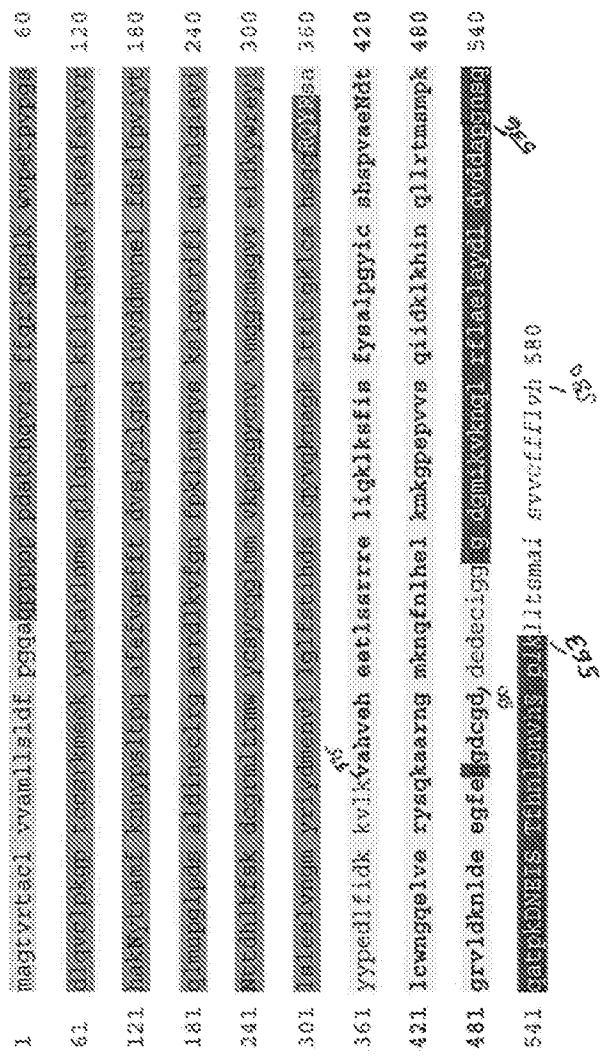


FIGURE 1

FIGURE 2

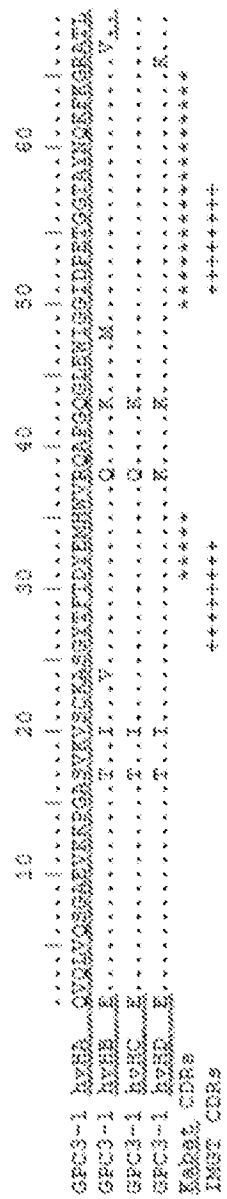


FIGURE 3

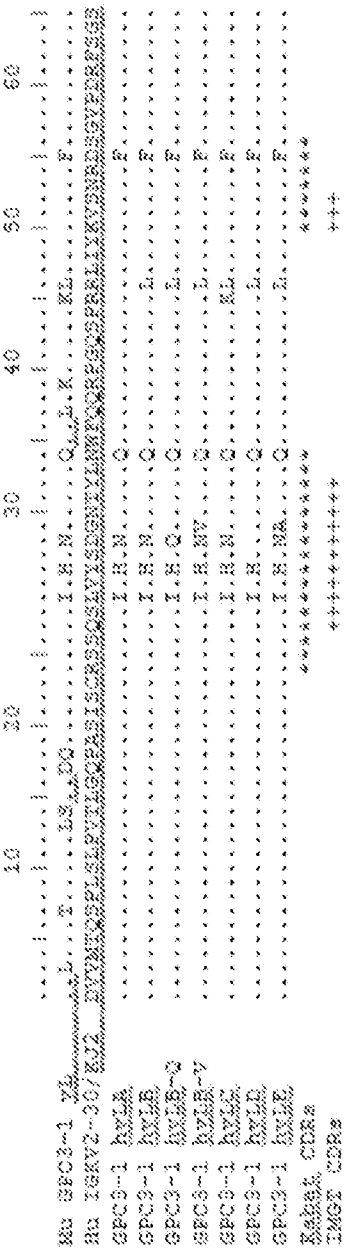
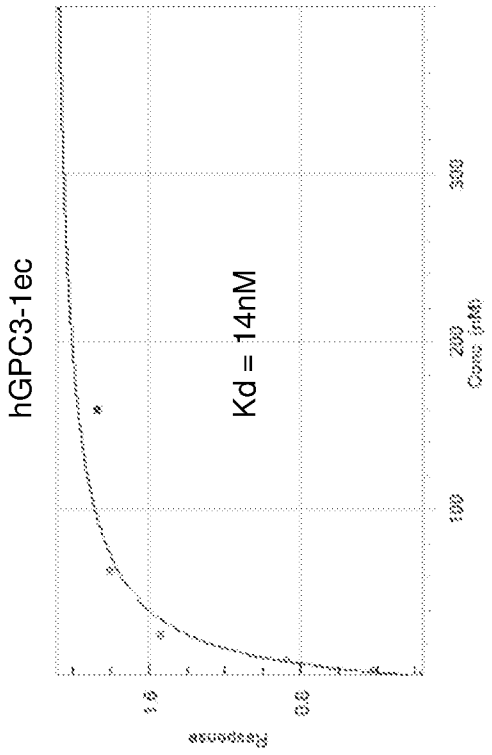


FIGURE 4

FIGURE 5


hGPC3-1ec
Kd = 14nM
Response
1.0
0.0
100
200
300
Conc (nM)

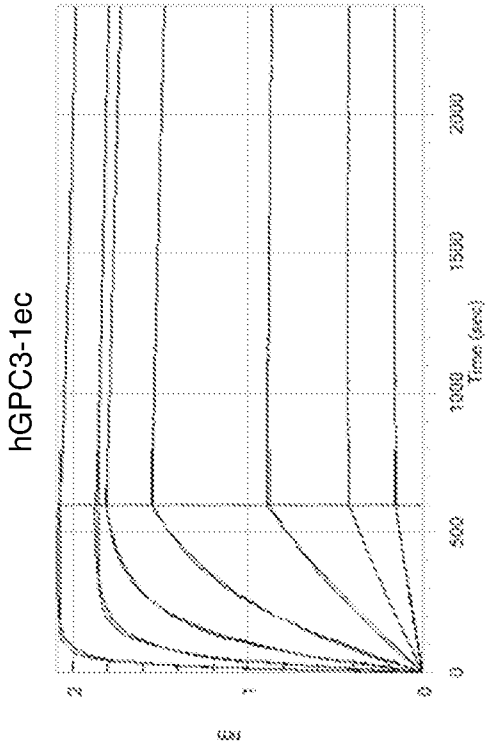

hGPC3-1ec
RU
2
1
0
0
500
1000
1500
2000
Time (sec)

FIGURE 6

Cell Line	GPC3 Density	Potency (IC50 ng/mL)			Efficacy (Min % Viability)		
	GPC3/Cell	▽	△	◇	▽	△	◇
JHH7	80,000	31	8	8	21	20	21
Huh7	40,000	36	9	8	31	32	33
Hep3B	30,000	34	8	5	38	34	41

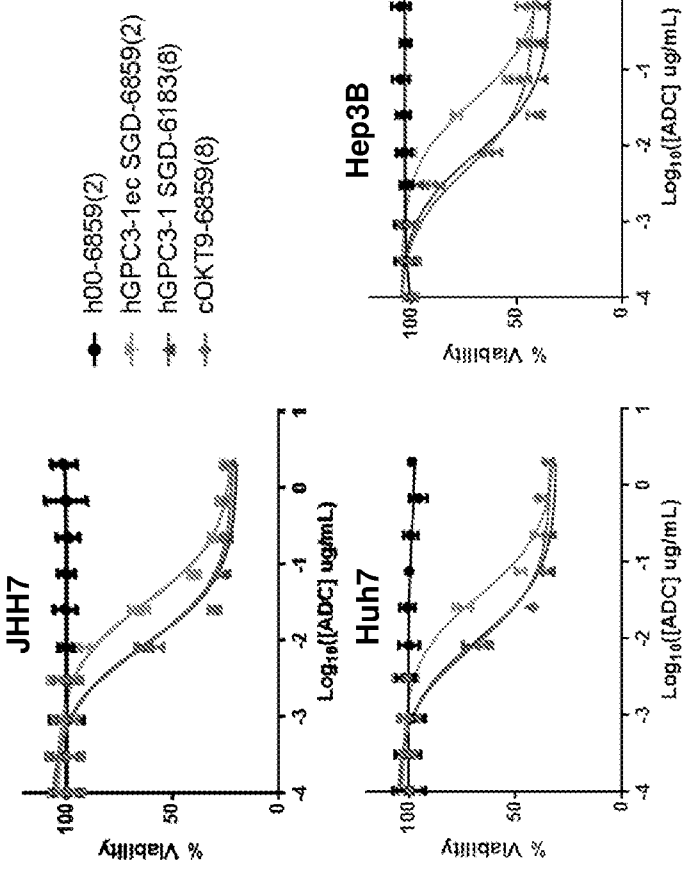


FIGURE 7

Cell Line	GPC3 Density	Potency (IC50 ng/mL)			Efficacy (Min % Viability)		
	GPC3/Cell	▽	△	◇	▽	△	◇
NCI-H661	100,000	39	14	11	44	27	23
NCI-H446	55,000	4	1	0.5	8	1	0
NCI-H524	15,000	17	3	1	**75**	**23**	**3**

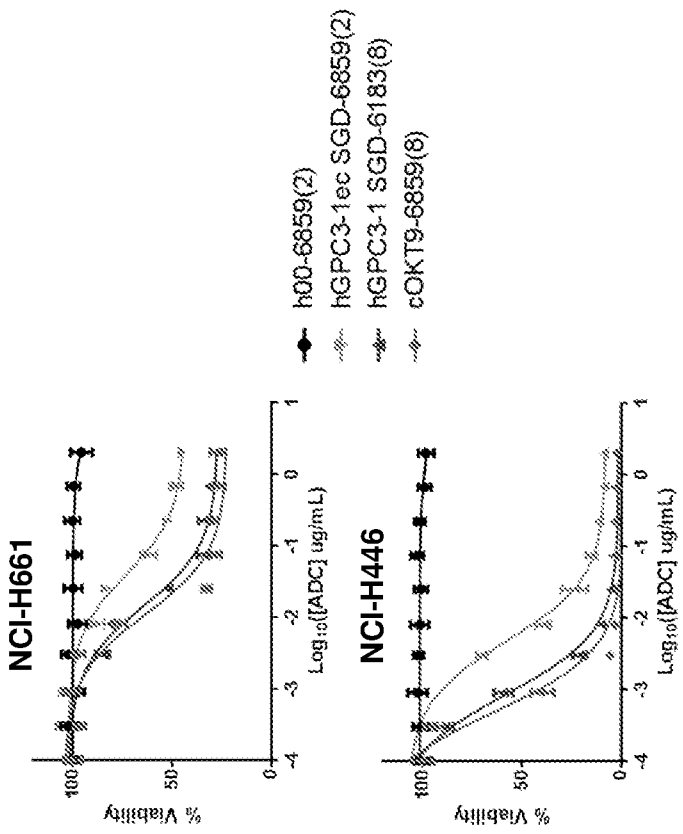


FIGURE 8

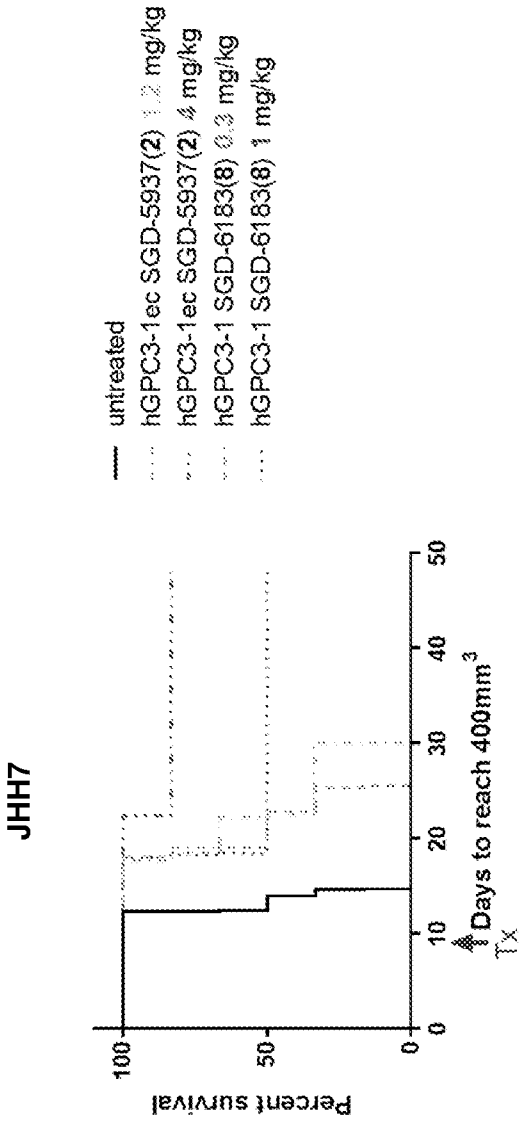

JHH7
untreated
hGPC3-1ec SGD-5937(2) 1.2 mg/kg
hGPC3-1ec SGD-5937(2) 4 mg/kg
hGPC3-1 SGD-6183(8) 0.3 mg/kg
hGPC3-1 SGD-6183(8) 1 mg/kg
Percent survival
100
50
0
0
10
20
30
40
50
Days to reach 400mm3
Tx

FIGURE 9

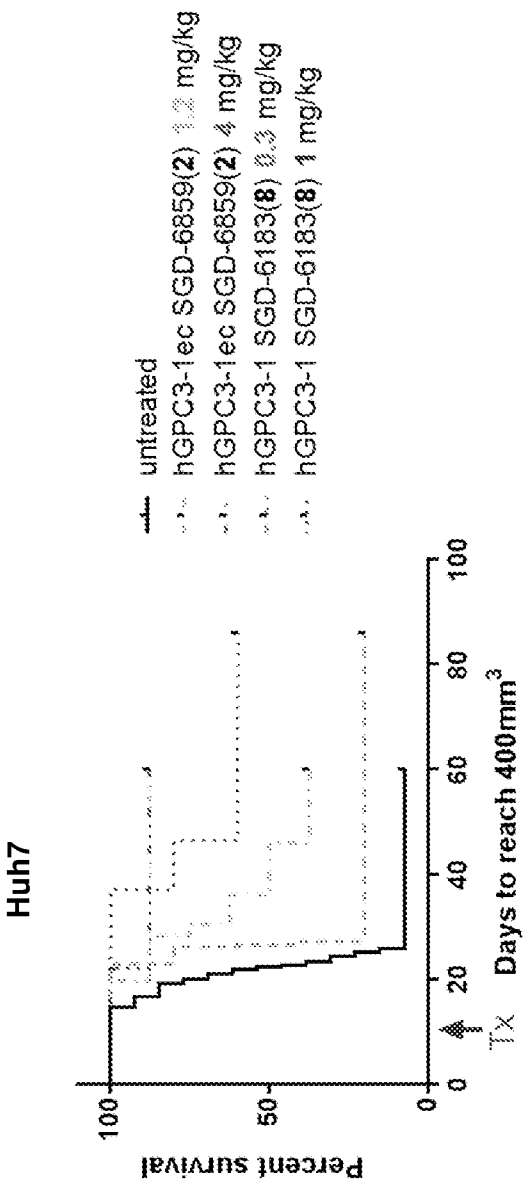

Huh7
untreated
hGPC3-1ec SGD-6859(2) 1.2 mg/kg
hGPC3-1ec SGD-6859(2) 4 mg/kg
hGPC3-1 SGD-6183(8) 0.3 mg/kg
hGPC3-1 SGD-6183(8) 1 mg/kg
Percent survival
100
50
0
0
20
40
60
80
100
TX
Days to reach 400mm3

FIGURE 10

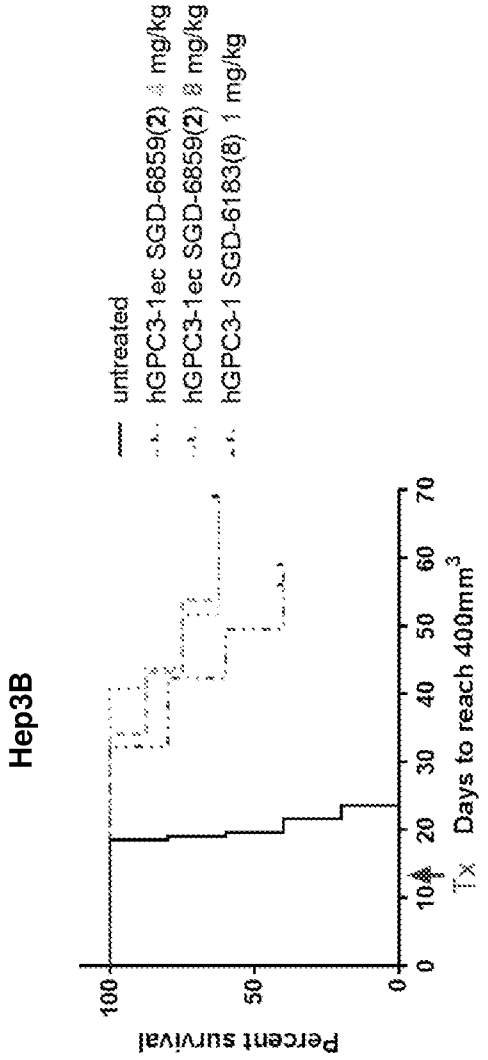

Hep3B
untreated
hGPC3-1ec SGD-6859(2) 4 mg/kg
hGPC3-1ec SGD-6859(2) 2 mg/kg
hGPC3-1 SGD-6183(8) 1 mg/kg
Percent survival
100
50
0
0
10
20
30
40
50
60
70
Days to reach 400mm3

FIGURE 11

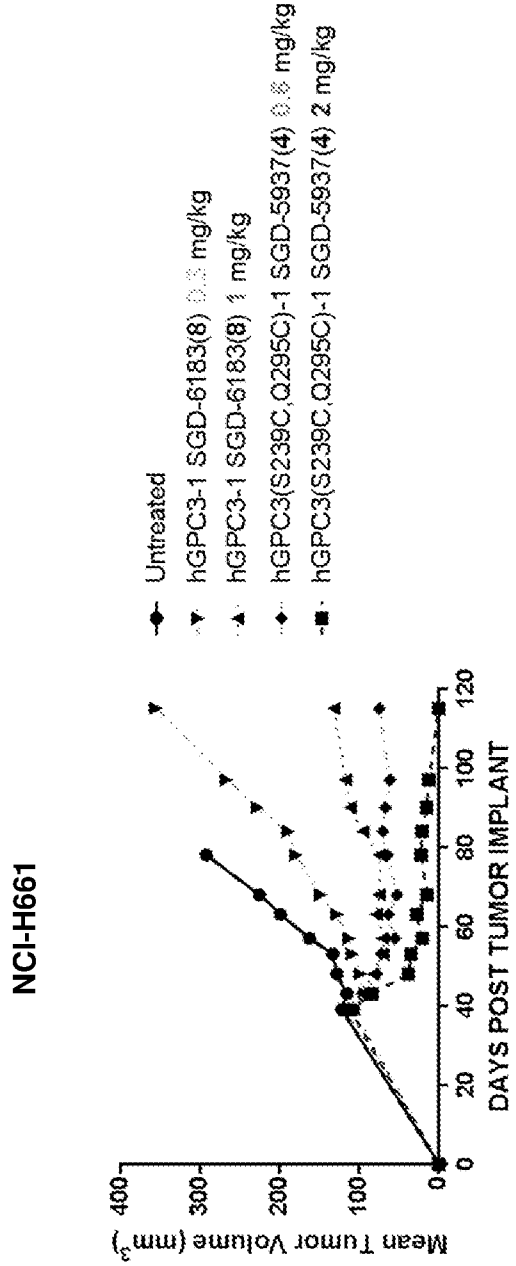

NCI-H661
Mean Tumor Volume (mm3)
400
300
200
100
0
0
20
40
60
80
100
120
DAYS POST TUMOR IMPLANT
Untreated
hGPC3-1 SGD-6183(8) 0.5 mg/kg
hGPC3-1 SGD-6183(8) 1 mg/kg
hGPC3(S239C,Q295C)-1 SGD-5937(4) 0.5 mg/kg
hGPC3(S239C,Q295C)-1 SGD-5937(4) 2 mg/kg

FIGURE 12

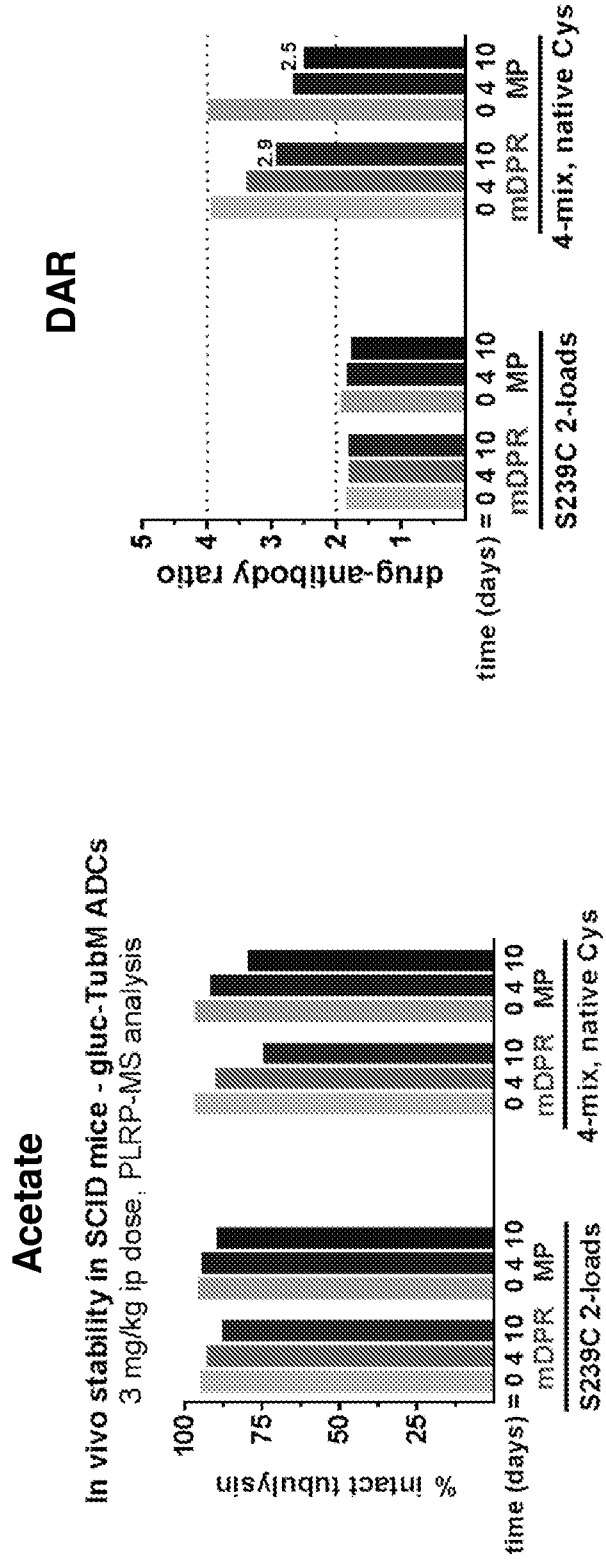

DAR
drug-antibody ratio
5
4
3
2
1
2.9
2.5
time (days) = 0 4 10 0 4 10 0 4 10 0 4 10
mDPR MP mDPR MP
S239C 2-loads
4-mix, native Cys
Acetate
In vivo stability in SCID mice - gluc-TubM ADCs
3 mg/kg ip dose, PLRP-MS analysis
% intact tubulysin
100
75
50
25
time (days) = 0 4 10 0 4 10 0 4 10 0 4 10
mDPR MP mDPR MP
S239C 2-loads
4-mix, native Cys

FIGURE 13