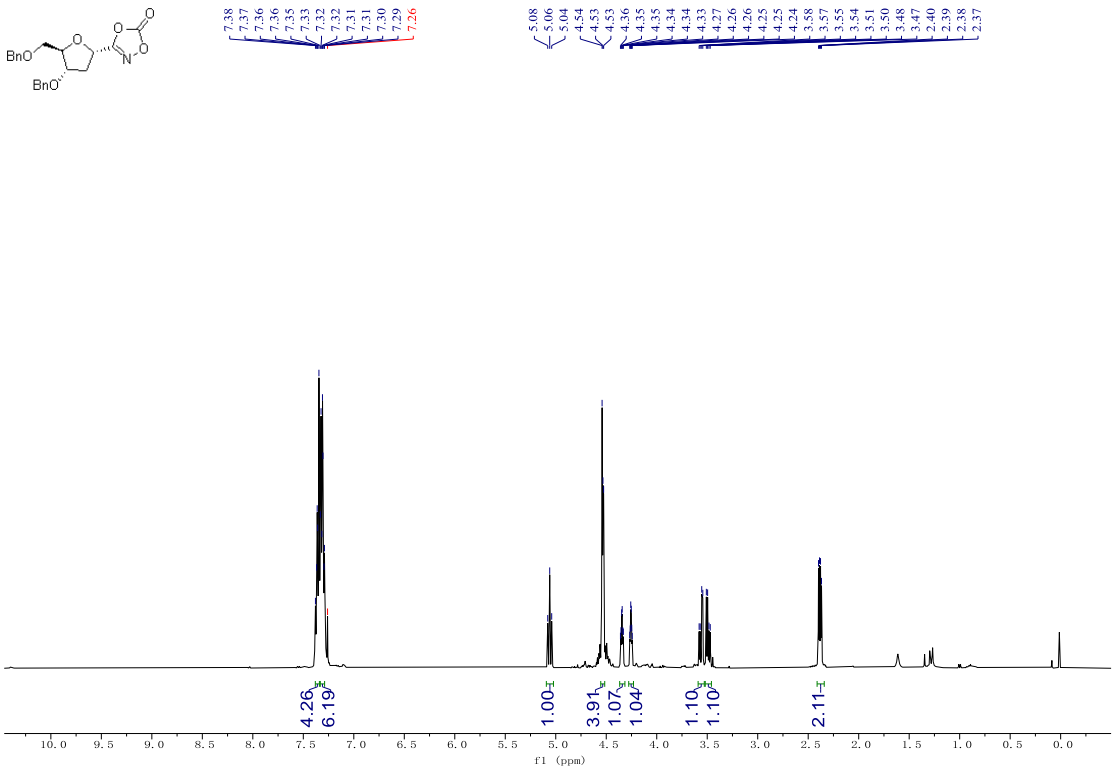
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-3



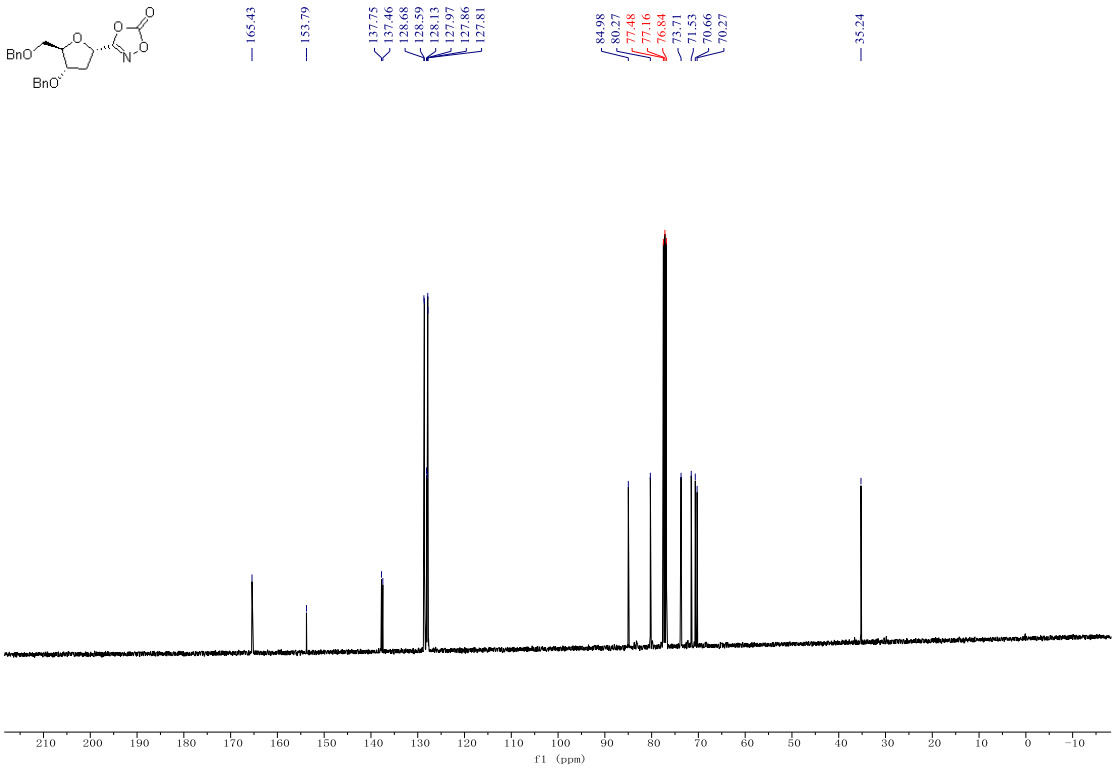
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-3



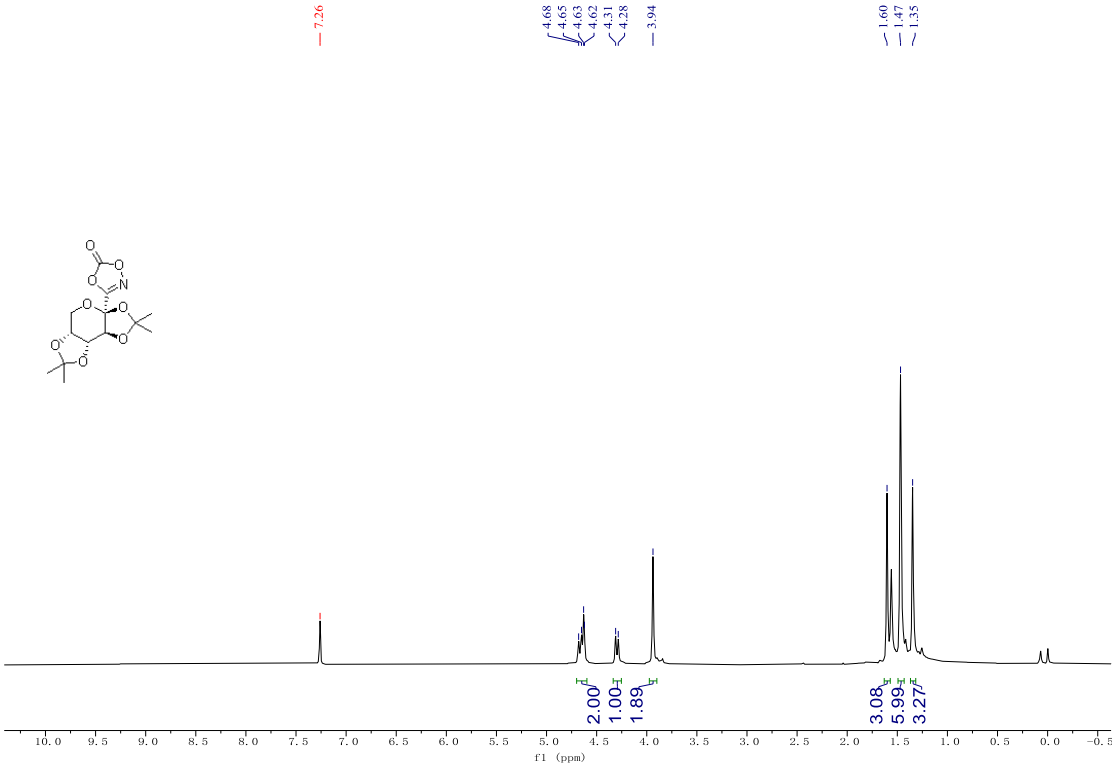
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound SI-1-4



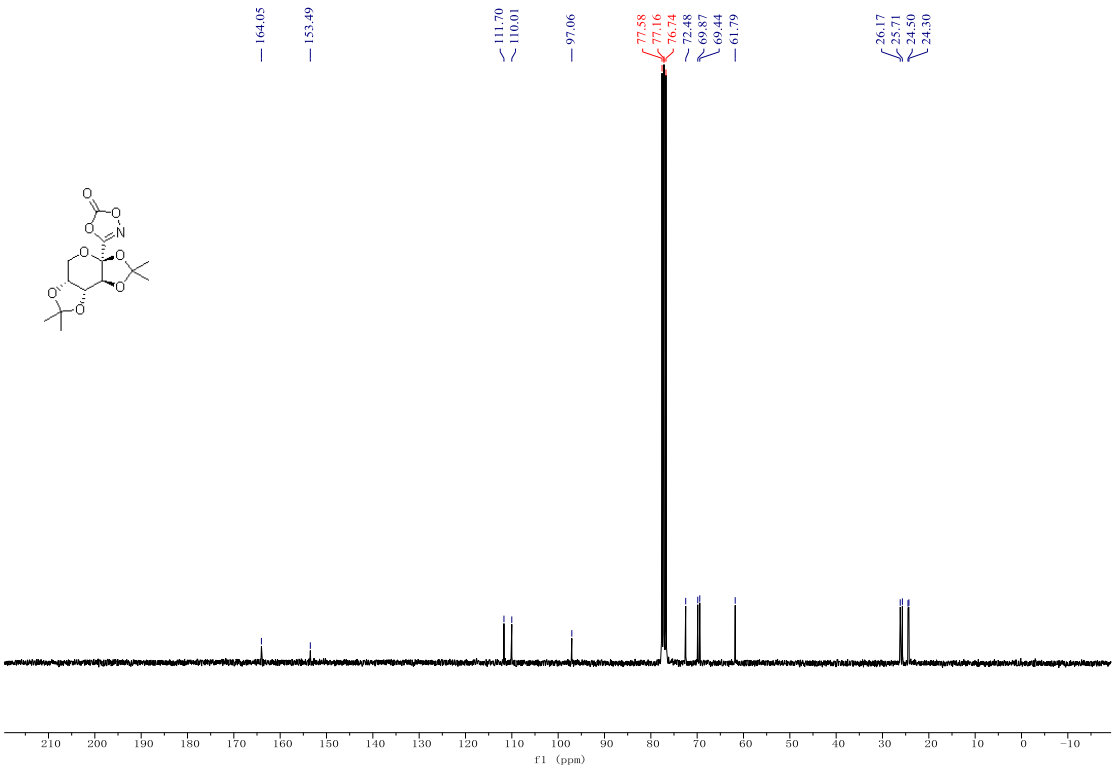
^{13}C NMR (101 MHz, $CDCl_3$) Spectra of compound SI-1-4



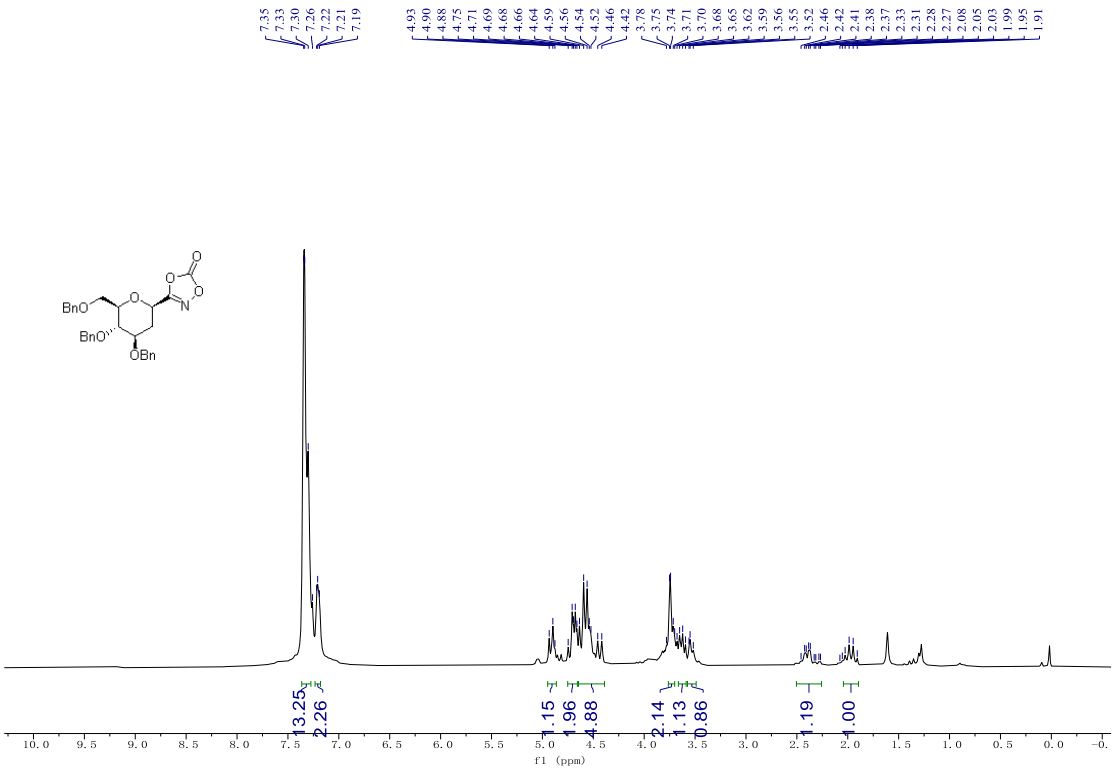
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-5



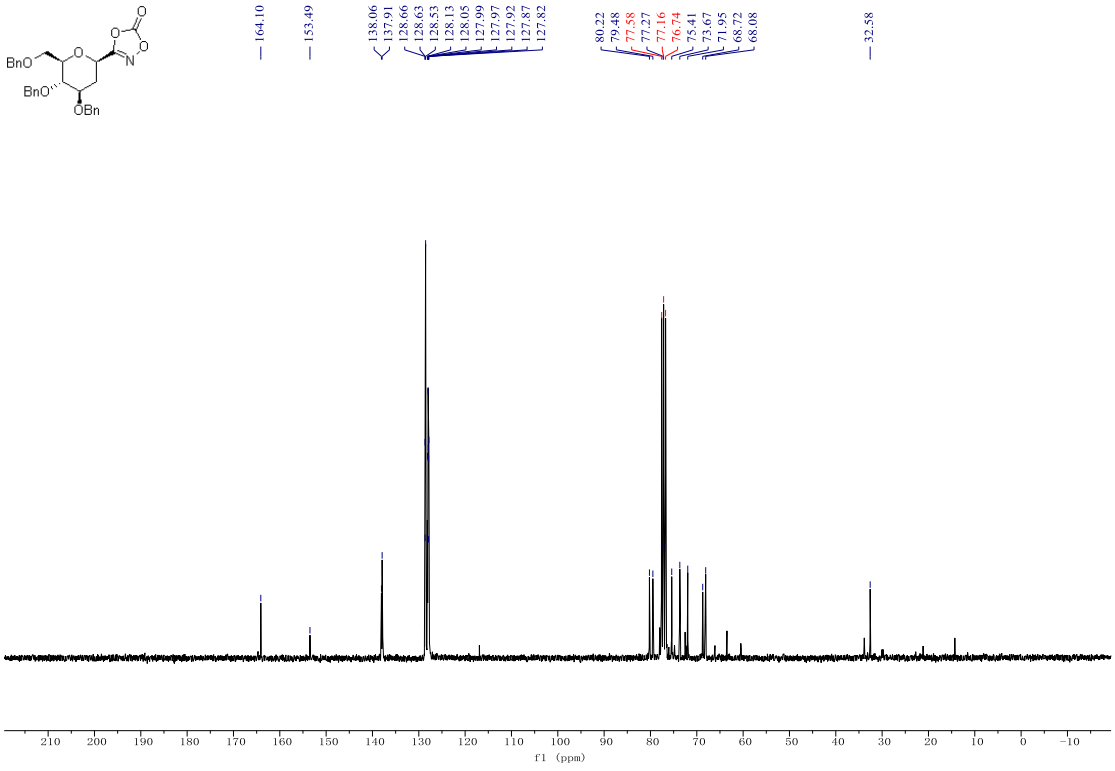
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-5



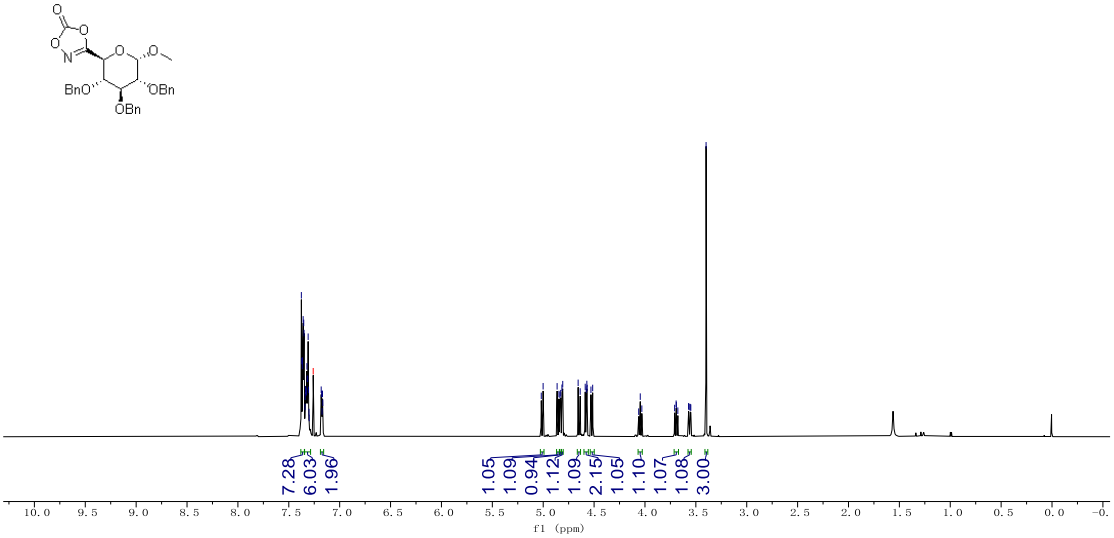
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-6



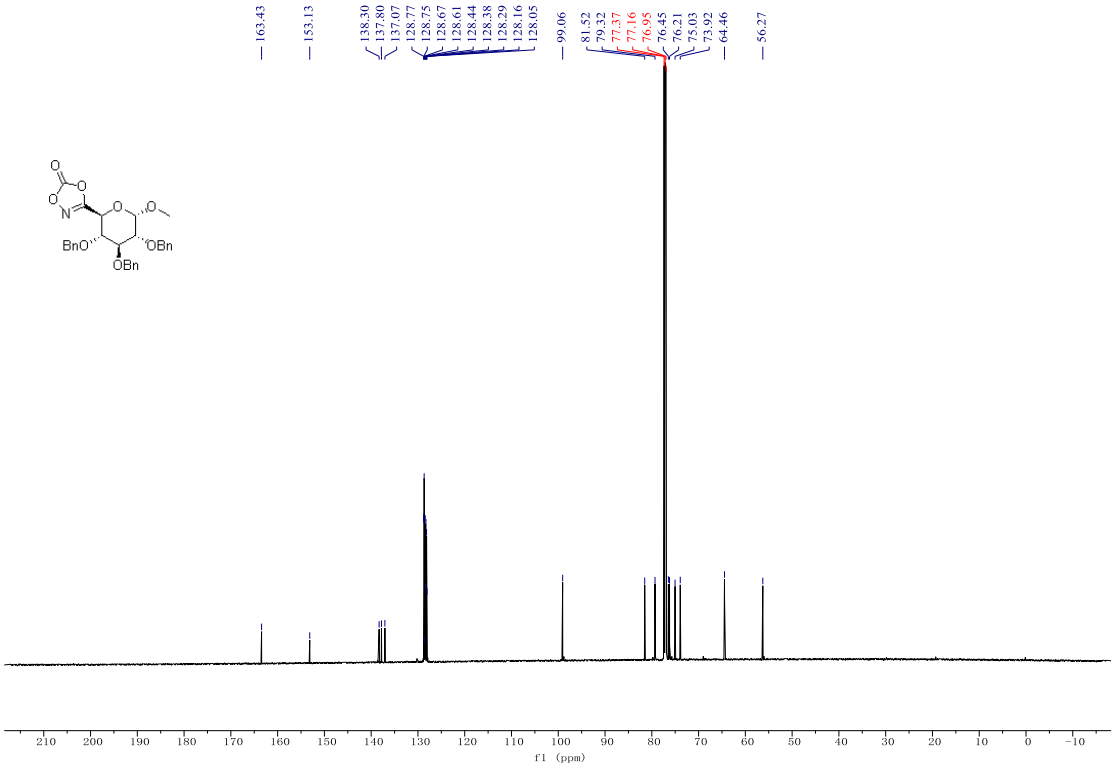
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-6



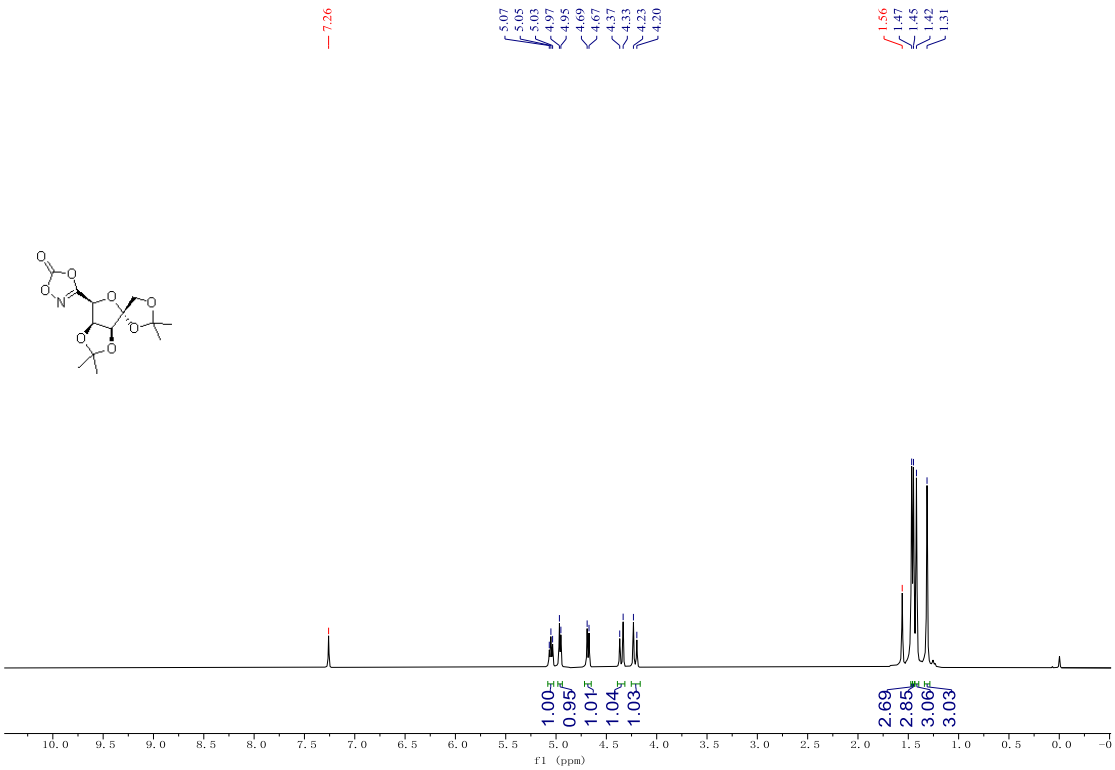
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound SI-1-7



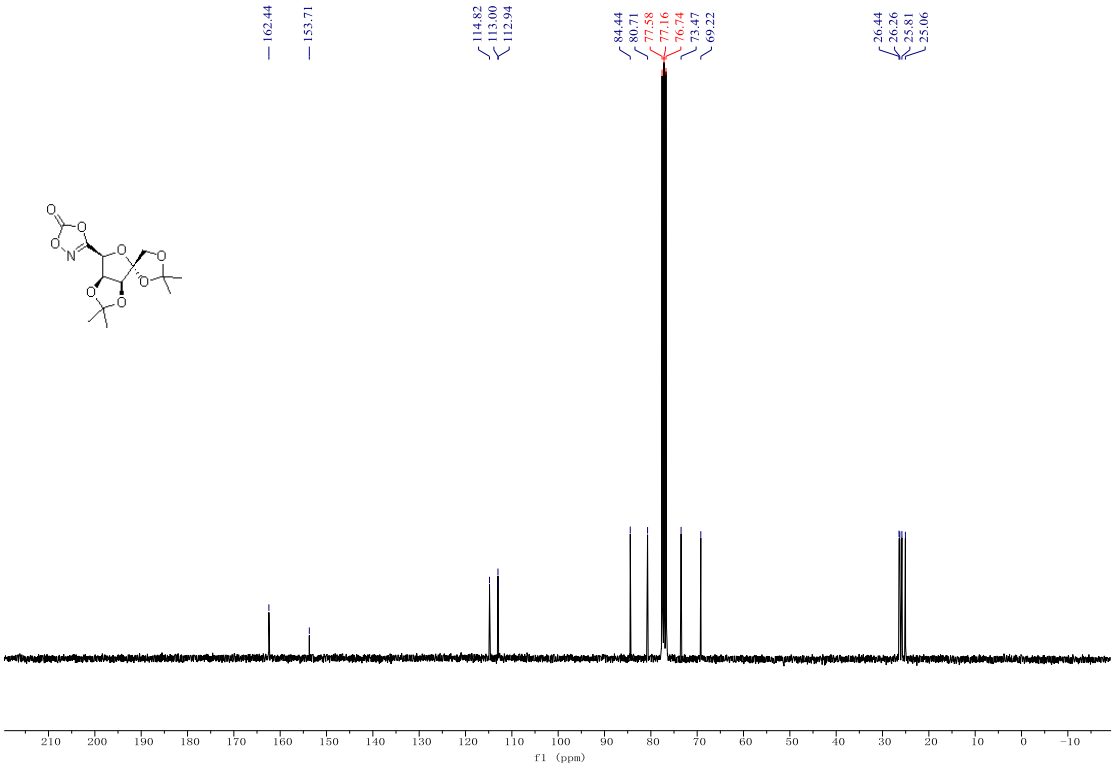
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound SI-1-7



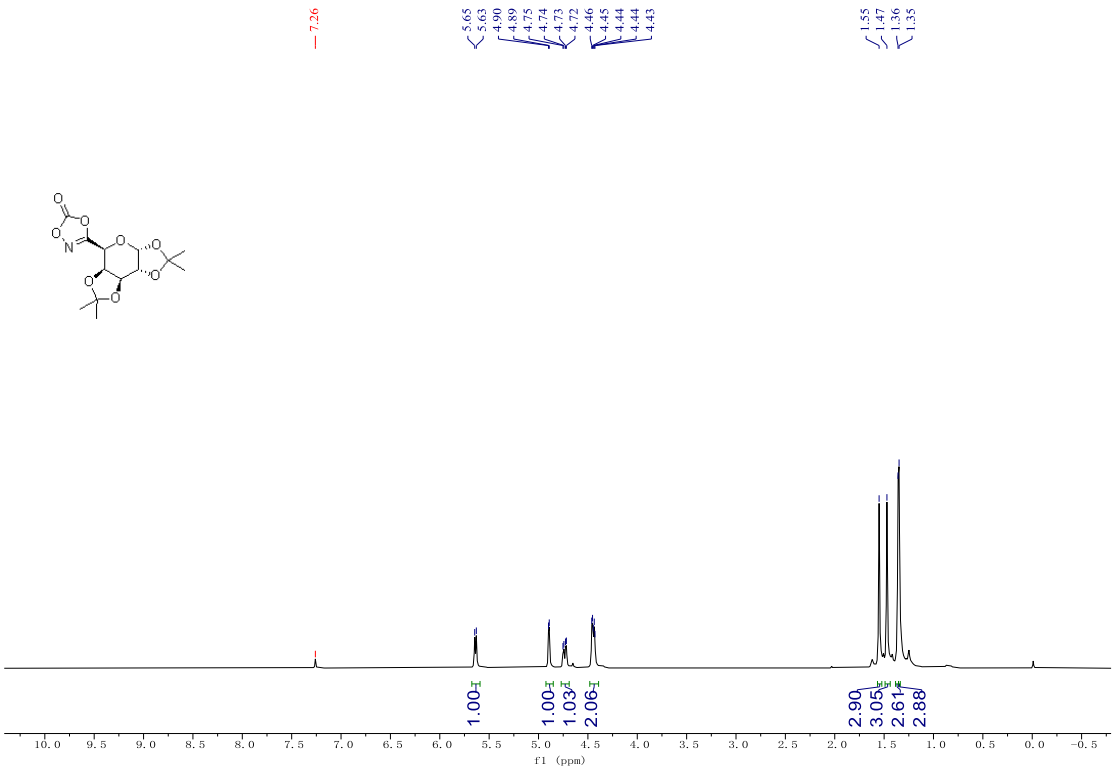
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-8



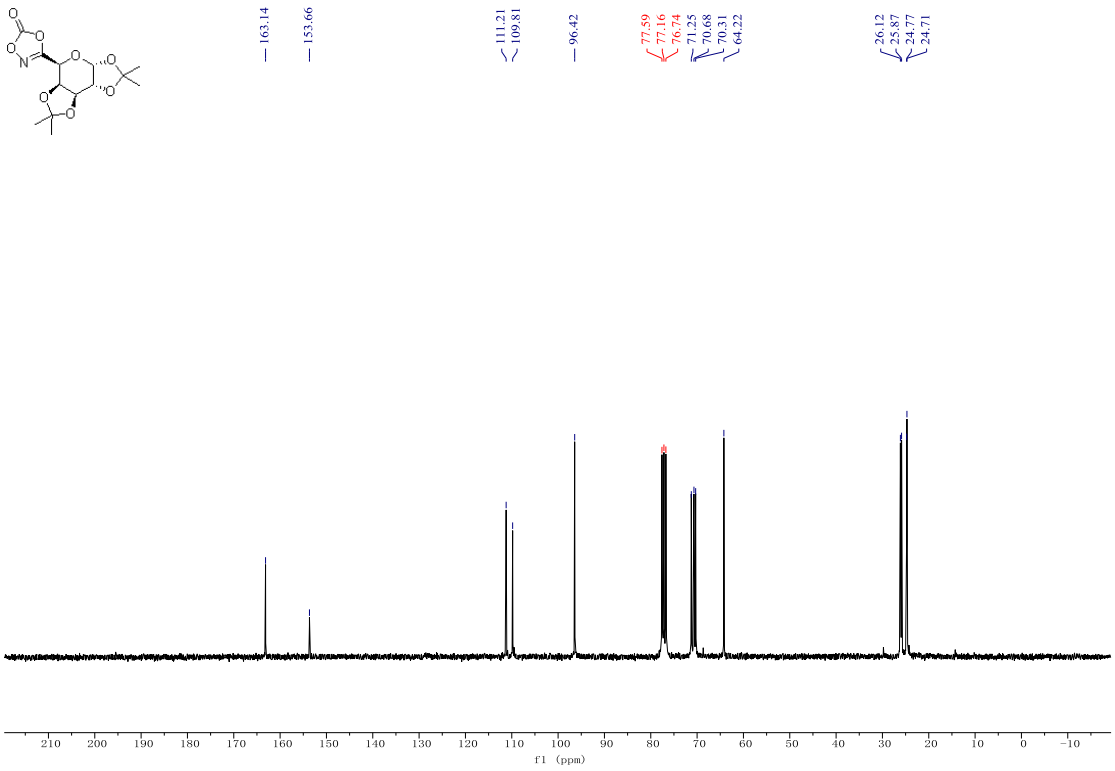
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-8



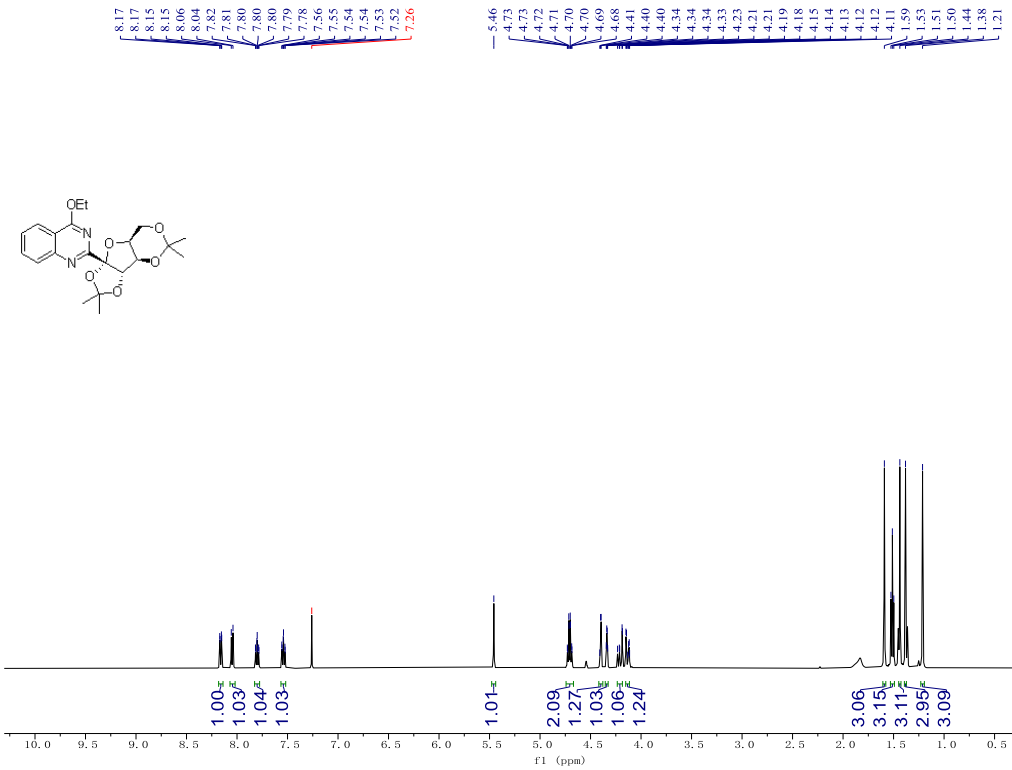
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound SI-1-9



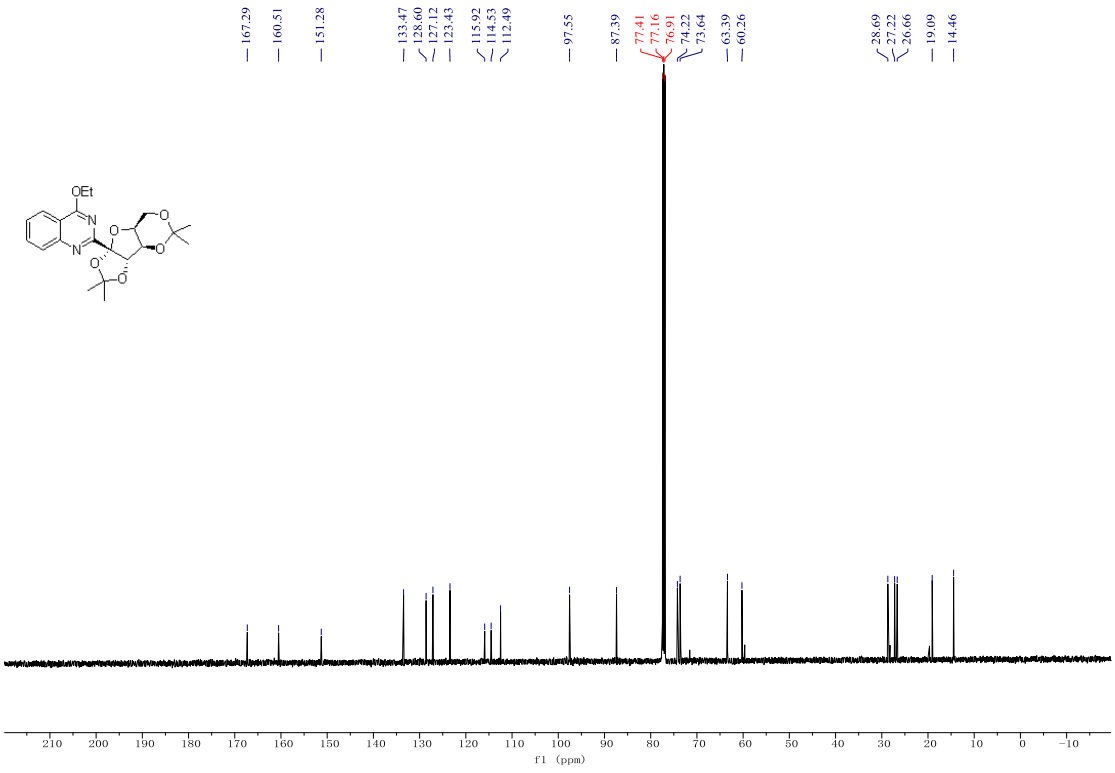
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound SI-1-9



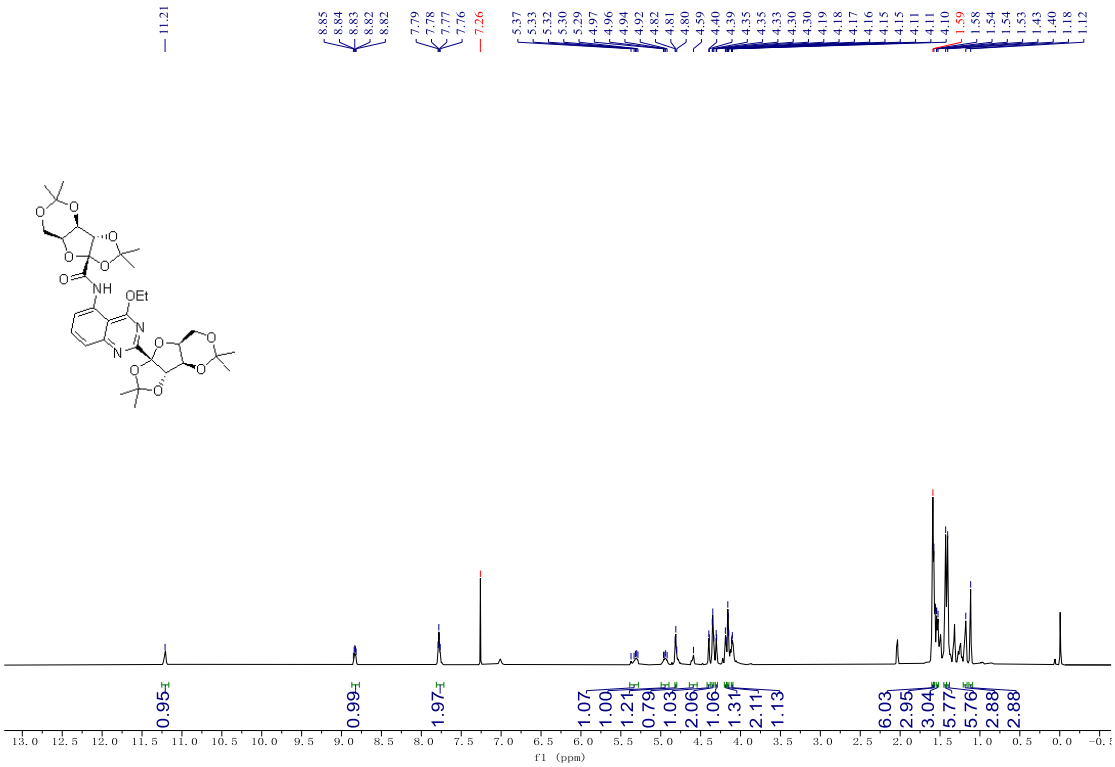
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 1



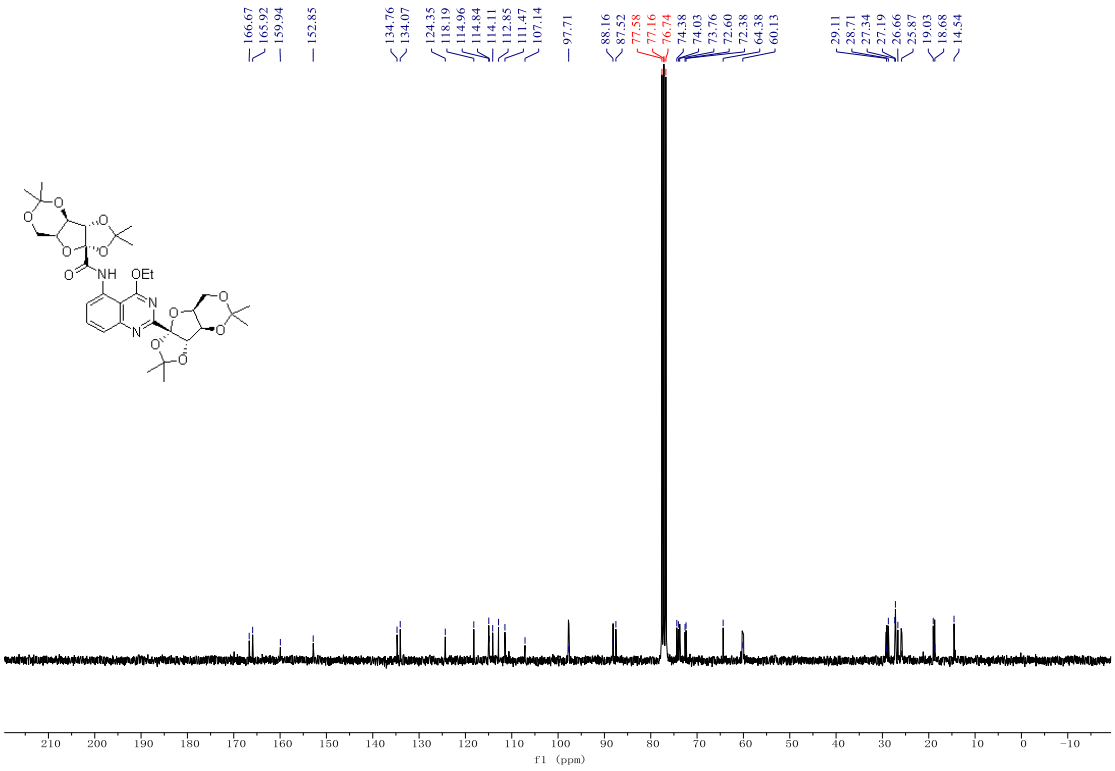
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 1



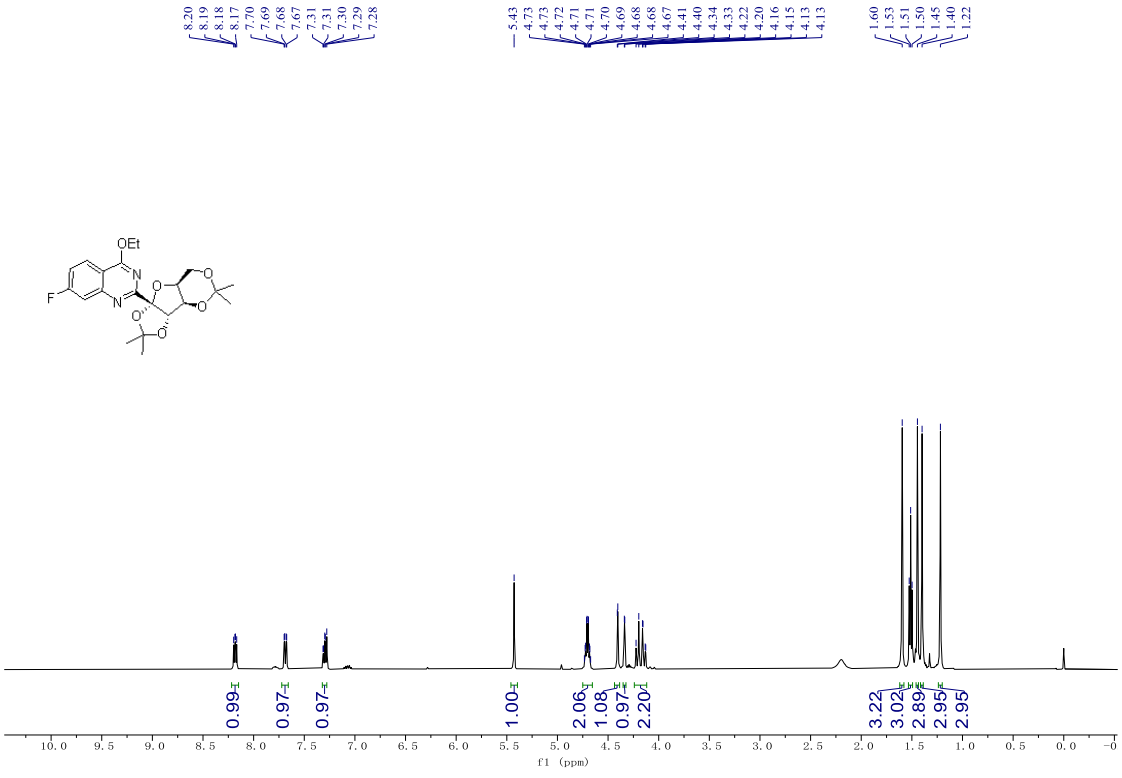
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound 1’



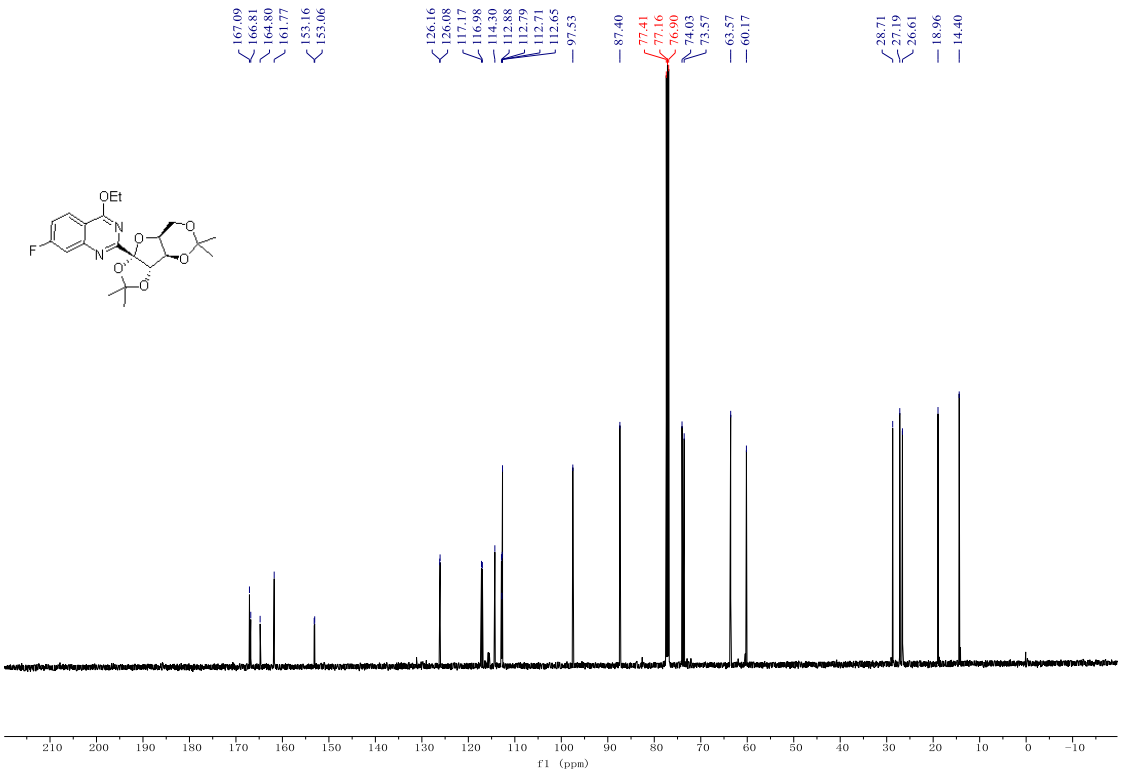
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 1’



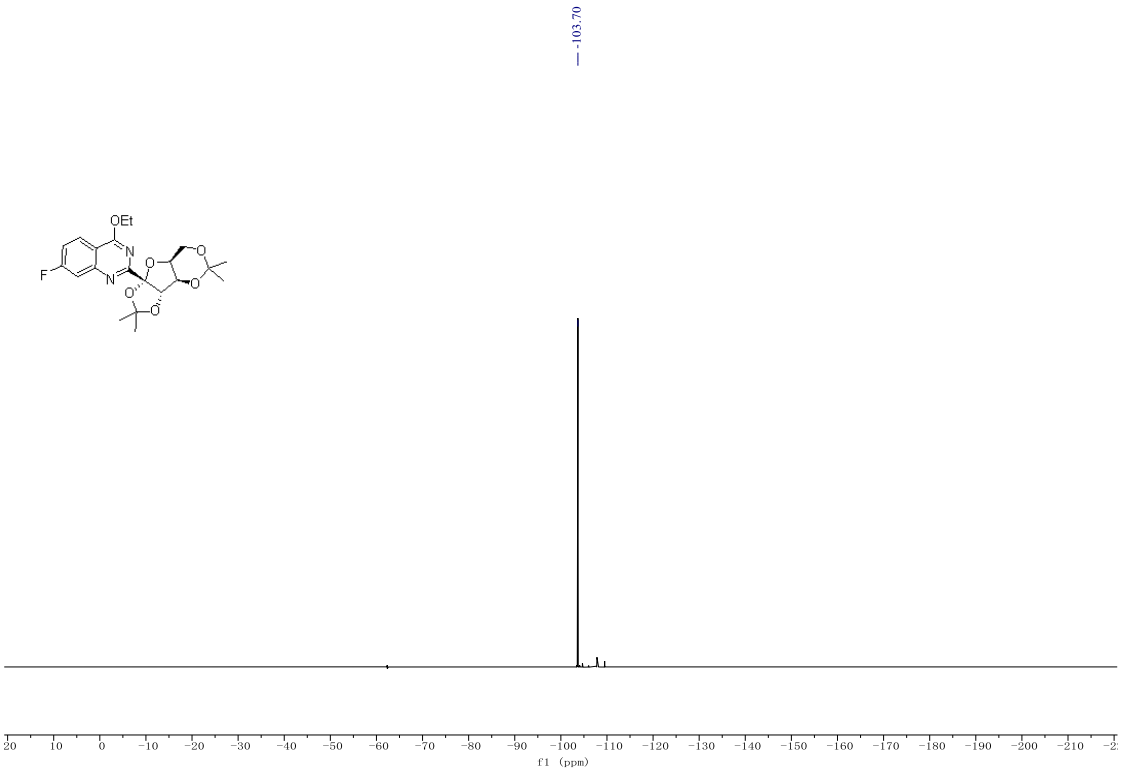
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 2



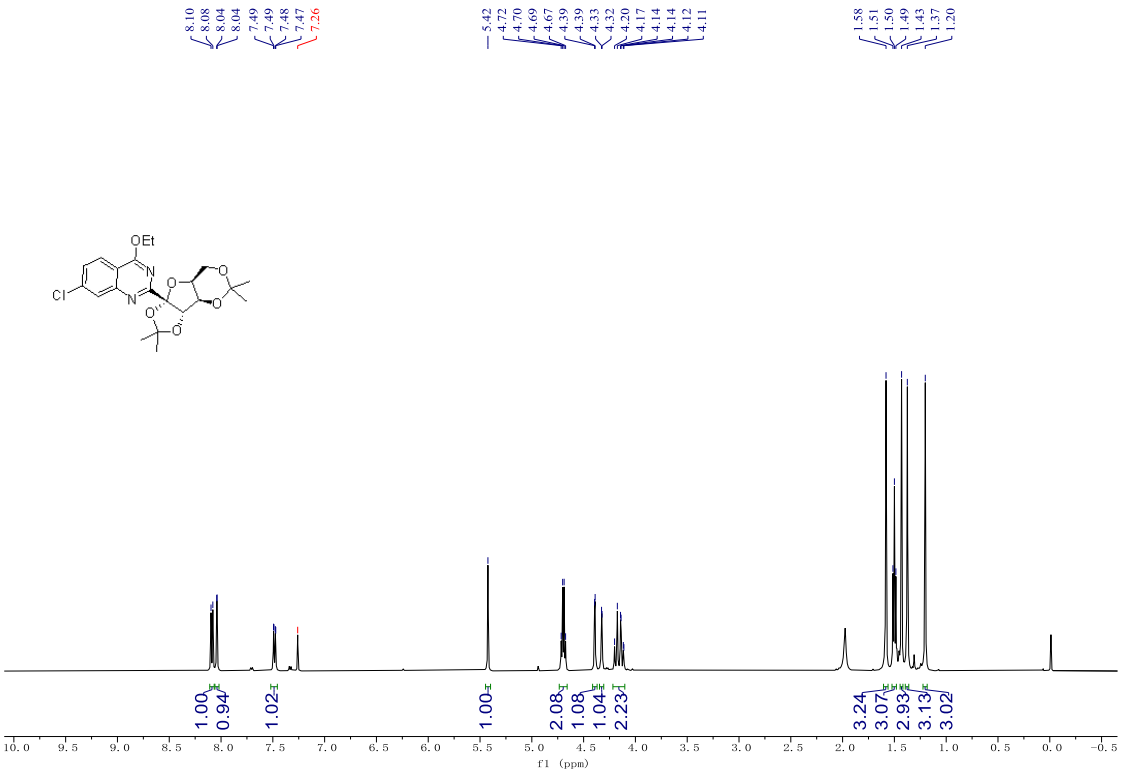
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 2



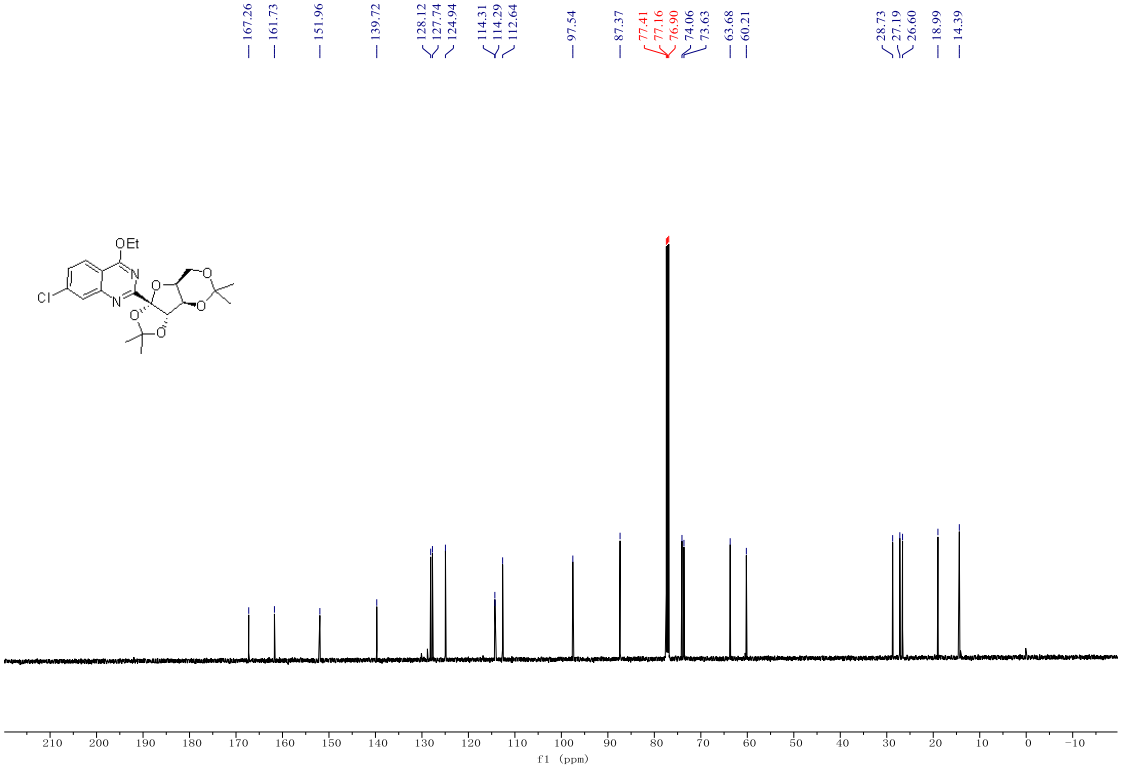
^{19}F NMR (471 MHz, $CDCl_3$) Spectra of compound 2



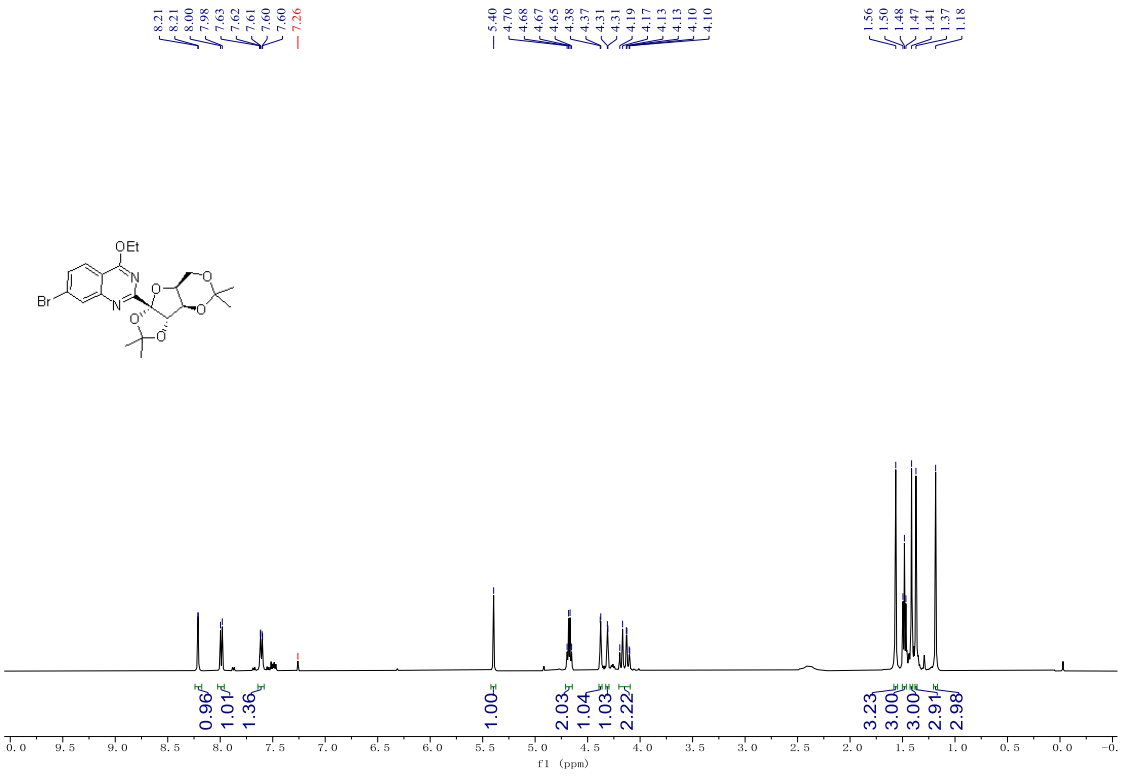
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 3



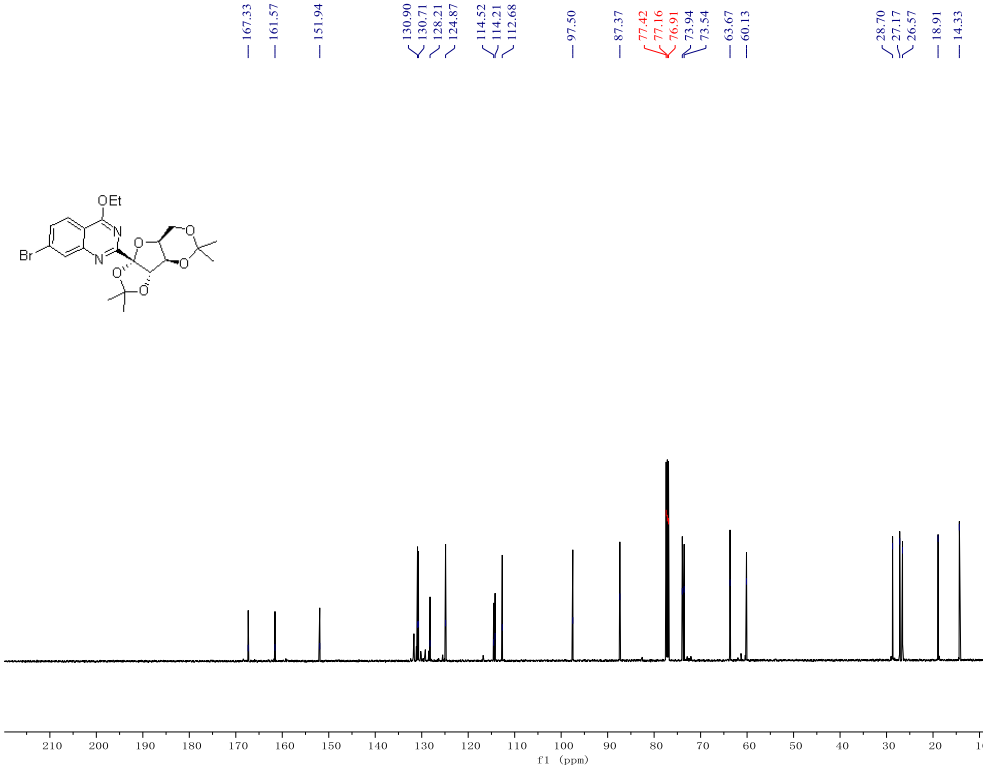
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 3



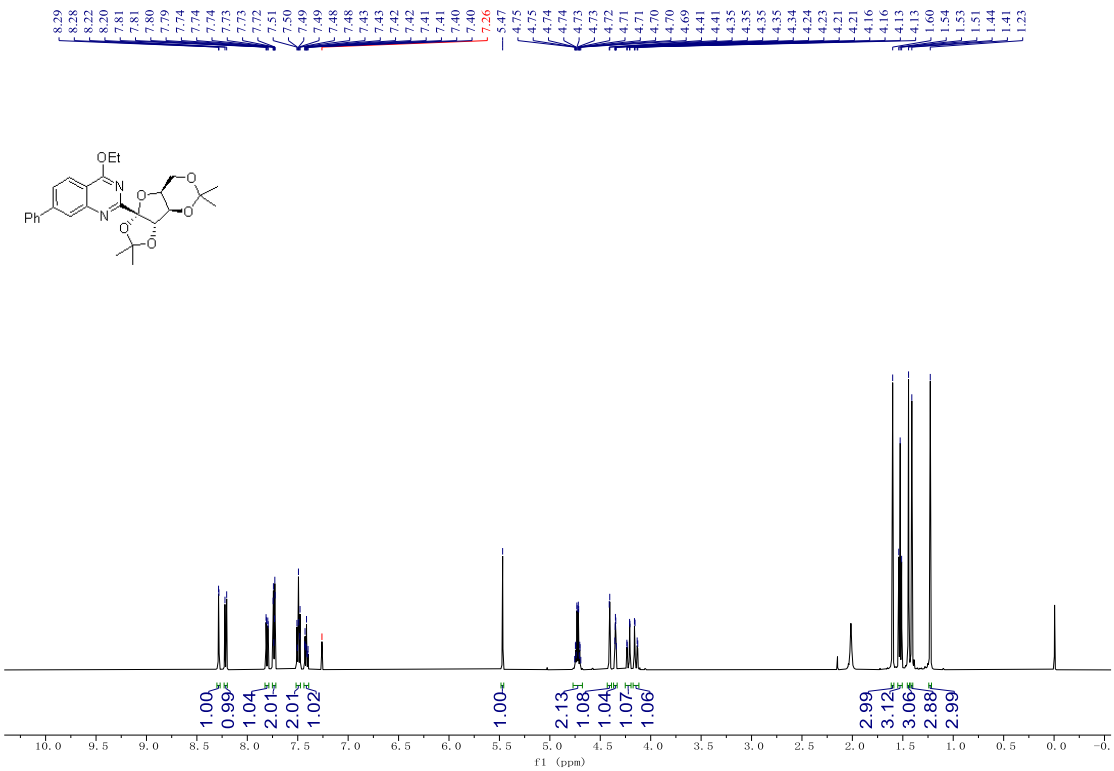
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 4



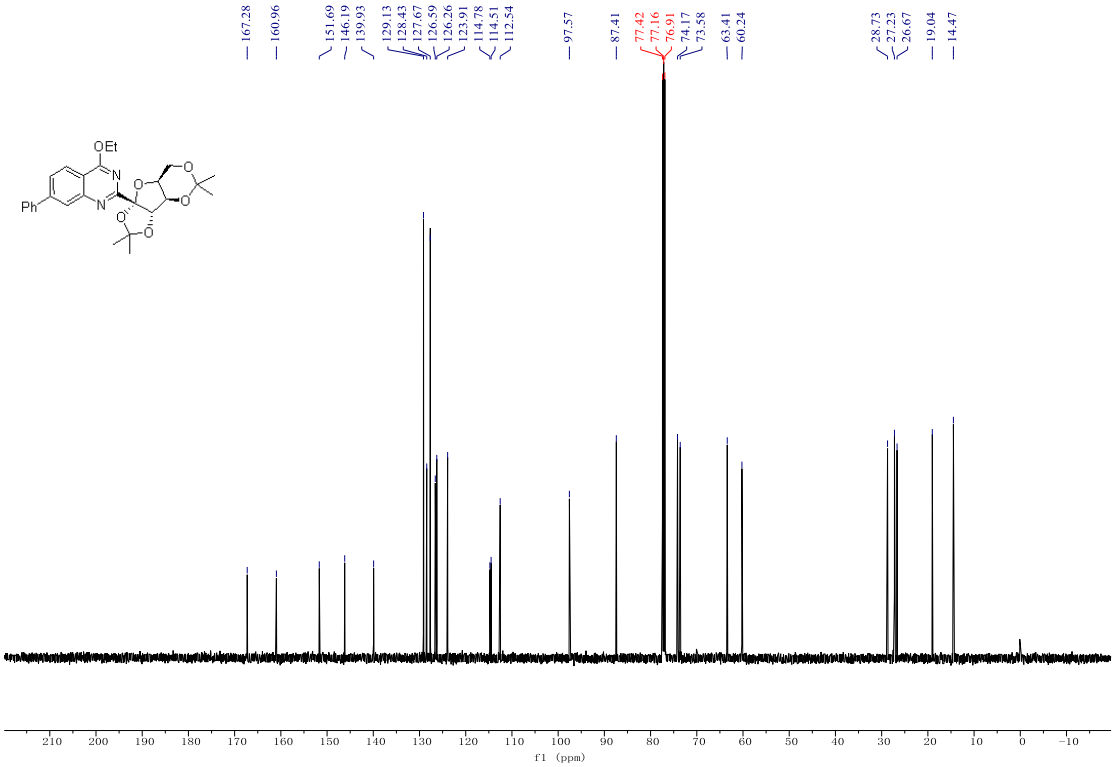
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound



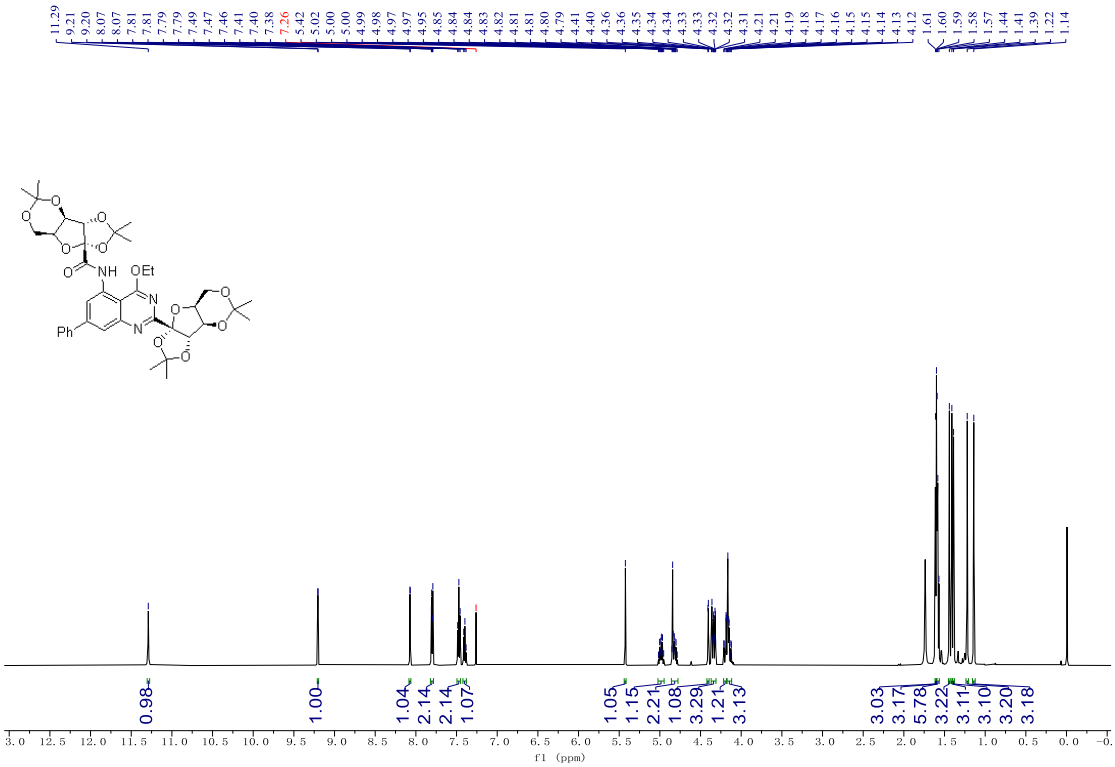
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 5a



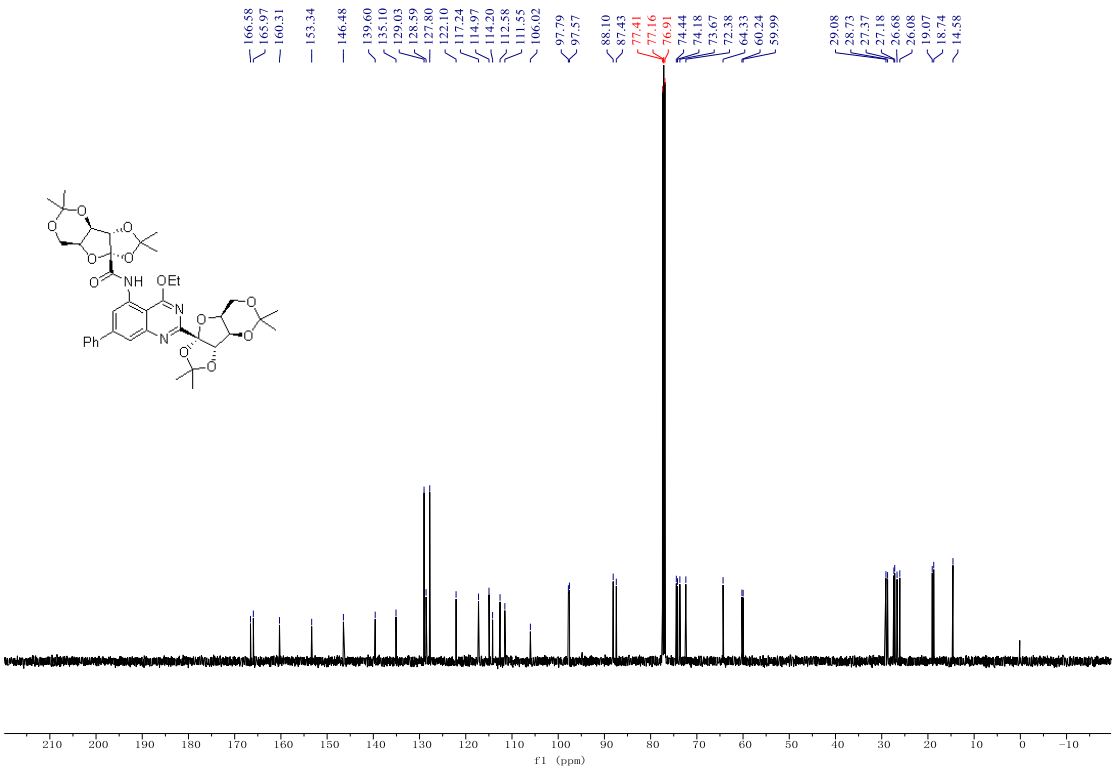
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 5a



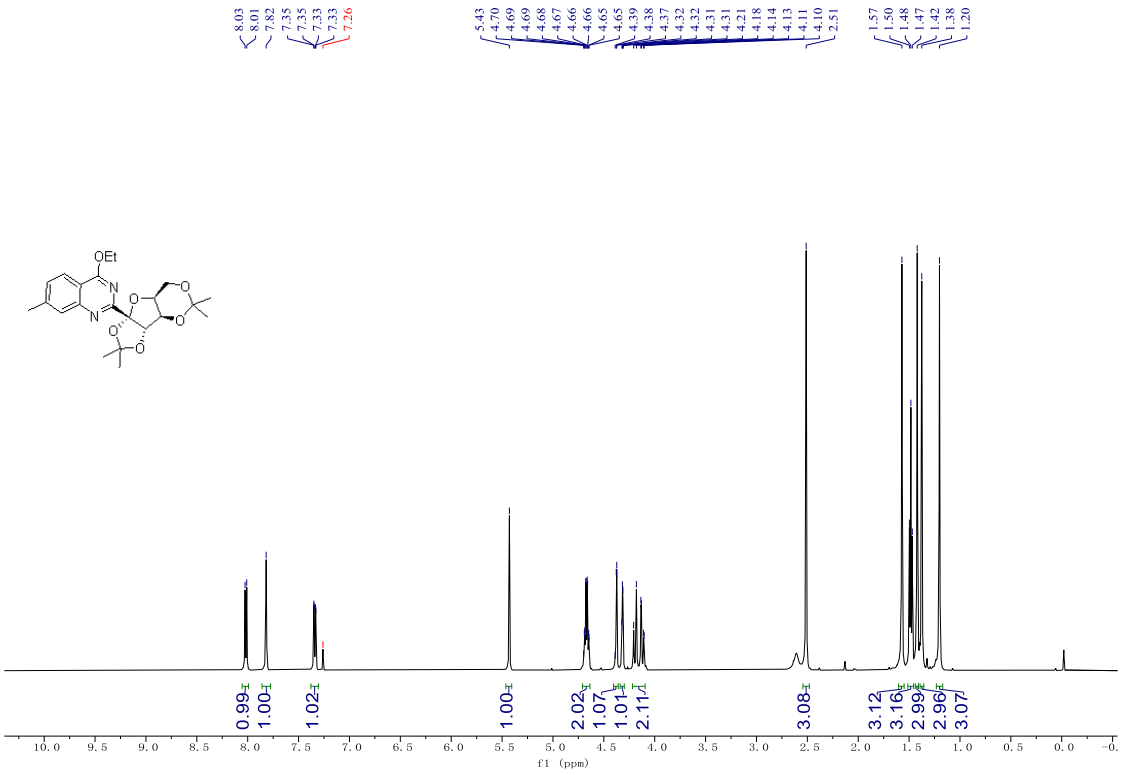
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 5b



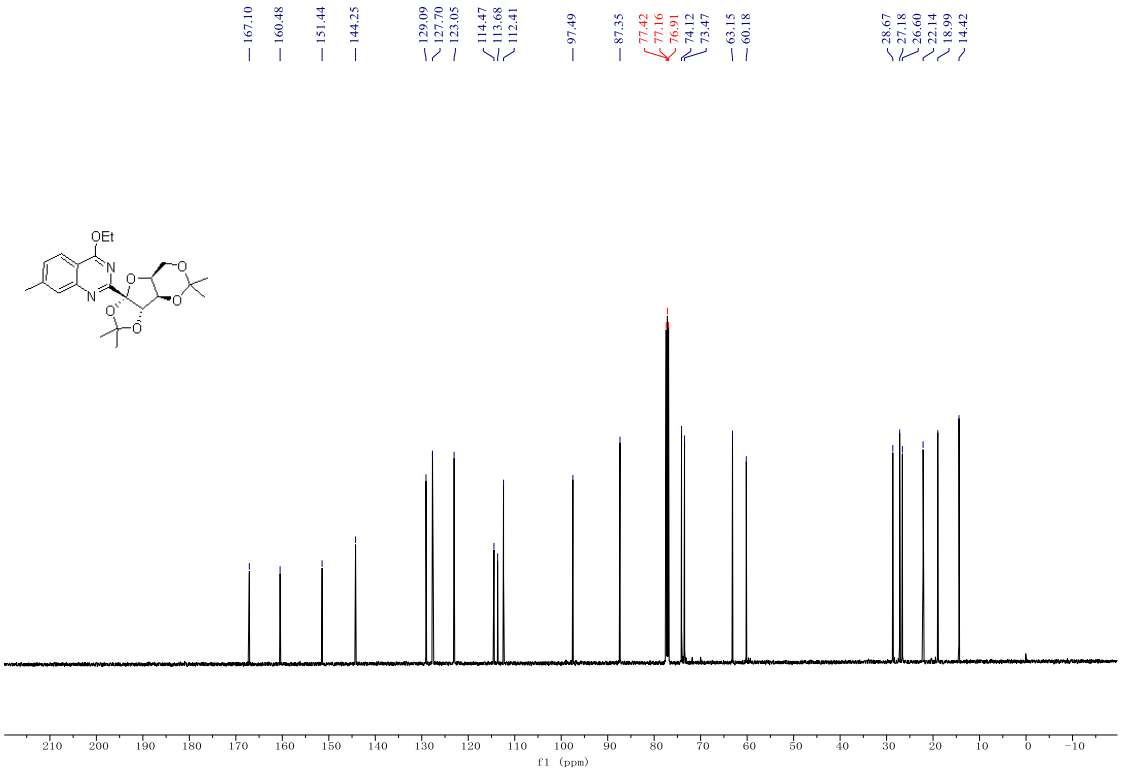
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 5b



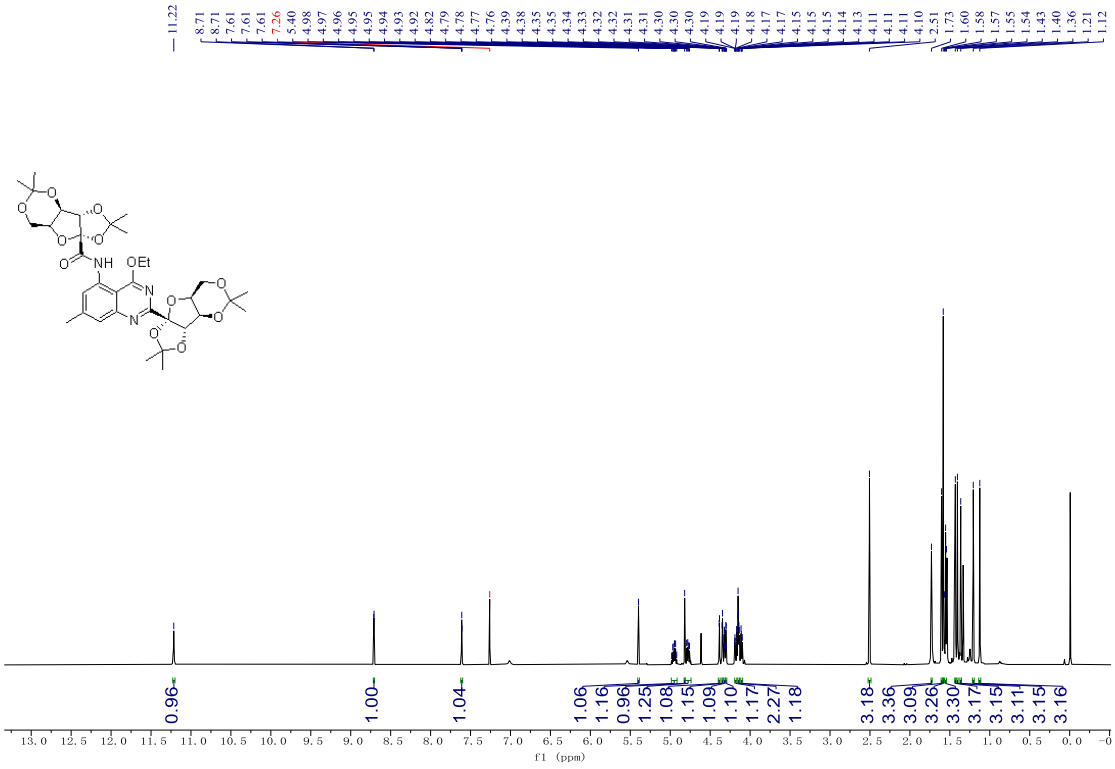
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 6a



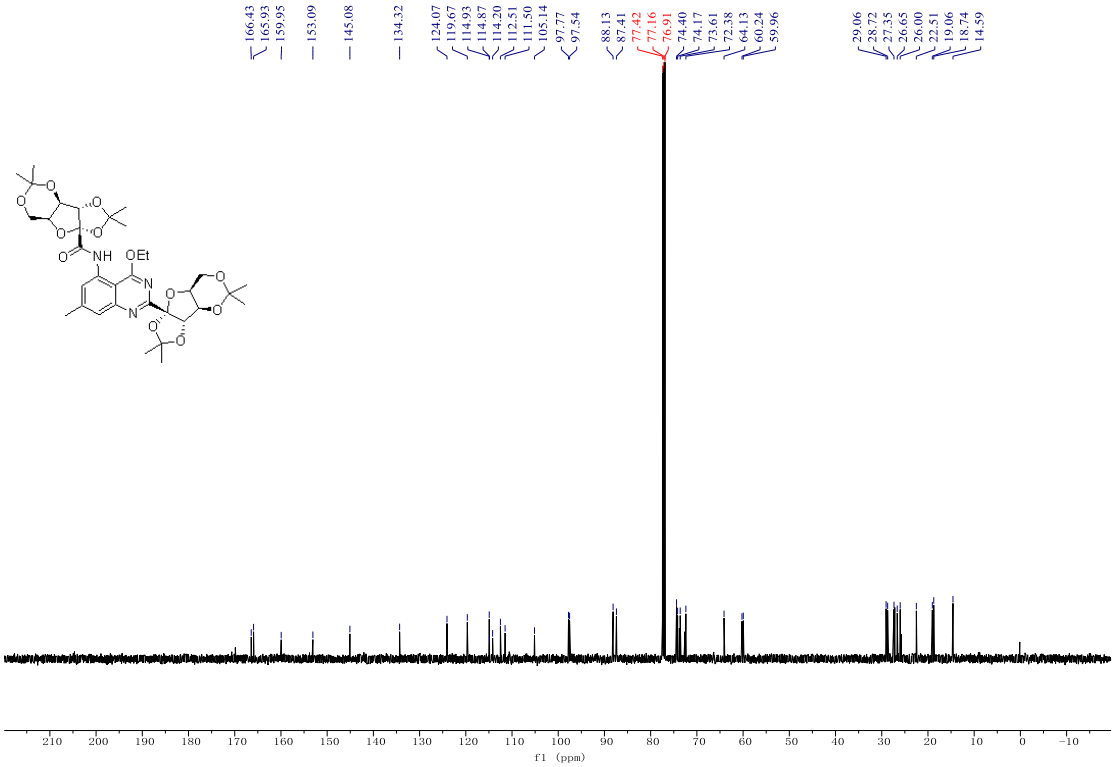
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 6a



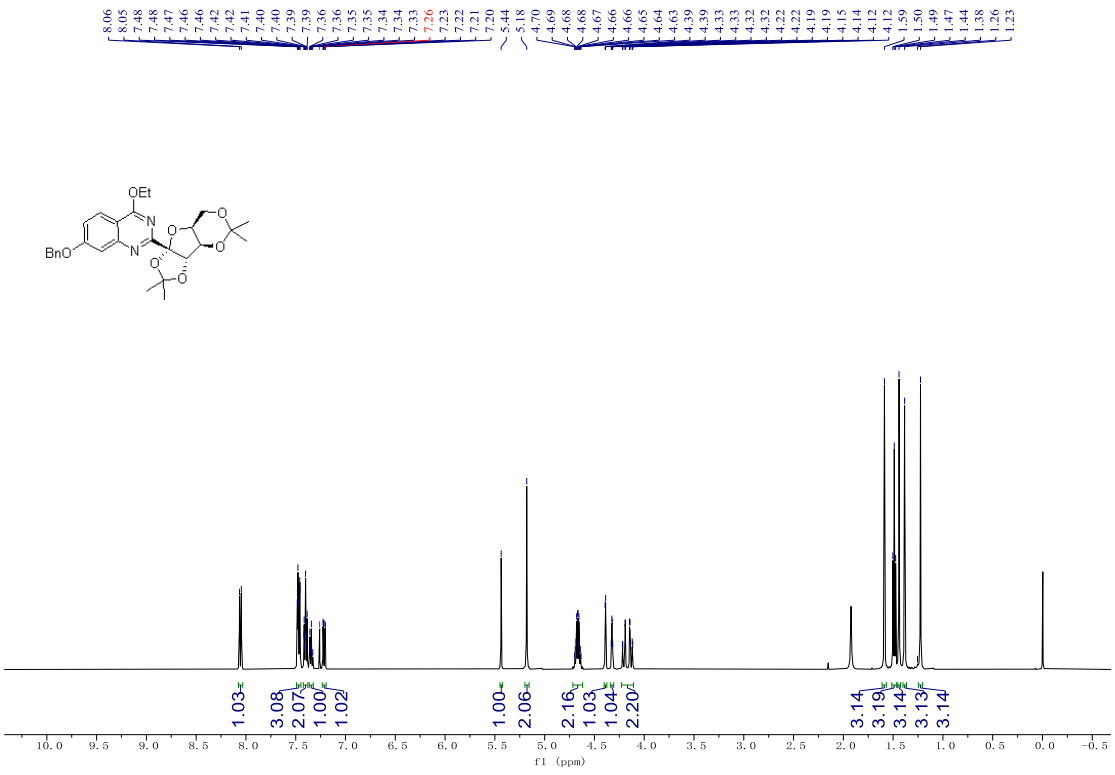
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 6b



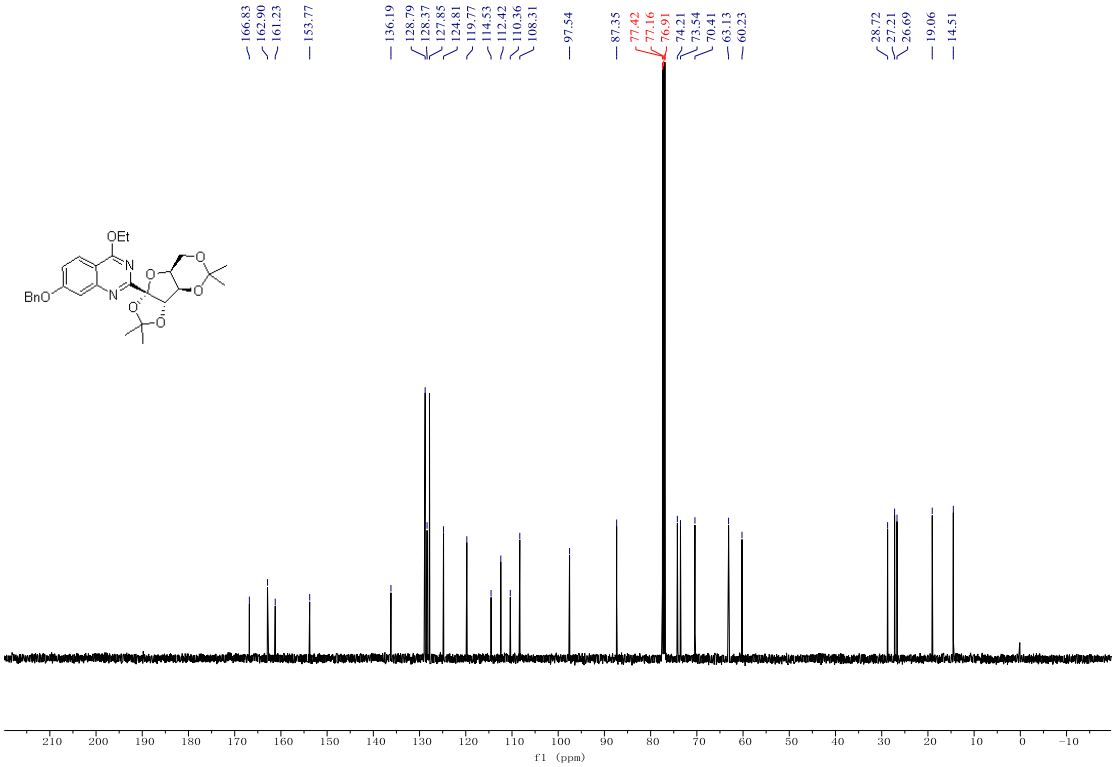
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 6b



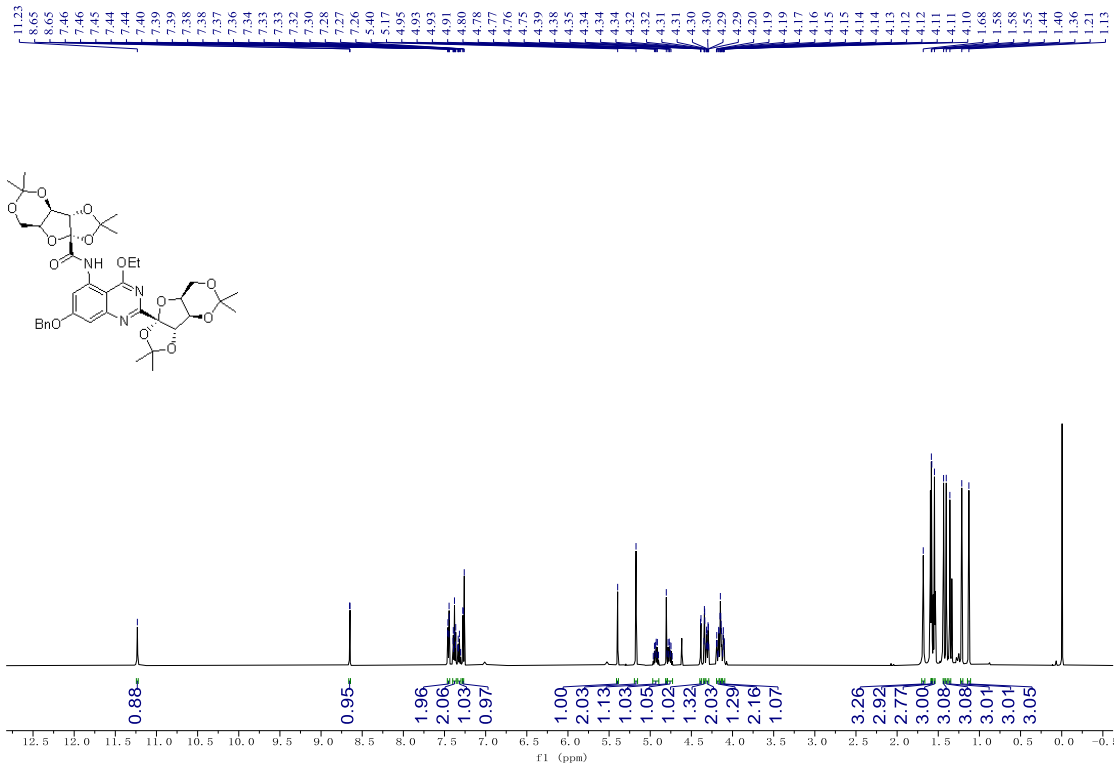
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 7a



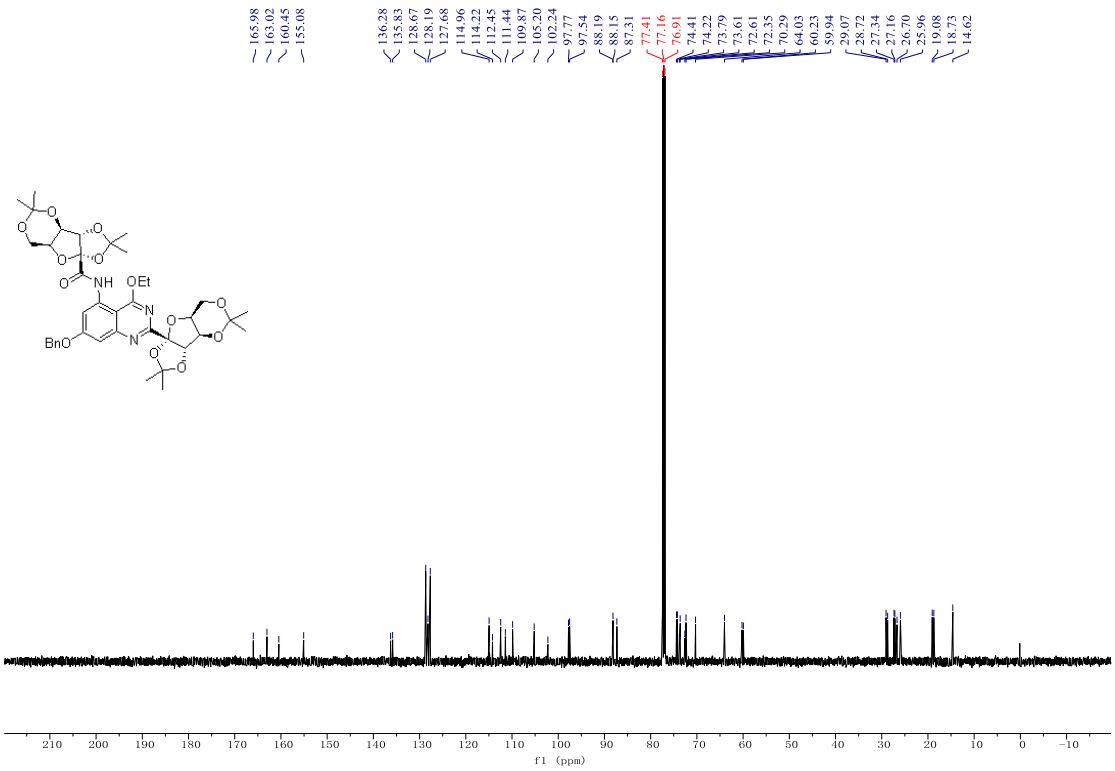
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 7a



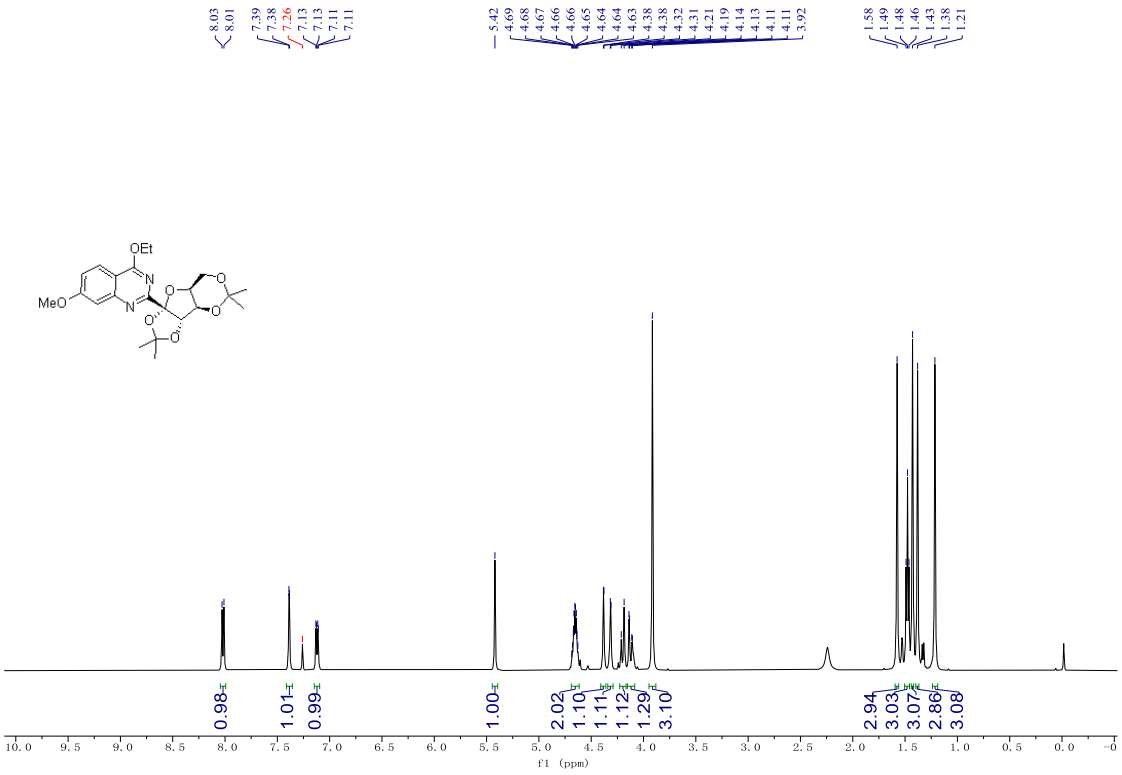
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 7b



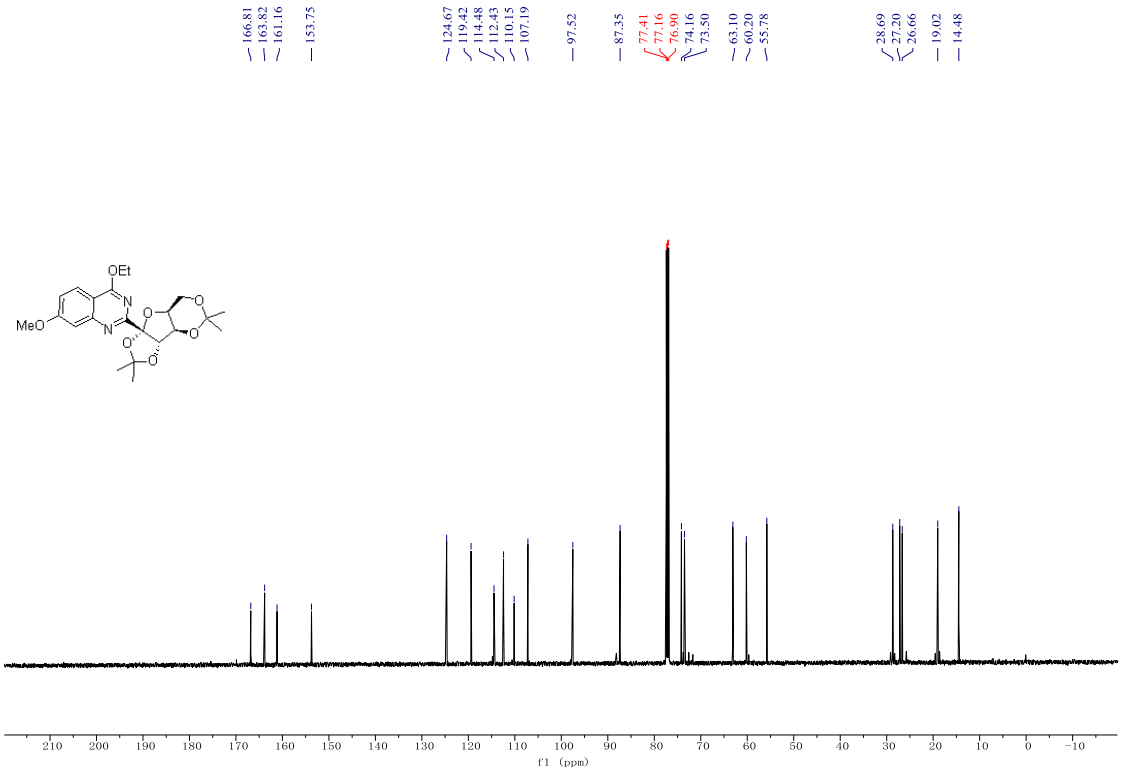
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 7b



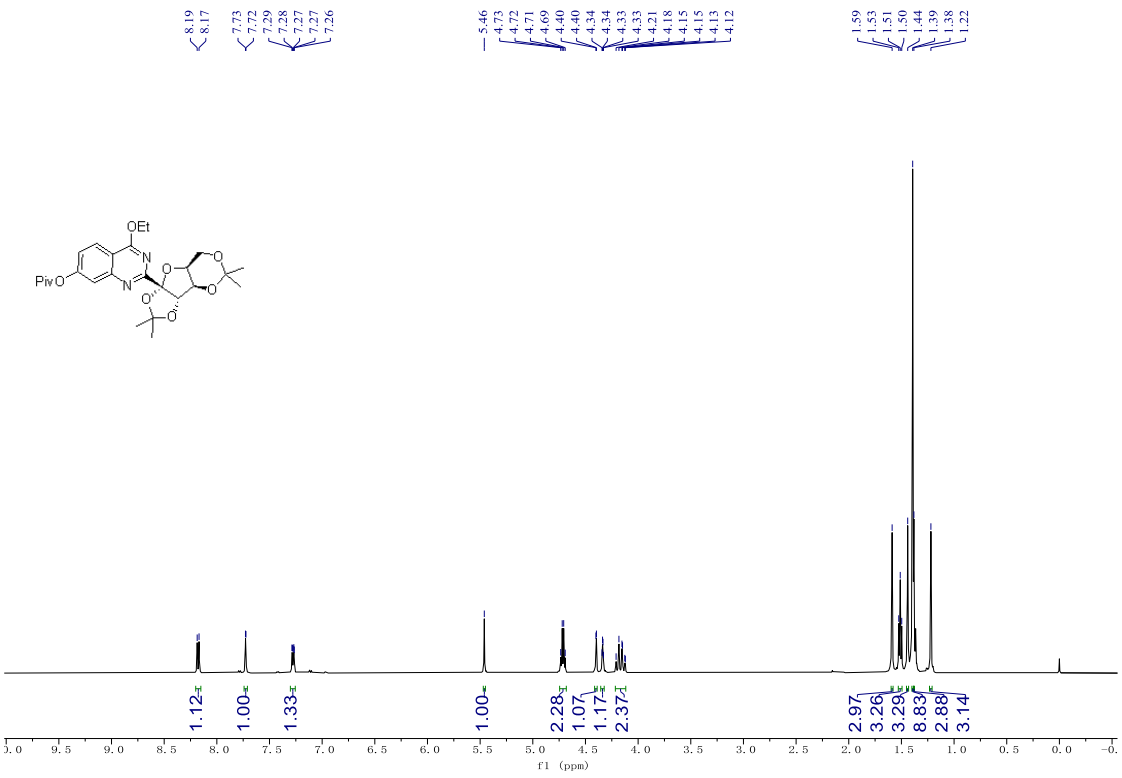
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 8



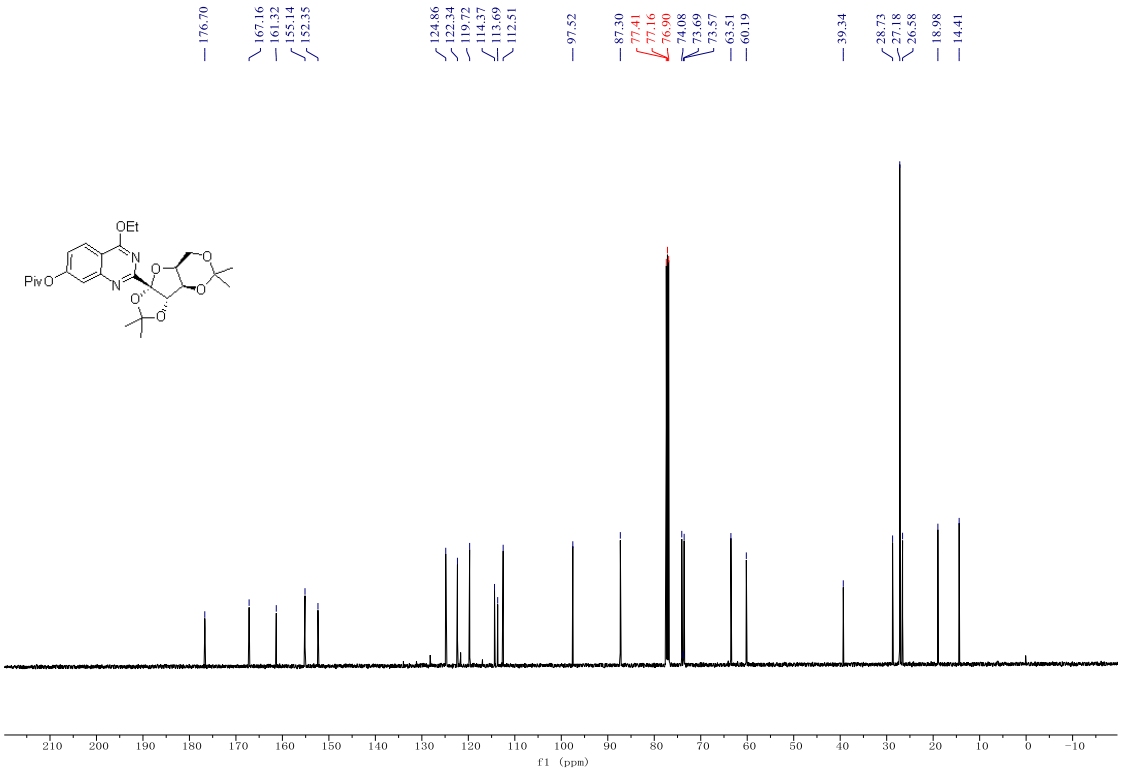
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 8



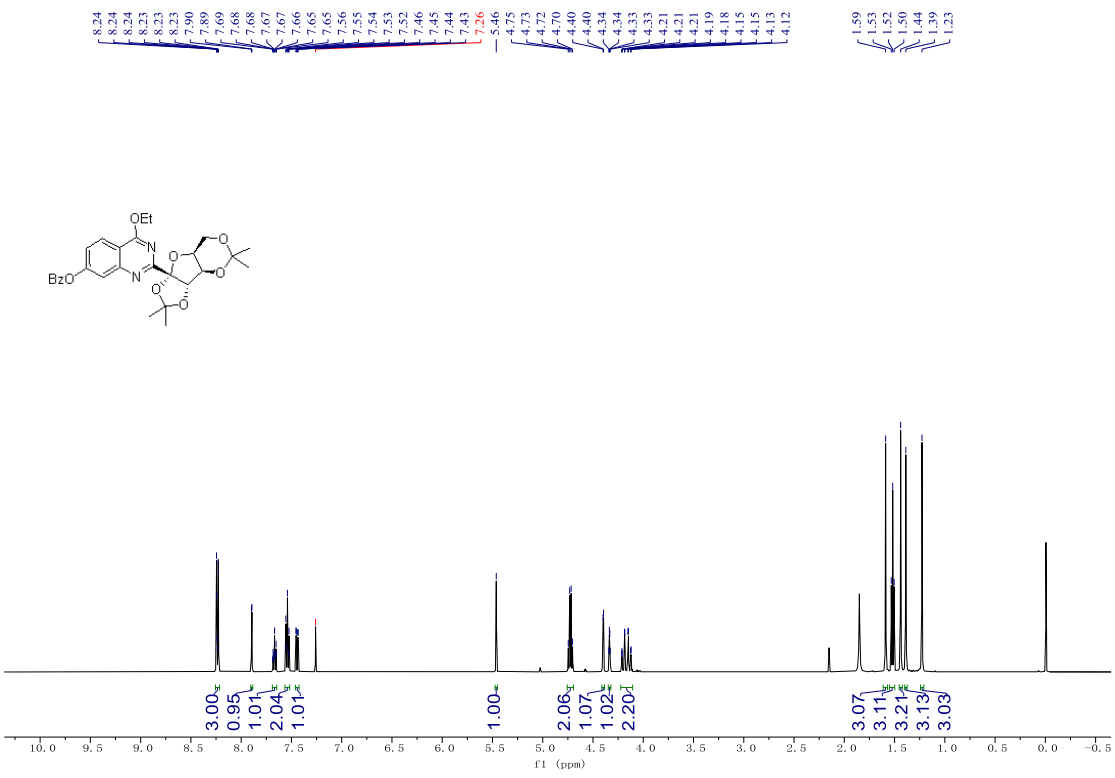
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 9



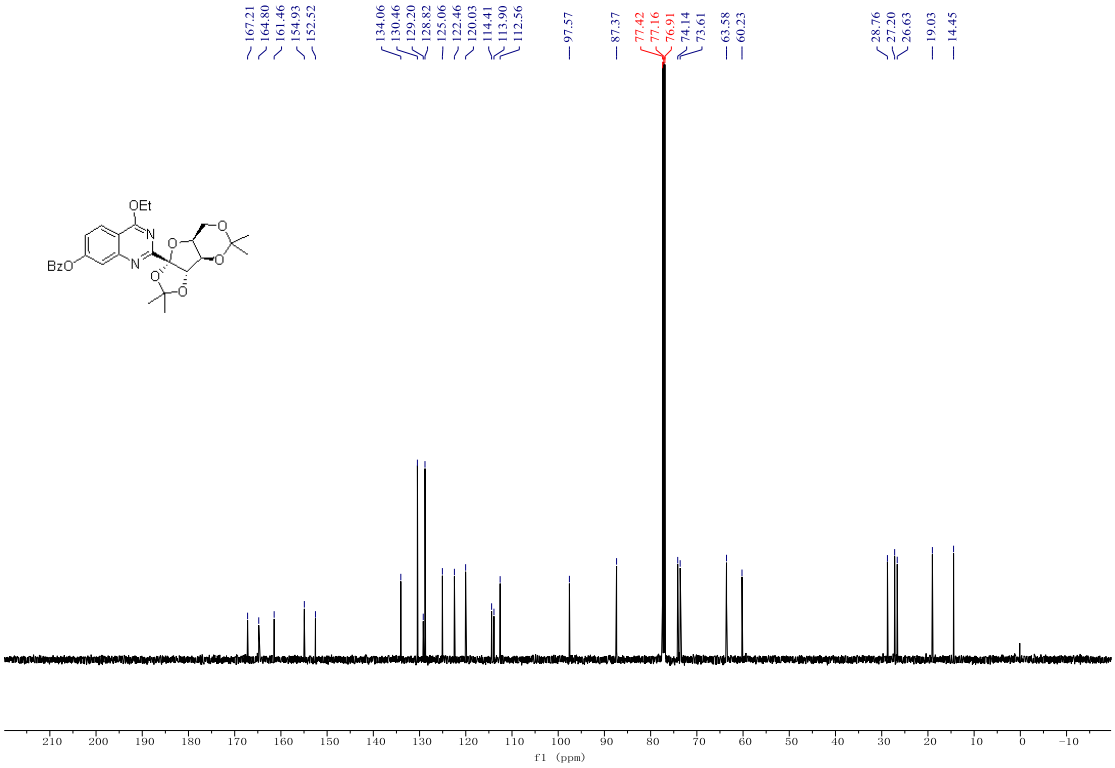
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 9



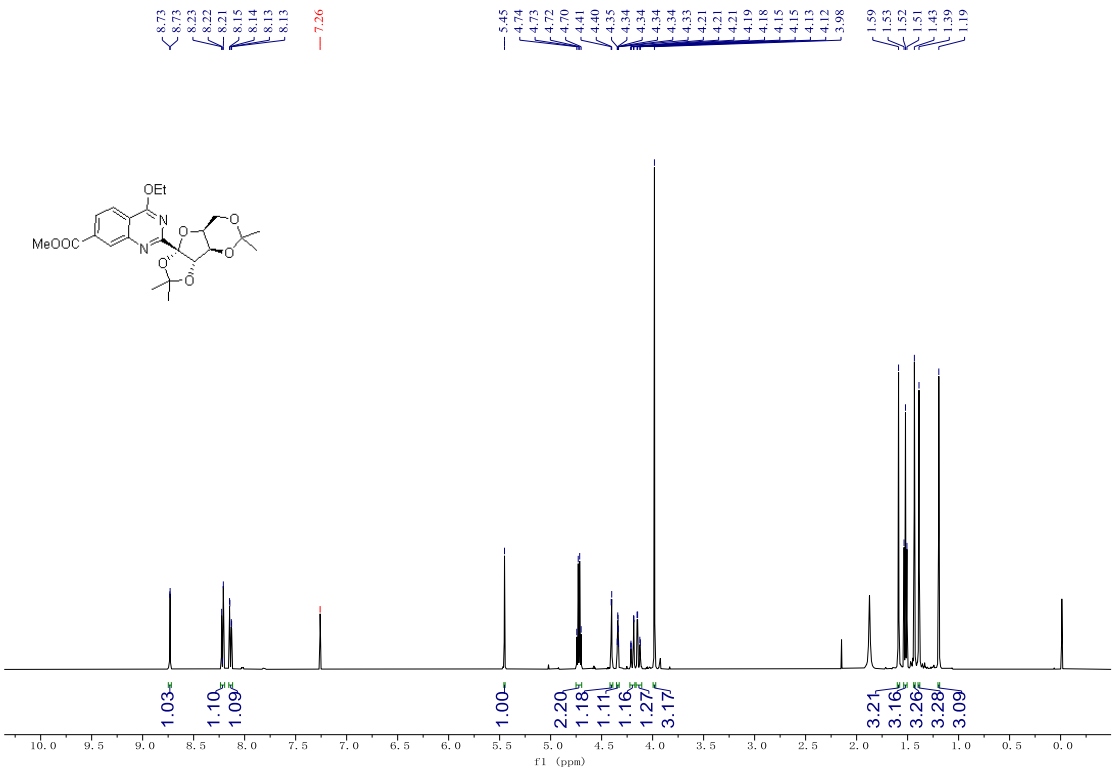
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 10



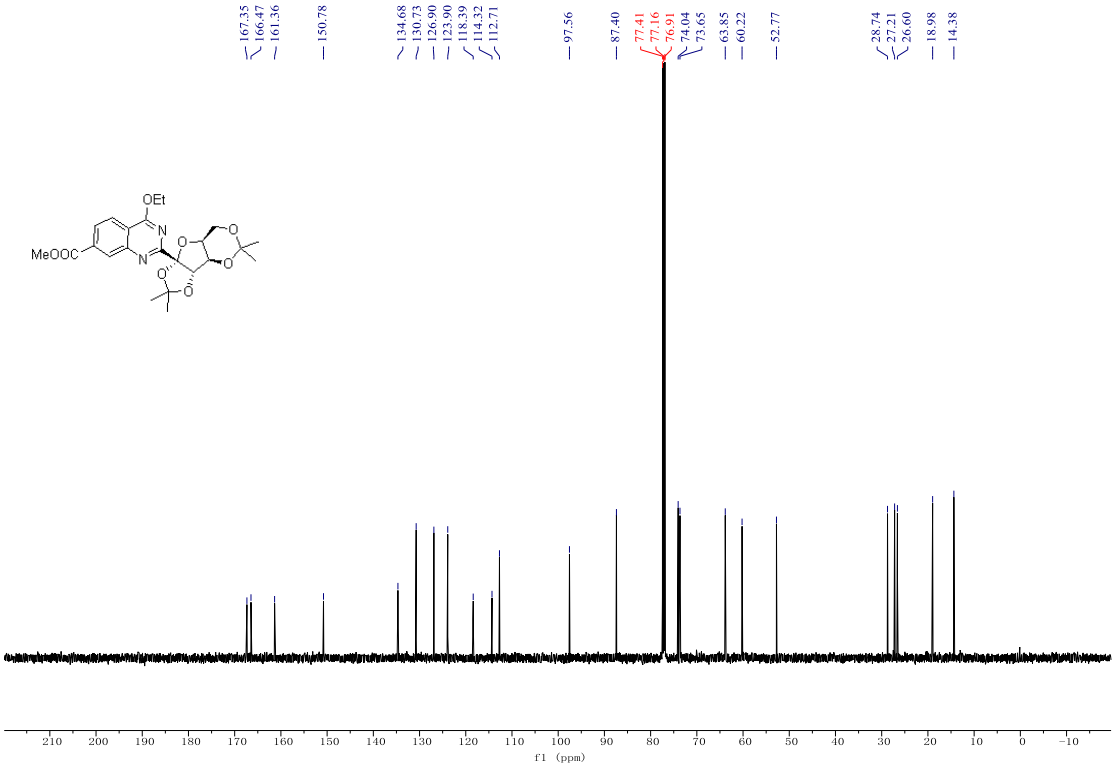
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 10



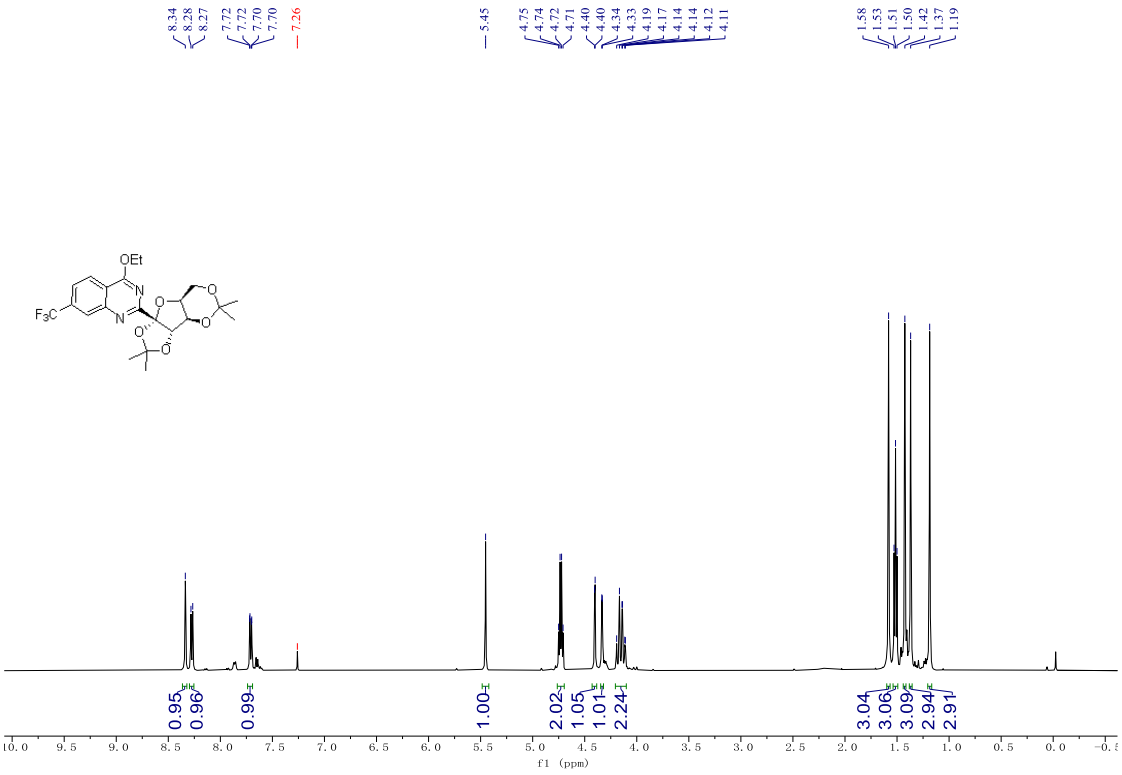
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 11



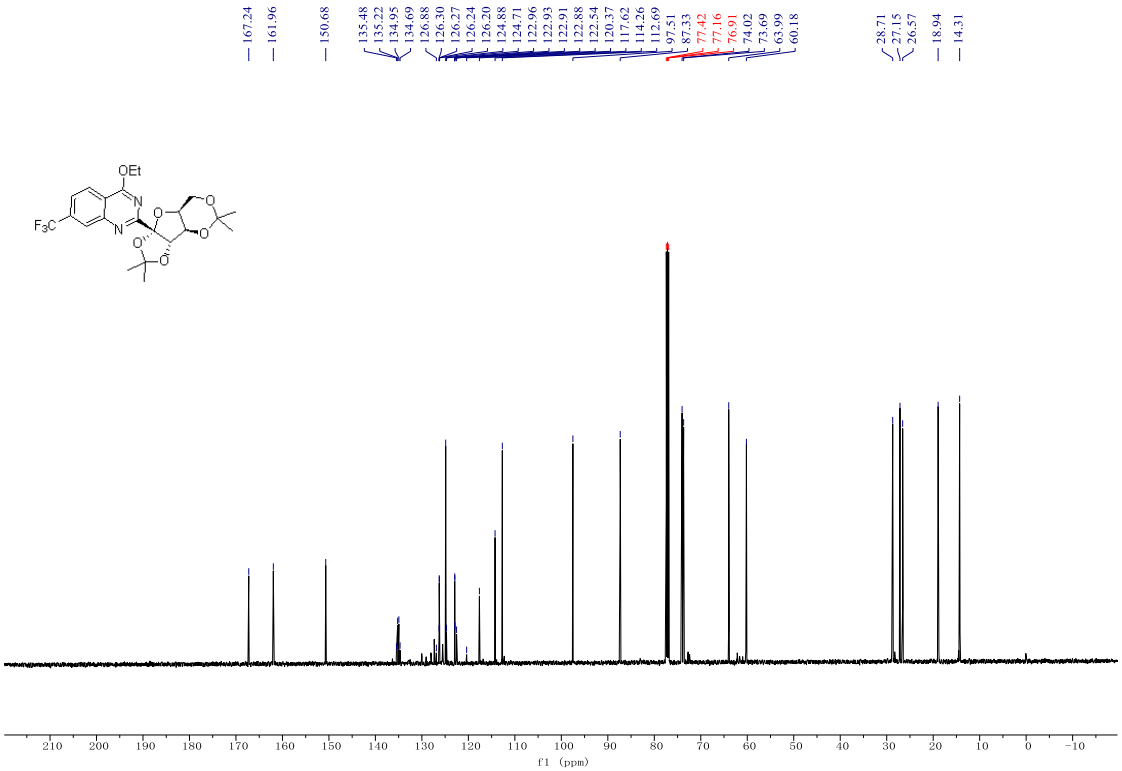
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 11



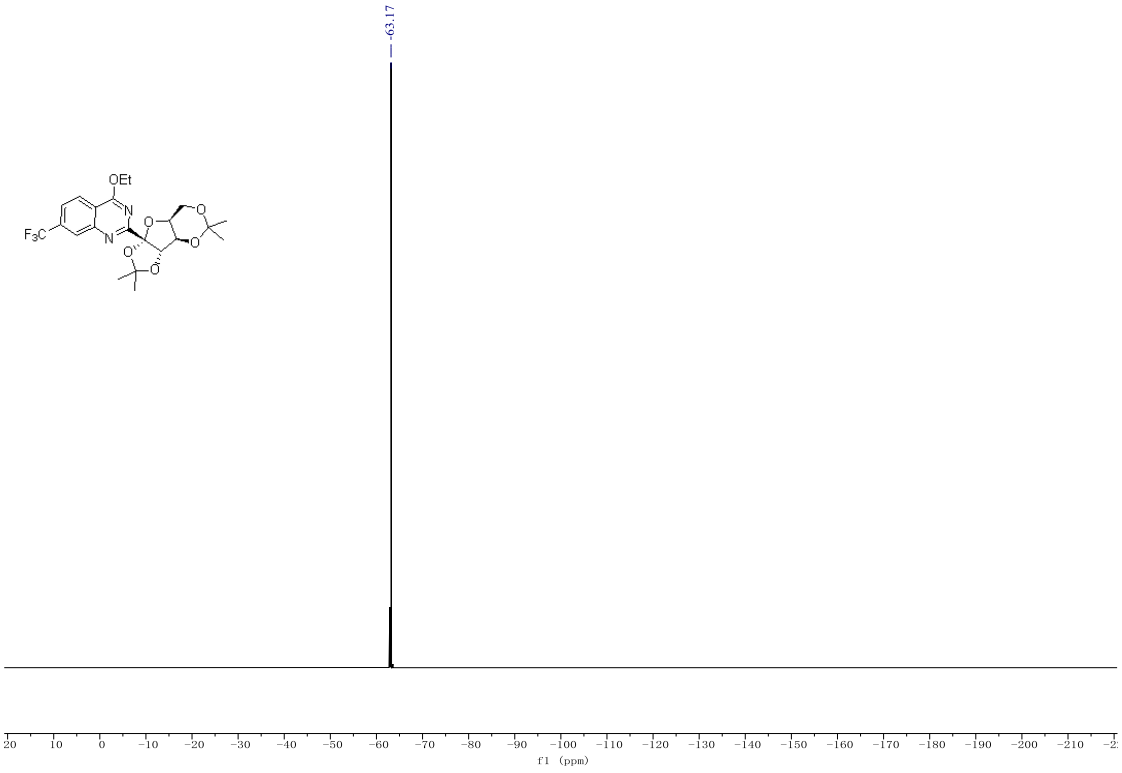
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 12



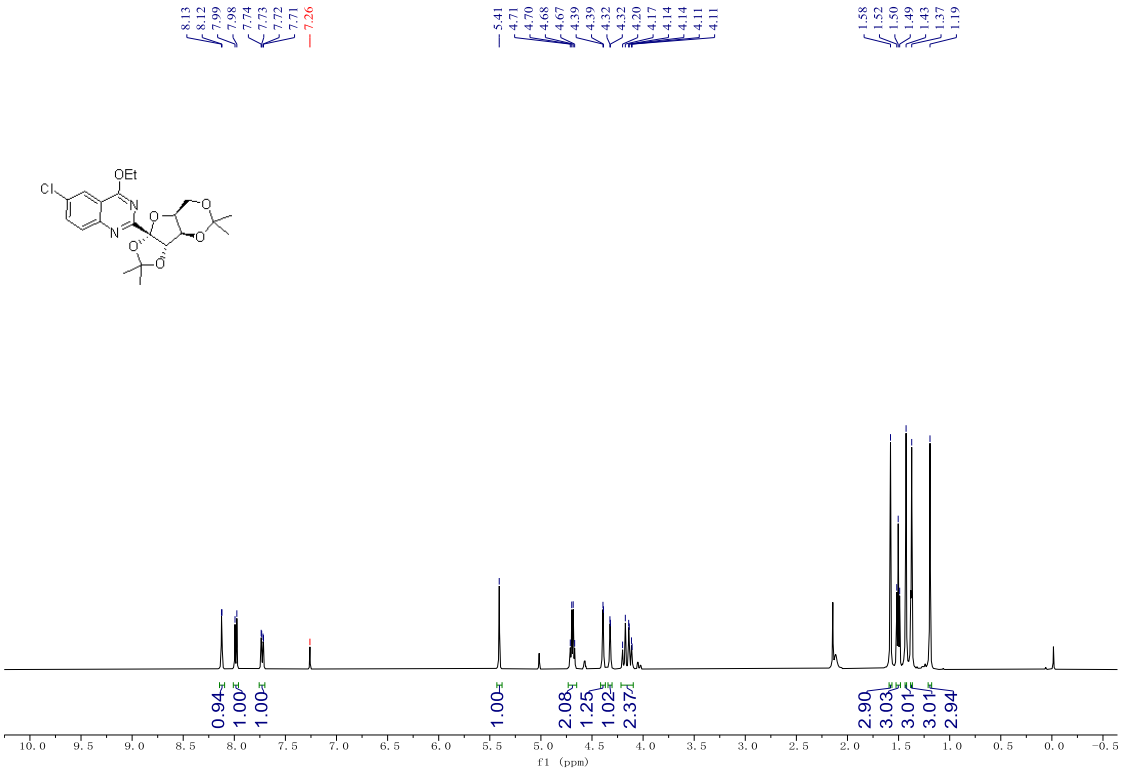
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 12



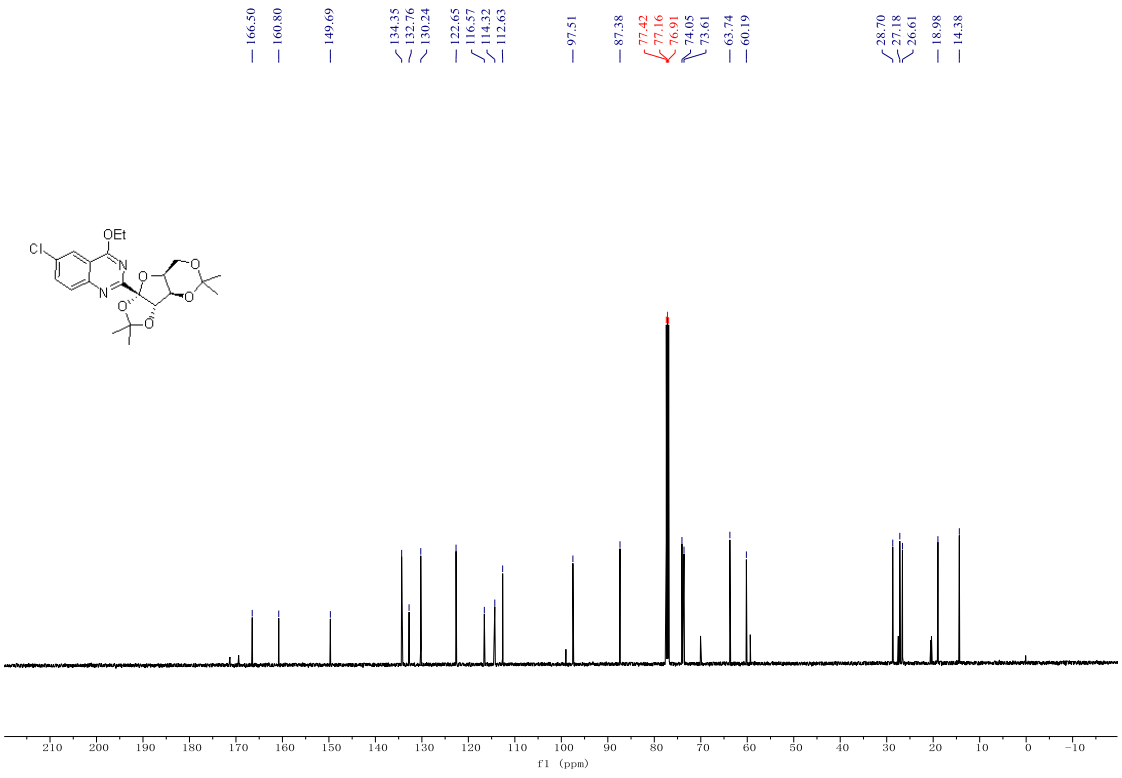
^{19}F NMR (471 MHz, $CDCl_3$) Spectra of compound 12



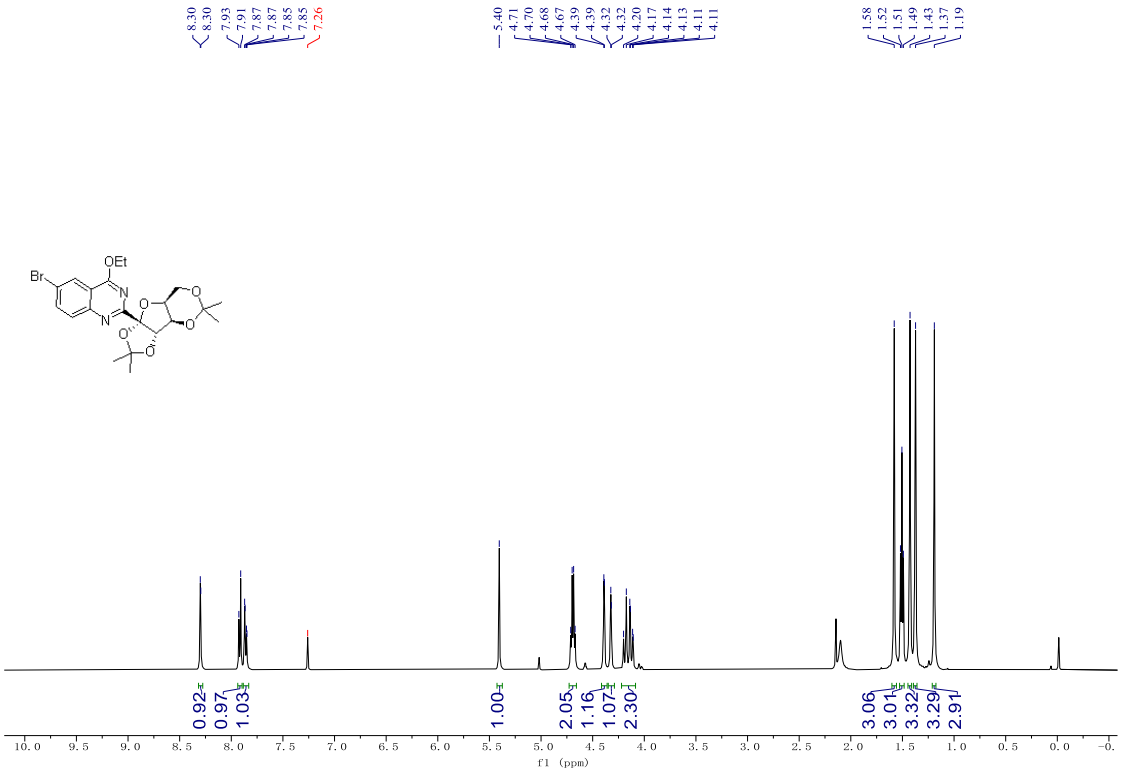
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 13



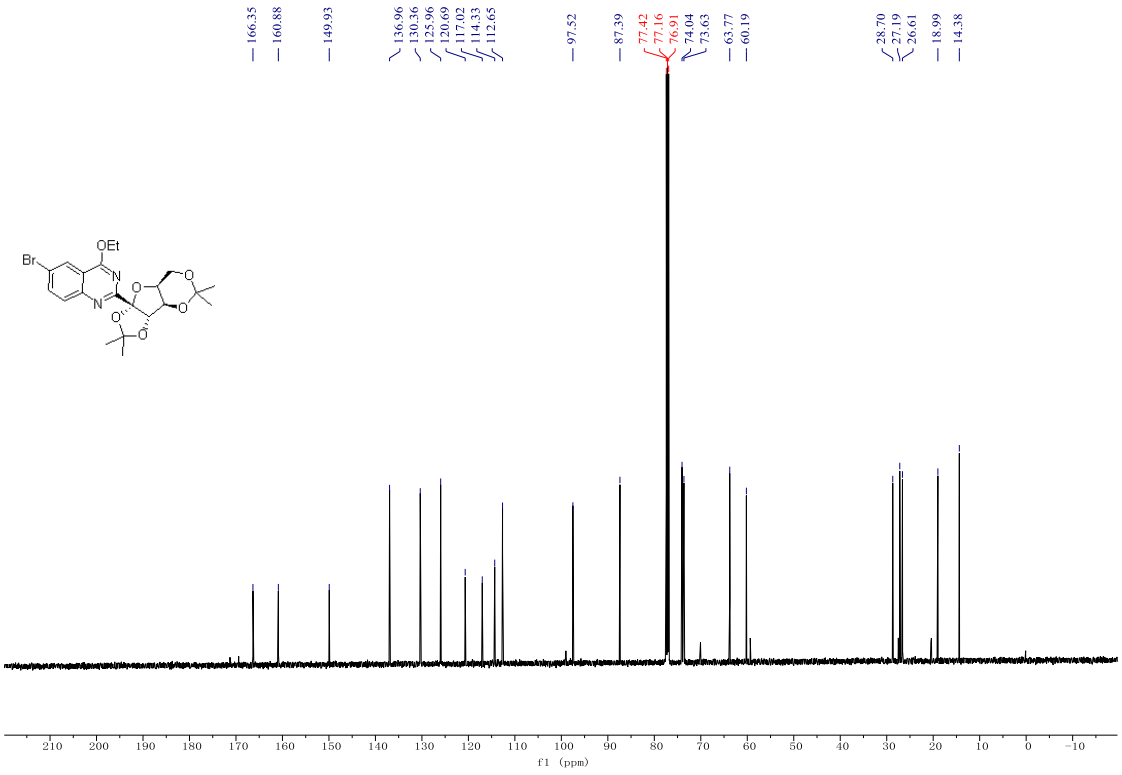
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 13



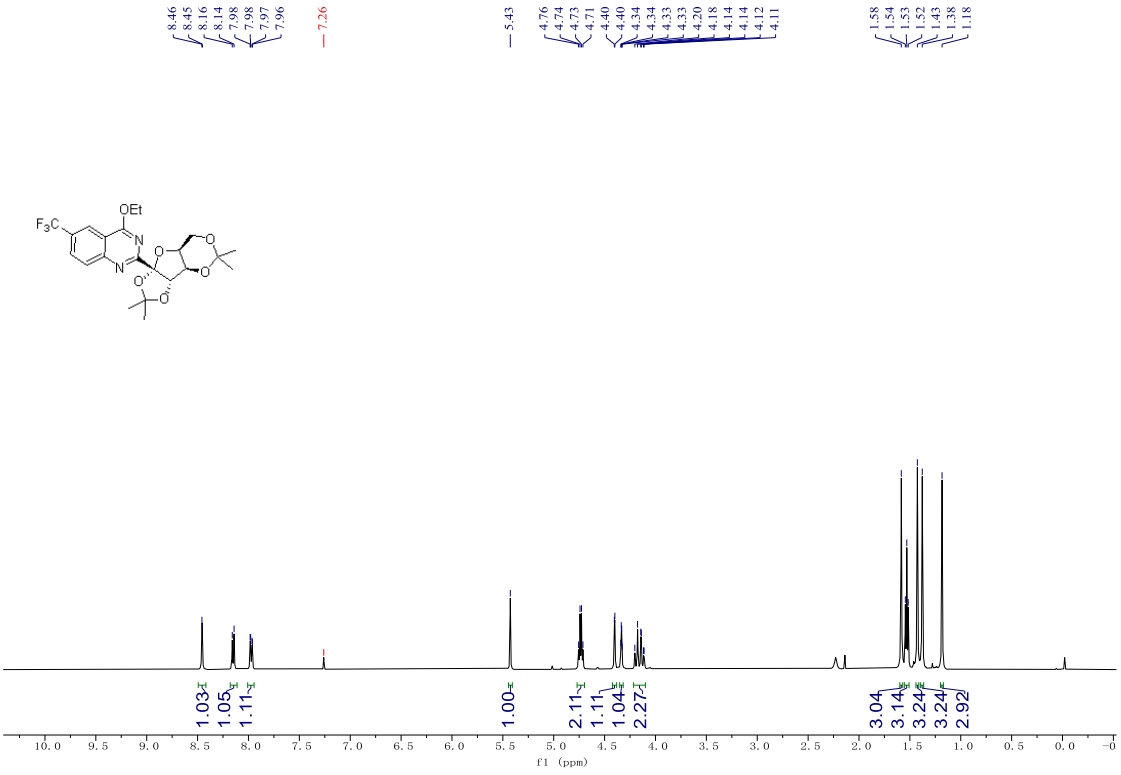
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 14



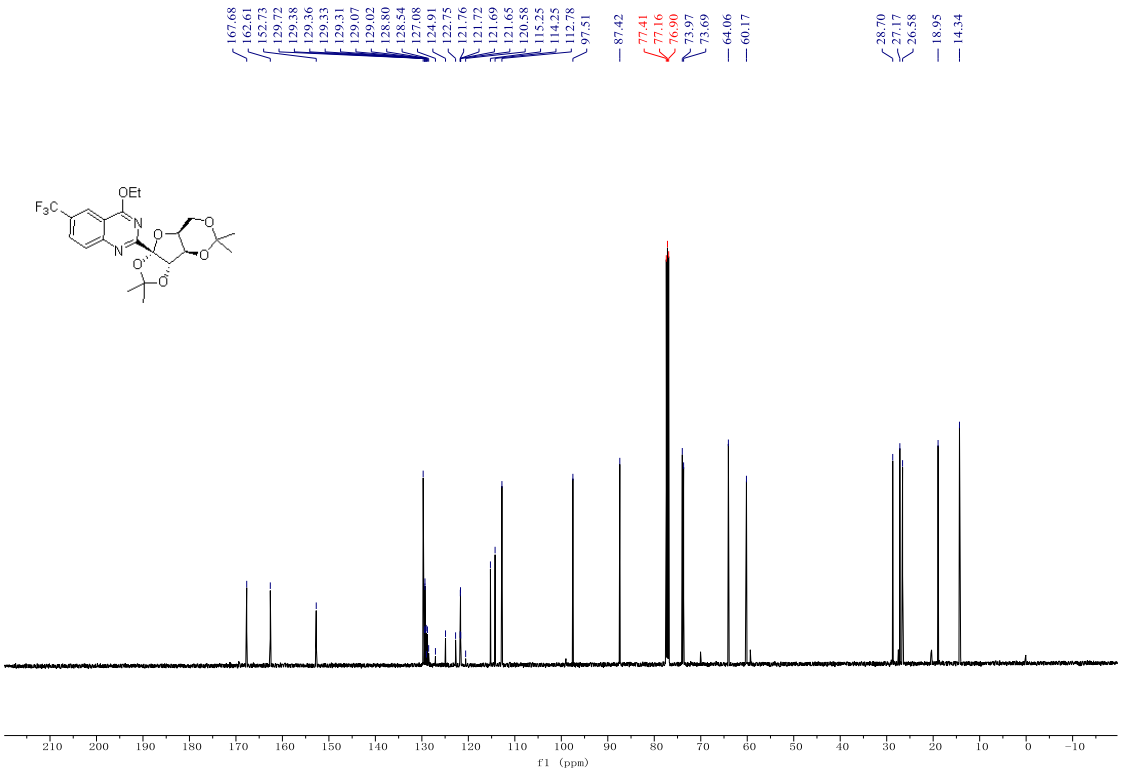
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 14



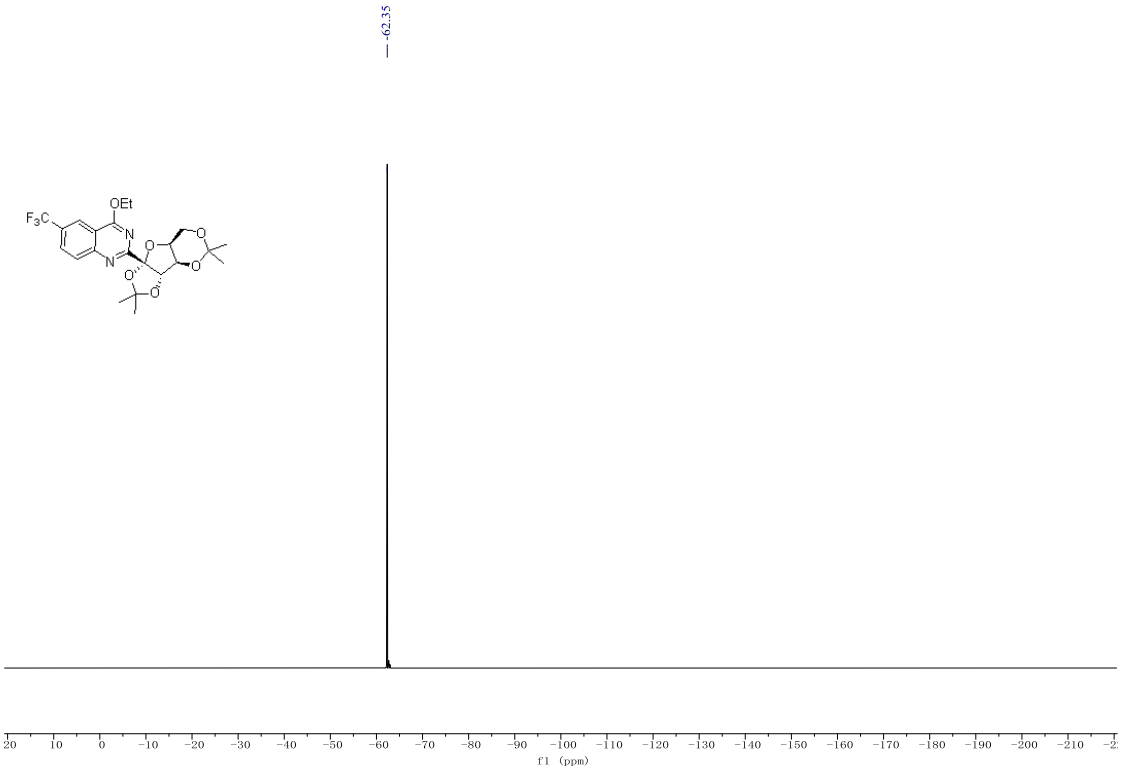
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 15



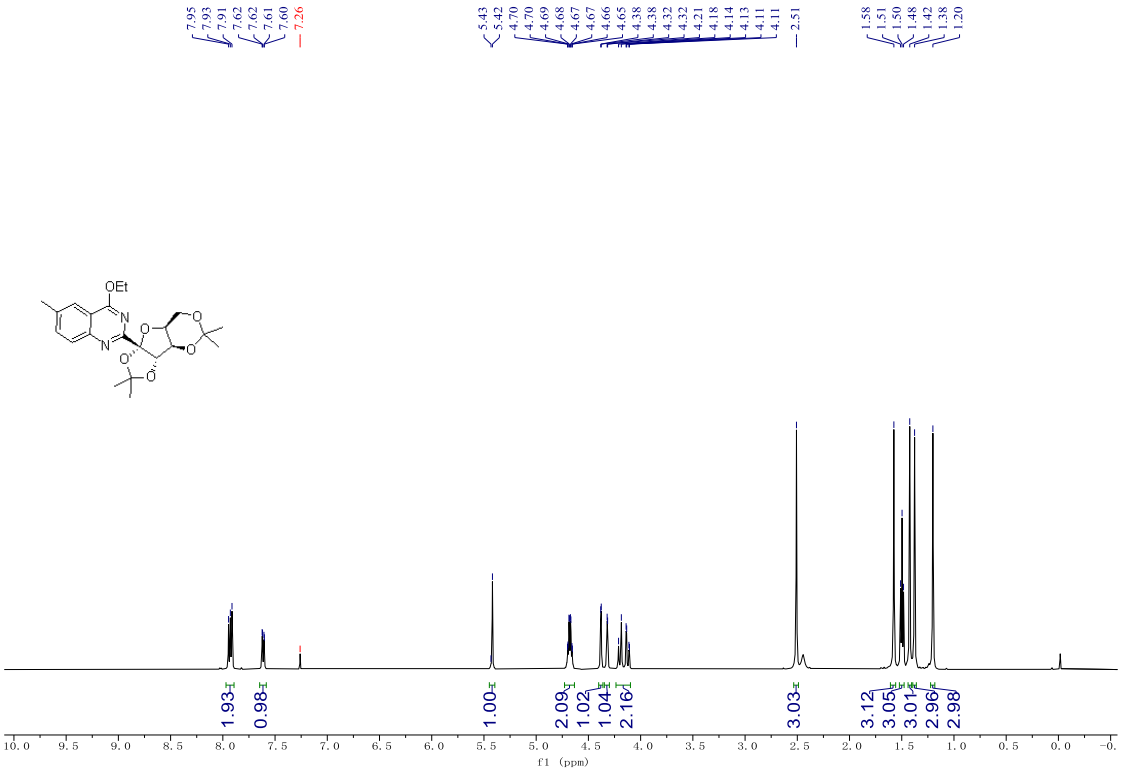
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 15



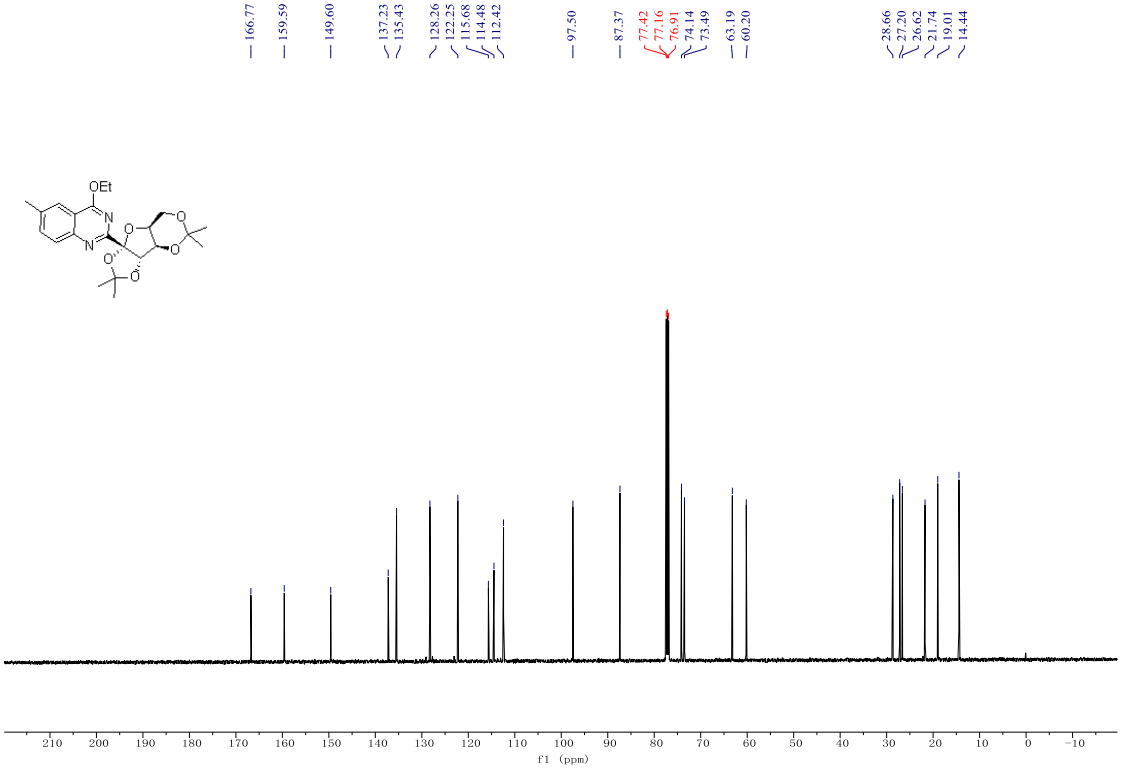
^{19}F NMR (471 MHz, $CDCl_3$) Spectra of compound 15



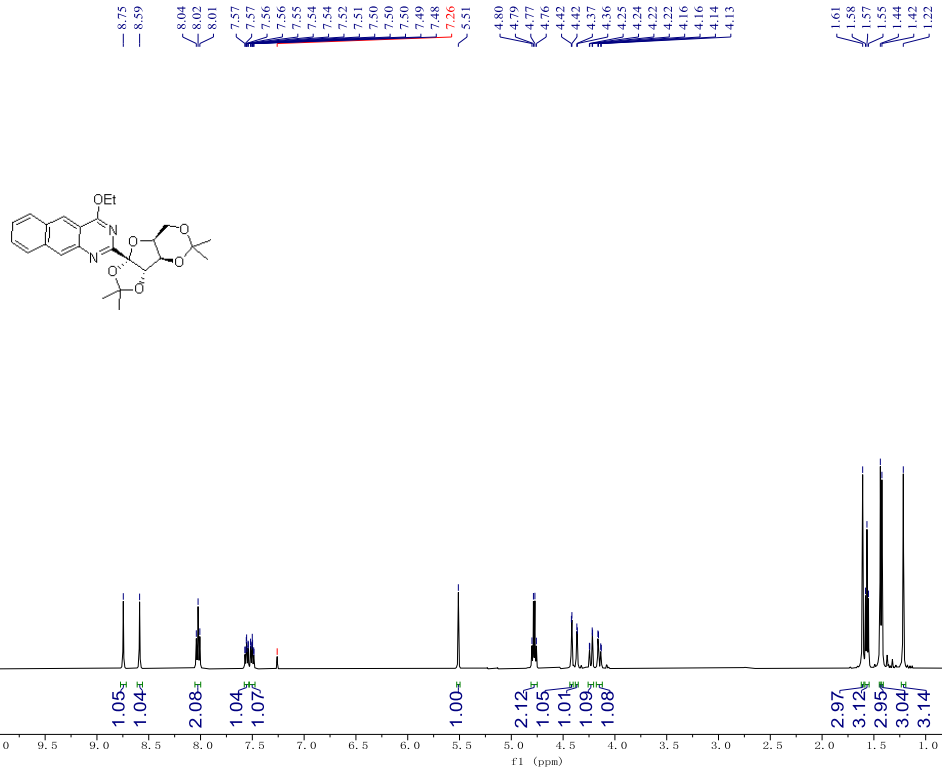
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 16



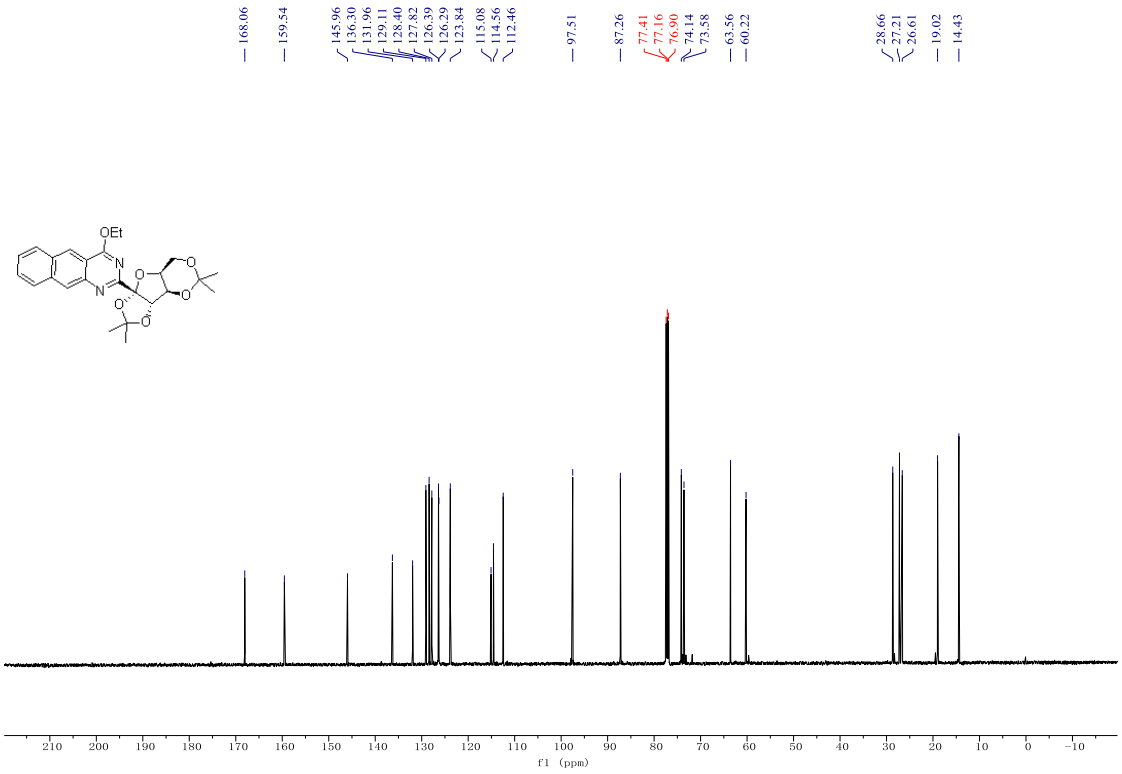
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 16



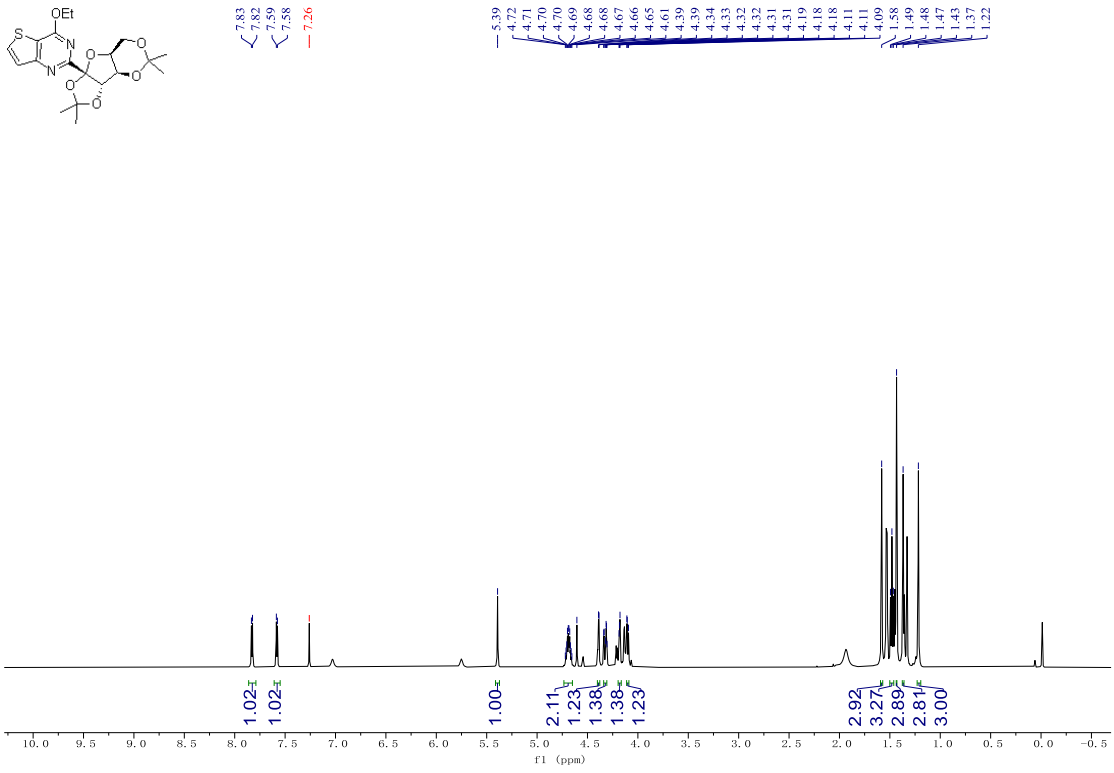
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 17



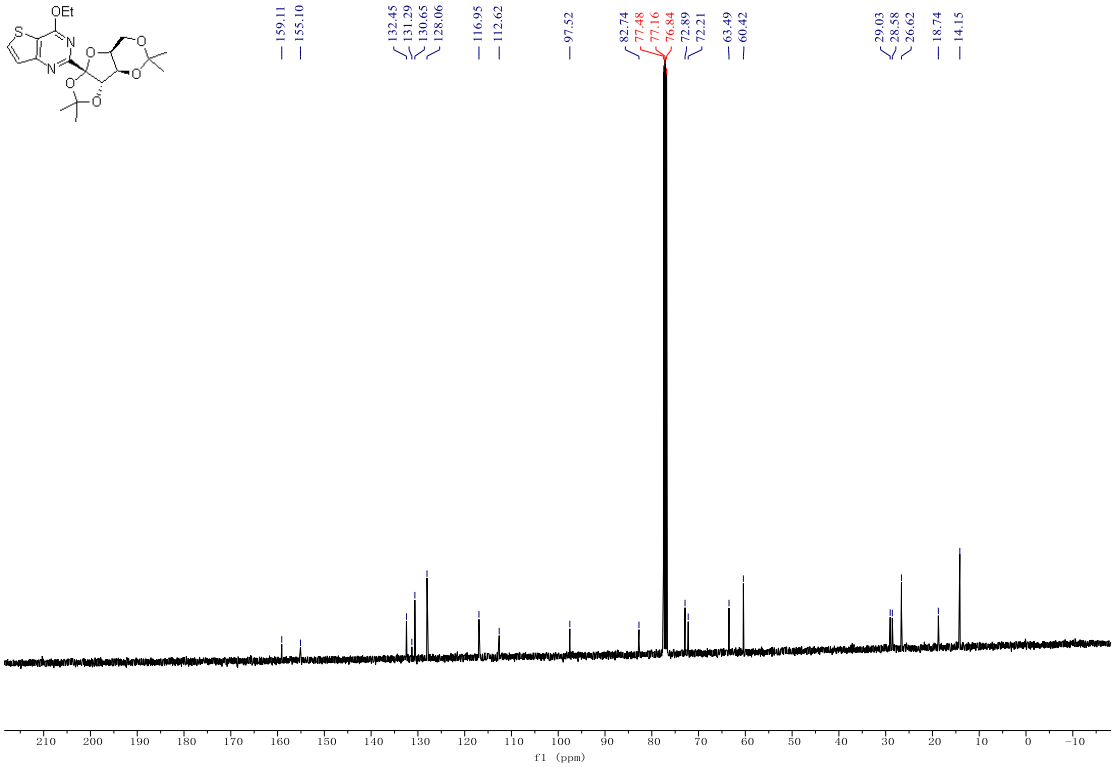
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 17



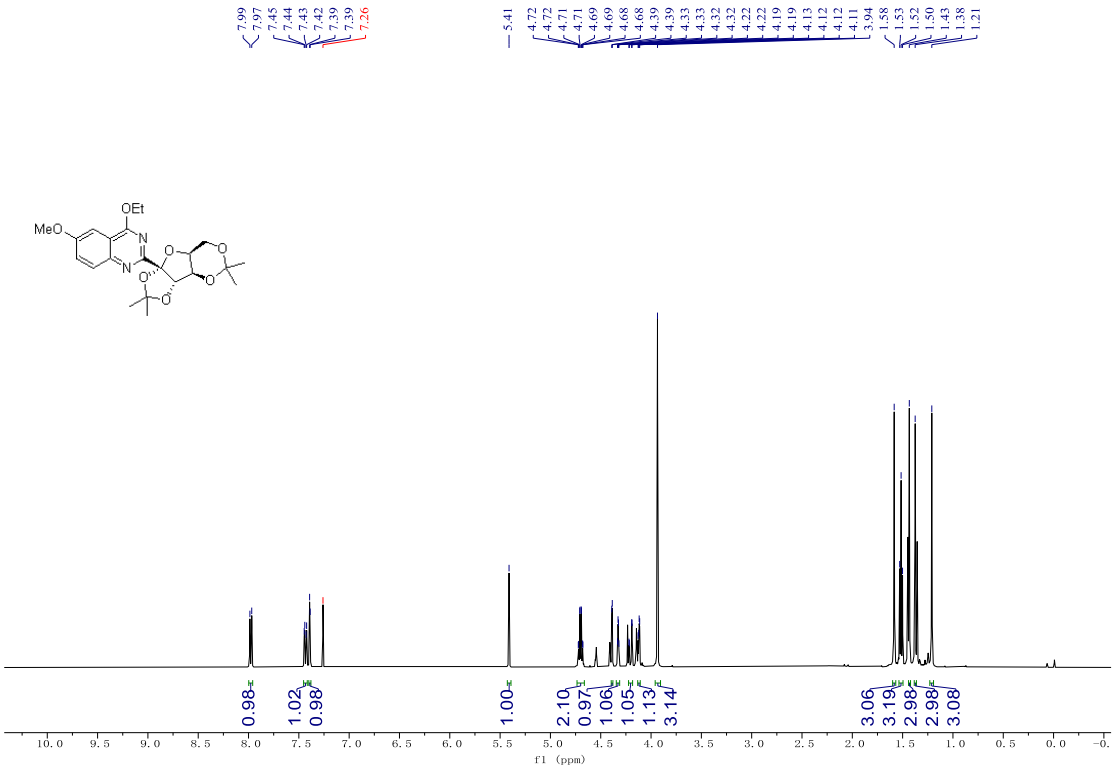
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 18



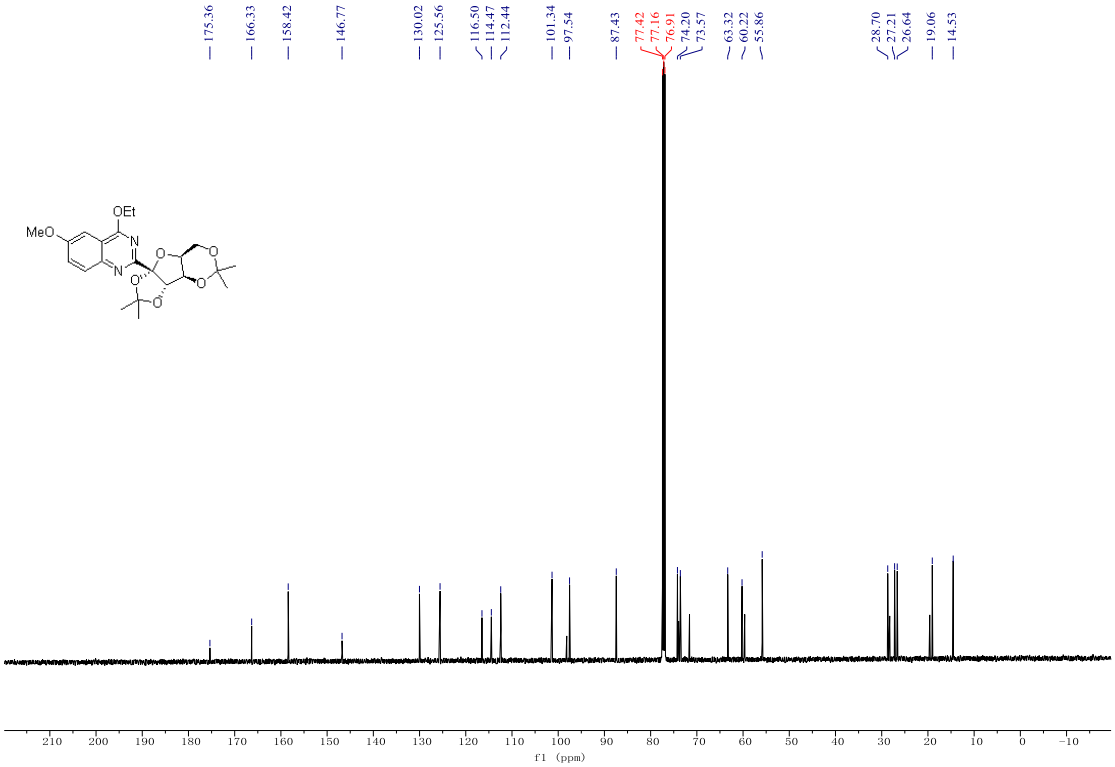
^{13}C NMR (101 MHz, $CDCl_3$) Spectra of compound 18



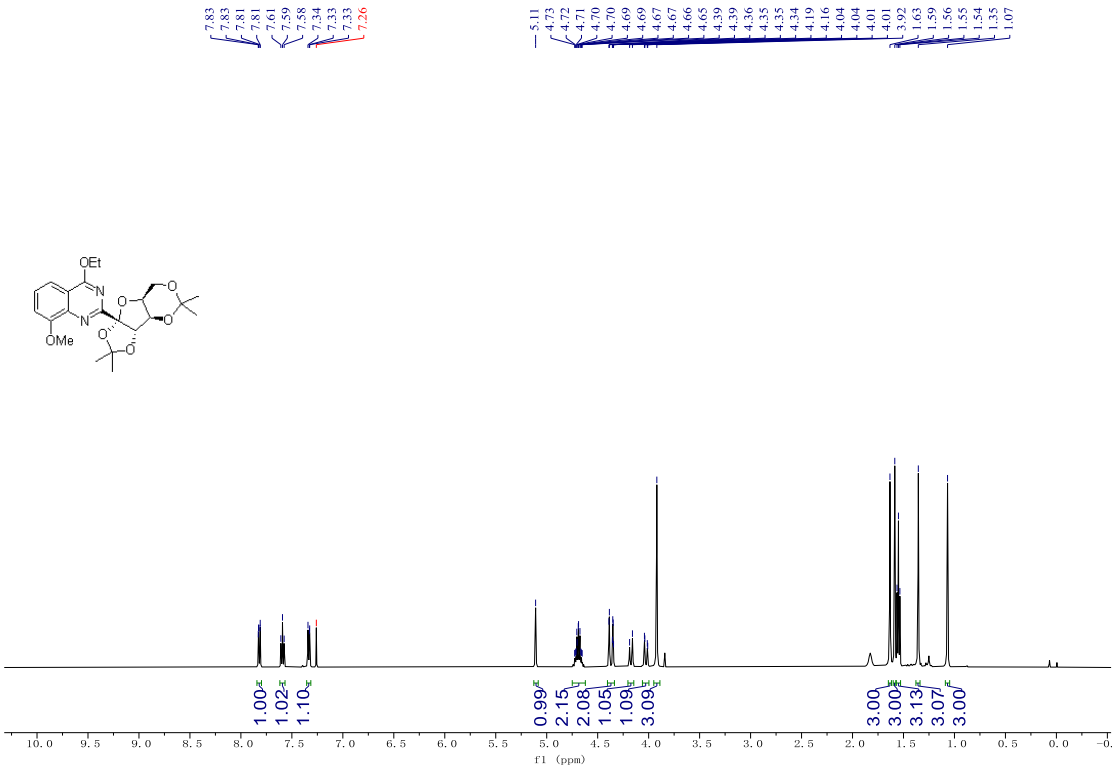
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 19a



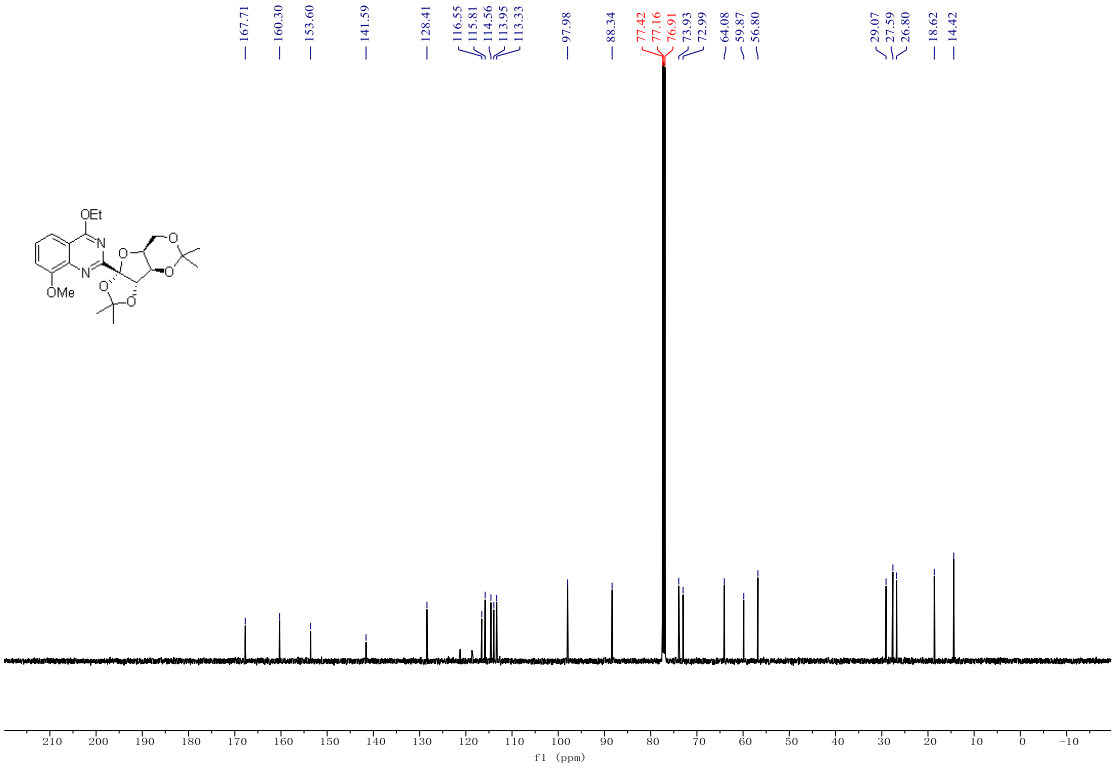
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 19a



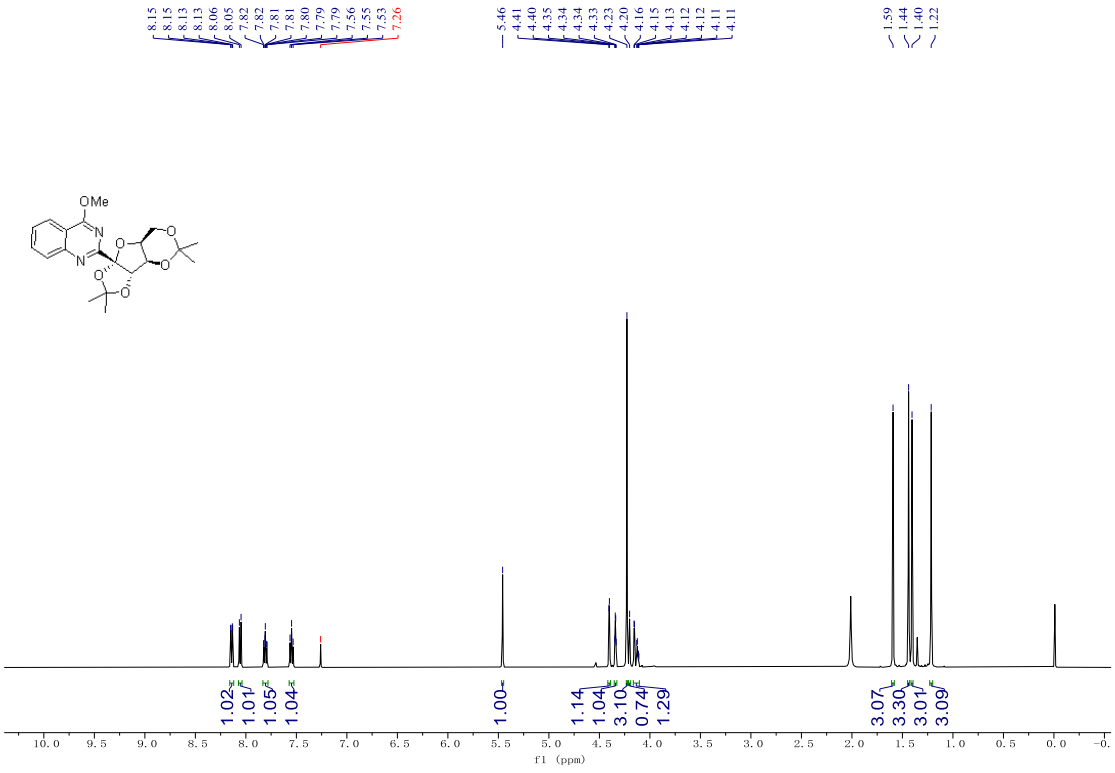
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 19b



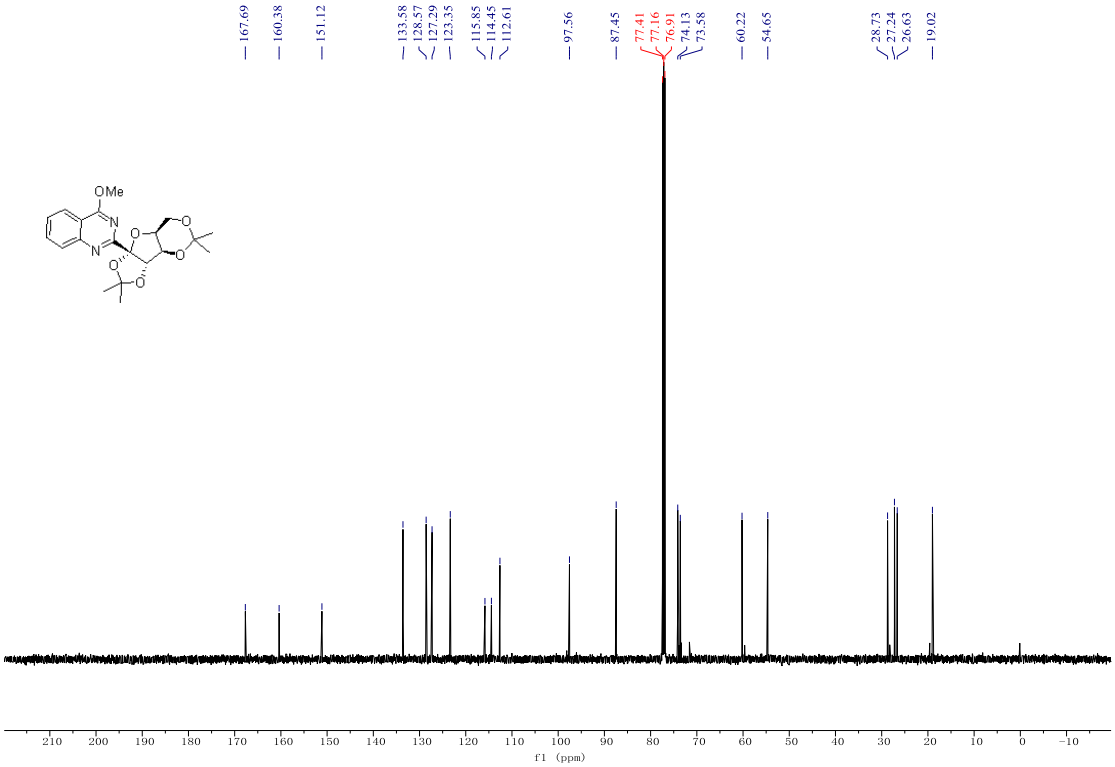
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 19b



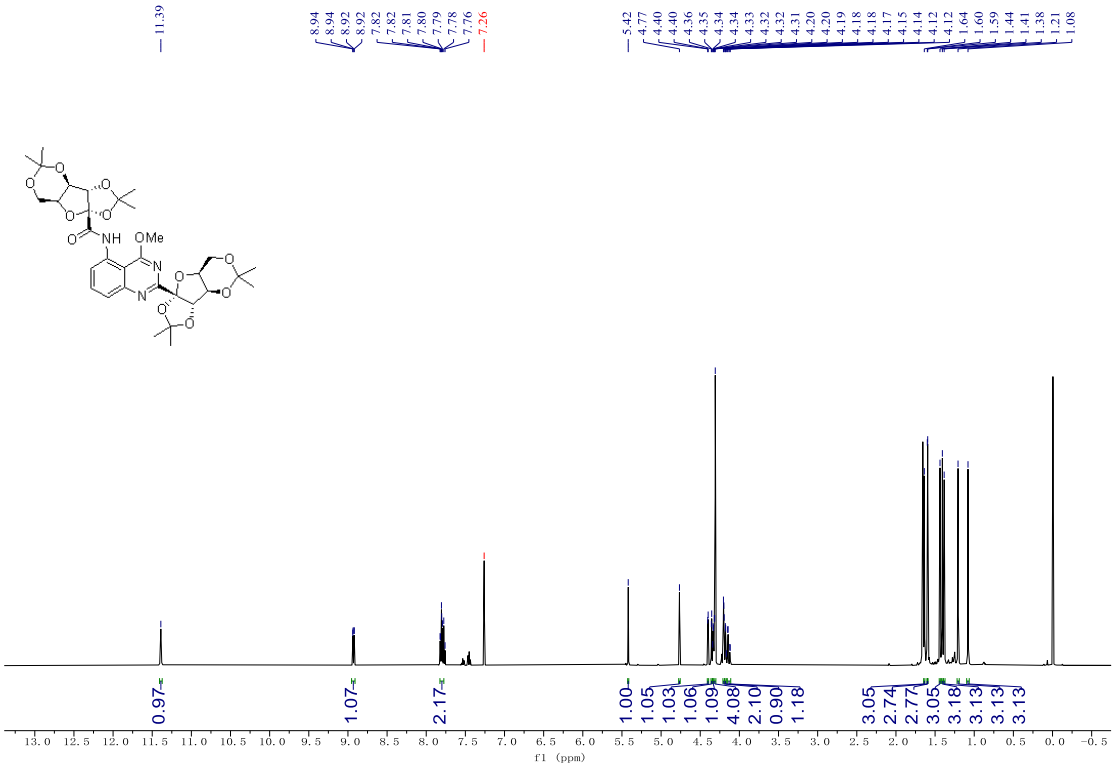
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 20a



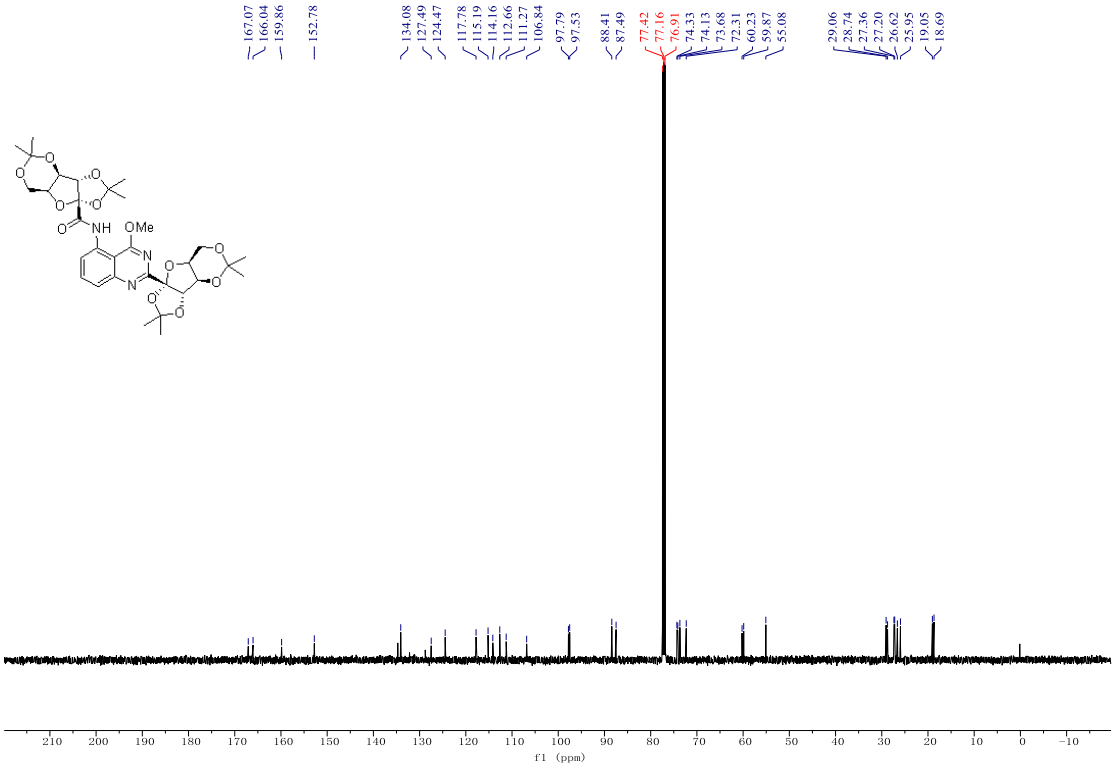
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 20a



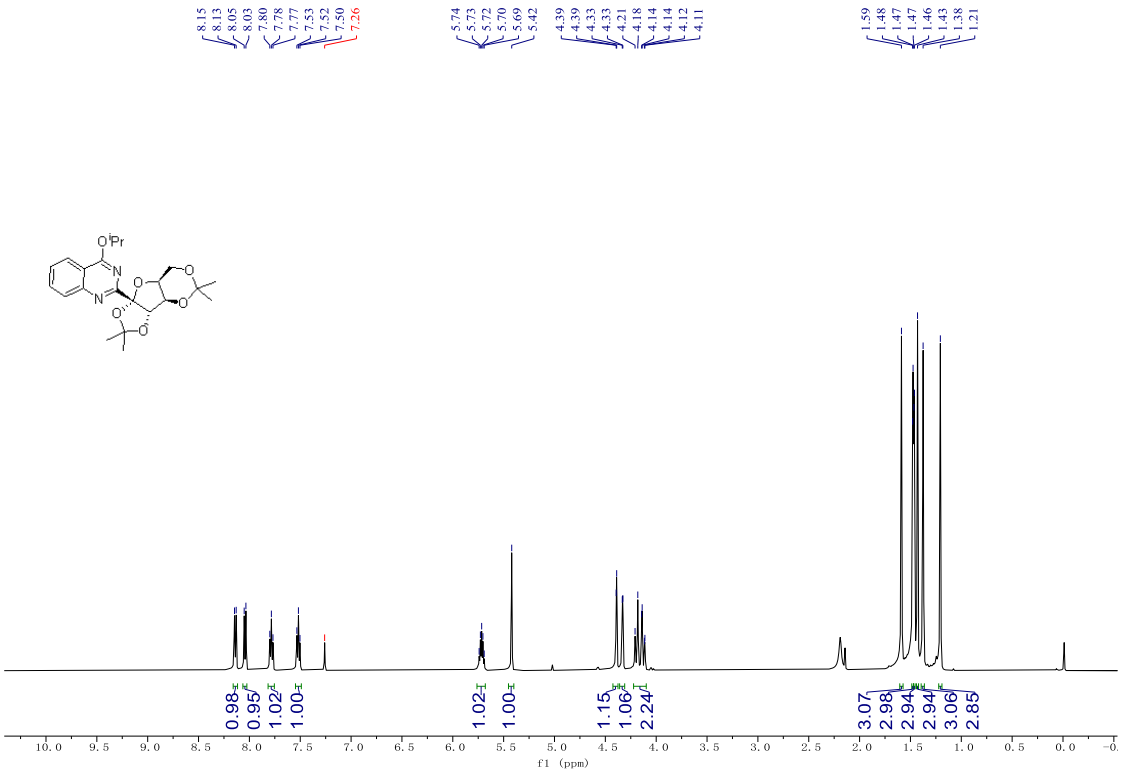
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 20b



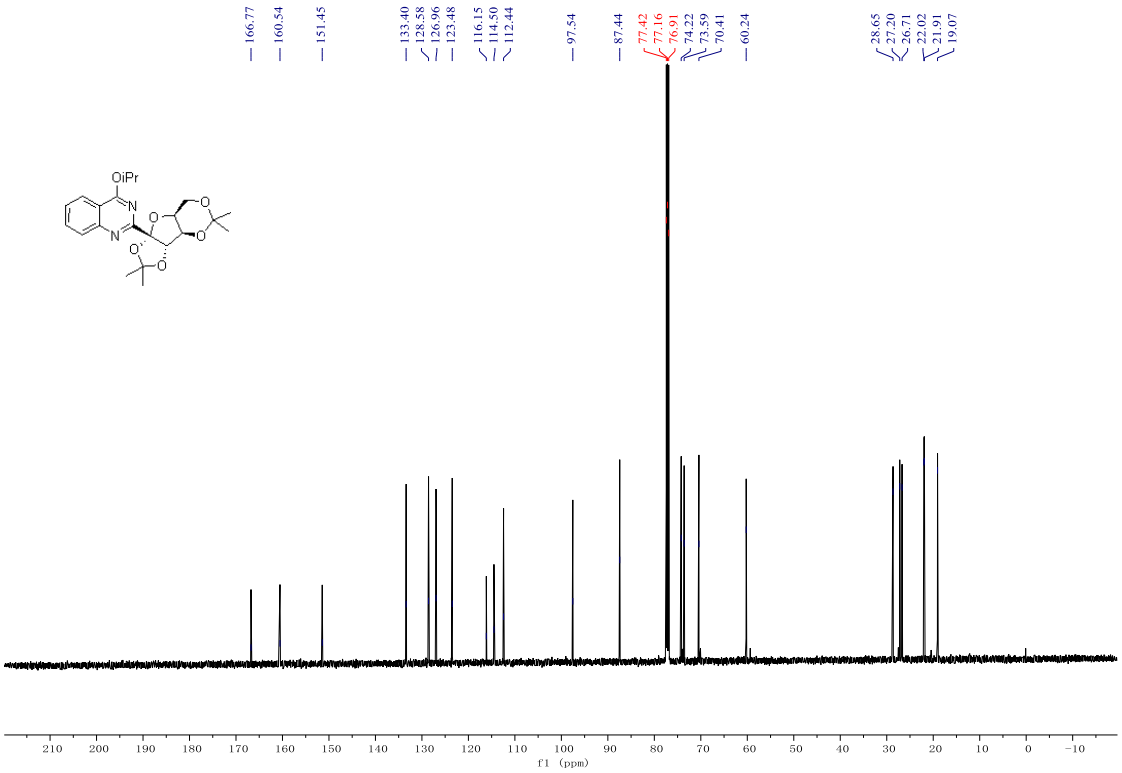
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 20b



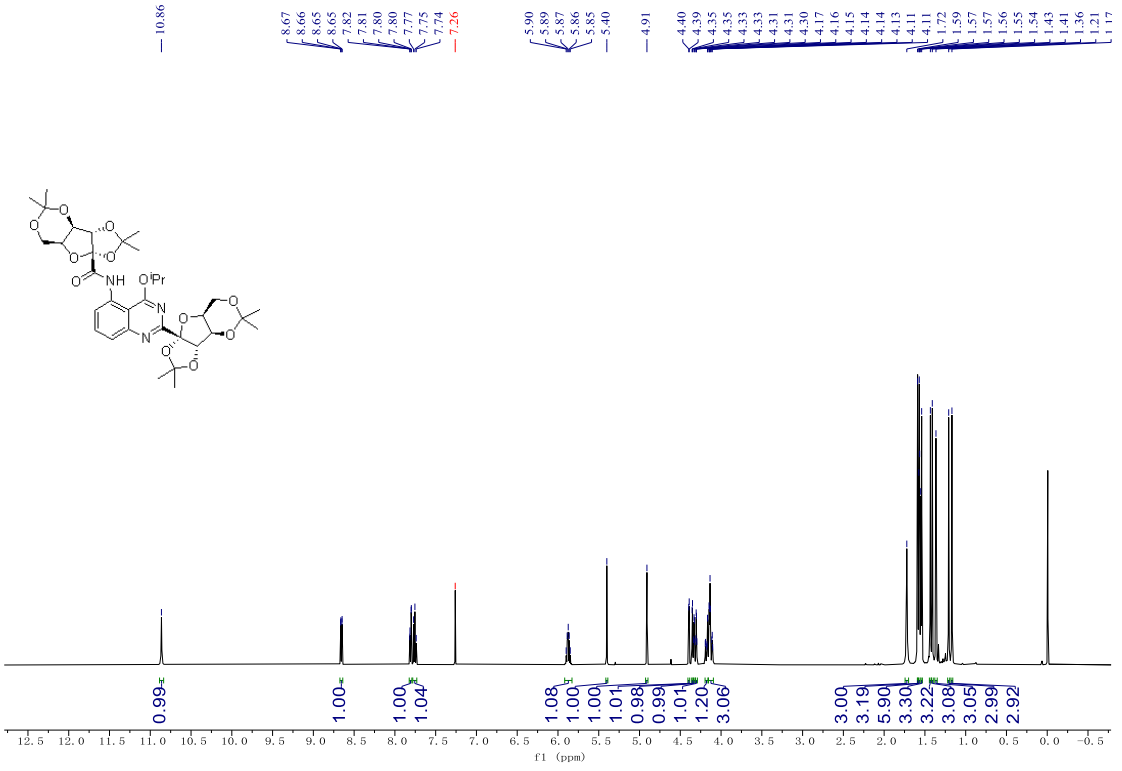
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 21a



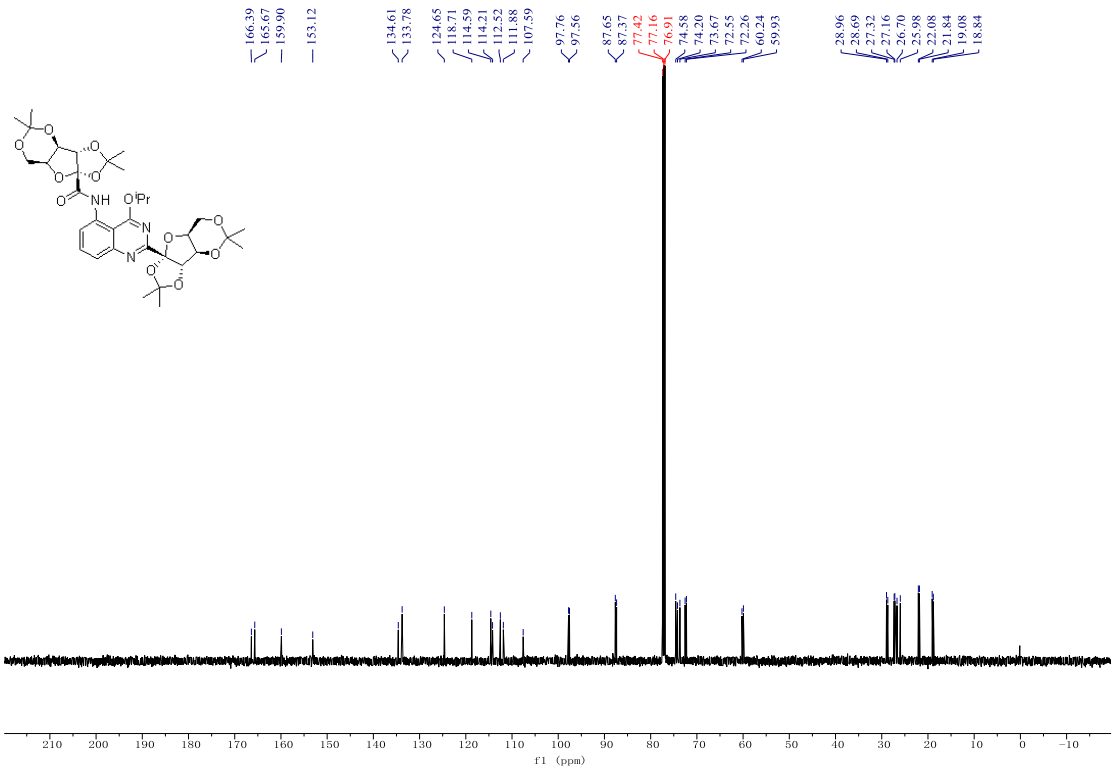
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 21a



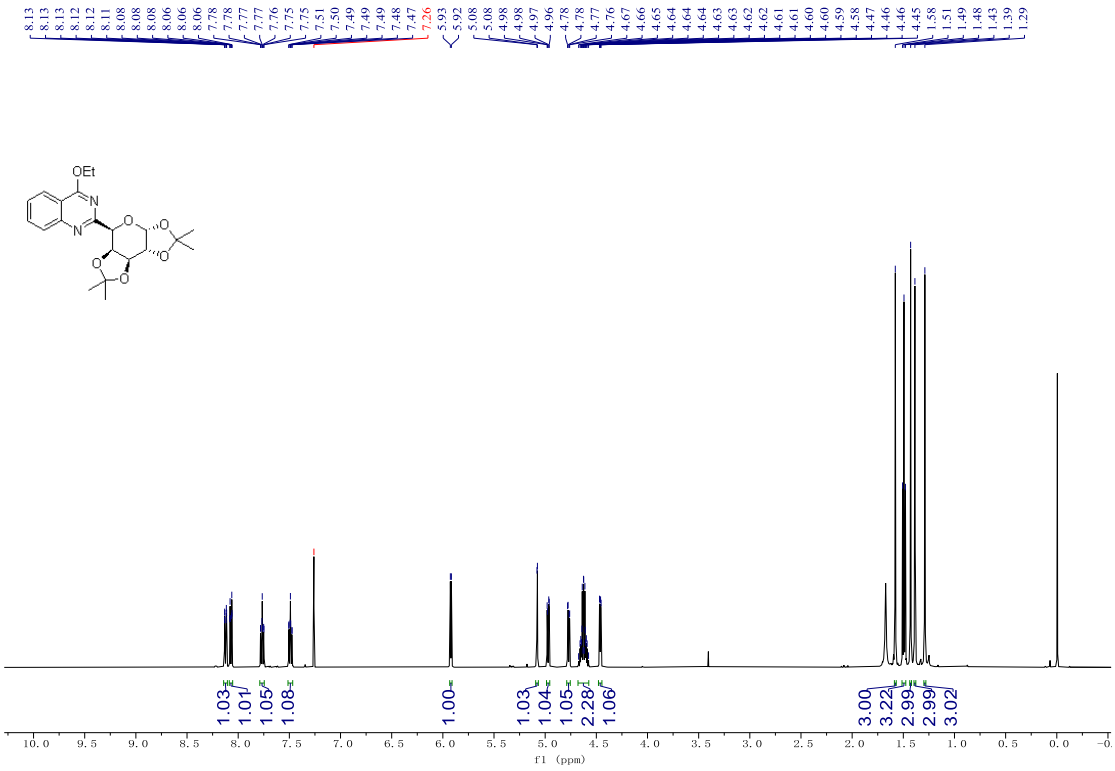
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 21b



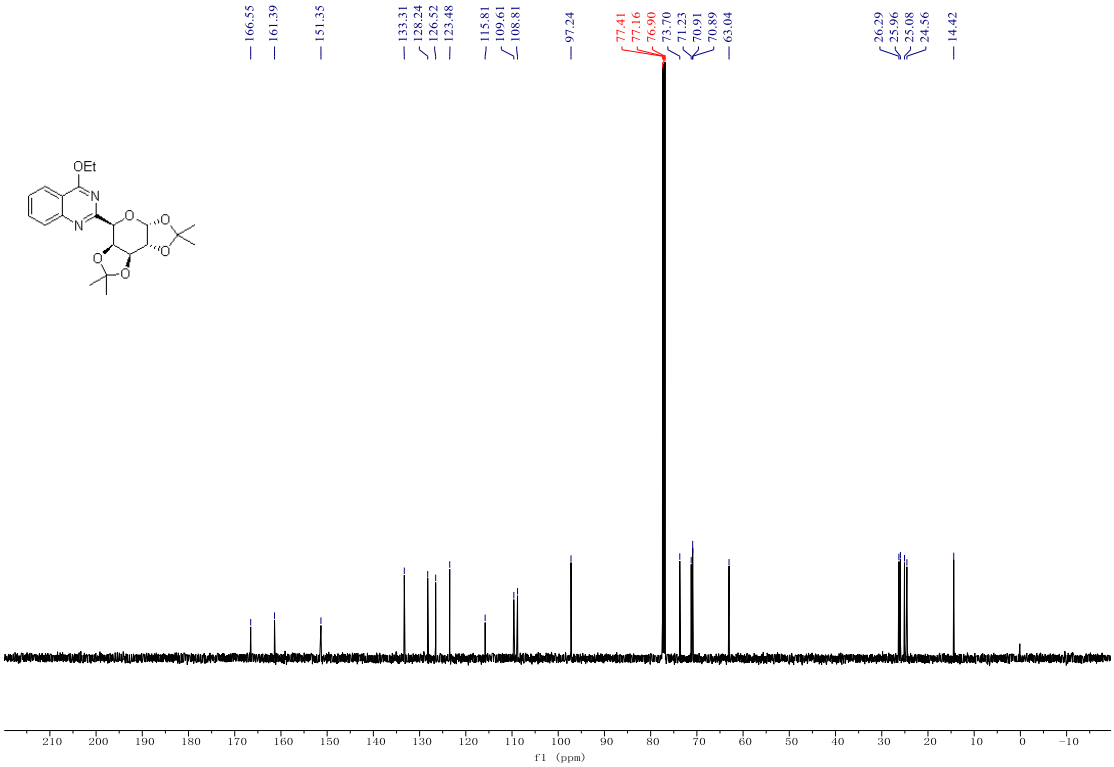
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 21b



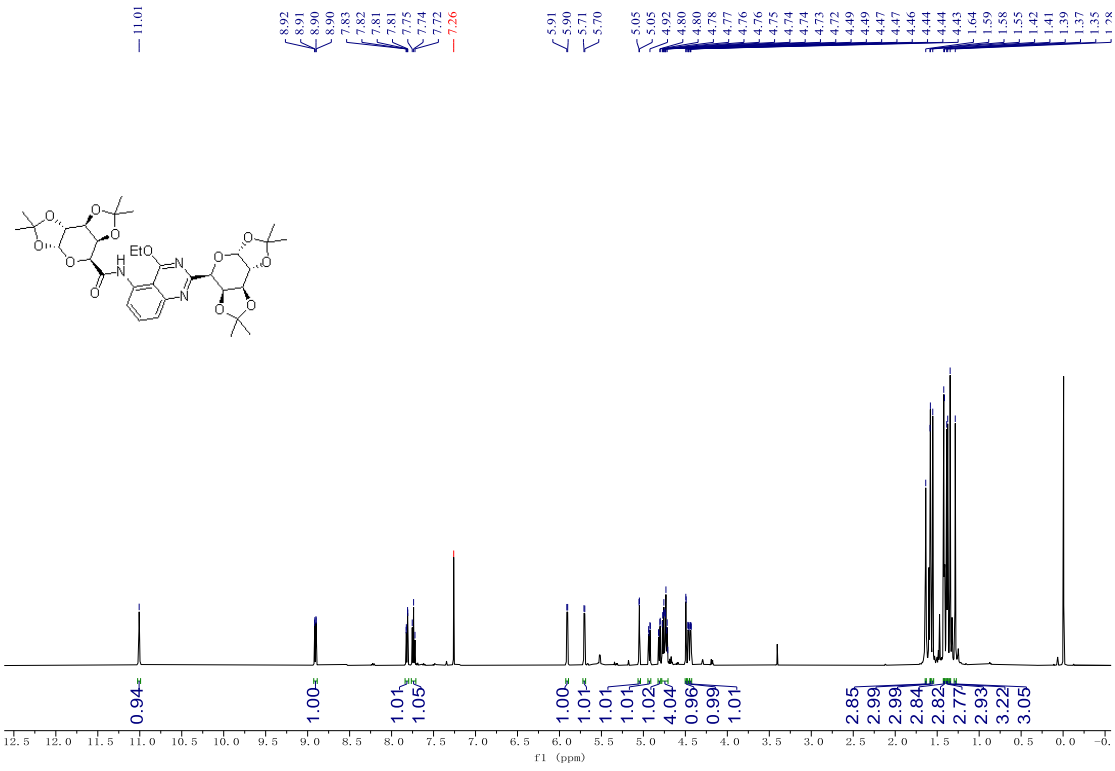
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 22a



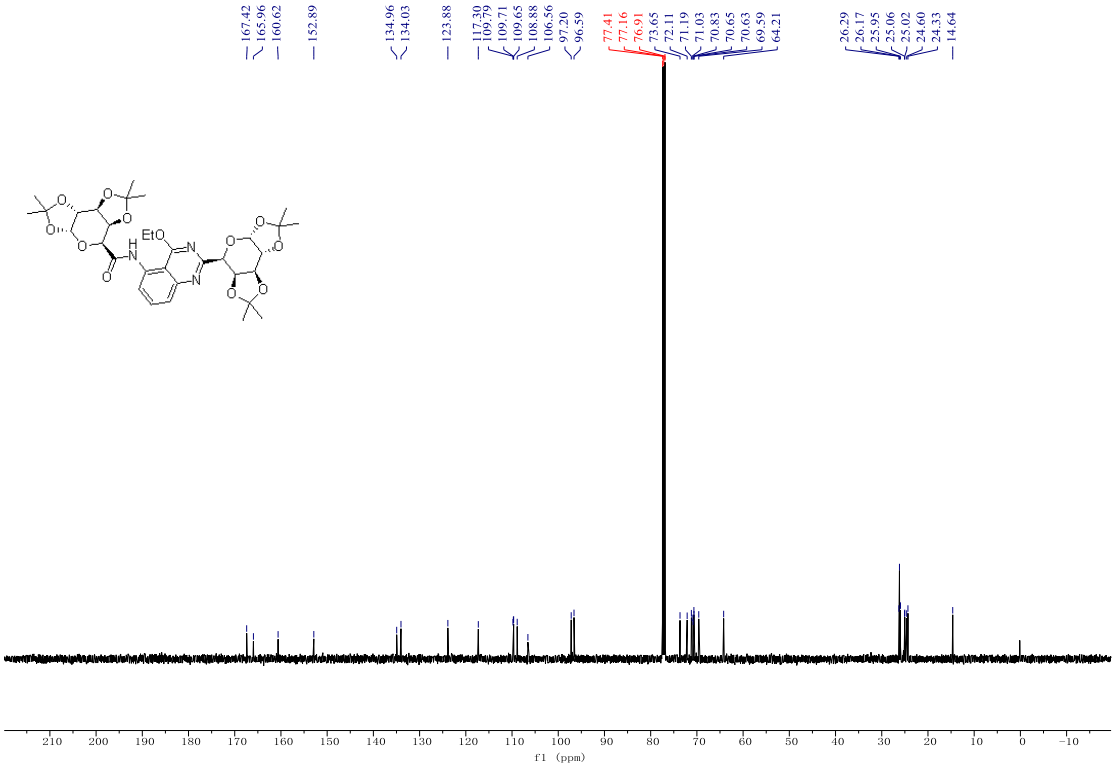
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 22a



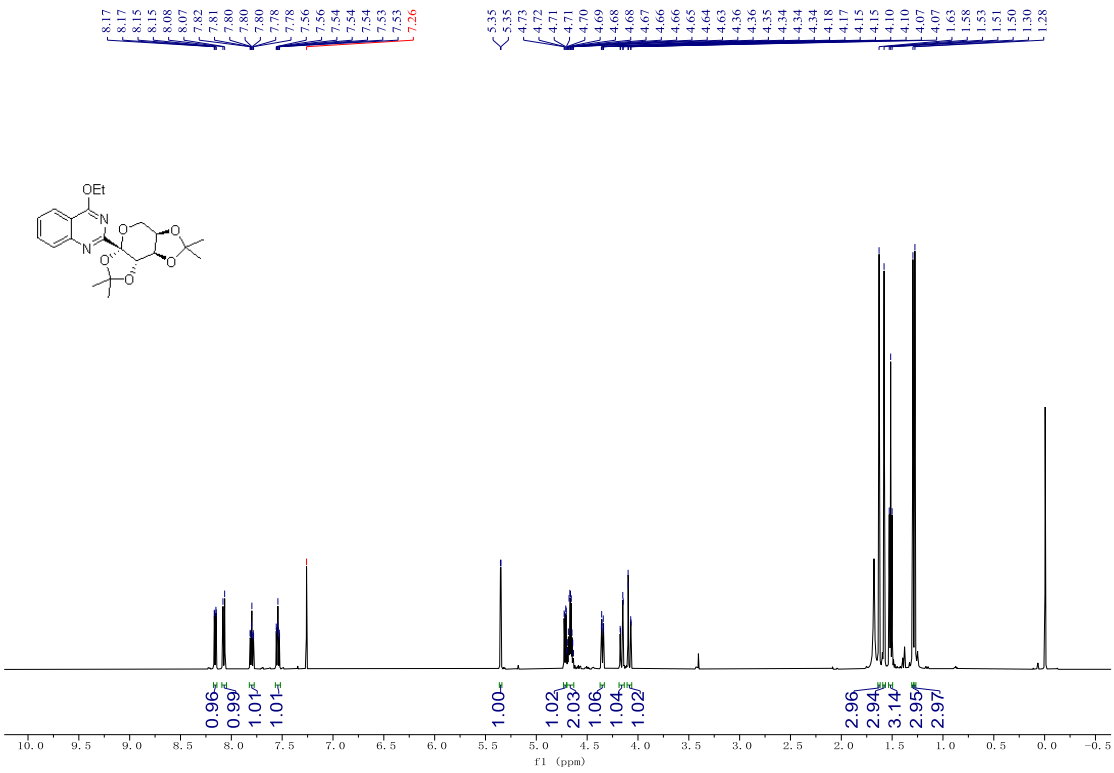
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 22b



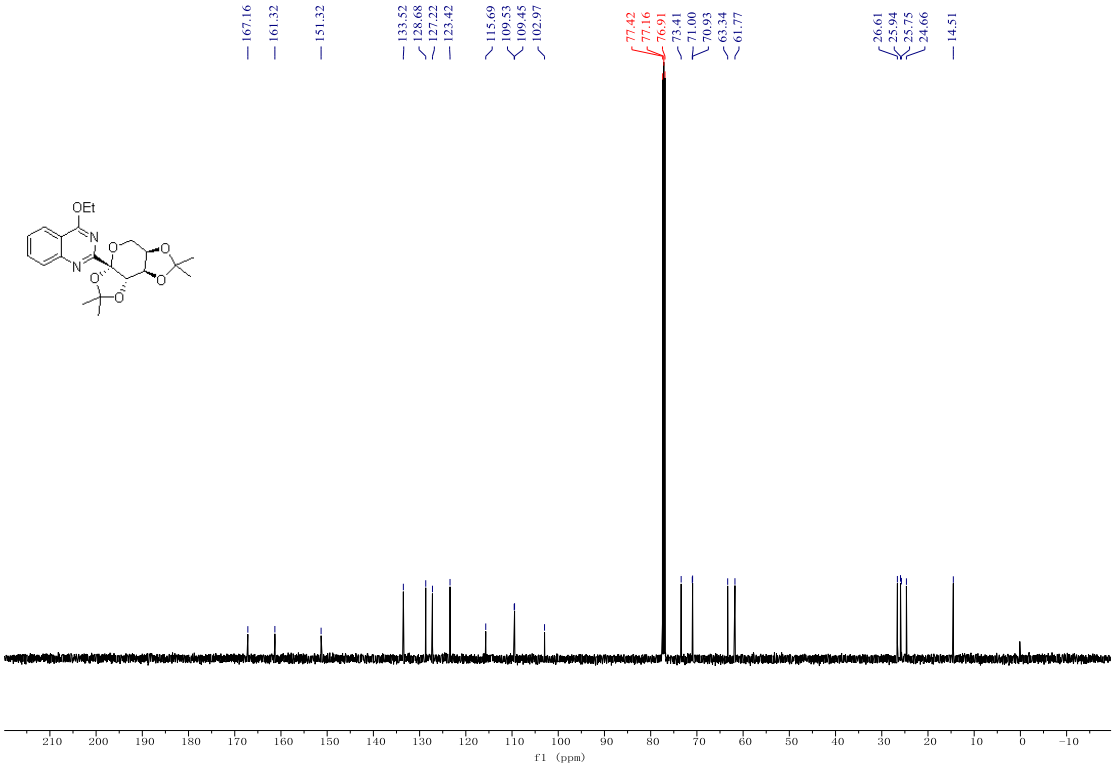
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 22b



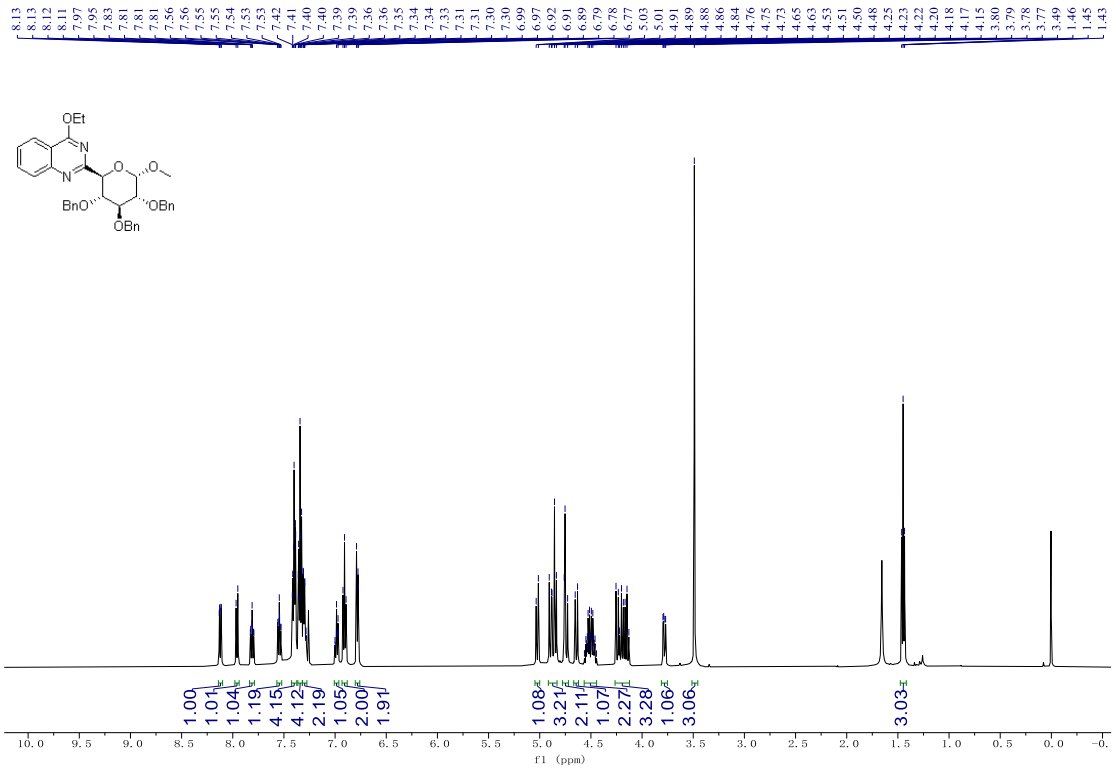
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 23



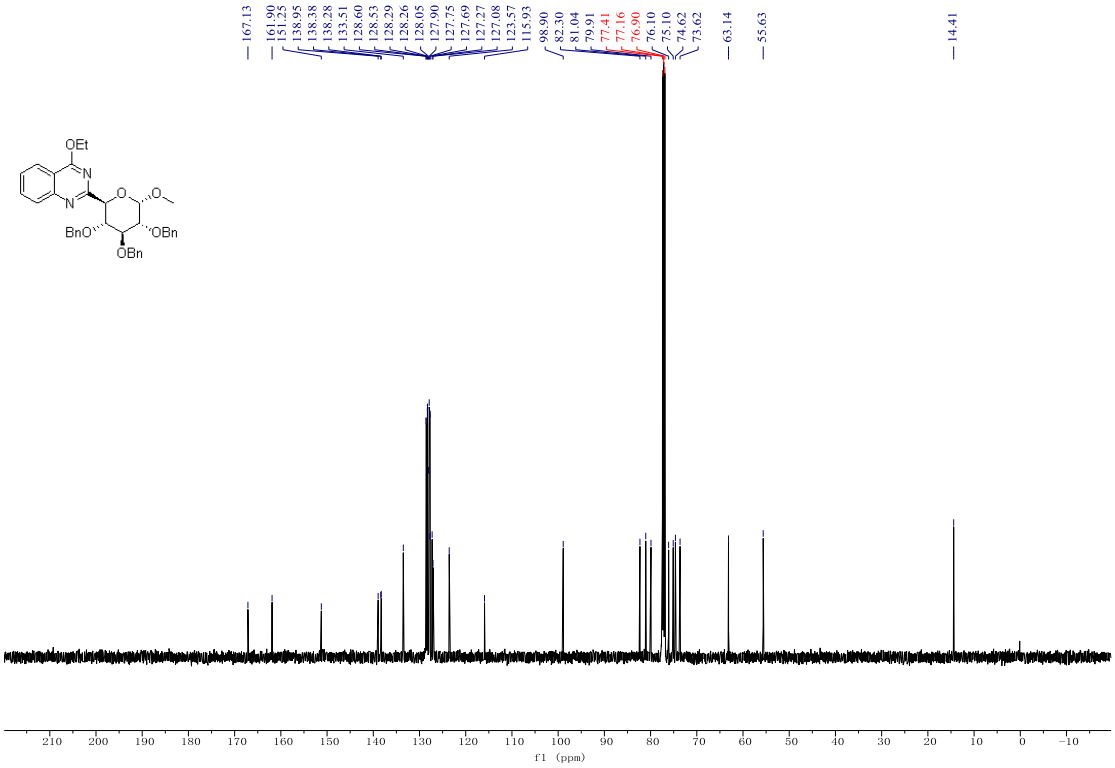
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 23



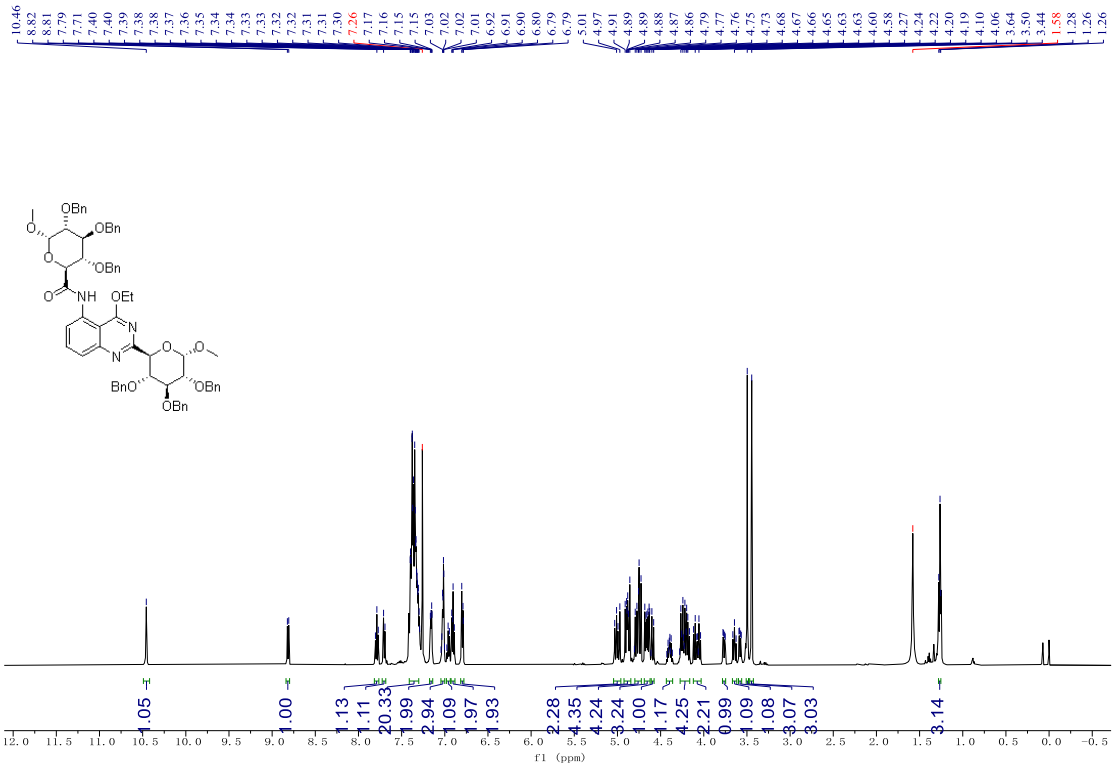
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 24a



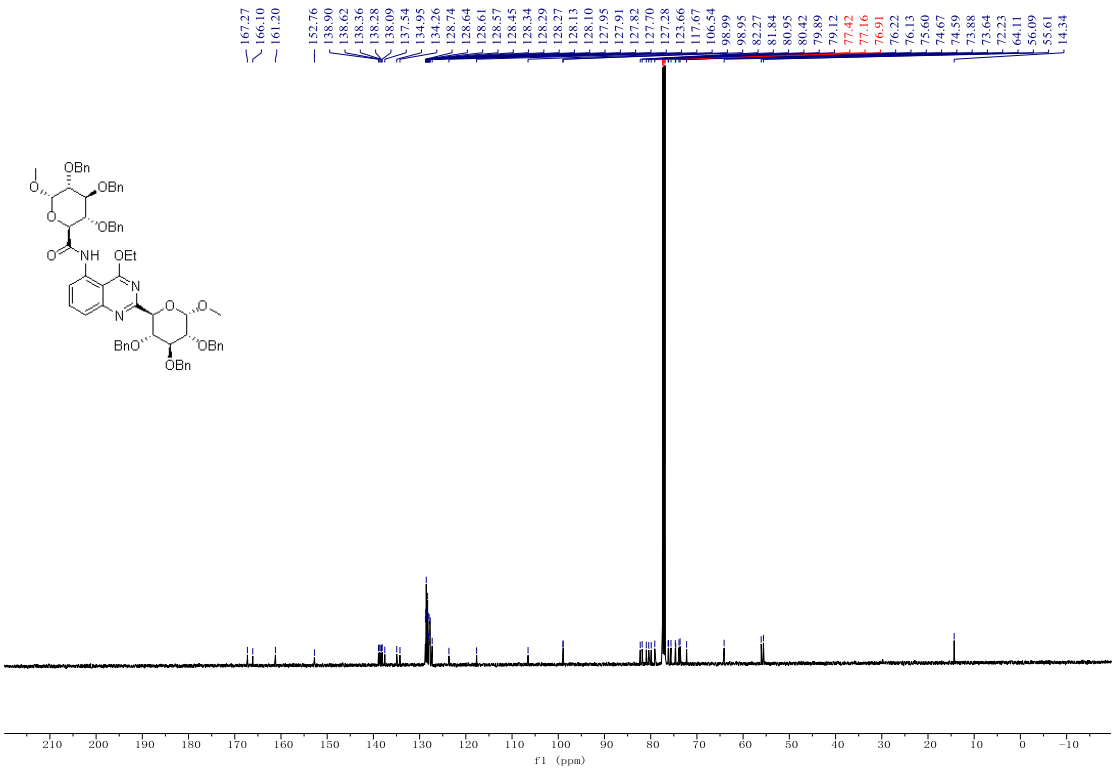
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 24a



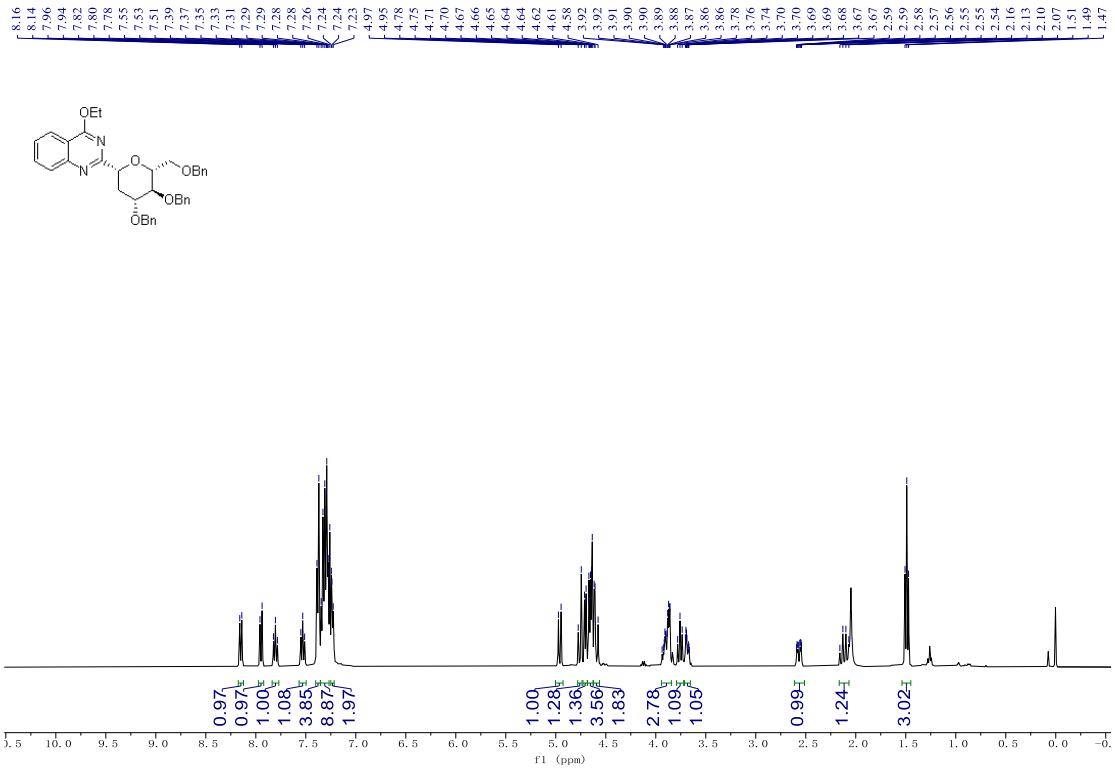
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 24b



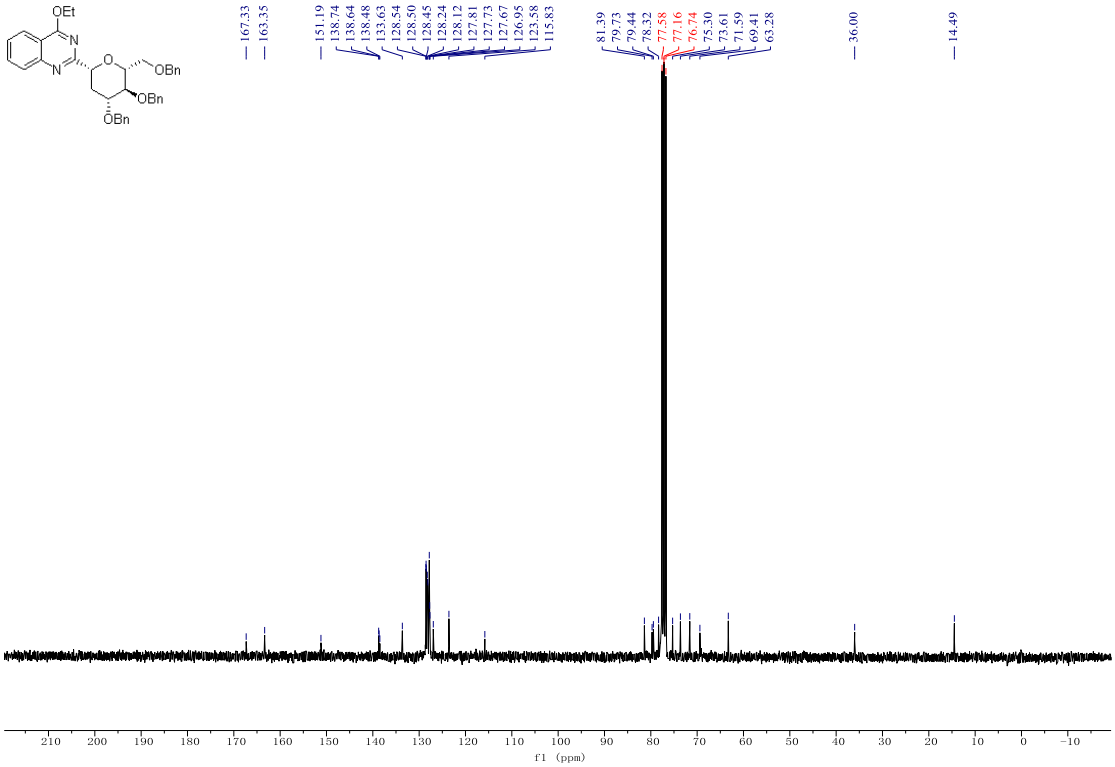
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 24b



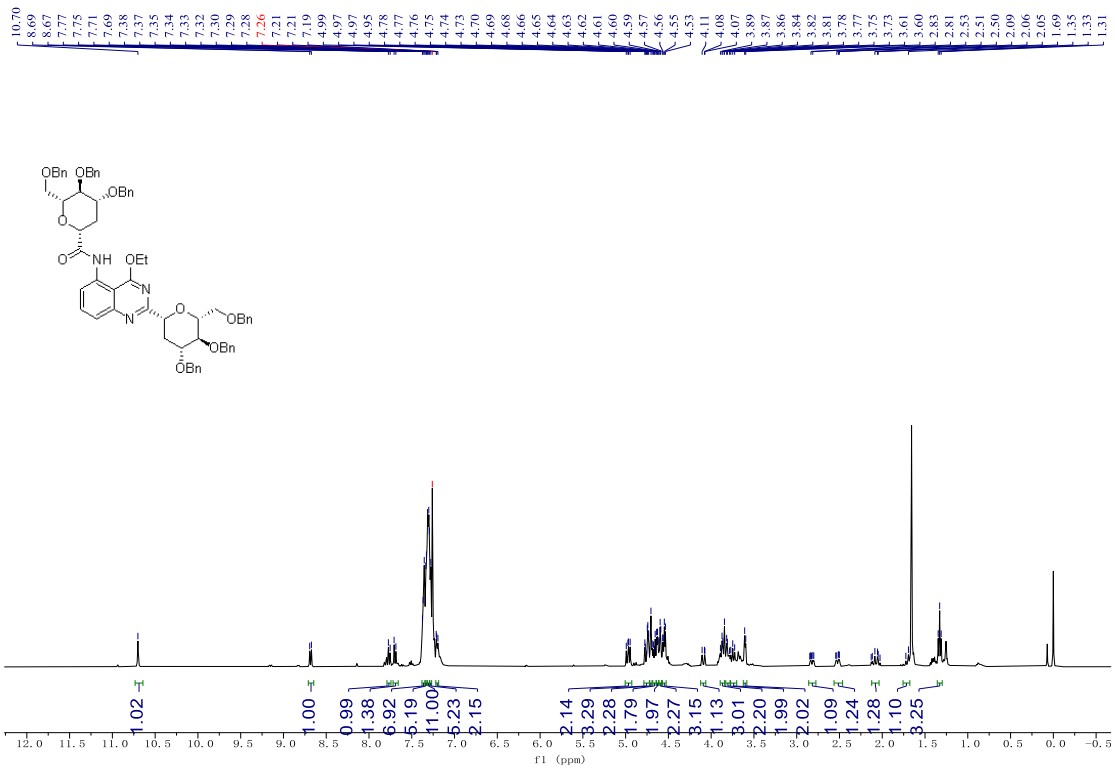
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound 25a



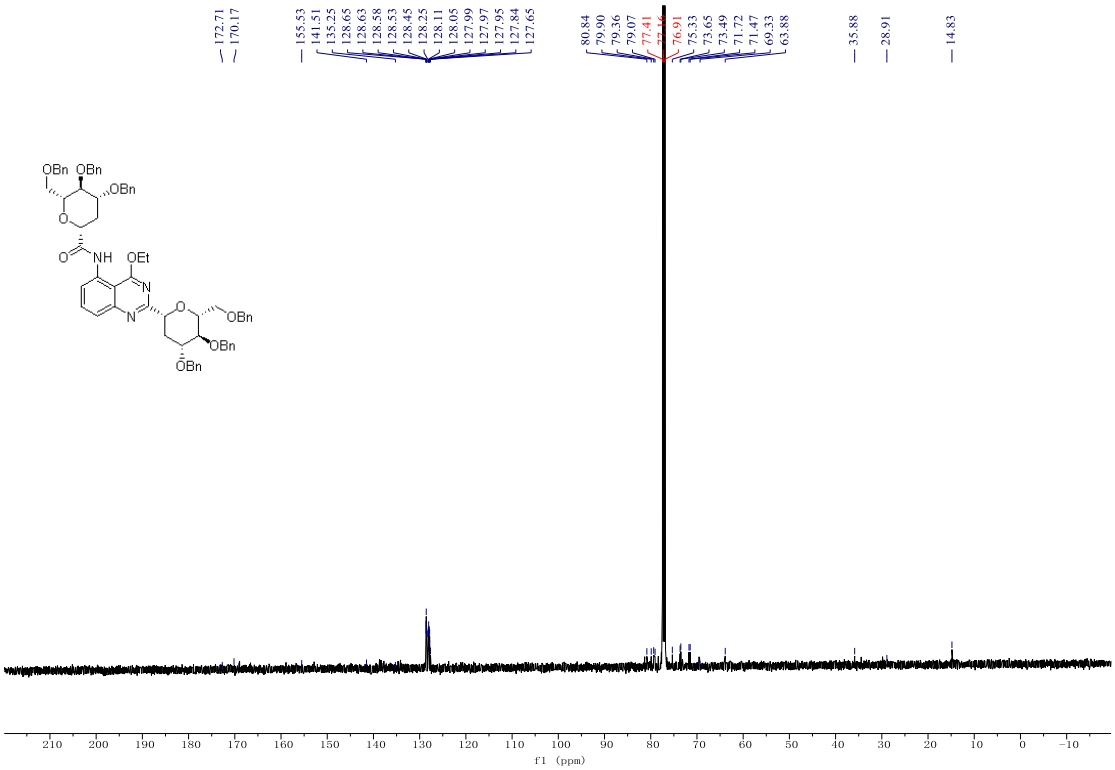
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 25a



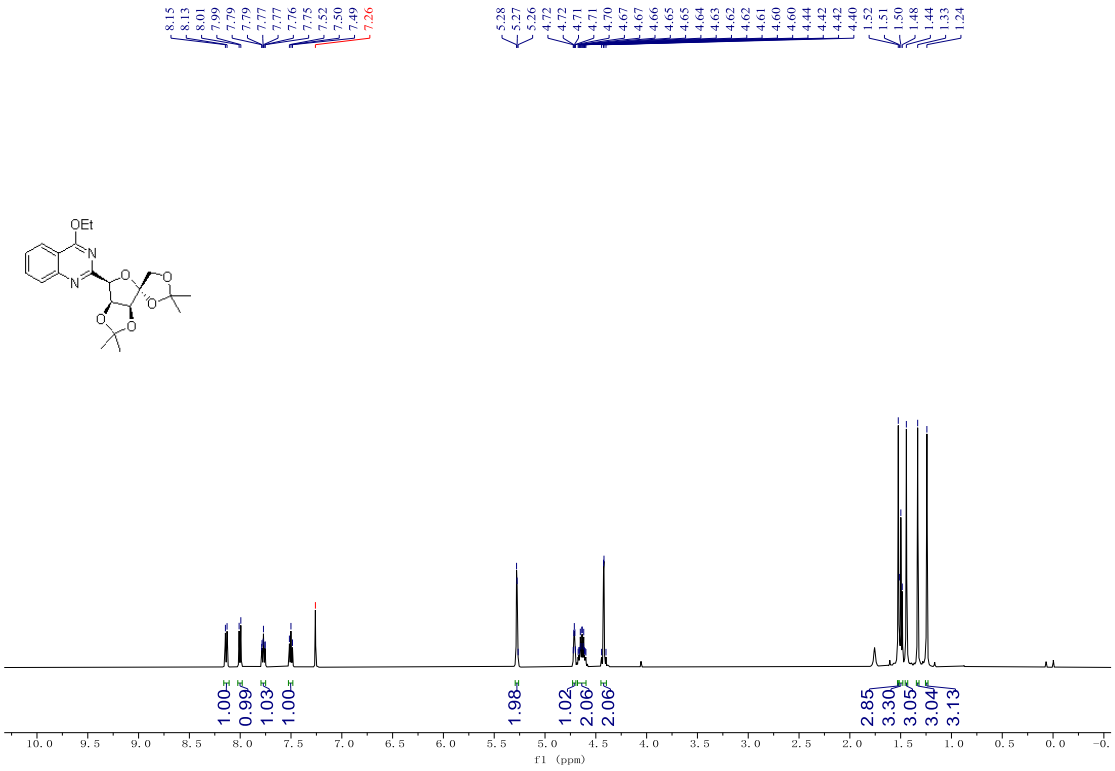
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound 25b



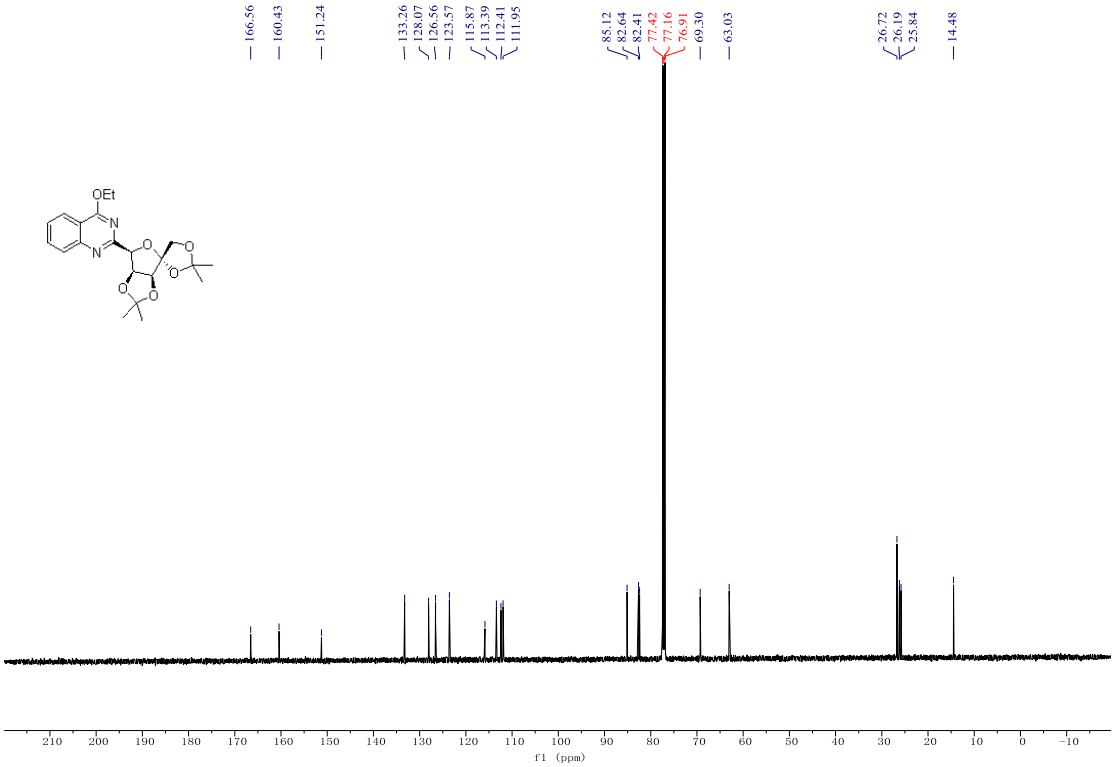
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 25b



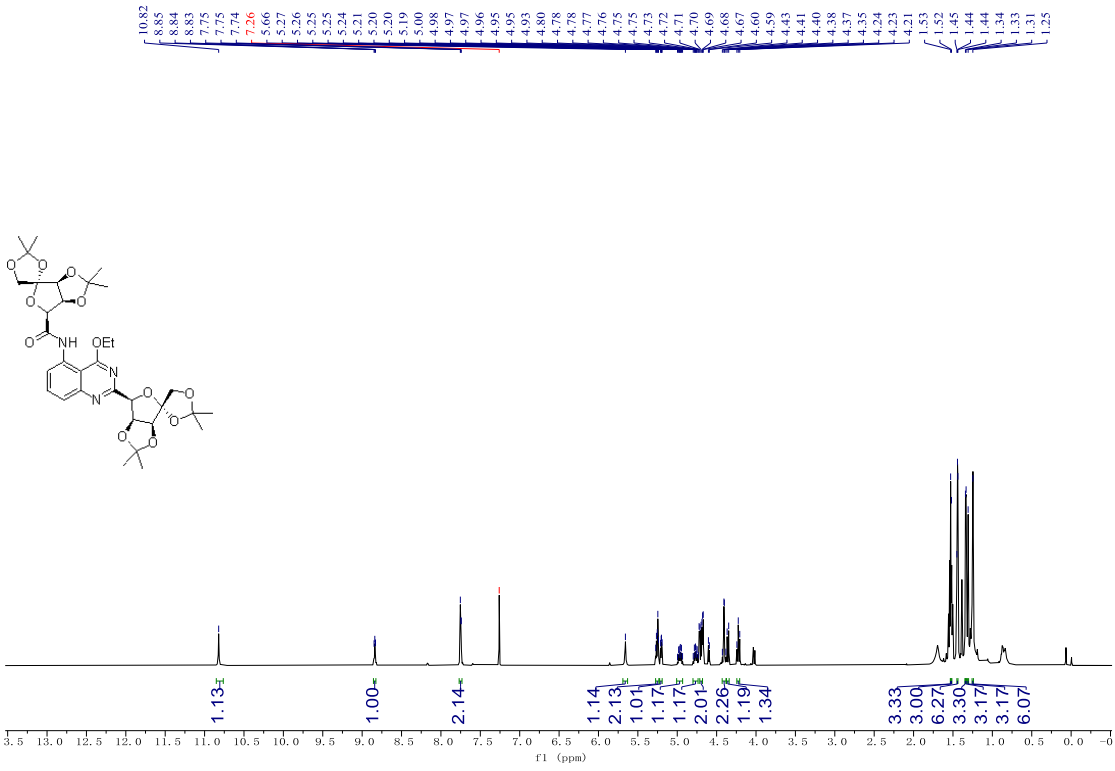
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 26a



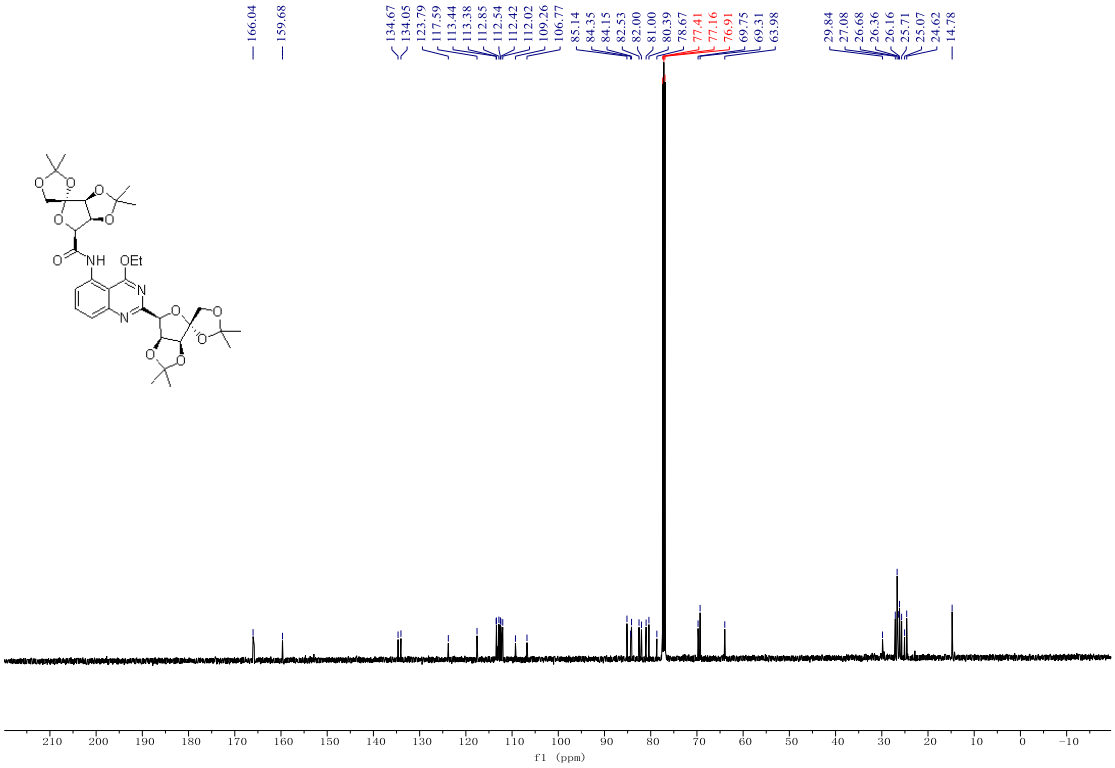
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 26a



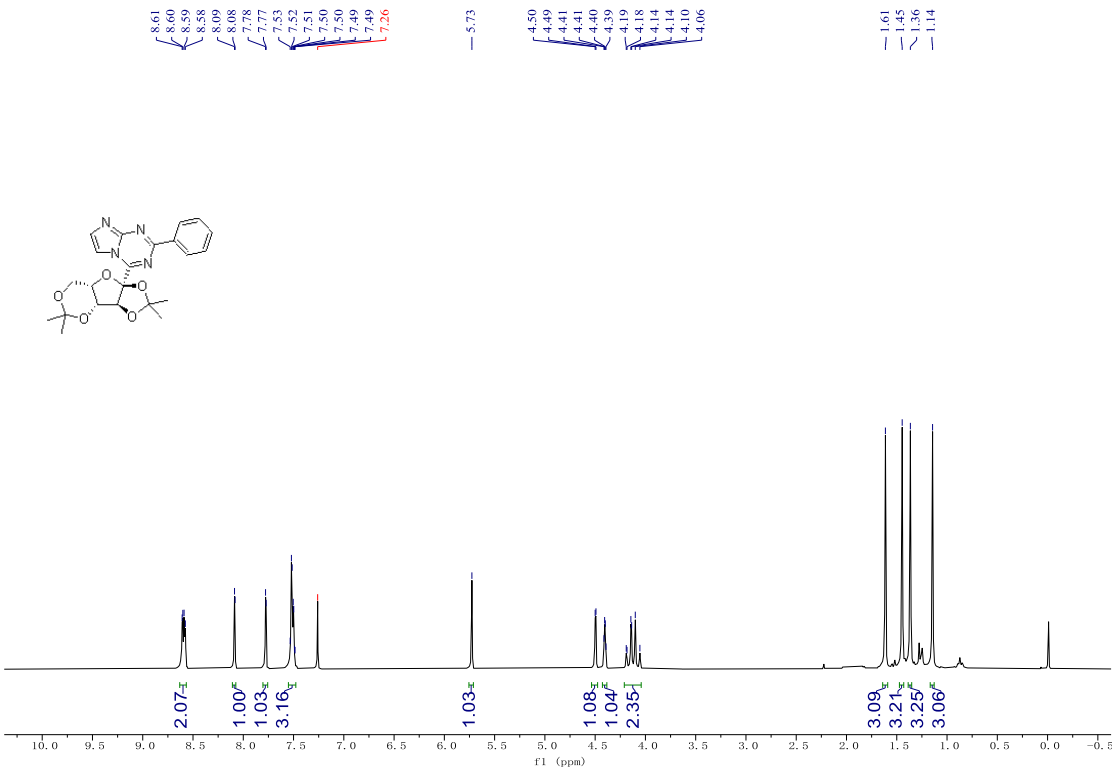
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 26b



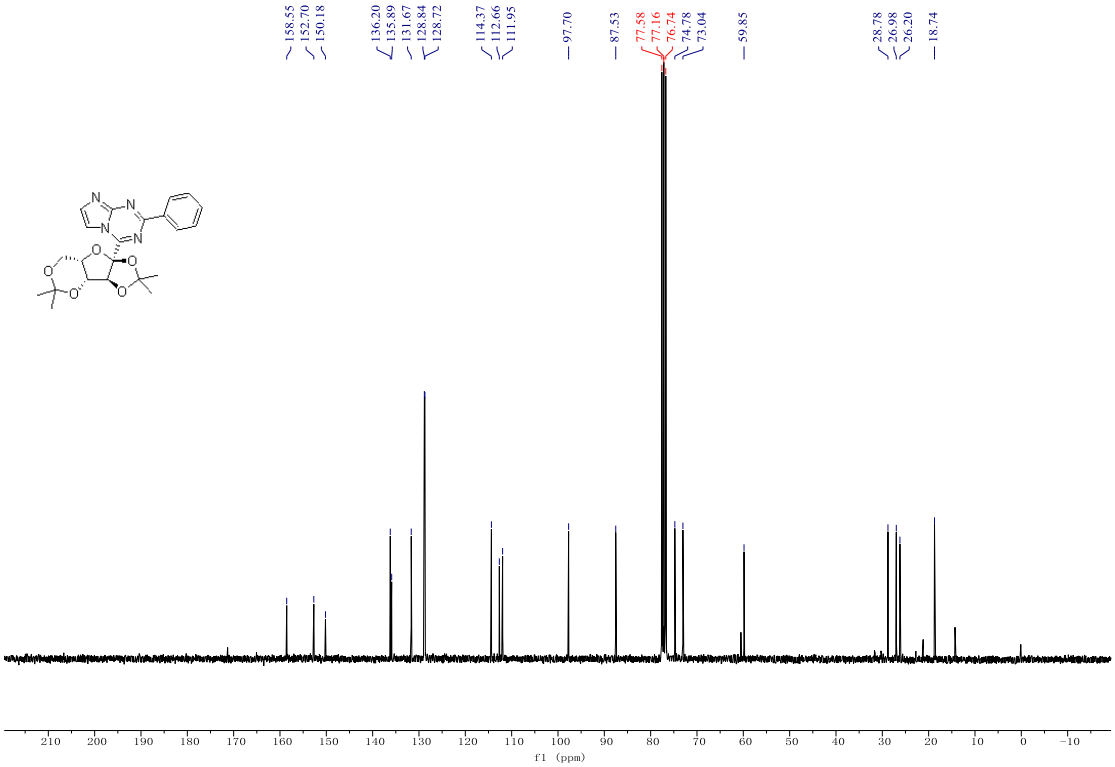
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 26b



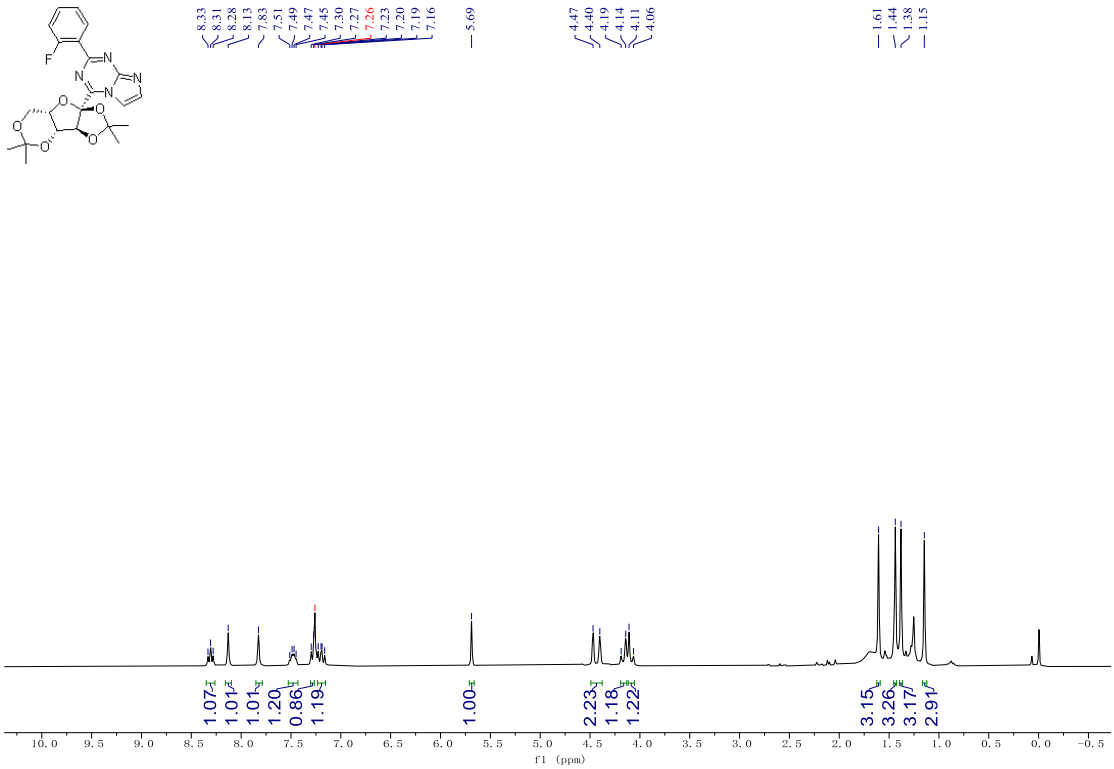
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound 27



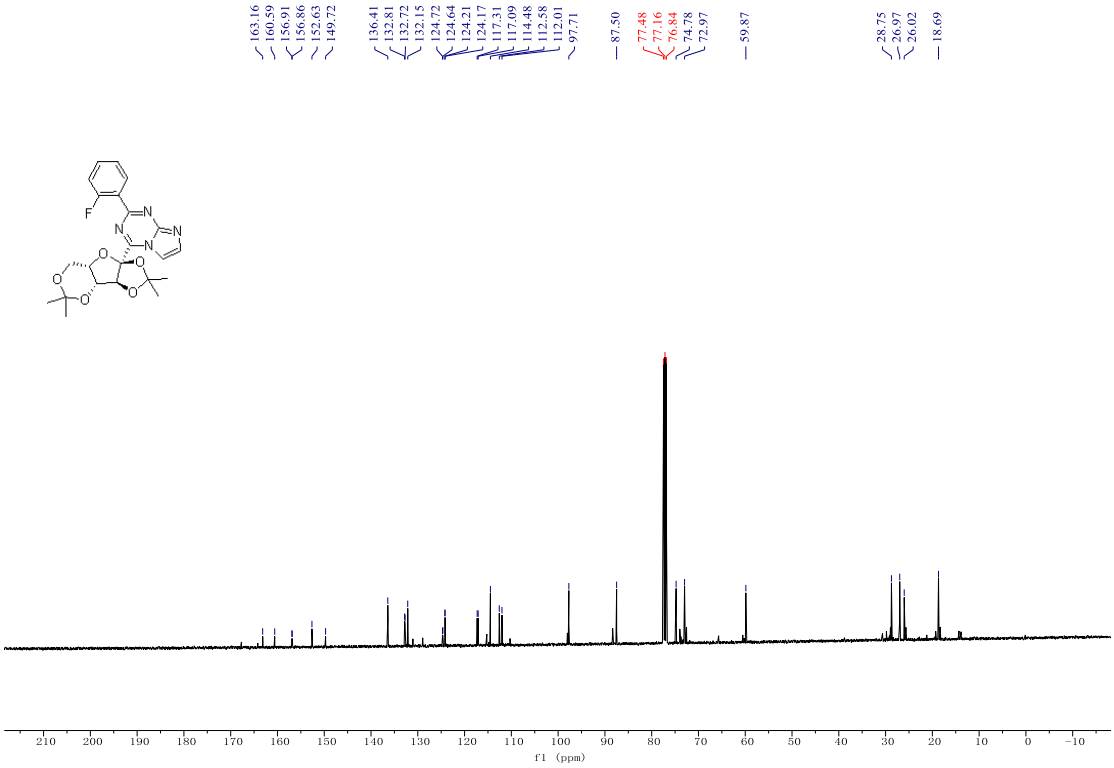
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 27



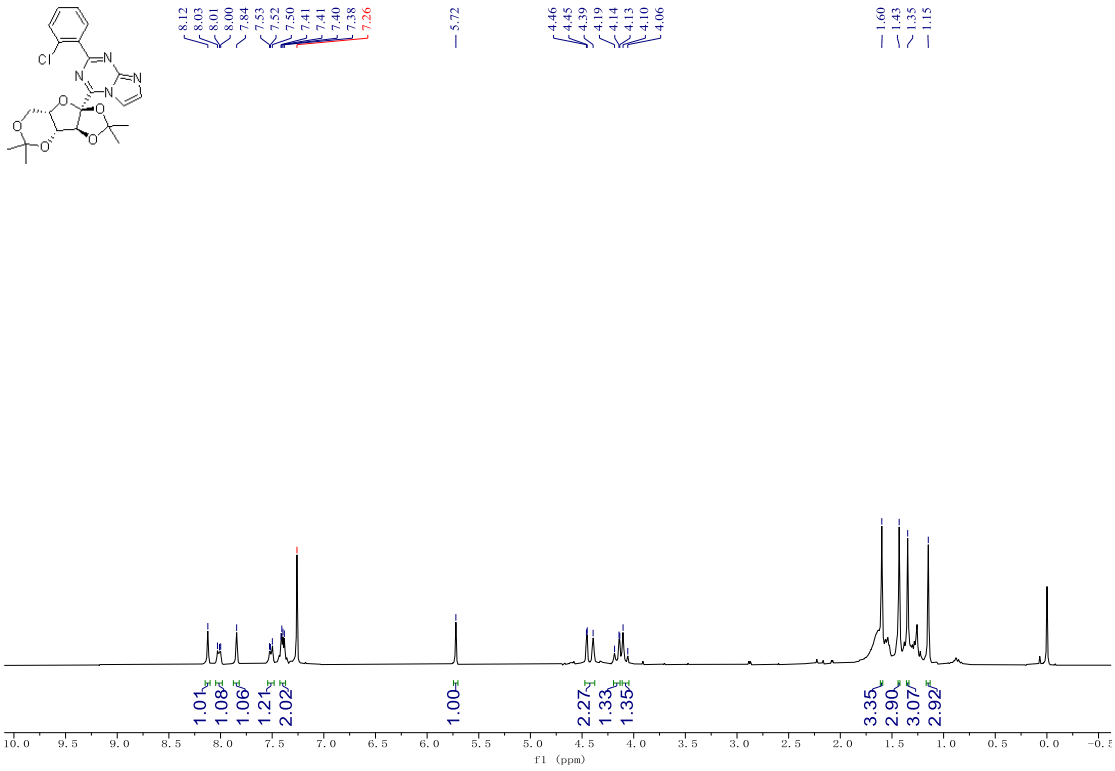
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound 28



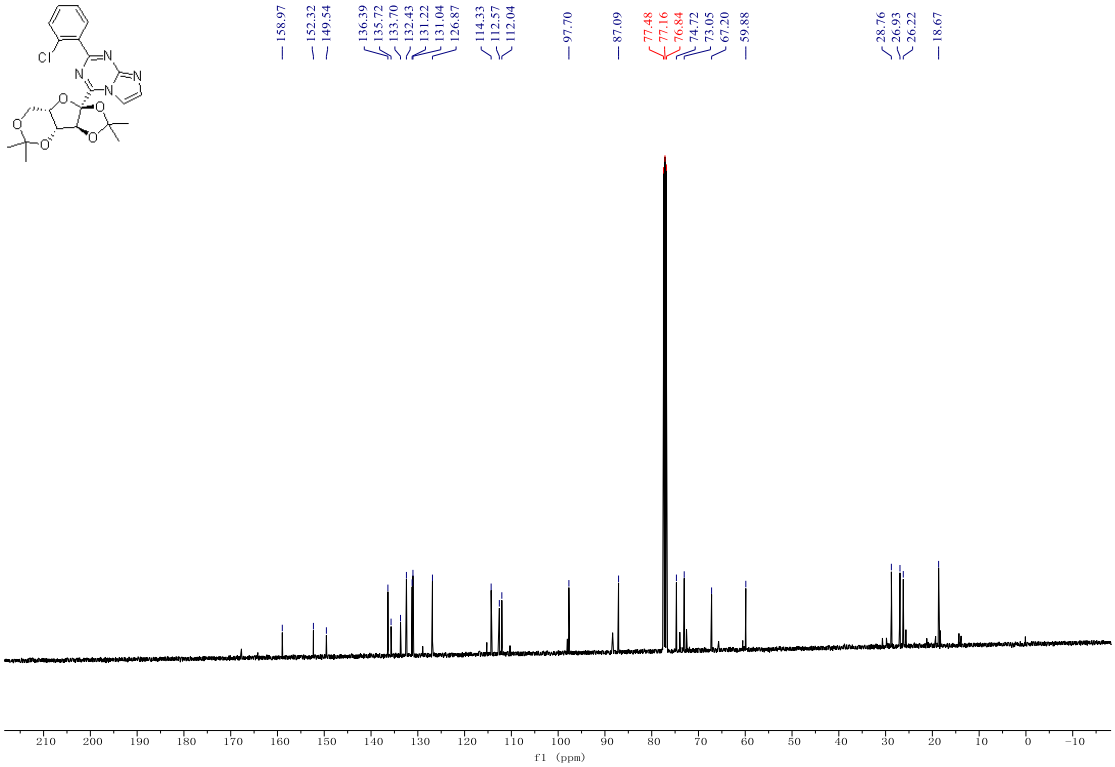
^{13}C NMR (101 MHz, $CDCl_3$) Spectra of compound 28



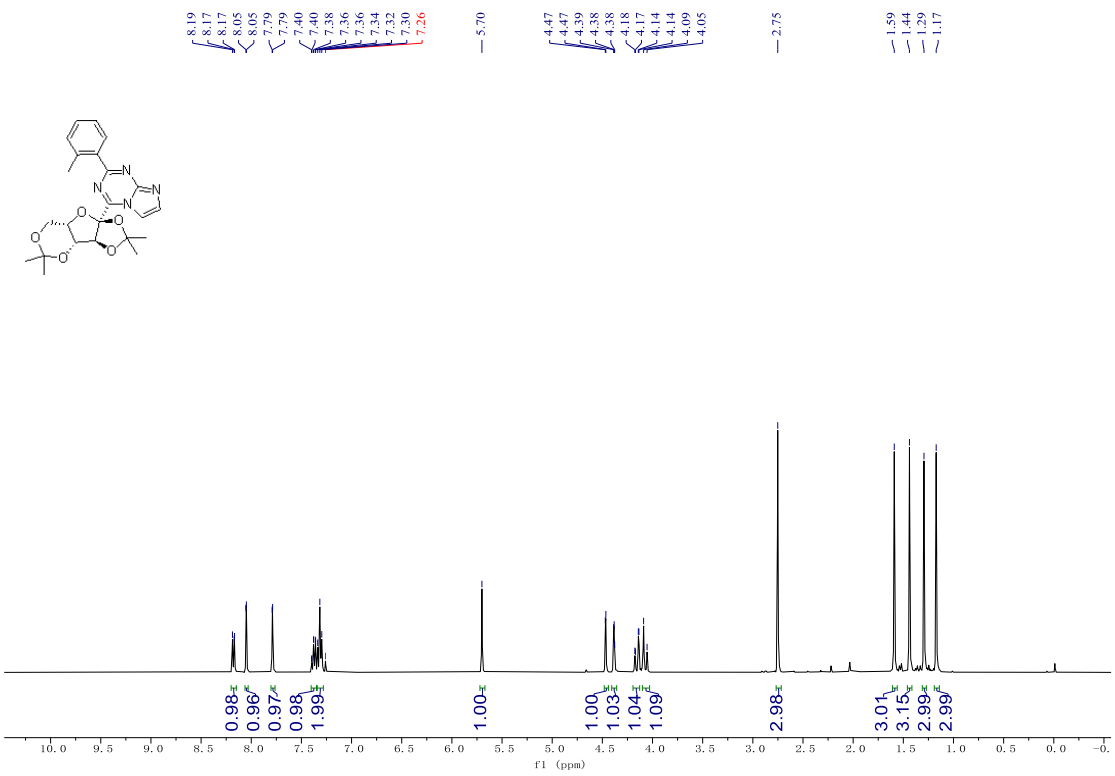
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound 29



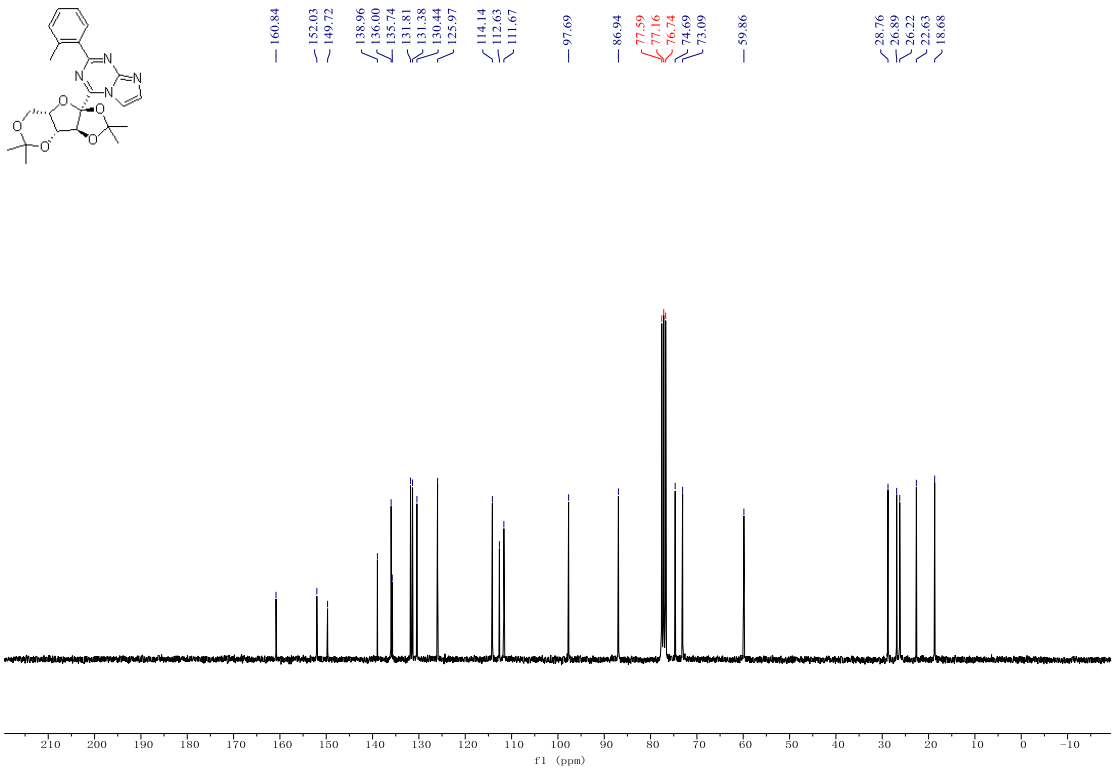
^{13}C NMR (101 MHz, $CDCl_3$) Spectra of compound 29



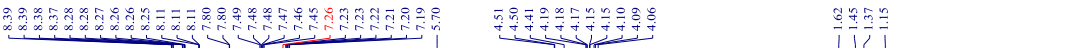
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound 30

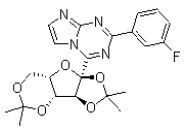


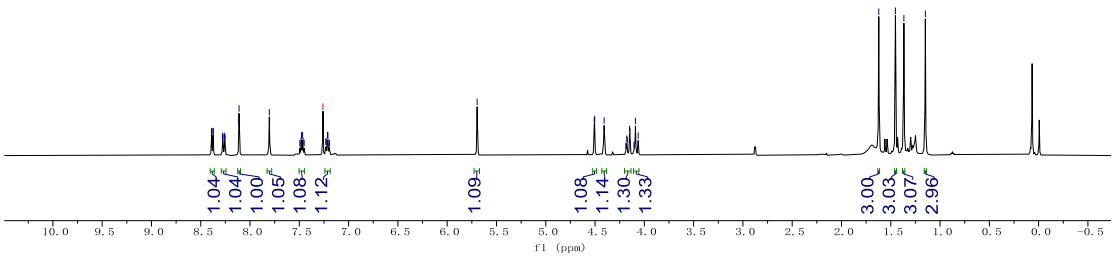
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 30



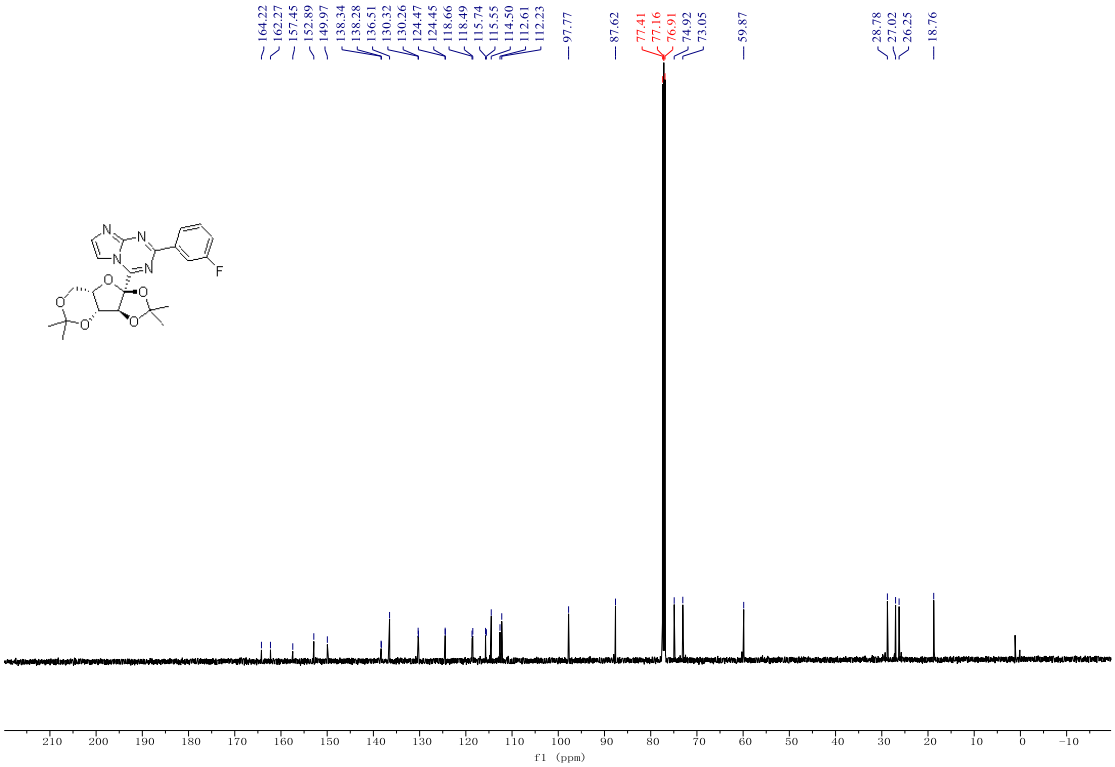
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 31



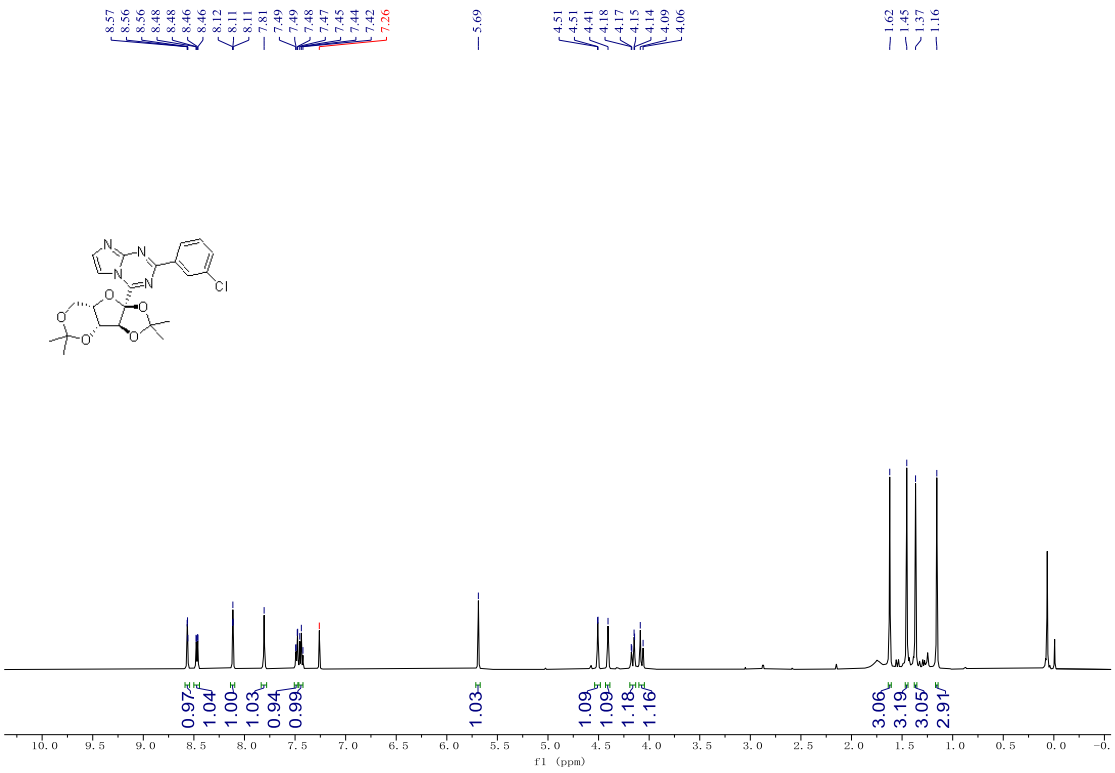




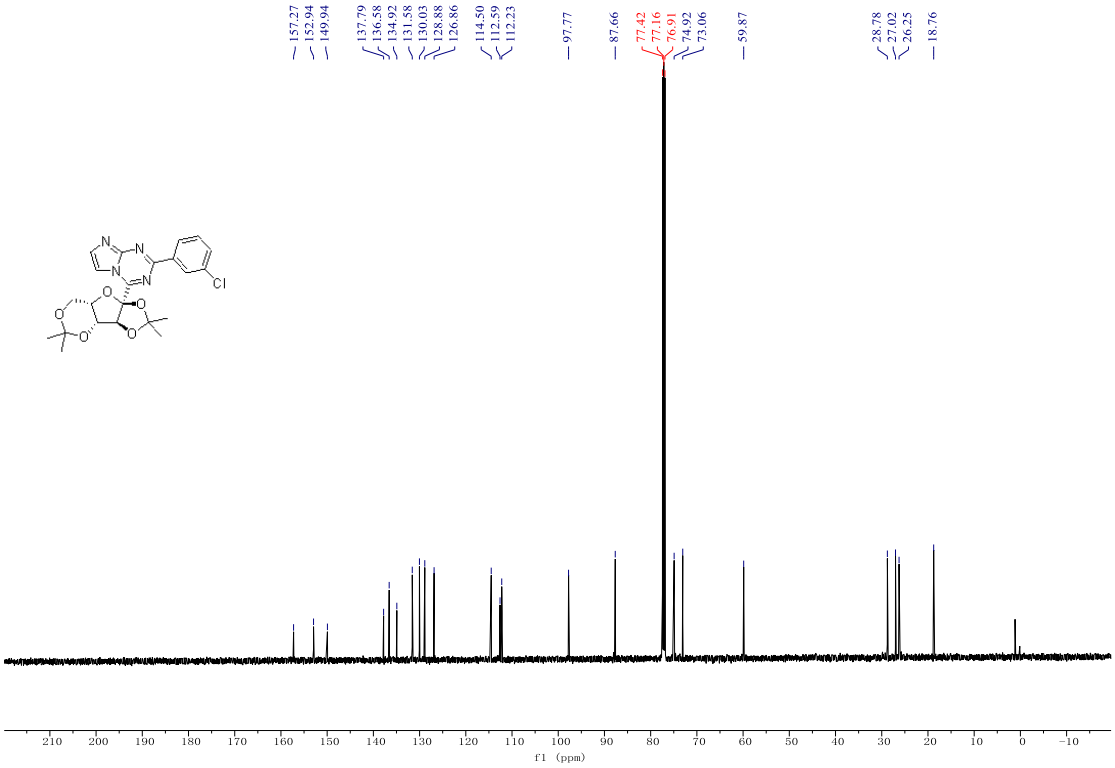
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 31



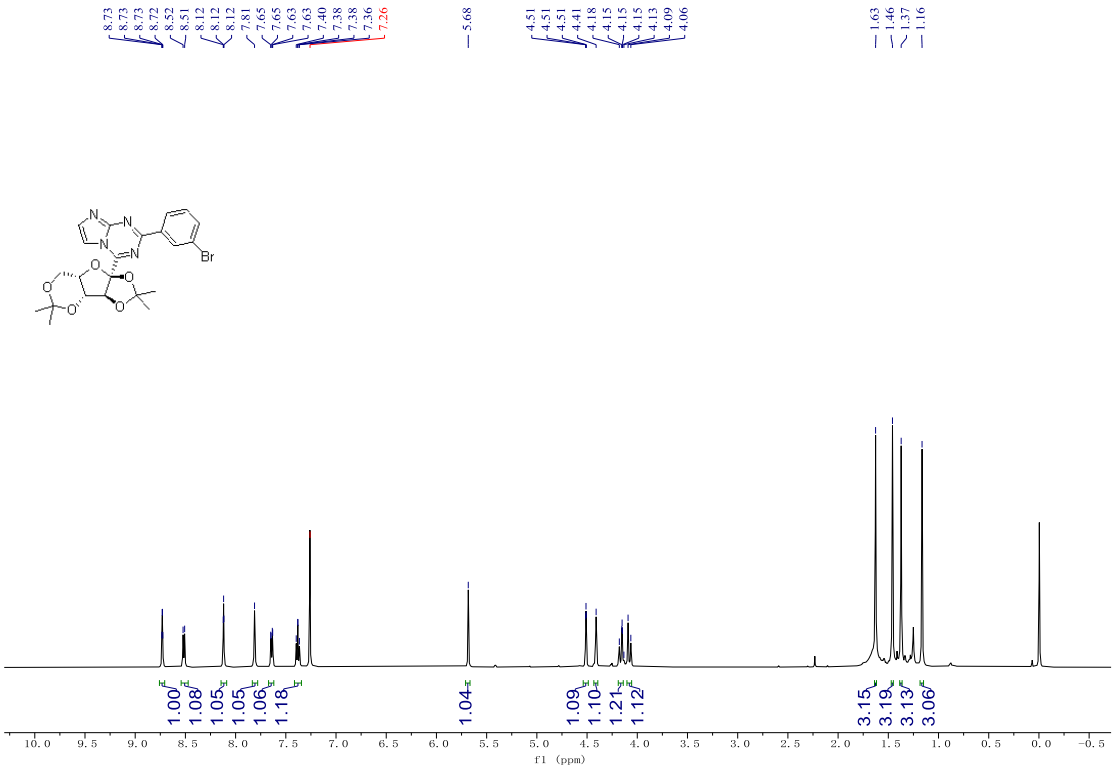
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 32



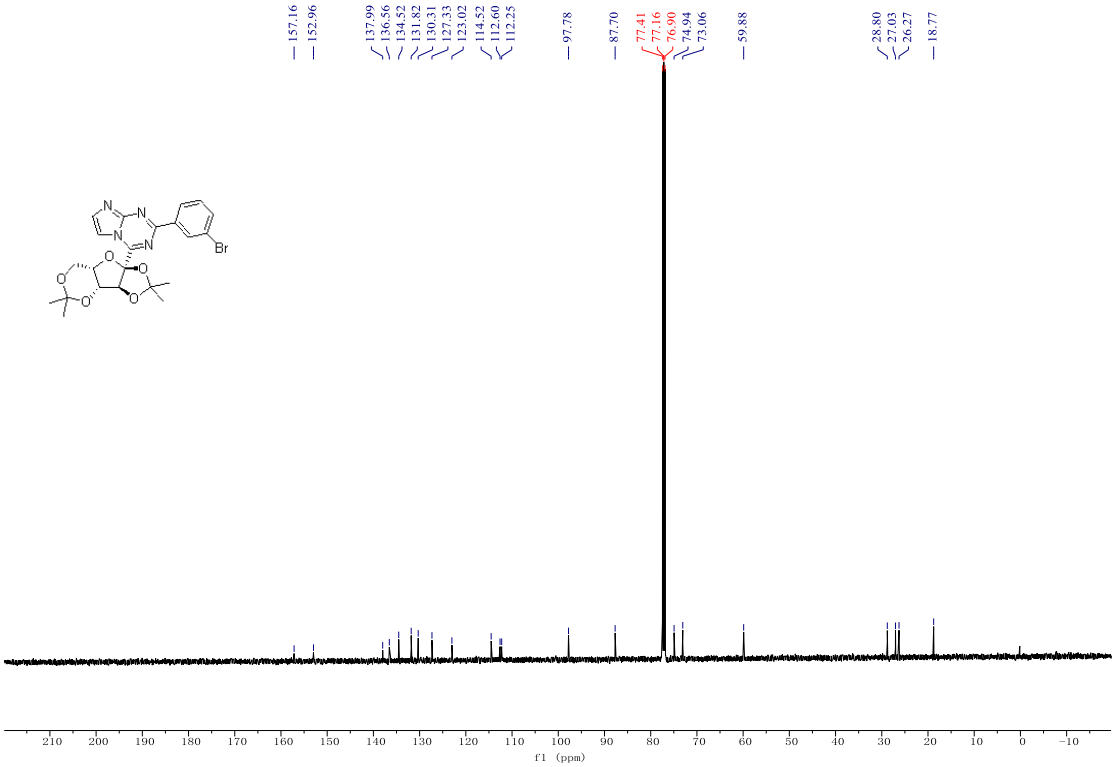
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 32



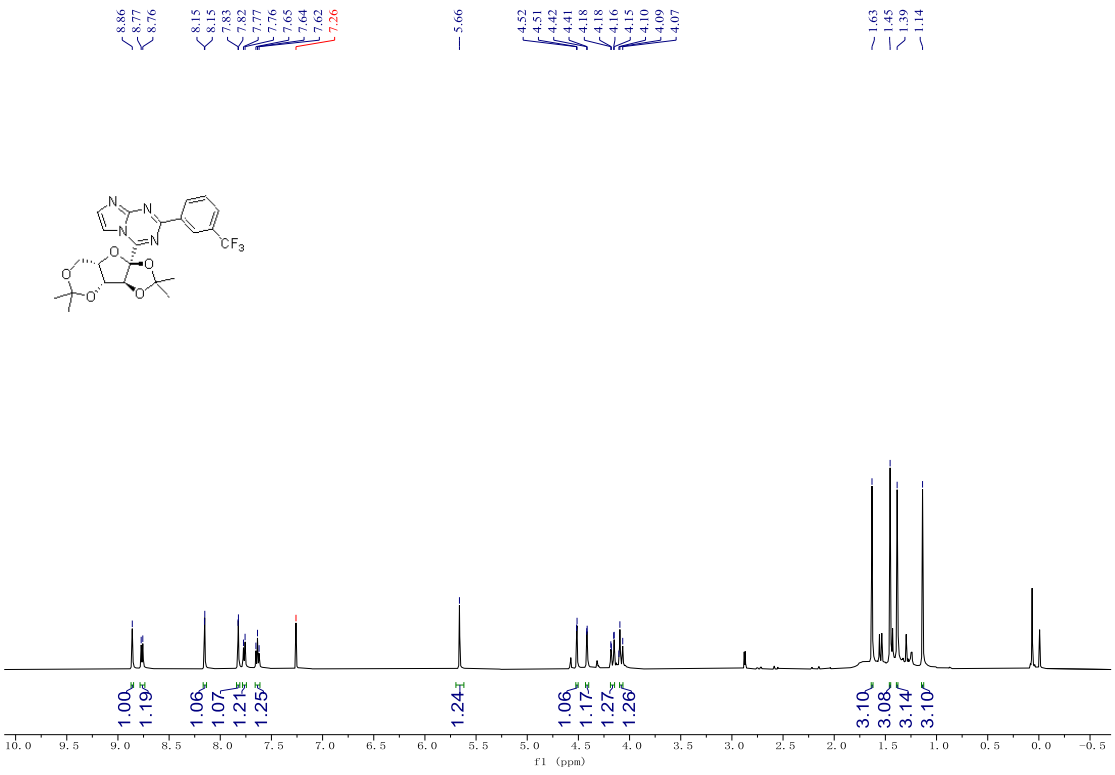
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 33



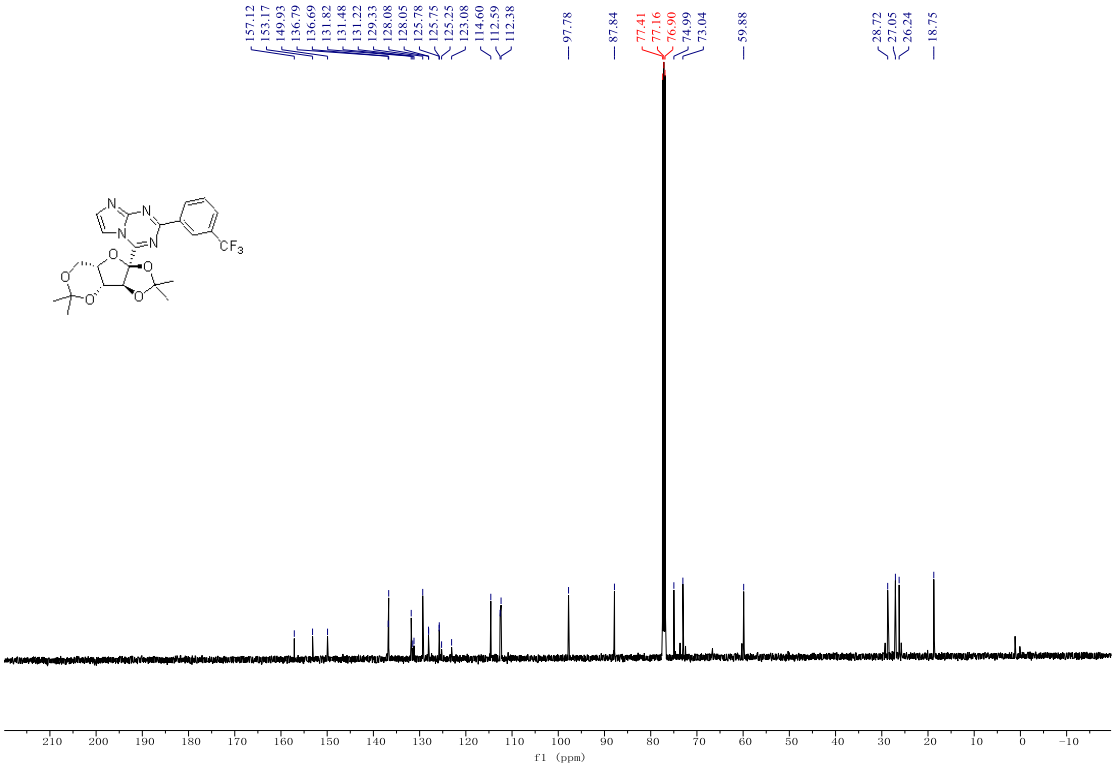
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 33



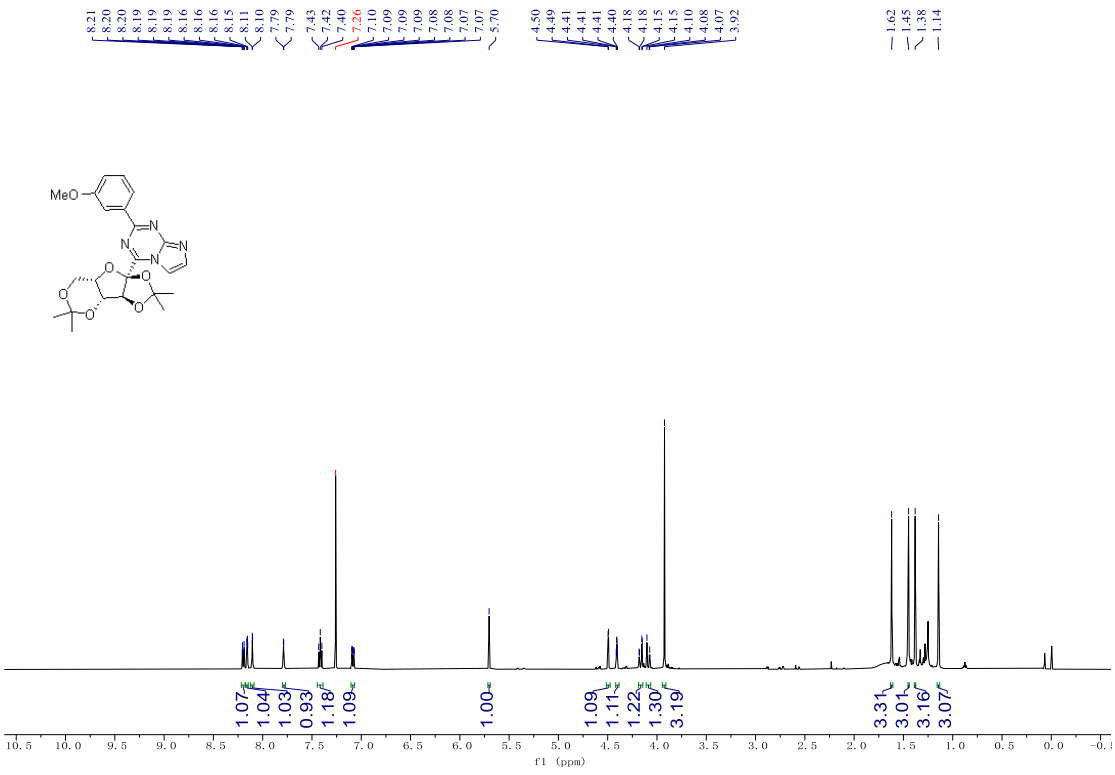
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 34



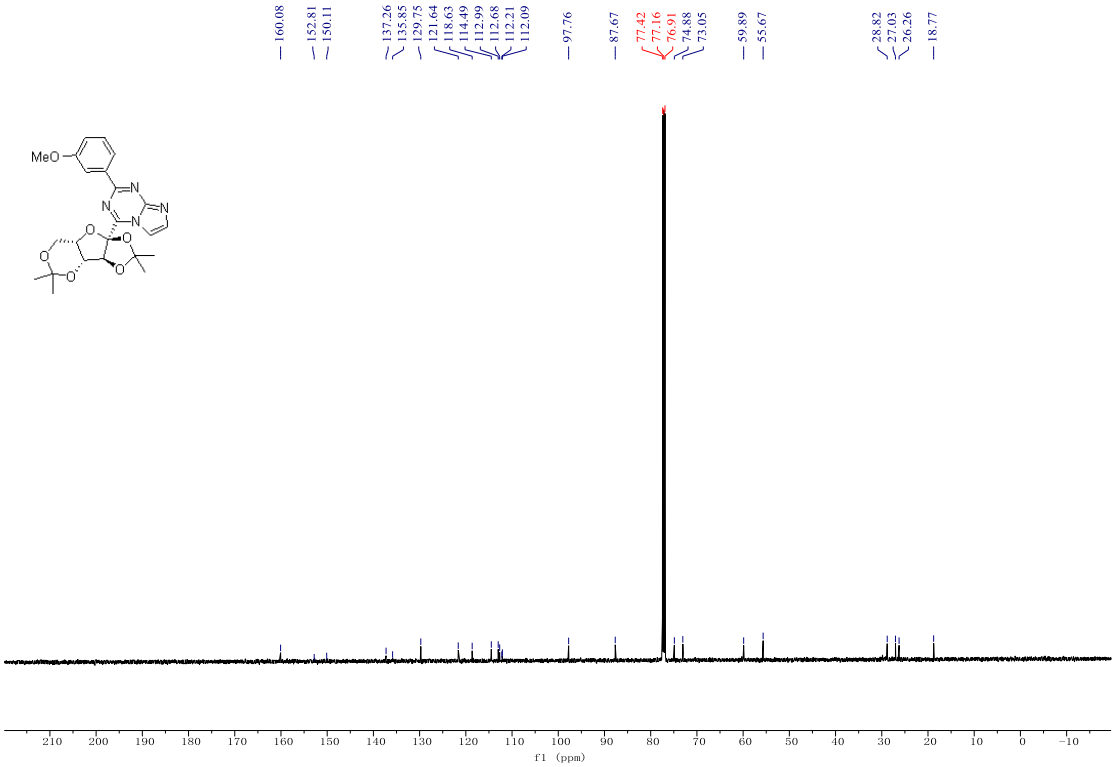
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 34



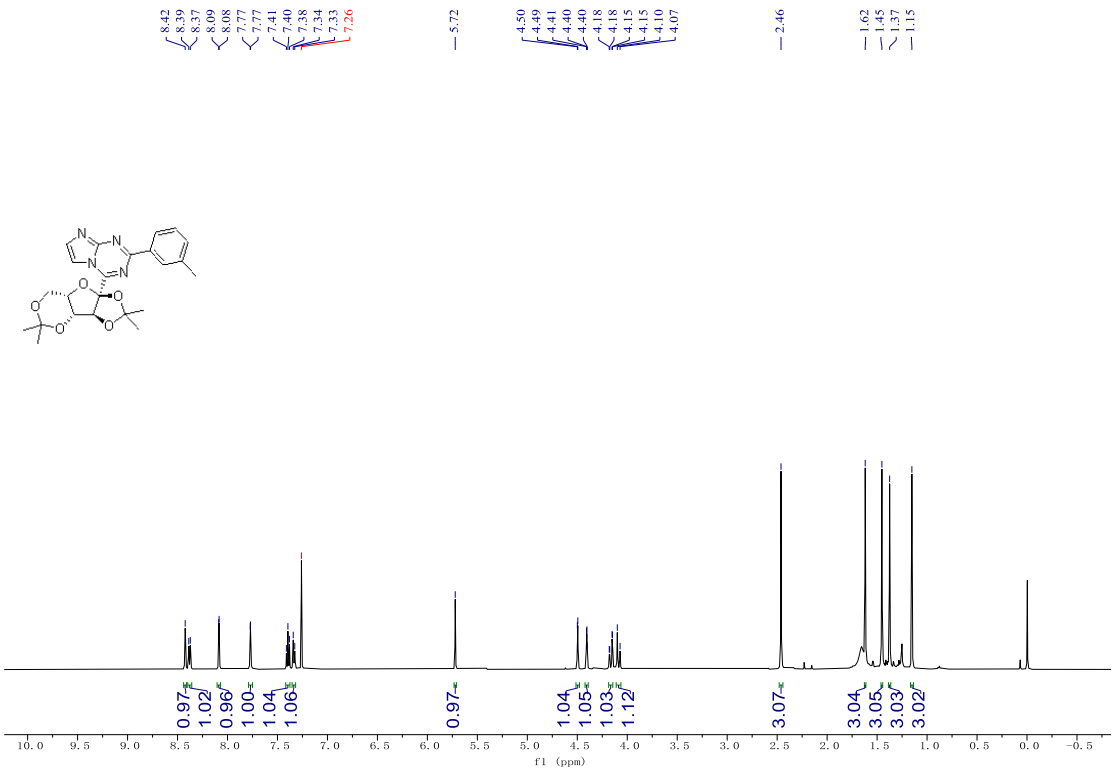
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 35



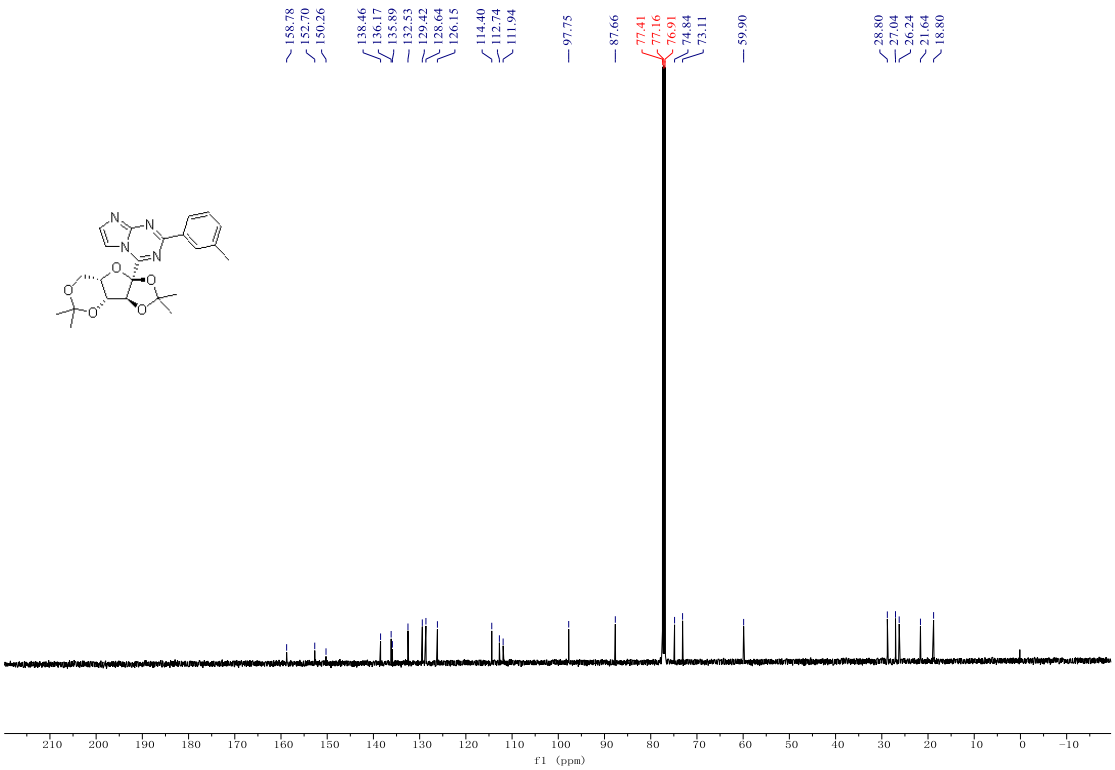
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 35



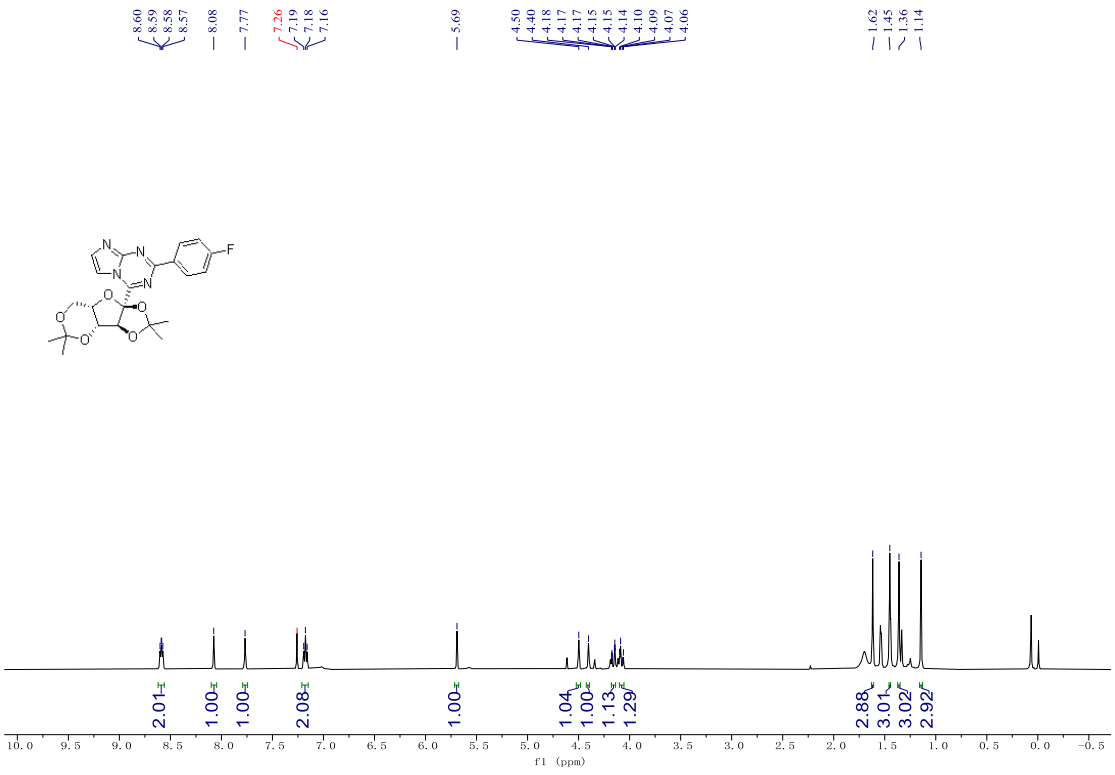
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 36



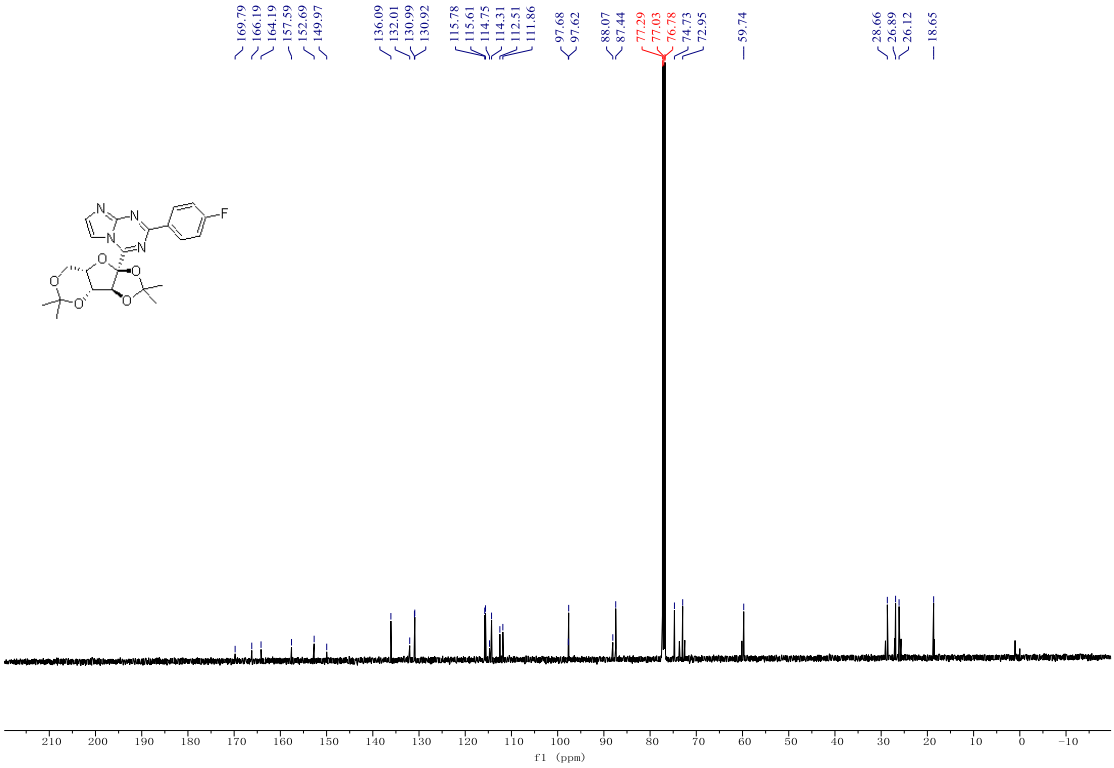
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 36



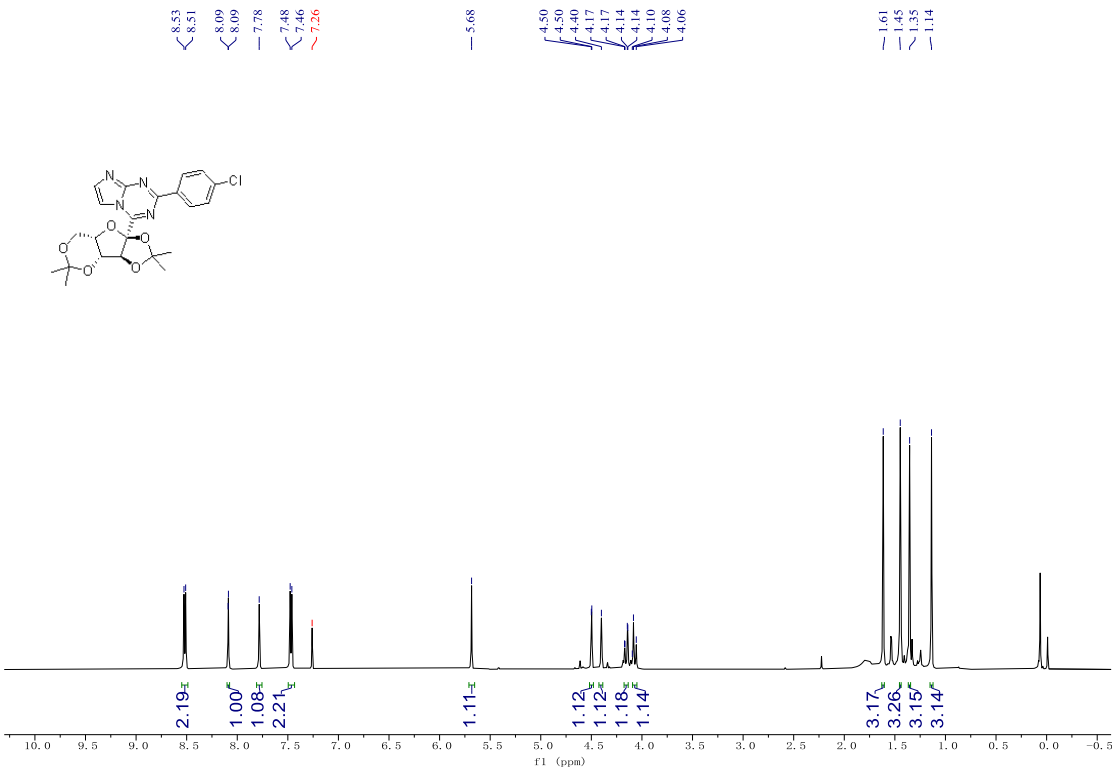
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 37



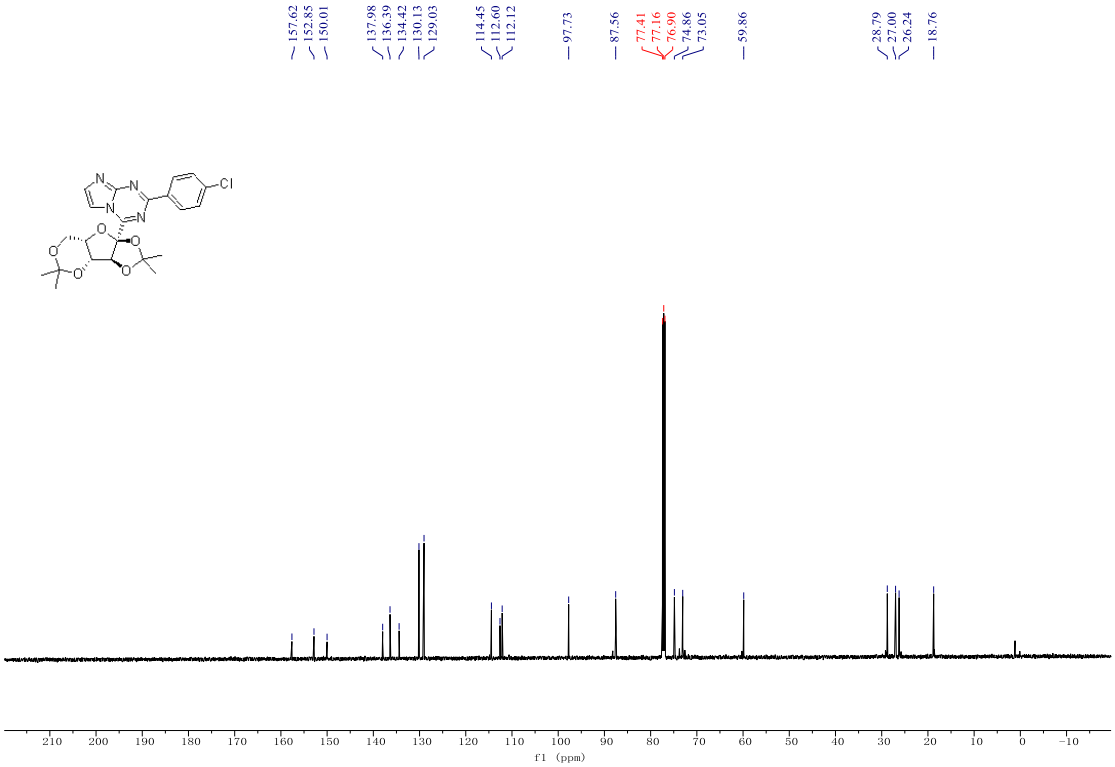
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 37



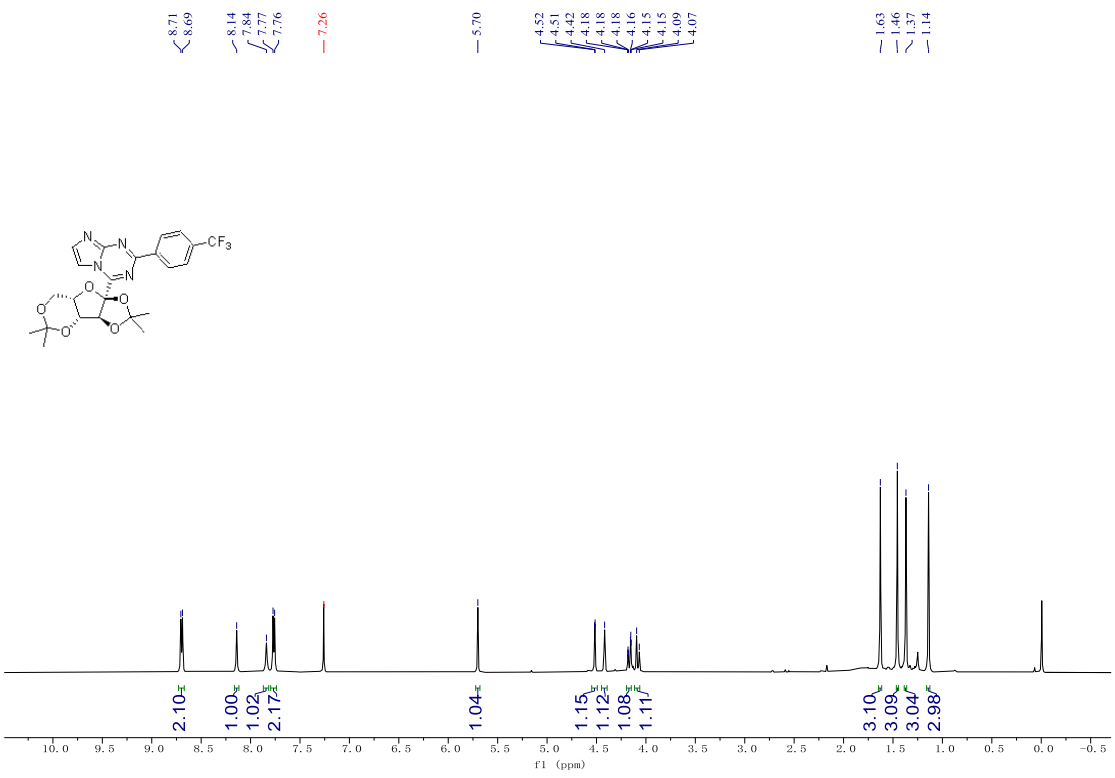
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 38



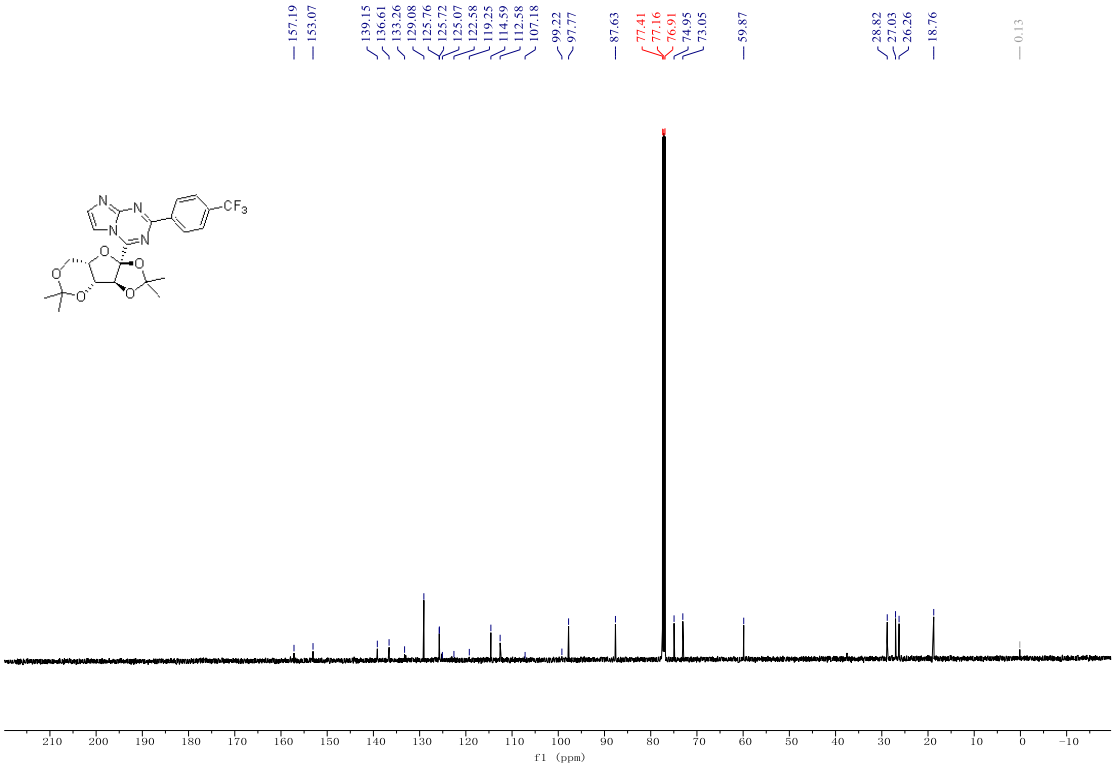
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 38



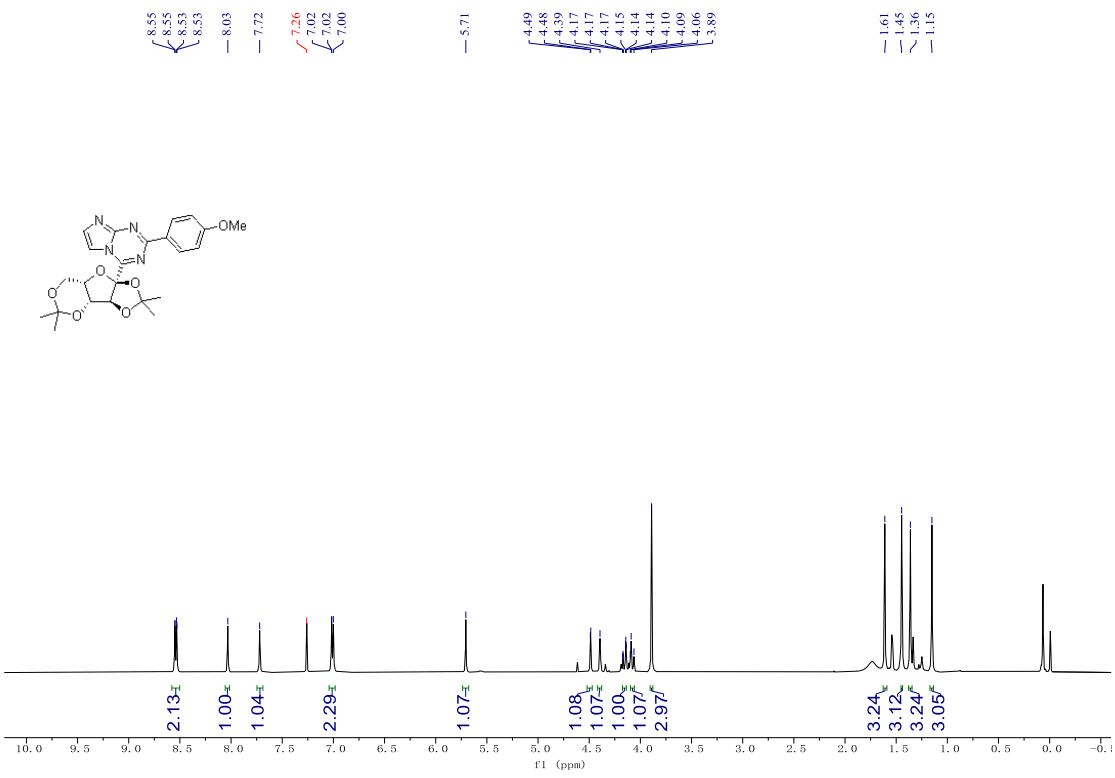
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 39



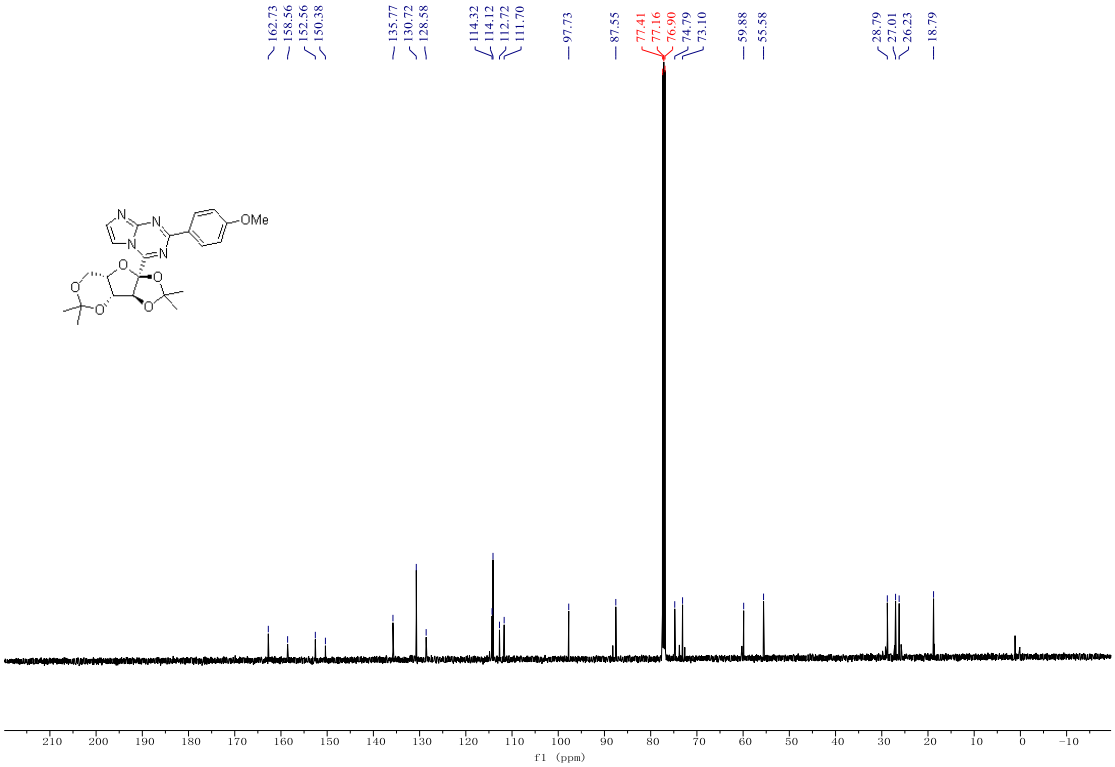
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 39



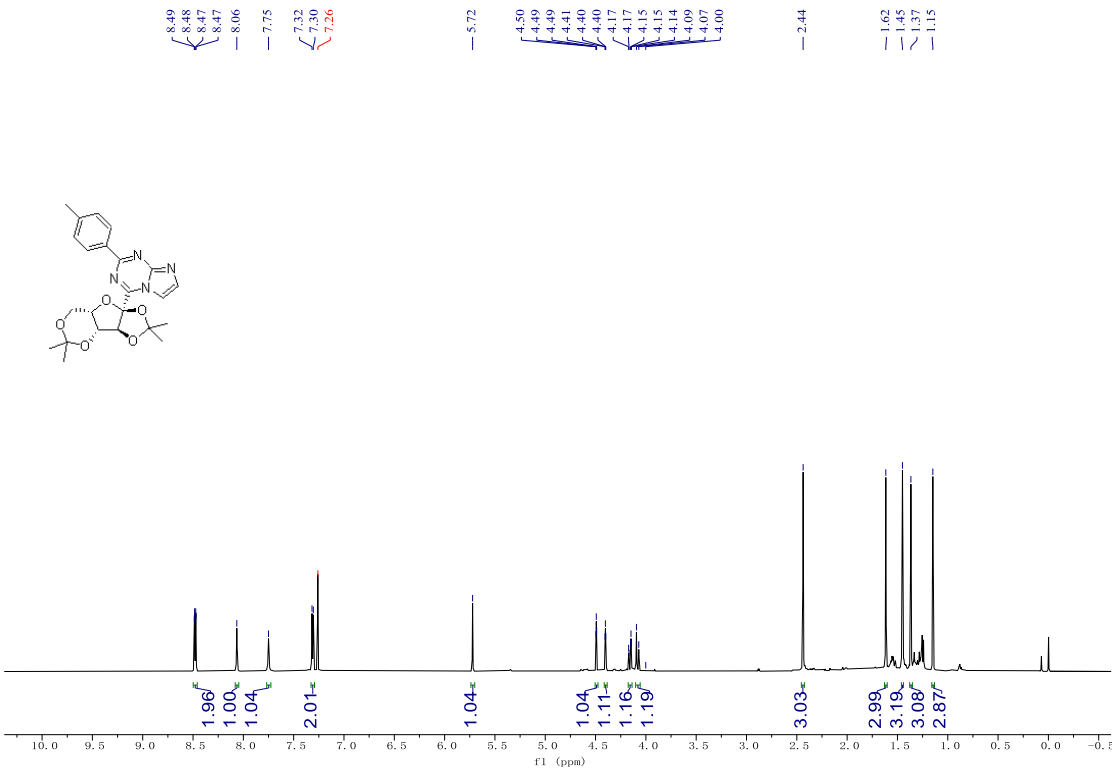
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 40



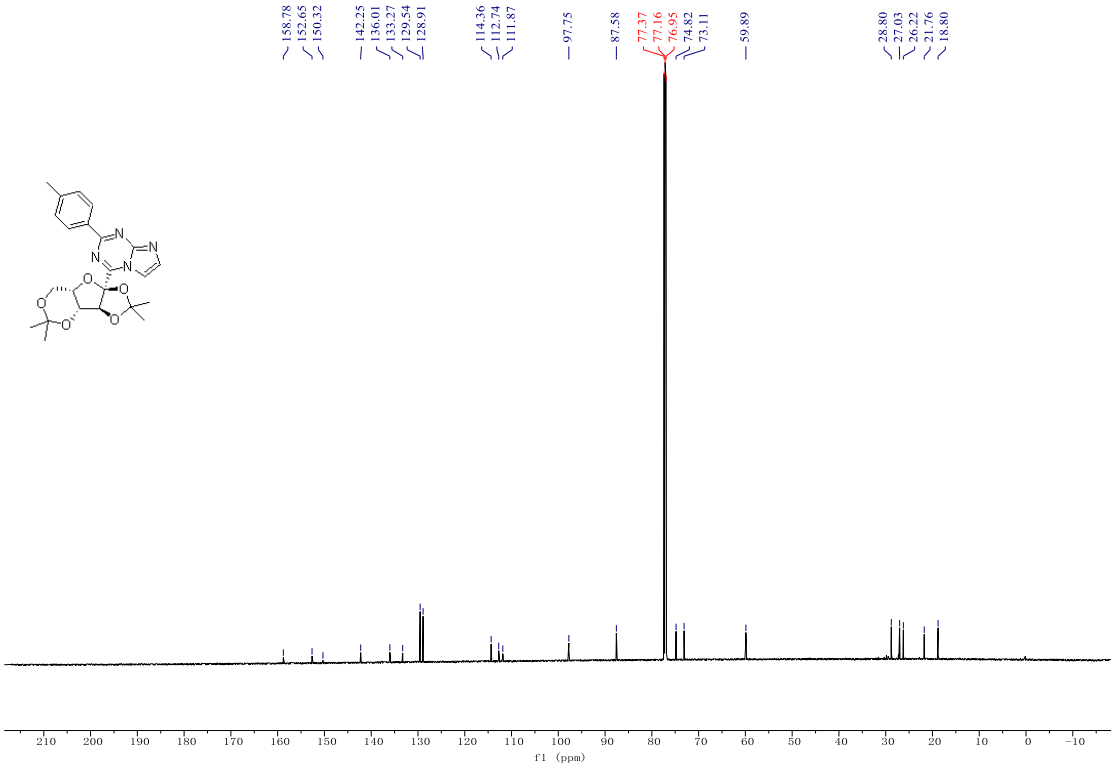
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 40



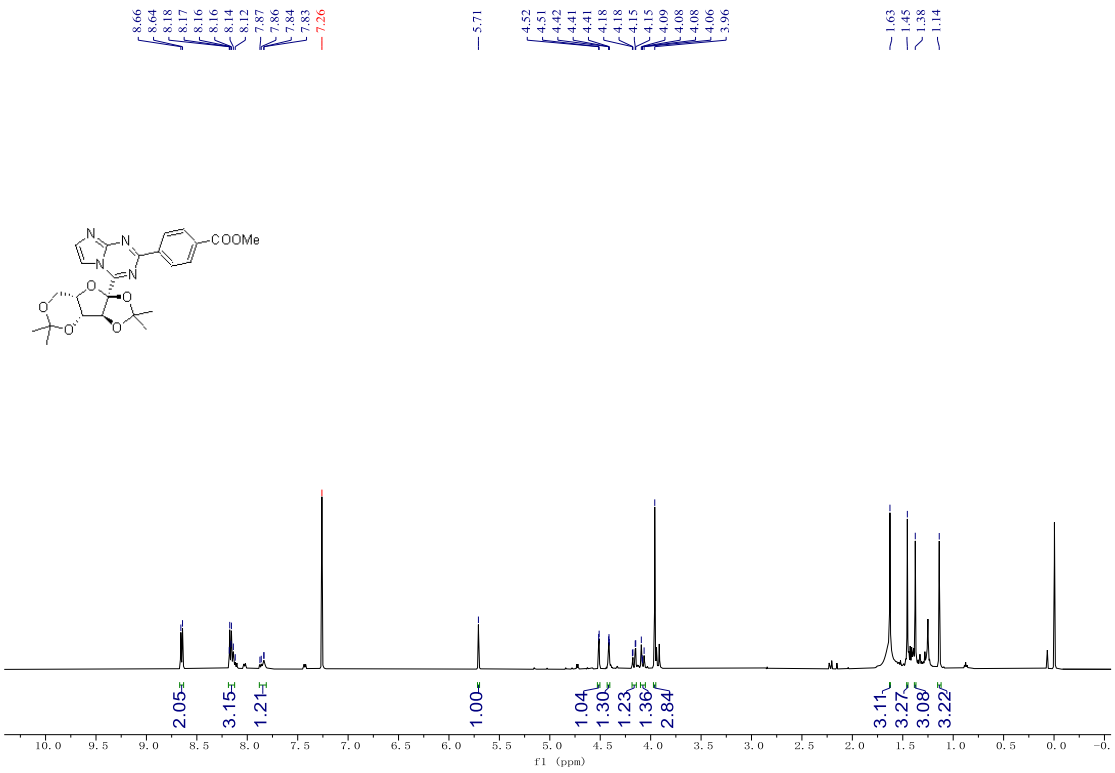
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 41



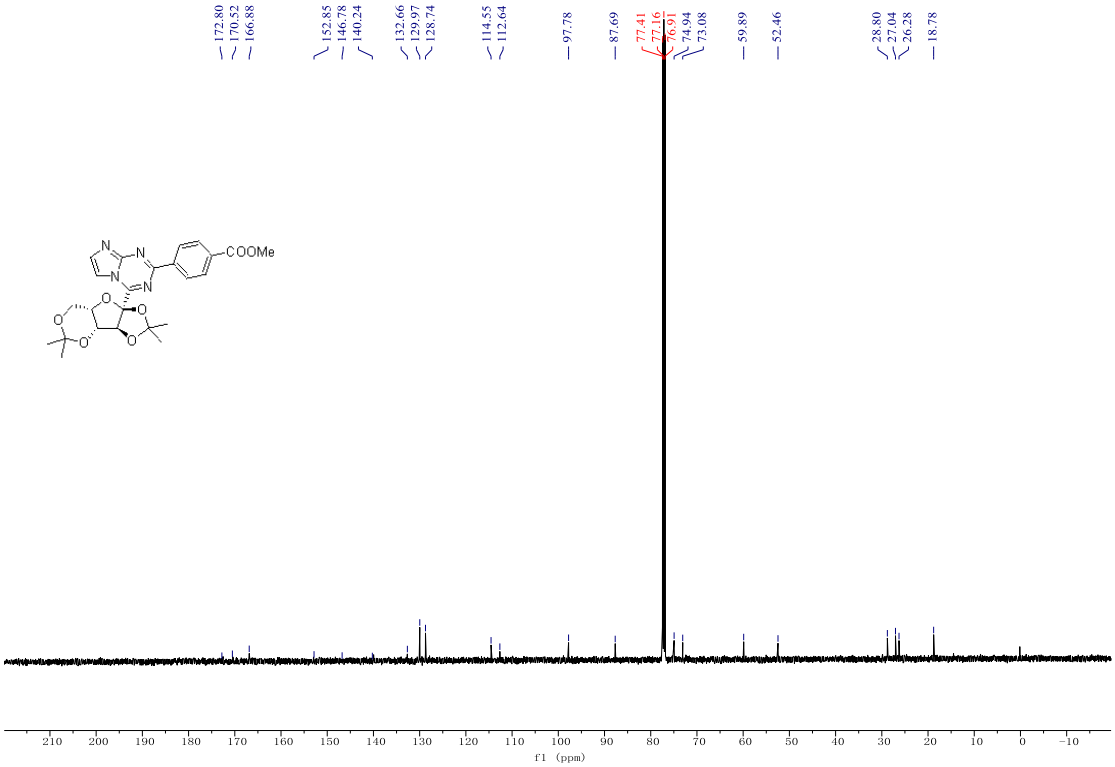
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 41



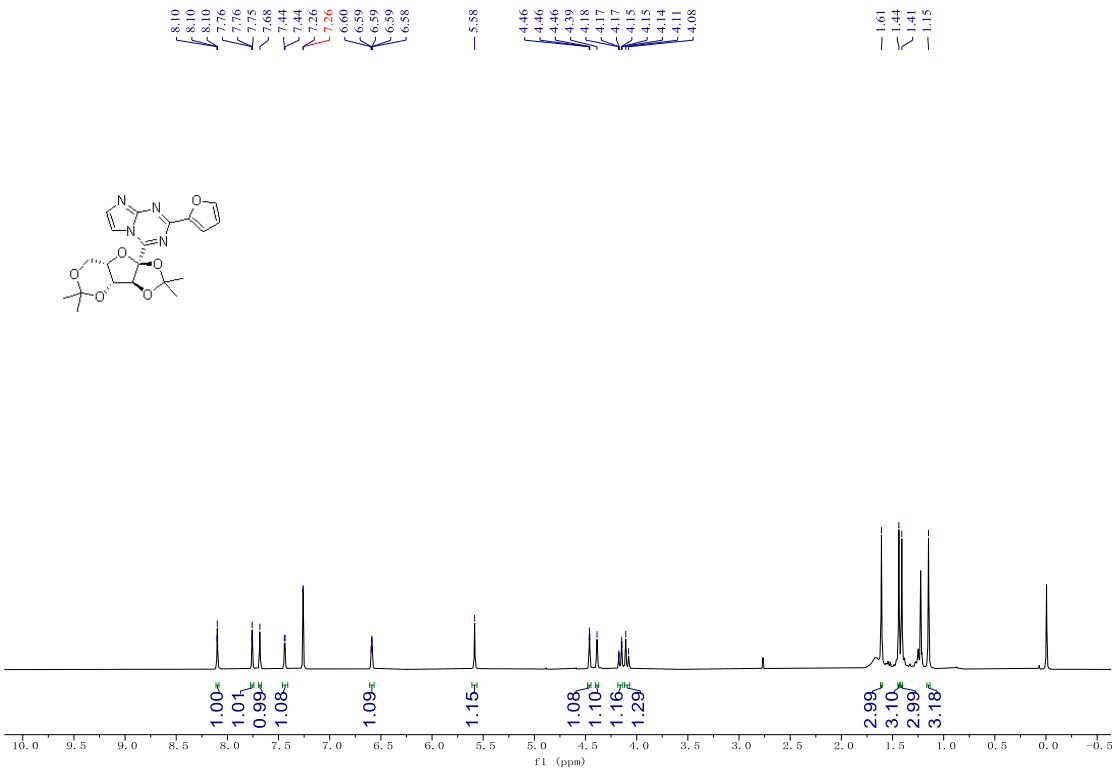
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 42



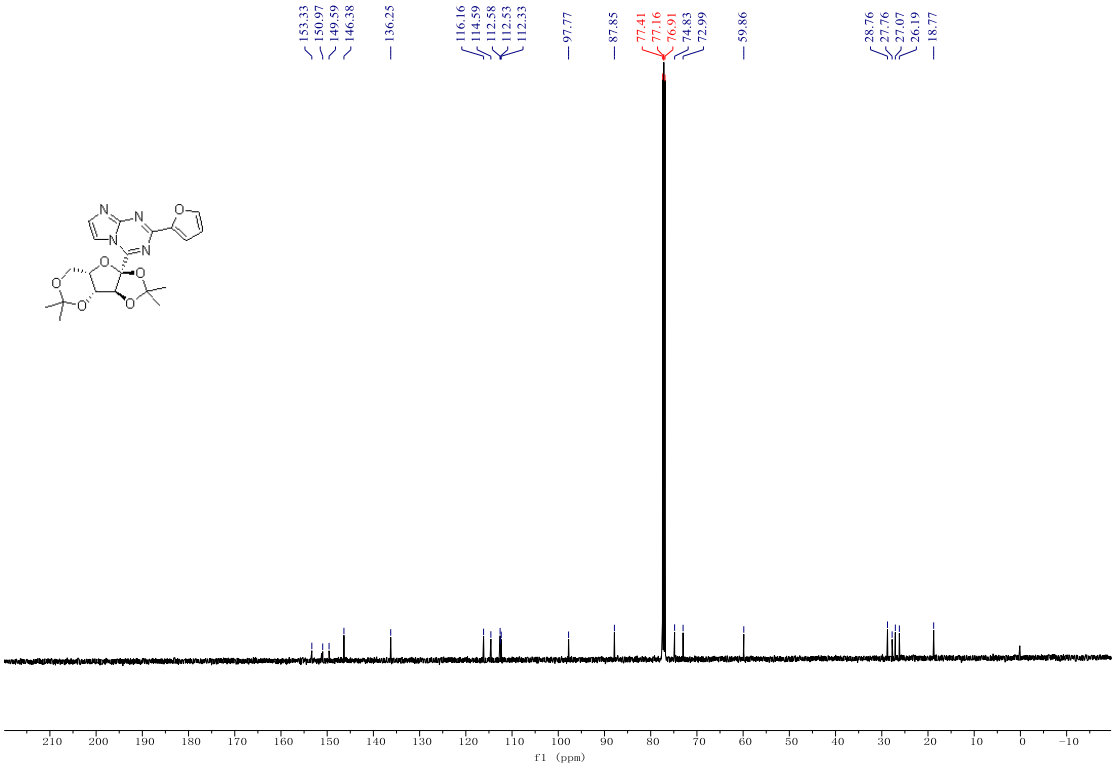
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 42



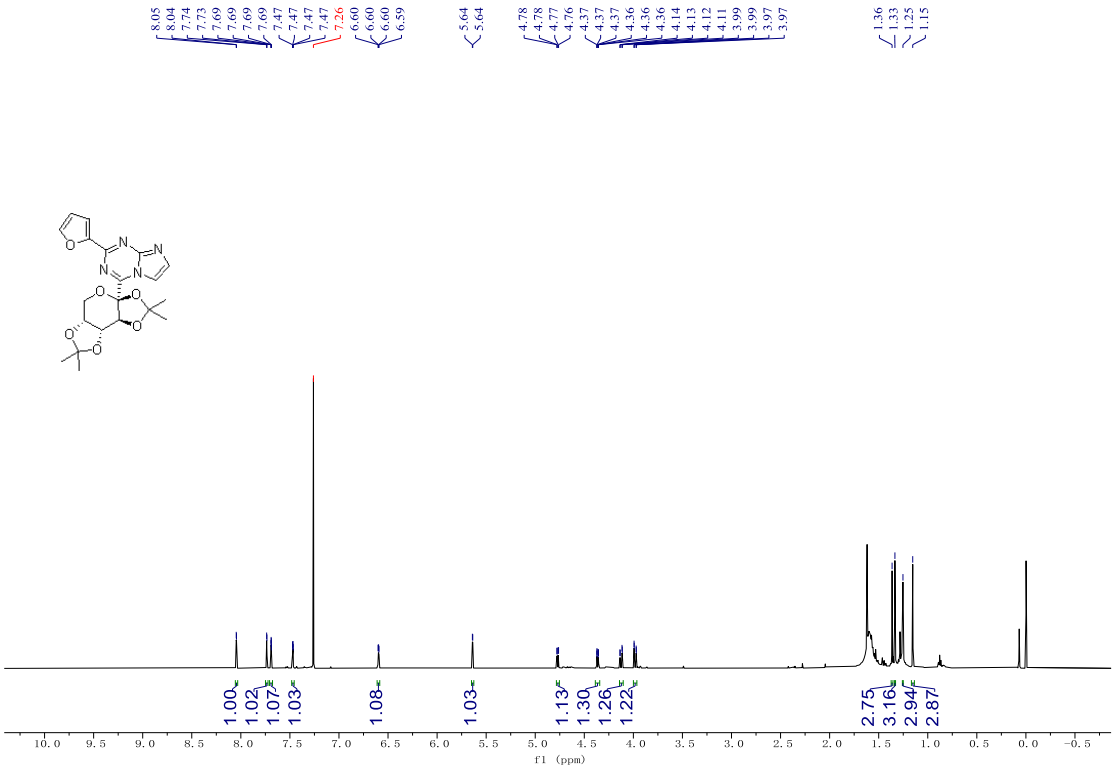
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 43



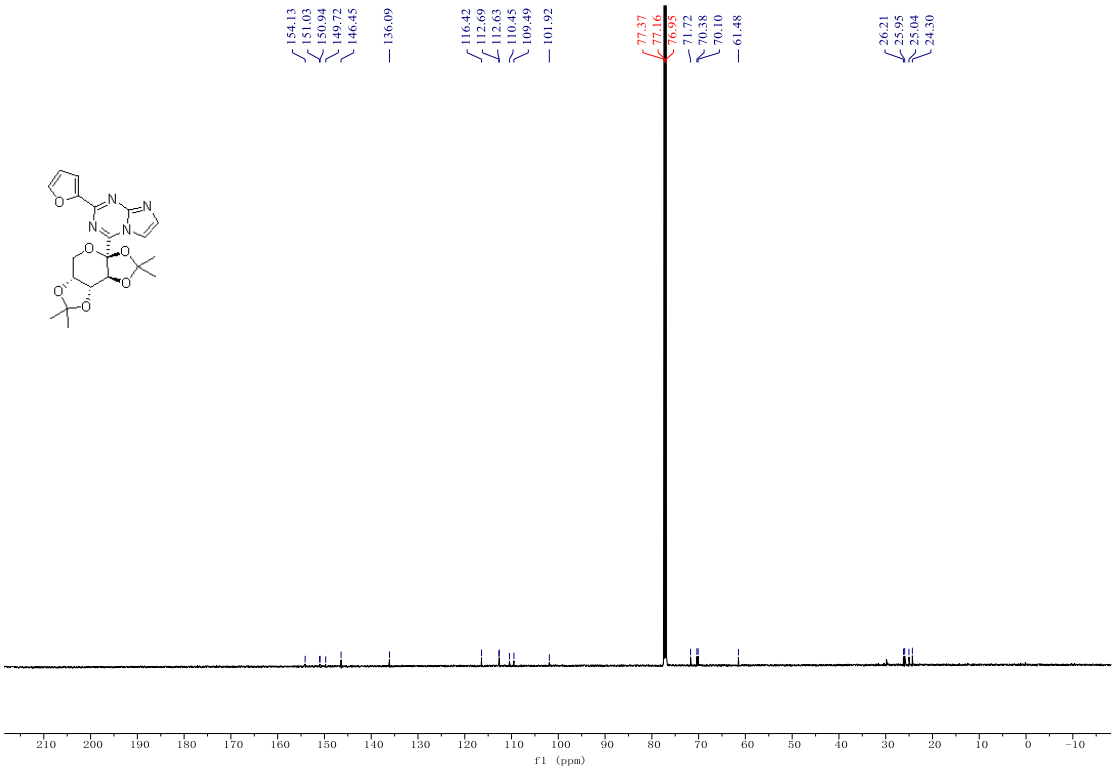
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 43



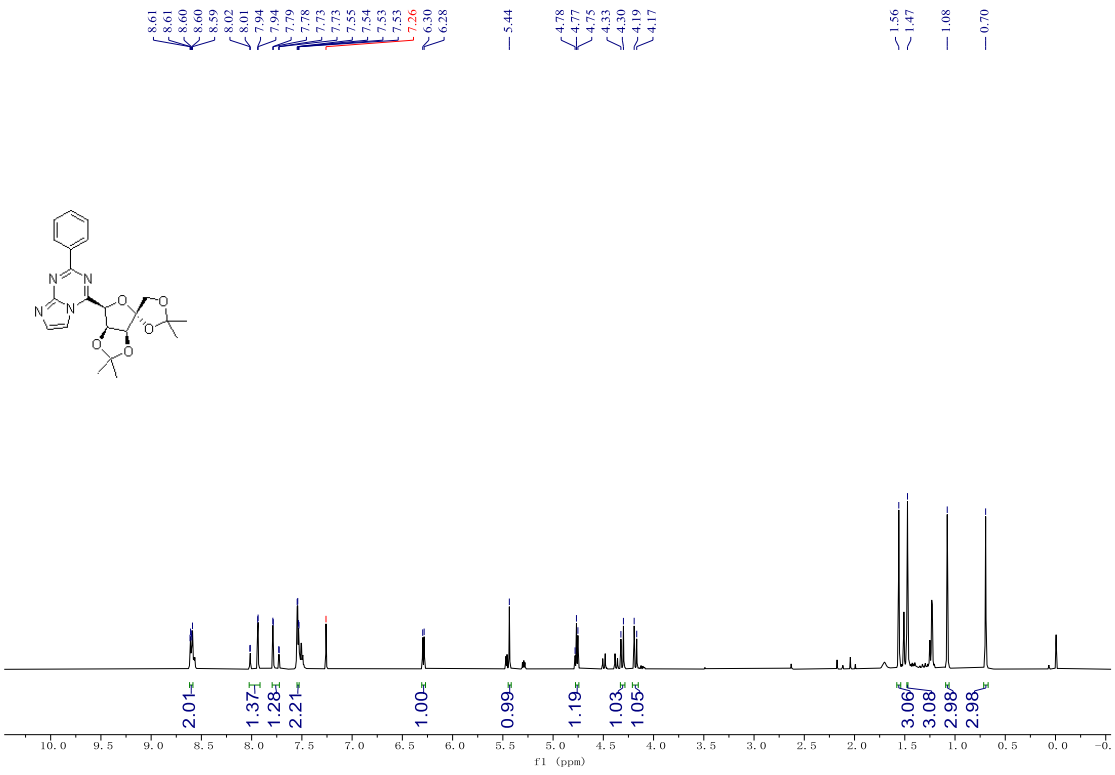
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 44



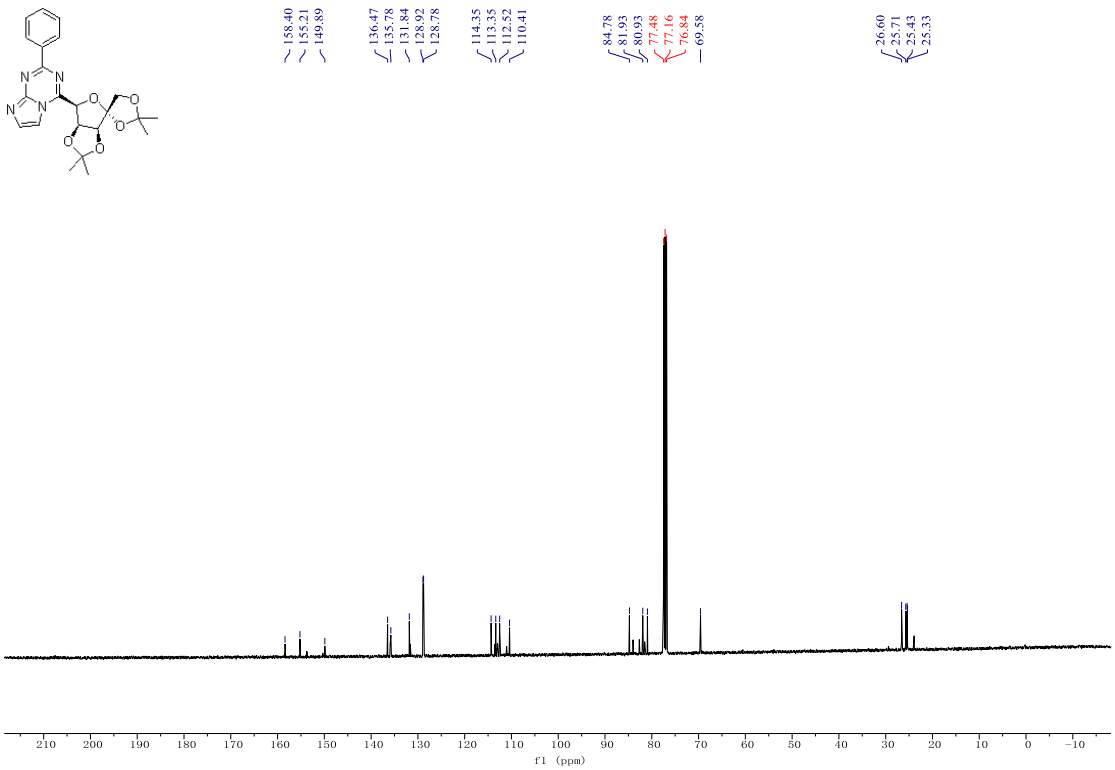
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 44



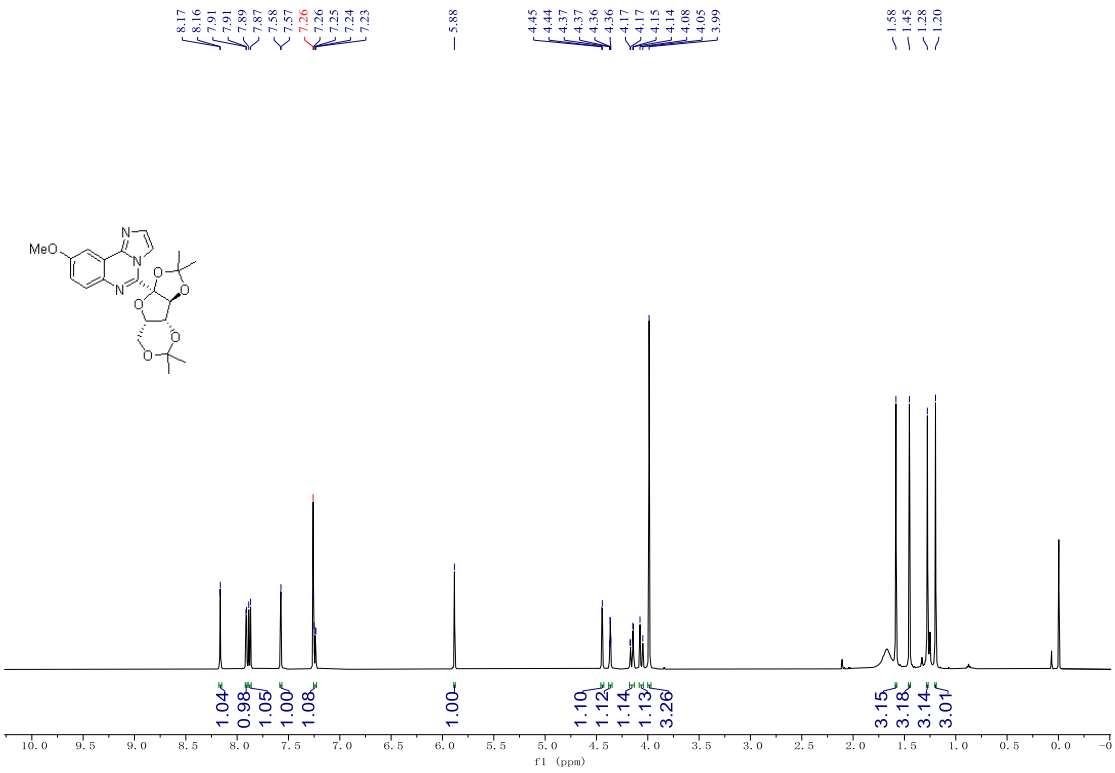
^{1}H NMR (400 MHz, $CDCl_3$) Spectra of compound 45



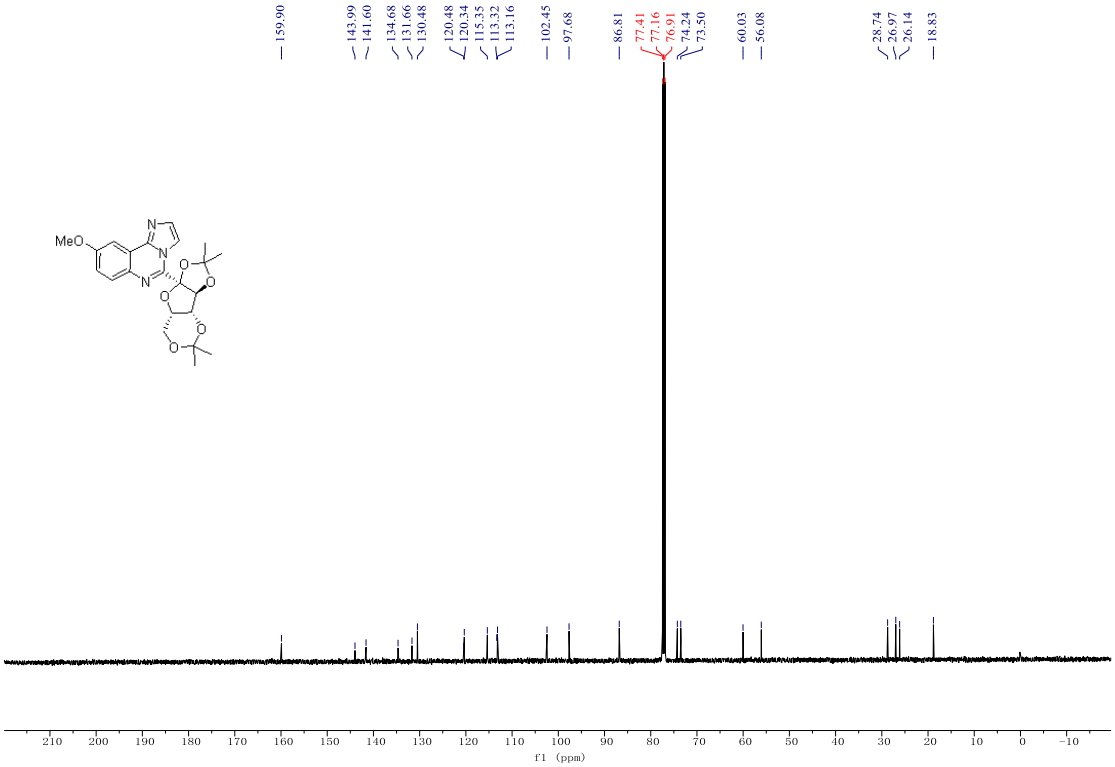
^{13}C NMR (101 MHz, $CDCl_3$) Spectra of compound 45



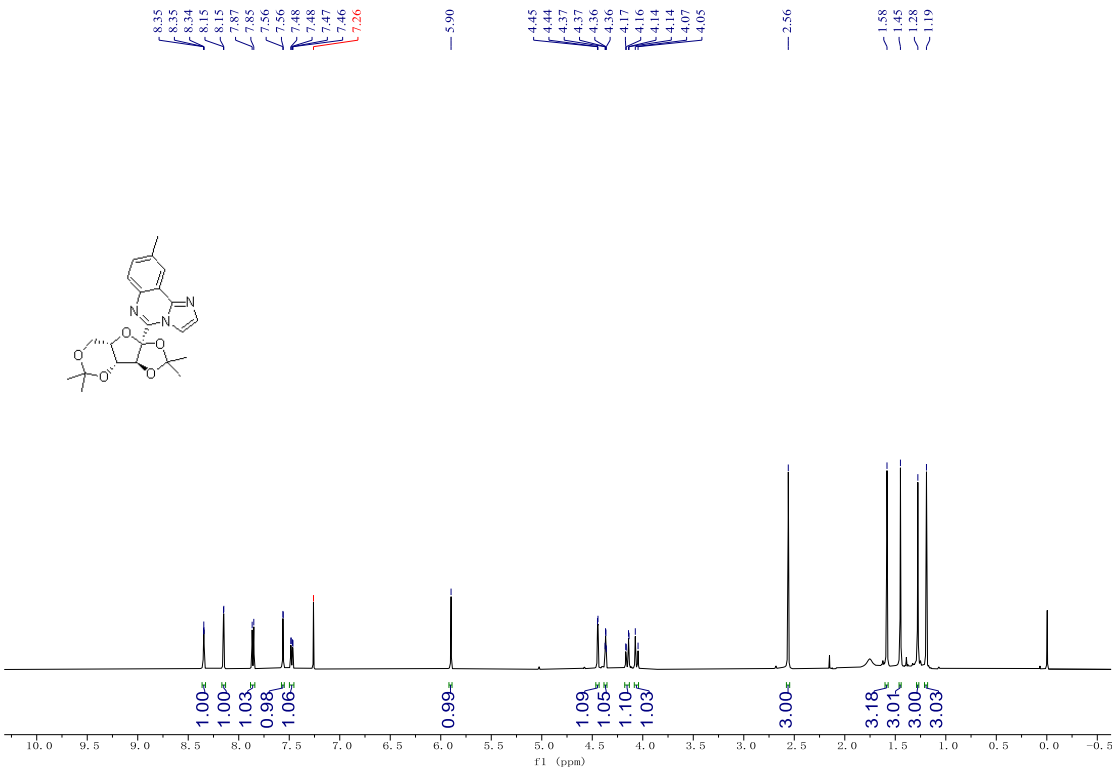
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 46



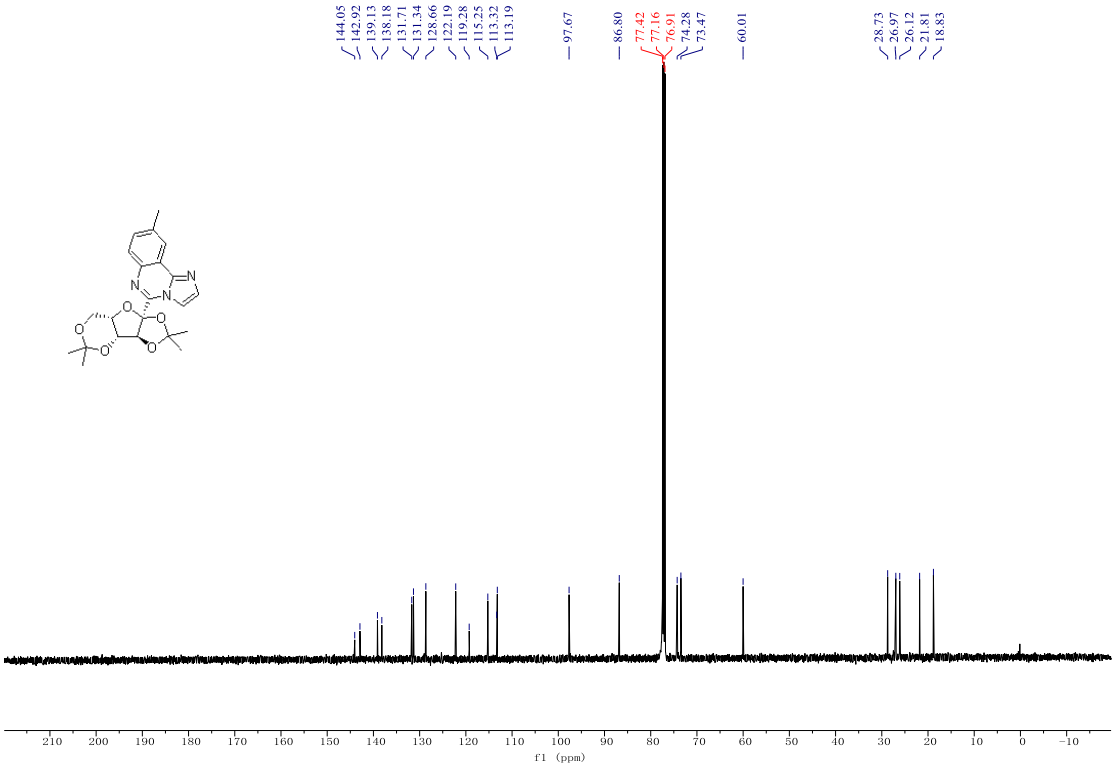
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 46



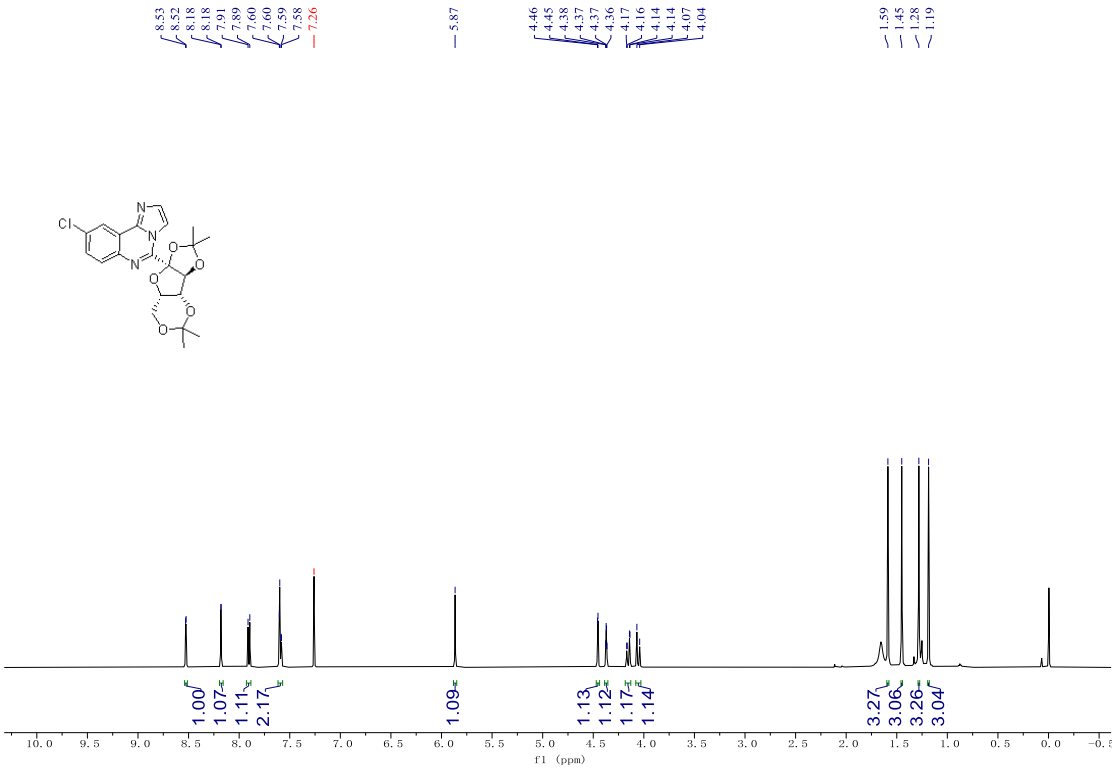
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 47



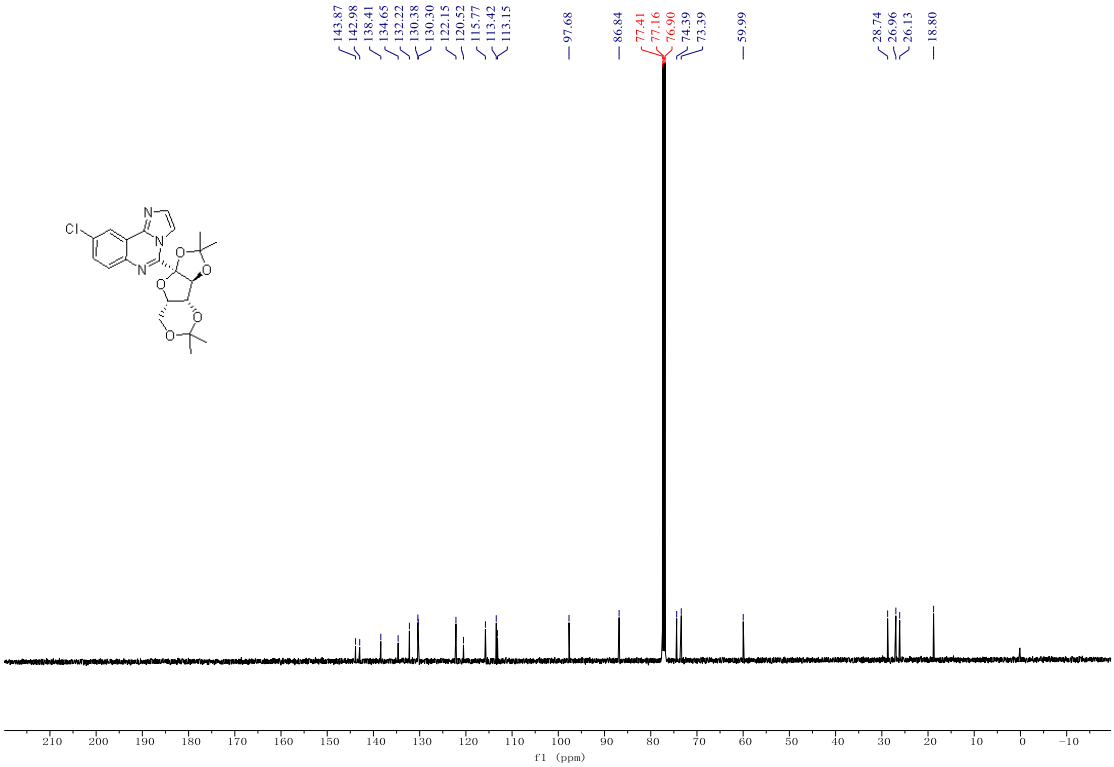
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 47



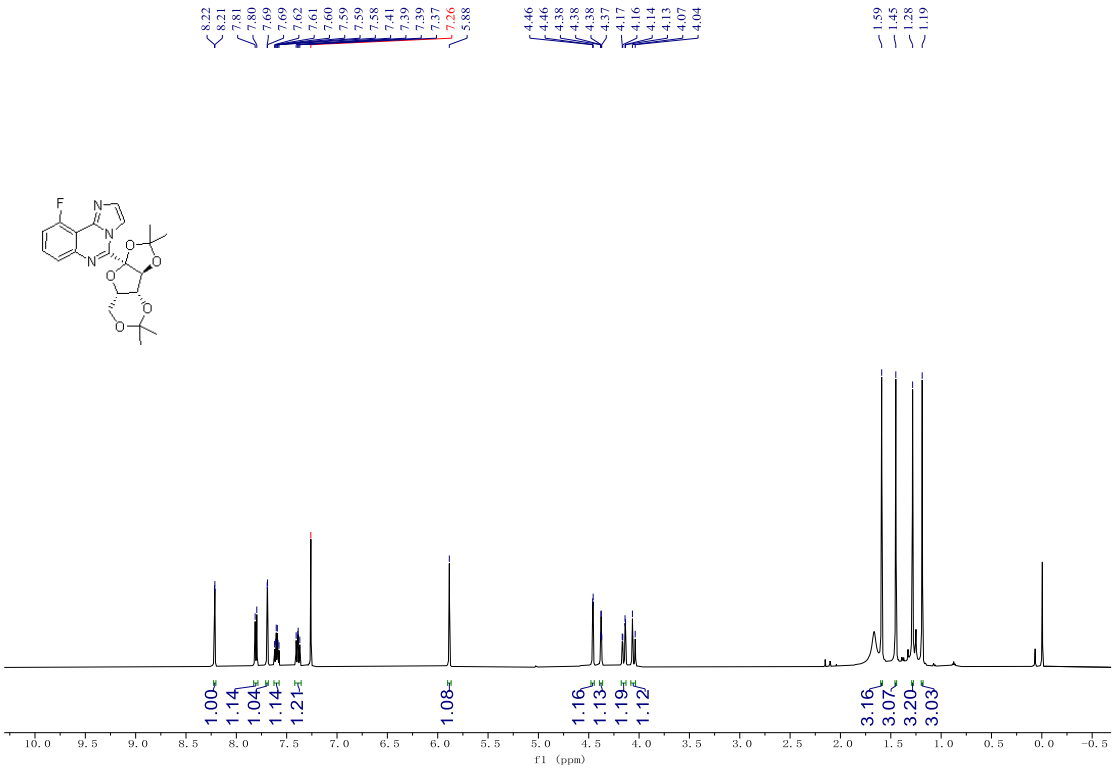
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 48



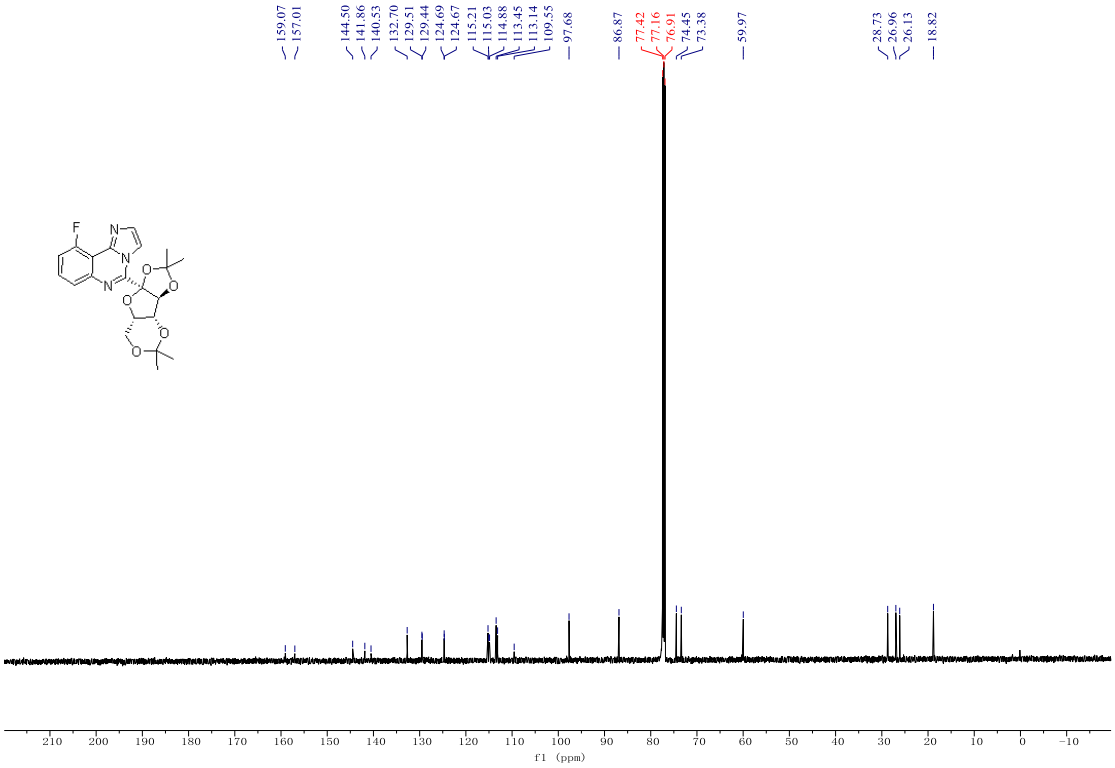
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 48



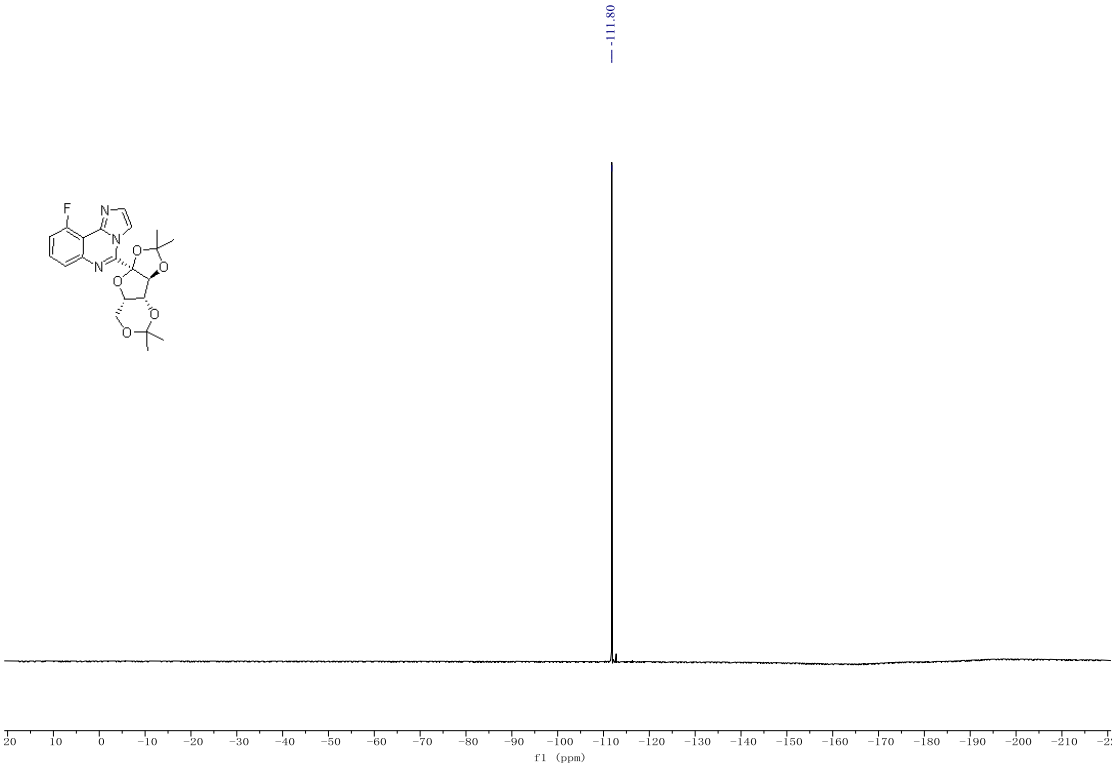
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 49



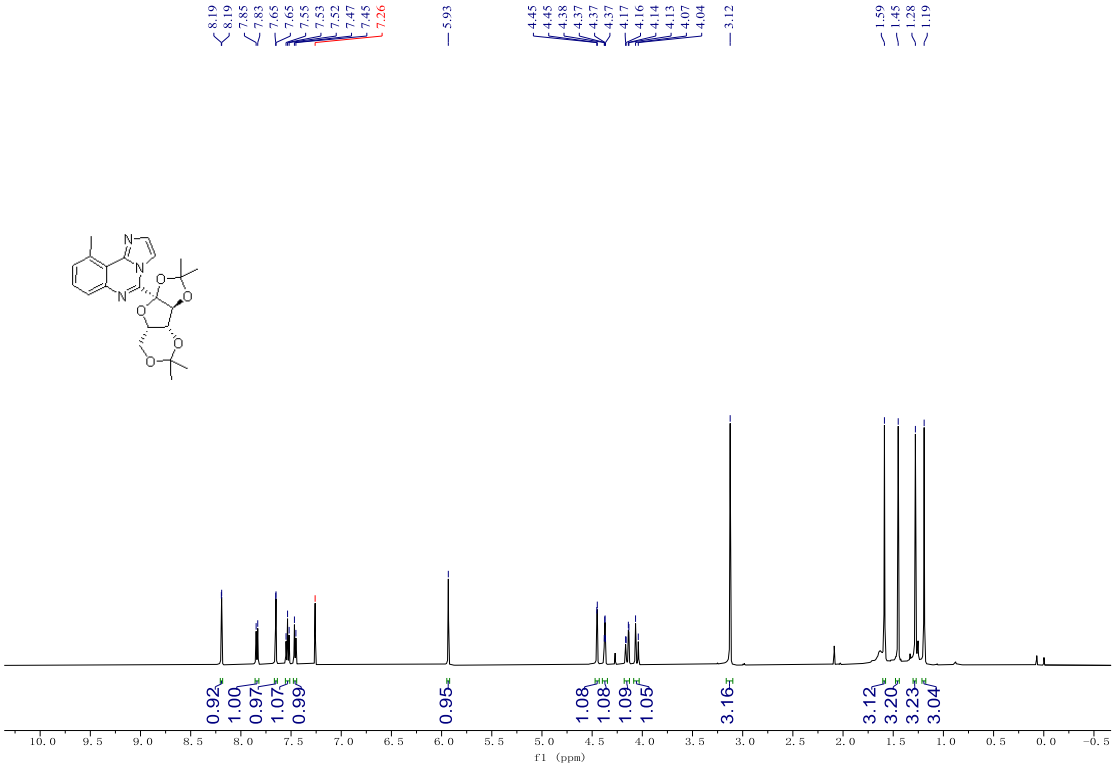
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 49



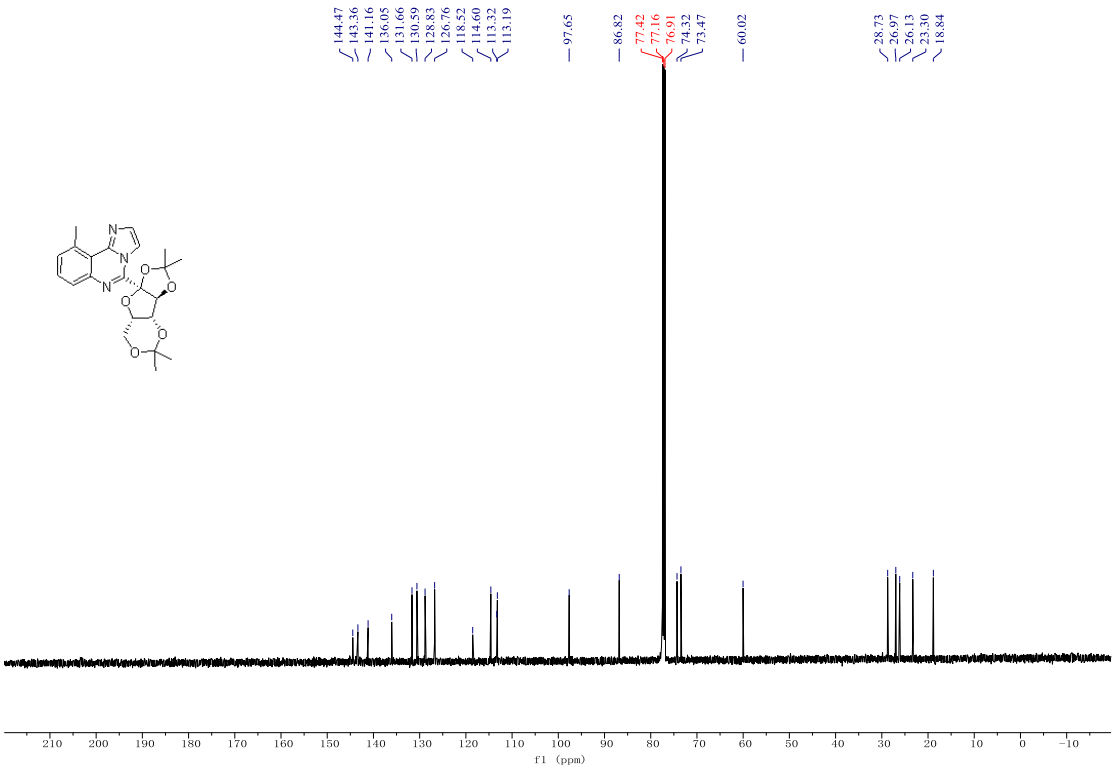
^{19}F NMR (471 MHz, $CDCl_3$) Spectra of compound 49



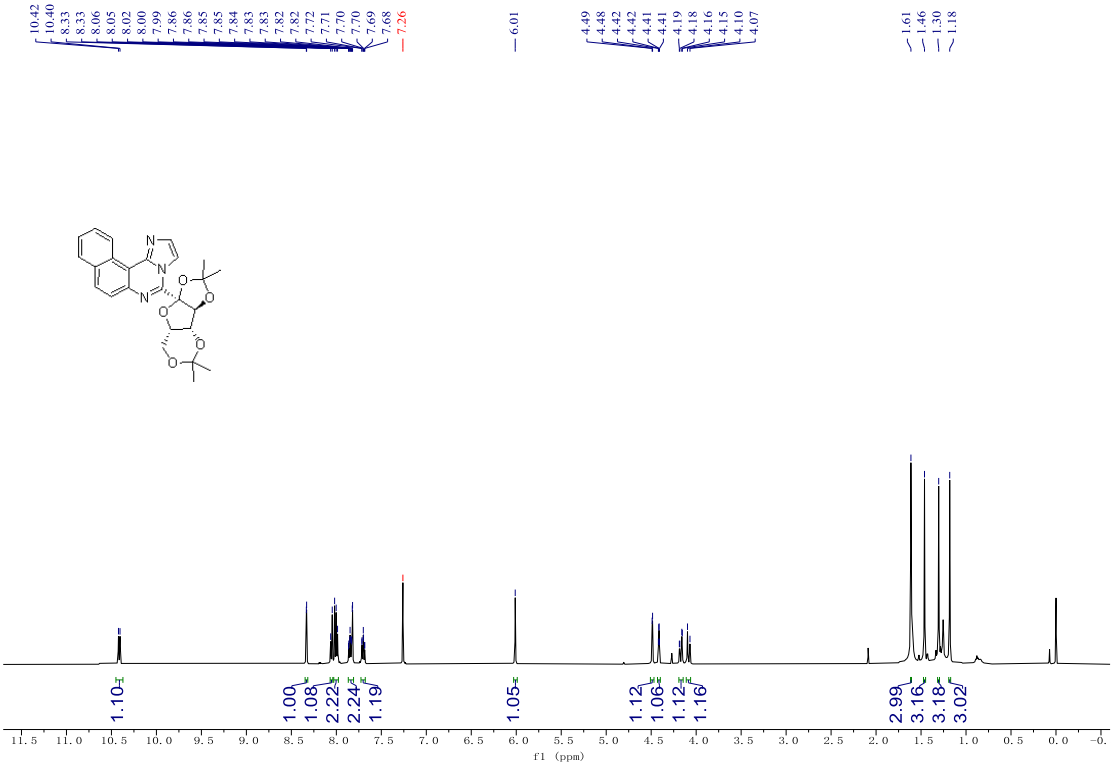
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 50



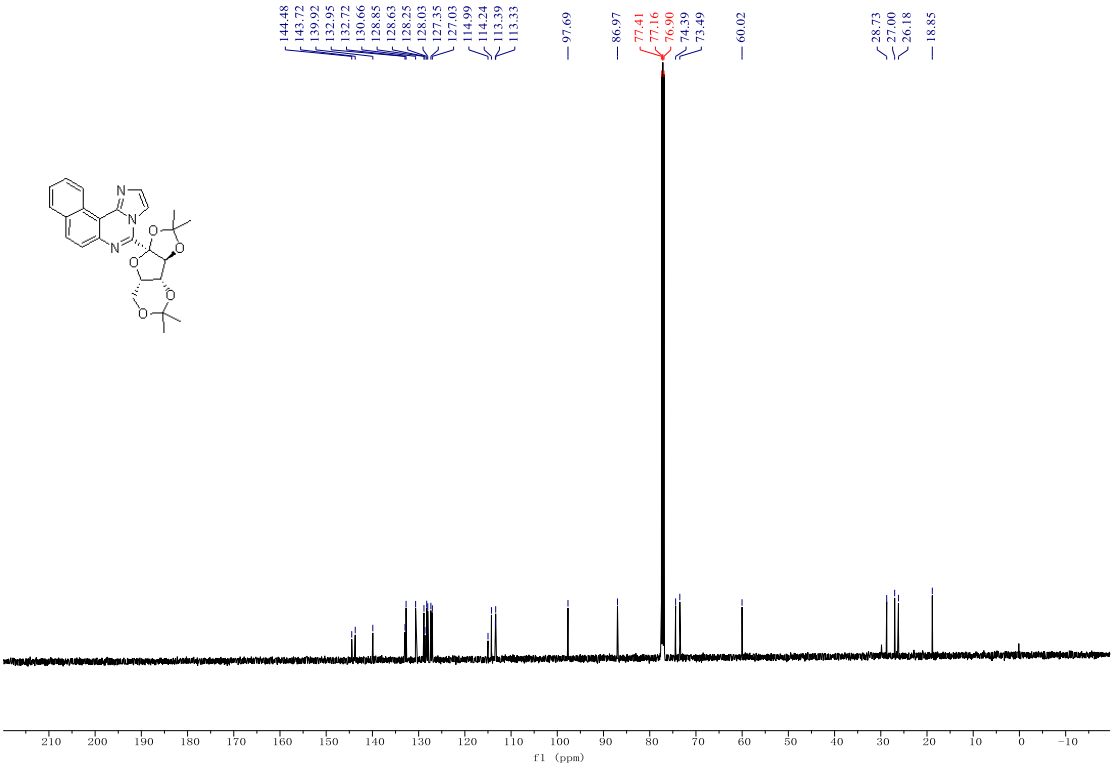
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 50



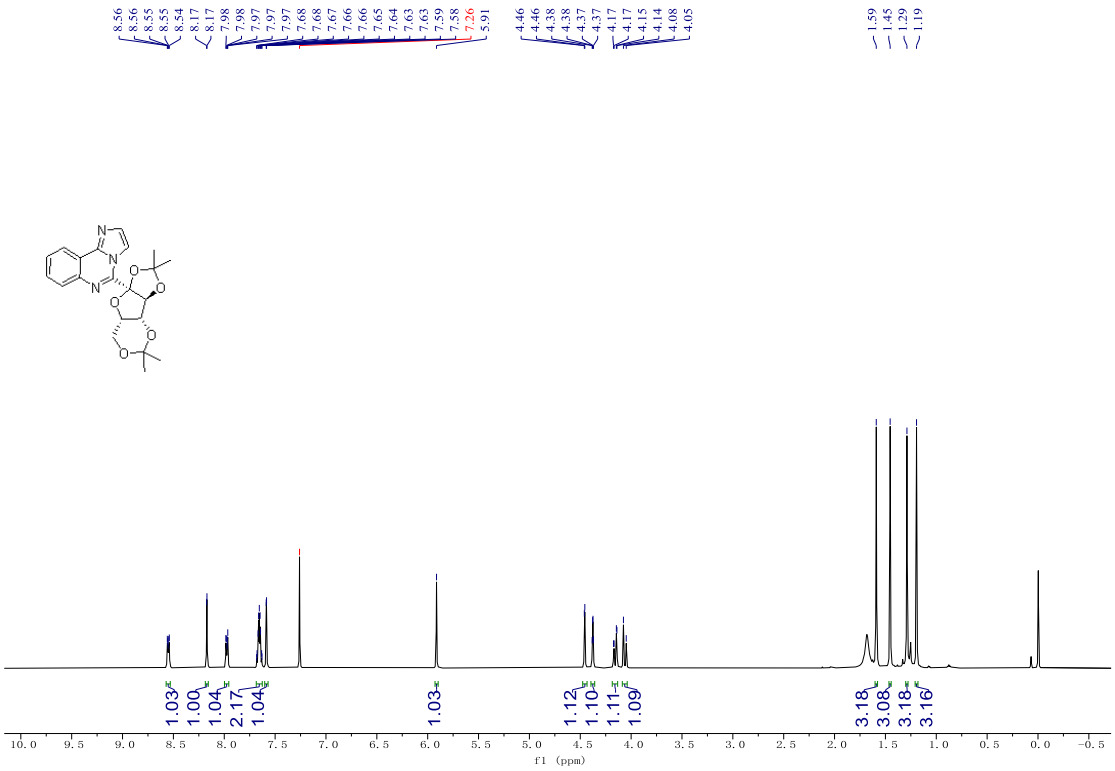
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 51



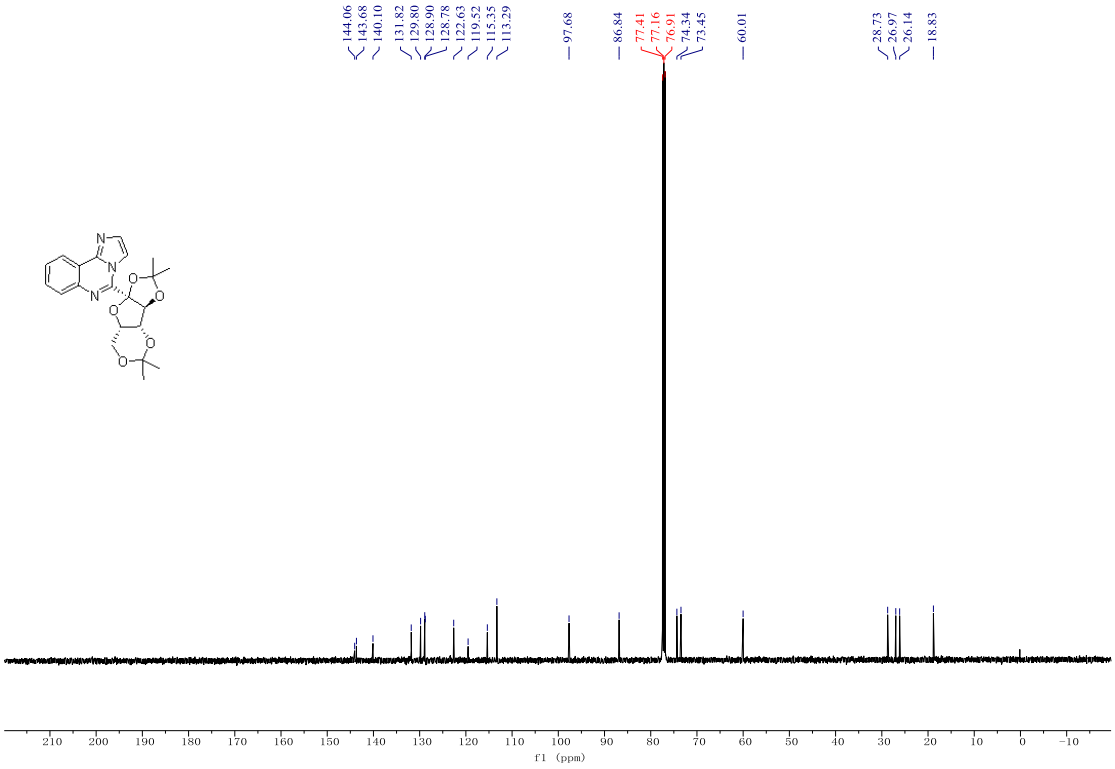
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 51



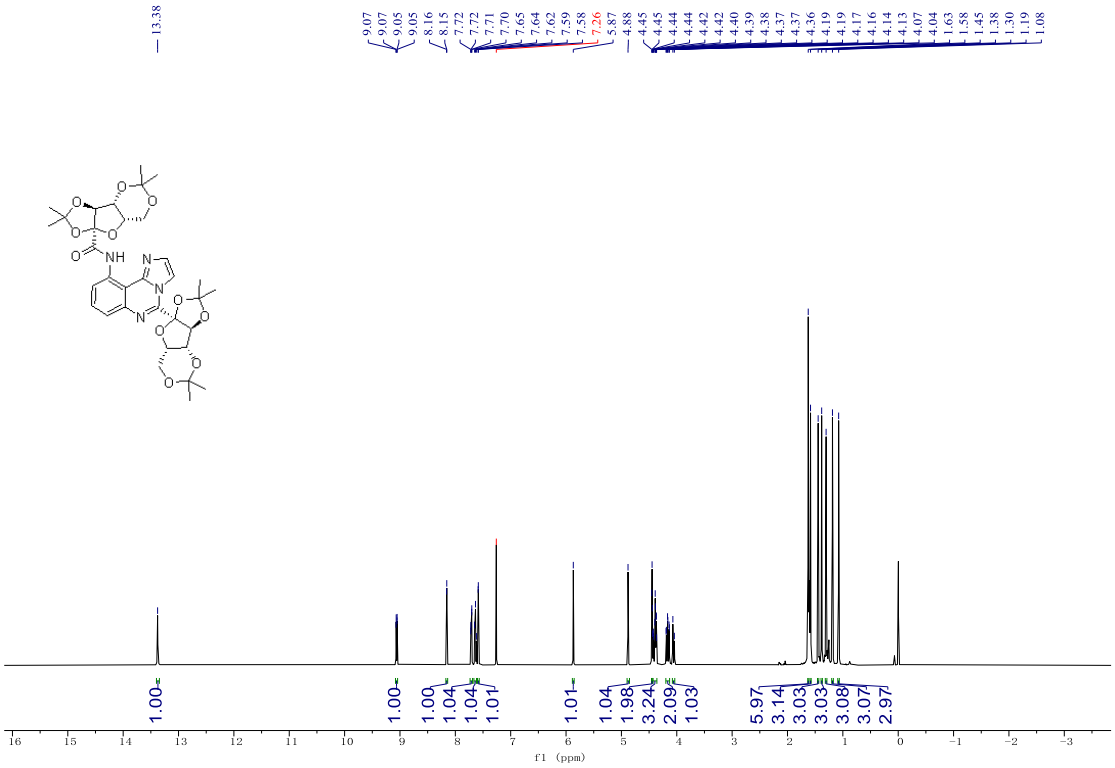
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 52a



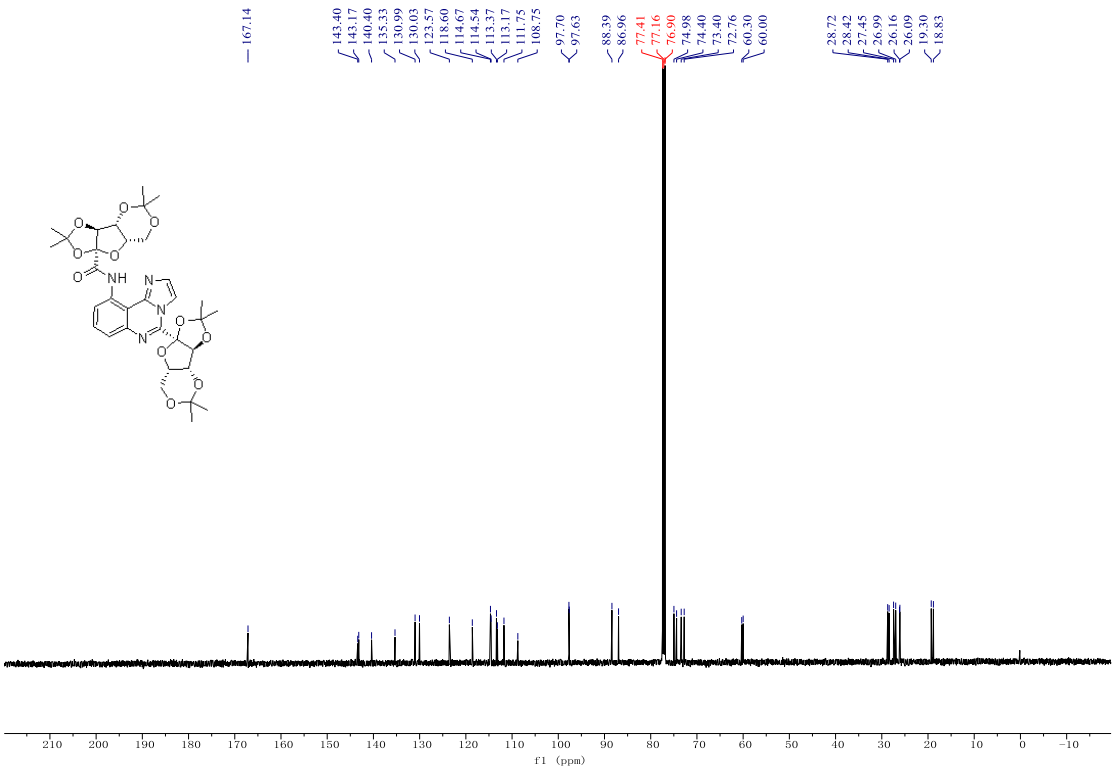
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 52a



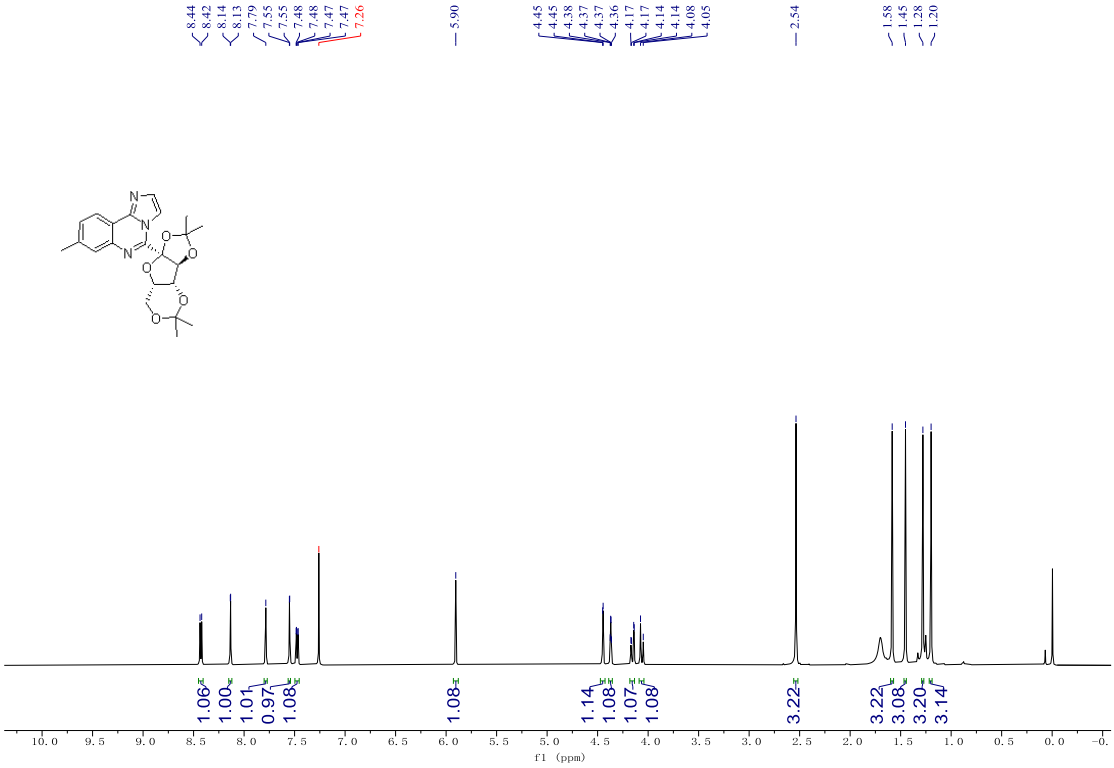
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 52b



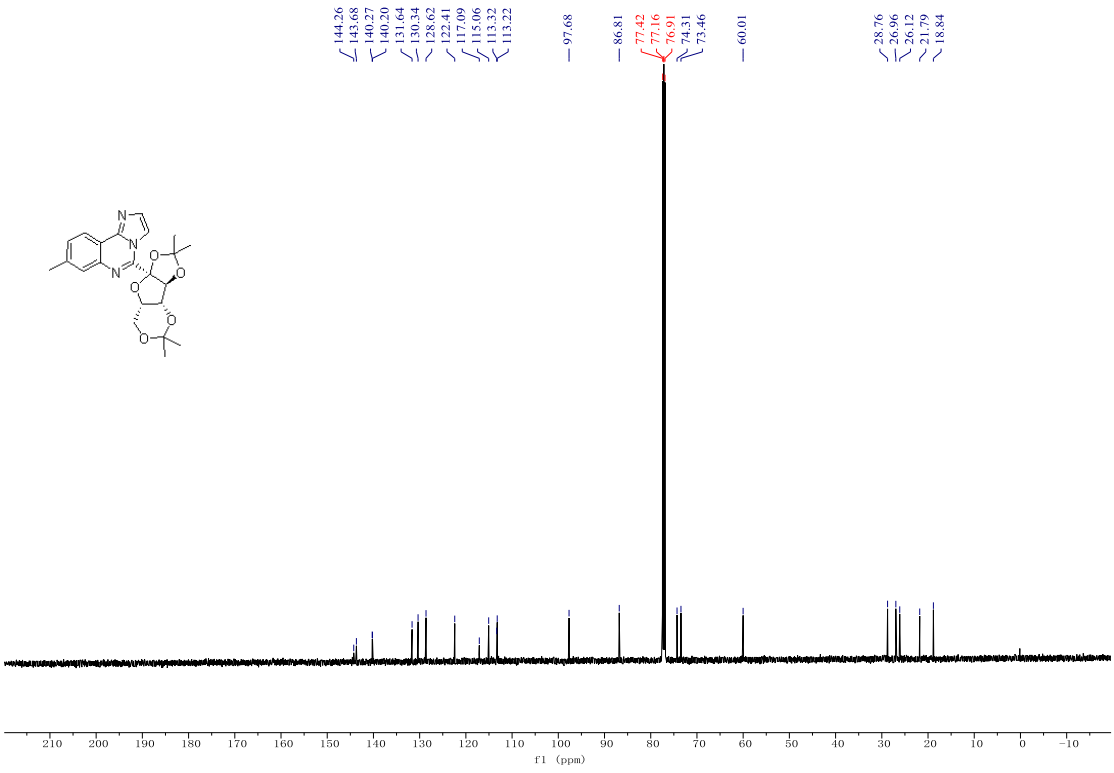
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 52b



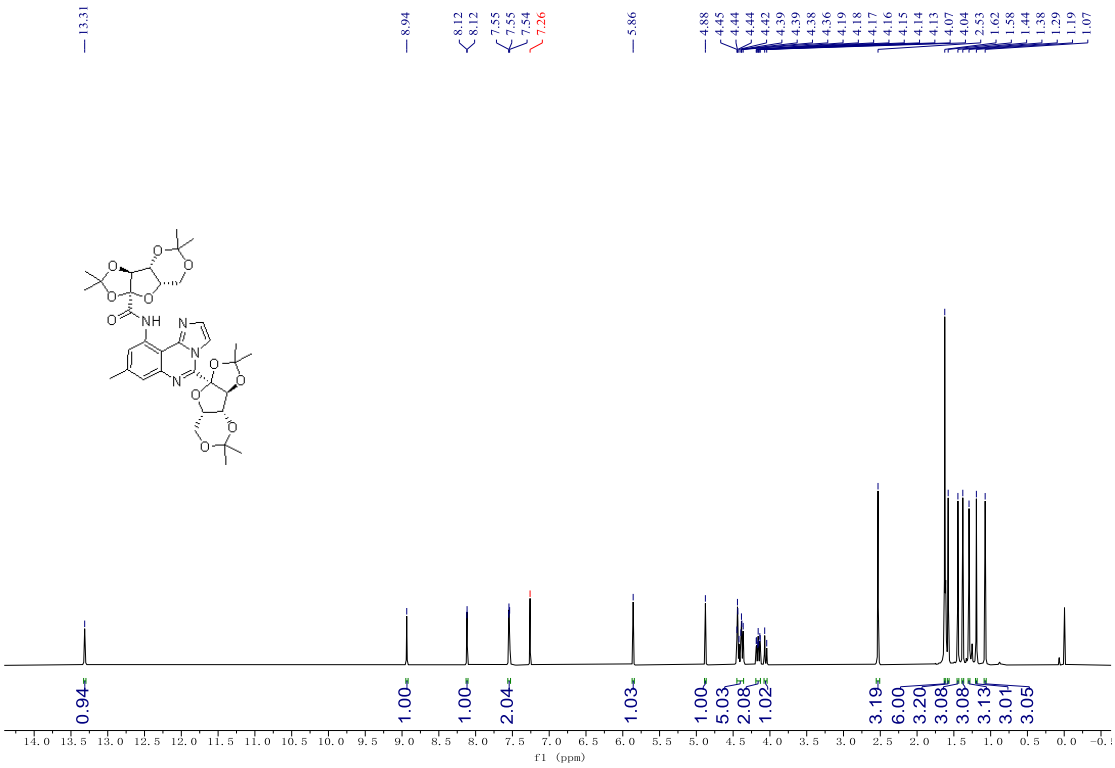
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 53a



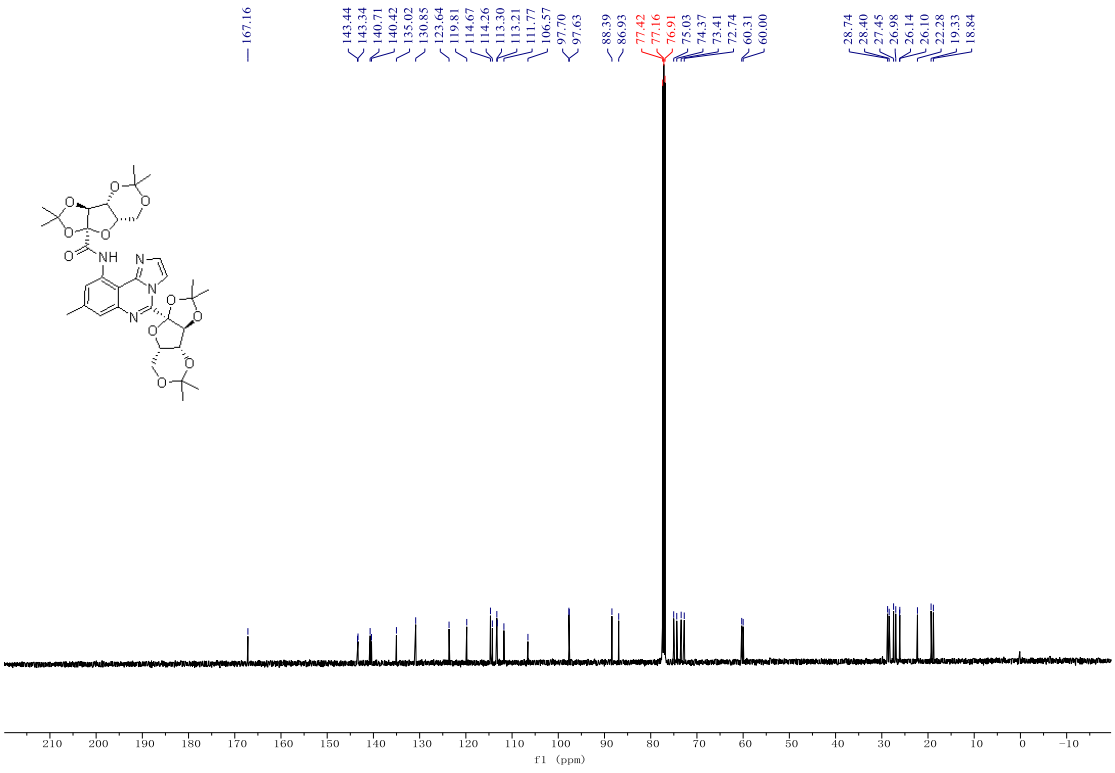
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 53a



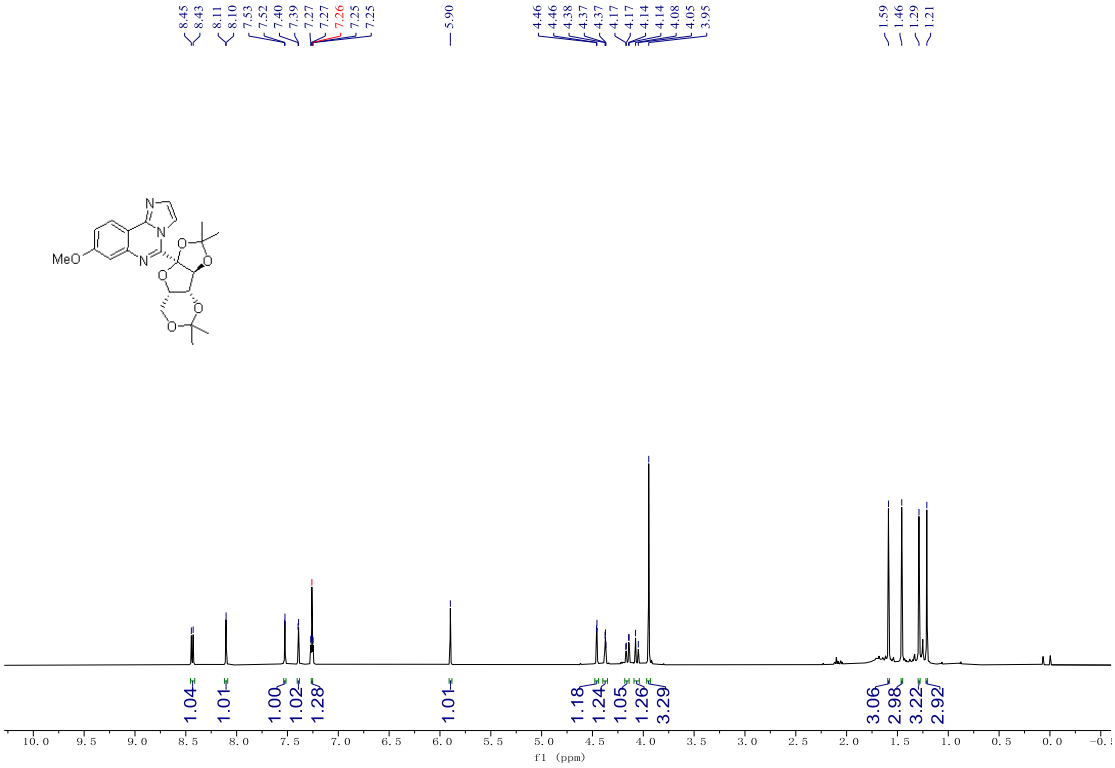
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 53b



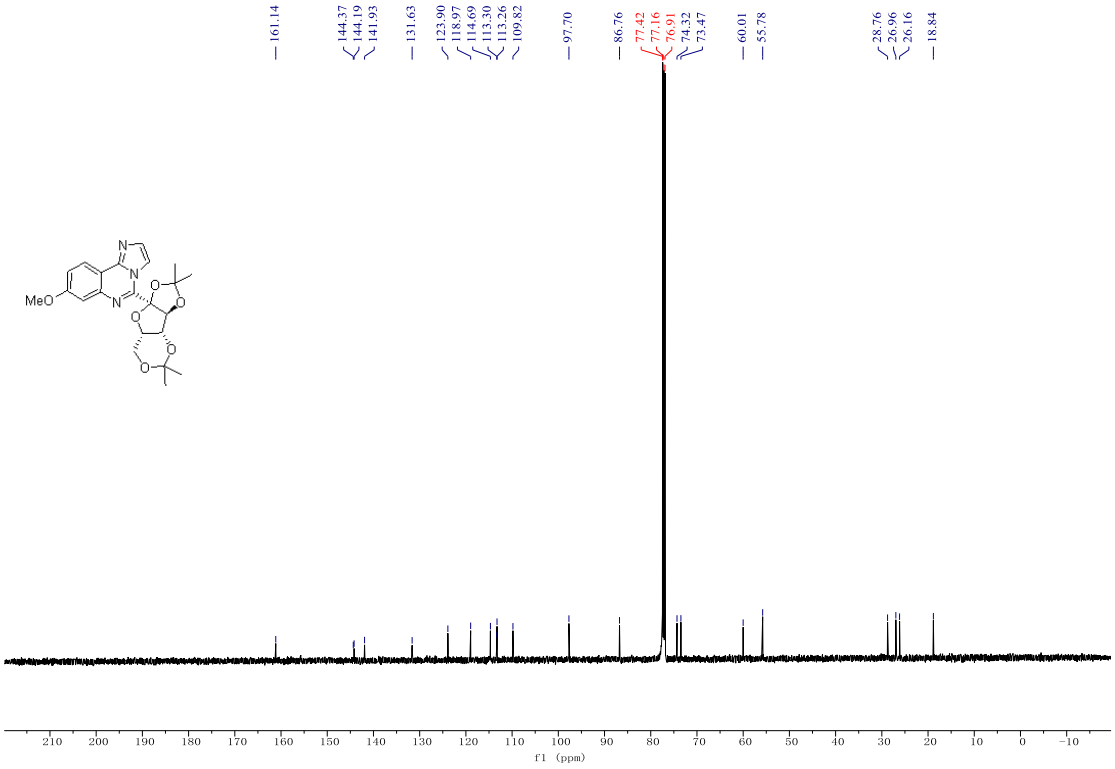
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 53b



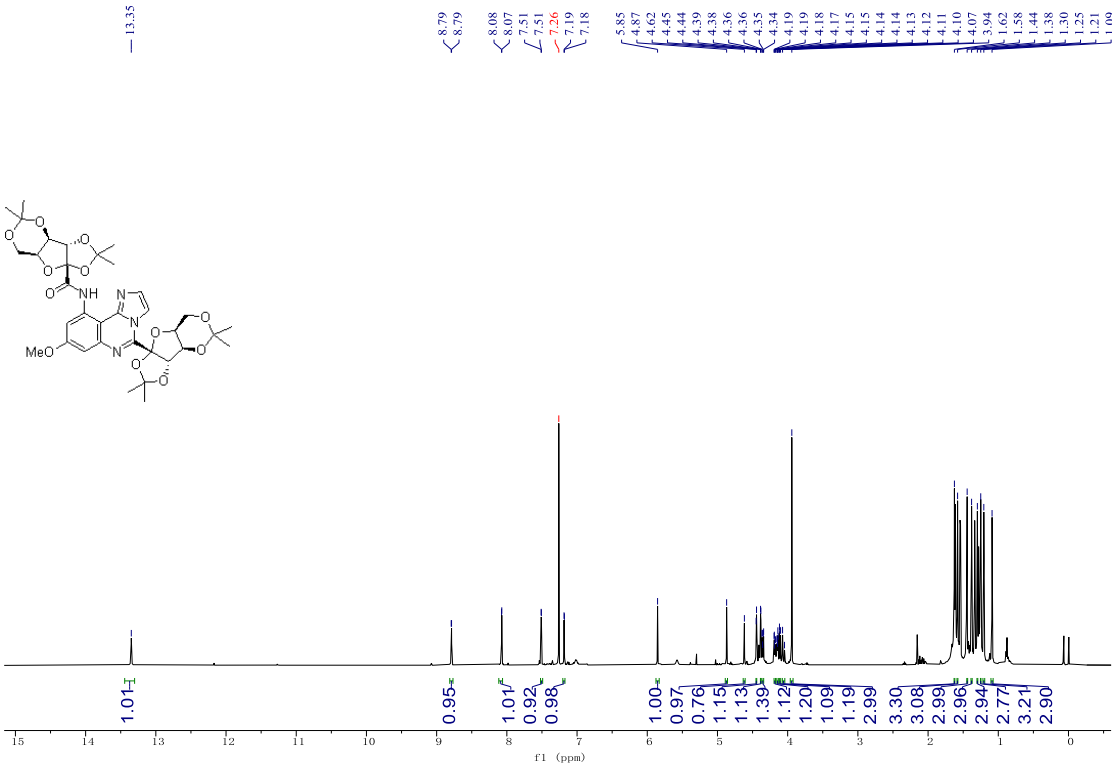
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 54a



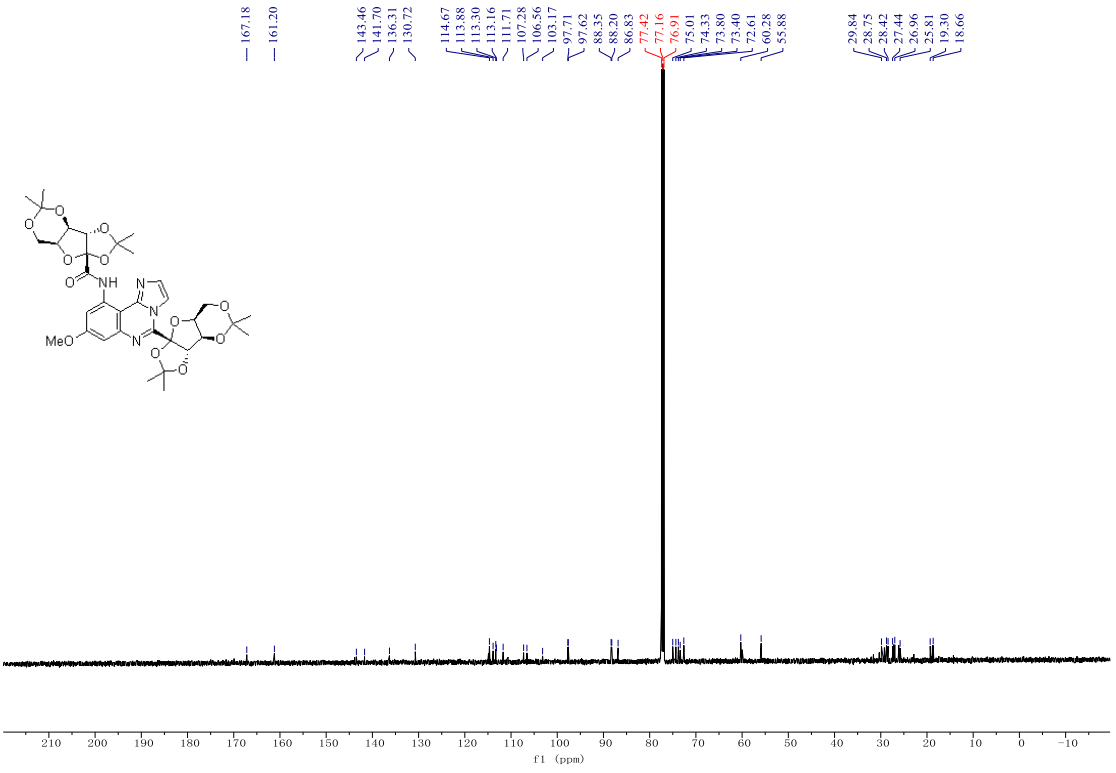
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 54a



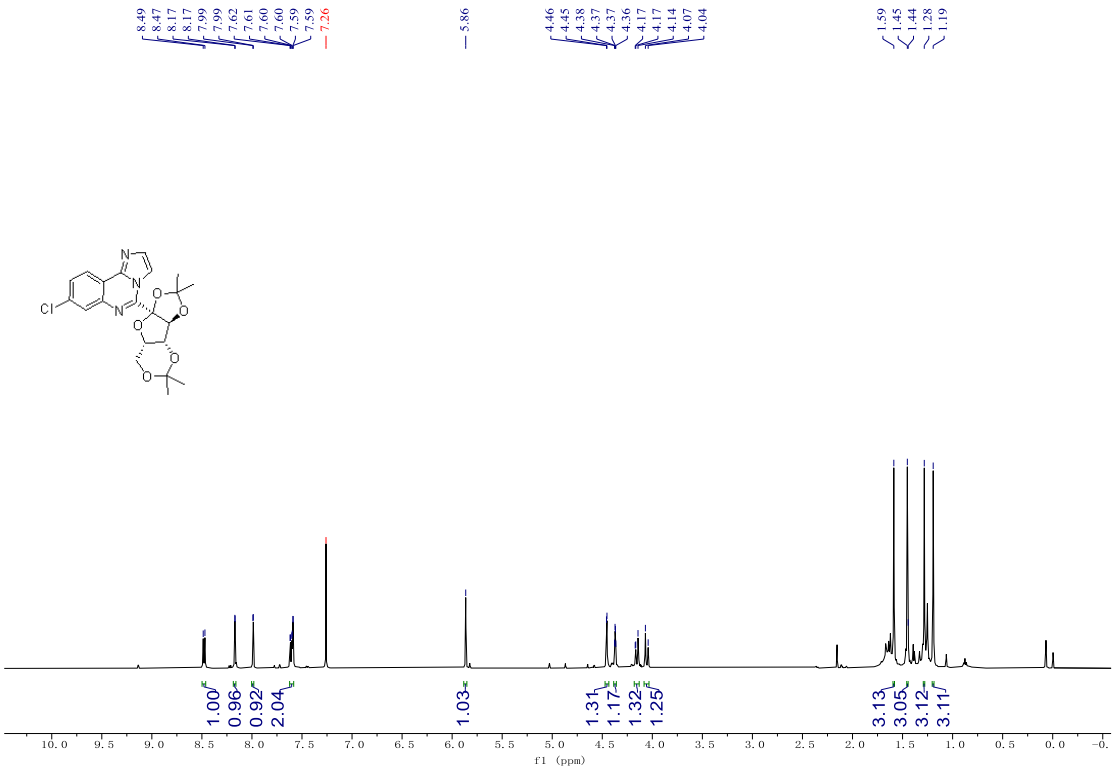
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 54b



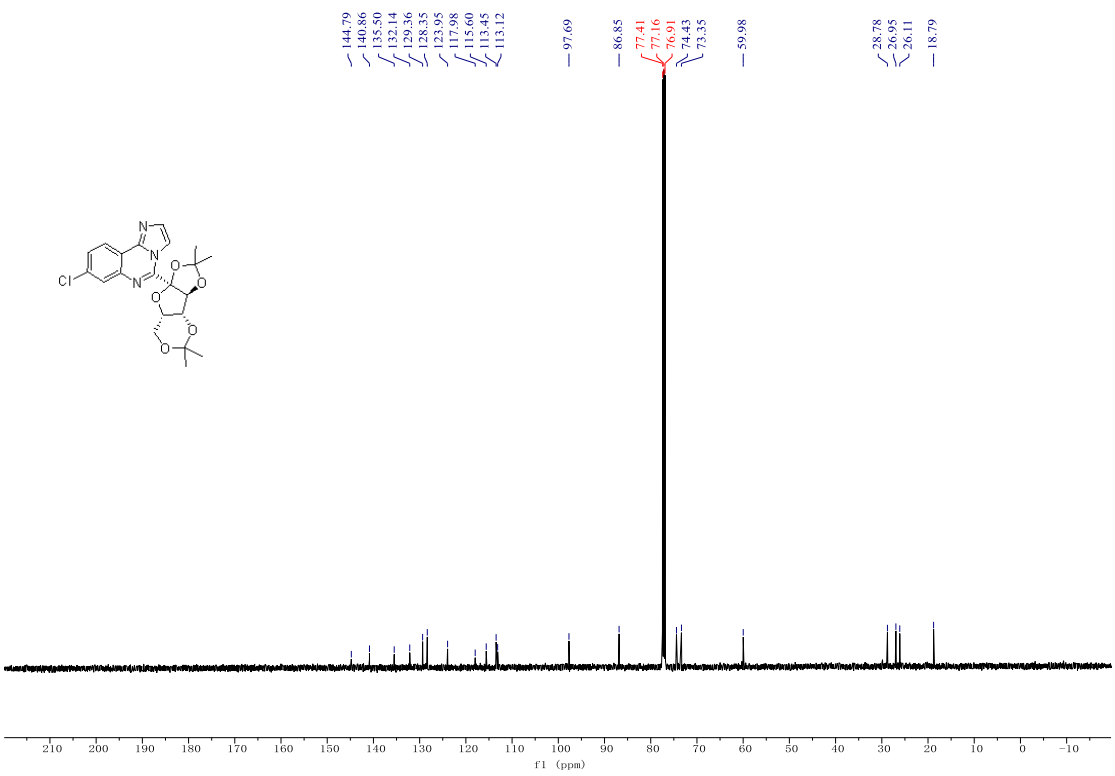
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 54b



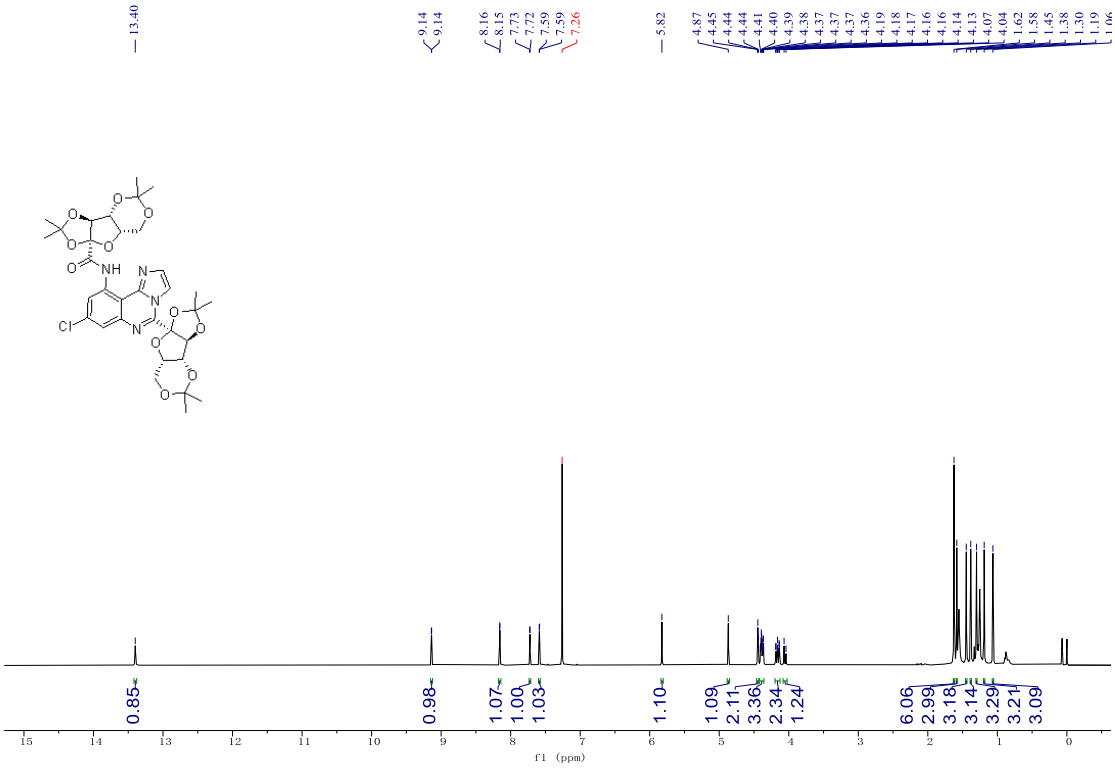
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 55a



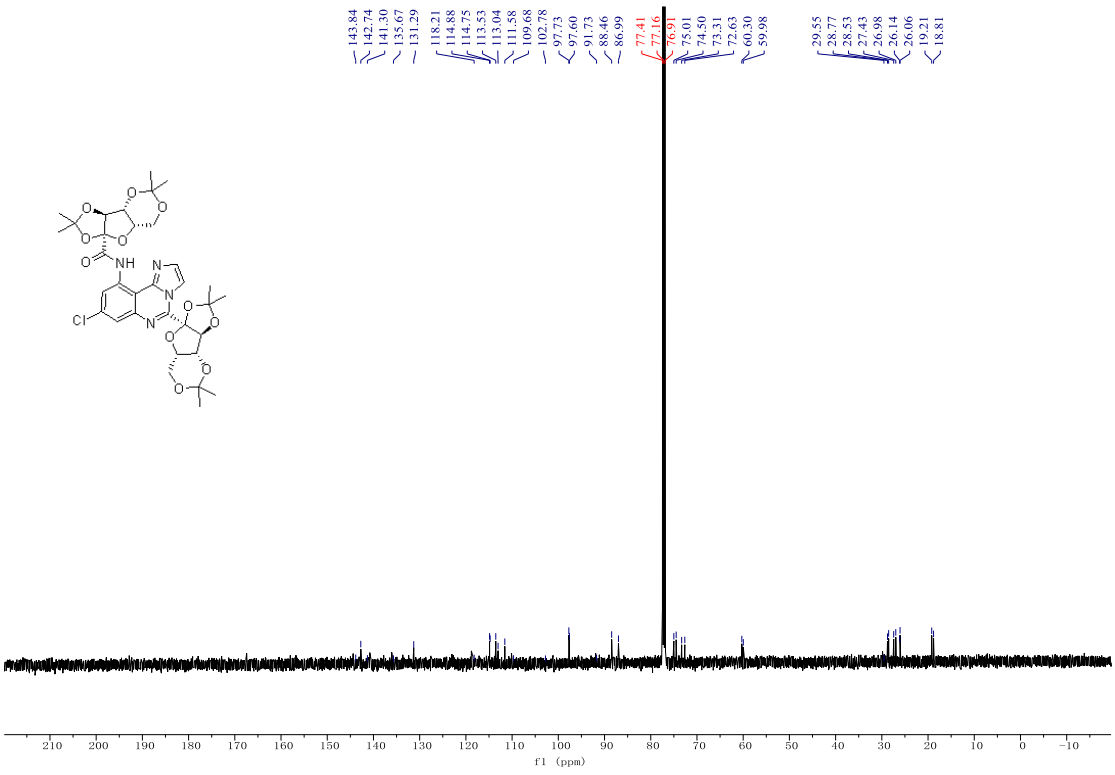
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 55a



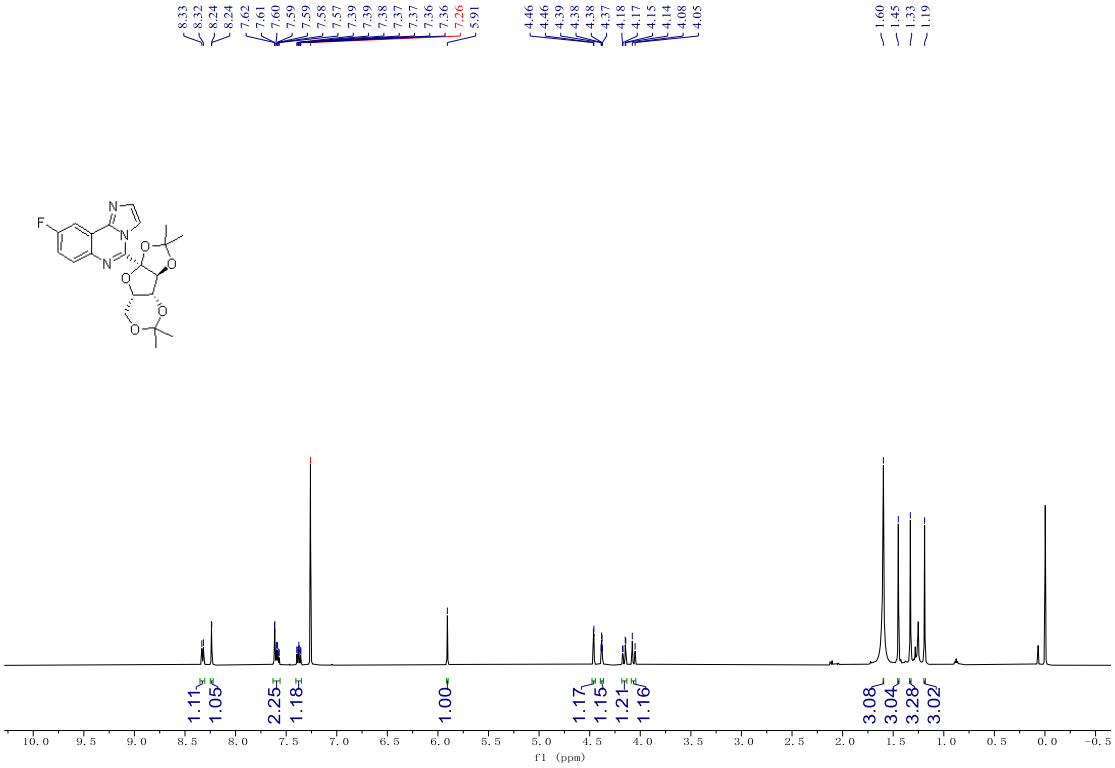
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 55b



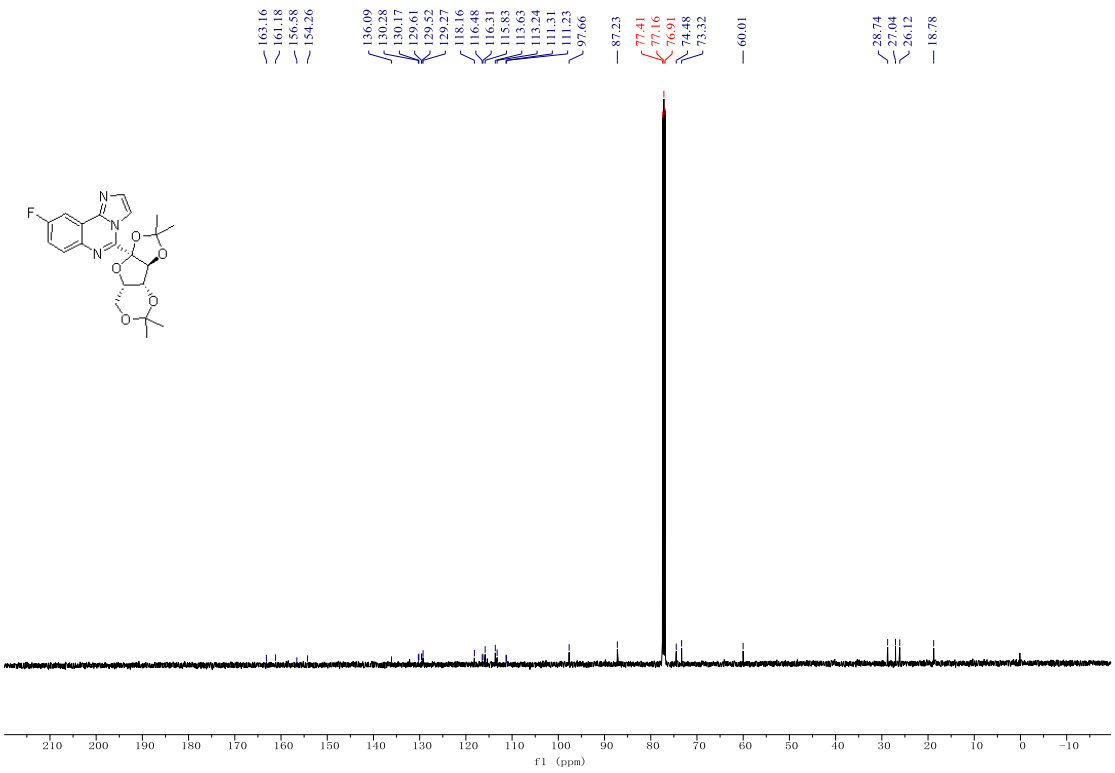
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 55b



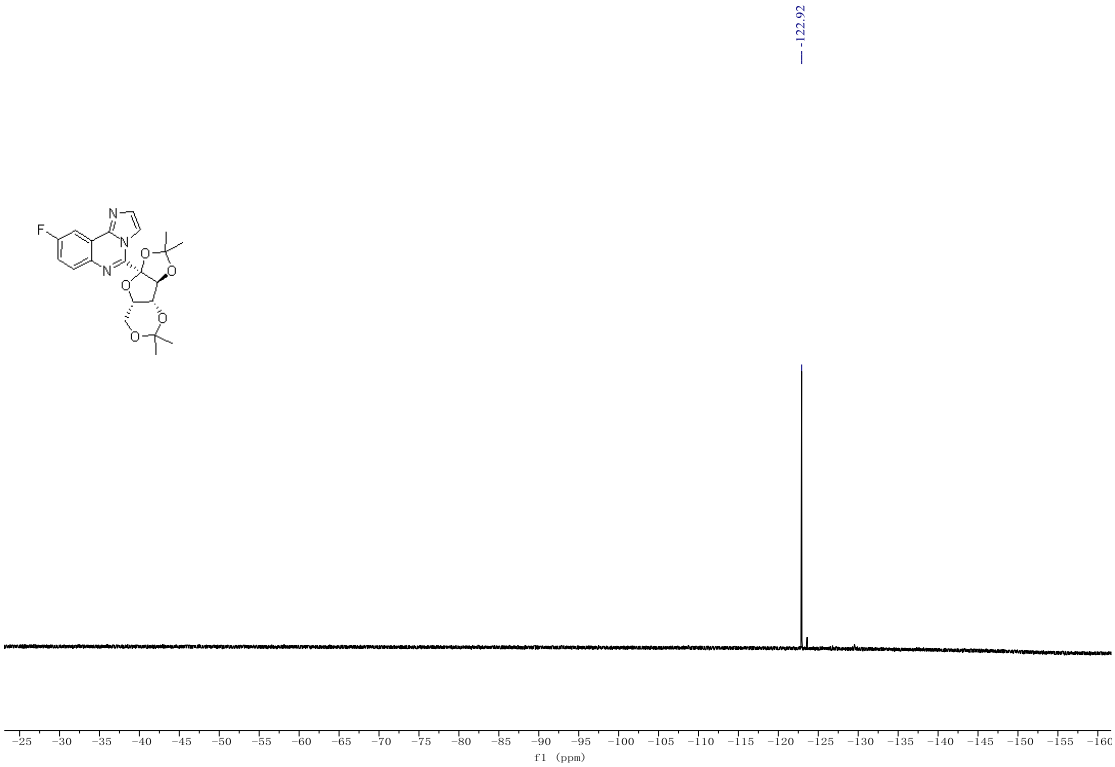
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 56



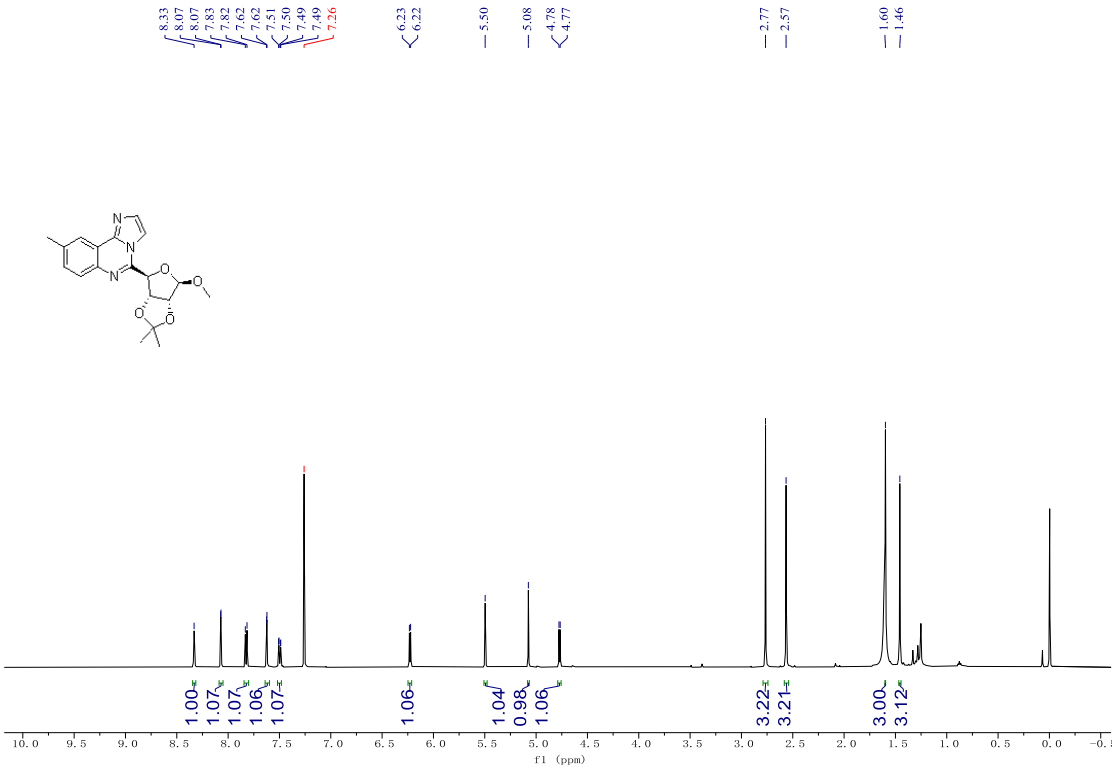
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 56



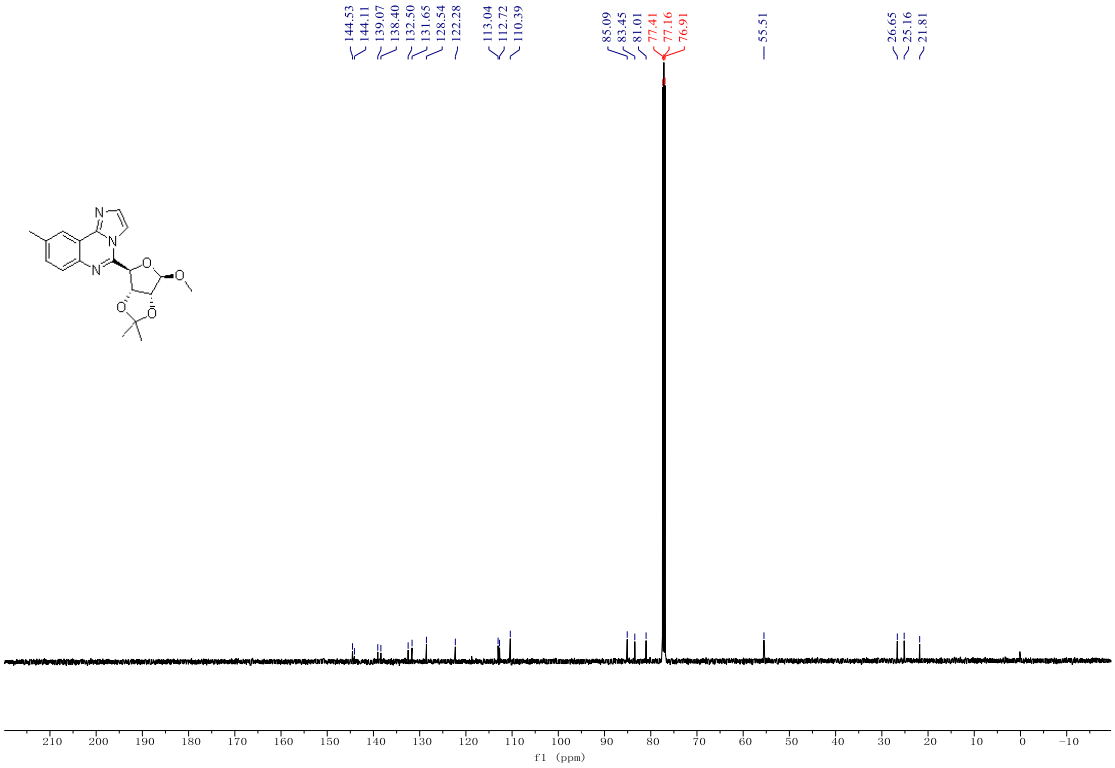
^{19}F NMR (471 MHz, $CDCl_3$) Spectra of compound 56



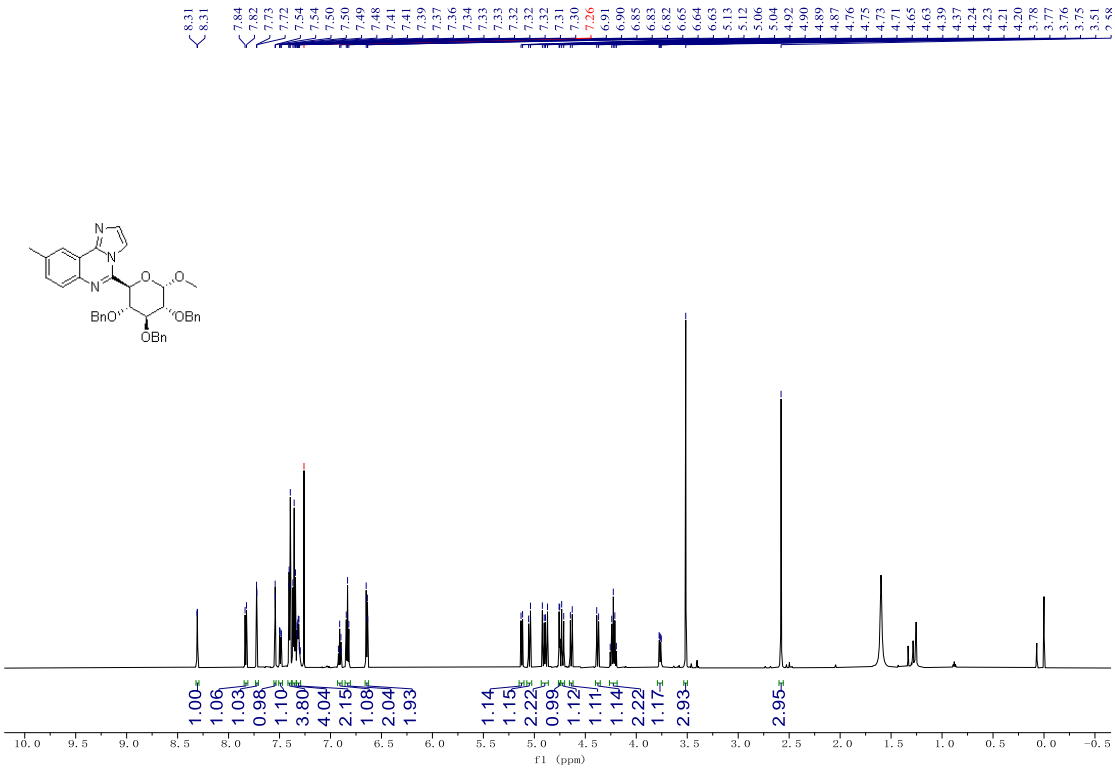
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 57



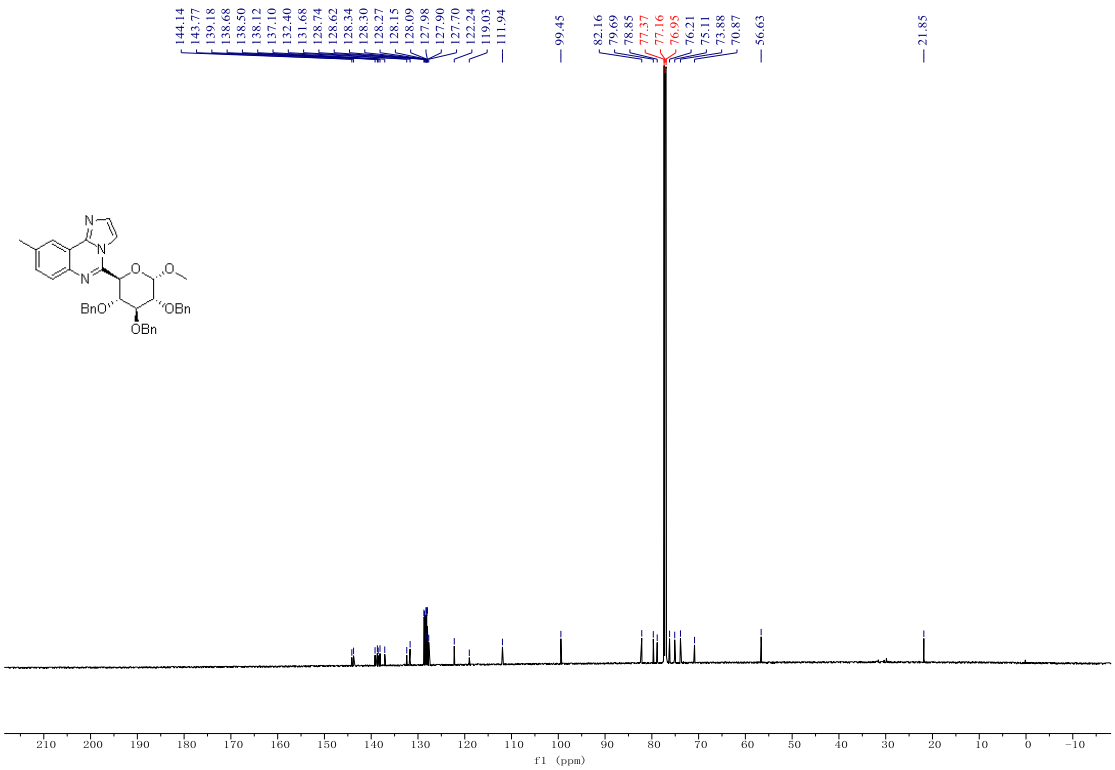
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 57



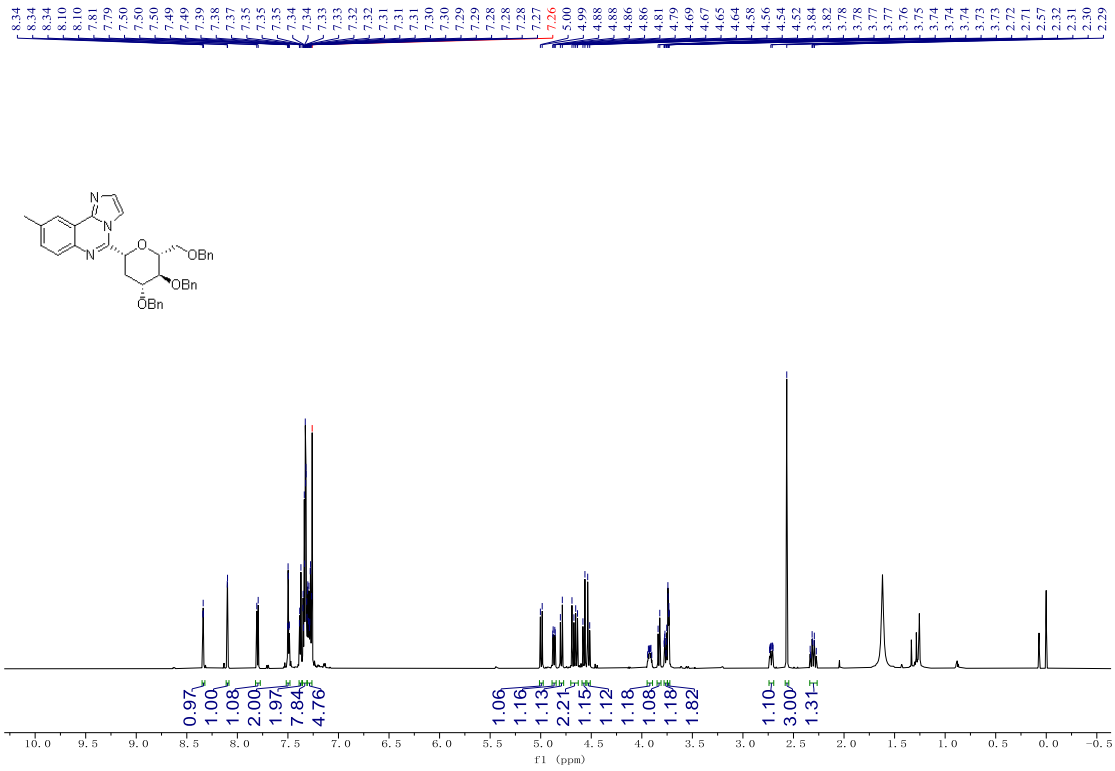
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 58



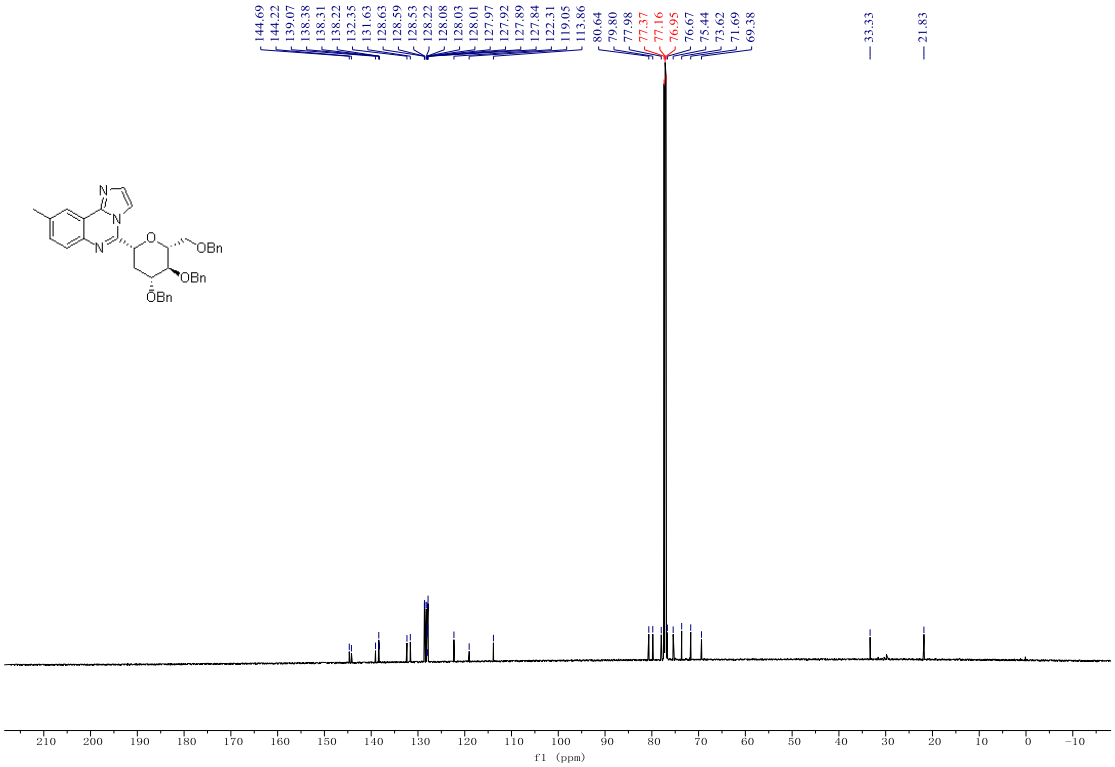
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 58



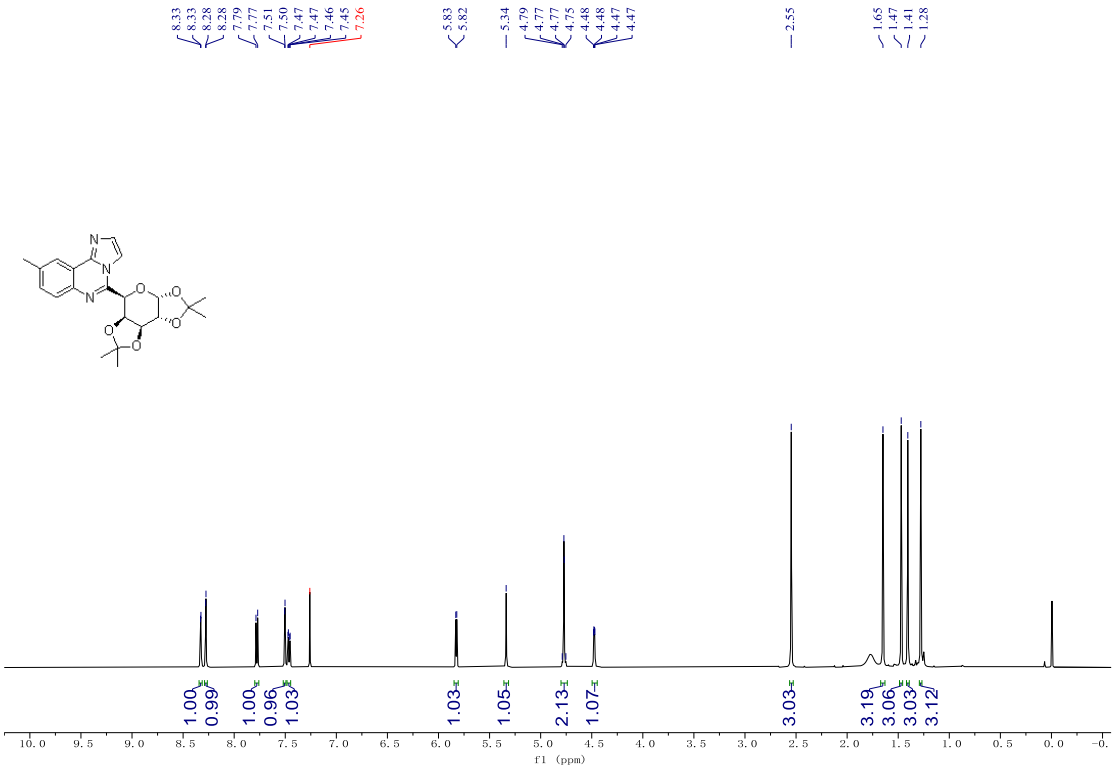
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 59



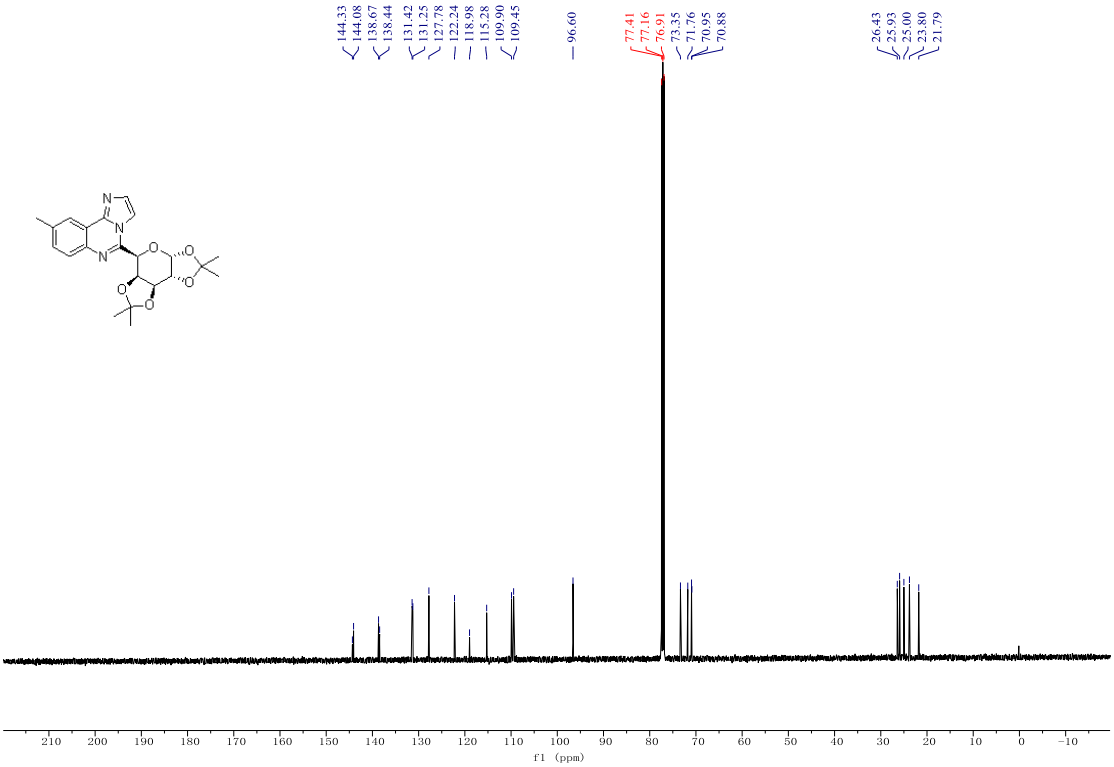
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 59



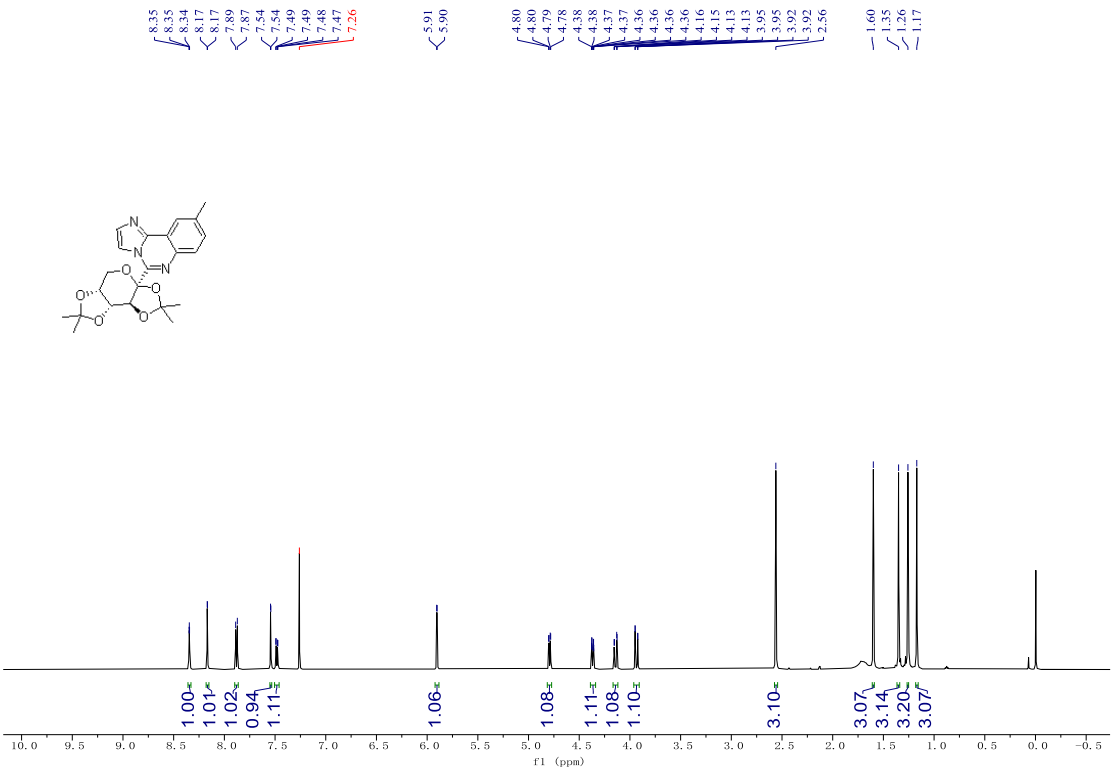
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 60



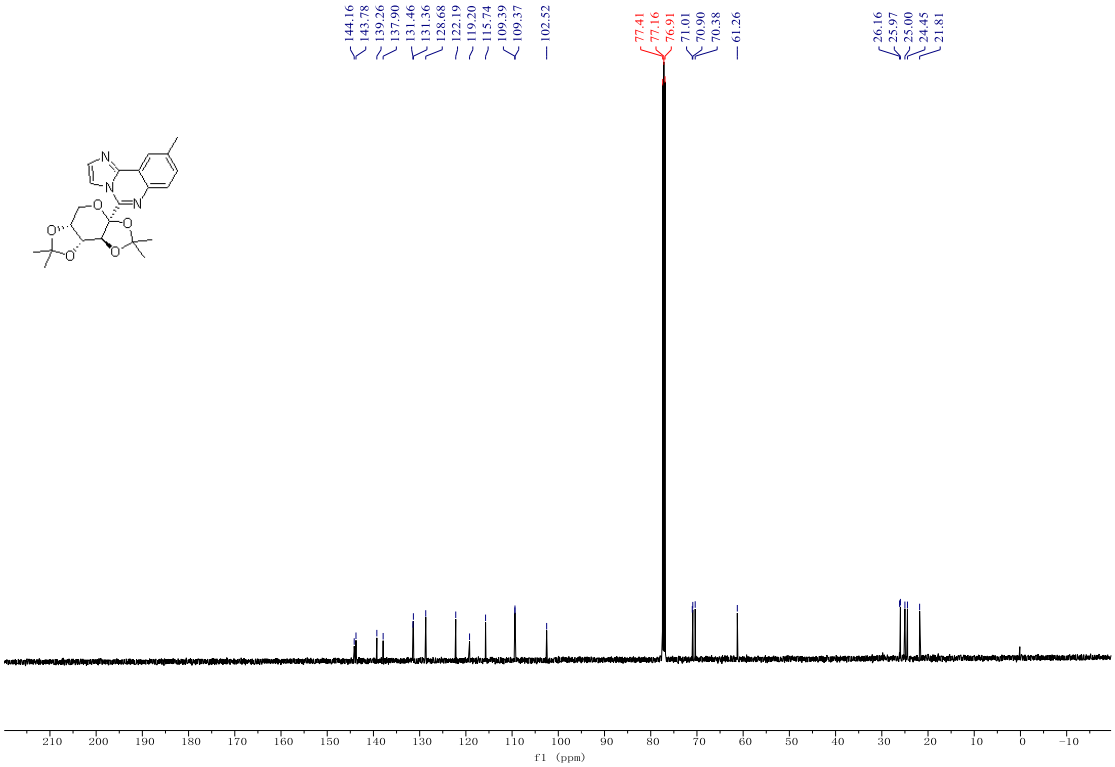
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 60



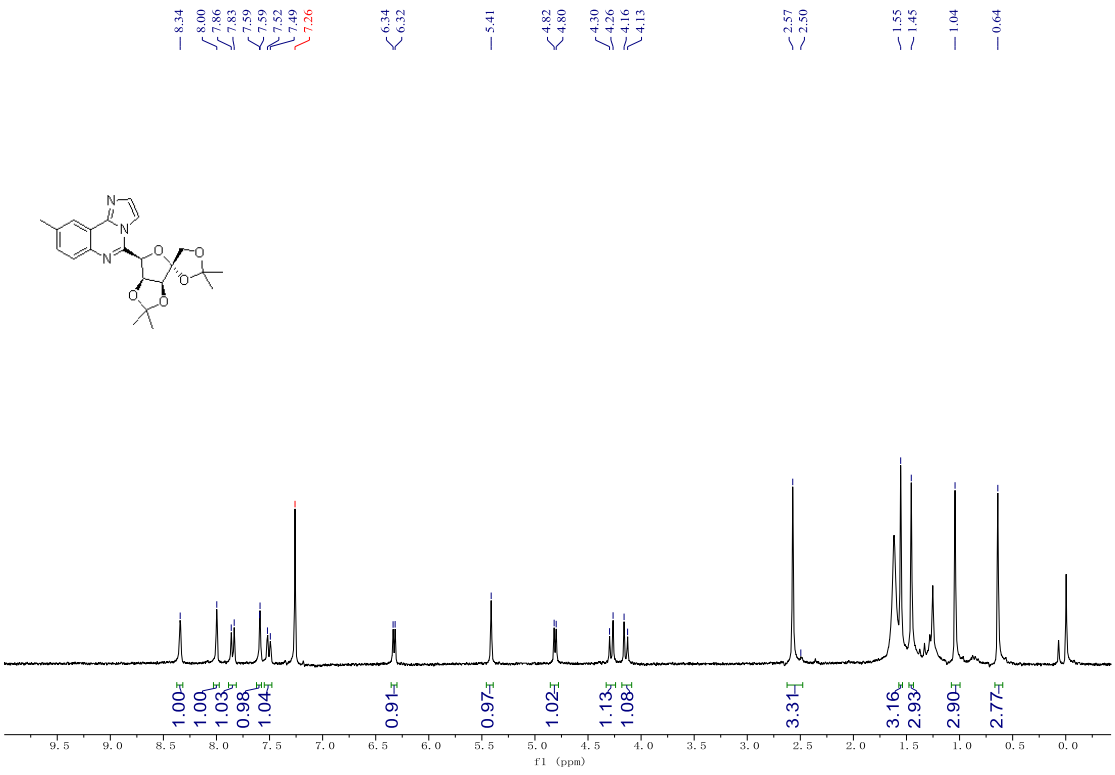
^{1}H NMR (500 MHz, $CDCl_3$) Spectra of compound 61



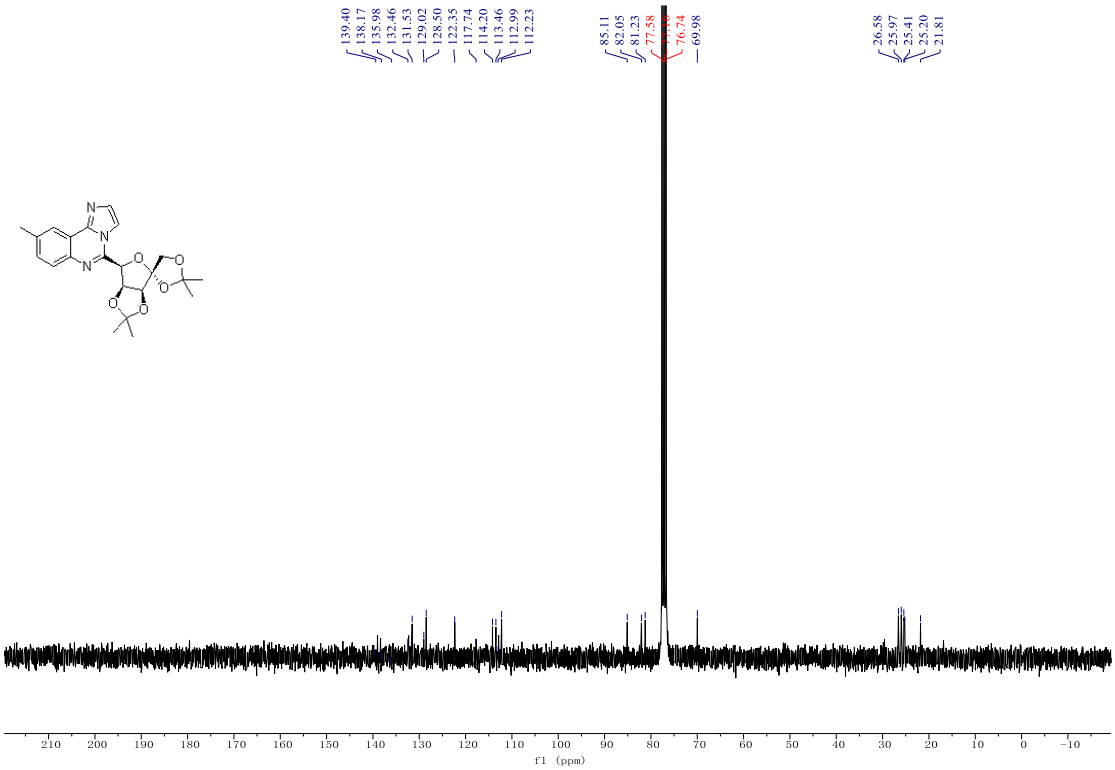
^{13}C NMR (126 MHz, $CDCl_3$) Spectra of compound 61



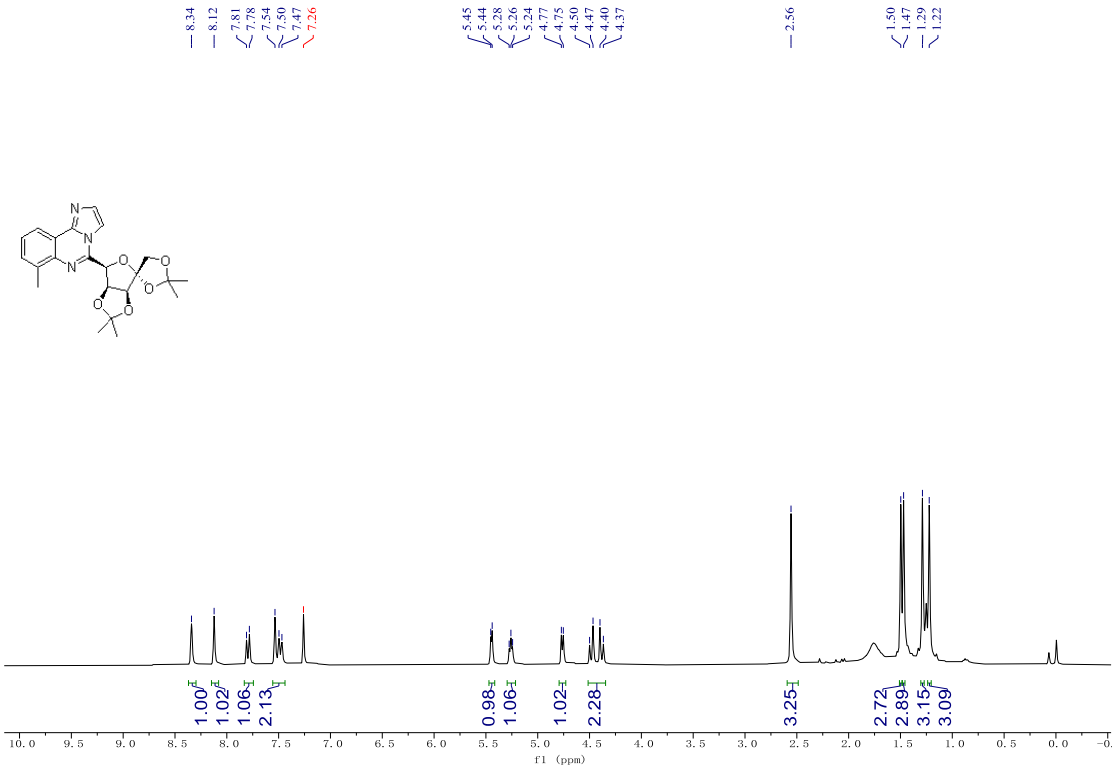
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound 62a



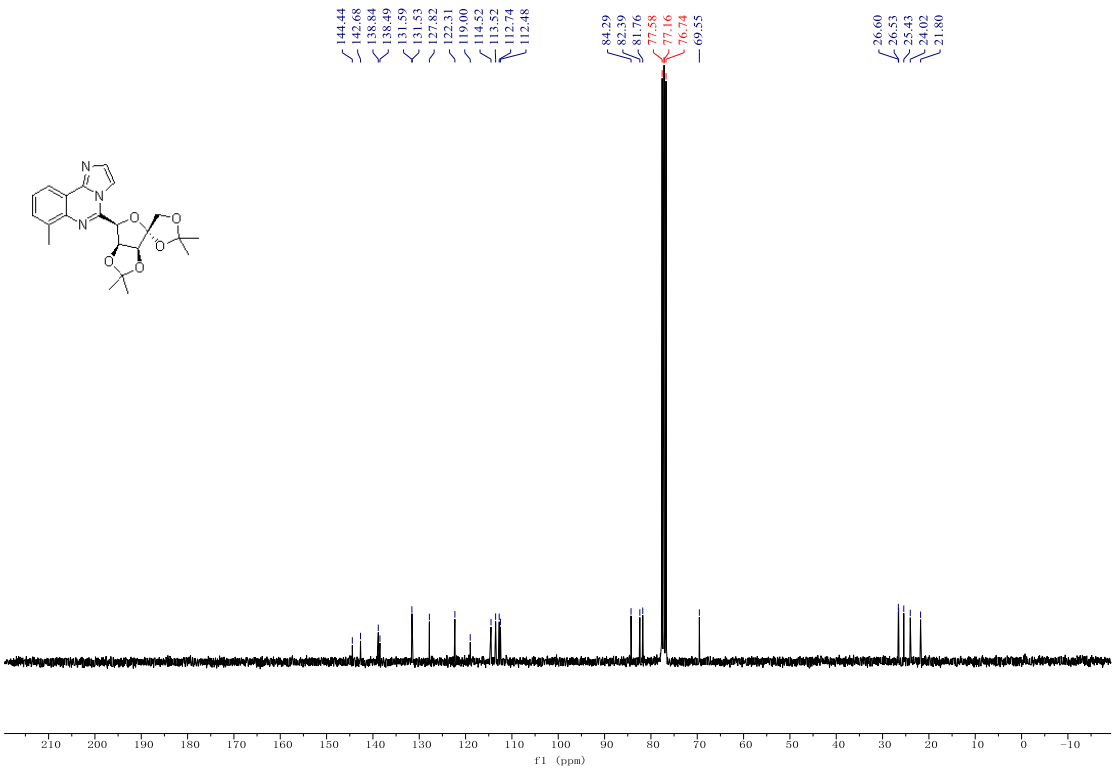
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 62a



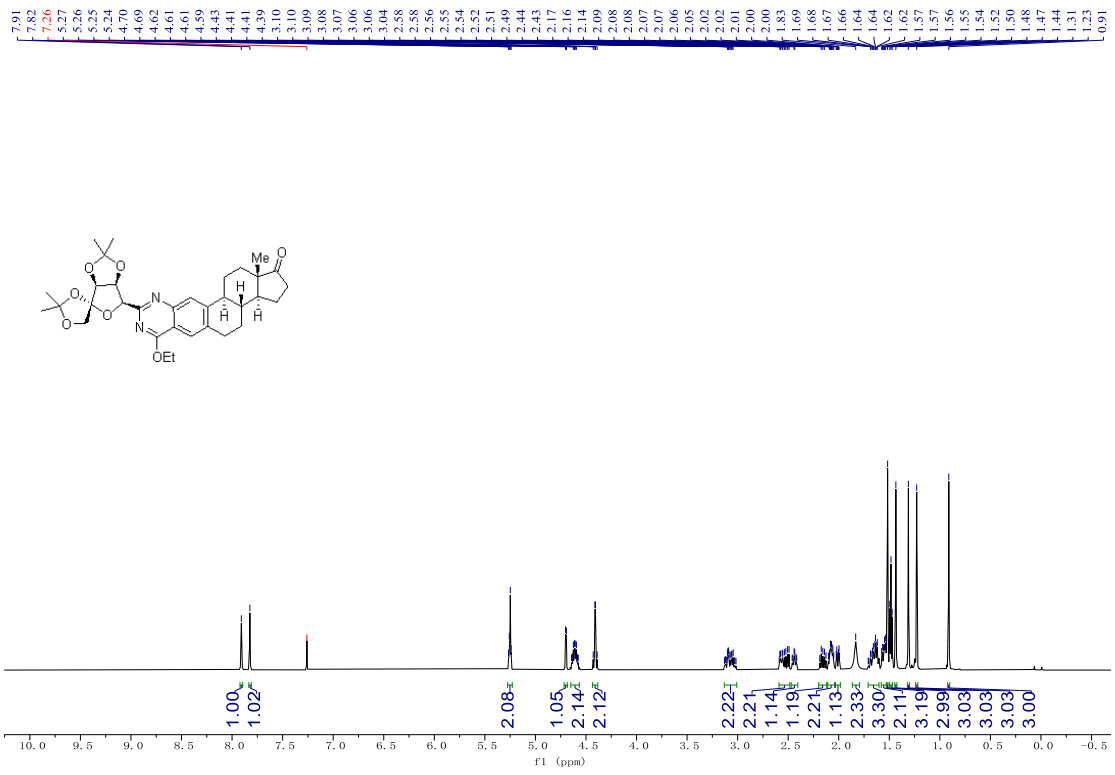
^{1}H NMR (300 MHz, $CDCl_3$) Spectra of compound 62b



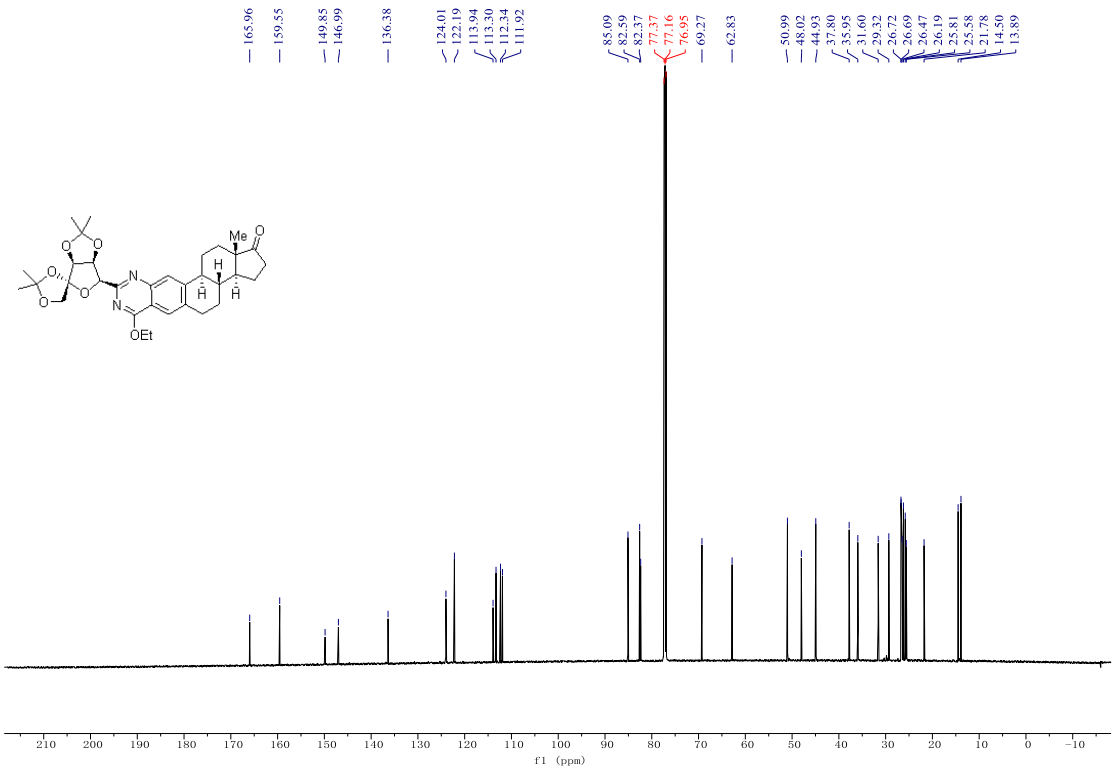
^{13}C NMR (75 MHz, $CDCl_3$) Spectra of compound 62b



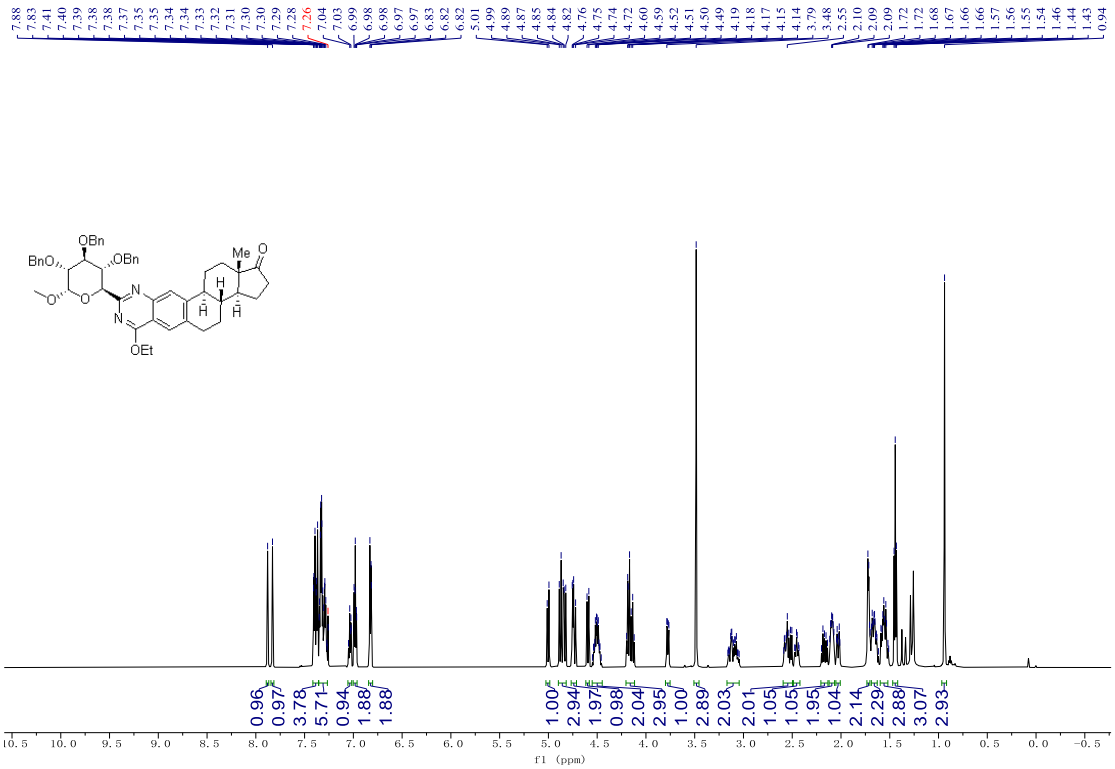
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 65



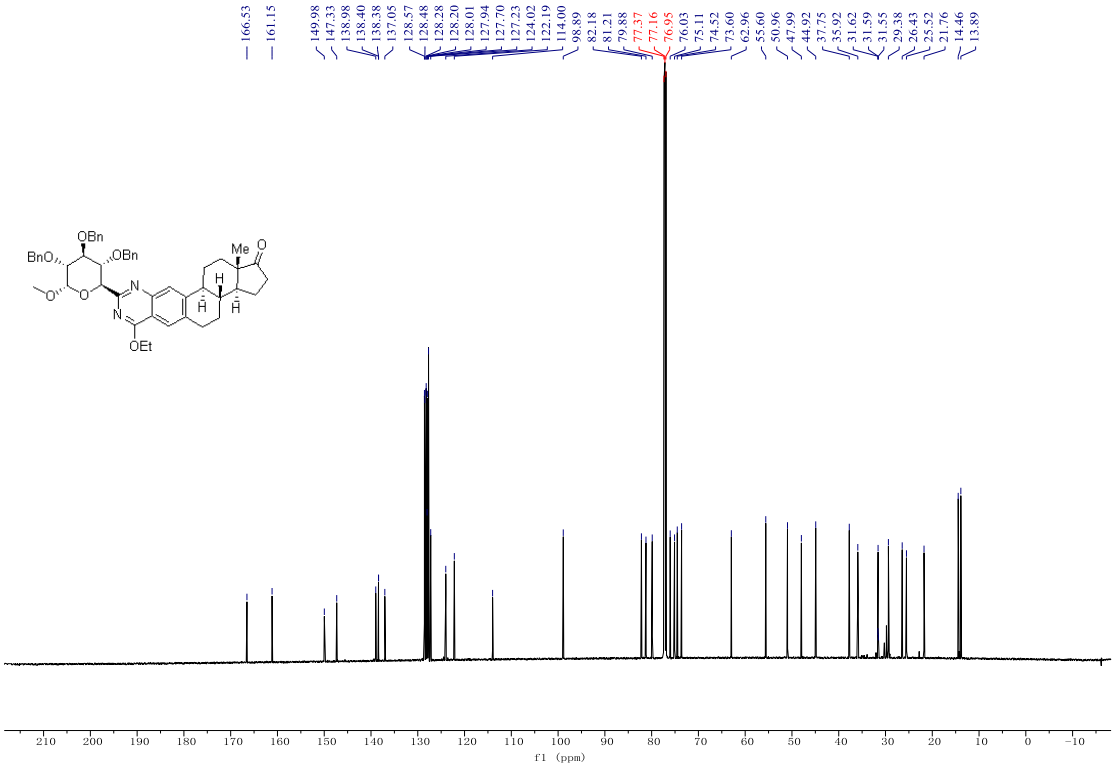
^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 65



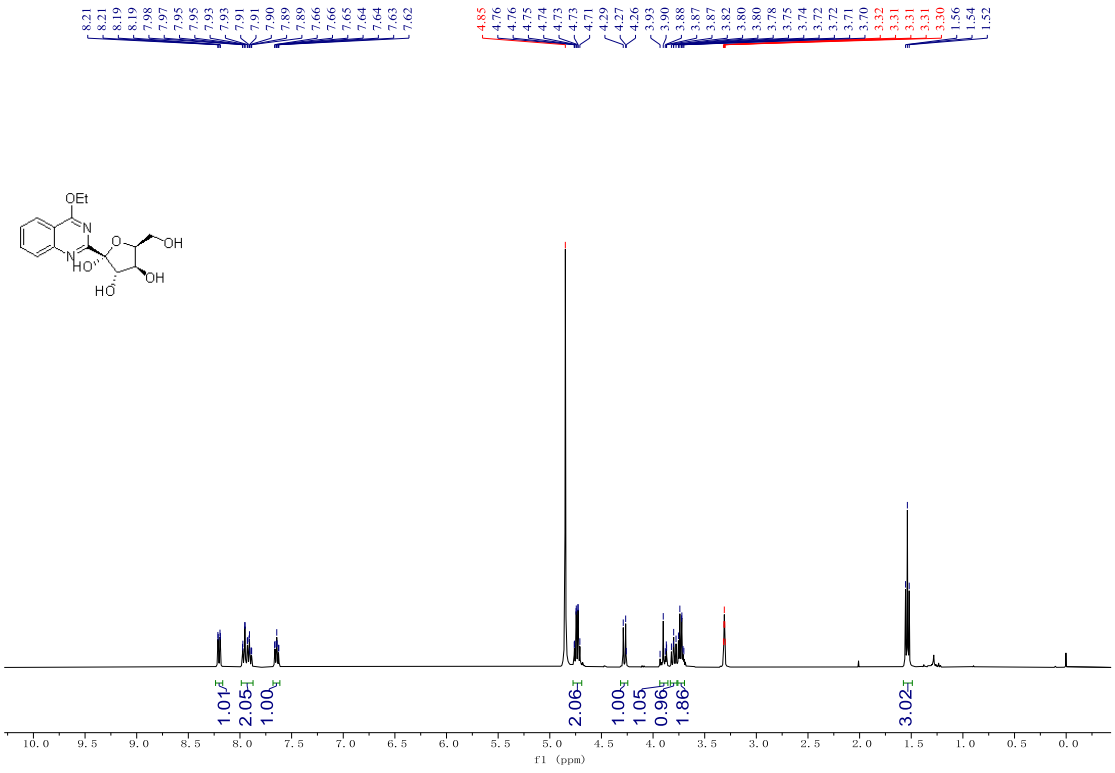
^{1}H NMR (600 MHz, $CDCl_3$) Spectra of compound 66



^{13}C NMR (151 MHz, $CDCl_3$) Spectra of compound 66



^{1}H NMR (400 MHz, CD_3OD) Spectra of compound 67



^{13}C NMR (101 MHz, CD_3OD) Spectra of compound 67