FIGURE 1

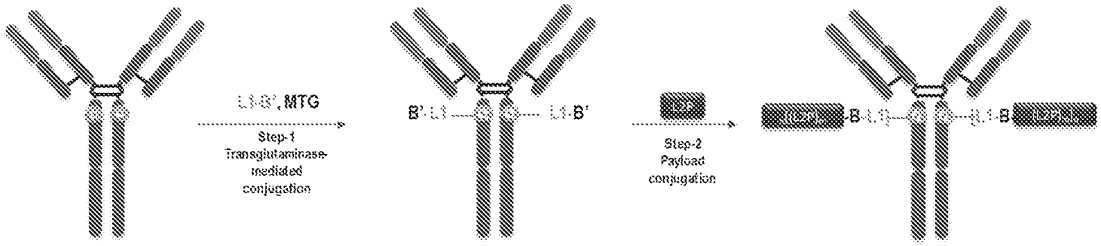


FIGURE 2

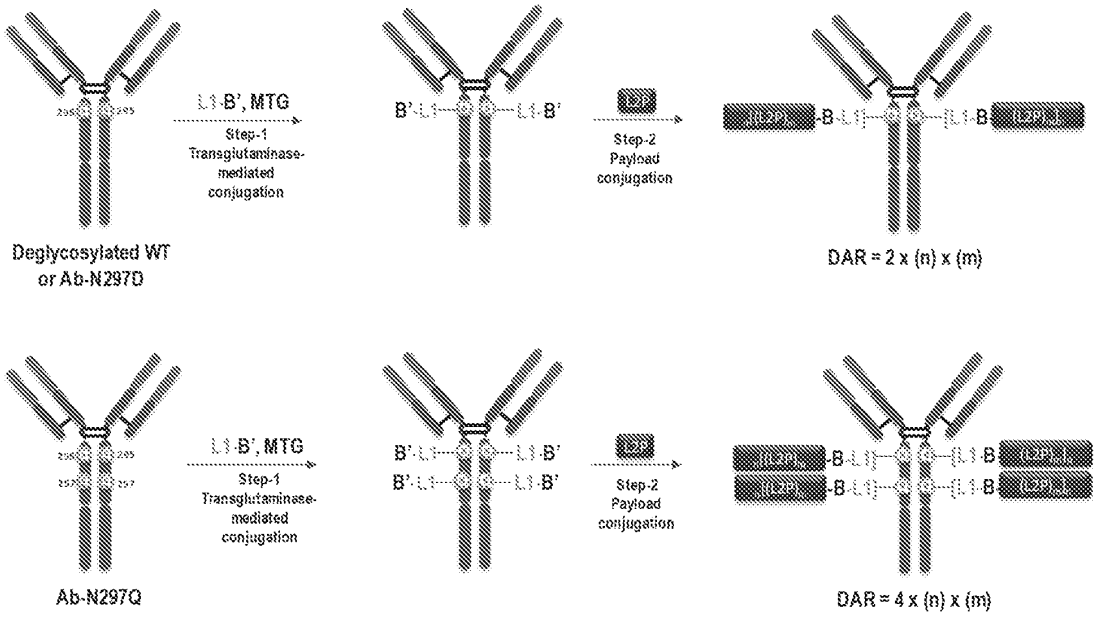
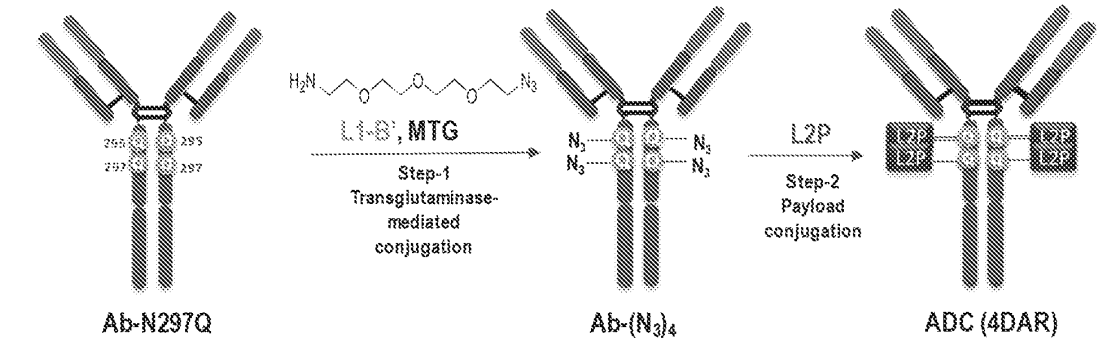


FIGURE 3A



Each Q — L2P =

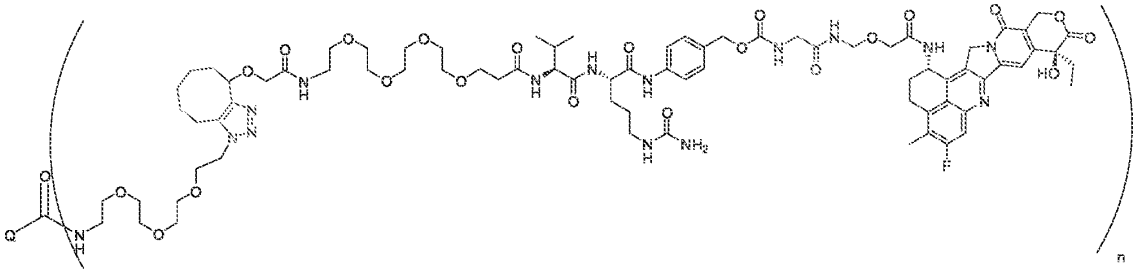


FIGURE 3B

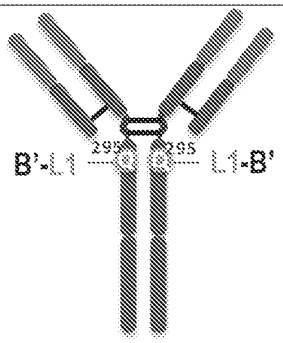
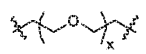
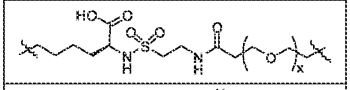
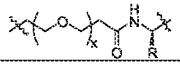
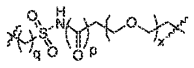
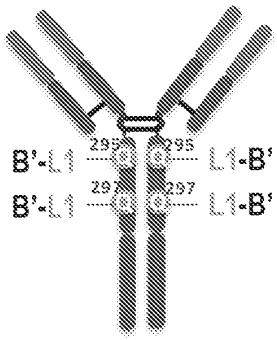
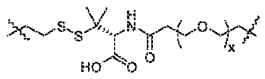
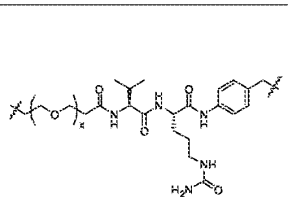
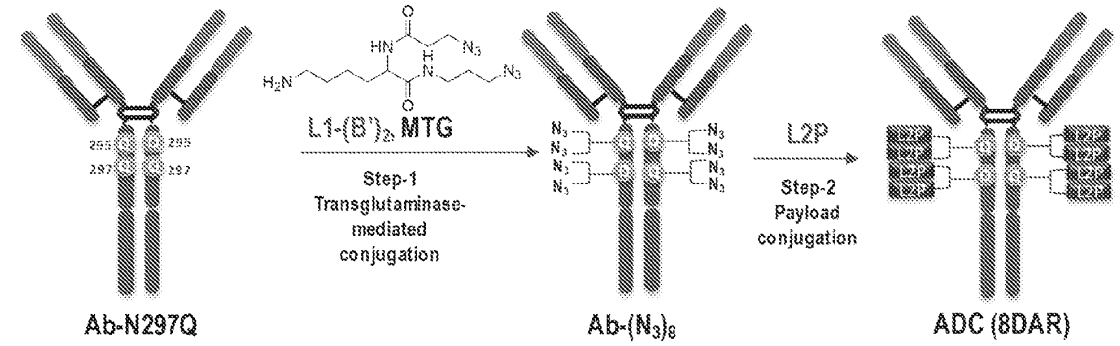
General structure	DAR	L1-B' (NH_2-L1-B' can be chosen from Table 7)	
		L1	B'
	2	Peptides    	$-N_3$
	4	 	

FIGURE 4A


L1-(B')2 MTG
Step-1
Transglutaminase-mediated conjugation
L2P
Step-2
Payload conjugation
Ab-N297Q
Ab-(N3)8
ADC (8DAR)
Each Q =

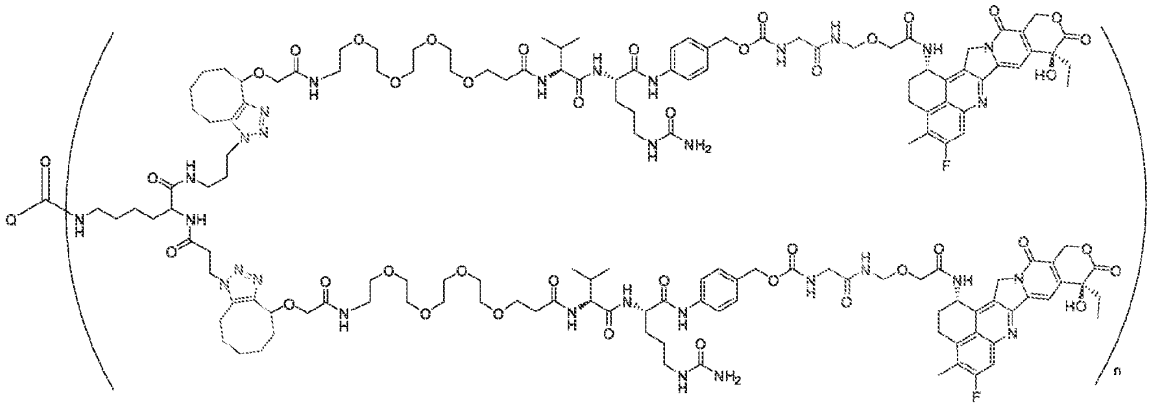


FIGURE 4B

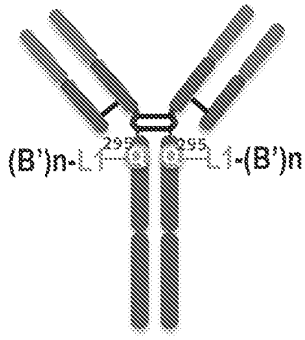
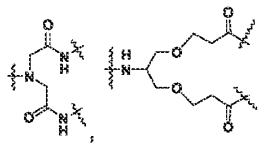
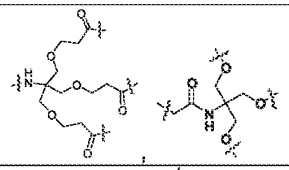
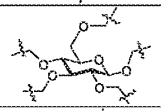
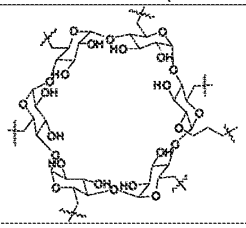
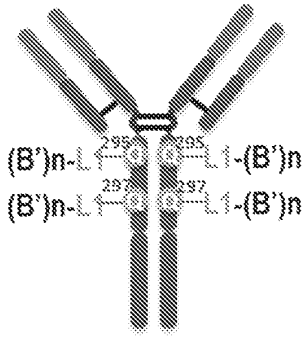
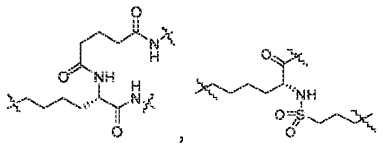
General structure	group	n	L1
	A	2	
	B	3	
	C	4	
	D	6	
	E		

FIGURE 5

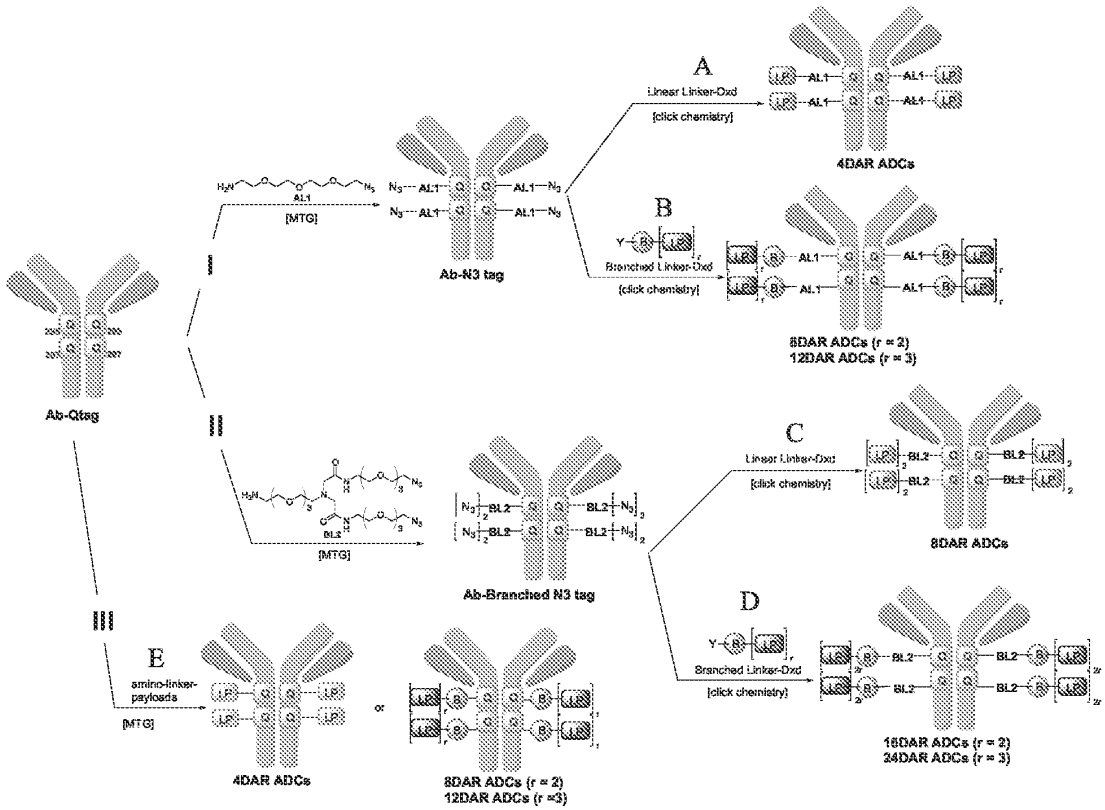

Ab-Qtag
I
II
III
IIII
[MTG]
Ab-N3 tag
A
Linear Linker-Dxd
[click chemistry]
4DAR ADCs
B
Branched Linker-Dxd
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
Ab-Branched N3 tag
C
Linear Linker-Dxd
[click chemistry]
8DAR ADCs
D
Branched Linker-Dxd
[click chemistry]
16DAR ADCs (r = 2)
24DAR ADCs (r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

FIGURE 6

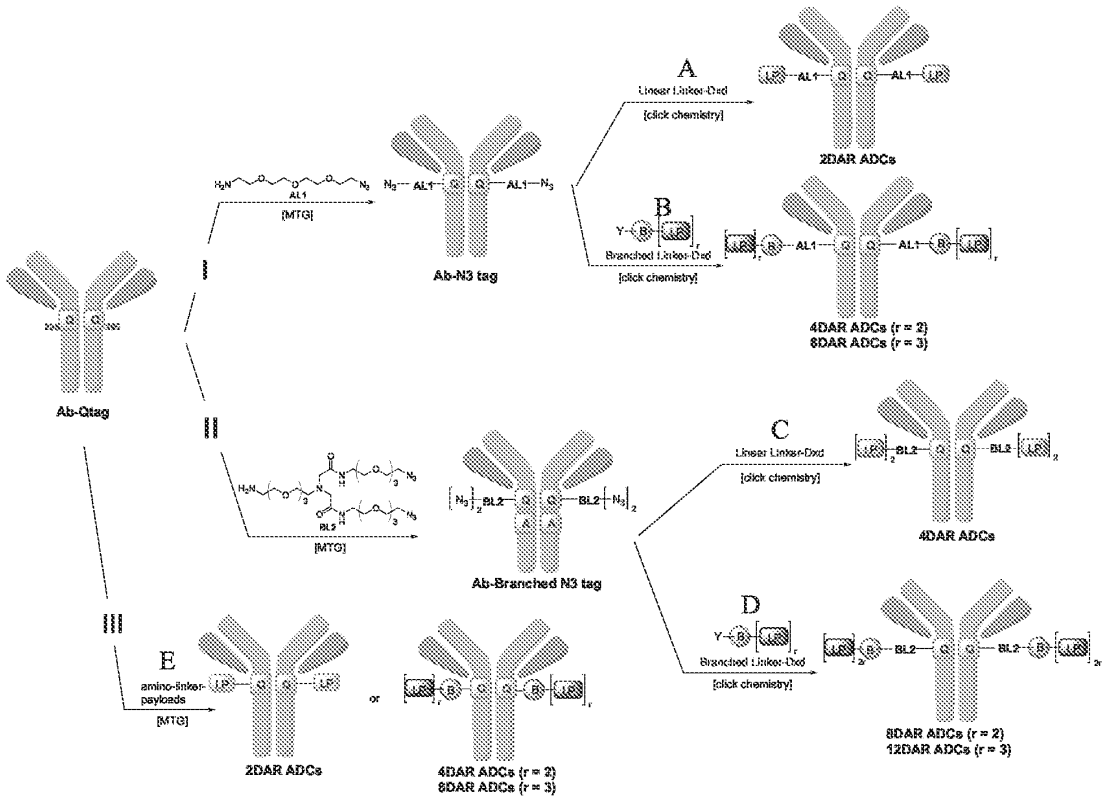

Ab-Qtag
[MTG]
Ab-N3 tag
A
Linear Linker-Dad
[click chemistry]
2DAR ADCs
B
Branched Linker-Dad
[click chemistry]
4DAR ADCs (r = 2)
8DAR ADCs (r = 3)
[MTG]
Ab-Branched N3 tag
C
Linear Linker-Dad
[click chemistry]
4DAR ADCs
D
Branched Linker-Dad
[click chemistry]
8DAR ADCs (r = 2)
12DAR ADCs (r = 3)
E
amino-linker-payloads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

FIGURE 7A

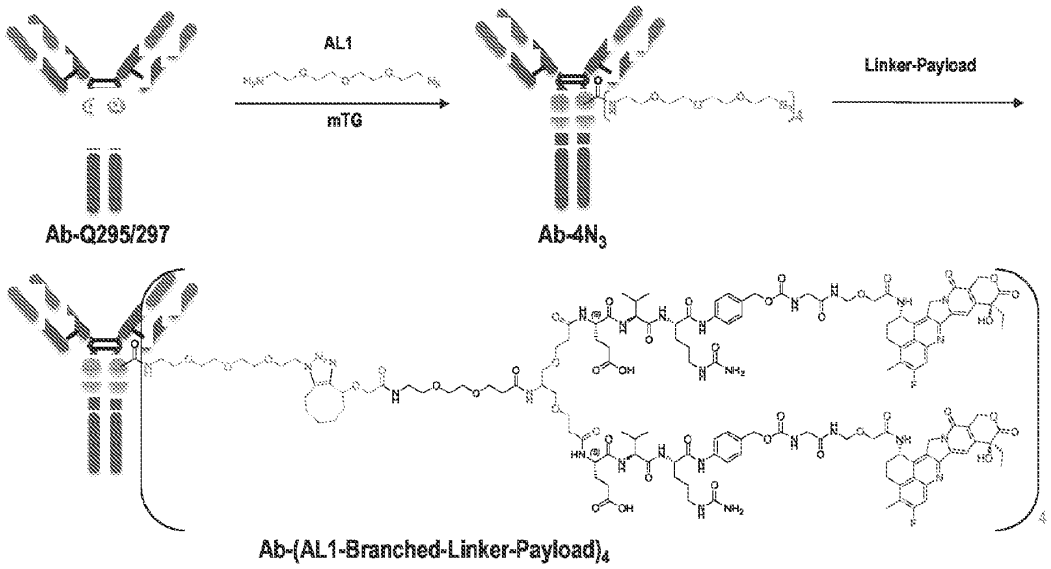


FIGURE 7B

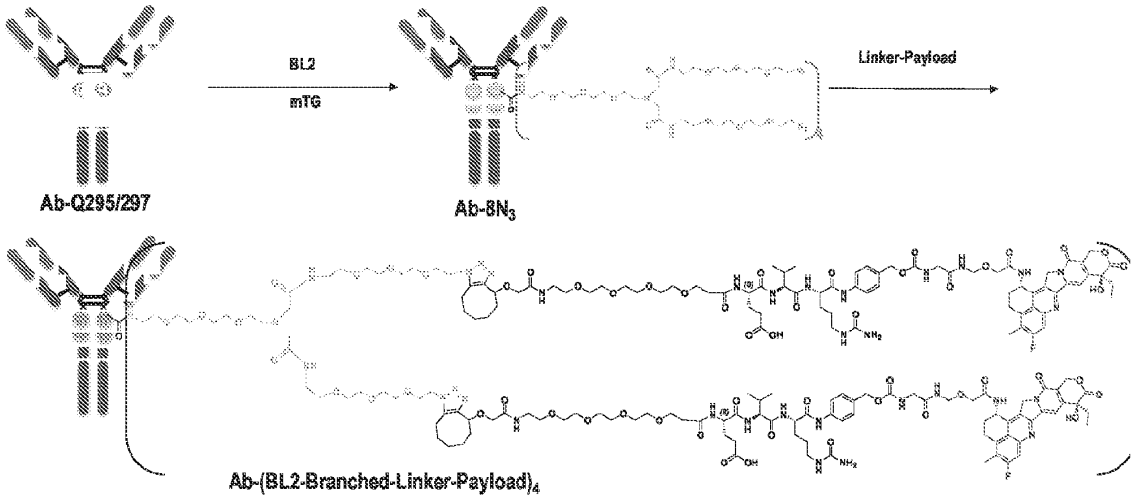


FIGURE 7C

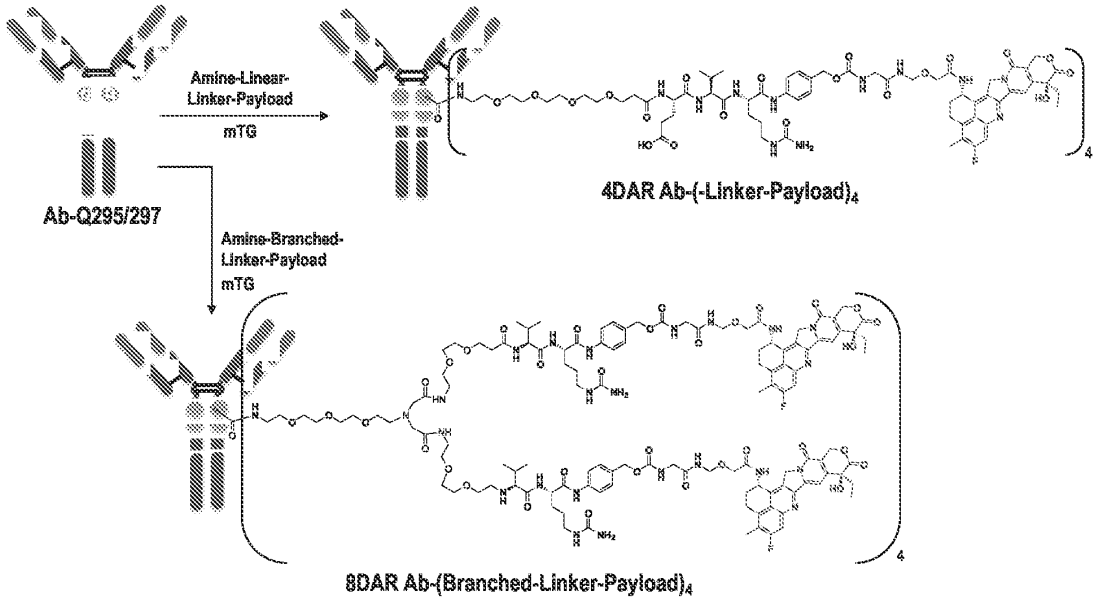

Amine-Linear-Linker-Payload
mTG
Ab-Q295/297
4DAR Ab-(-Linker-Payload)4
Amine-Branched-Linker-Payload
mTG
8DAR Ab-(Branched-Linker-Payload)4

FIGURE 8A

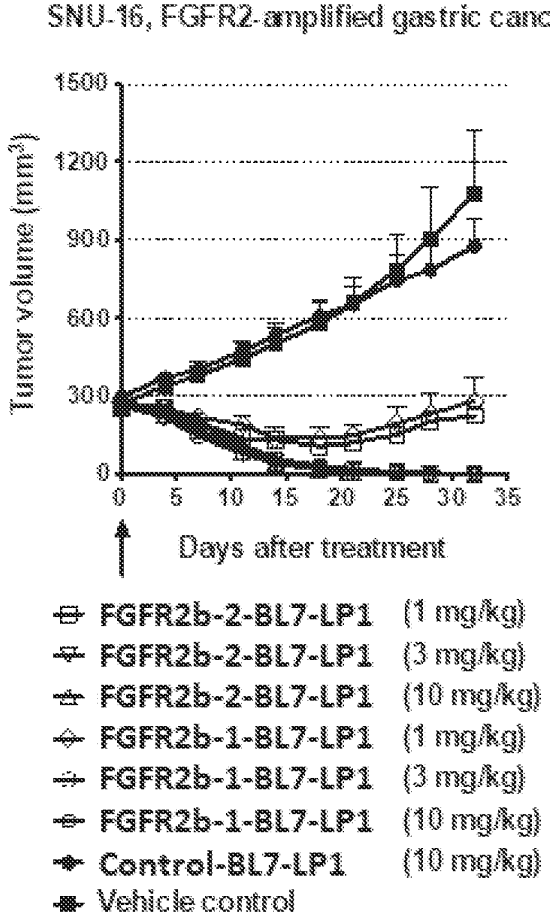

SNU-16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1500
1200
900
600
300
0
0 5 10 15 20 25 30 35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1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

FIGURE 8B

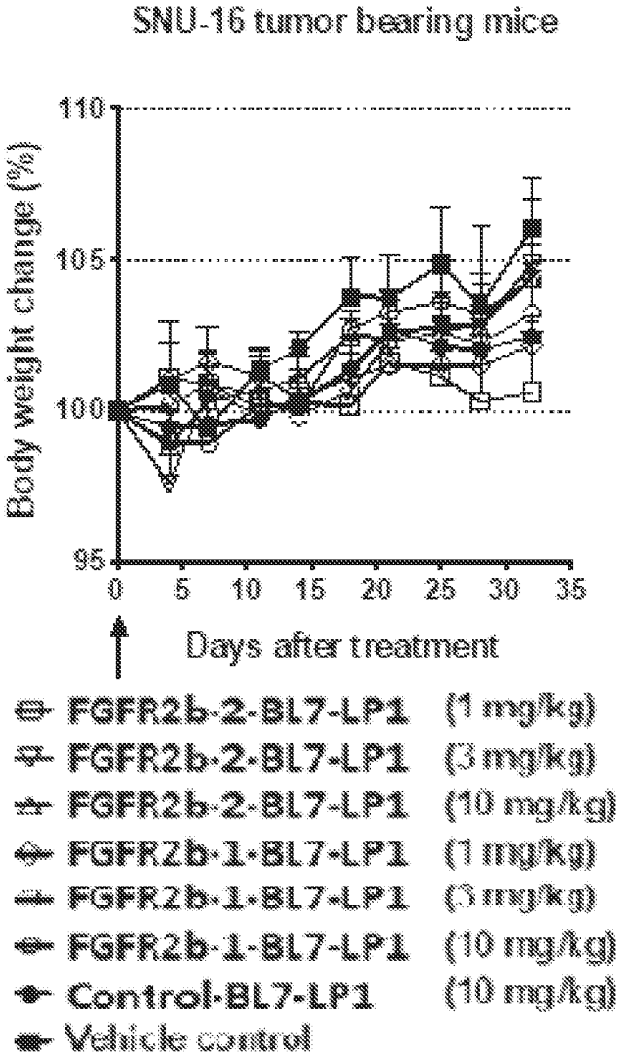

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
0
5
10
15
20
25
30
35
Days after treatment
FGFR2b-2-BL7-LP1 (1 mg/kg)
FGFR2b-2-BL7-LP1 (3 mg/kg)
FGFR2b-2-BL7-LP1 (10 mg/kg)
FGFR2b-1-BL7-LP1 (1 mg/kg)
FGFR2b-1-BL7-LP1 (3 mg/kg)
FGFR2b-1-BL7-LP1 (10 mg/kg)
Control-BL7-LP1 (10 mg/kg)
Vehicle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

FIGURE 9A

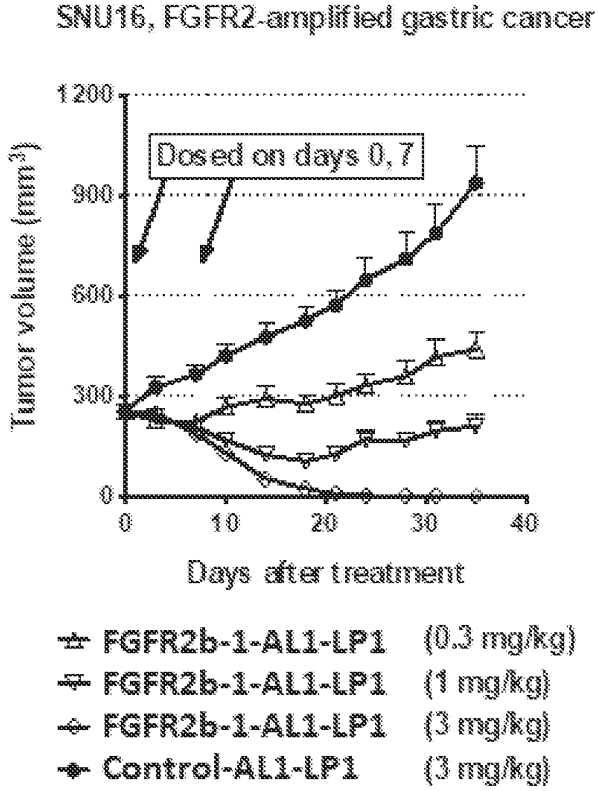

SNU16, FGFR2-amplified gastric cancer
Tumor volume (mm3)
1200
900
600
300
0
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 9B

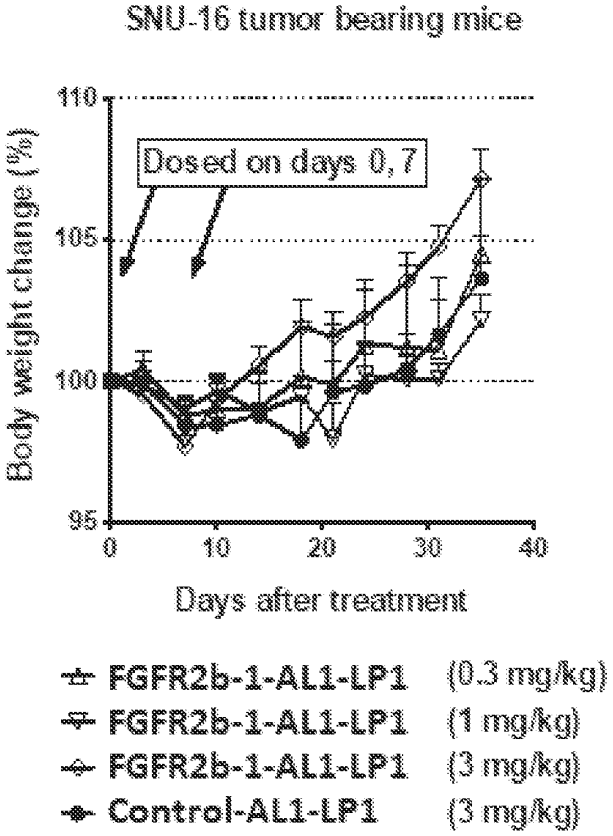
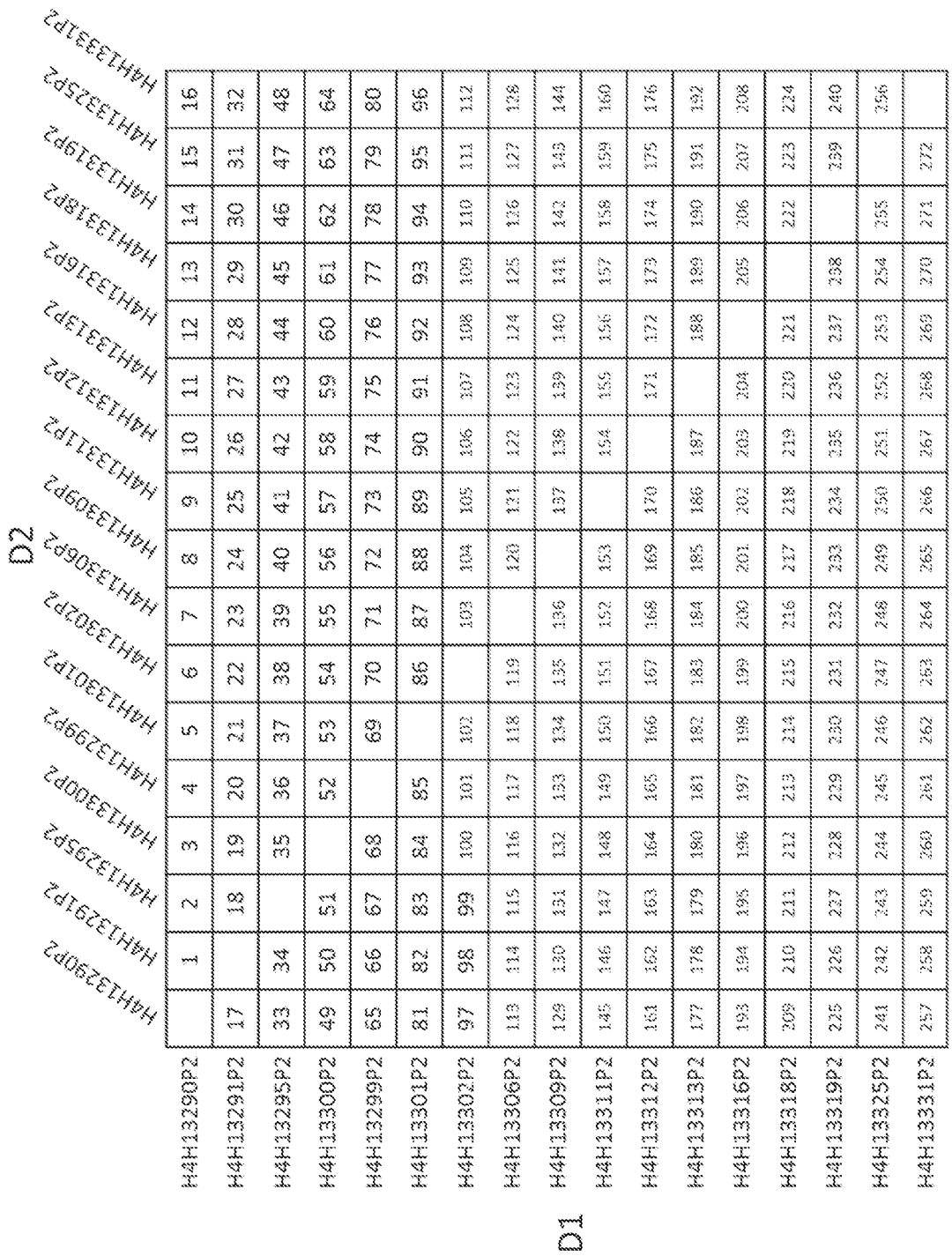

SNU-16 tumor bearing mice
Body weight change (%)
110
105
100
95
Dosed on days 0, 7
0
10
20
30
40
Days after treatment
FGFR2b-1-AL1-LP1 (0.3 mg/kg)
FGFR2b-1-AL1-LP1 (1 mg/kg)
FGFR2b-1-AL1-LP1 (3 mg/kg)
Control-AL1-LP1 (3 mg/kg)

FIGURE 10


D2
D1
H4H13290P2
H4H13291P2
H4H13295P2
H4H13300P2
H4H13299P2
H4H13301P2
H4H13302P2
H4H13306P2
H4H13309P2
H4H13311P2
H4H13312P2
H4H13313P2
H4H13316P2
H4H13318P2
H4H13319P2
H4H13325P2
H4H13331P2
H4H13290P2 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
H4H13291P2 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32
H4H13295P2 33 34 35 36 37 38 39 40 41 42 43 44 45 46 47 48
H4H13300P2 49 50 51 52 53 54 55 56 57 58 59 60 61 62 63 64
H4H13299P2 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80
H4H13301P2 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96
H4H13302P2 97 98 99 100 101 102 103 104 105 106 107 108 109 110 111 112
H4H13306P2 113 114 115 116 117 118 119 120 121 122 123 124 125 126 127 128
H4H13309P2 129 130 131 132 133 134 135 136 137 138 139 140 141 142 143 144
H4H13311P2 145 146 147 148 149 150 151 152 153 154 155 156 157 158 159 160
H4H13312P2 161 162 163 164 165 166 167 168 169 170 171 172 173 174 175 176
H4H13313P2 177 178 179 180 181 182 183 184 185 186 187 188 189 190 191 192
H4H13316P2 193 194 195 196 197 198 199 200 201 202 203 204 205 206 207 208
H4H13318P2 209 210 211 212 213 214 215 216 217 218 219 220 221 222 223 224
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