
Michael addition
retro-Michael
maleimide
thiosuccinimide
: antibody
: cytotoxin

图 1

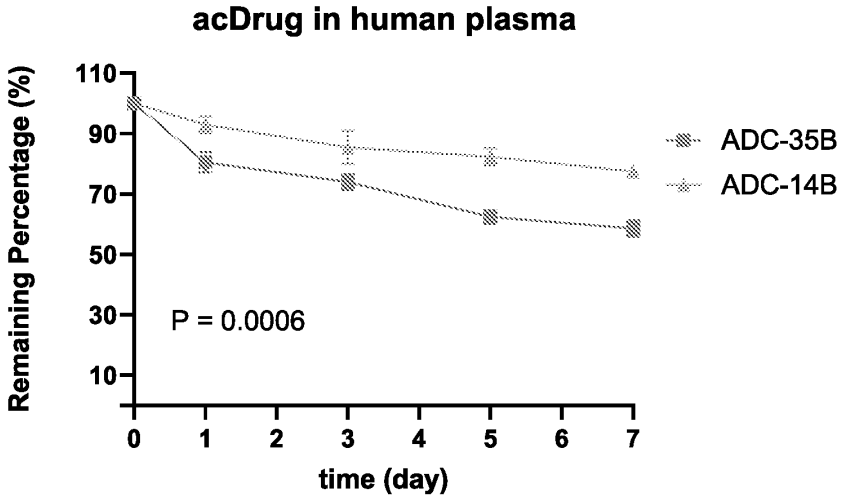
acDrug in human plasma
Remaining Percentage (%)
110
90
70
50
30
10
0
1
2
3
4
5
6
7
time (day)
P = 0.0006
ADC-35B
ADC-14B

图 2

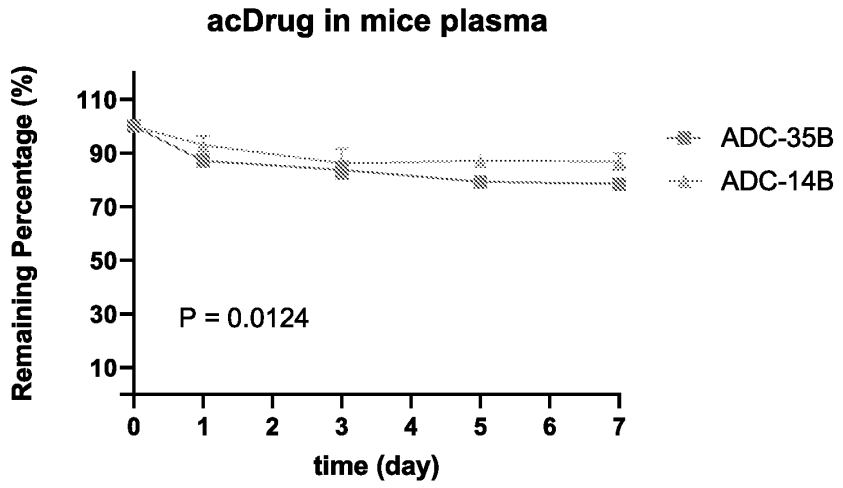
acDrug in mice plasma
Remaining Percentage (%)
110
90
70
50
30
10
0
1
2
3
4
5
6
7
time (day)
P = 0.0124
ADC-35B
ADC-14B

图 3

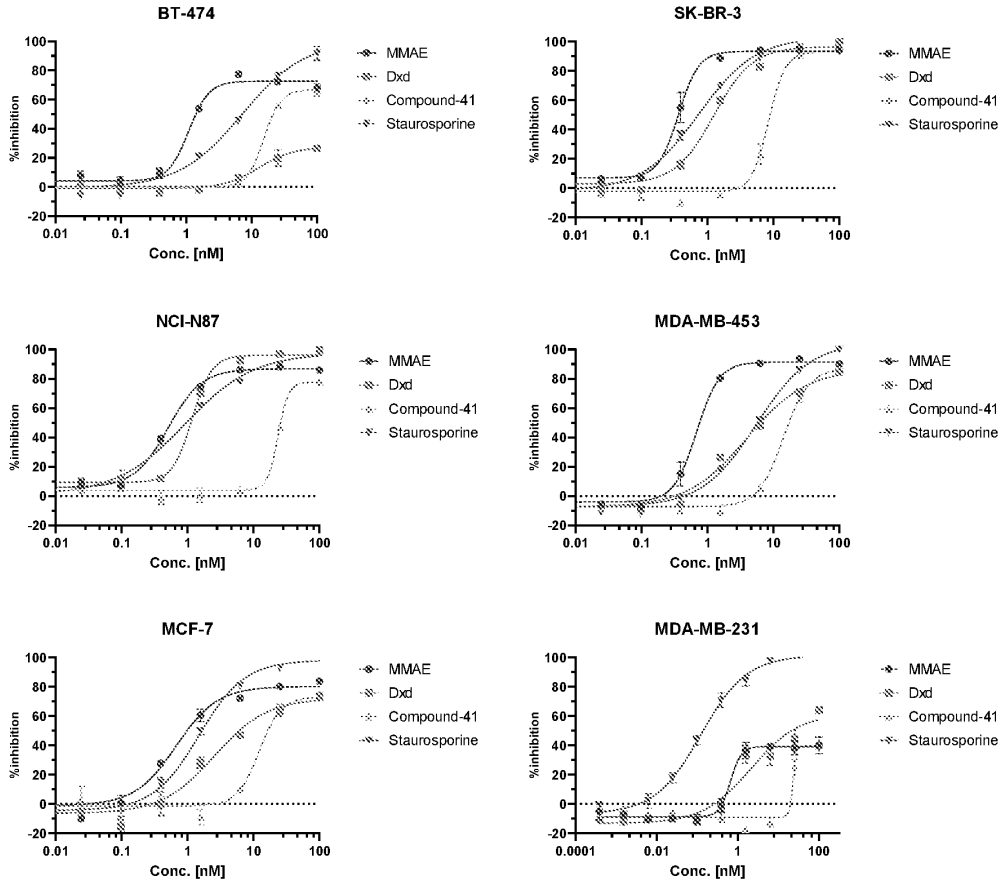
BT-474
SK-BR-3
NCI-N87
MDA-MB-453
MCF-7
MDA-MB-231
%inhibition
Conc. [nM]
MMAE
Dxd
Compound-41
Staurosporine

图 4

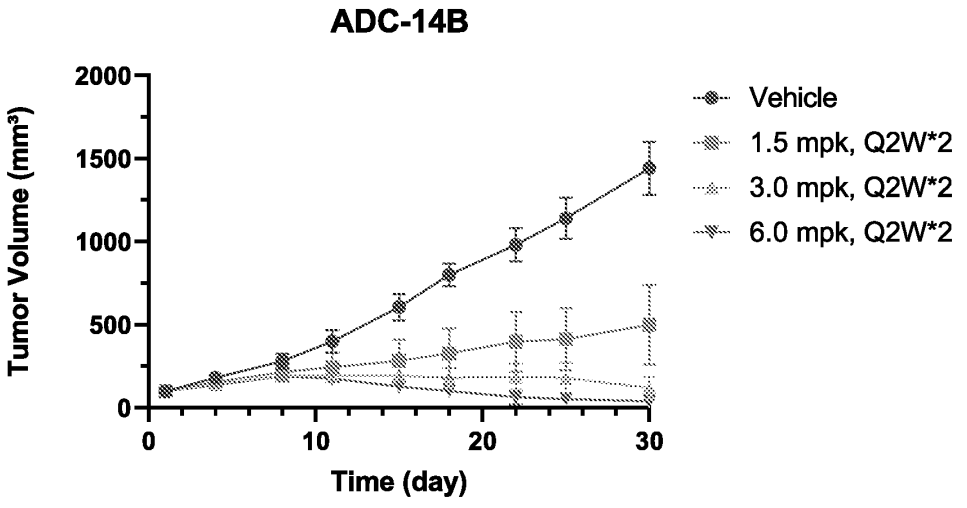
ADC-14B
Tumor Volume (mm³)
Time (day)
Vehicle
1.5 mpk, Q2W*2
3.0 mpk, Q2W*2
6.0 mpk, Q2W*2

图 5

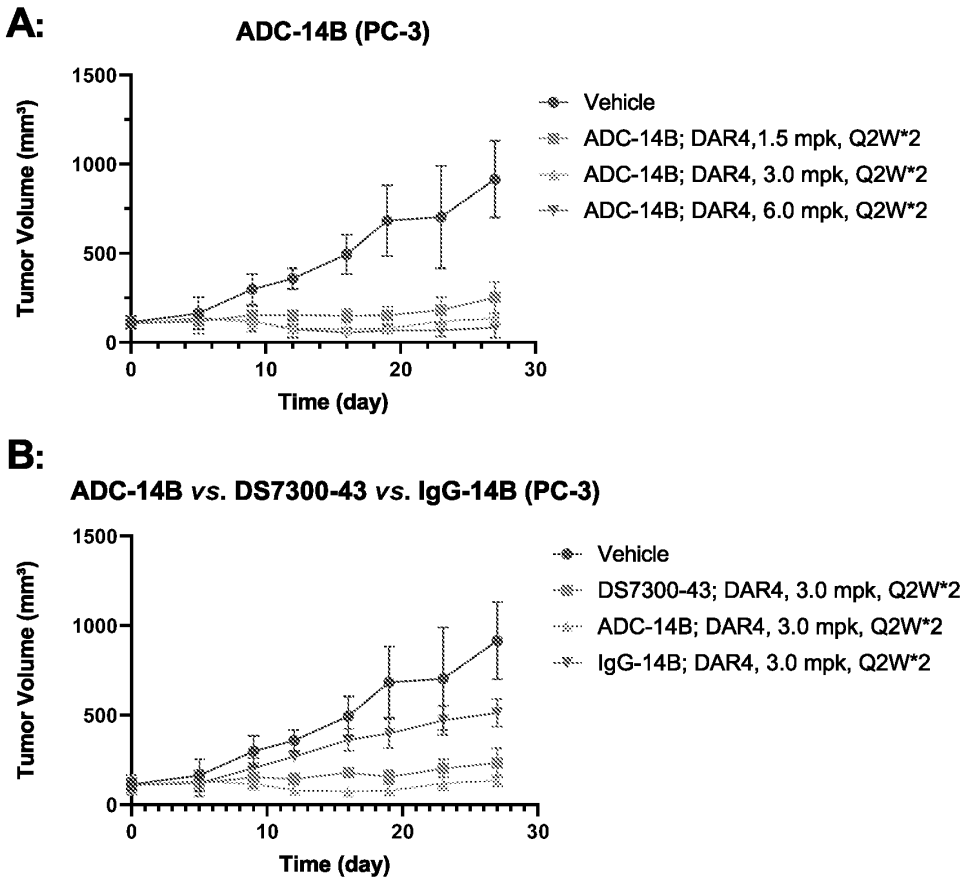
A:
ADC-14B (PC-3)
Tumor Volume (mm³)
1500
1000
500
0
0
10
20
30
Time (day)
Vehicle
ADC-14B; DAR4,1.5 mpk, Q2W*2
ADC-14B; DAR4, 3.0 mpk, Q2W*2
ADC-14B; DAR4, 6.0 mpk, Q2W*2
B:
ADC-14B vs. DS7300-43 vs. IgG-14B (PC-3)
Tumor Volume (mm³)
1500
1000
500
0
0
10
20
30
Time (day)
Vehicle
DS7300-43; DAR4, 3.0 mpk, Q2W*2
ADC-14B; DAR4, 3.0 mpk, Q2W*2
IgG-14B; DAR4, 3.0 mpk, Q2W*2

图 6

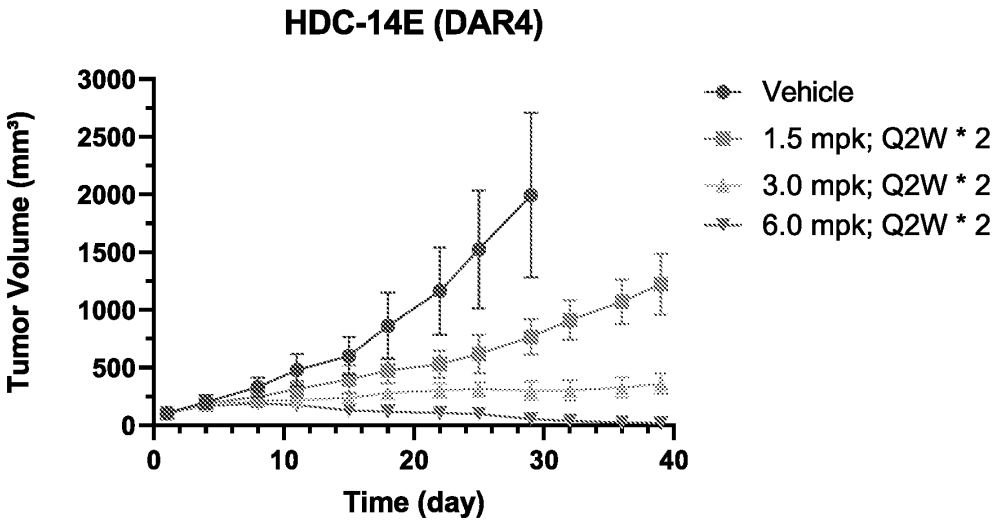
HDC-14E (DAR4)
Tumor Volume (mm³)
3000
2500
2000
1500
1000
500
0
0
10
20
30
40
Time (day)
Vehicle
1.5 mpk; Q2W * 2
3.0 mpk; Q2W * 2
6.0 mpk; Q2W * 2

图 7