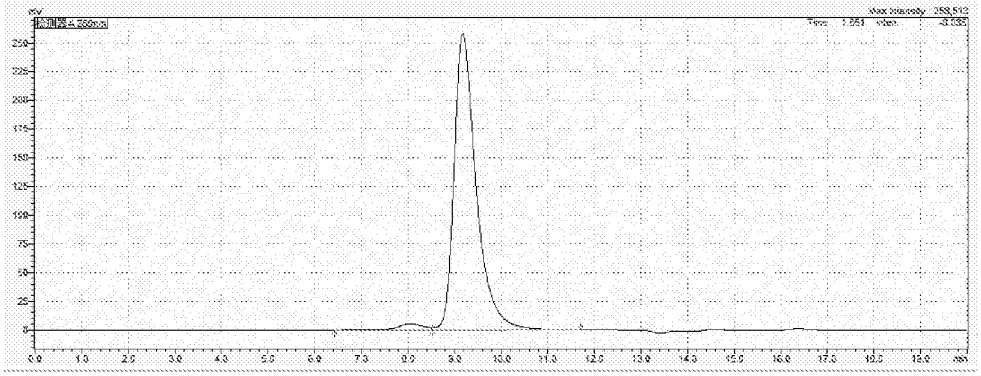

图 1A

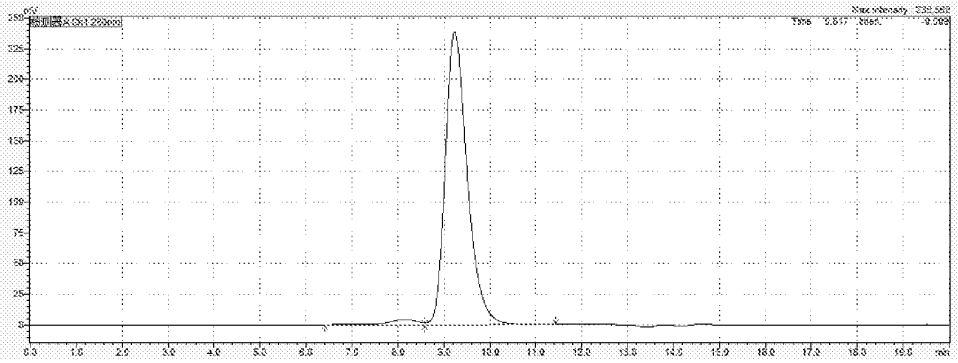

图 1B

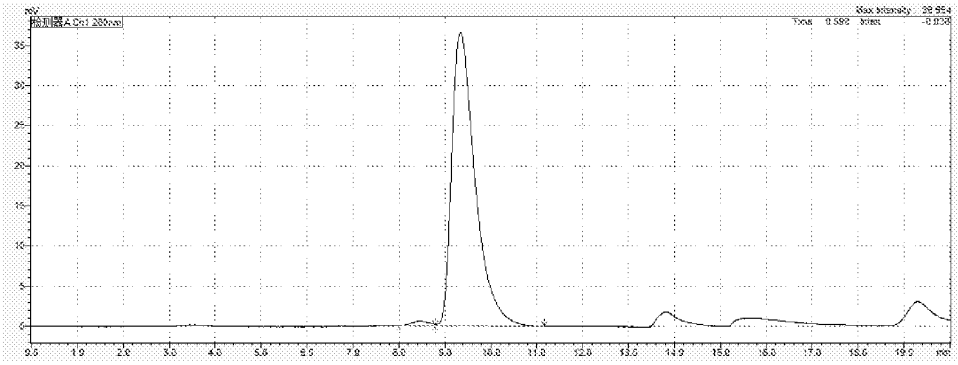

图 1C

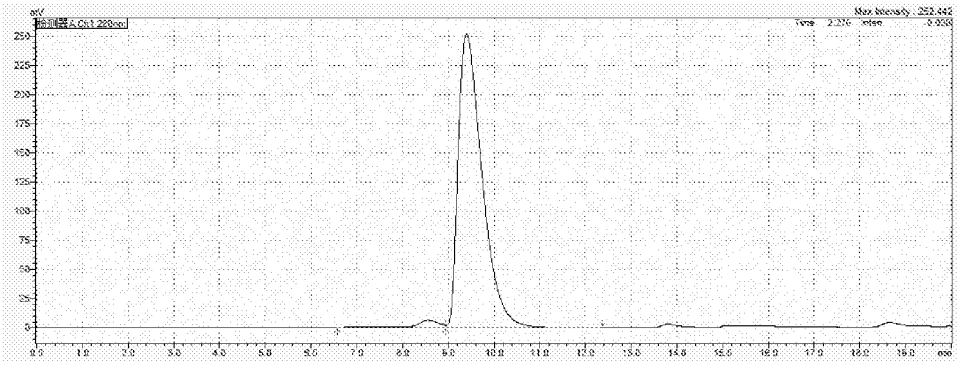

图 1D

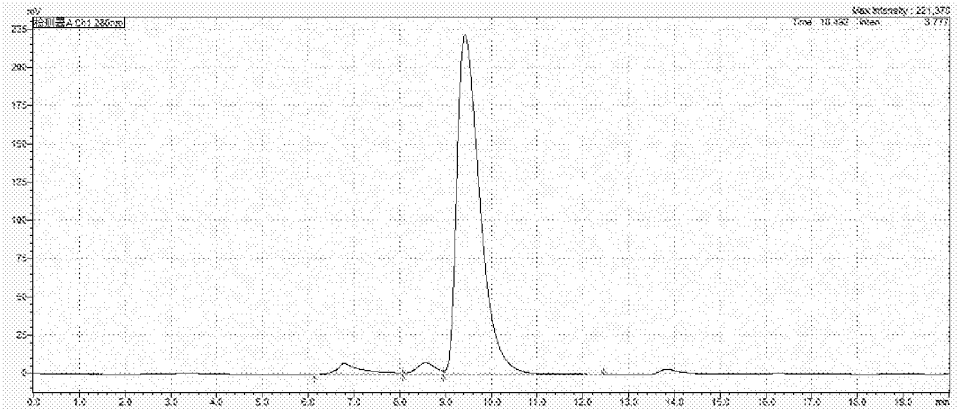

图 1E

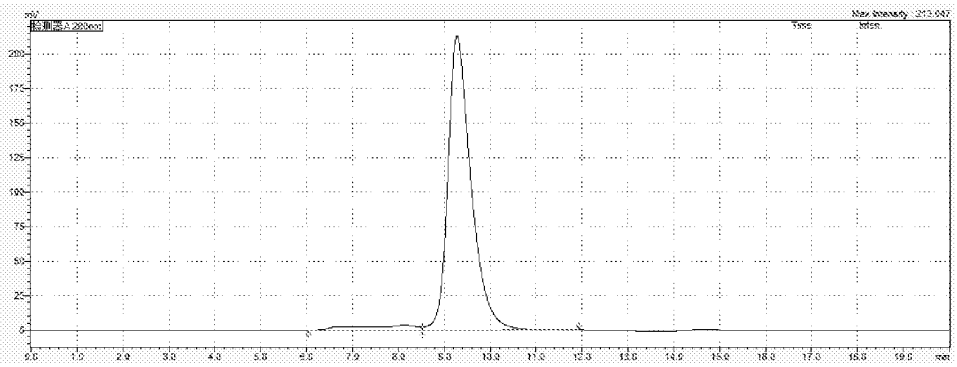

图 1F

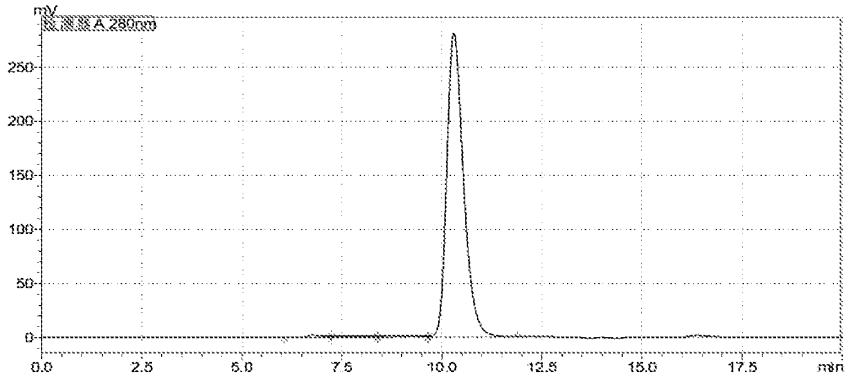
mV
A 280nm
250
200
150
100
50
0
0.0
2.5
5.0
7.5
10.0
12.5
15.0
17.5
min

图 1G

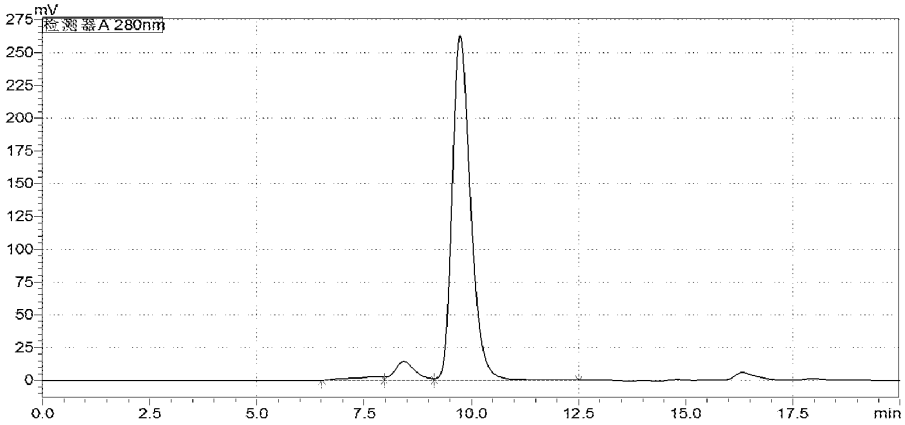
mV
A 280nm
275
250
225
200
175
150
125
100
75
50
25
0
0.0
2.5
5.0
7.5
10.0
12.5
15.0
17.5
min

图 1H

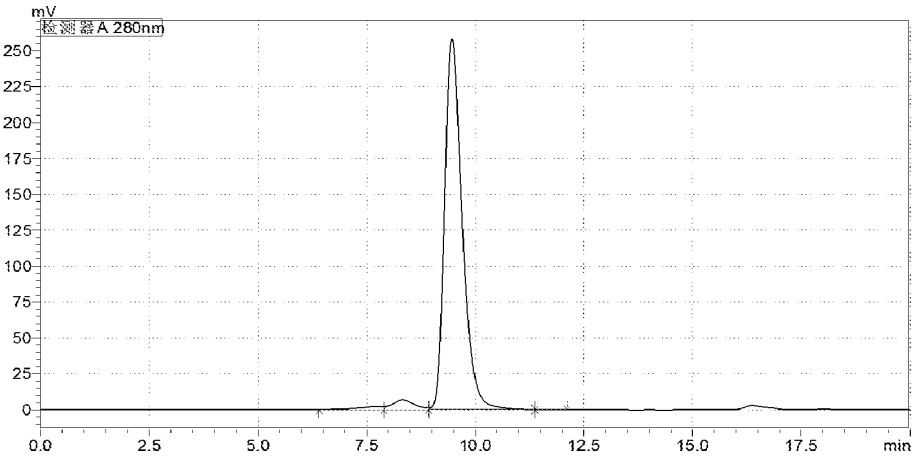
mV
A 280nm
250
225
200
175
150
125
100
75
50
25
0
0.0
2.5
5.0
7.5
10.0
12.5
15.0
17.5
min

图 1I

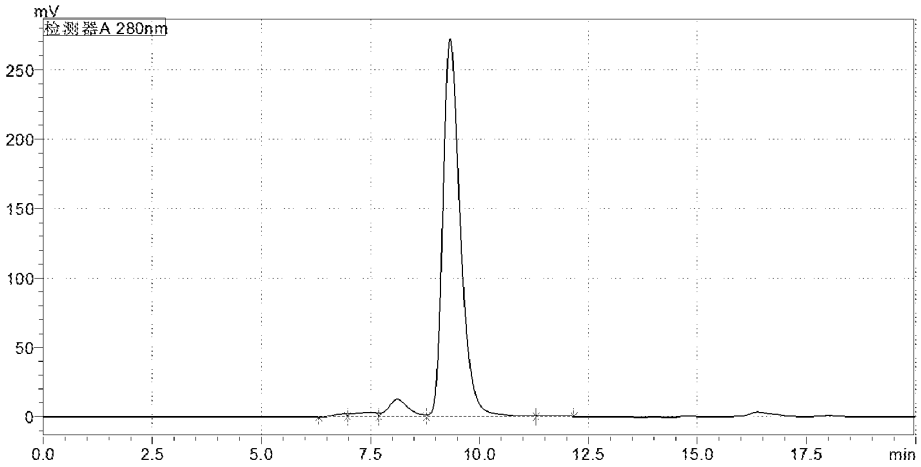
mV
检测器A 280nm
250
200
150
100
50
0
0.0
2.5
5.0
7.5
10.0
12.5
15.0
17.5
min

图 1J

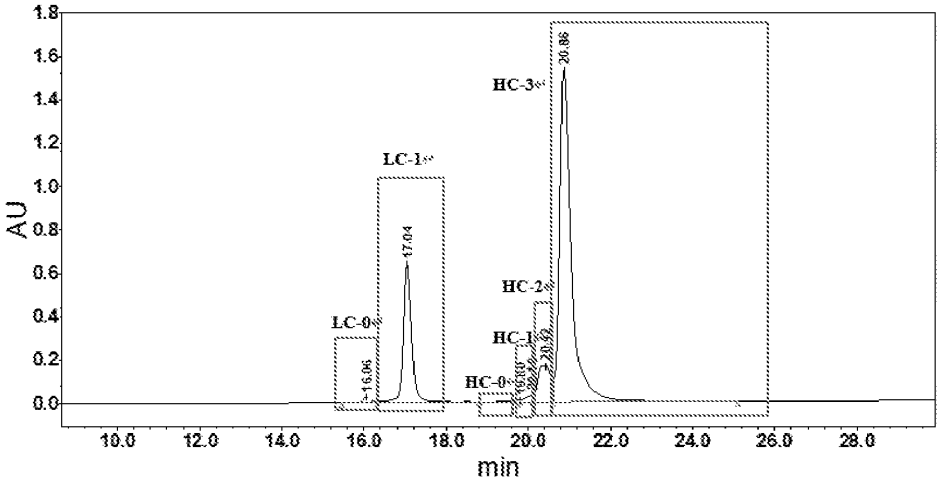
AU
min
LC-0
LC-1
HC-3
HC-2
HC-1
HC-0
16.06
17.04
20.86

图 2A

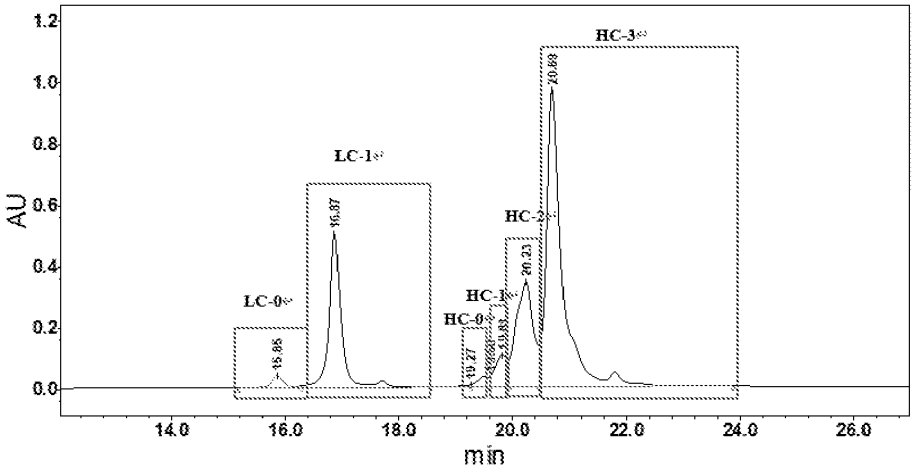
AU
min
LC-0
LC-1
HC-0
HC-1
HC-2
HC-3
15.85
16.87
19.27
20.23
20.88

图 2B

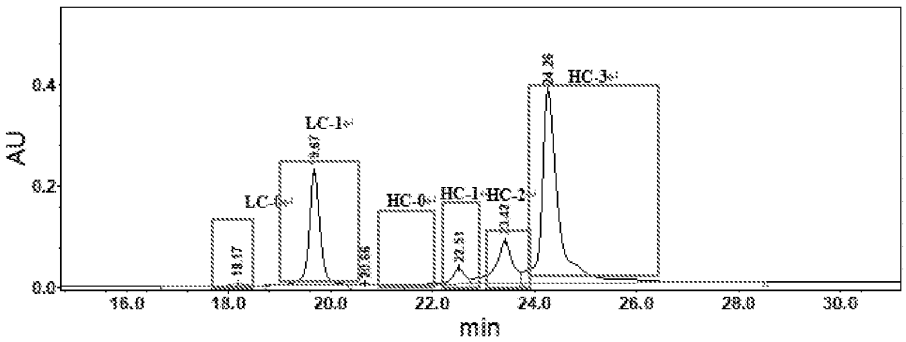
AU
min
LC-0
LC-1
HC-0
HC-1
HC-2
HC-3
18.17
19.87
22.53
23.42
24.26

图 2C

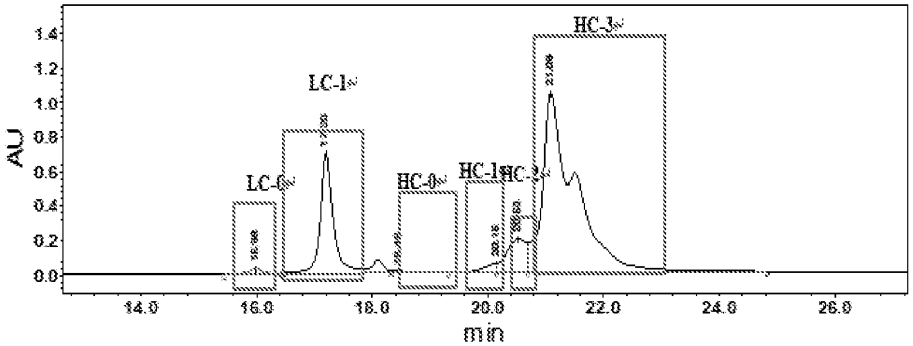
AU
min
LC-0
LC-1
HC-0
HC-1
HC-2
HC-3

图 2D

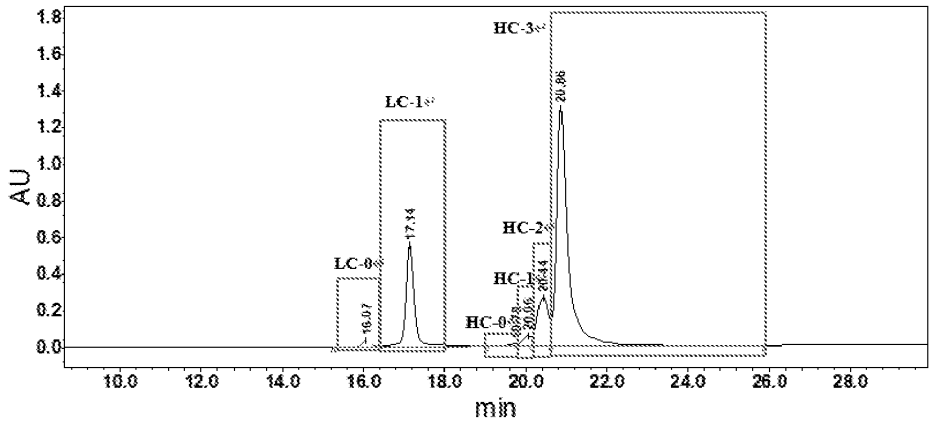
AU
min
LC-0
LC-1
HC-3
HC-2
HC-1
HC-0
16.07
17.14
20.86

图 2E

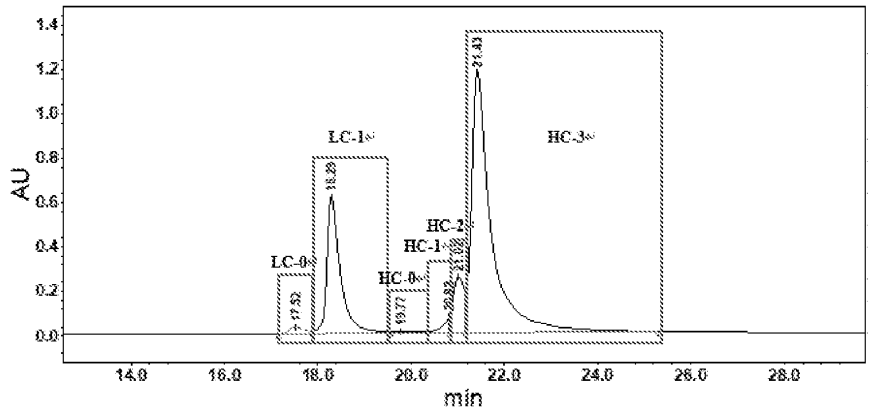
AU
min
LC-0
LC-1
HC-3
HC-2
HC-1
HC-0
17.52
18.28
19.77
21.43

图 2F

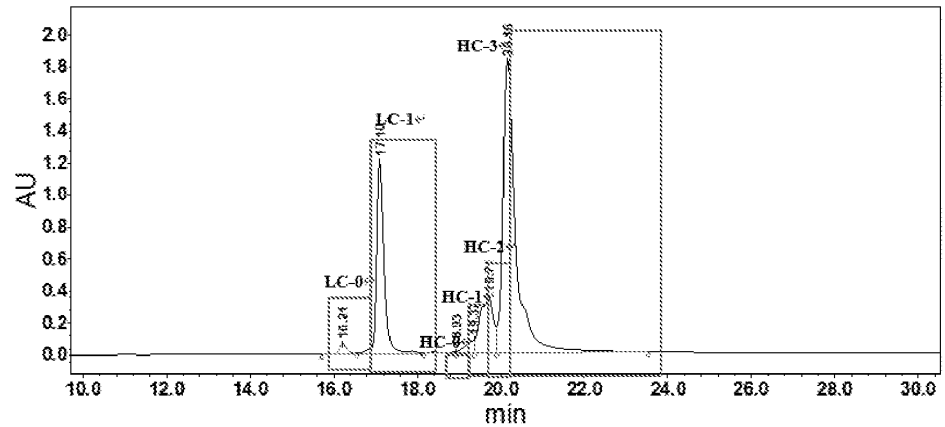
AU
min
LC-0
LC-1
HC-3
HC-2
HC-1
HC-0
16.24
17.14
18.93
18.71

图 2G

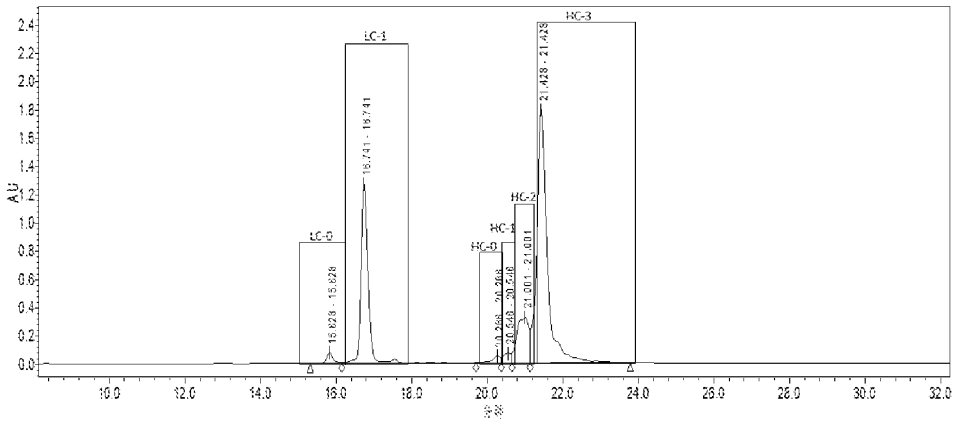

图 2H

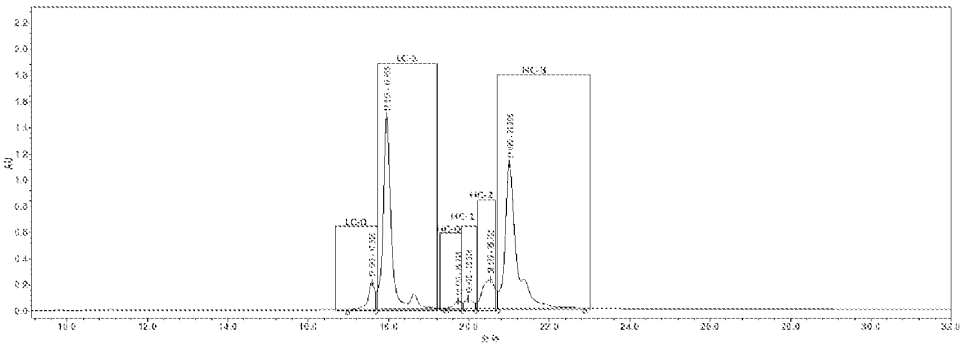

图 2I

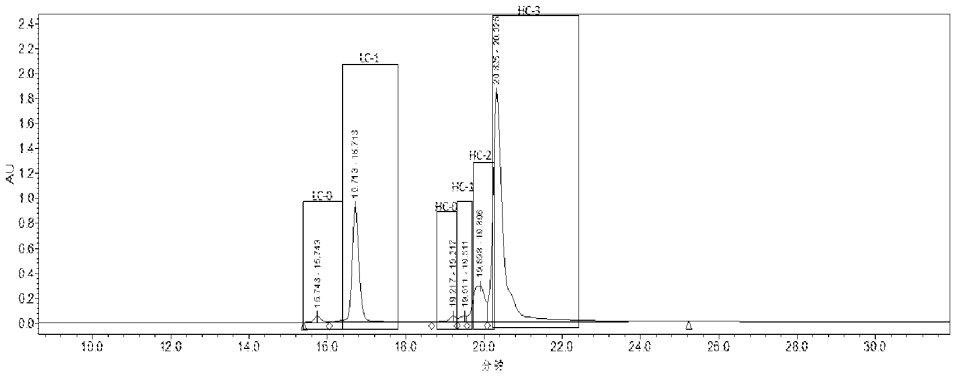

图 2J

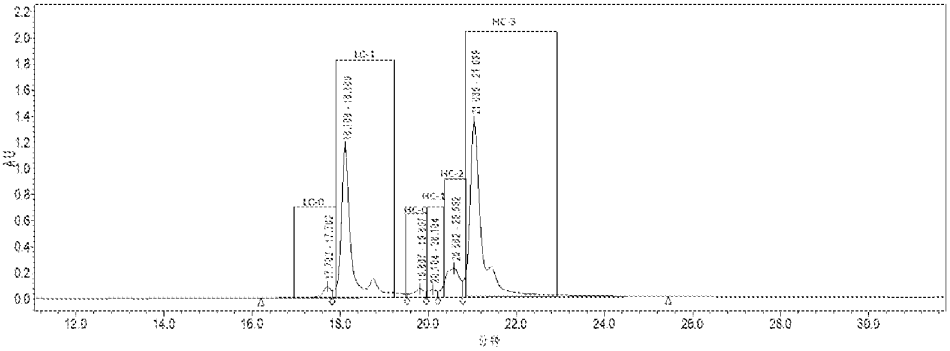

图 2K

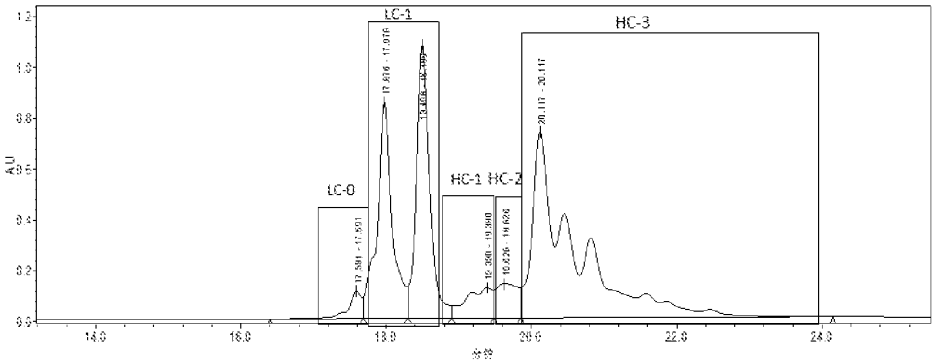

图 2L

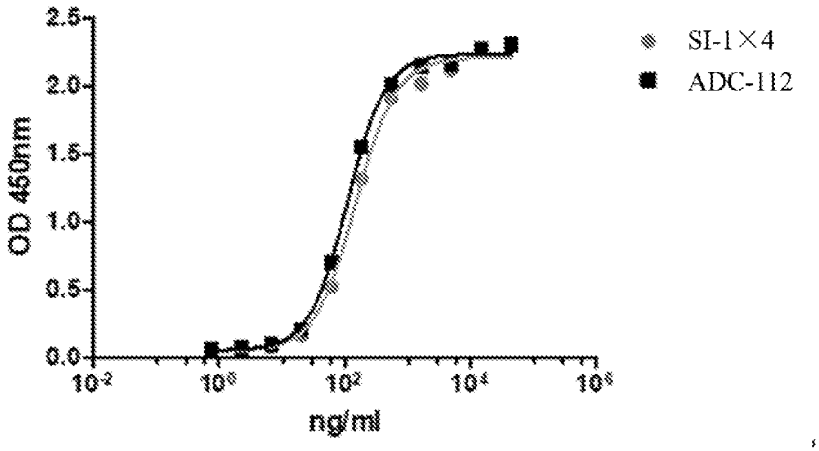
SI-1×4
ADC-112
OD 450nm
2.5
2.0
1.5
1.0
0.5
0.0
10-2
100
102
104
106
ng/ml

图 3A

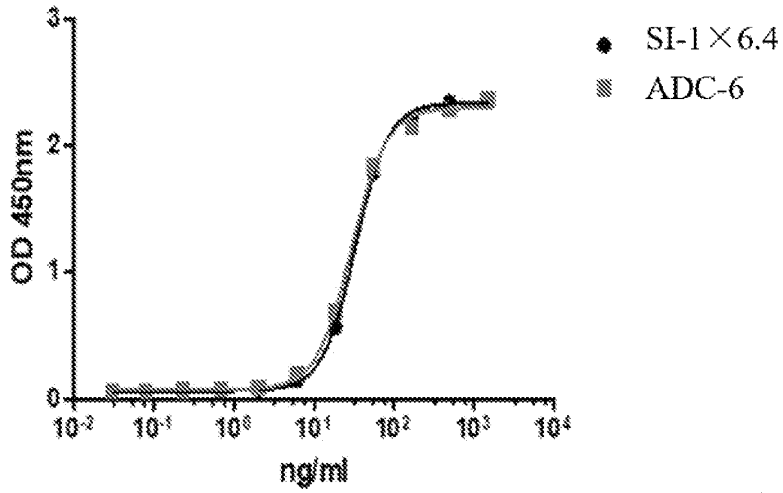
SI-1×6.4
ADC-6
OD 450nm
3
2
1
0
10-2
10-1
100
101
102
103
104
ng/ml

图 3B

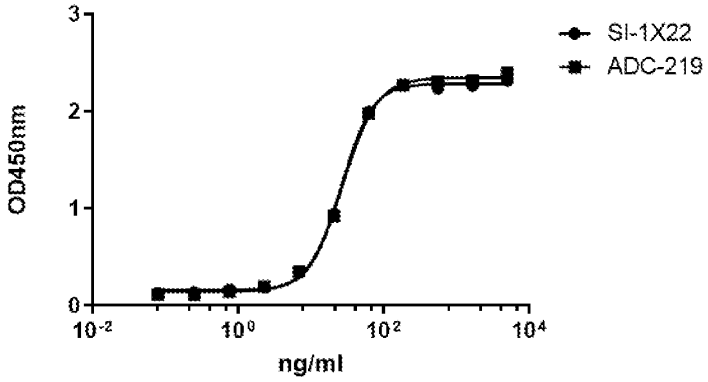
SI-1X22
ADC-219
OD450nm
ng/ml
0
1
2
3
10-2
100
102
104

图 3C

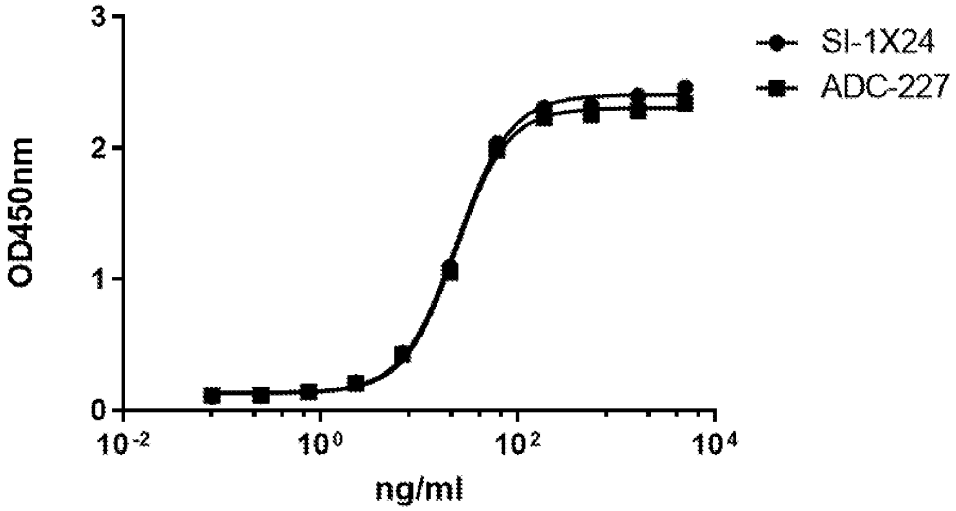
SI-1X24
ADC-227
OD450nm
ng/ml
0
1
2
3
10-2
100
102
104

图 3D

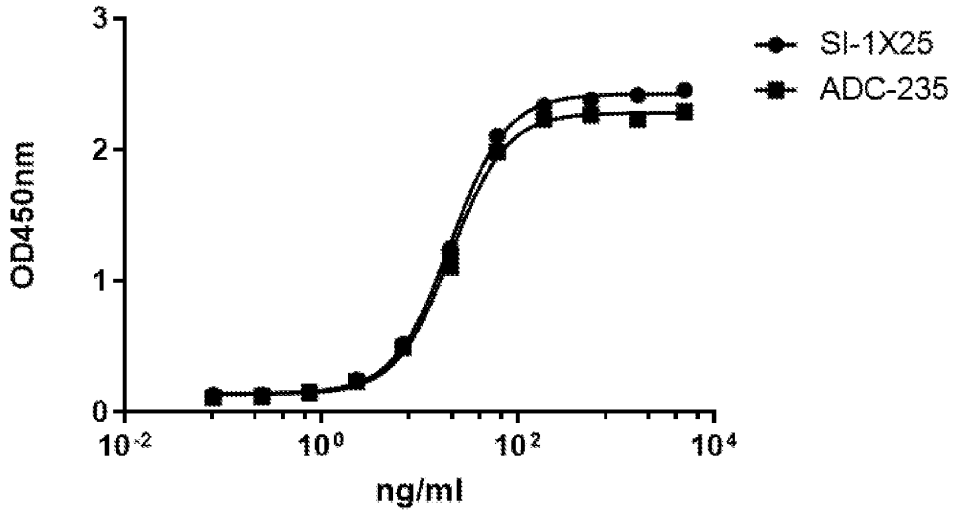
SI-1X25
ADC-235
OD450nm
ng/ml
3
2
1
0
10-2
100
102
104

图 3E

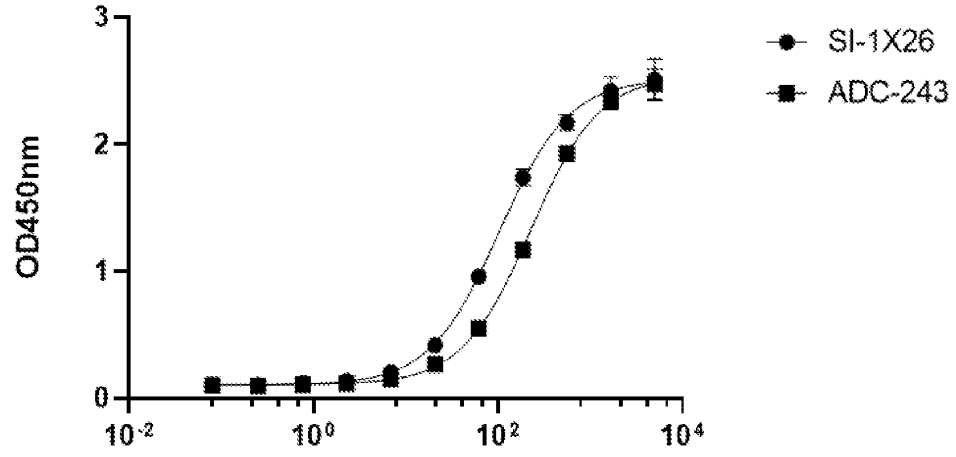
SI-1X26
ADC-243
OD450nm
3
2
1
0
10-2
100
102
104

图 3F

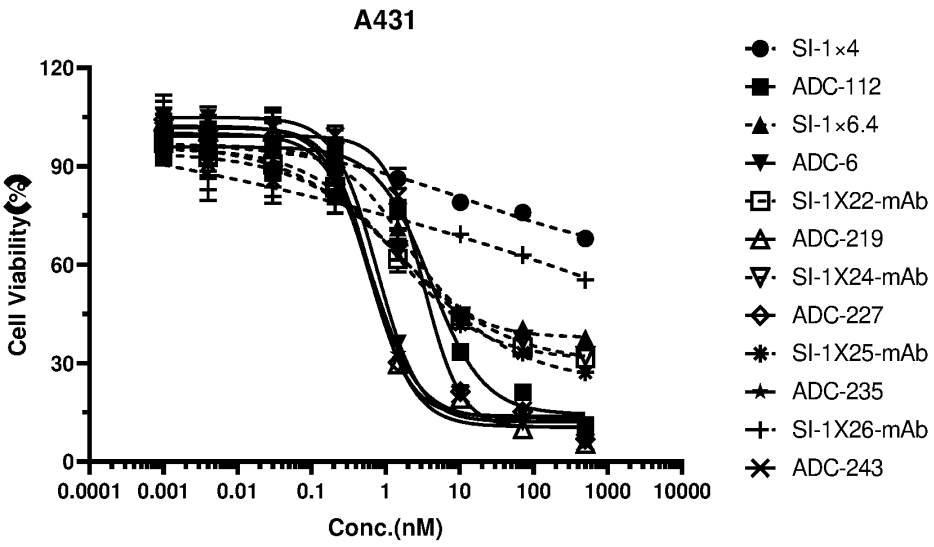
A431
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4A

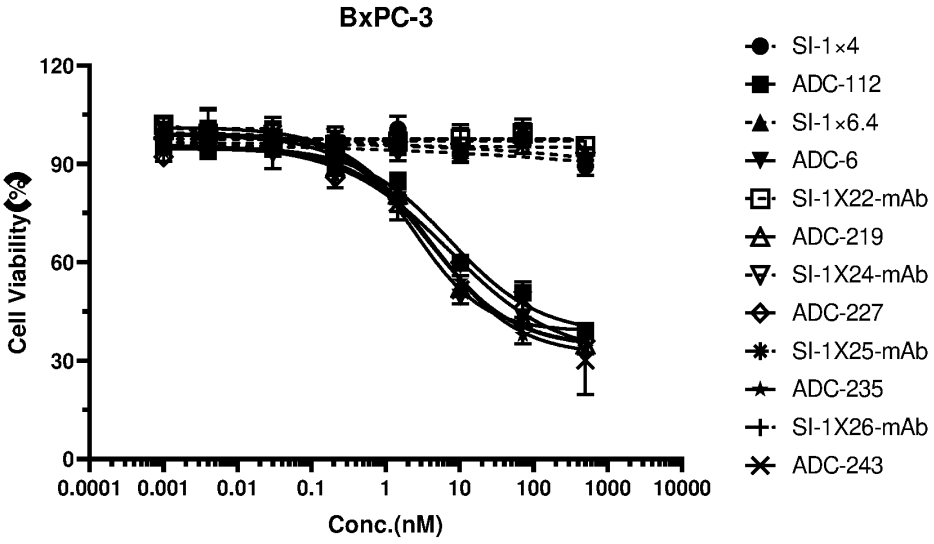
BxPC-3
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4B

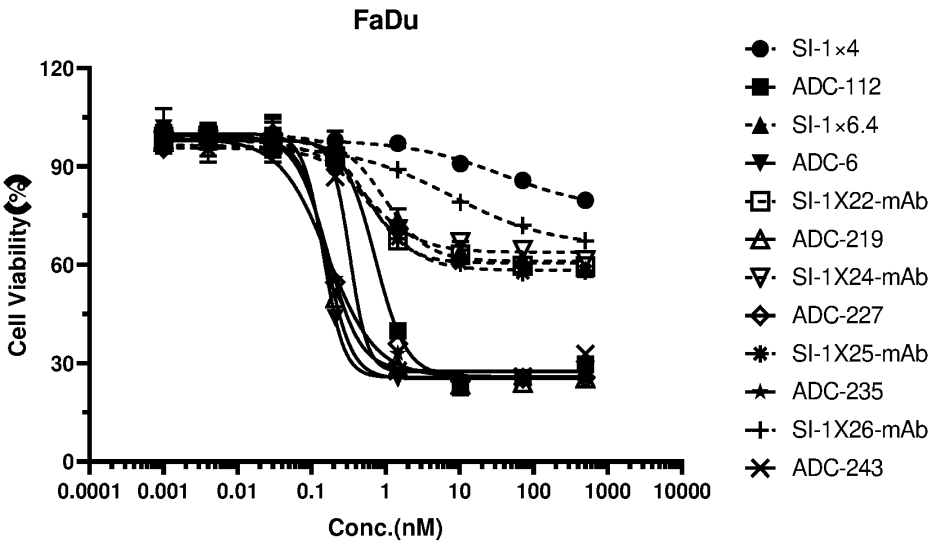
FaDu
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4C

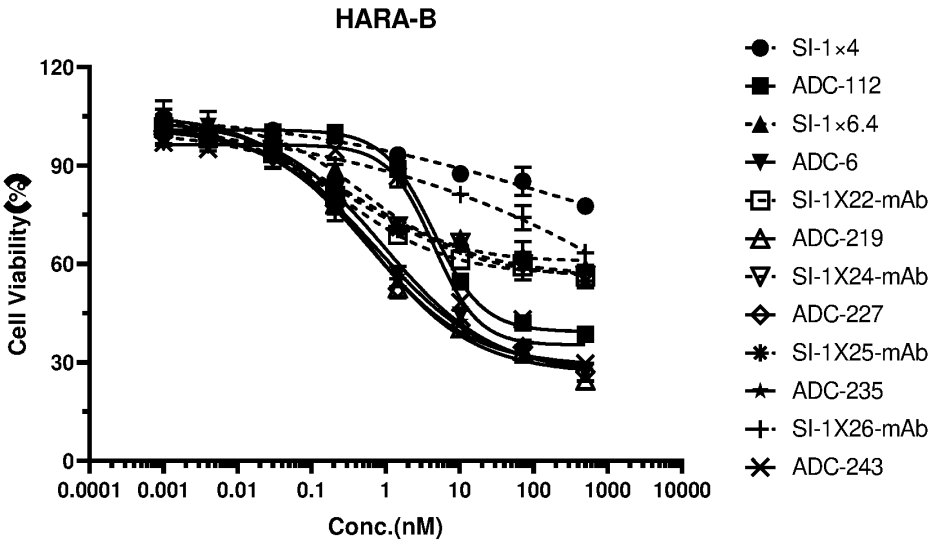
HARA-B
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4D

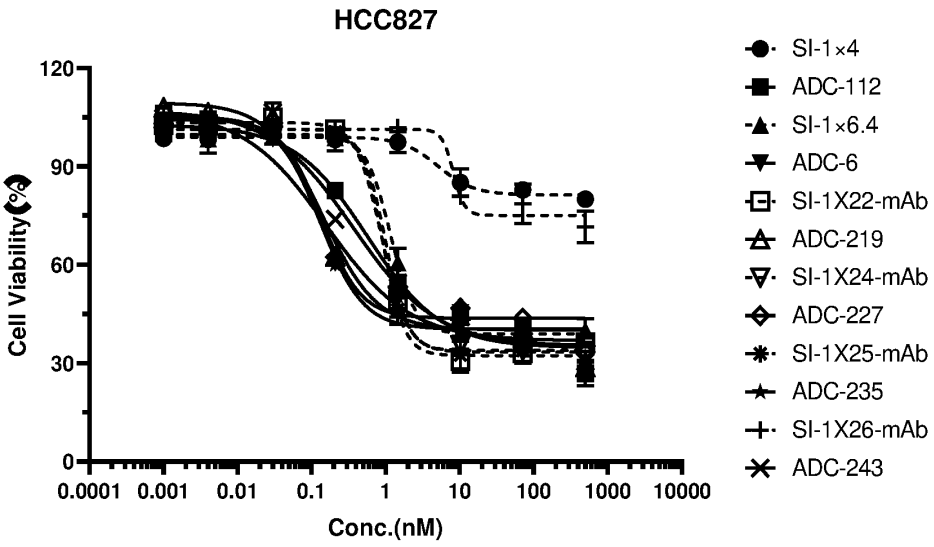
HCC827
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4E

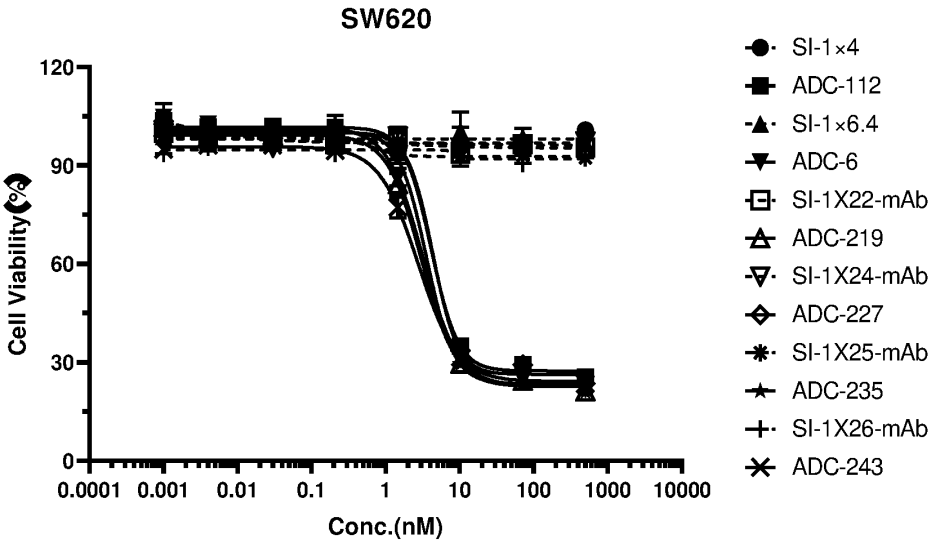
SW620
Cell Viability(%)
120
90
60
30
0
0.0001 0.001 0.01 0.1 1 10 100 1000 10000
Conc.(nM)
SI-1×4
ADC-112
SI-1×6.4
ADC-6
SI-1X22-mAb
ADC-219
SI-1X24-mAb
ADC-227
SI-1X25-mAb
ADC-235
SI-1X26-mAb
ADC-243

图 4F

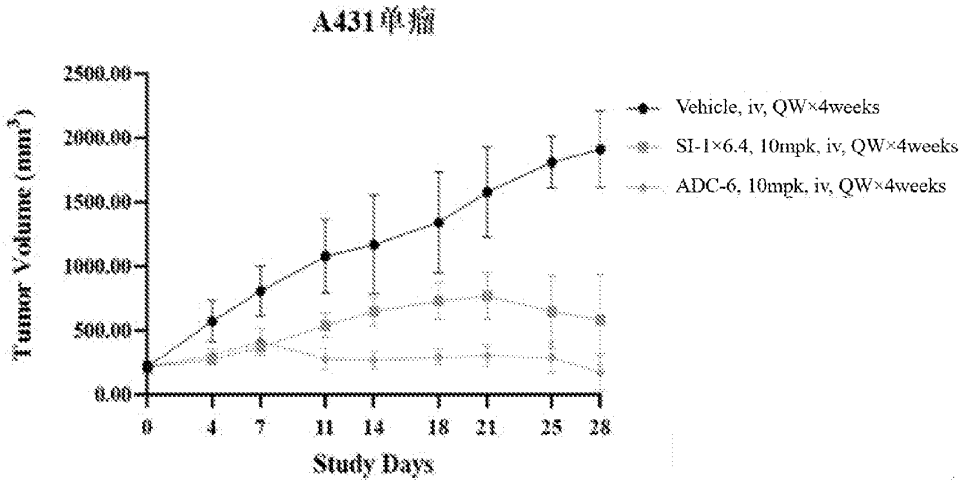
A431单瘤
Tumor Volume (mm3)
2500.00
2000.00
1500.00
1000.00
500.00
0.00
0
4
7
11
14
18
21
25
28
Study Days
Vehicle, iv, QW×4weeks
SI-1×6.4, 10mpk, iv, QW×4weeks
ADC-6, 10mpk, iv, QW×4weeks

图 5A

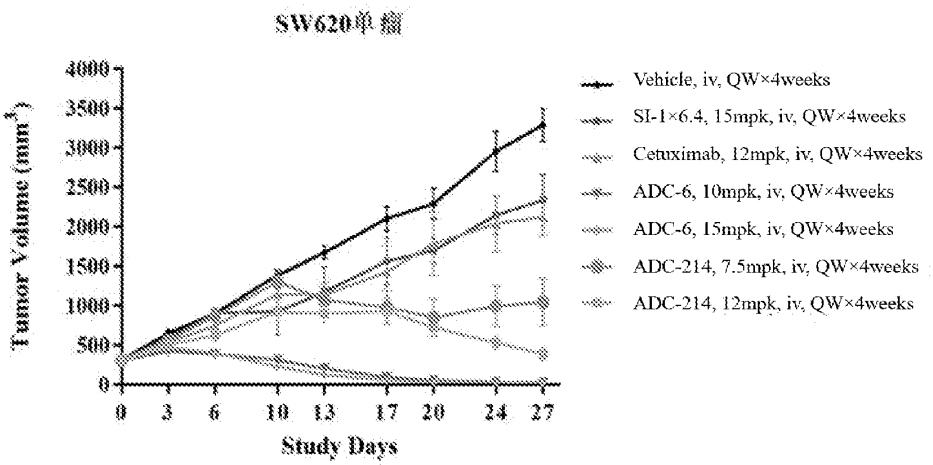
SW620单瘤
Tumor Volume (mm3)
4000
3500
3000
2500
2000
1500
1000
500
0
0
3
6
10
13
17
20
24
27
Study Days
Vehicle, iv, QW×4weeks
SI-1×6.4, 15mpk, iv, QW×4weeks
Cetuximab, 12mpk, iv, QW×4weeks
ADC-6, 10mpk, iv, QW×4weeks
ADC-6, 15mpk, iv, QW×4weeks
ADC-214, 7.5mpk, iv, QW×4weeks
ADC-214, 12mpk, iv, QW×4weeks

图 5B

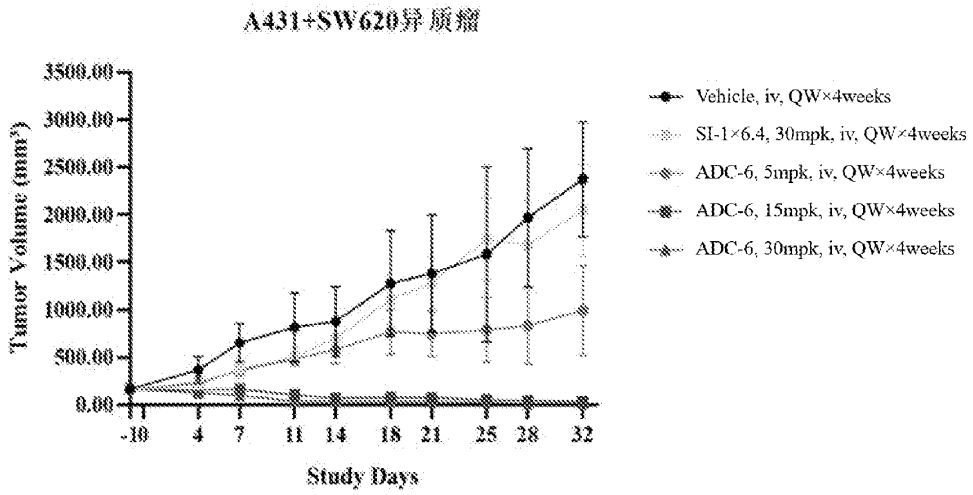
A431+SW620异质瘤
Tumor Volume (mm³)
3500.00
3000.00
2500.00
2000.00
1500.00
1000.00
500.00
0.00
-10
4
7
11
14
18
21
25
28
32
Study Days
Vehicle, iv, QW×4weeks
SI-1×6.4, 30mpk, iv, QW×4weeks
ADC-6, 5mpk, iv, QW×4weeks
ADC-6, 15mpk, iv, QW×4weeks
ADC-6, 30mpk, iv, QW×4weeks

图 5C

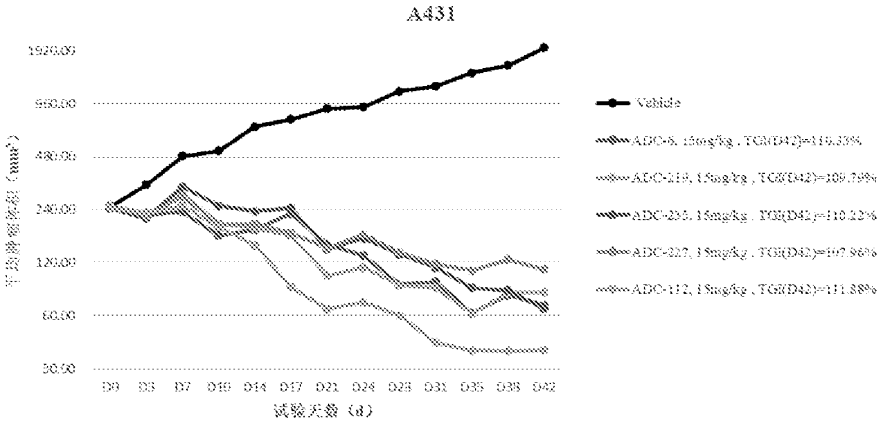
A431
Vehicle
ADC-6, 15mg/kg , TGI(D42)=116.33%
ADC-210, 15mg/kg , TGI(D42)=109.79%
ADC-235, 15mg/kg , TGI(D42)=110.22%
ADC-227, 15mg/kg , TGI(D42)=107.96%
ADC-112, 15mg/kg , TGI(D42)=111.88%
试验天数 (d)

图 6A

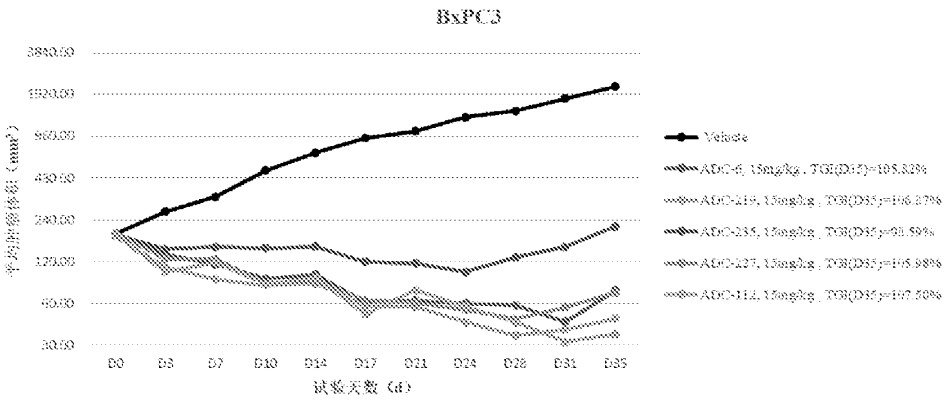
BxPC3
Vehicle
ADC-6, 15mg/kg , TGI(D35)=105.83%
ADC-210, 15mg/kg , TGI(D35)=106.87%
ADC-235, 15mg/kg , TGI(D35)=98.59%
ADC-227, 15mg/kg , TGI(D35)=105.98%
ADC-112, 15mg/kg , TGI(D35)=107.50%
试验天数 (d)

图 6B

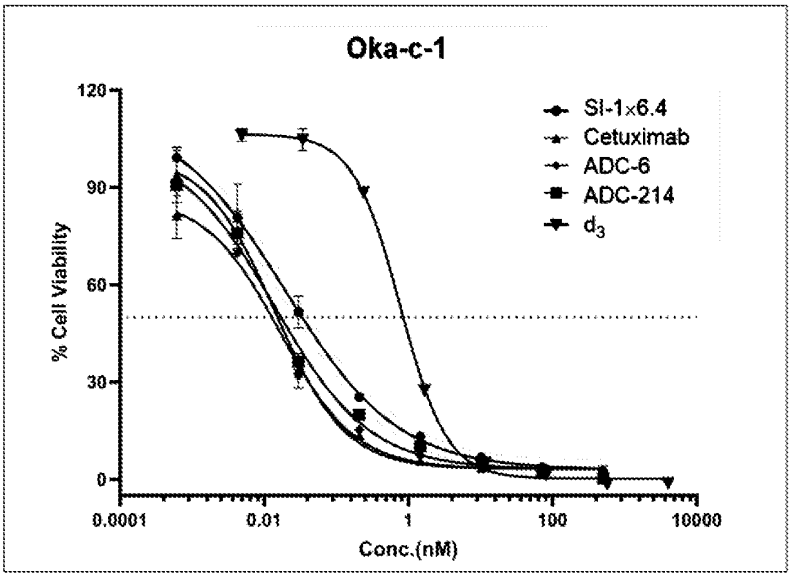
Oka-c-1
SI-1×6.4
Cetuximab
ADC-6
ADC-214
d3
% Cell Viability
120
90
60
30
0
0.0001
0.01
1
100
10000
Conc.(nM)

图 7A

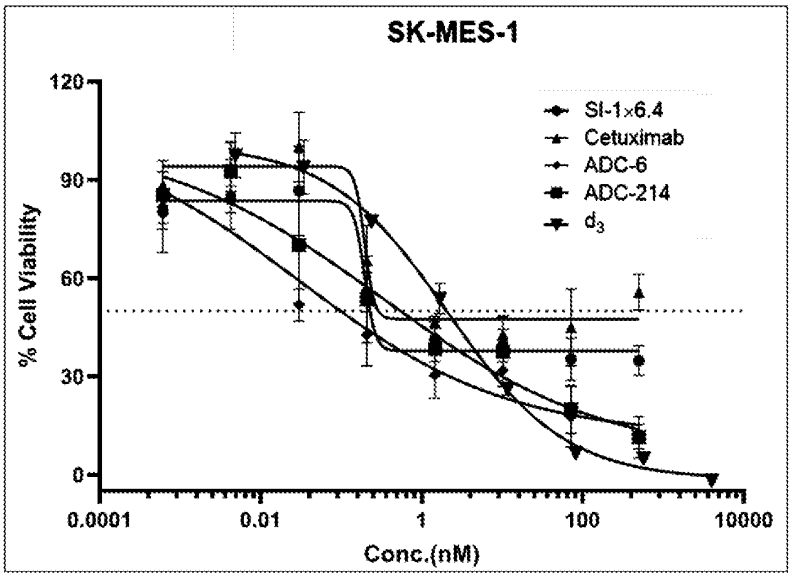
SK-MES-1
SI-1×6.4
Cetuximab
ADC-6
ADC-214
d3
% Cell Viability
120
90
60
30
0
0.0001
0.01
1
100
10000
Conc.(nM)

图 7B