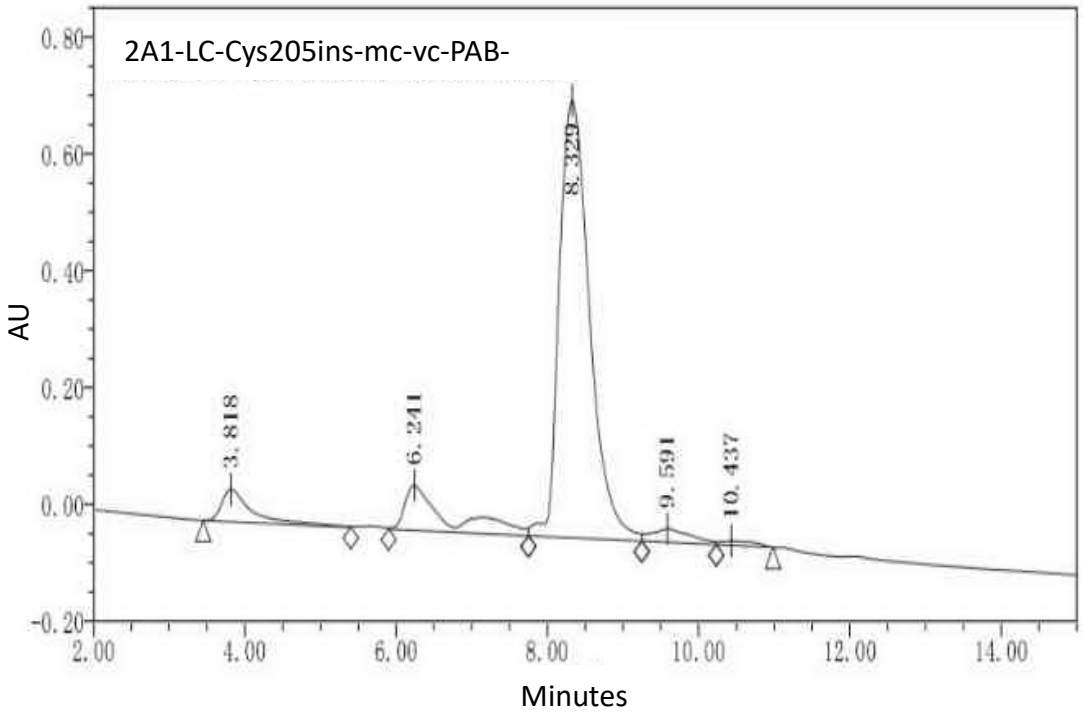
2A1-LC-Cys205ins-mc-vc-PAB-
AU
Minutes
3.818
6.241
8.329
9.591
10.437
0.80
0.60
0.40
0.20
0.00
-0.20
2.00
4.00
6.00
8.00
10.00
12.00
14.00

FIG.1

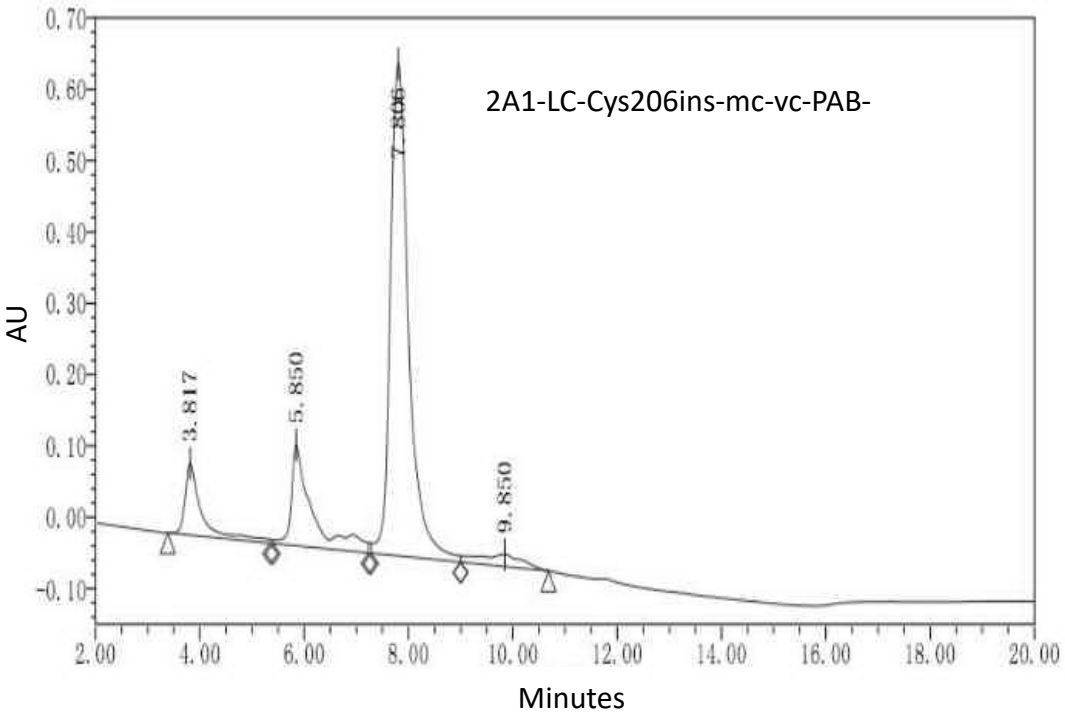
2A1-LC-Cys206ins-mc-vc-PAB-
AU
Minutes
3.817
5.850
7.806
9.850
0.70
0.60
0.50
0.40
0.30
0.20
0.10
0.00
-0.10
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.2

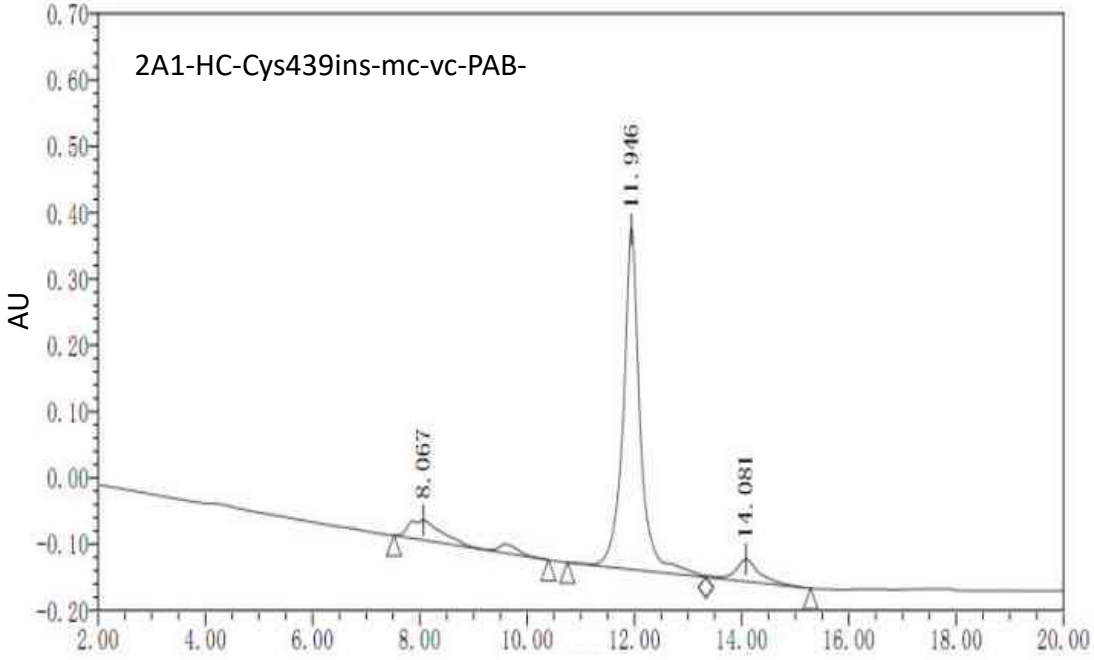
2A1-HC-Cys439ins-mc-vc-PAB-
AU
Minutes
8.067
11.946
14.081
0.70
0.60
0.50
0.40
0.30
0.20
0.10
0.00
-0.10
-0.20
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.3

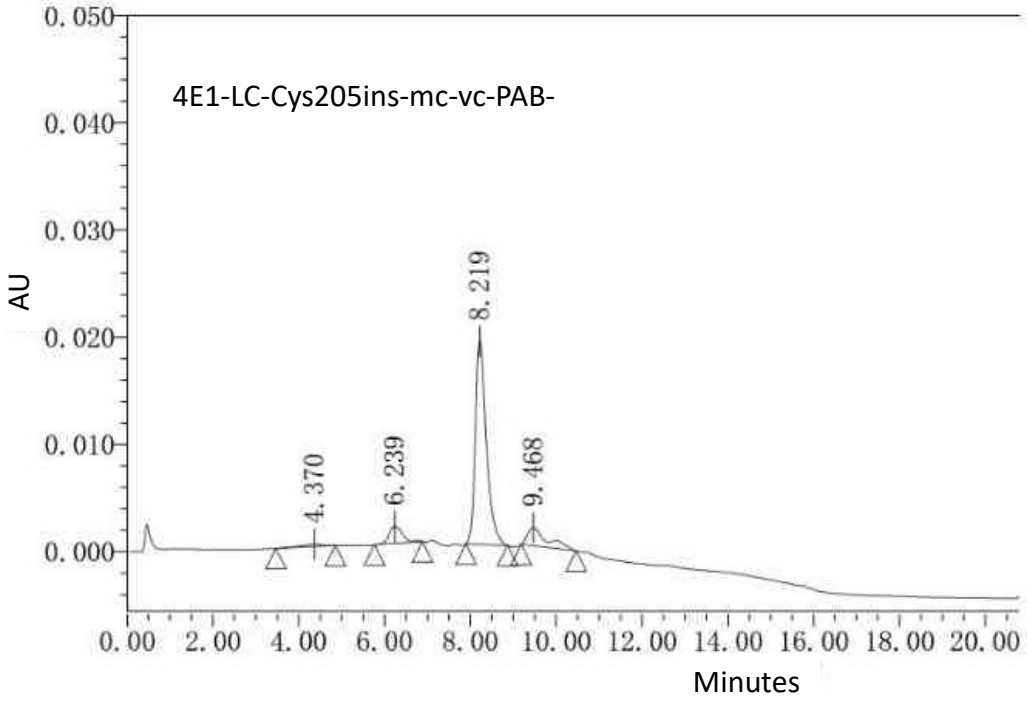
4E1-LC-Cys205ins-mc-vc-PAB-
AU
Minutes
4.370
6.239
8.219
9.468
0.050
0.040
0.030
0.020
0.010
0.000
0.00
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.4

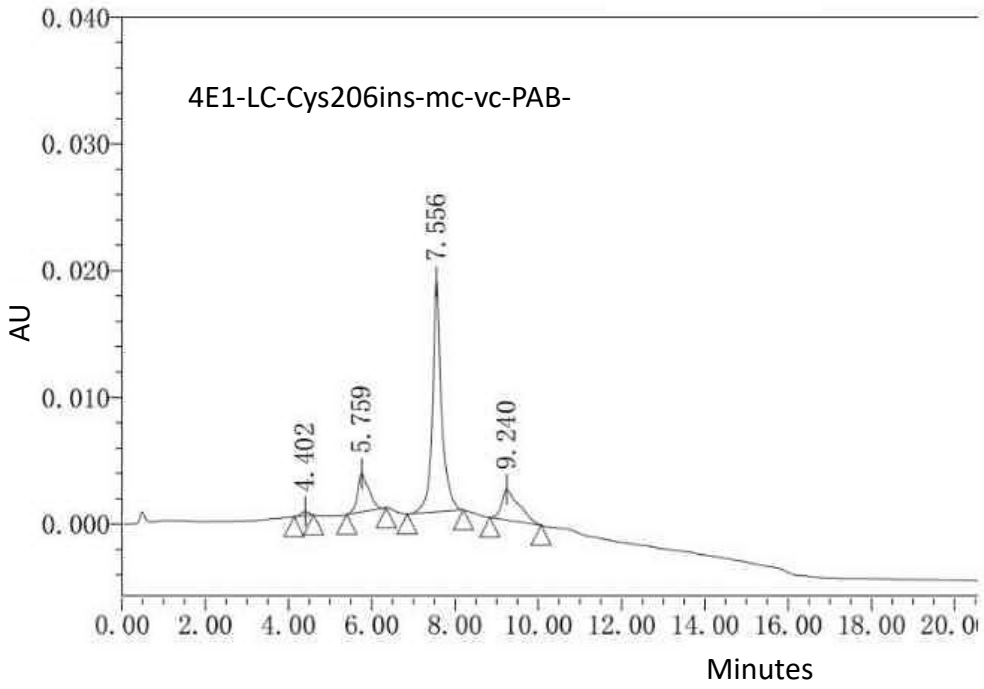
4E1-LC-Cys206ins-mc-vc-PAB-
AU
Minutes
0.040
0.030
0.020
0.010
0.000
4.402
5.759
7.556
9.240
0.00
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.0

FIG.5

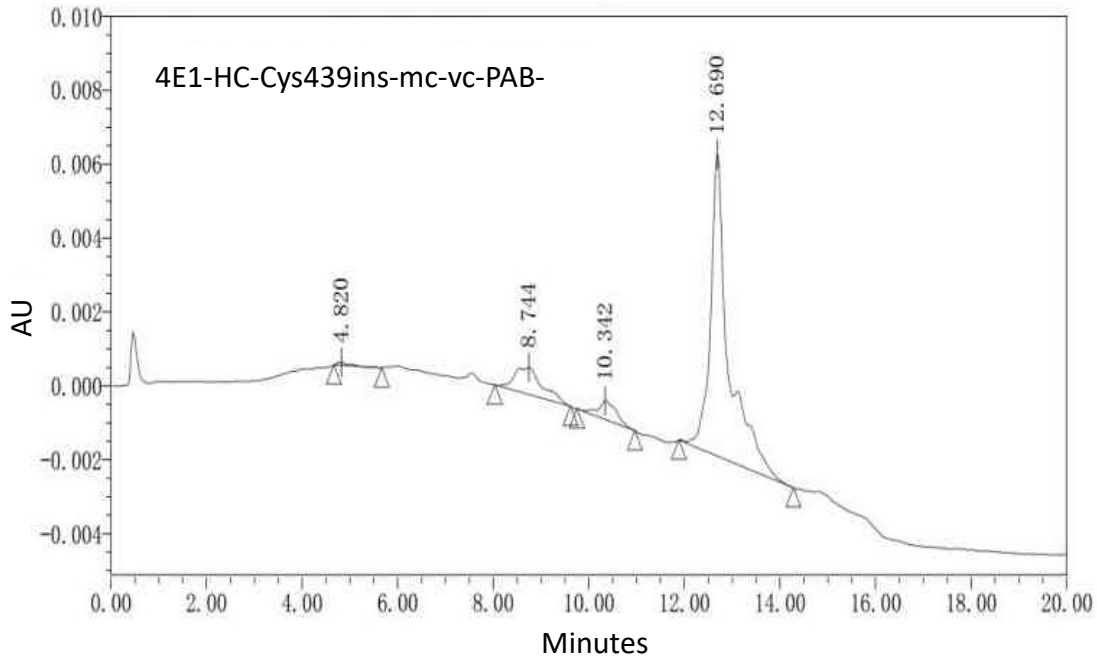
4E1-HC-Cys439ins-mc-vc-PAB-
AU
Minutes
0.010
0.008
0.006
0.004
0.002
0.000
-0.002
-0.004
4.820
8.744
10.342
12.690
0.00
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.6

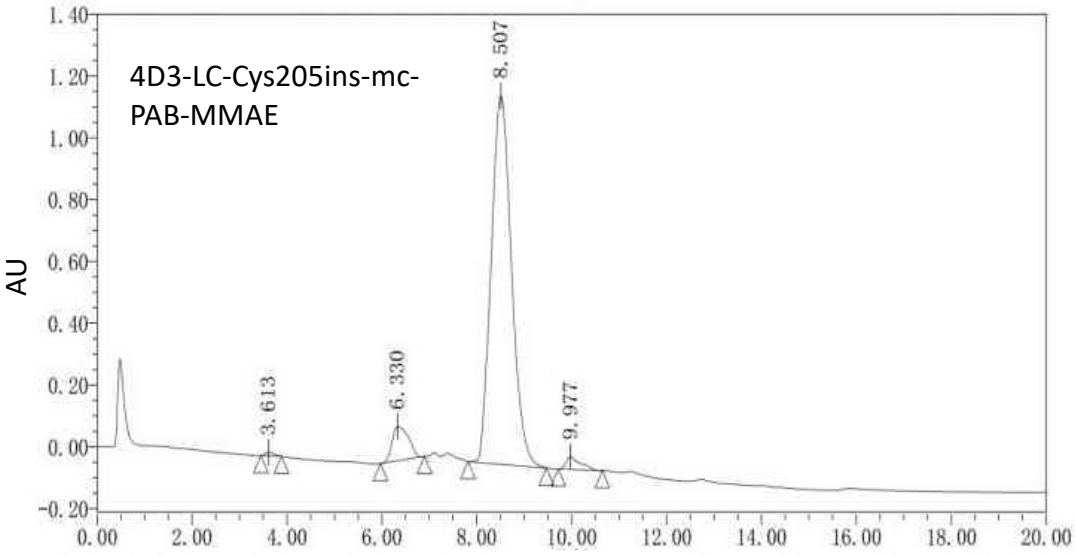
4D3-LC-Cys205ins-mc-PAB-MMAE
AU
Minutes
8.507
6.330
3.613
9.977
1.40
1.20
1.00
0.80
0.60
0.40
0.20
0.00
-0.20
0.00
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.7

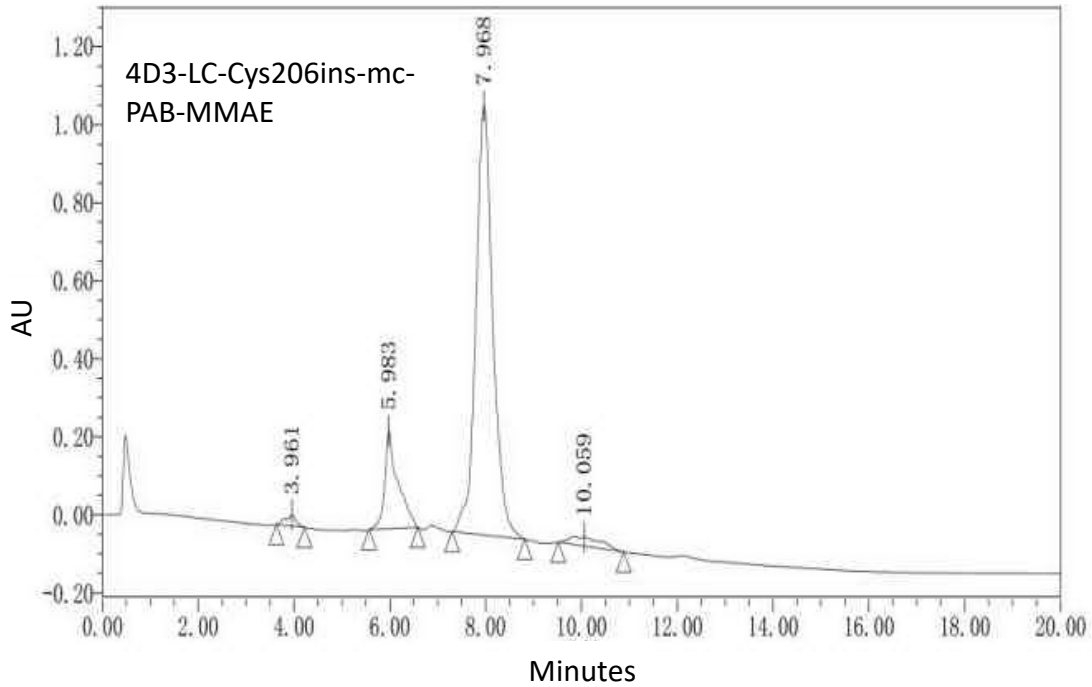
4D3-LC-Cys206ins-mc-PAB-MMAE
AU
Minutes
7.968
5.983
3.961
10.059
1.20
1.00
0.80
0.60
0.40
0.20
0.00
-0.20
0.00
2.00
4.00
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00

FIG.8

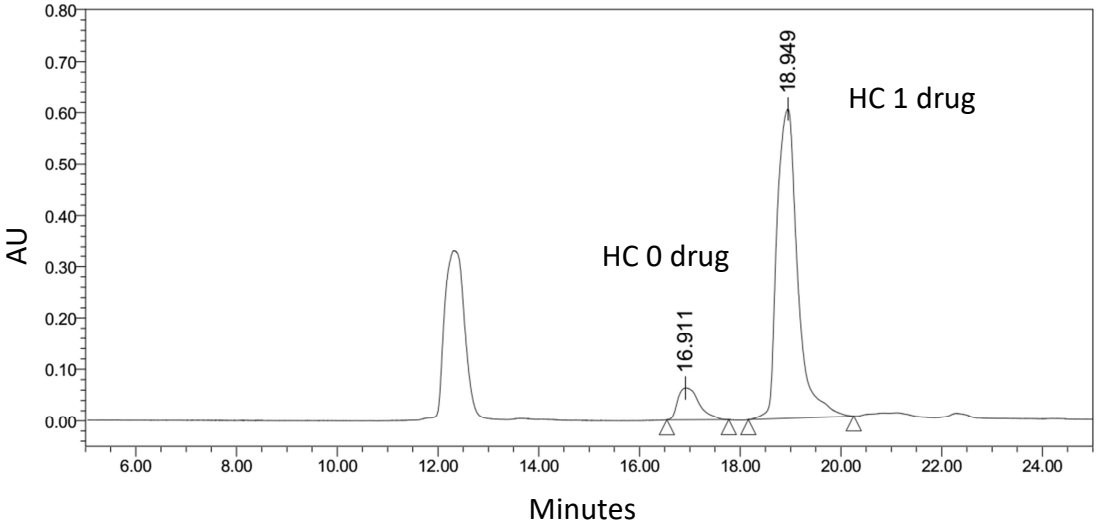
0.80
0.70
0.60
0.50
0.40
0.30
0.20
0.10
0.00
AU
18.949
HC 1 drug
HC 0 drug
16.911
6.00
8.00
10.00
12.00
14.00
16.00
18.00
20.00
22.00
24.00
Minutes

FIG.9

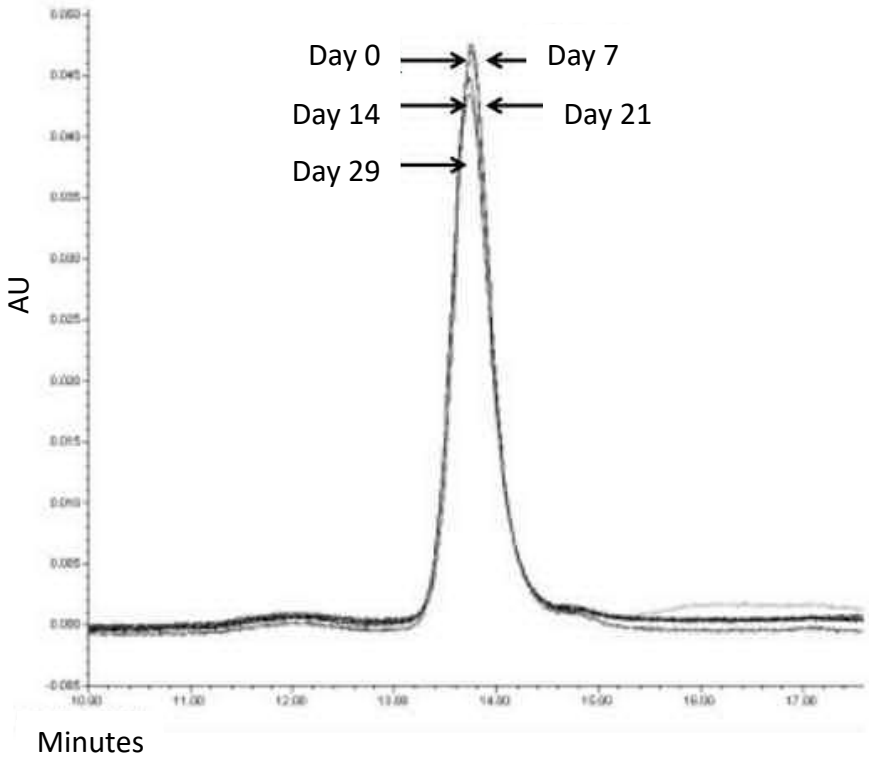
Day 0
Day 7
Day 14
Day 21
Day 29
AU
Minutes

FIG.10

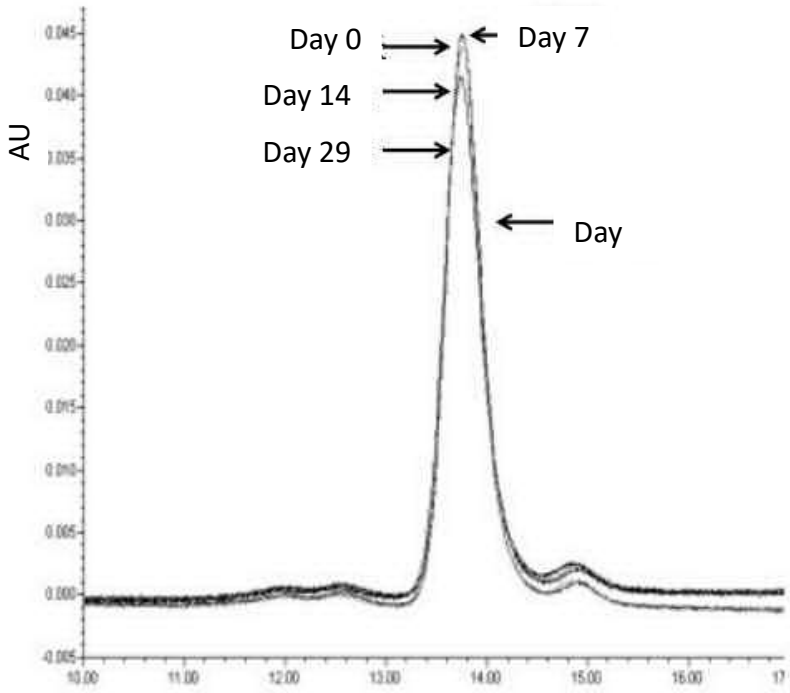

FIG.11

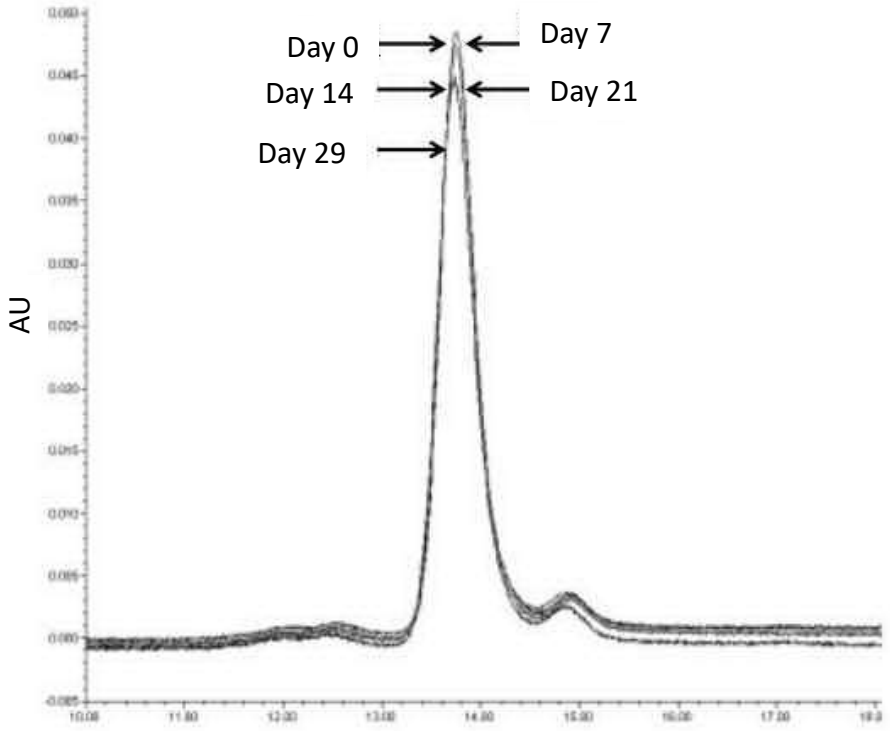

FIG.12

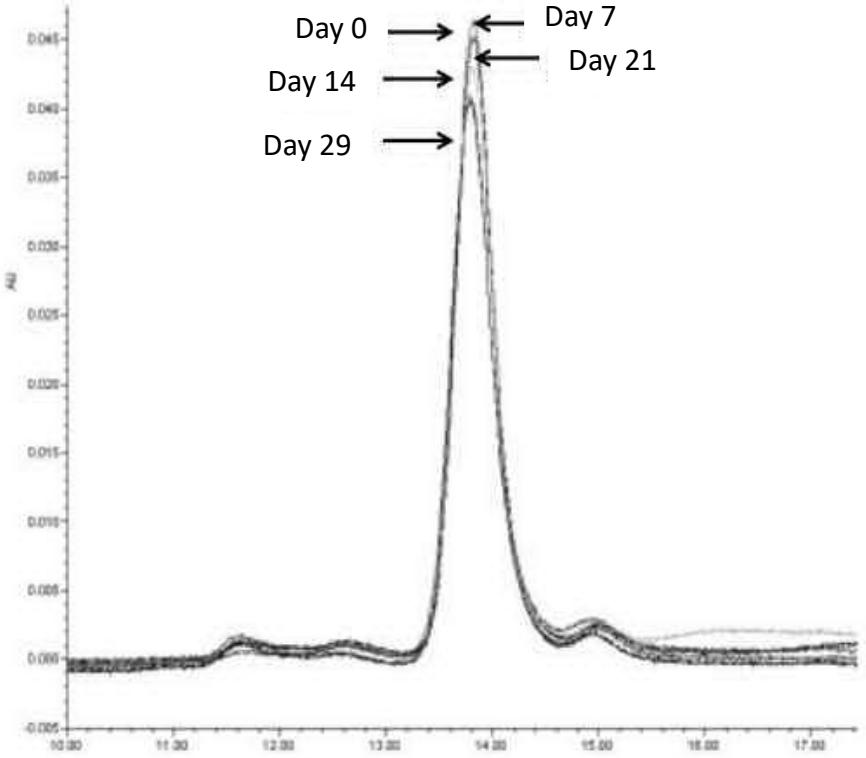
Day 0
Day 7
Day 21
Day 14
Day 29

FIG.13

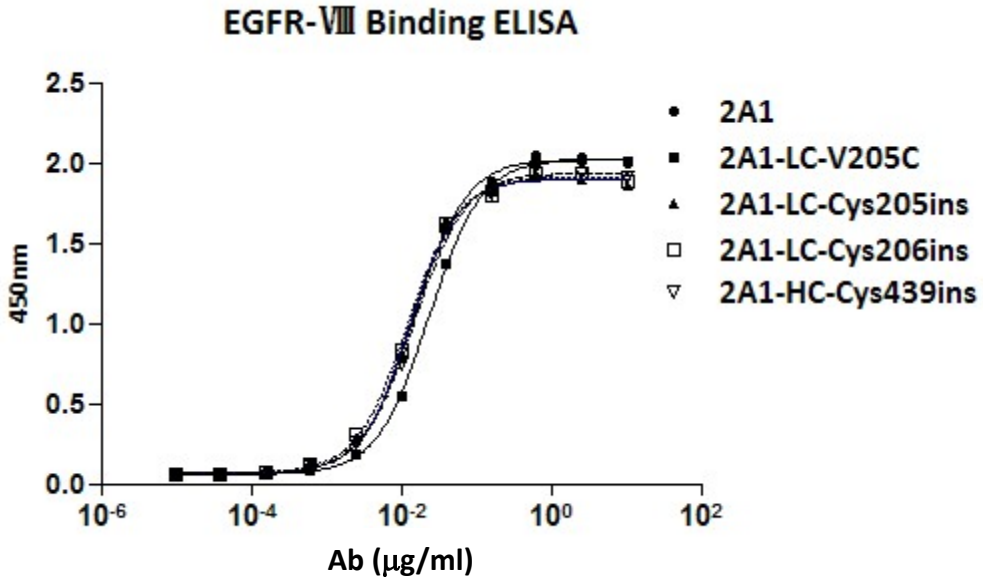
EGFR-Ⅷ Binding ELISA
2.5
2.0
1.5
1.0
0.5
0.0
450nm
10-6
10-4
10-2
100
102
Ab (μg/ml)
2A1
2A1-LC-V205C
2A1-LC-Cys205ins
2A1-LC-Cys206ins
2A1-HC-Cys439ins

FIG.14

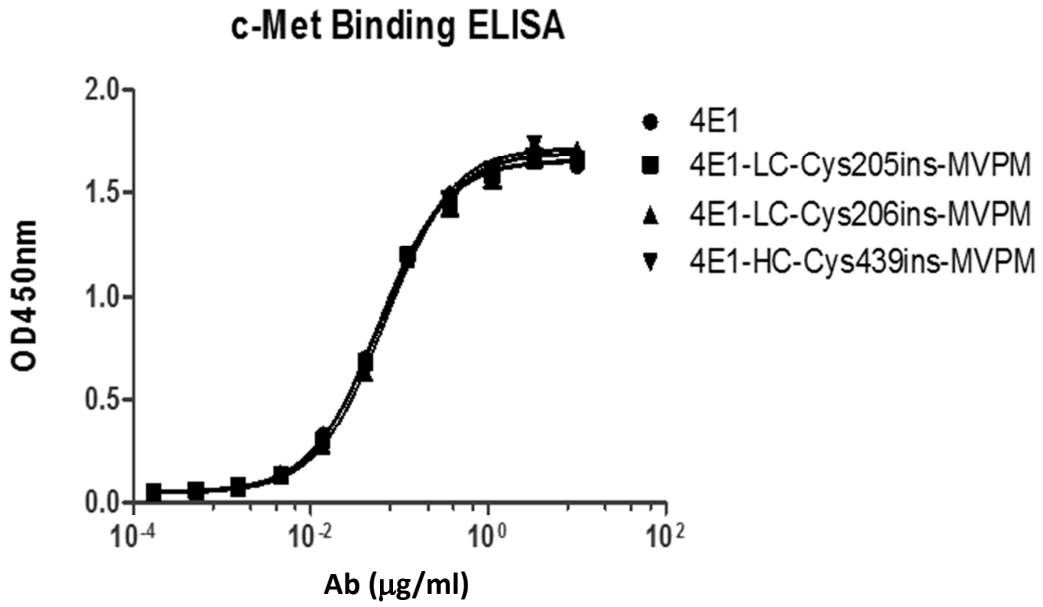
c-Met Binding ELISA
OD450nm
2.0
1.5
1.0
0.5
0.0
10-4
10-2
100
102
Ab (μg/ml)
4E1
4E1-LC-Cys205ins-MVPM
4E1-LC-Cys206ins-MVPM
4E1-HC-Cys439ins-MVPM

FIG.15

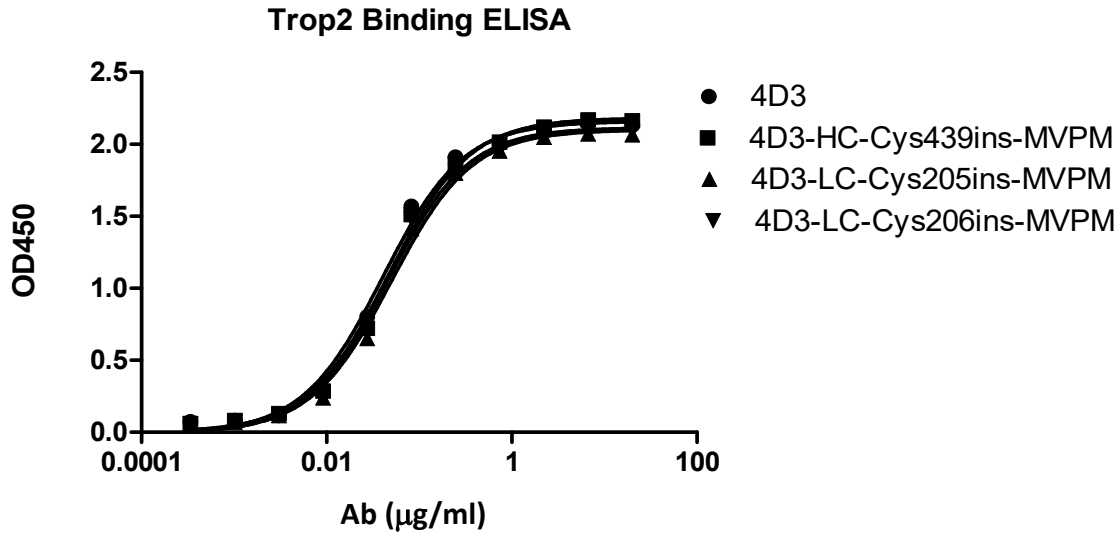
Trop2 Binding ELISA
OD450
2.5
2.0
1.5
1.0
0.5
0.0
0.0001
0.01
1
100
Ab (μg/ml)
4D3
4D3-HC-Cys439ins-MVPM
4D3-LC-Cys205ins-MVPM
4D3-LC-Cys206ins-MVPM

FIG.16

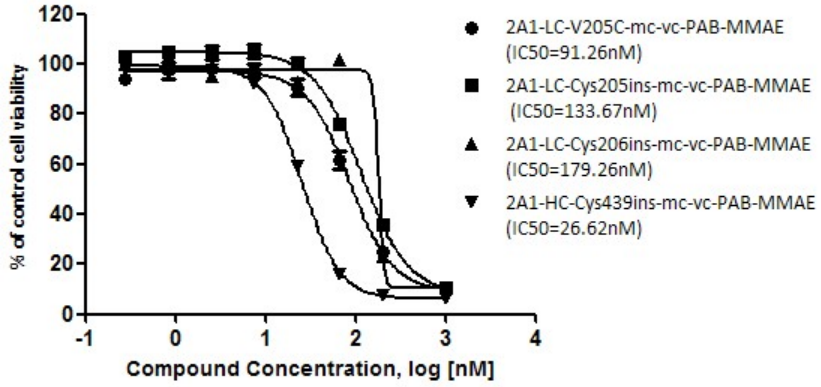

FIG.17

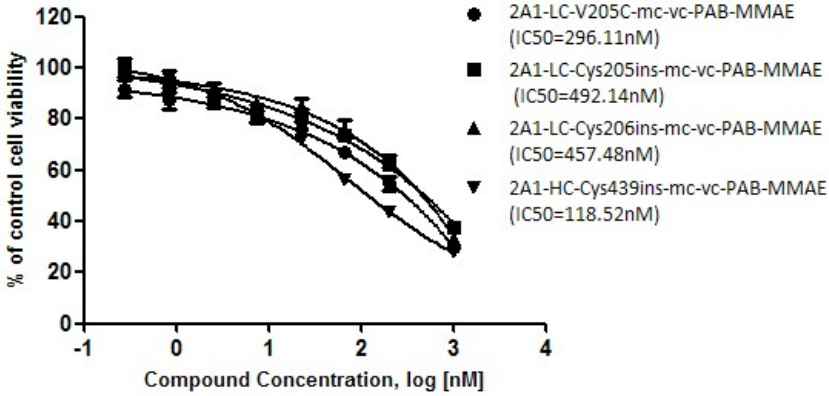

FIG.18

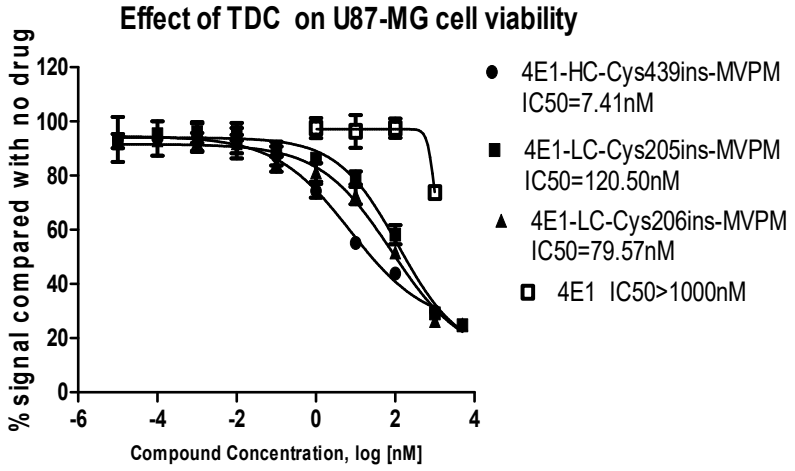

FIG.19

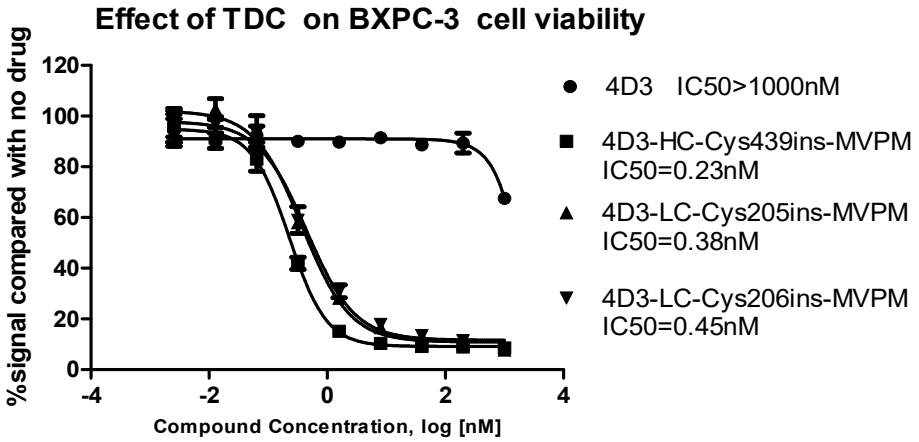
Effect of TDC on BXPC-3 cell viability
%signal compared with no drug
120
100
80
60
40
20
0
-4
-2
0
2
4
Compound Concentration, log [nM]
4D3 IC50>1000nM
4D3-HC-Cys439ins-MVPM
IC50=0.23nM
4D3-LC-Cys205ins-MVPM
IC50=0.38nM
4D3-LC-Cys206ins-MVPM
IC50=0.45nM

FIG.20

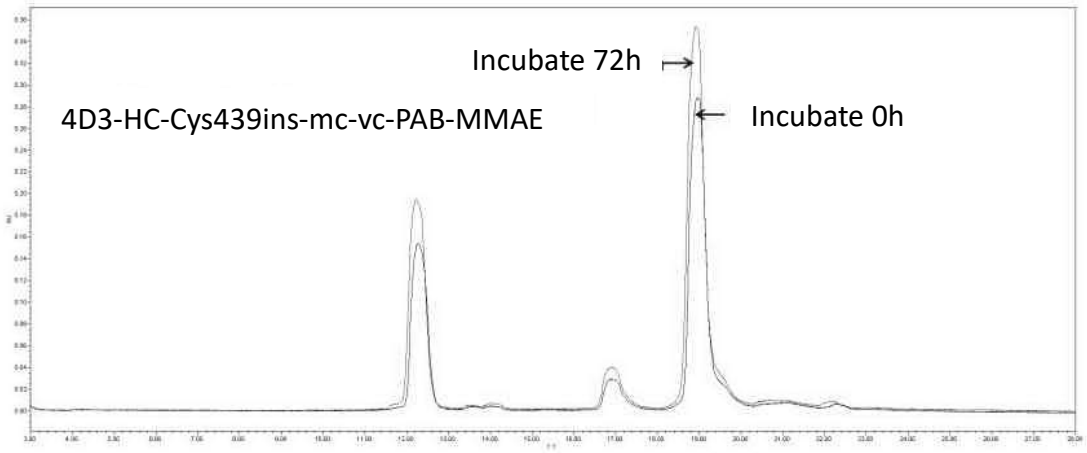
Incubate 72h
4D3-HC-Cys439ins-mc-vc-PAB-MMAE
Incubate 0h

FIG.21

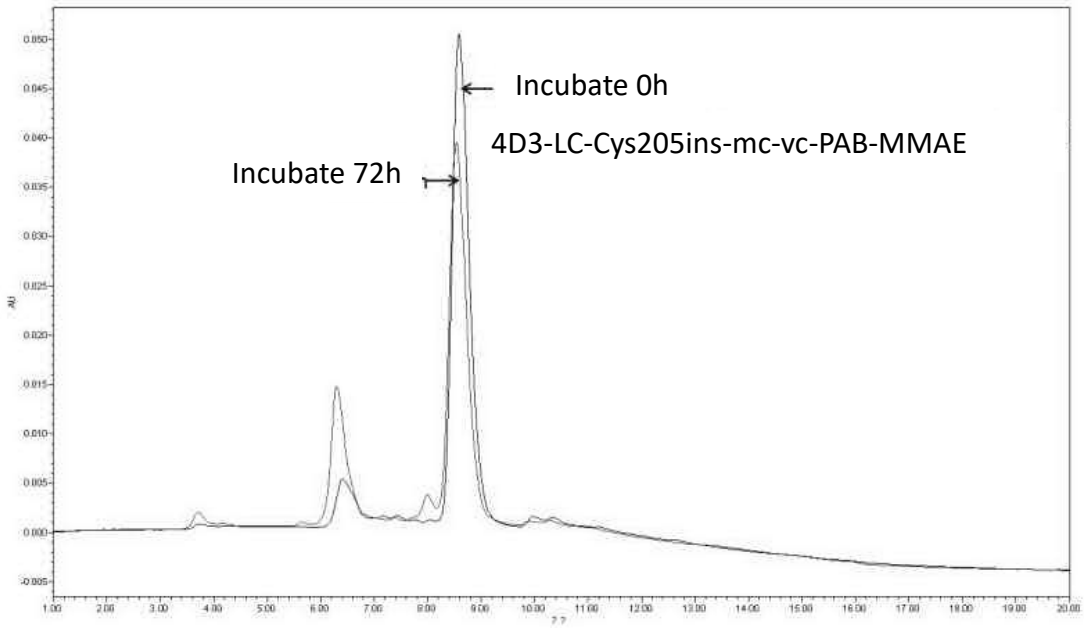
Incubate 0h
4D3-LC-Cys205ins-mc-vc-PAB-MMAE
Incubate 72h
AU

FIG.22

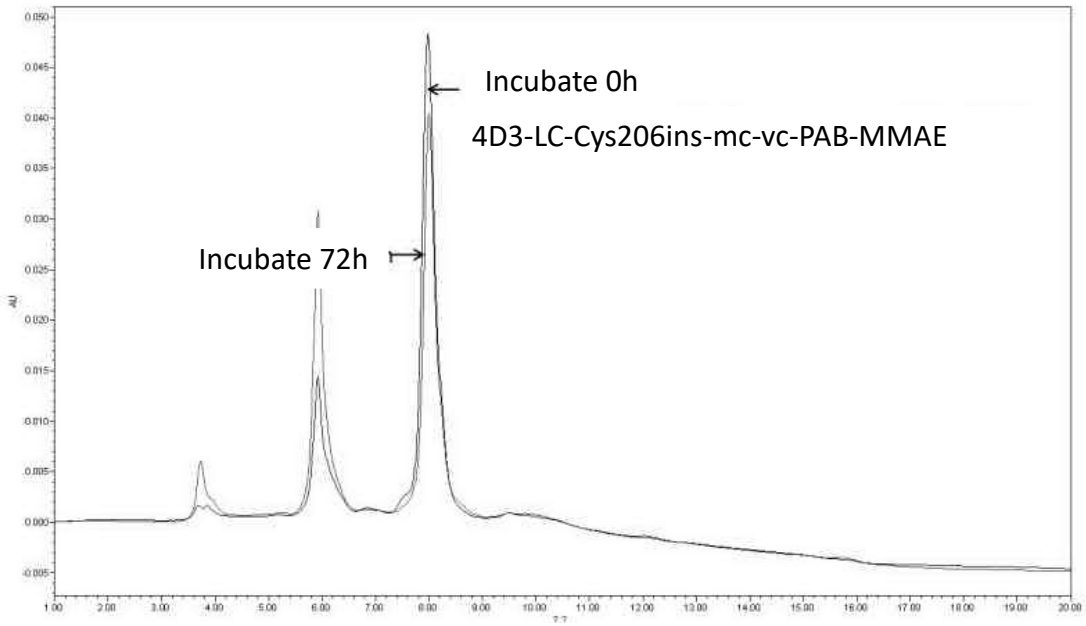
Incubate 0h
4D3-LC-Cys206ins-mc-vc-PAB-MMAE
Incubate 72h
AU

FIG.23

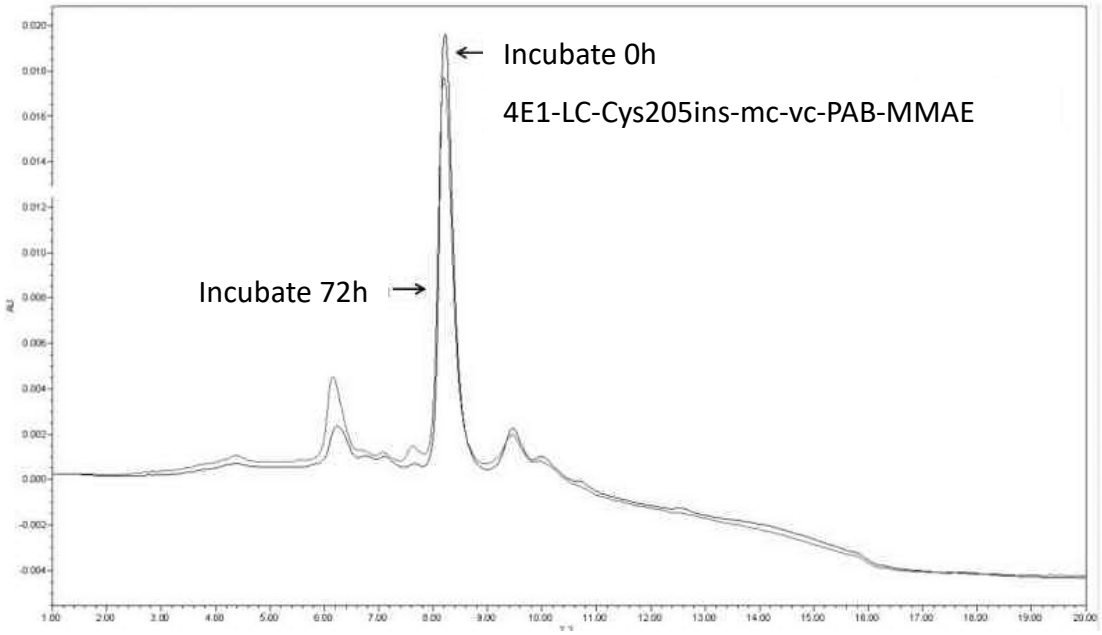
Incubate 0h
4E1-LC-Cys205ins-mc-vc-PAB-MMAE
Incubate 72h

FIG.24

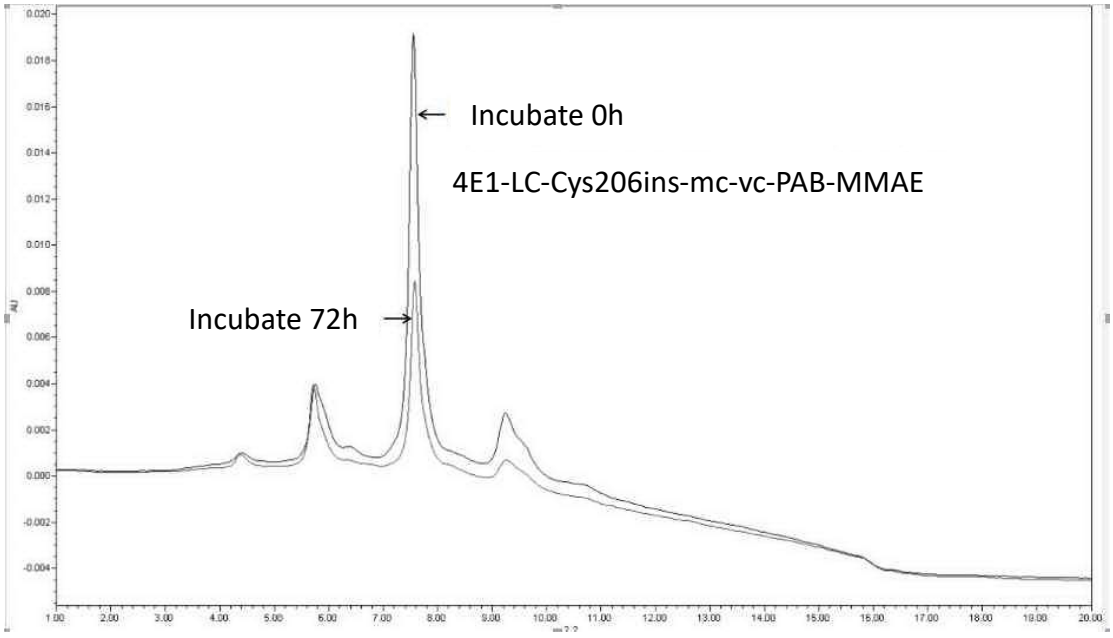
Incubate 0h
4E1-LC-Cys206ins-mc-vc-PAB-MMAE
Incubate 72h

FIG.25

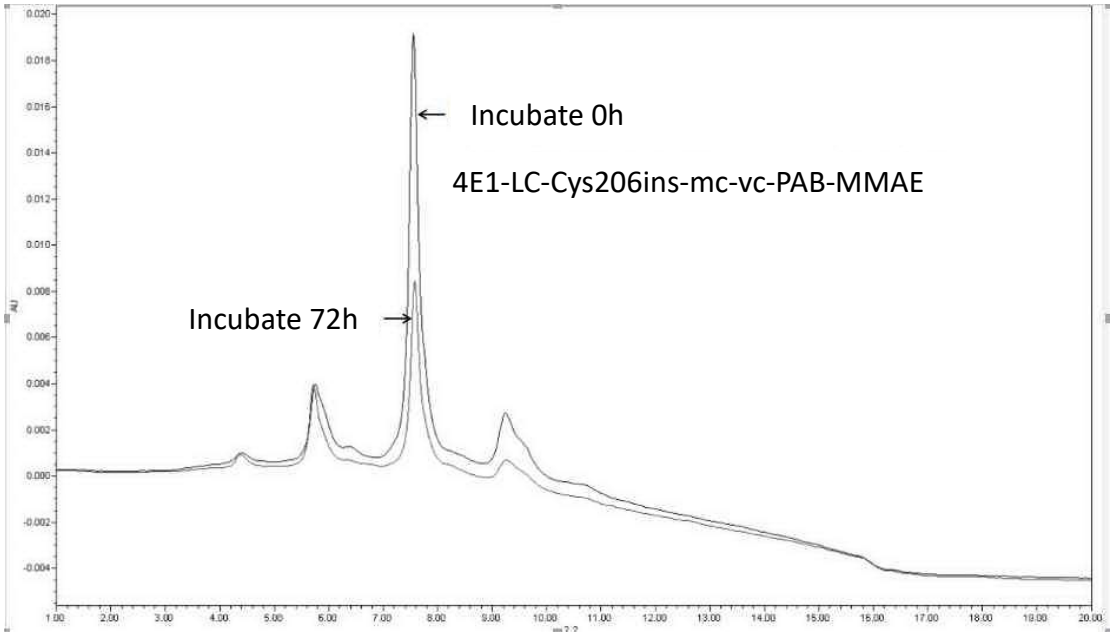

FIG.26

A . Antitumor Acitivity of Trop2 ADC as Single Agents in the Treatment of BXPC-3 Human Pancreatic Cancer Xenograft Model

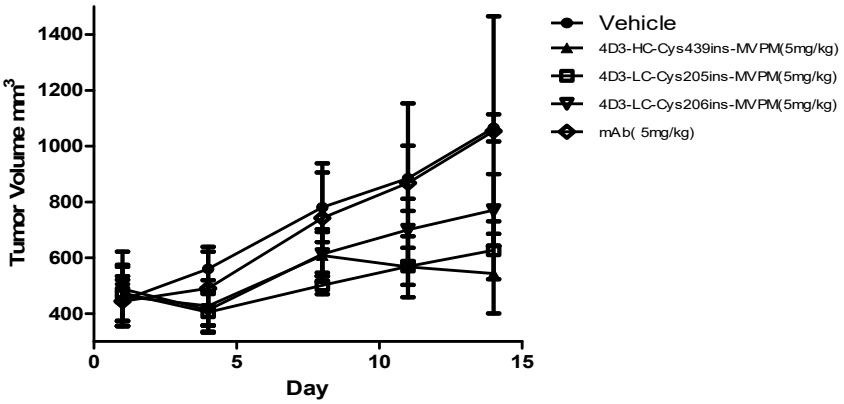

FIG.27

B .The Body Weight Changes of the Mice in the Different Groups

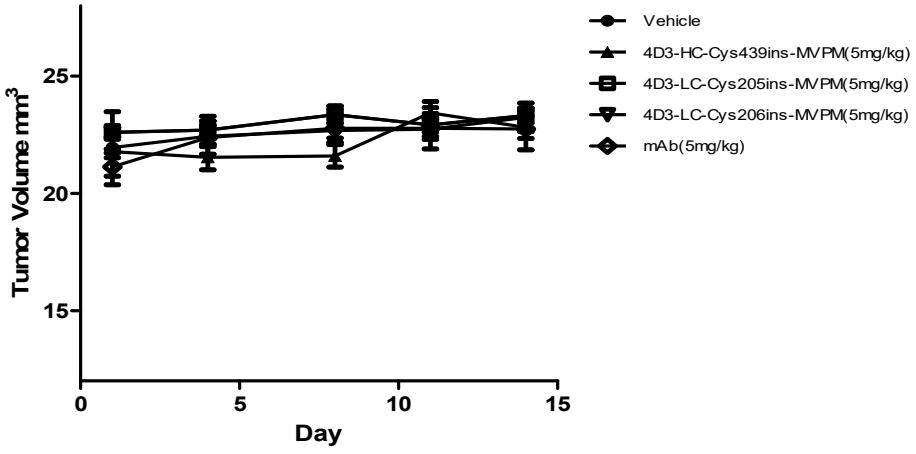

FIG.28