FIGURE 1

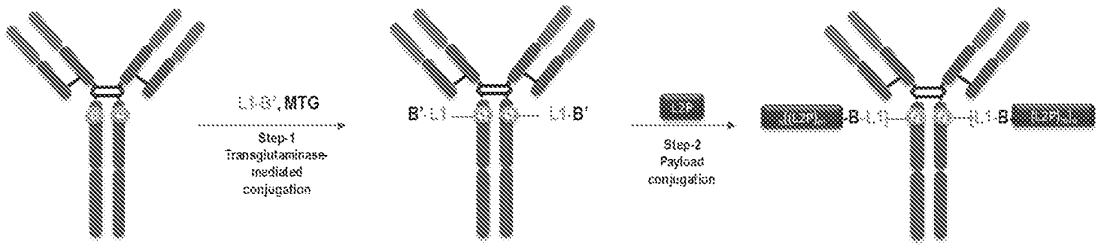


FIGURE 2

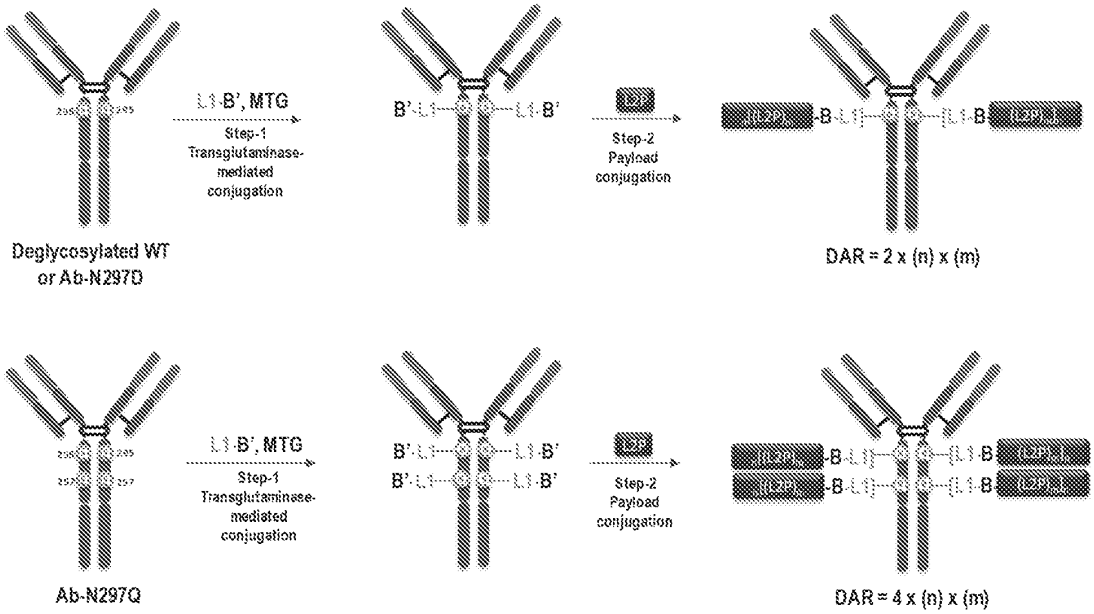
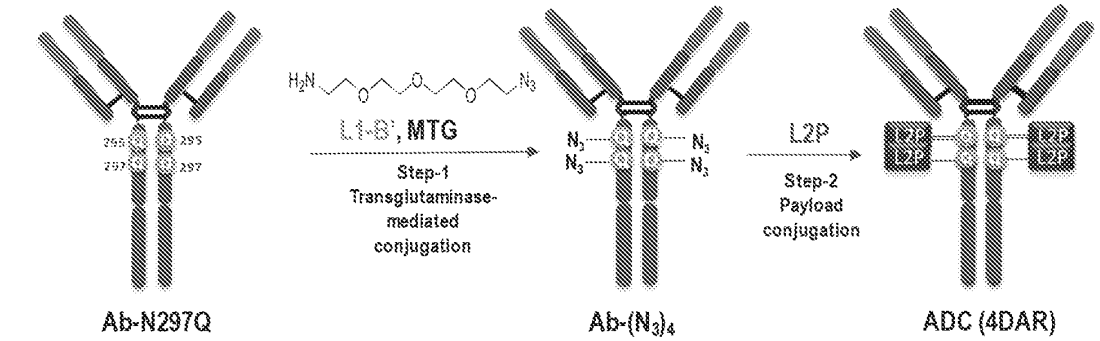


FIGURE 3A


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

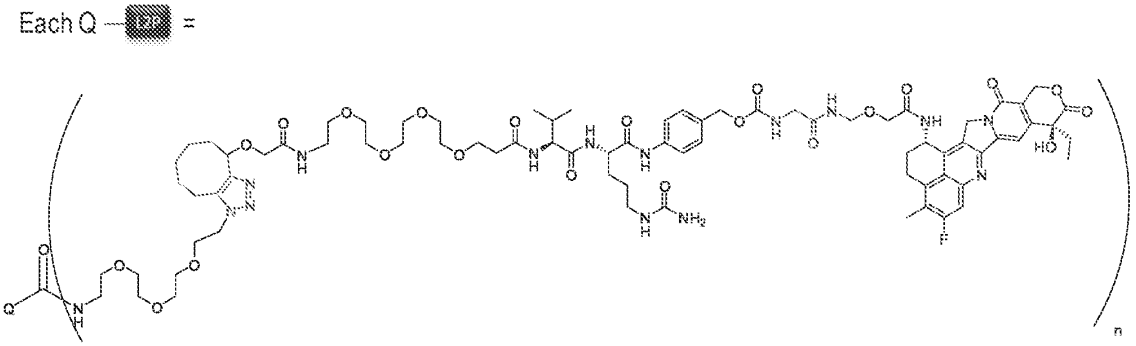

Each Q — L2P =

FIGURE 3B

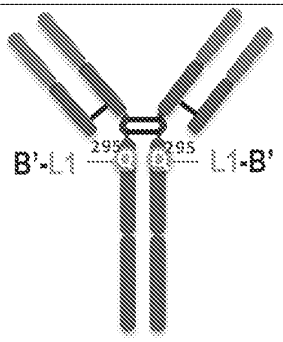
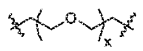
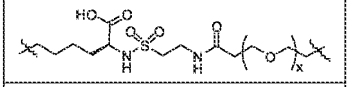
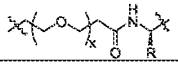
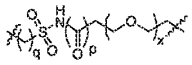
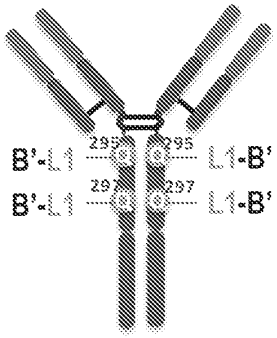
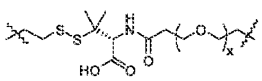
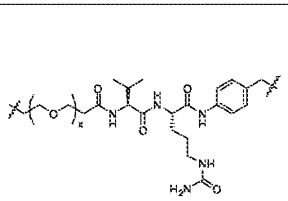
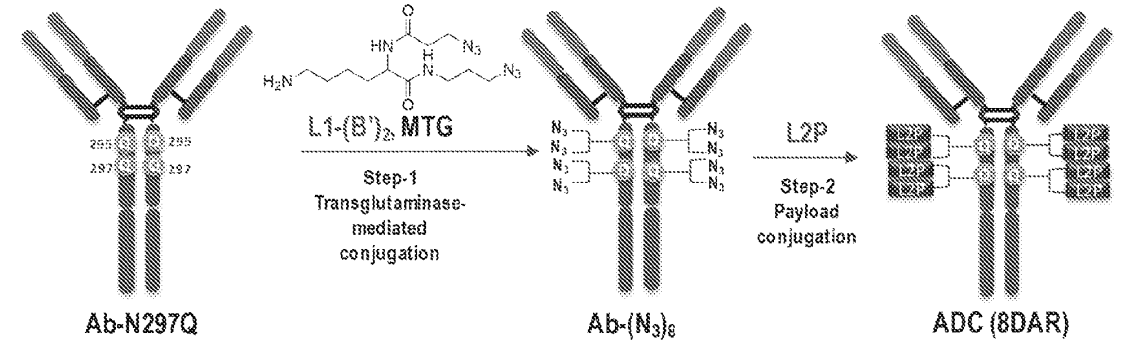
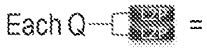
General structure	DAR	L1-B' (NH_2-L1-B' can be chosen from Table 7)	
		L1	B'
	2	Peptides    	-N_3
	4	 	

FIGURE 4A



Each Q = 

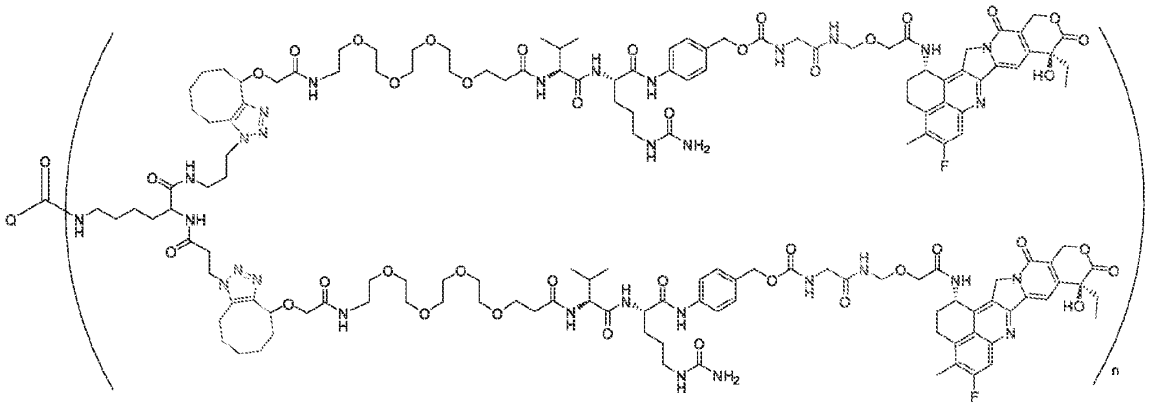


FIGURE 4B

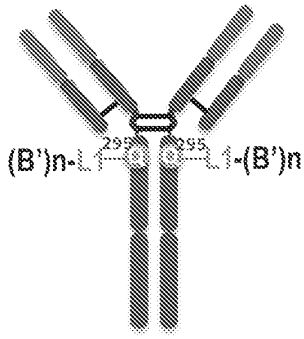
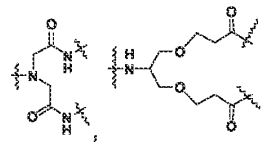
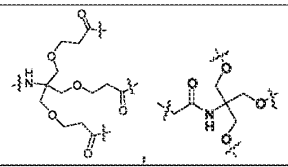
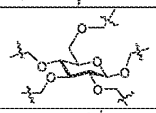
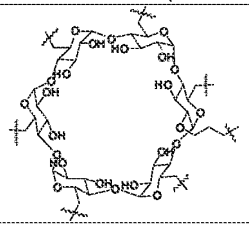
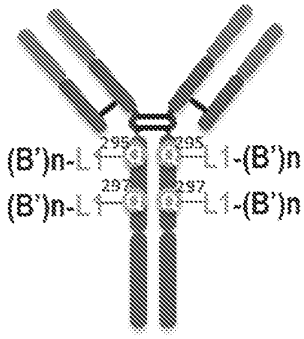
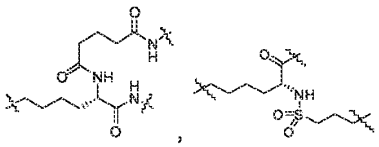
General structure	group	n	L1
	A	2	
	B	3	
	C	4	
	D	6	
	E		

FIGURE 5

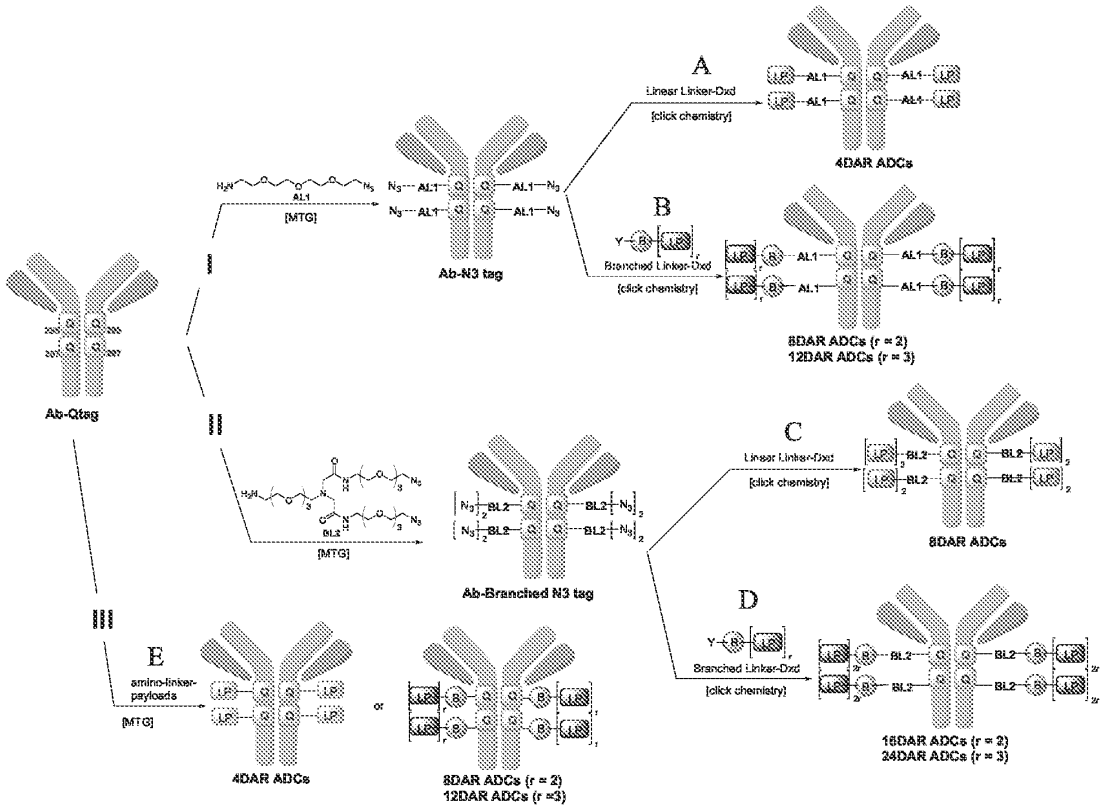

Ab-Qtag
I
II
III
[MTG]
Ab-N3 tag
A
Linear Linker-Dxd
[click chemistry]
4DAR ADCs
B
Branched Linker-Dxd
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
Ab-Branched N3 tag
C
Linear Linker-Dxd
[click chemistry]
8DAR ADCs
D
Branched Linker-Dxd
[click chemistry]
16DAR ADCs (r = 2)
24DAR ADCs (r = 3)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

FIGURE 6

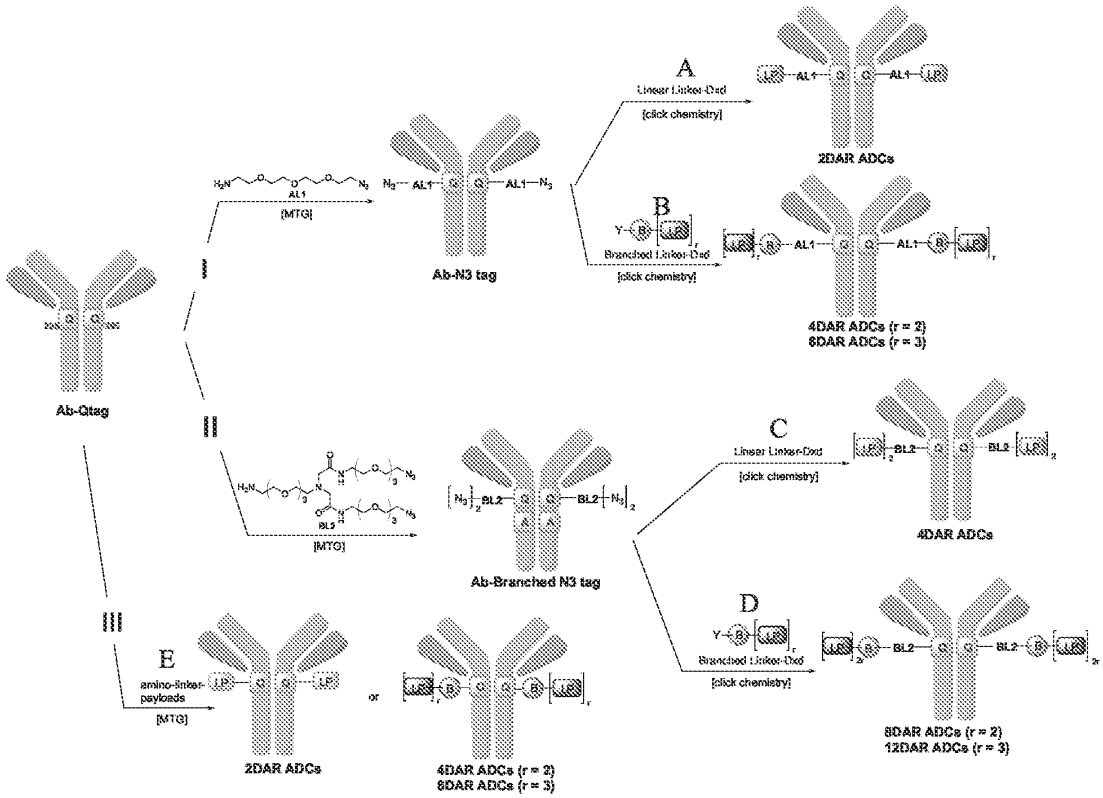

Ab-Qtag
[MTG]
Ab-N3 tag
A
Linear Linker-Dxd
[click chemistry]
2DAR ADCs
B
Branched Linker-Dxd
[click chemistry]
4DAR ADCs (r = 2)
8DAR ADCs (r = 3)
[MTG]
Ab-Branched N3 tag
C
Linear Linker-Dxd
[click chemistry]
4DAR ADCs
D
Branched Linker-Dxd
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
E
amino-linker-payloads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

FIGURE 7A

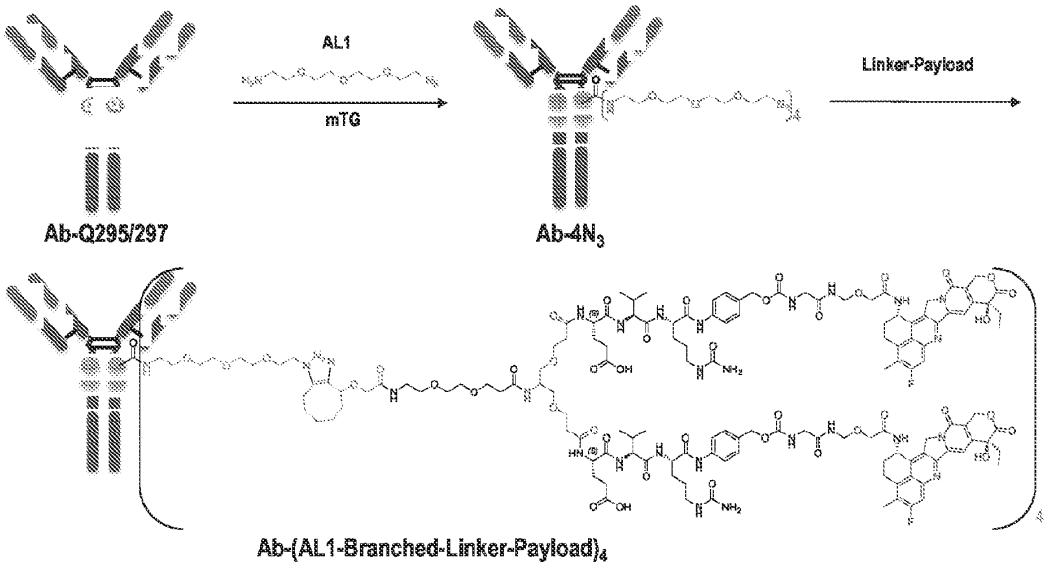


FIGURE 7B

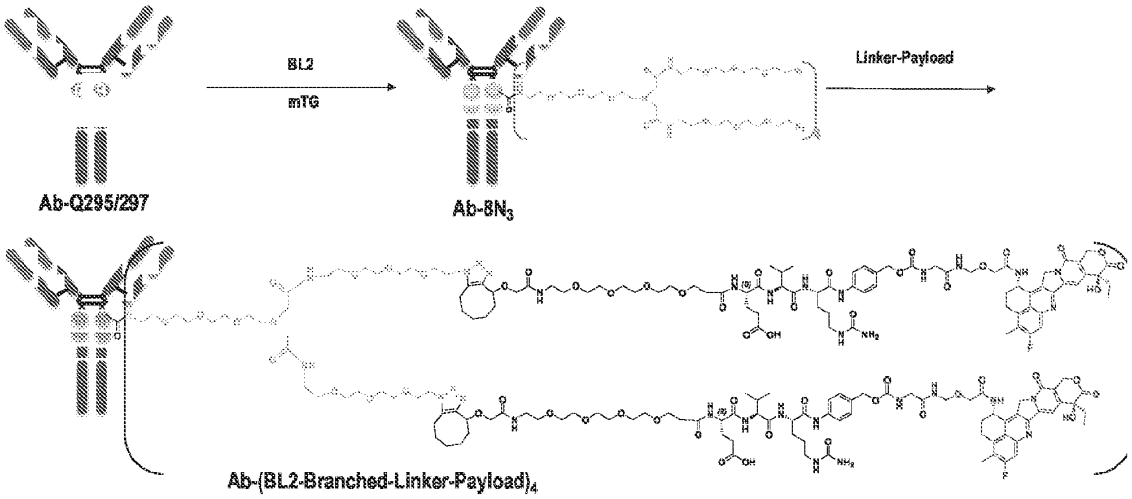


FIGURE 7C

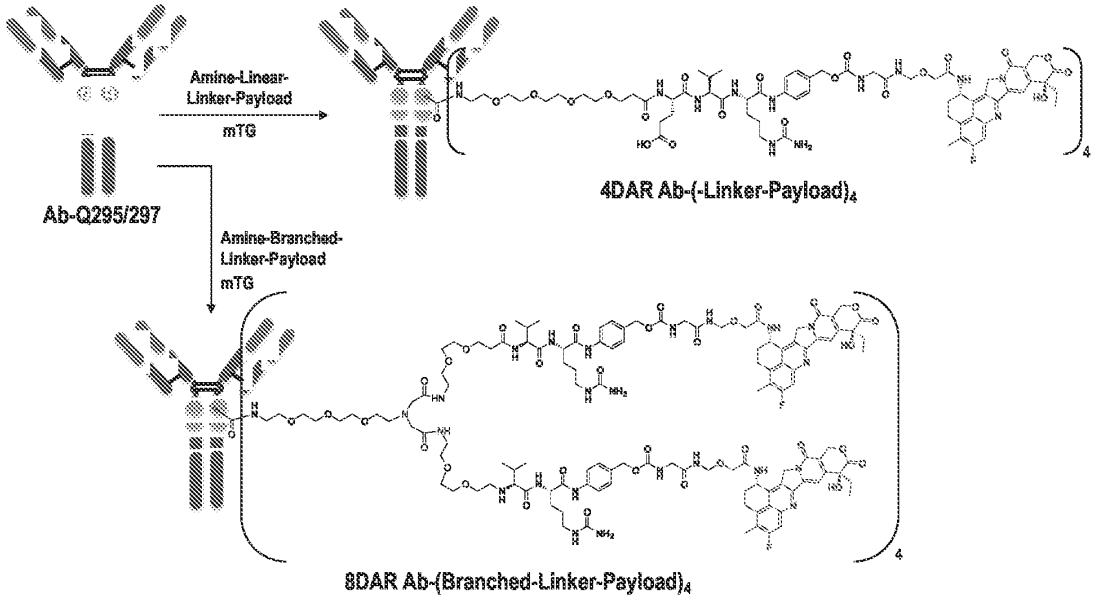

Amine-Linear-Linker-Payload
mTG
Ab-Q295/297
4DAR Ab-(-Linker-Payload)4
Amine-Branched-Linker-Payload
mTG
8DAR Ab-(Branched-Linker-Payload)4

FIGURE 8A

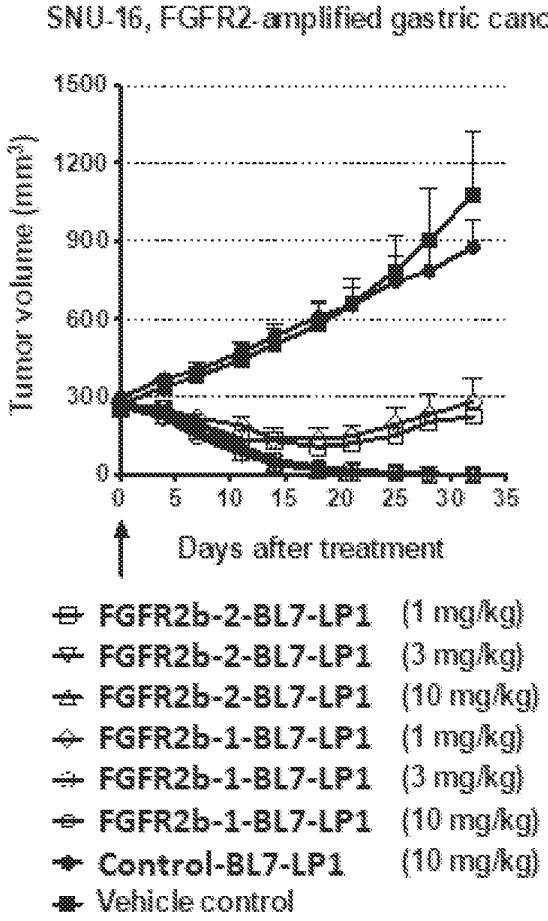

SNU-16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1500
1200
900
600
300
0
0 5 10 15 20 25 30 35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1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

FIGURE 8B

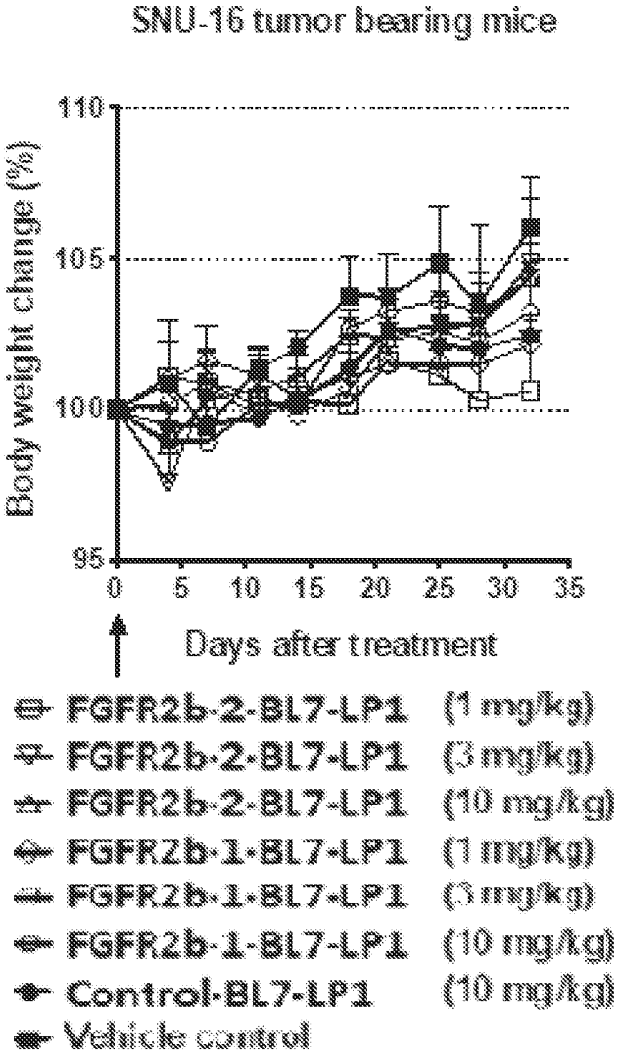

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
0
5
10
15
20
25
30
35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1 (10 mg/kg)
Vehicle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

FIGURE 9A

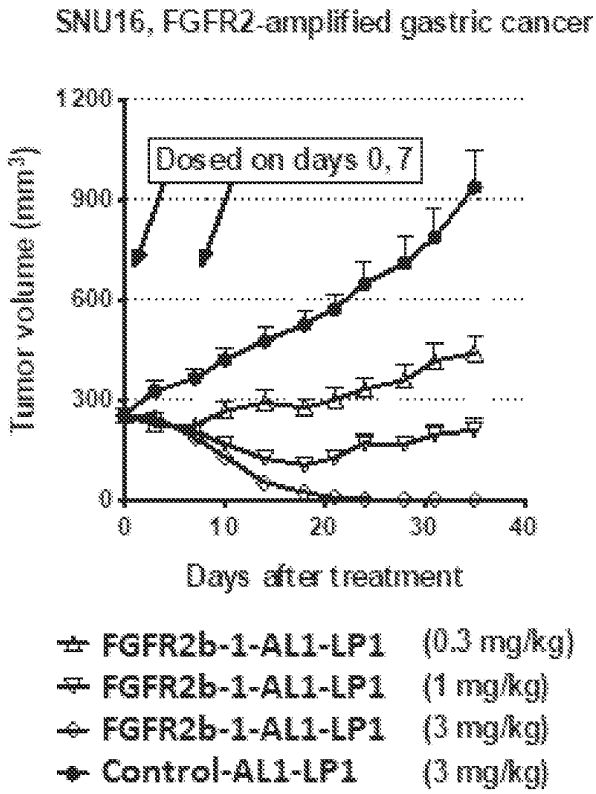

SNU16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1200
900
600
300
0
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 9B

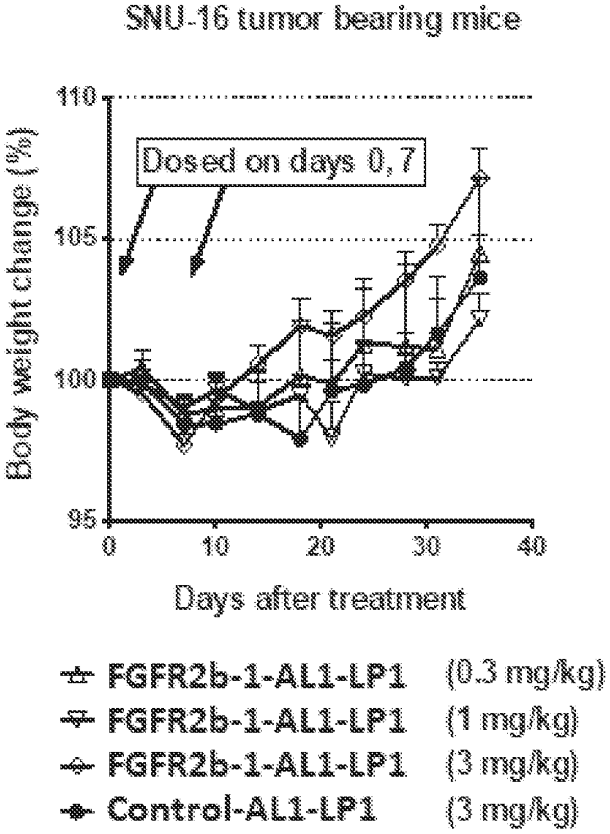
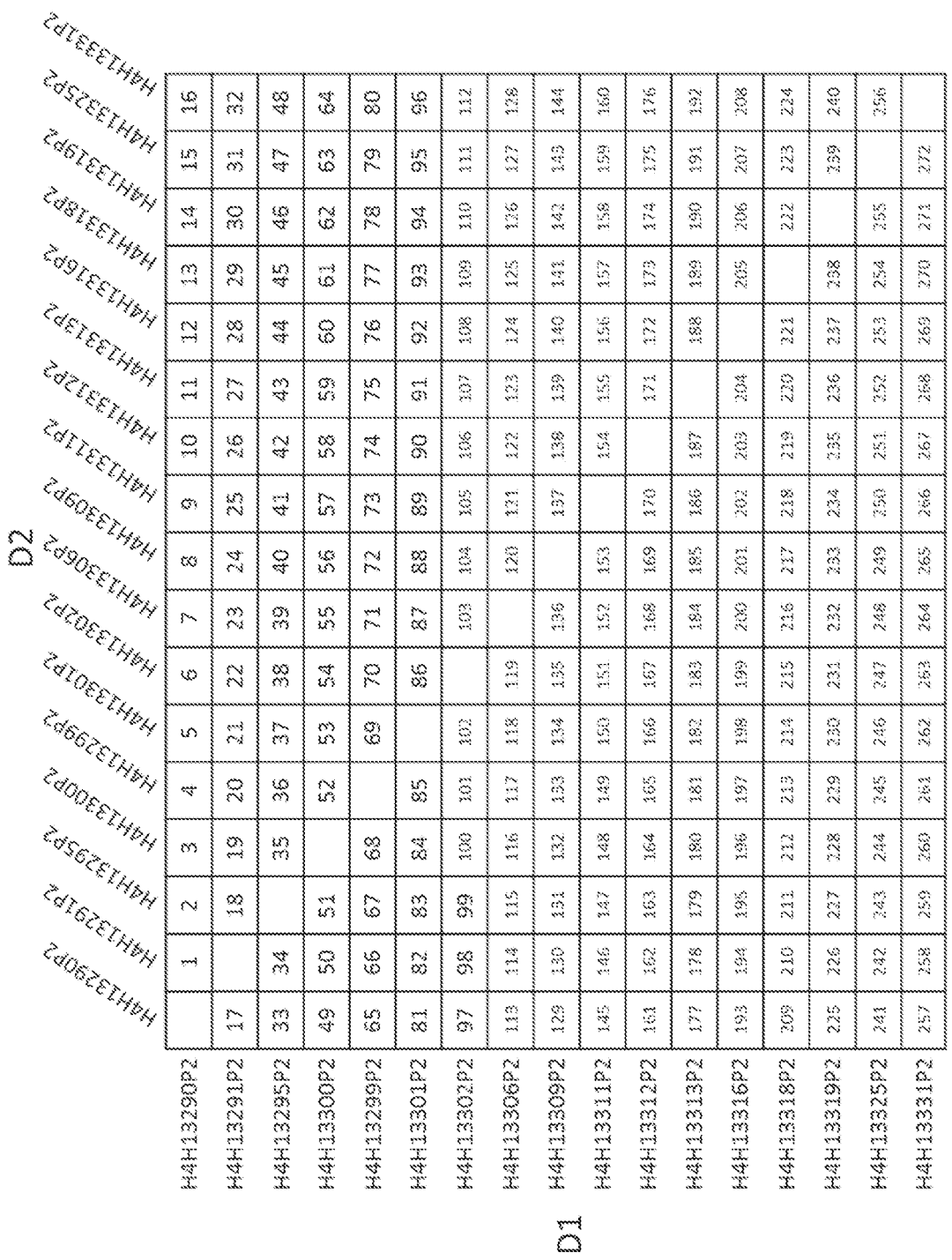

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 10



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