FIGURE 1

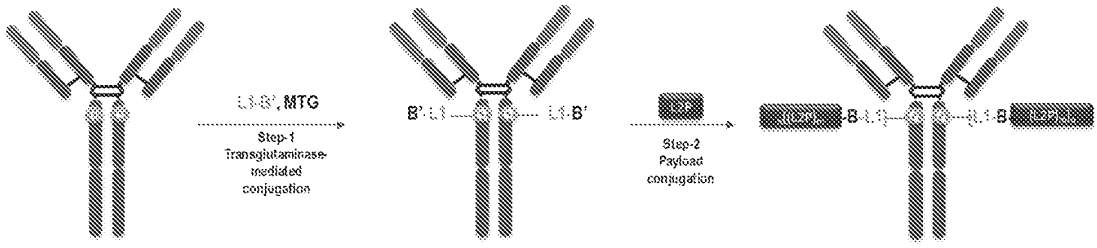


FIGURE 2

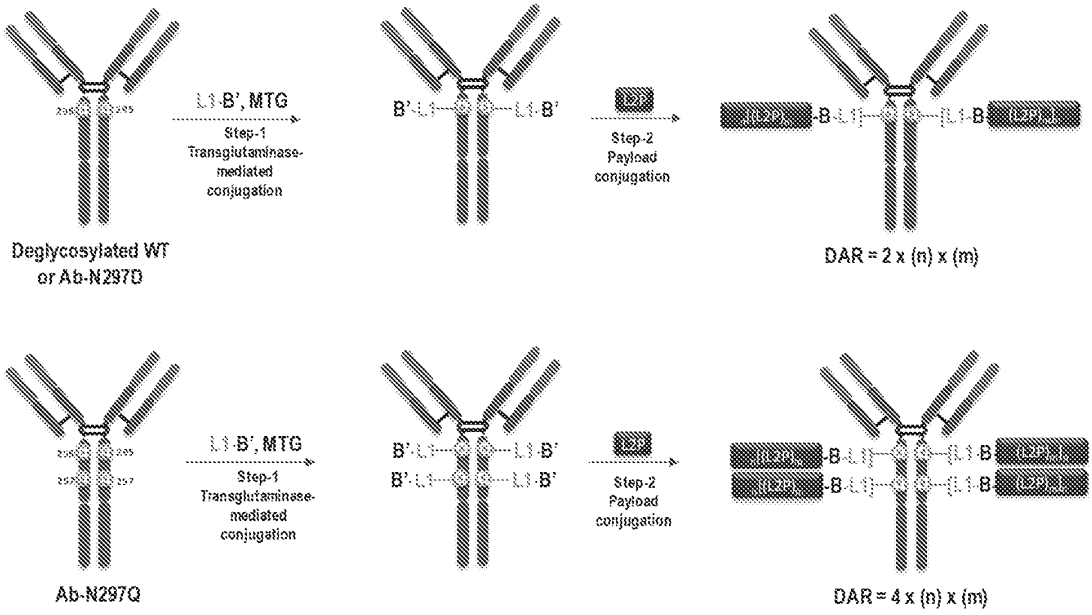
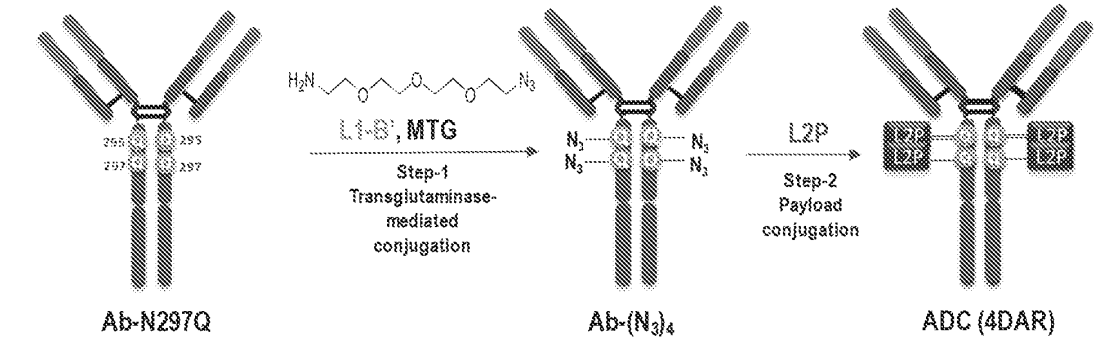


FIGURE 3A


L1-B', MTG
Step-1
Transglutaminase-mediated conjugation
L2P
Step-2
Payload conjugation
Ab-N297Q
Ab-(N3)4
ADC (4DAR)

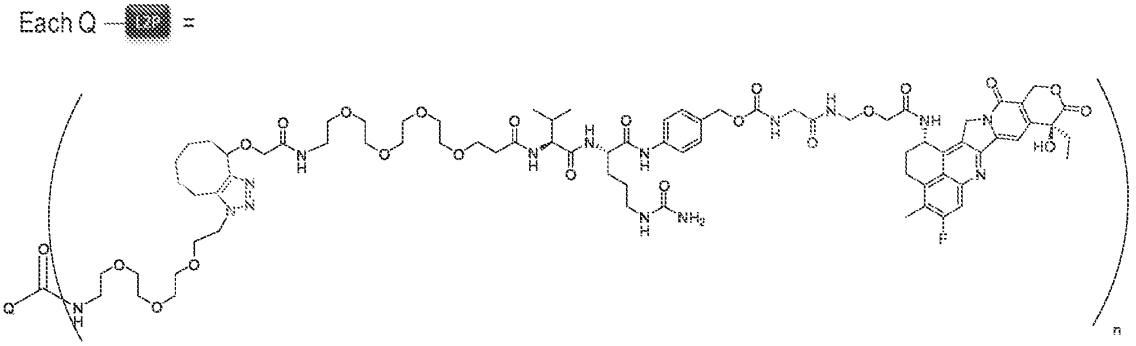

Each Q — L2P =

FIGURE 3B

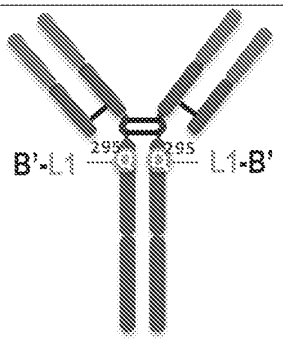
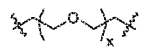
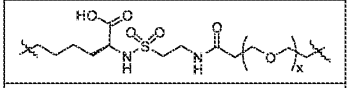
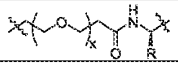
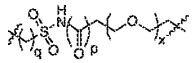
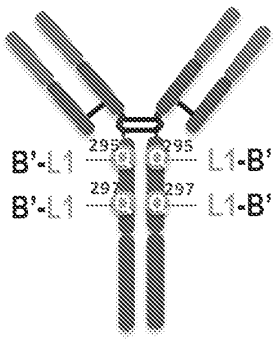
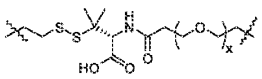
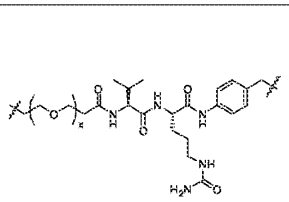
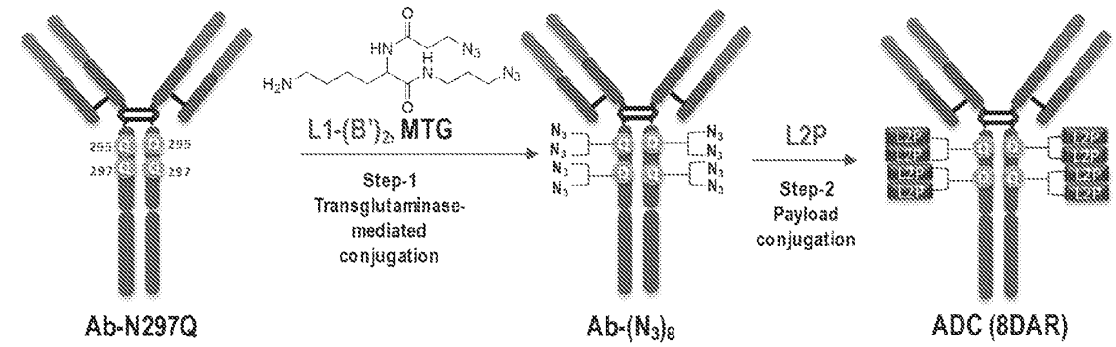
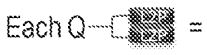
General structure	DAR	L1-B' (NH_2-L1-B' can be chosen from Table 7)	
		L1	B'
	2	Peptides	$-N_3$
			
			
			
			
	4		
			

FIGURE 4A



Each Q = 

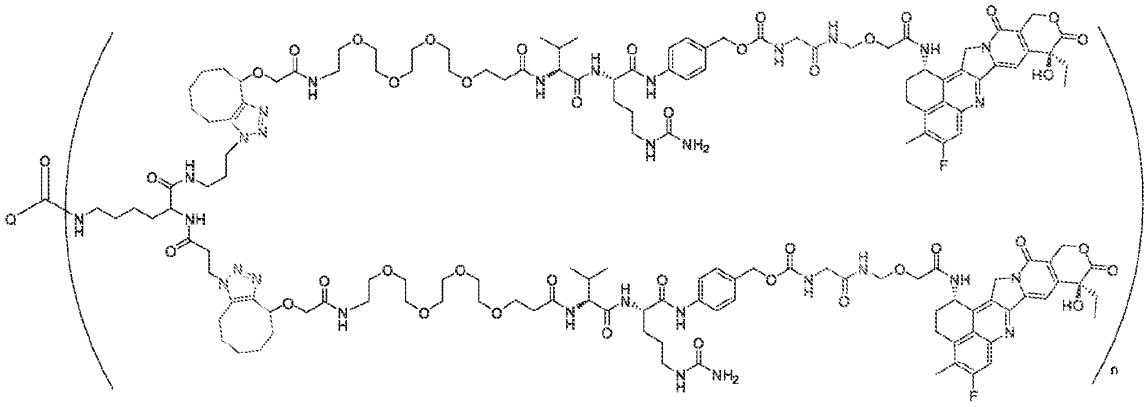


FIGURE 4B

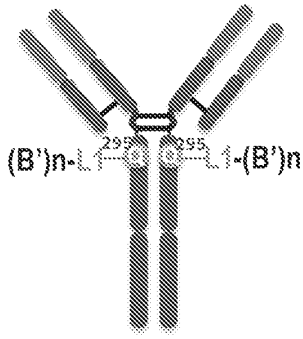
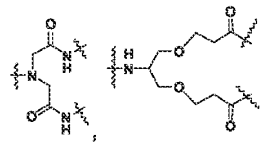
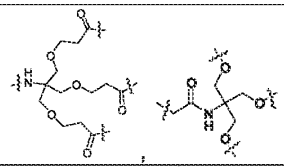
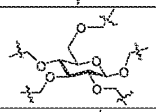
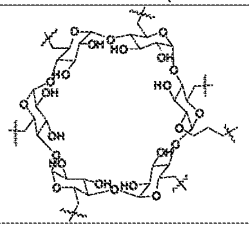
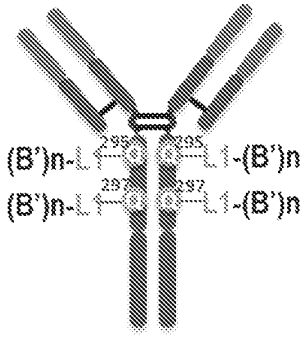
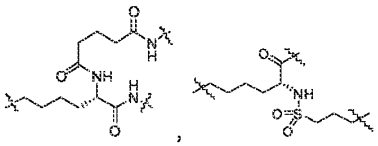
General structure	group	n	L1
	A	2	
	B	3	
	C	4	
	D	6	
	E		

FIGURE 5

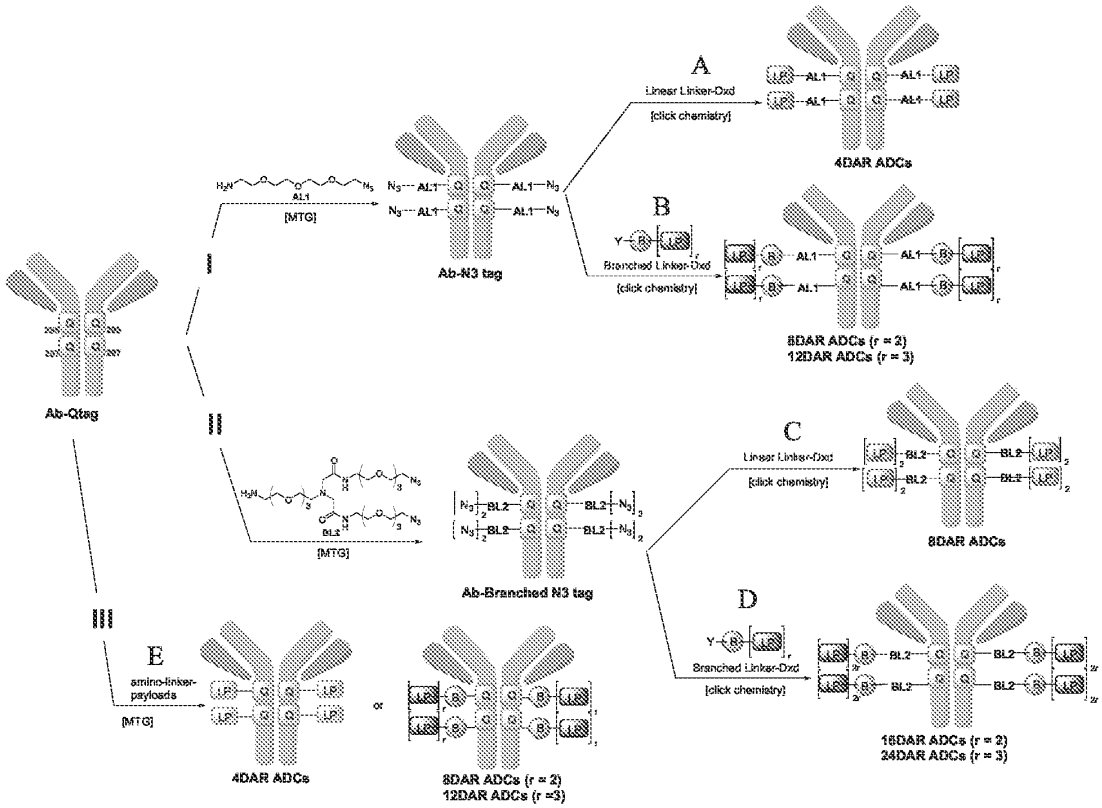

Ab-Qtag
I
II
III
[MTG]
Ab-N3 tag
Ab-Branched N3 tag
A
Linear Linker-Dxd
[click chemistry]
4DAR ADCs
B
Branched Linker-Dxd
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
C
8DAR ADCs
D
16DAR ADCs (r = 2)
24DAR ADCs (r = 3)
E
amino-linker-payloads
or
4DAR ADCs
8DAR ADCs (r = 2)
12DAR ADCs (r =3)

FIGURE 6

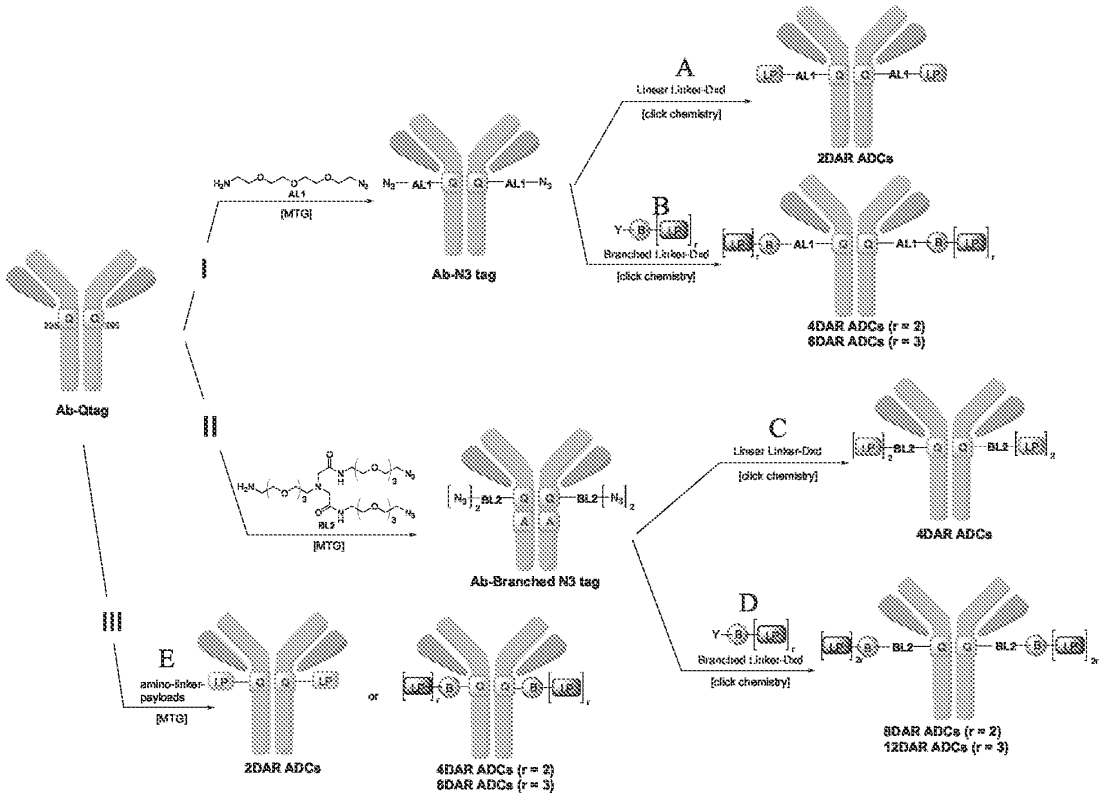

Ab-Qtag
[MTG]
Ab-N3 tag
A
Linear Linker-Dxd
[click chemistry]
2DAR ADCs
B
Branched Linker-Dxd
[click chemistry]
4DAR ADCs (r = 2)
8DAR ADCs (r = 3)
[MTG]
Ab-Branched N3 tag
C
Linear Linker-Dxd
[click chemistry]
4DAR ADCs
D
Branched Linker-Dxd
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
E
amino-linker-payloads
[MTG]
2DAR ADCs
or
4DAR ADCs (r = 2)
8DAR ADCs (r = 3)

FIGURE 7A

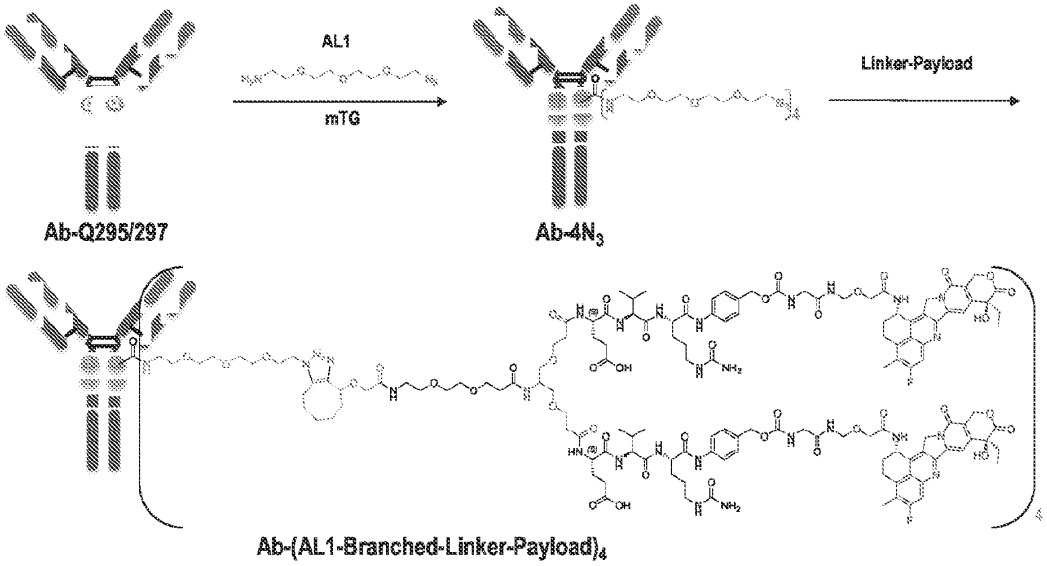


FIGURE 7B

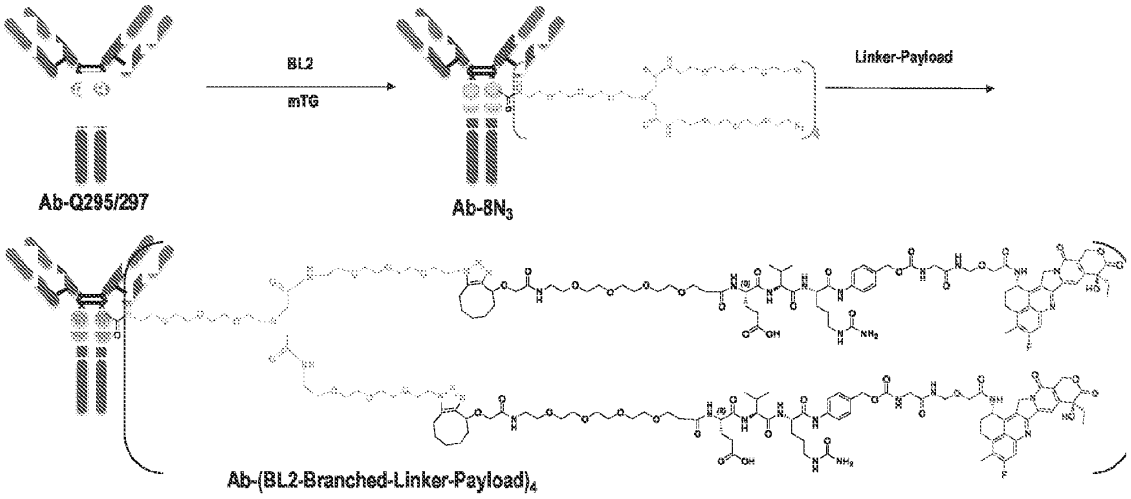


FIGURE 7C

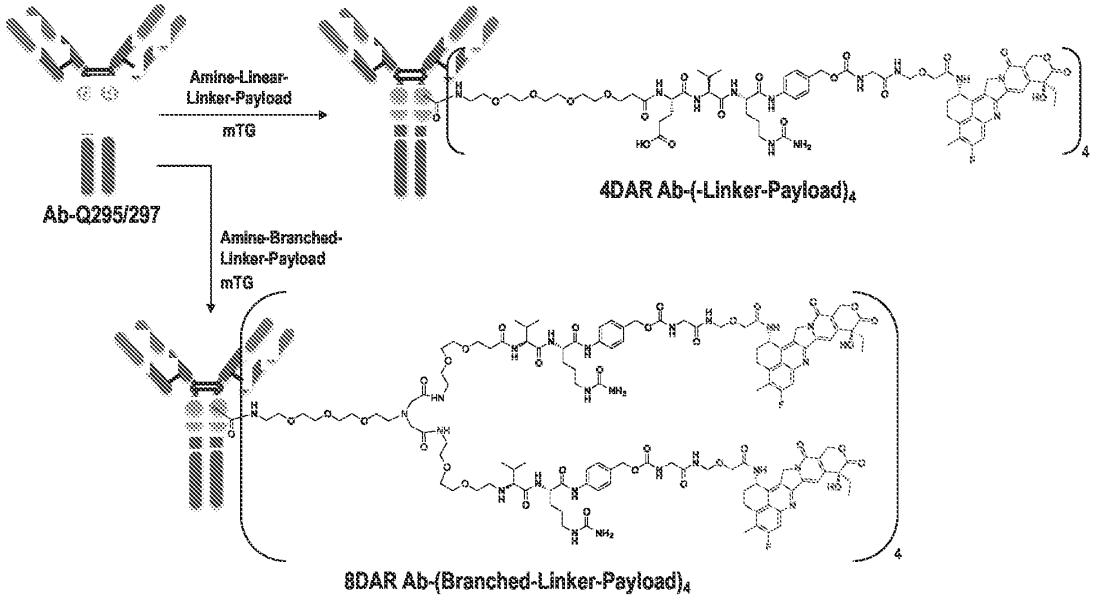

Amine-Linear-Linker-Payload
mTG
Ab-Q295/297
4DAR Ab-(-Linker-Payload)4
Amine-Branched-Linker-Payload
mTG
8DAR Ab-(Branched-Linker-Payload)4

FIGURE 8A

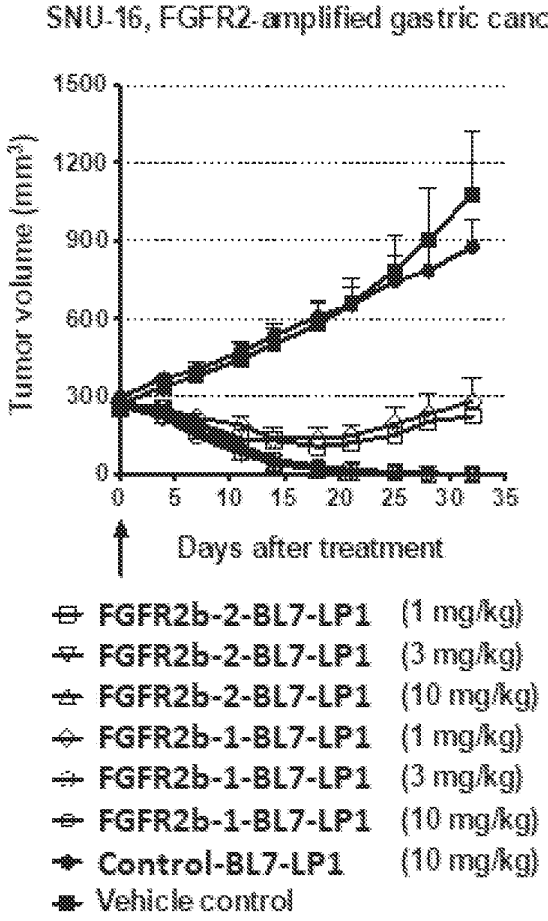

SNU-16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1500
1200
900
600
300
0
0 5 10 15 20 25 30 35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1 (10 mg/kg)
Vehicle control

FIGURE 8B

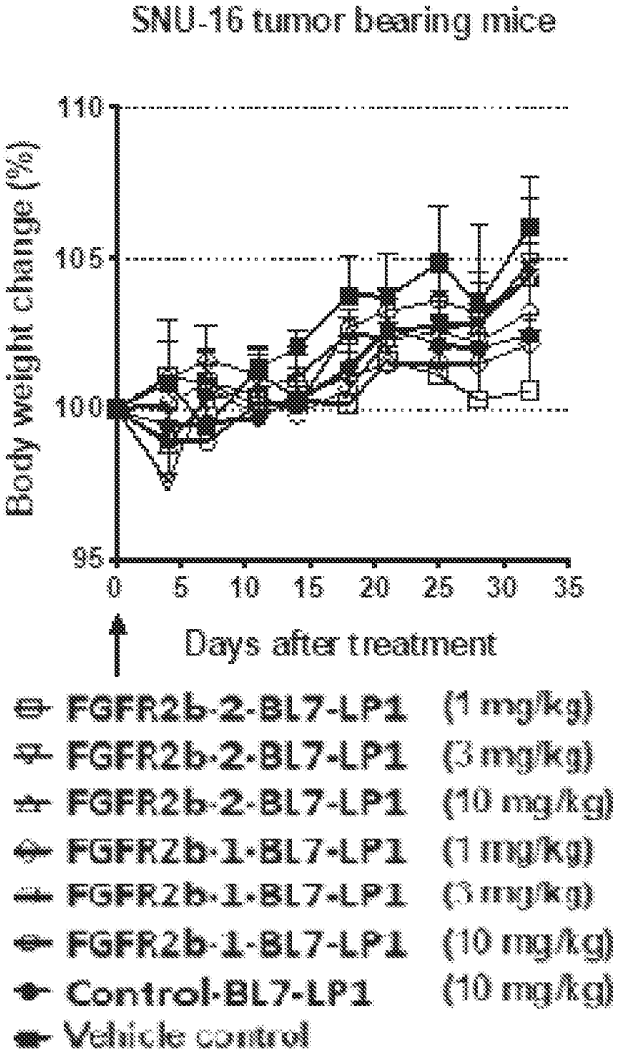

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
0
5
10
15
20
25
30
35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1 (10 mg/kg)
Vehicle control

FIGURE 9A

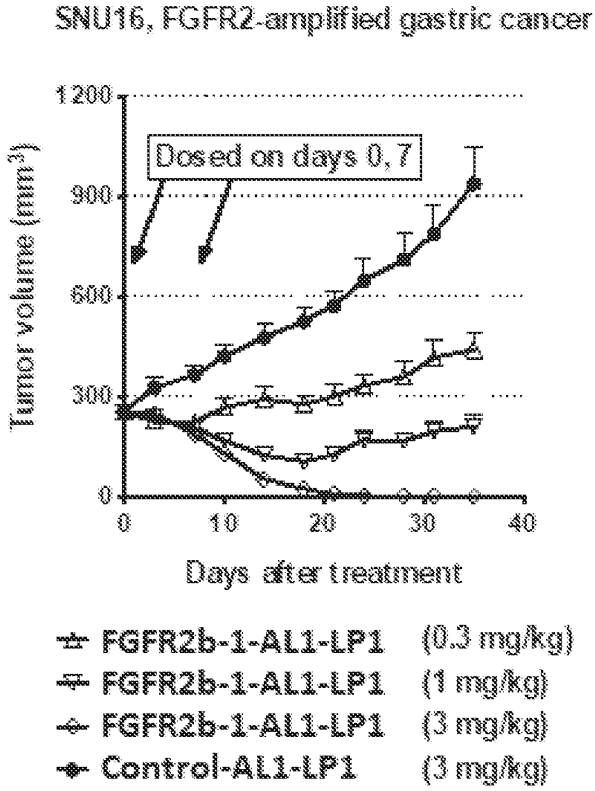

SNU16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1200
900
600
300
0
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 9B

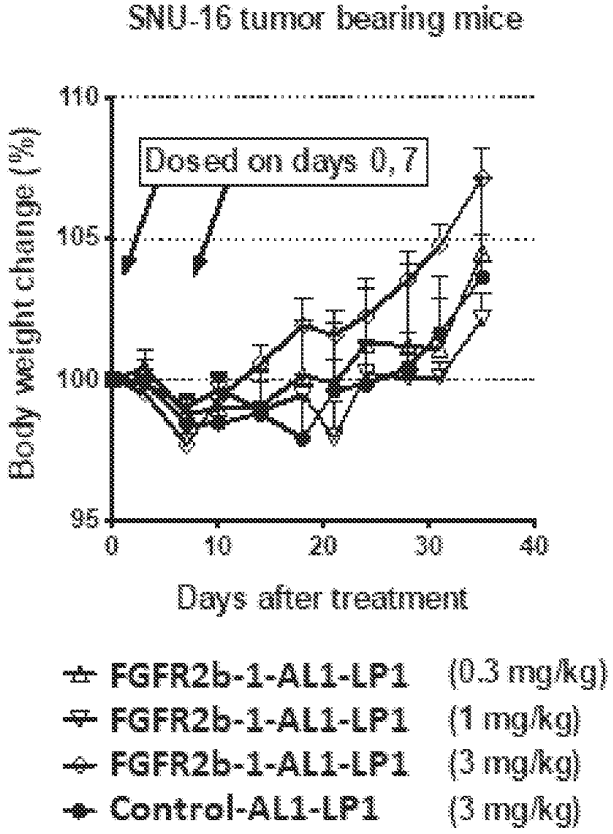
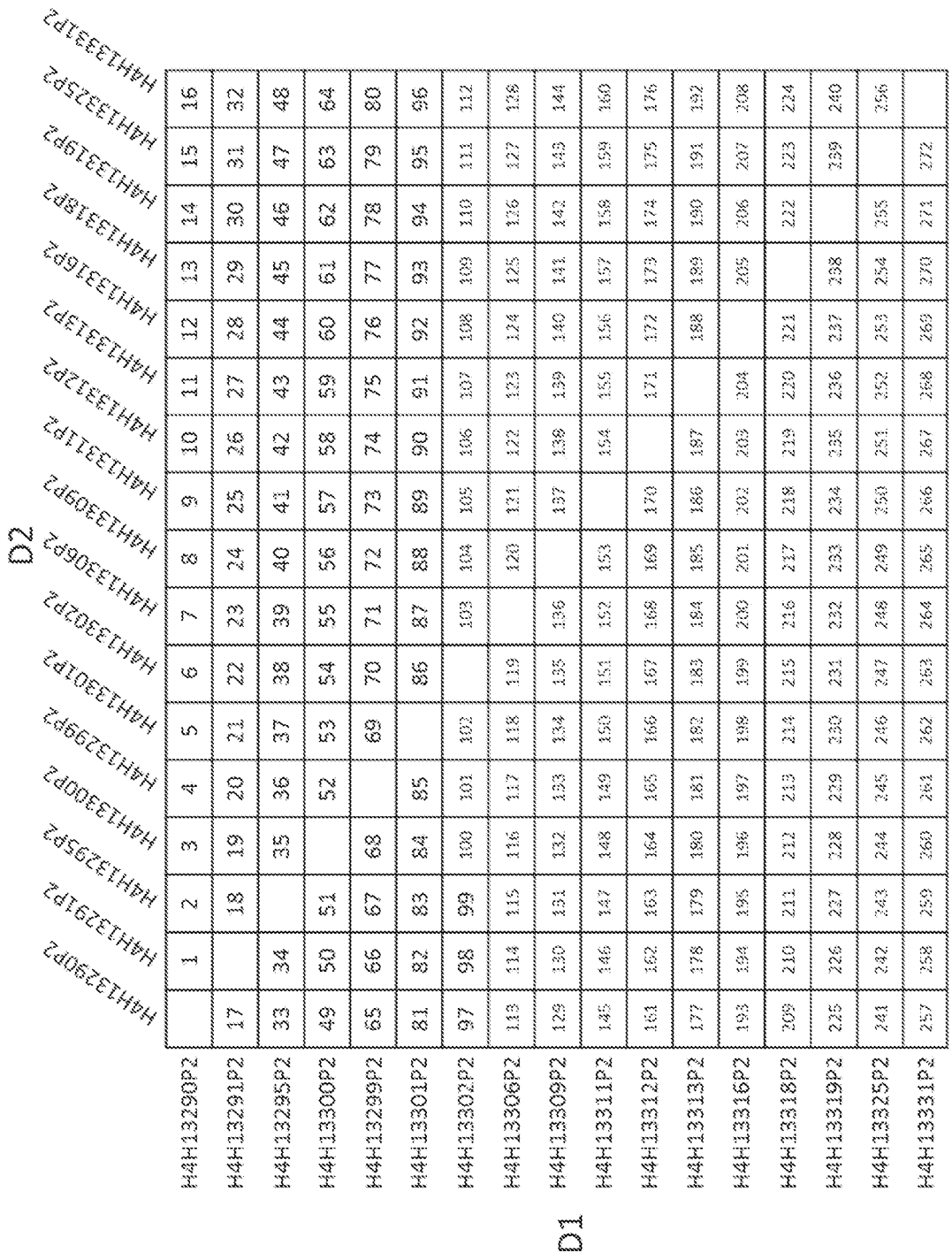

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 10



	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16
H4H13290P2		17	33	49	65	81	97	113	129	145	161	177	193	209	225	241	257
H4H13291P2	1		34	50	66	82	98	114	130	146	162	178	194	210	226	242	258
H4H13295P2	2	18		51	67	83	99	115	131	147	163	179	195	211	227	243	259
H4H13300P2	3	19	35		68	84	100	116	132	148	164	180	196	212	228	244	260
H4H13299P2	4	20	36	52		85	101	117	133	149	165	181	197	213	229	245	261
H4H13301P2	5	21	37	53	69		102	118	134	150	166	182	198	214	230	246	262
H4H13302P2	6	22	38	54	70	86		119	135	151	167	183	199	215	231	247	263
H4H13306P2	7	23	39	55	71	87	103		136	152	168	184	200	216	232	248	264
H4H13309P2	8	24	40	56	72	88	104	120		153	169	185	201	217	233	249	265
H4H13311P2	9	25	41	57	73	89	105	121	137		170	186	202	218	234	250	266
H4H13312P2	10	26	42	58	74	90	106	122	138	154		187	203	219	235	251	267
H4H13313P2	11	27	43	59	75	91	107	123	139	155	171		204	220	236	252	268
H4H13316P2	12	28	44	60	76	92	108	124	140	156	172	188		221	237	253	269
H4H13318P2	13	29	45	61	77	93	109	125	141	157	173	189	205		238	254	270
H4H13319P2	14	30	46	62	78	94	110	126	142	158	174	190	206	222		255	271
H4H13325P2	15	31	47	63	79	95	111	127	143	159	175	191	207	223	239		272
H4H13331P2	16	32	48	64	80	96	112	128	144	160	176	192	208	224	240	256	