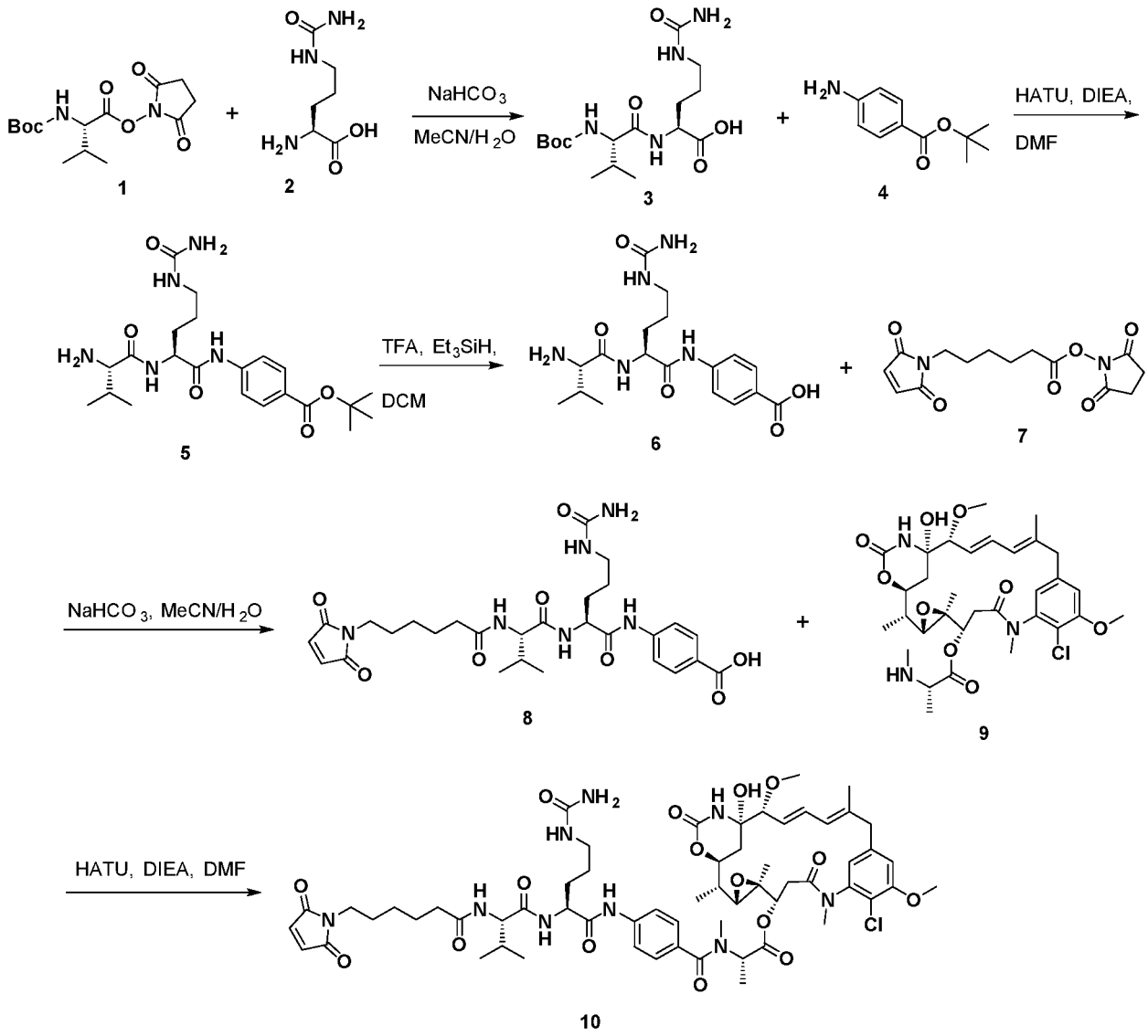

NaHCO3
MeCN/H2O
HATU, DIEA,
DMF
TFA, Et3SiH,
DCM
NaHCO3, MeCN/H2O
HATU, DIEA, DMF
1
2
3
4
5
6
7
8
9
10

FIG. 1

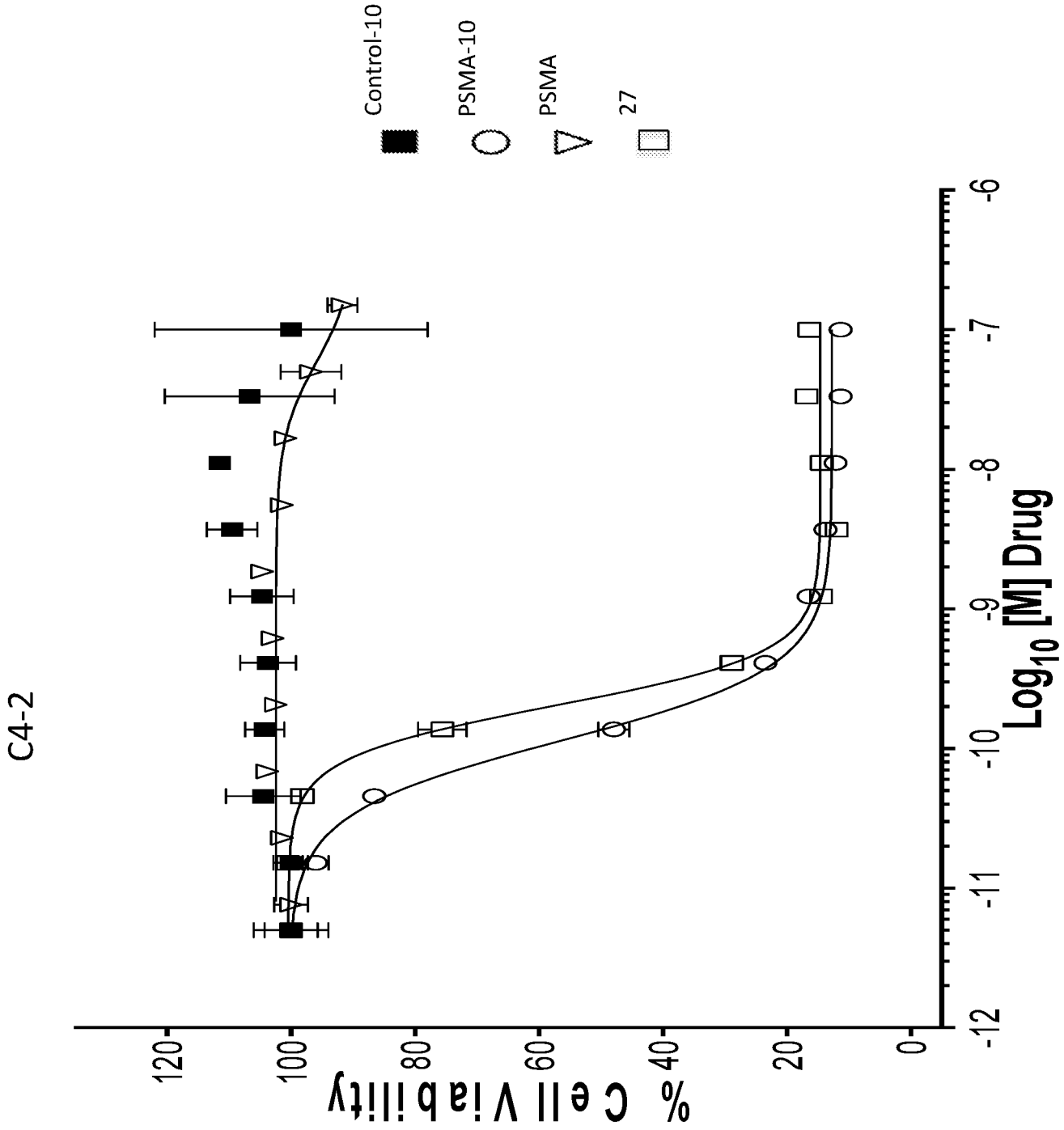

Control-10
PSMA-10
PSMA
27
C4-2
% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Drug

FIG. 2

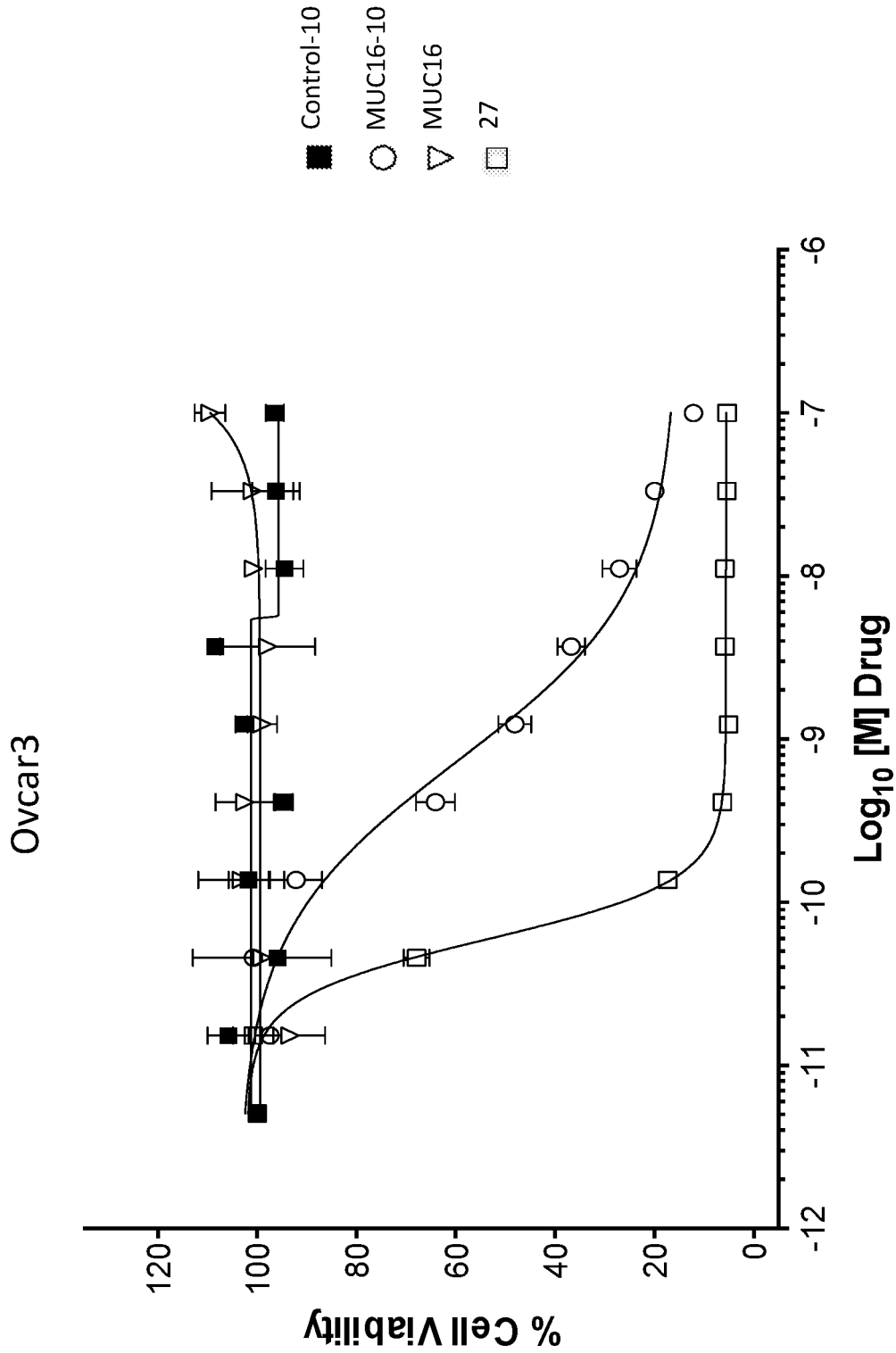

Control-10
MUC16-10
MUC16
27
Ovcar3
% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Drug

FIG. 3

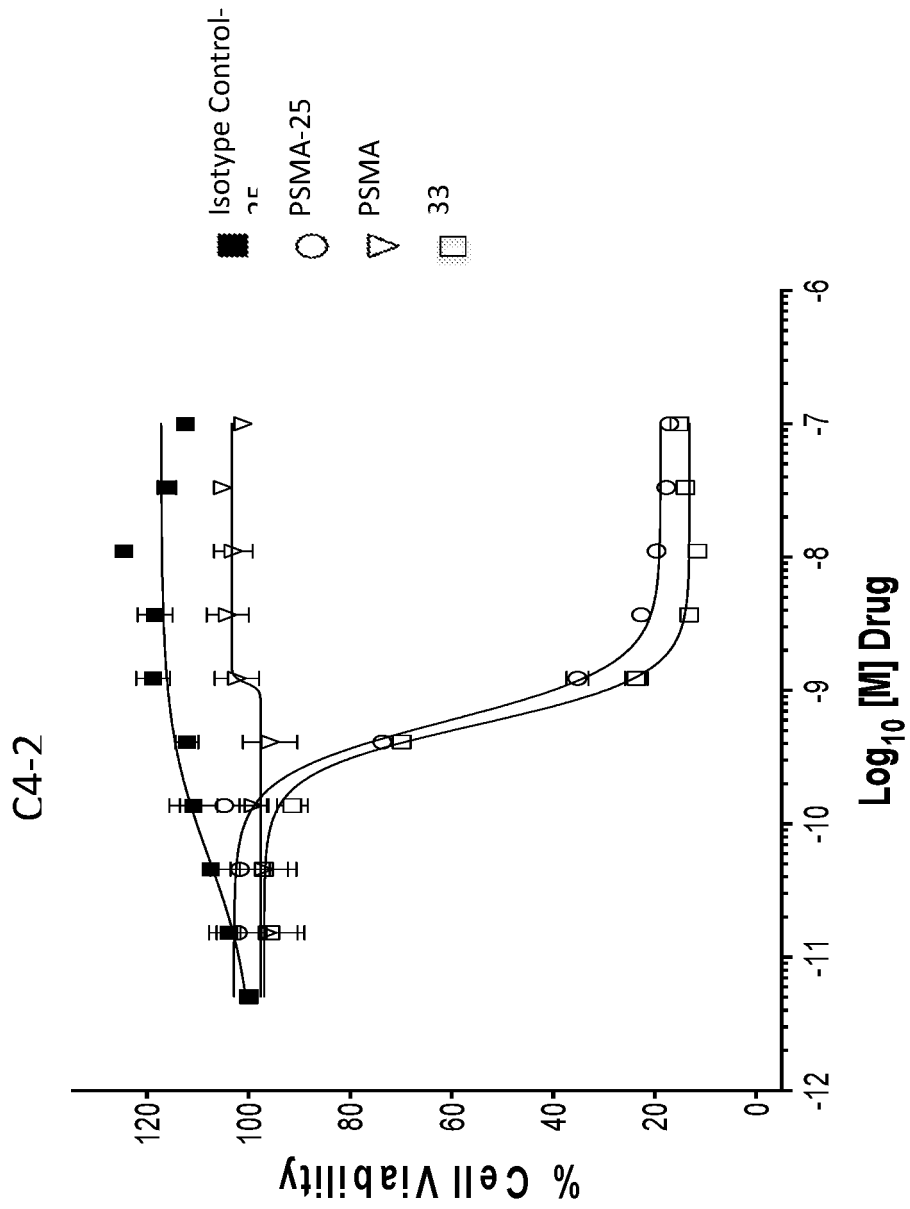

C4-2
Isotype Control-
PSMA-25
PSMA
33
% Cell Viability
120
100
80
60
40
20
0
-6
-7
-8
-9
-10
-11
-12
Log10 [M] Drug

FIG. 4

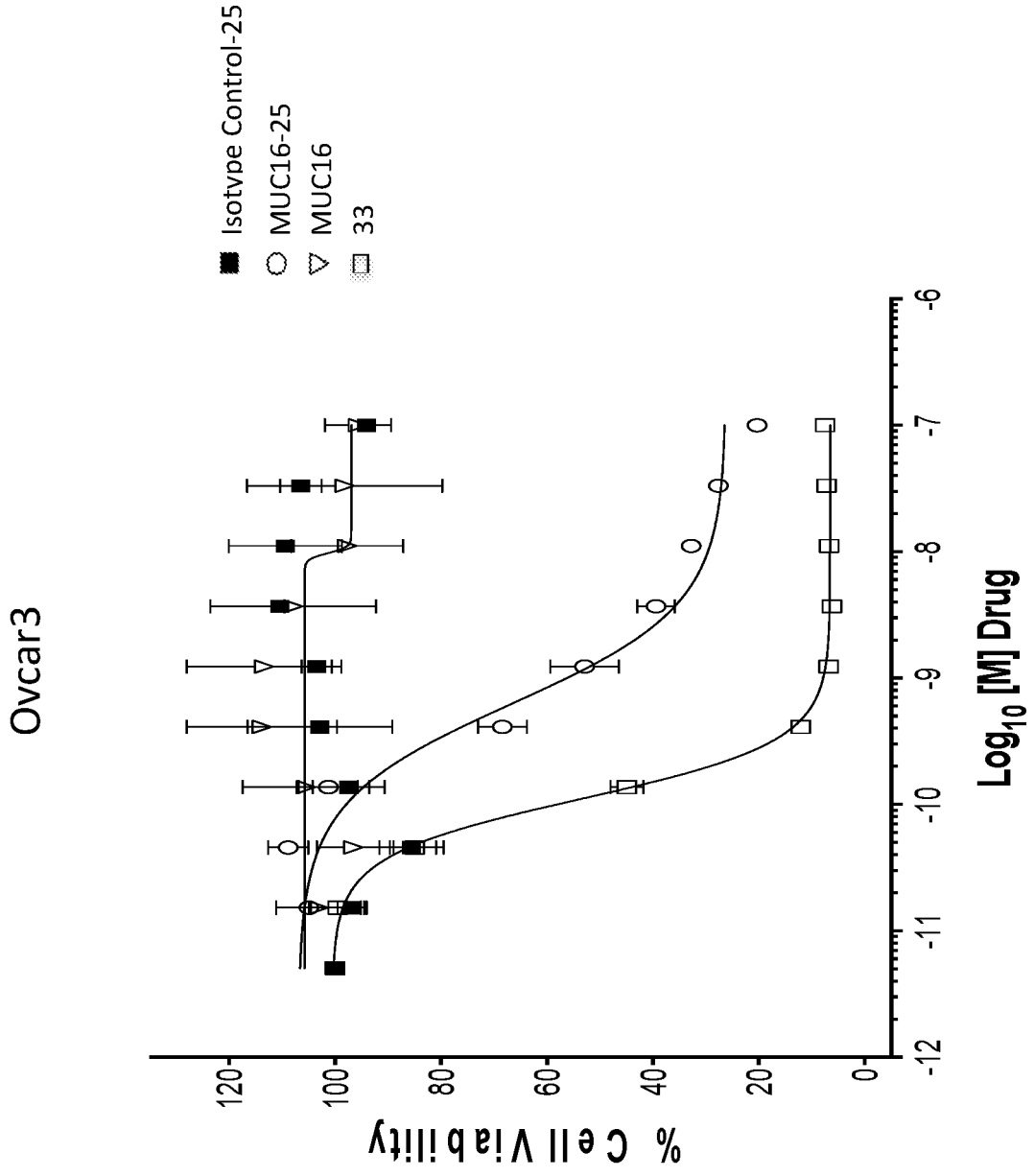

Ovcar3
Isotype Control-25
MUC16-25
MUC16
33
% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Drug

FIG. 5

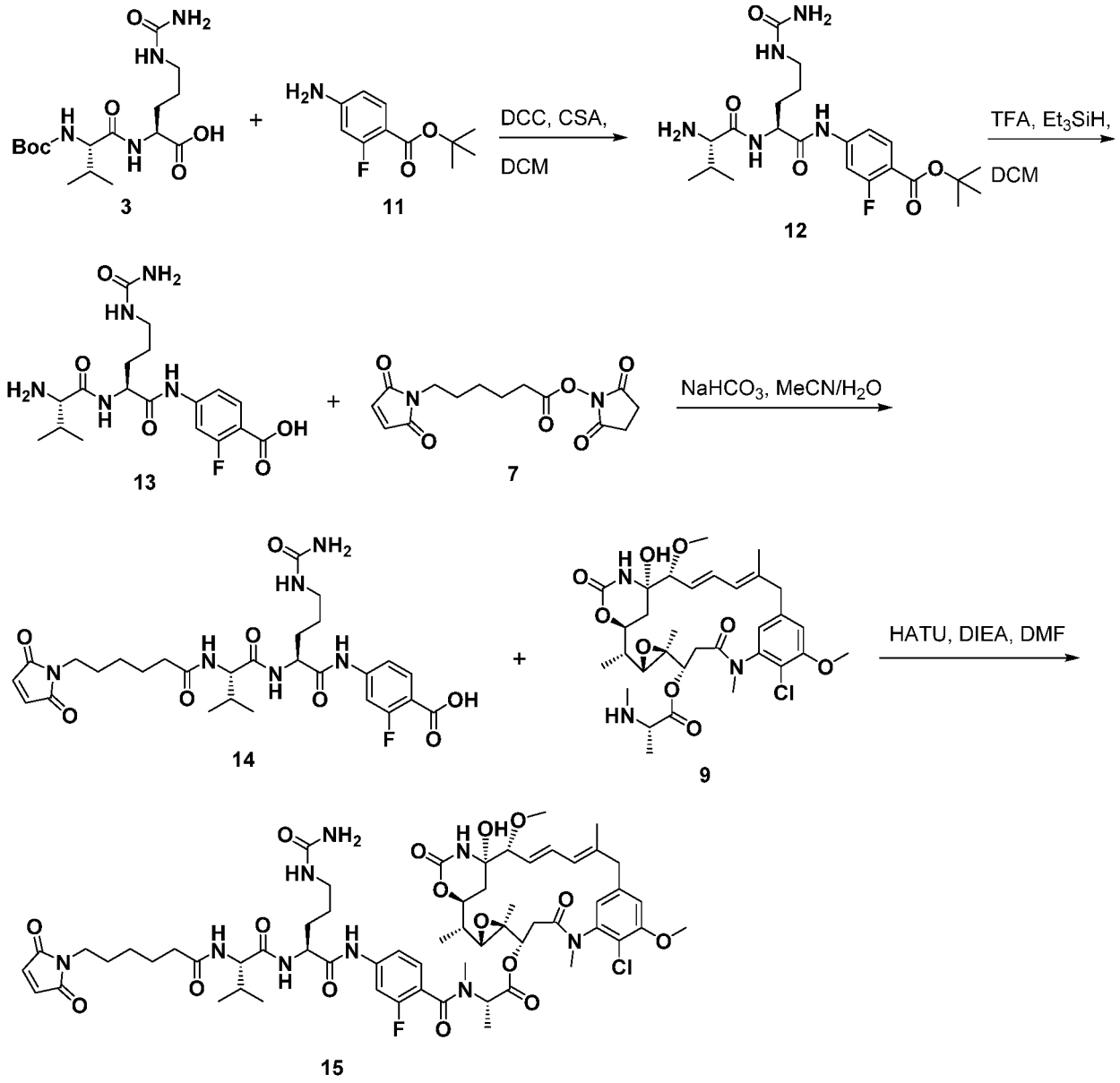

DCC, CSA,
DCM
TFA, Et3SiH,
DCM
NaHCO3, MeCN/H2O
HATU, DIEA, DMF
3
11
12
13
7
14
9
15

FIG. 6

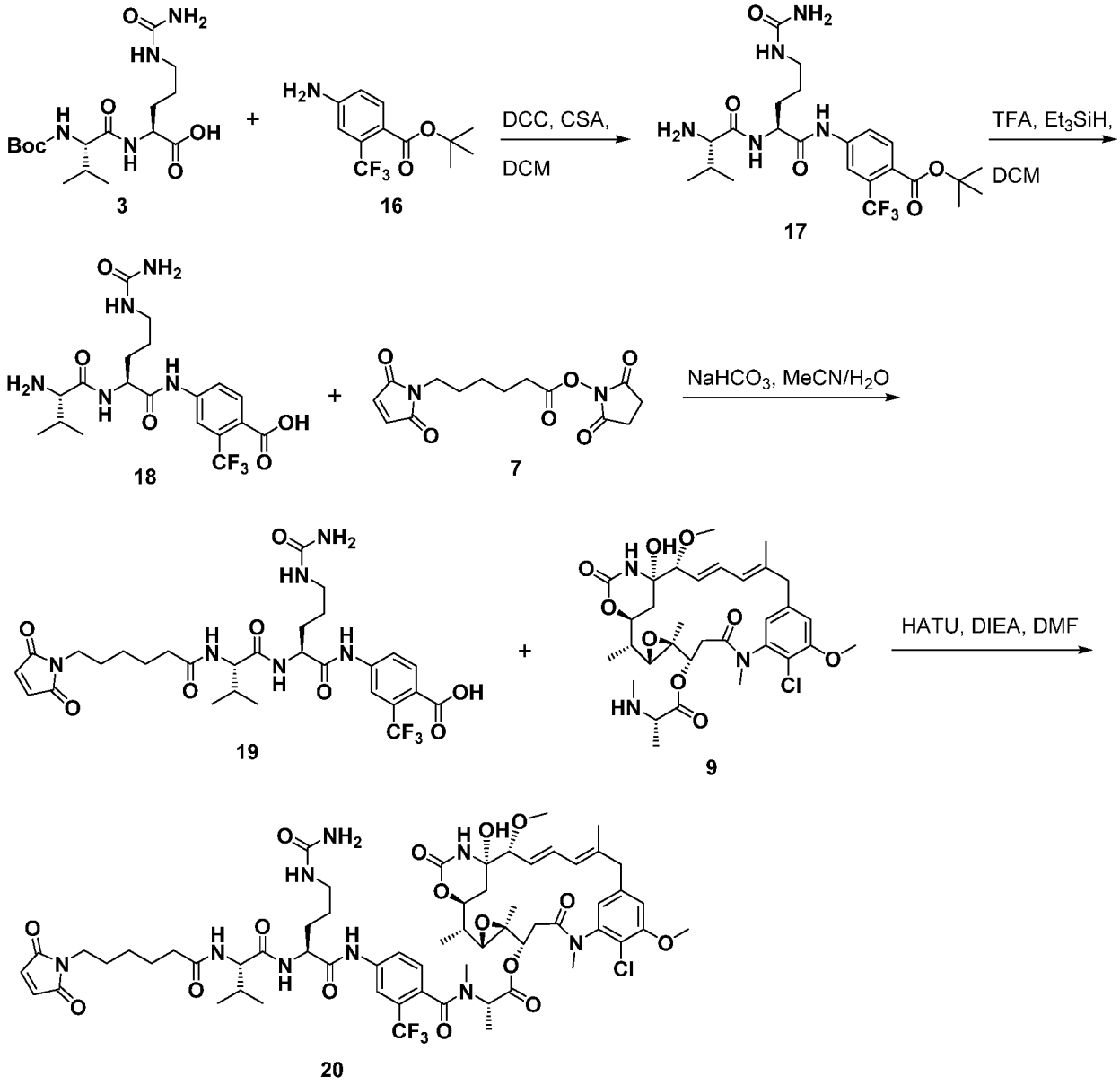

DCC, CSA,
DCM
TFA, Et3SiH,
DCM
NaHCO3, MeCN/H2O
HATU, DIEA, DMF
3
16
17
18
7
19
9
20

FIG. 7

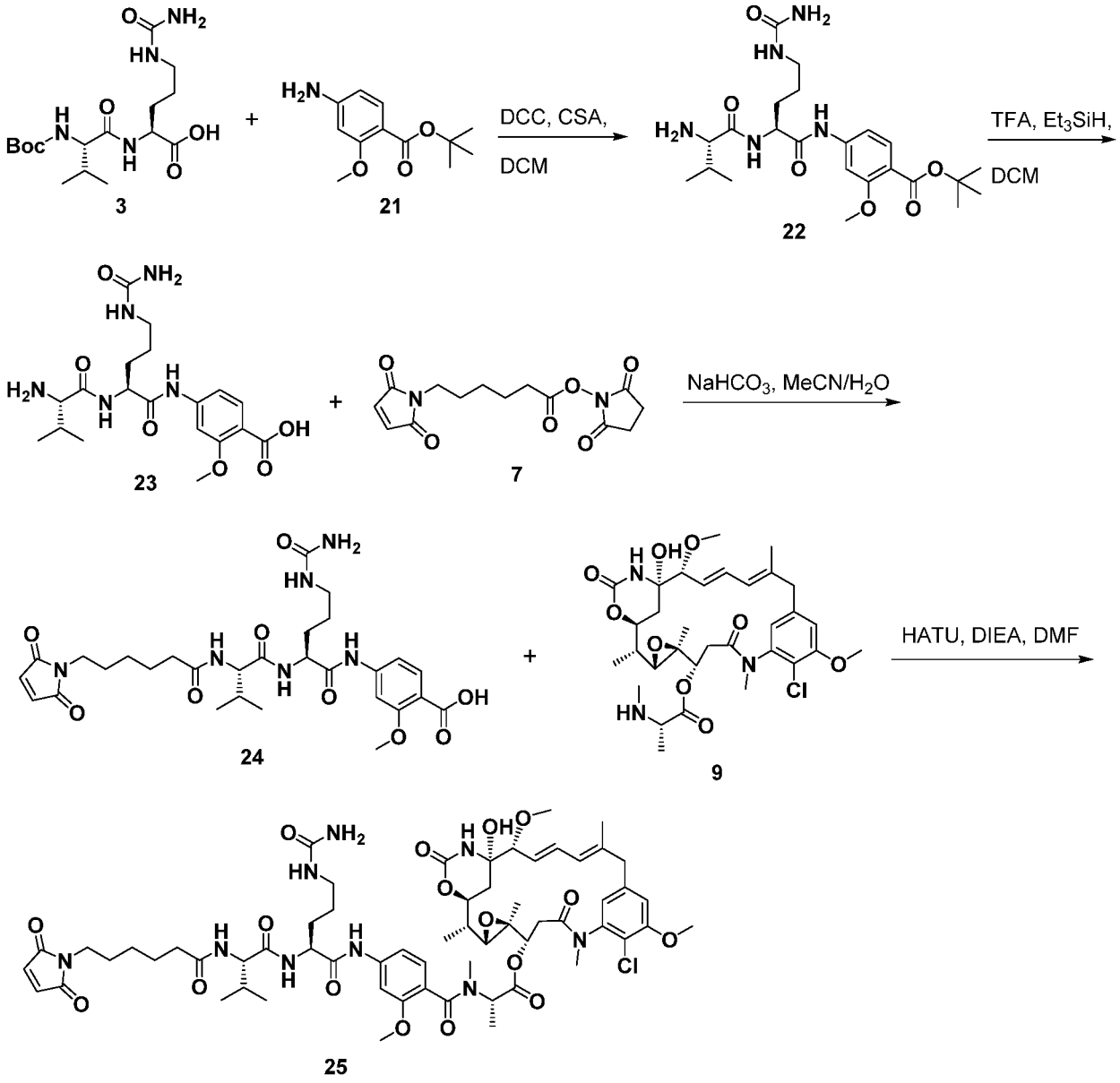
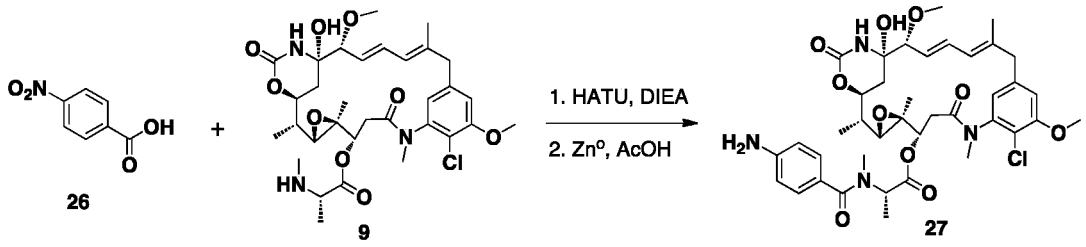
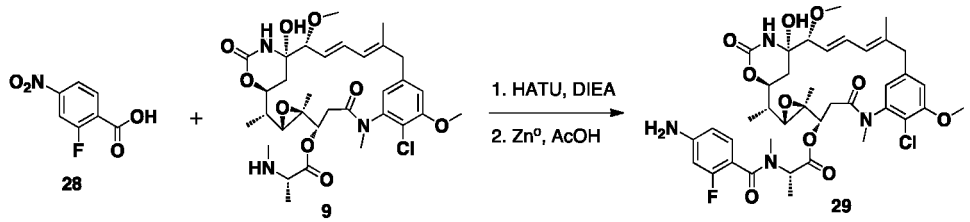

DCC, CSA,
DCM
TFA, Et3SiH,
DCM
NaHCO3, MeCN/H2O
HATU, DIEA, DMF
3
21
22
23
7
24
9
25

FIG. 8



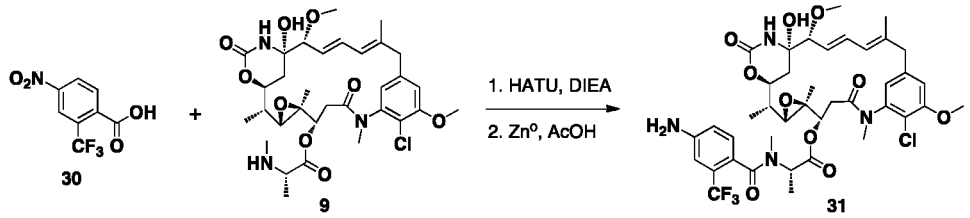
26 9 27

FIG. 9



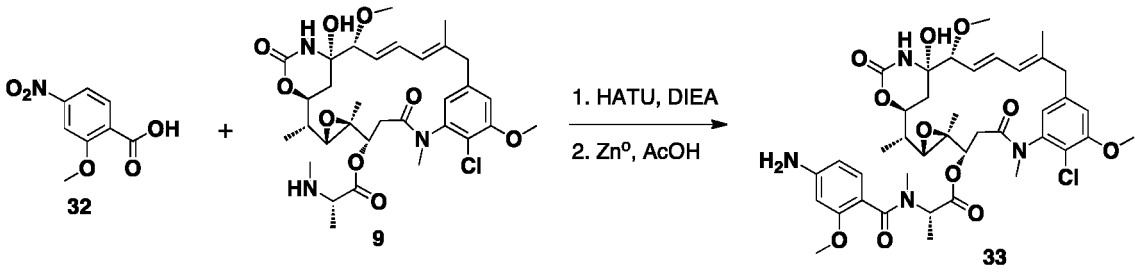
28 9 29

FIG. 10



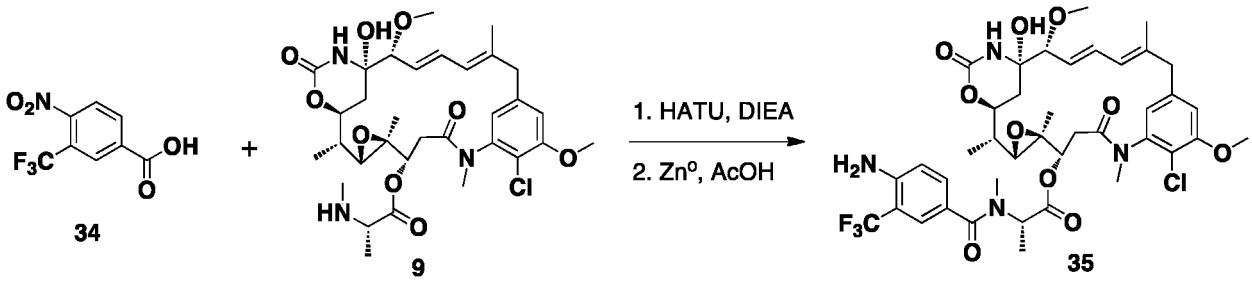
30 9 31

FIG. 11



32 9 33

FIG. 12



34 9 35

FIG. 13

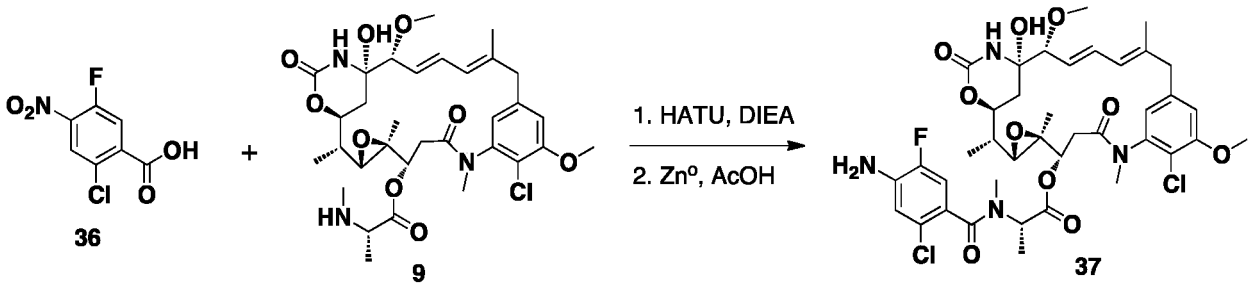

F
O2N
OH
Cl
O
36
+
H
OH
O
N
O
O
O
O
N
Cl
O
HN
O
9
1. HATU, DIEA
2. Zn°, AcOH
H2N
F
Cl
37

FIG. 14

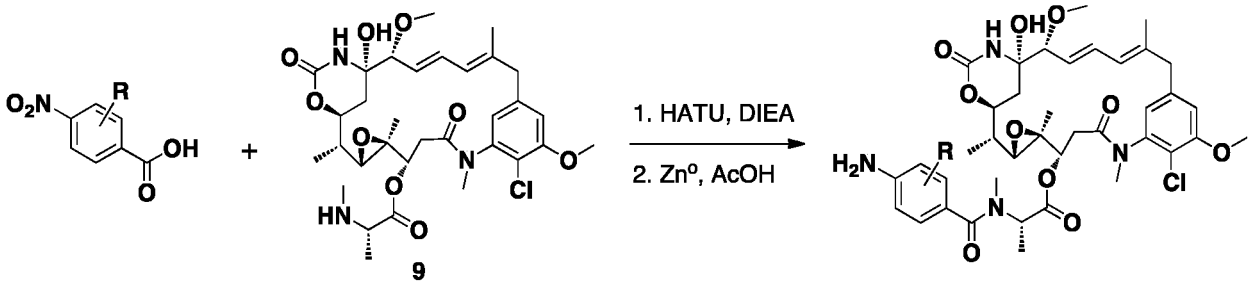
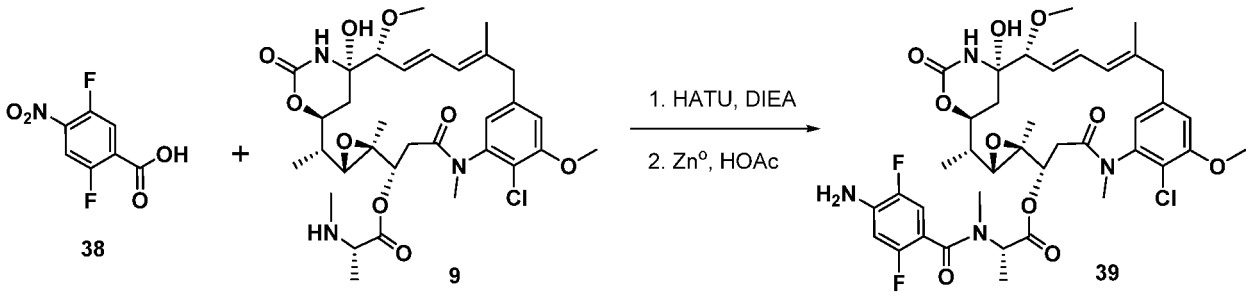
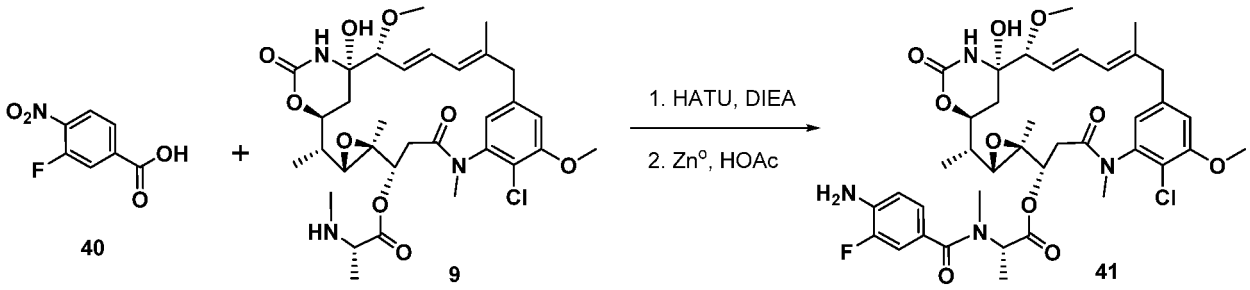


FIG. 15



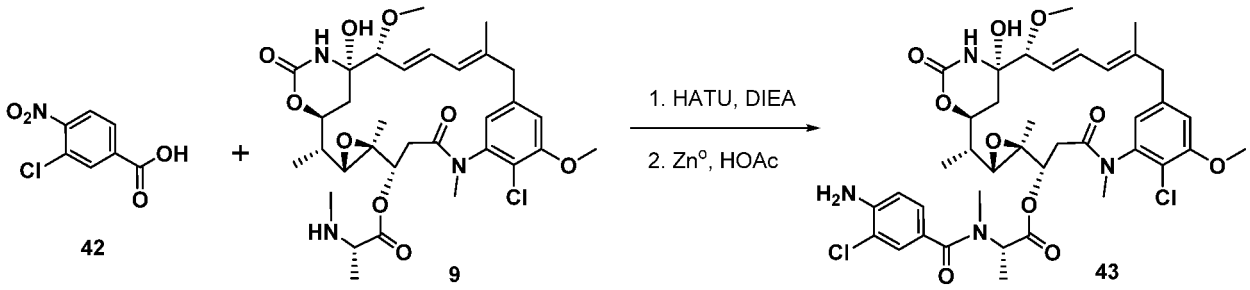
38 9 39

FIG. 16



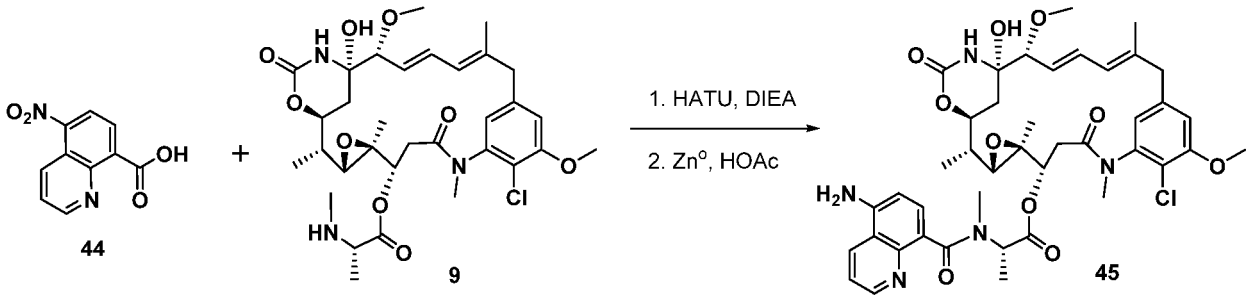
40 9 41

FIG. 17



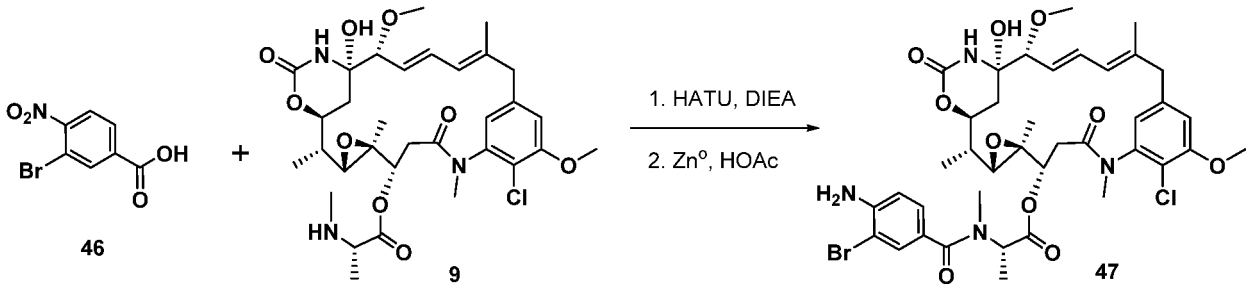
42 9 43

FIG. 18



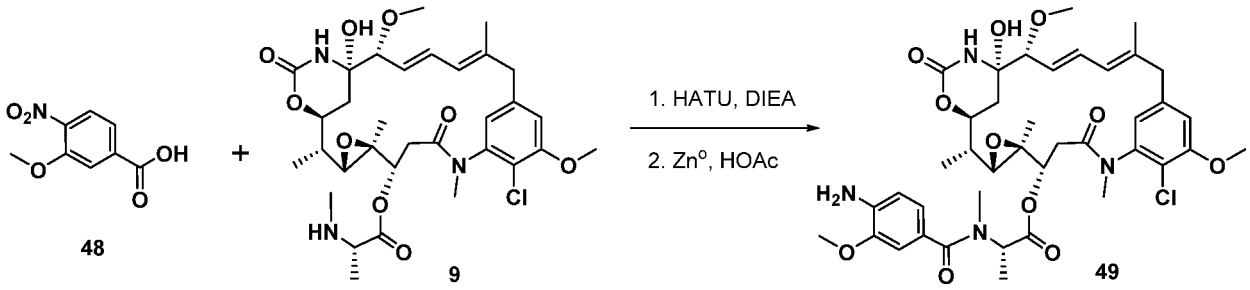
44 9 45

FIG. 19



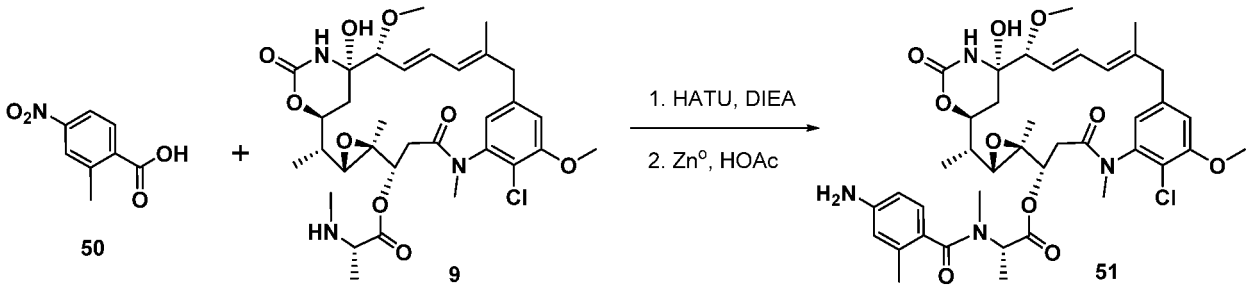
46 9 47

FIG. 20



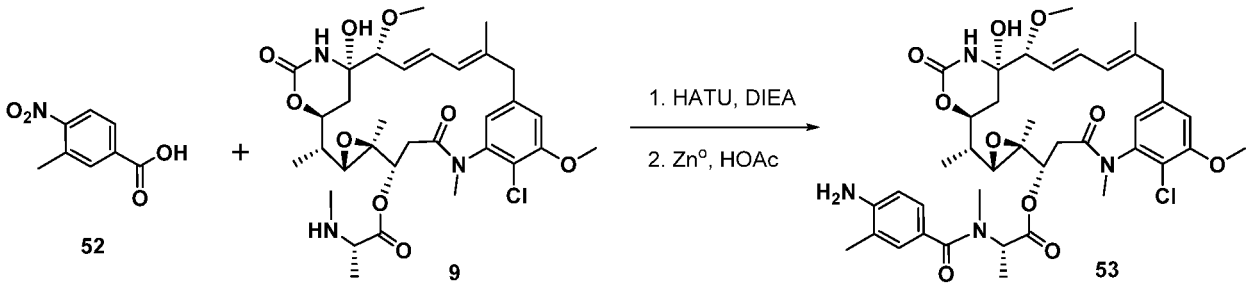
48 9 49

FIG. 21



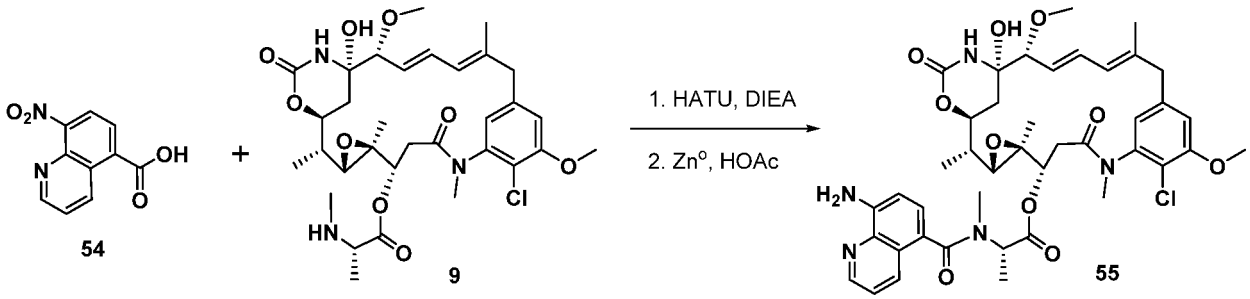
50 9 51

FIG. 22



52 9 53

FIG. 23



54 9 55

FIG. 24

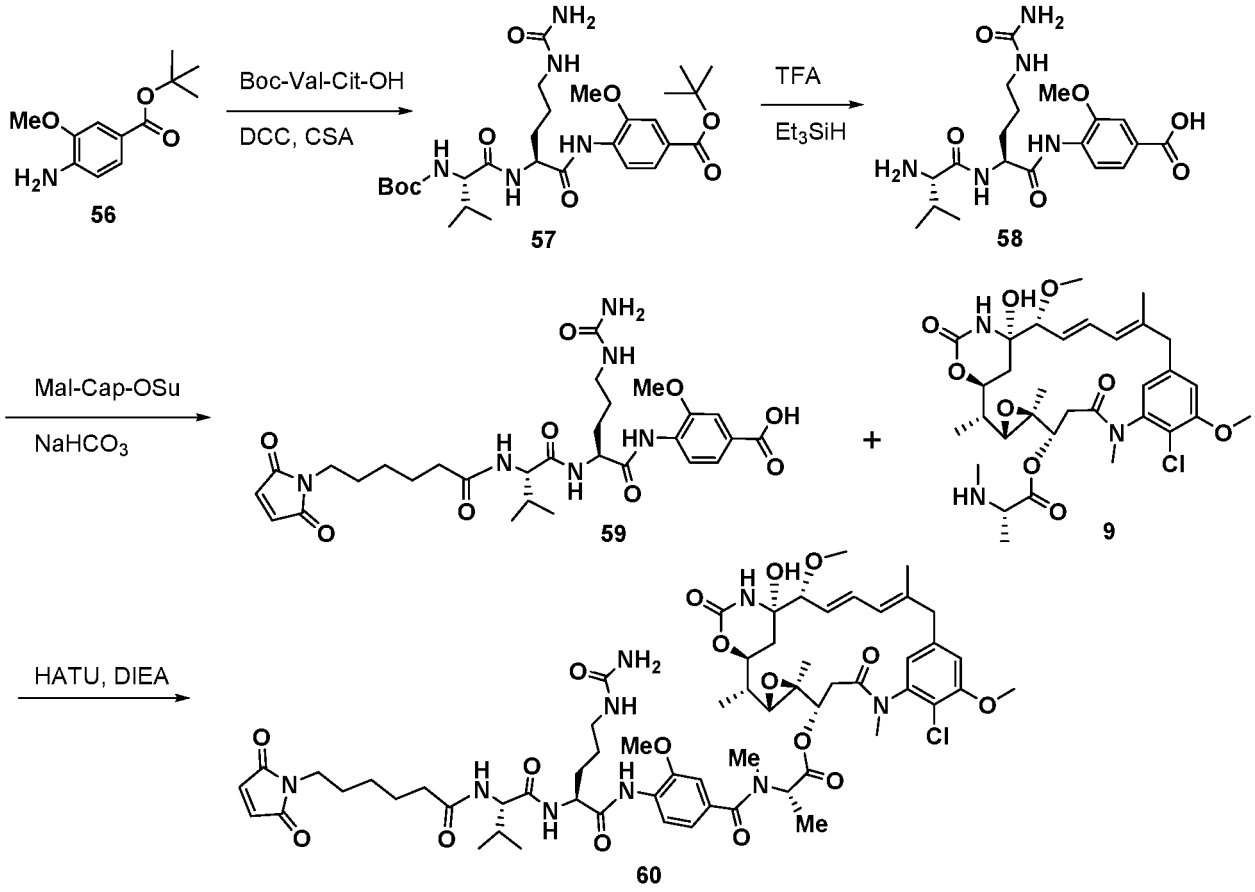

Boc-Val-Cit-OH
DCC, CSA
TFA
Et3SiH
Mal-Cap-OSu
NaHCO3
HATU, DIEA
56
57
58
59
9
60

FIG. 25

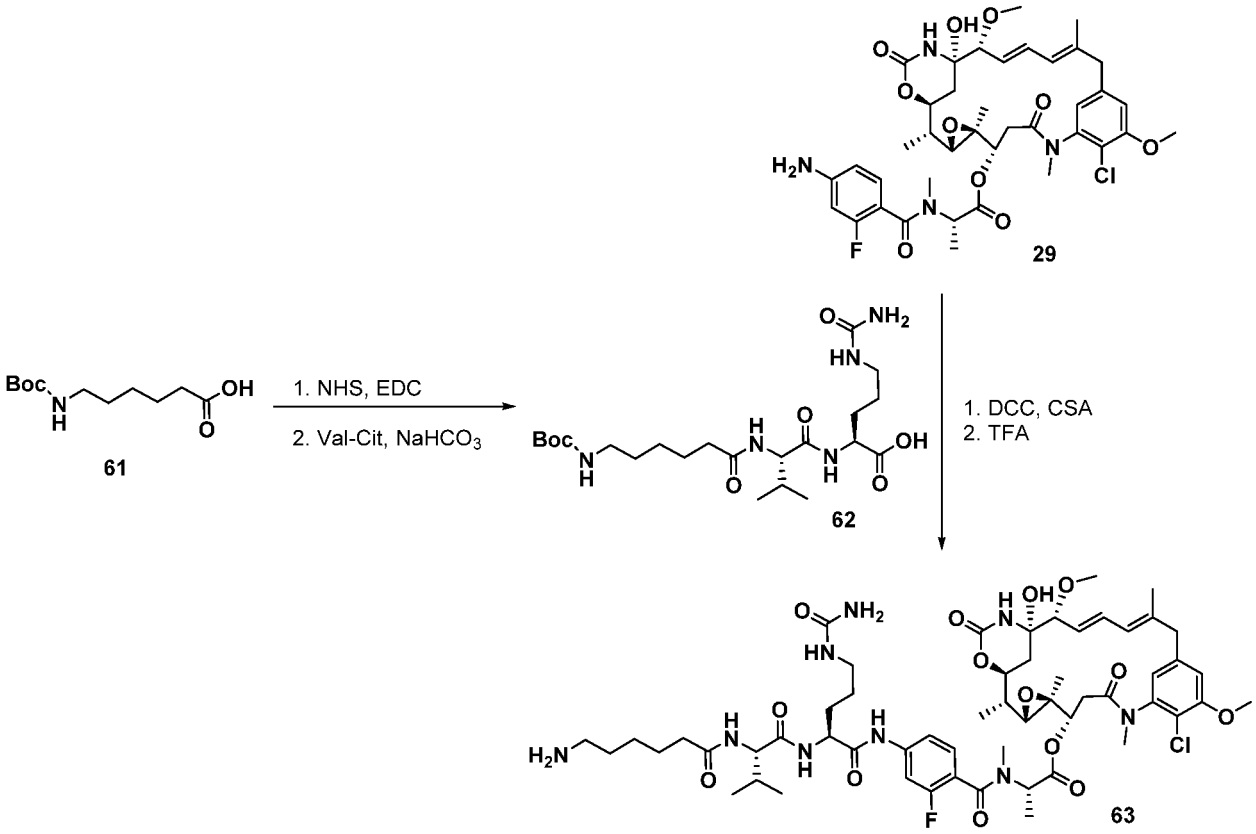

Boc
N
H
OH
O
61
1. NHS, EDC
2. Val-Cit, NaHCO3
O
NH2
HN
Boc
N
H
H
N
O
N
H
OH
O
62
1. DCC, CSA
2. TFA
H2N
F
Cl
29
63

FIG. 26

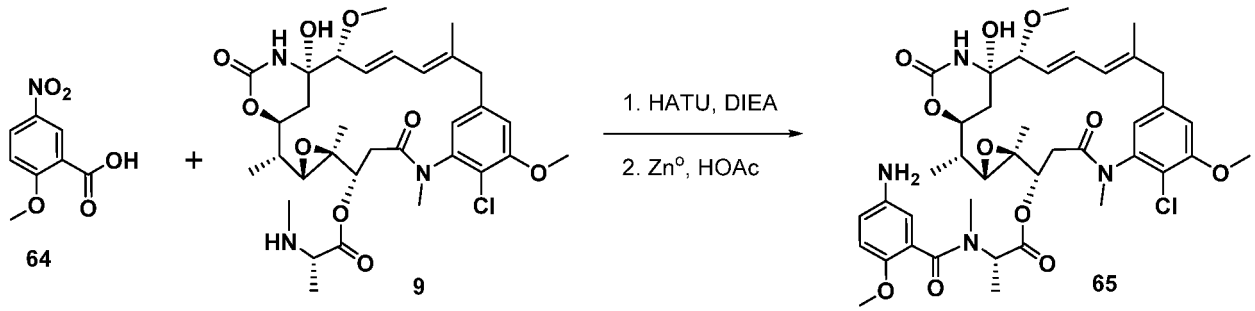
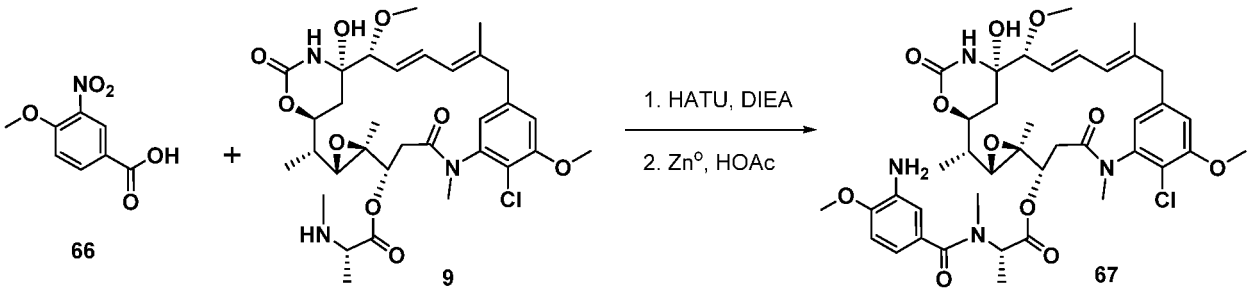


FIG. 27



66 9 67

FIG. 28

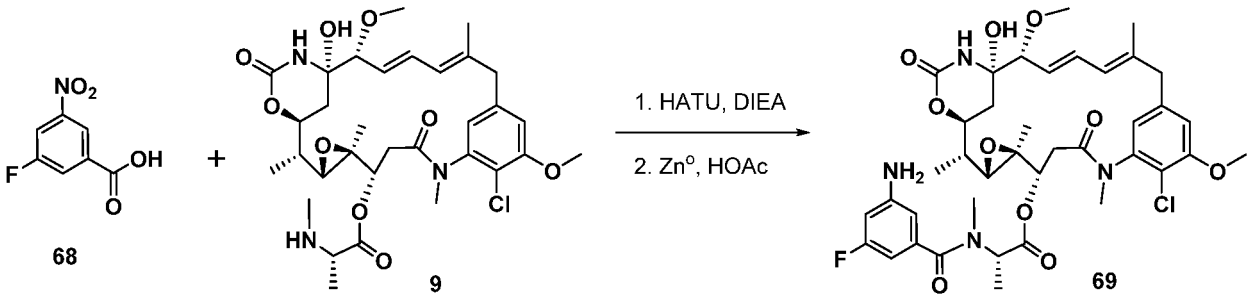


FIG. 29

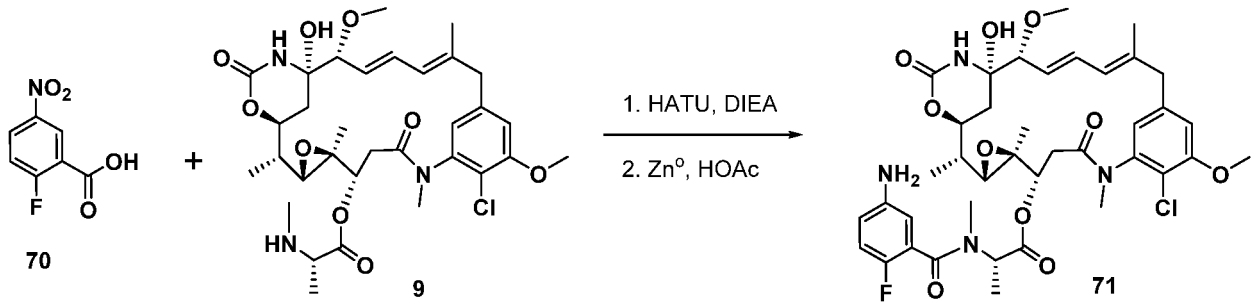


FIG. 30

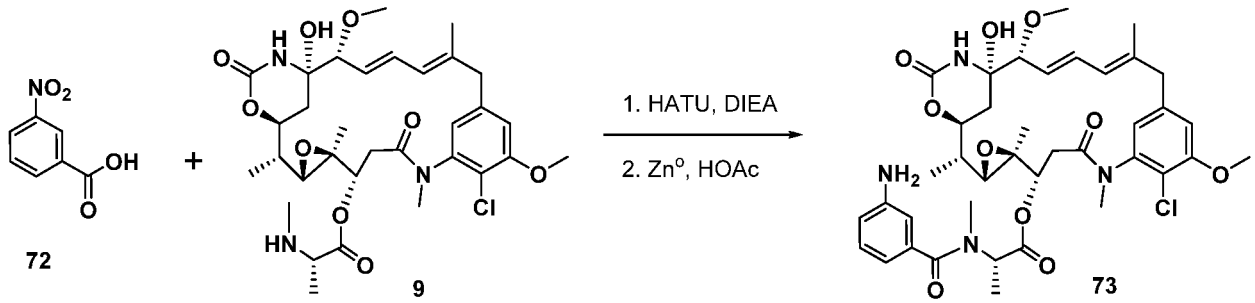


FIG. 31

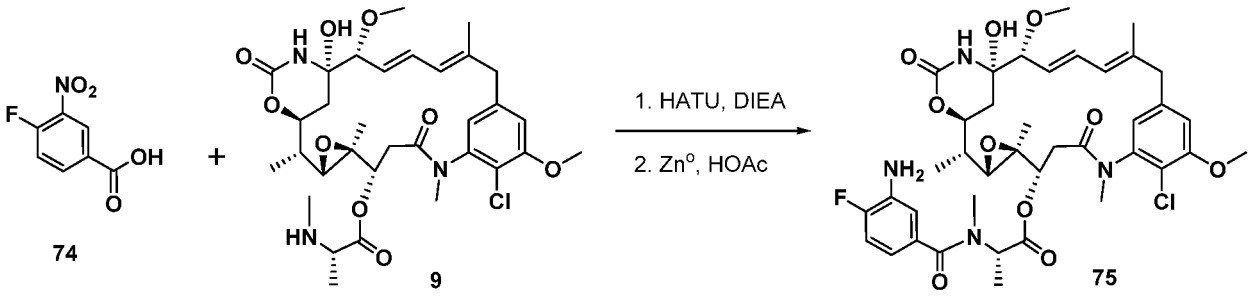


FIG. 32

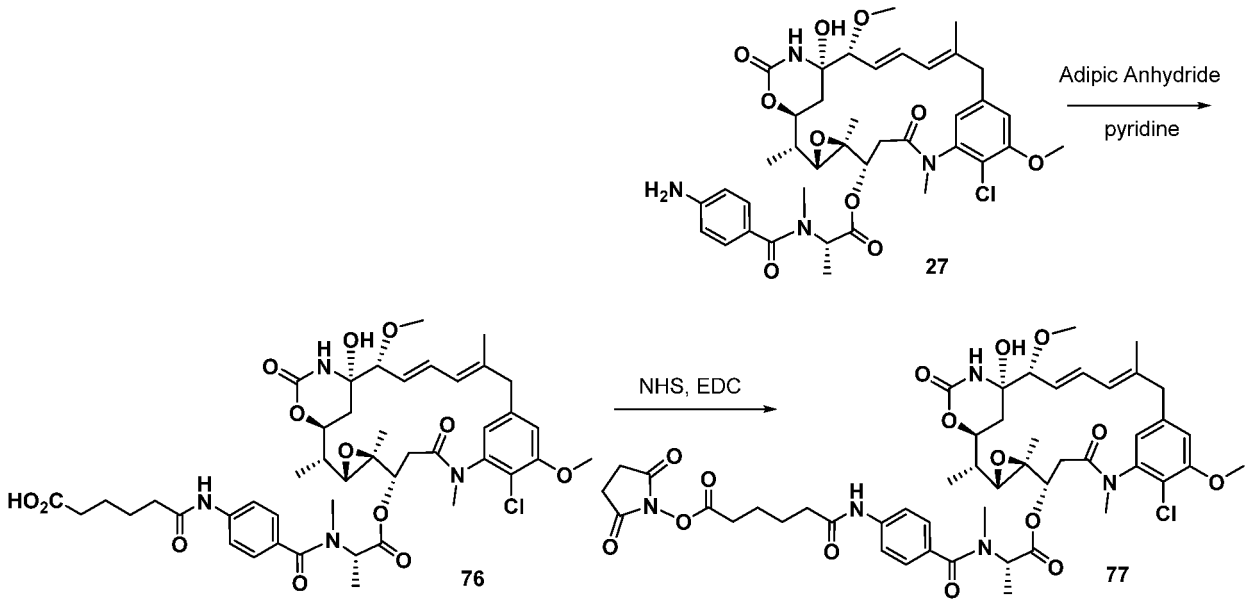


FIG. 33

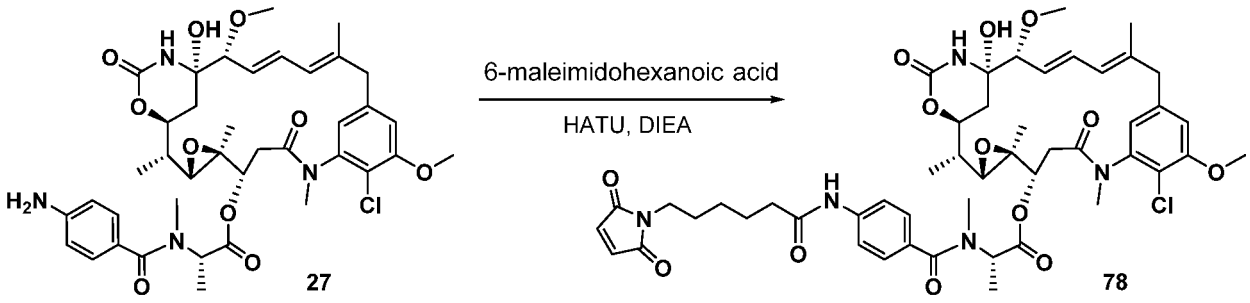
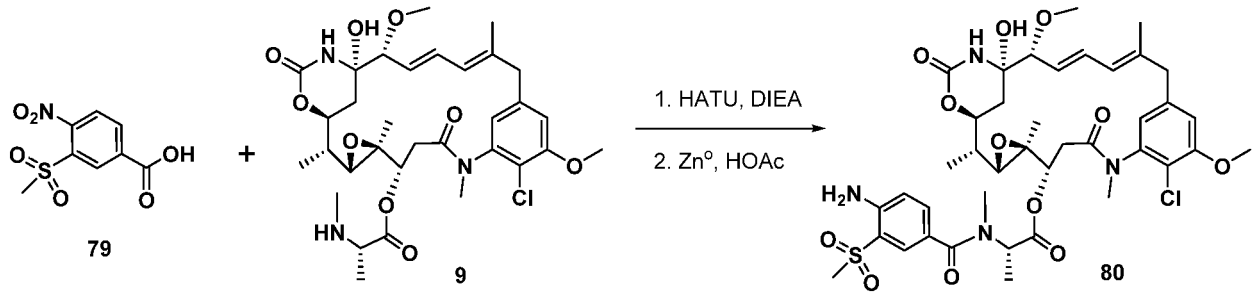
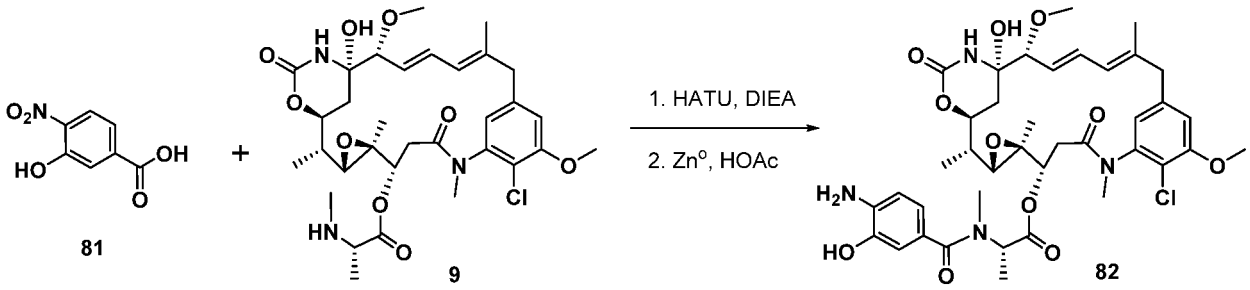


FIG. 34



79 9 80

FIG. 35



81 9 82

FIG. 36

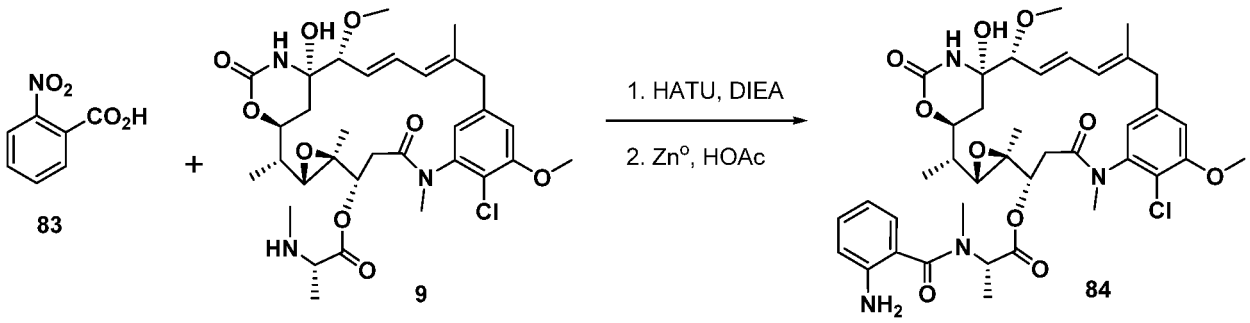


FIG. 37

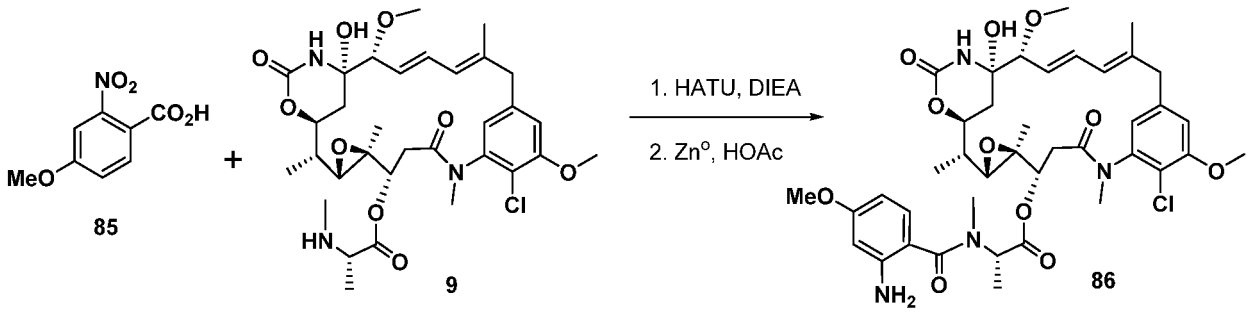

NO2
CO2H
MeO
85
+
OH
O
H
N
O
O
O
O
N
Cl
O
HN
O
9
1. HATU, DIEA
2. Zn°, HOAc
MeO
NH2
86

FIG. 38

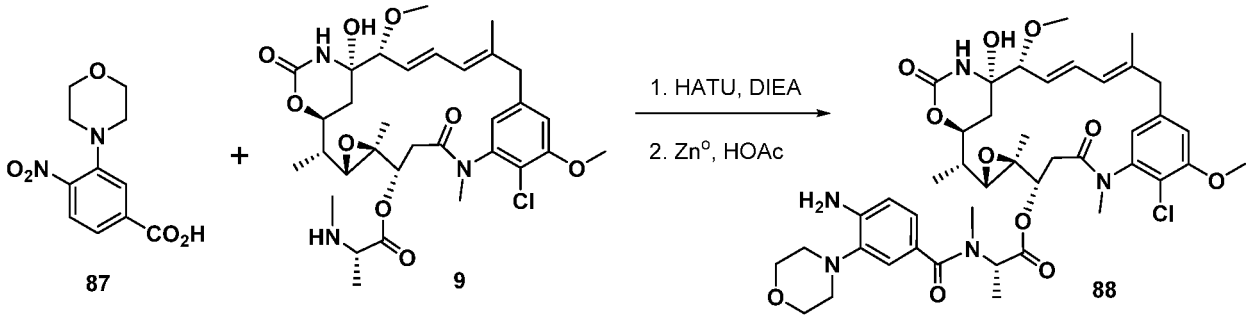
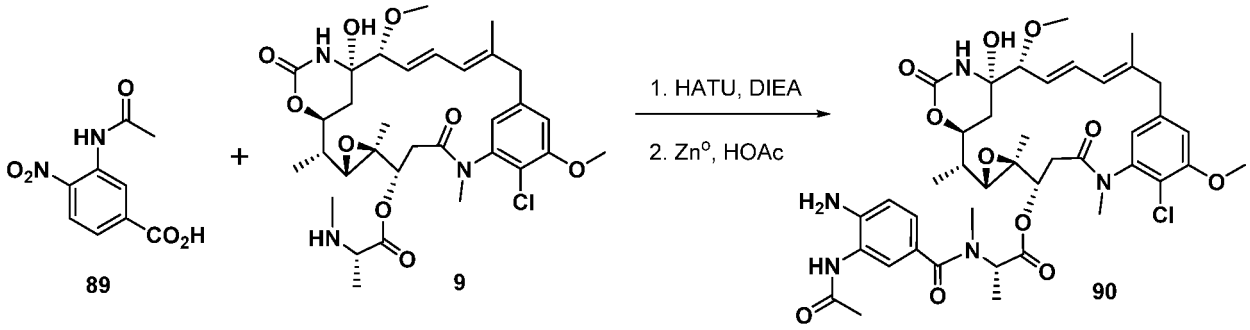


FIG. 39



89 9 90

FIG. 40

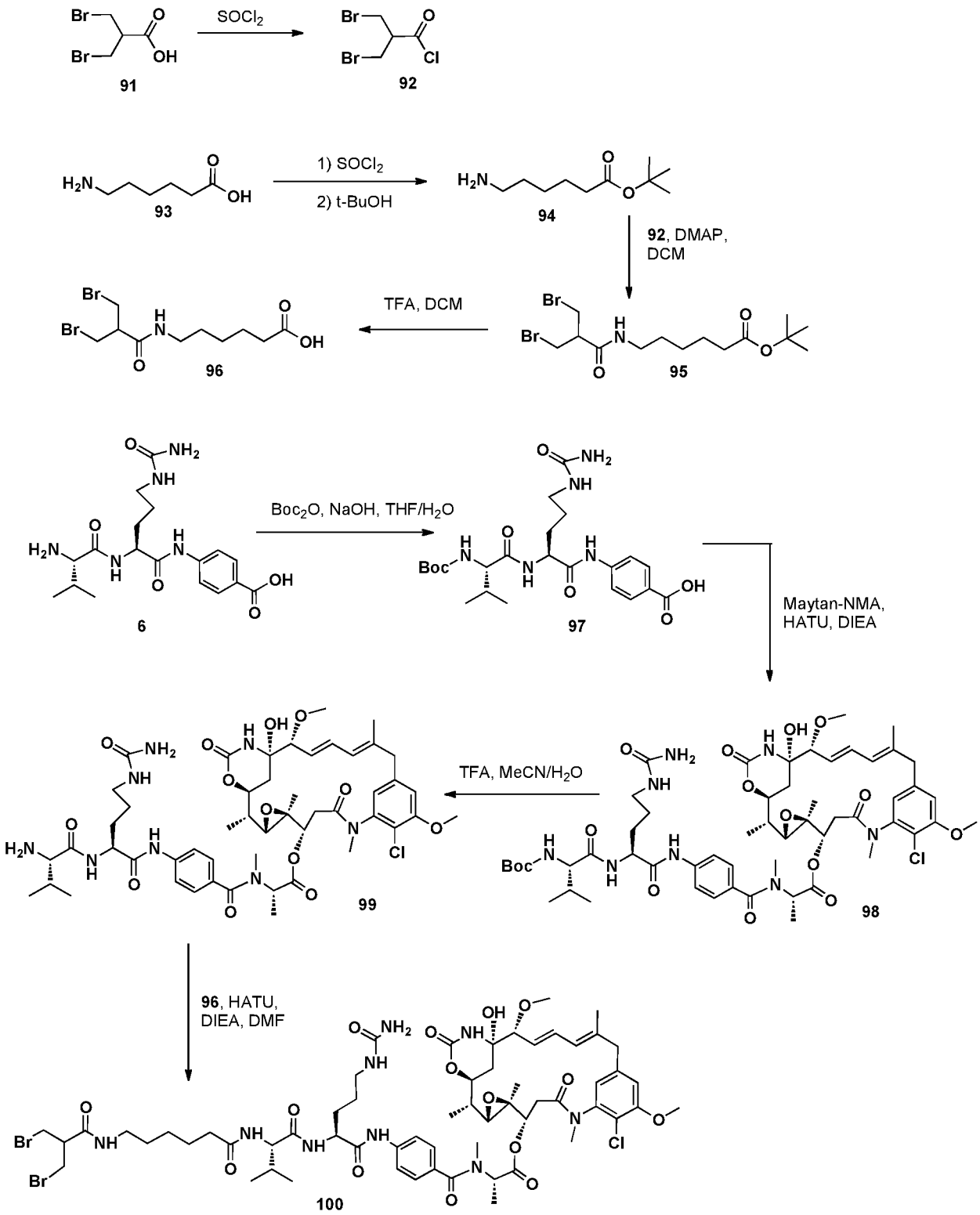

SOCl2
91
92
1) SOCl2
2) t-BuOH
93
94
92, DMAP, DCM
95
TFA, DCM
96
Boc2O, NaOH, THF/H2O
6
97
Maytan-NMA, HATU, DIEA
98
TFA, MeCN/H2O
99
96, HATU, DIEA, DMF
100

FIG. 41

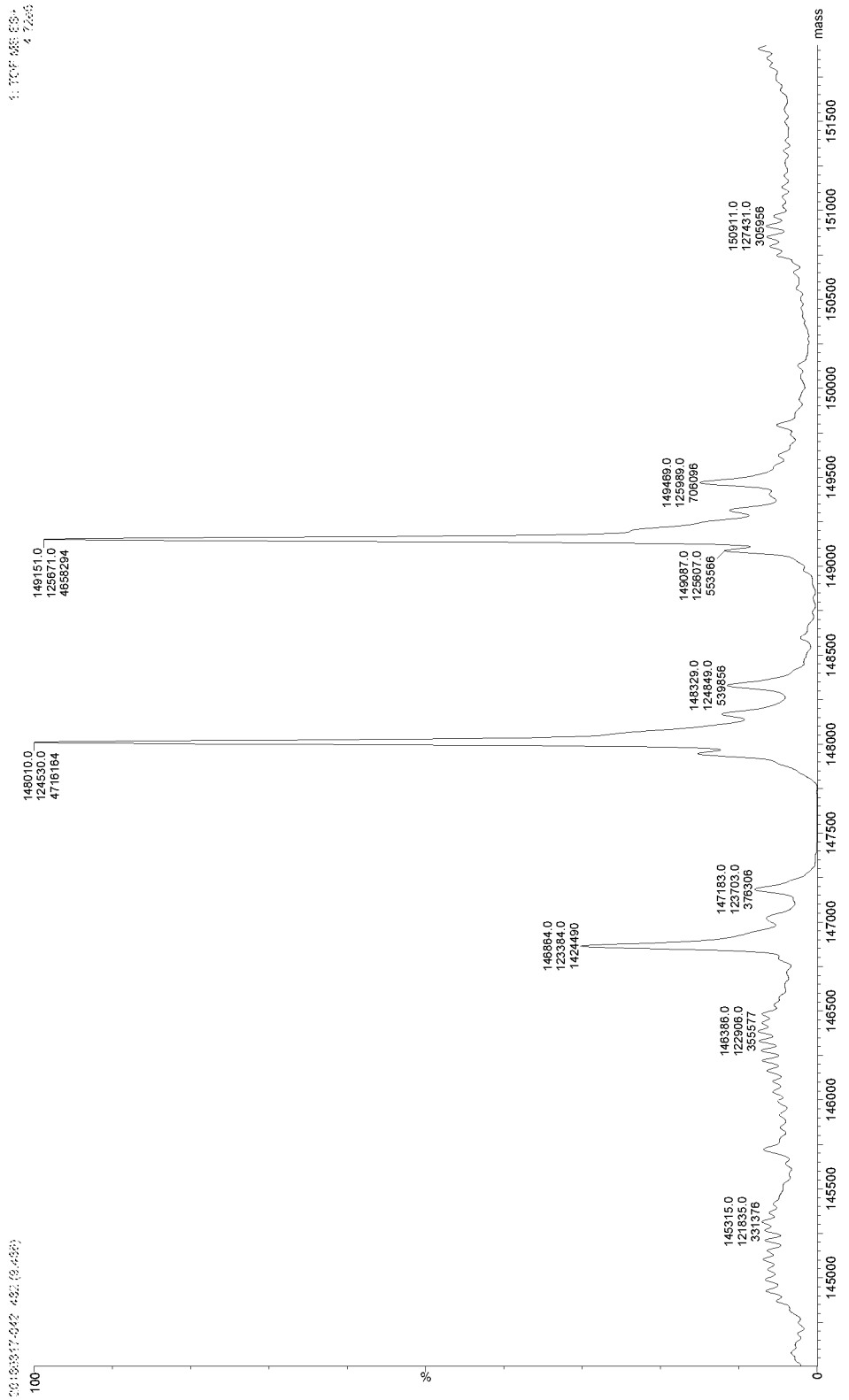

mass
%
100
0
145000
145500
146000
146500
147000
147500
148000
148500
149000
149500
150000
150500
151000
151500
145315.0
121835.0
331376
146386.0
122906.0
355577
146864.0
123384.0
1424490
147183.0
123703.0
376306
148010.0
124530.0
4716164
148329.0
124849.0
539856
149087.0
125607.0
553566
149151.0
125671.0
4658294
149469.0
125989.0
706096
150911.0
127431.0
305956

FIG. 42

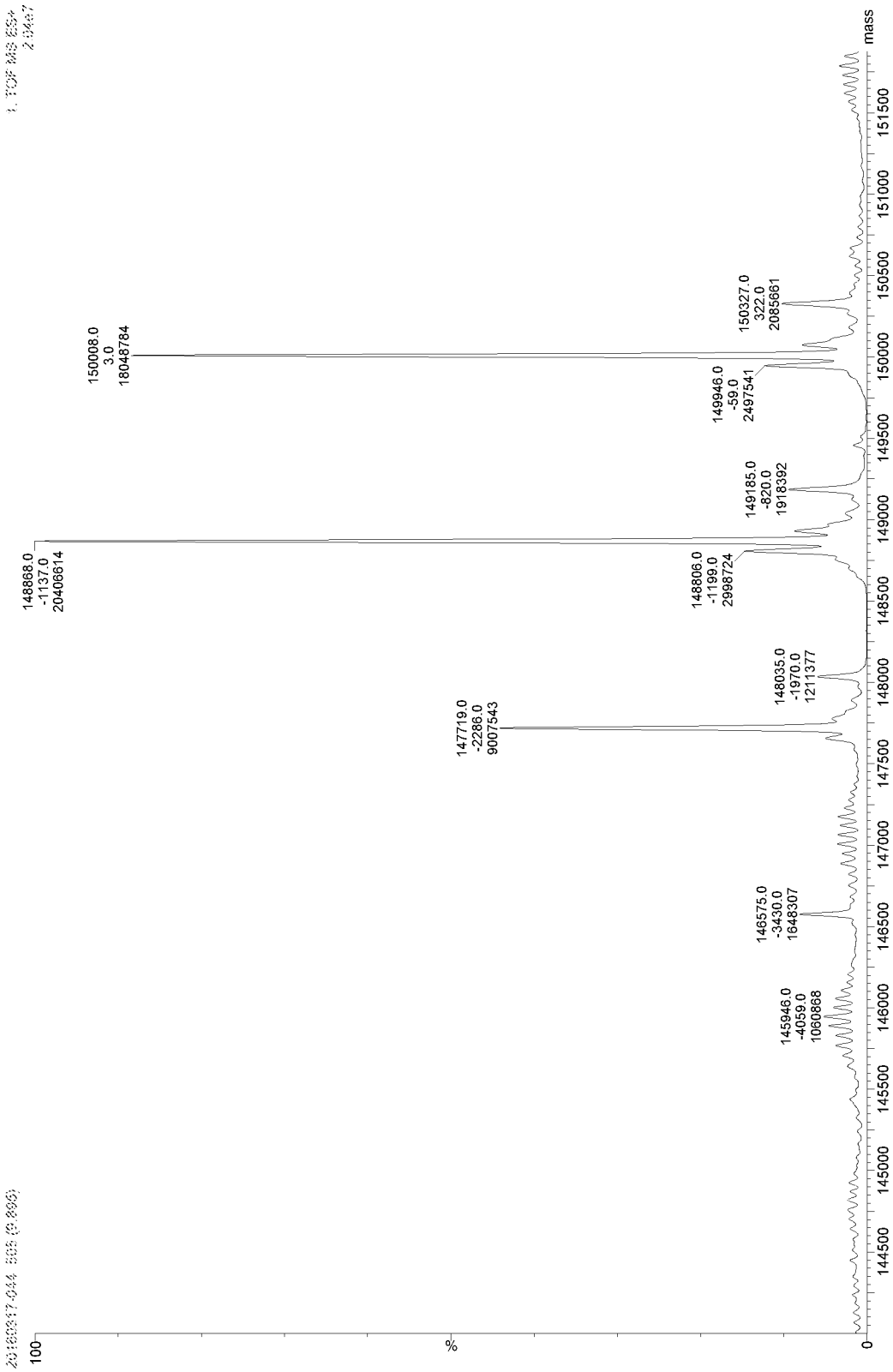

mass
%
100
0
144500
145000
145500
146000
146500
147000
147500
148000
148500
149000
149500
150000
150500
151000
151500
150008.0
3.0
18048784
150327.0
322.0
2085661
149946.0
-59.0
2497541
149185.0
-820.0
1918392
148868.0
-1137.0
20406614
148806.0
-1199.0
2998724
148035.0
-1970.0
1211377
147719.0
-2286.0
9007543
146575.0
-3430.0
1648307
145946.0
-4059.0
1060868

FIG. 43

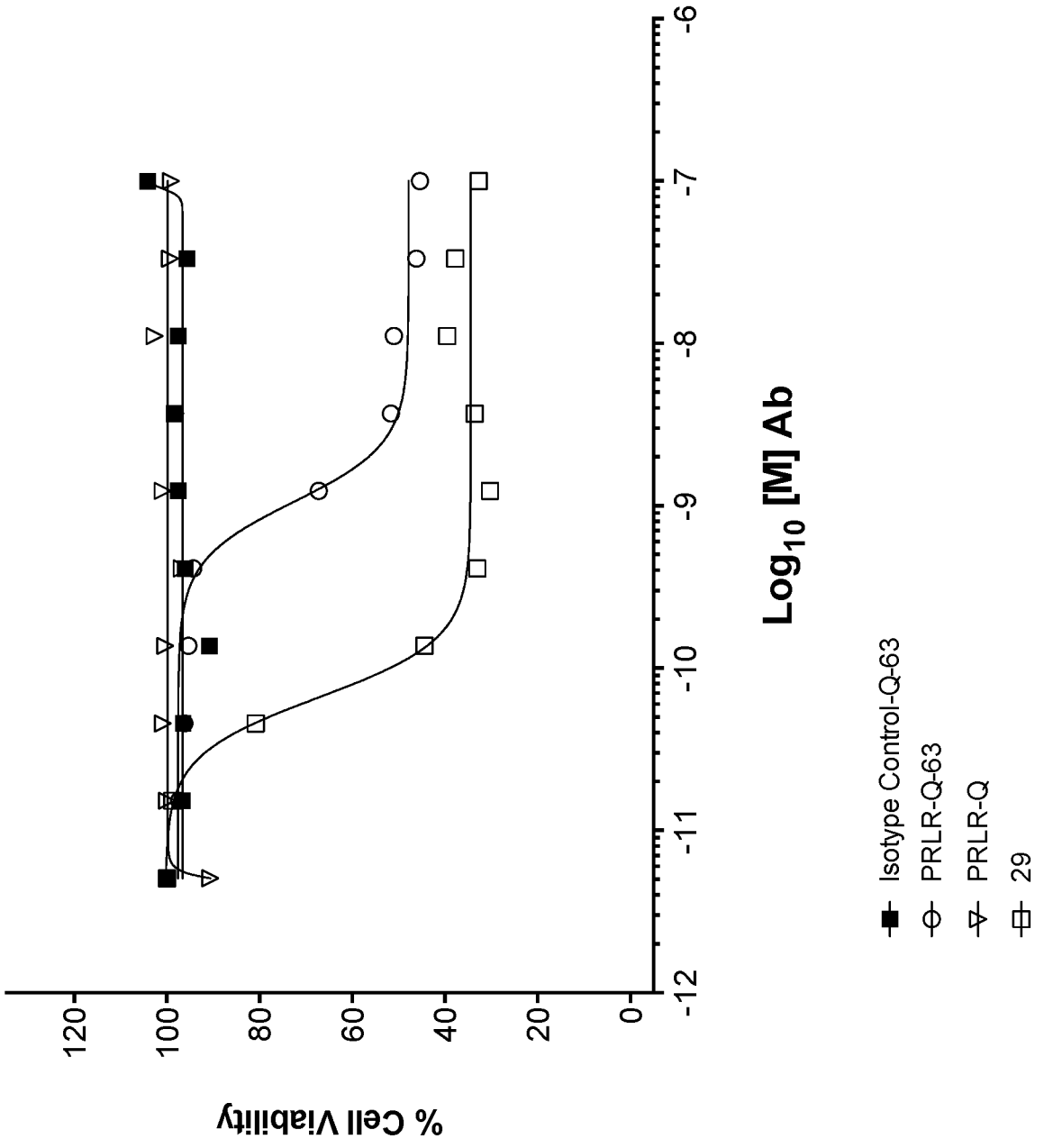

% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Ab
Isotype Control-Q-63
PRLR-Q-63
PRLR-Q
29

FIG. 44

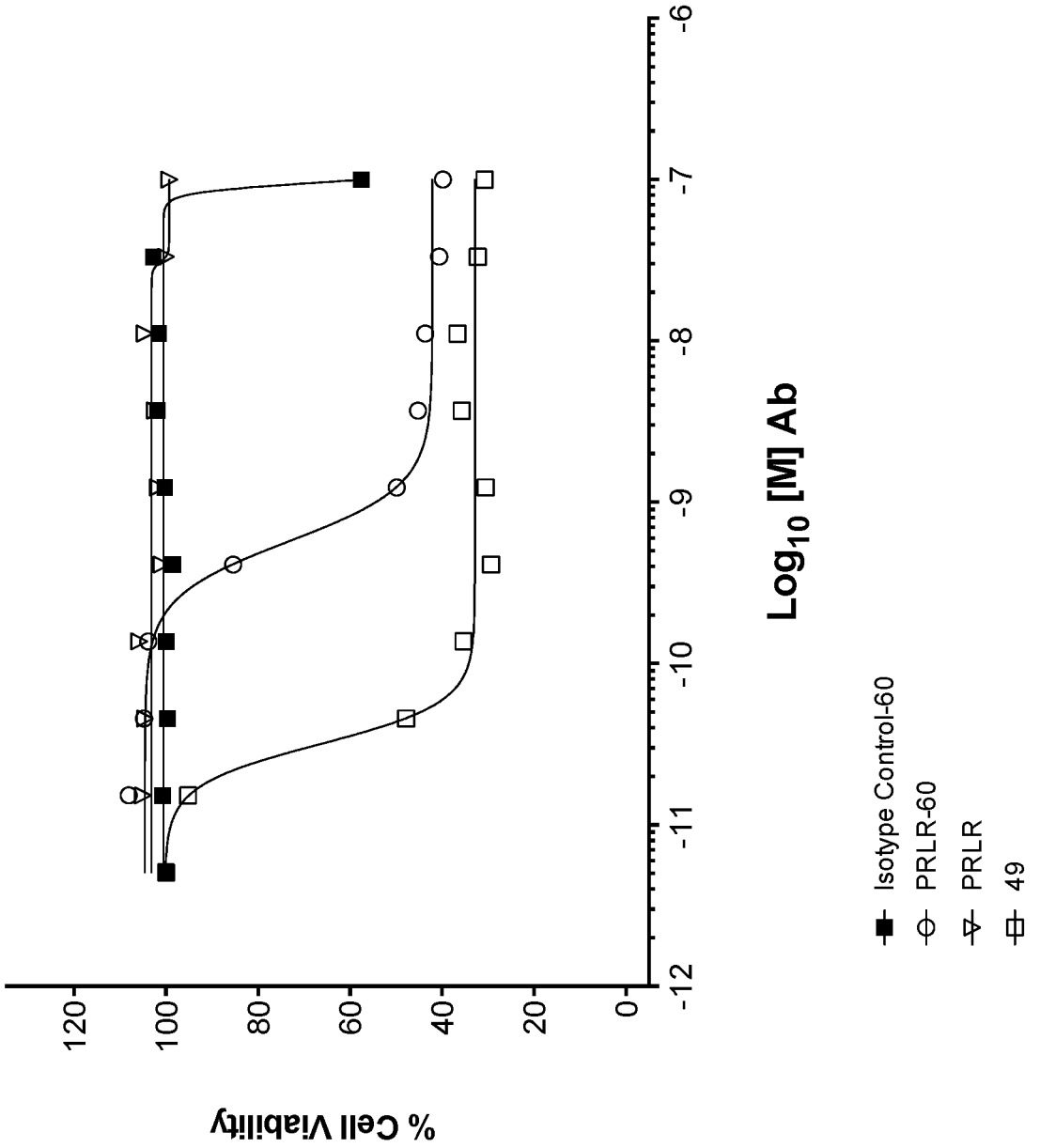

% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Ab
Isotype Control-60
PRLR-60
PRLR
49

FIG. 45

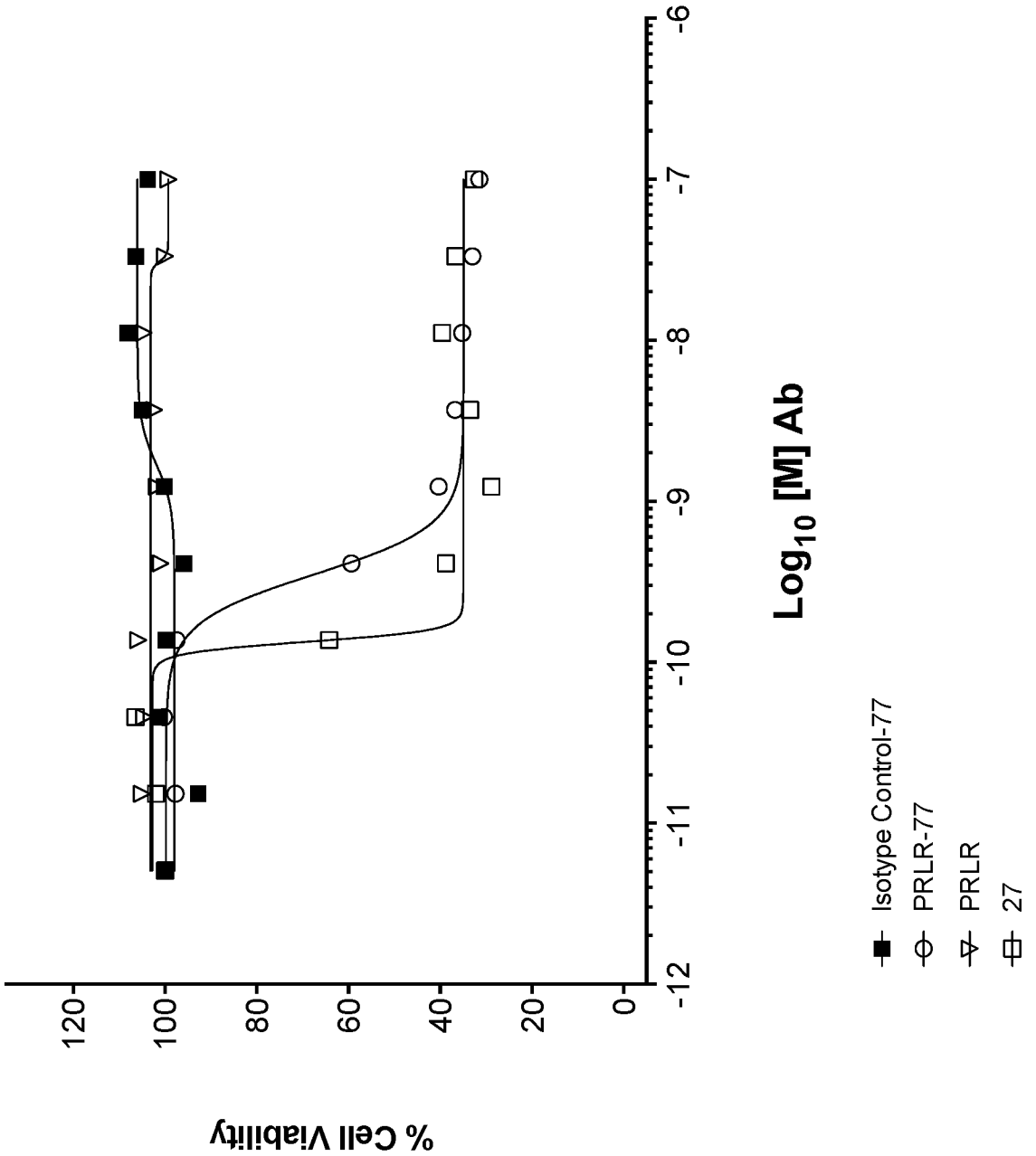

% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Ab
Isotype Control-77
PRLR-77
PRLR
27

FIG. 46

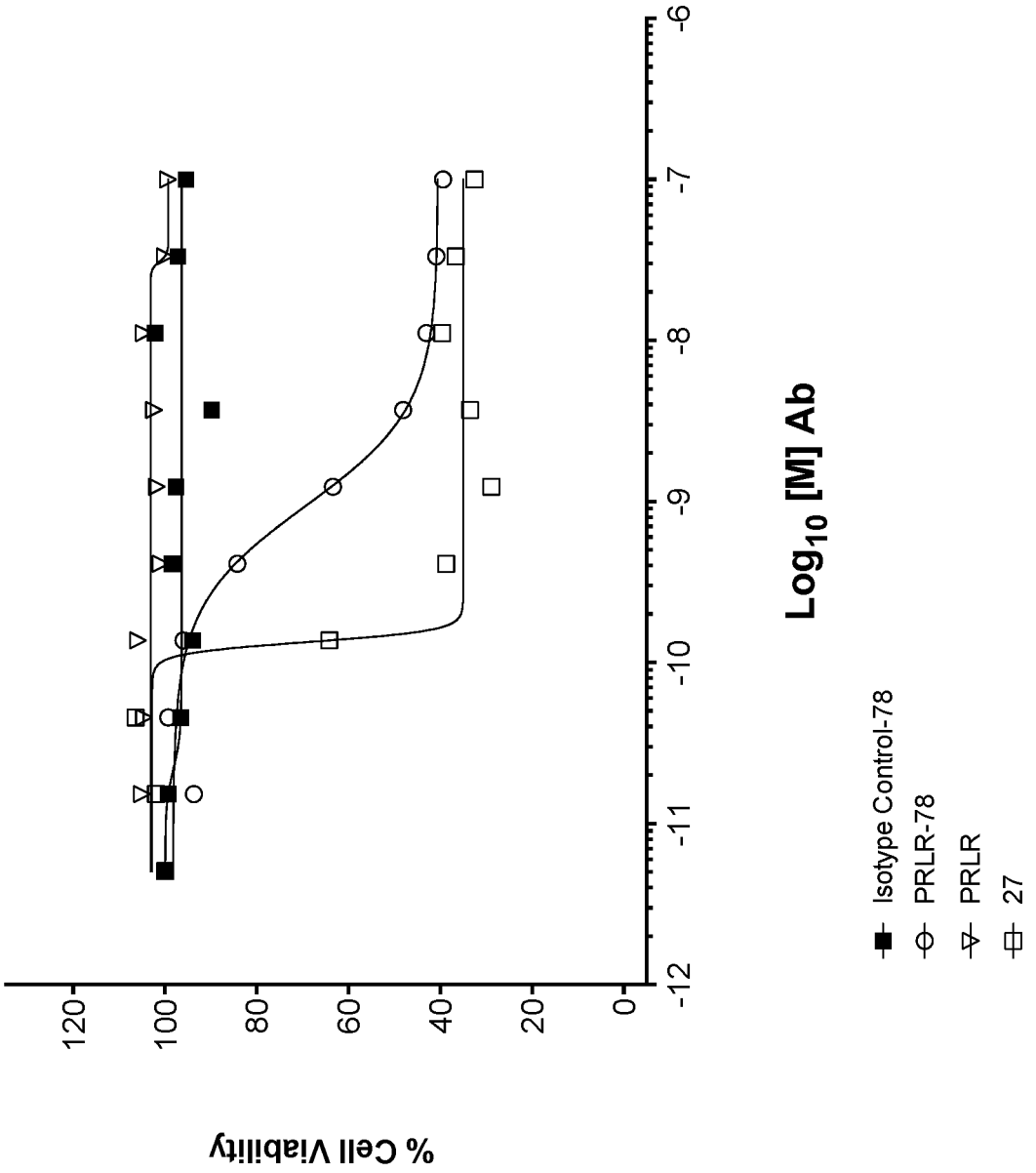

% Cell Viability
120
100
80
60
40
20
0
-12
-11
-10
-9
-8
-7
-6
Log10 [M] Ab
Isotype Control-78
PRLR-78
PRLR
27

FIG. 47