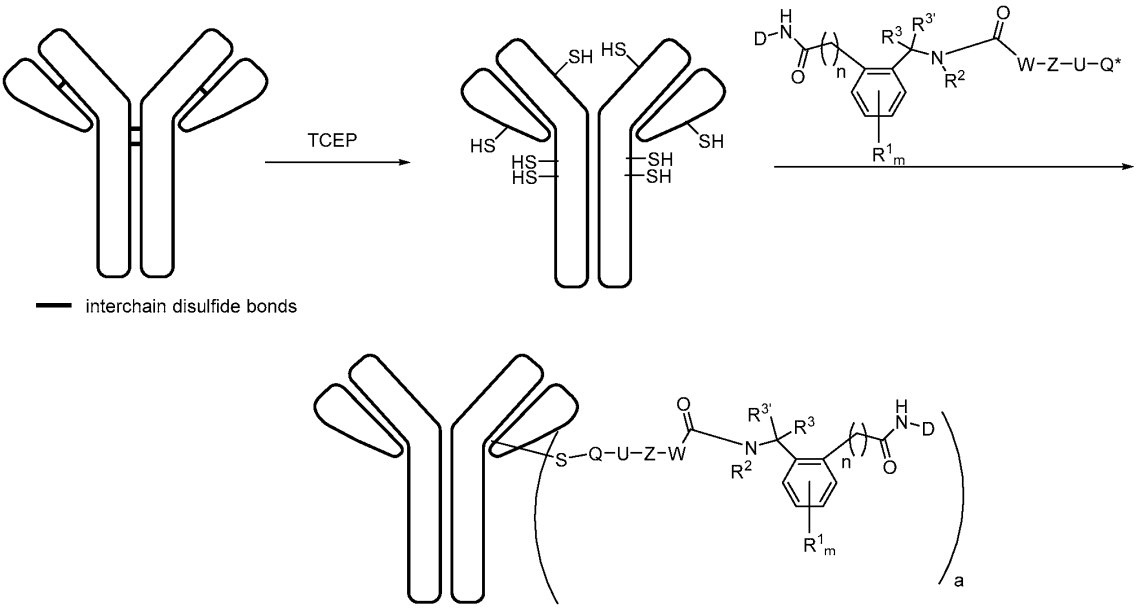

TCEP
interchain disulfide bonds

FIG. 1

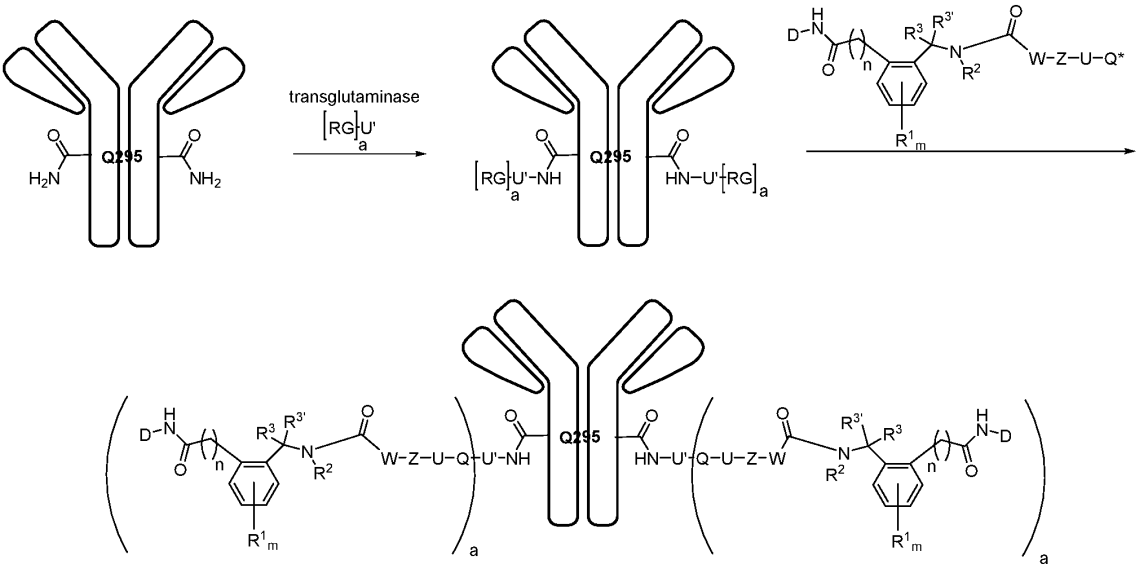

transglutaminase
Q295
Q295

FIG. 2

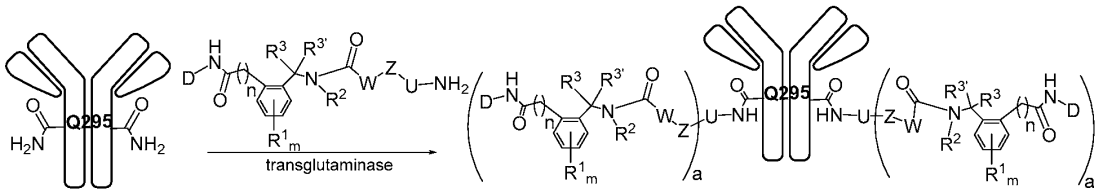

Q295
transglutaminase
Q295

FIG. 3

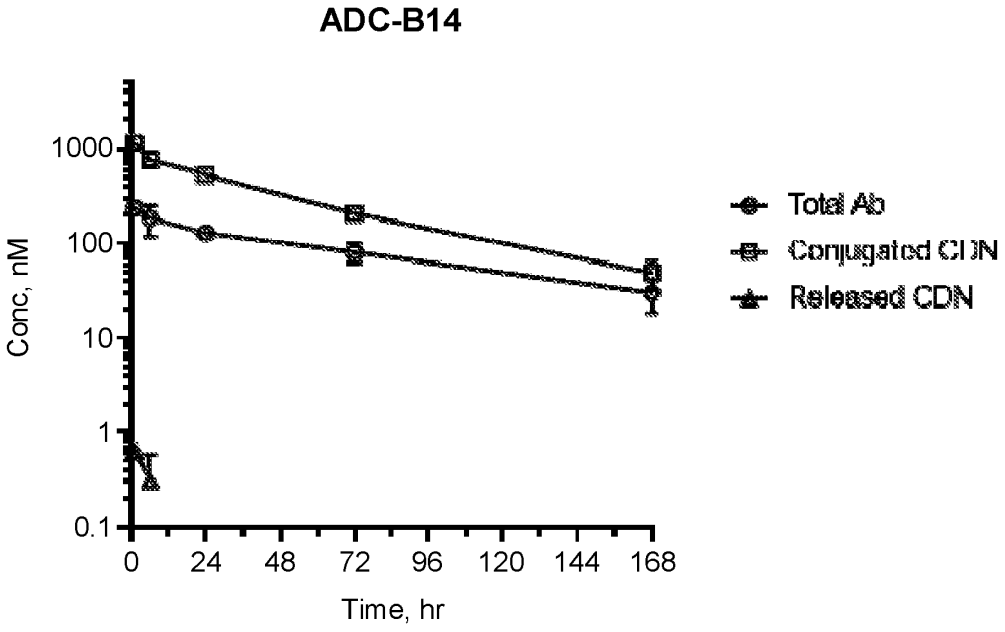

ADC-B14
Conc, nM
1000
100
10
1
0.1
0
24
48
72
96
120
144
168
Time, hr
Total Ab
Conjugated CDN
Released CDN

FIG. 4

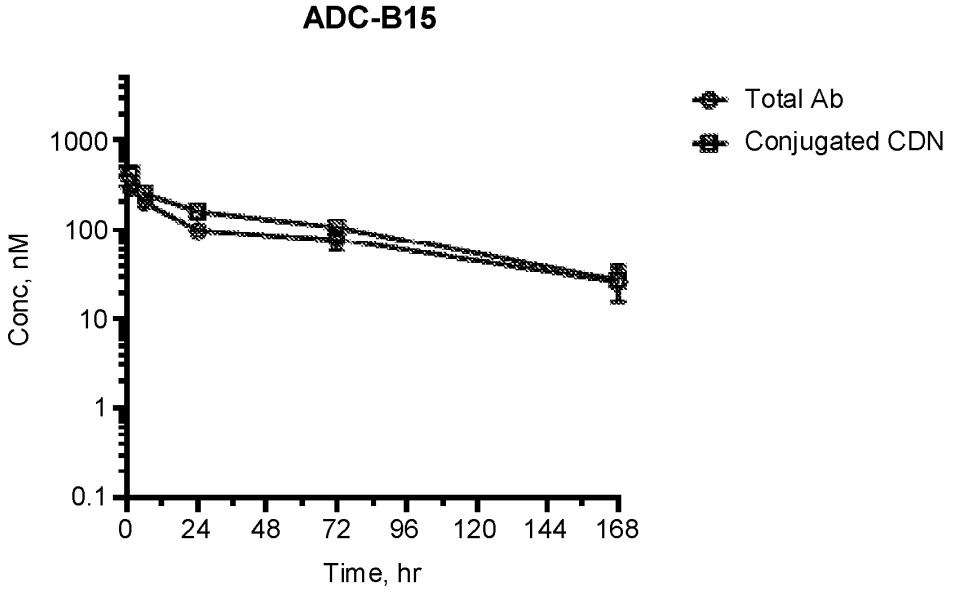

ADC-B15
Total Ab
Conjugated CDN
Conc, nM
1000
100
10
1
0.1
0
24
48
72
96
120
144
168
Time, hr

FIG. 5

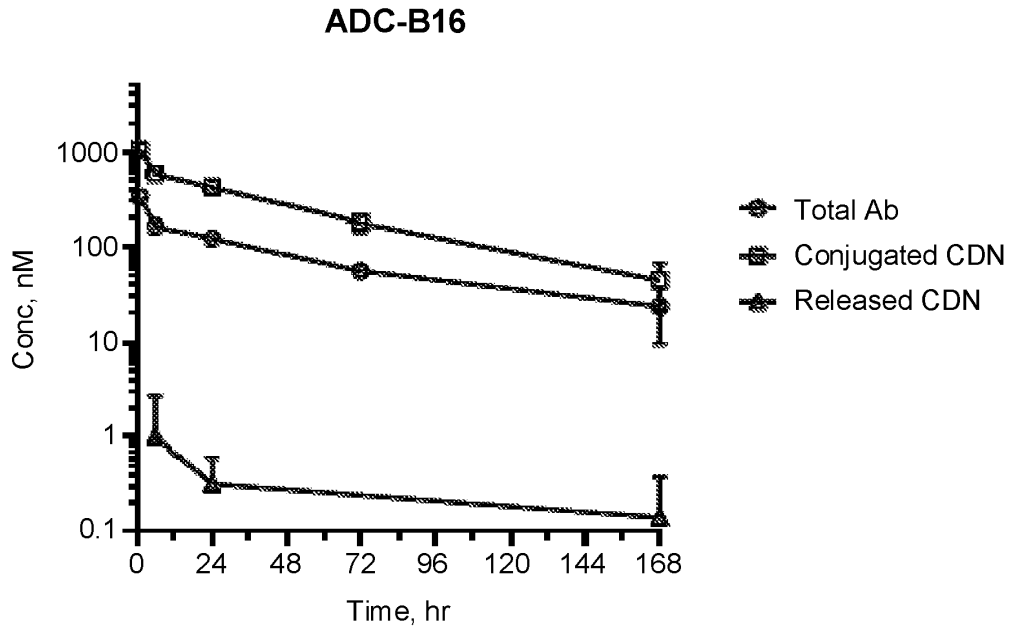

ADC-B16
Total Ab
Conjugated CDN
Released CDN
Conc, nM
1000
100
10
1
0.1
0
24
48
72
96
120
144
168
Time, hr

FIG. 6

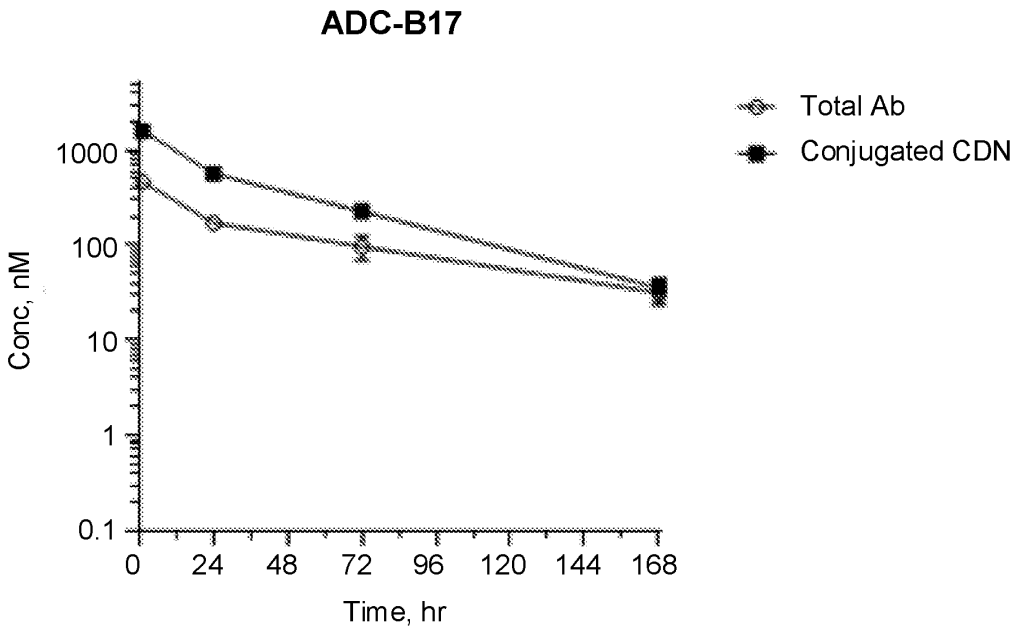

ADC-B17
Total Ab
Conjugated CDN
Conc, nM
1000
100
10
1
0.1
0
24
48
72
96
120
144
168
Time, hr

FIG. 7

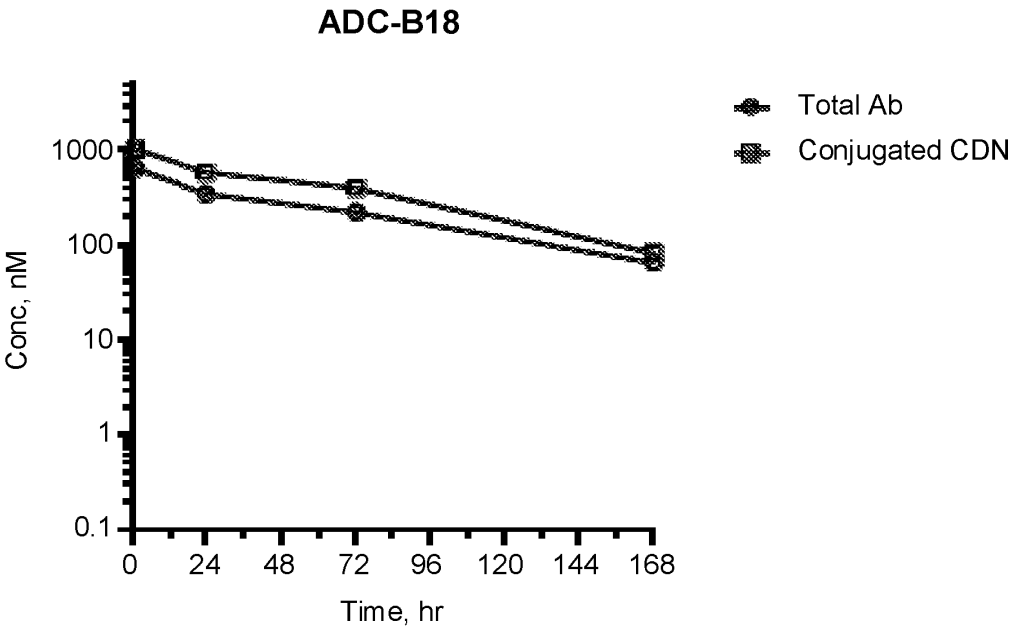
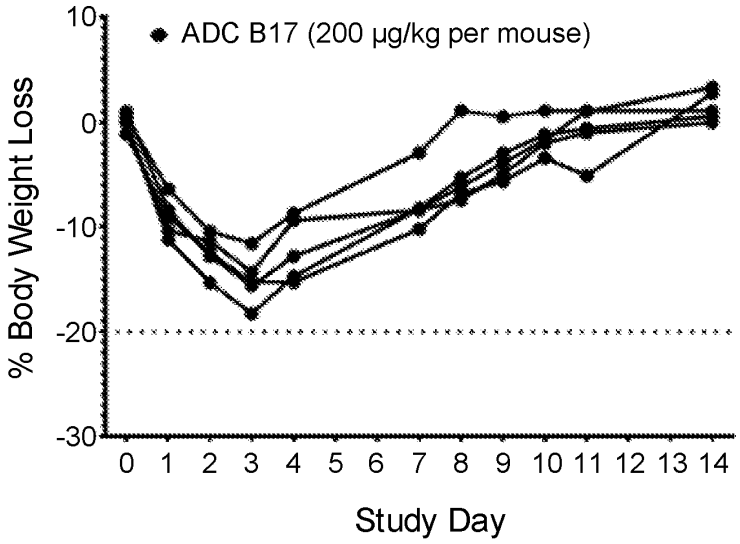

ADC-B18
Total Ab
Conjugated CDN
Conc, nM
1000
100
10
1
0.1
0
24
48
72
96
120
144
168
Time, hr

FIG. 8


ADC B17 (200 µg/kg per mouse)
% Body Weight Loss
10
0
-10
-20
-30
0 1 2 3 4 5 6 7 8 9 10 11 12 13 14
Study Day

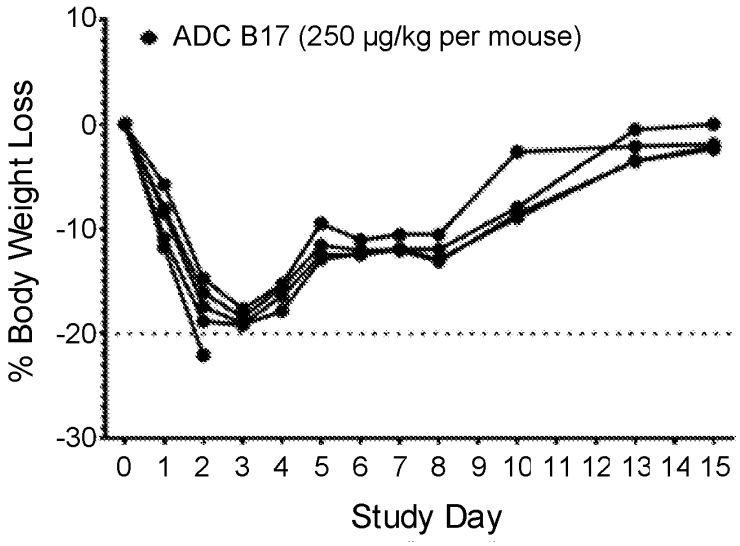
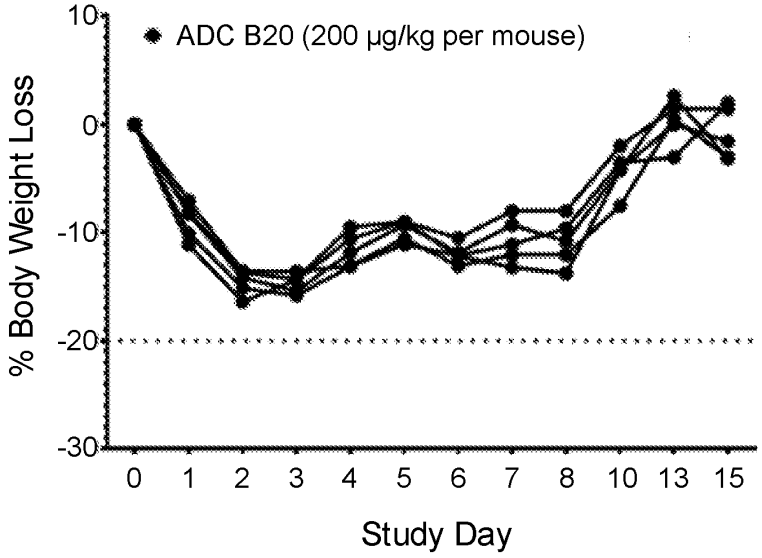

ADC B17 (250 µg/kg per mouse)
% Body Weight Loss
10
0
-10
-20
-30
0 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
Study Day

FIG. 9


ADC B20 (200 µg/kg per mouse)
% Body Weight Loss
10
0
-10
-20
-30
0 1 2 3 4 5 6 7 8 10 13 15
Study Day

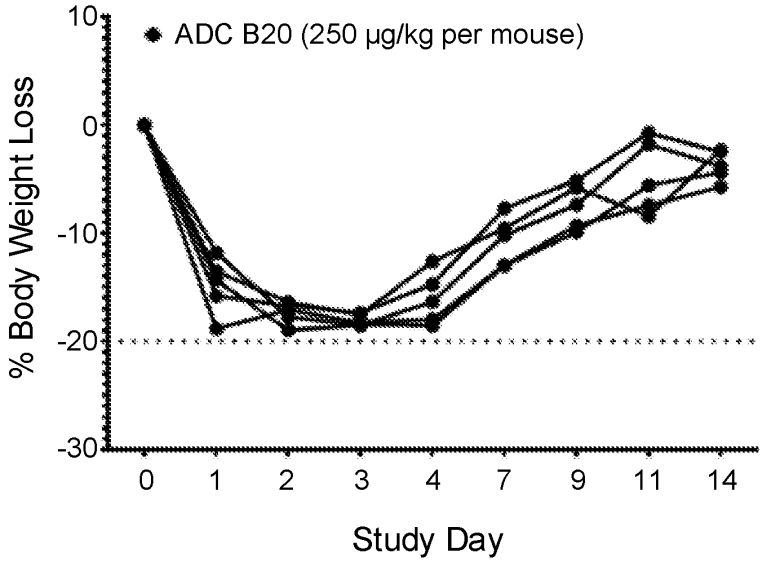

ADC B20 (250 µg/kg per mouse)
% Body Weight Loss
10
0
-10
-20
-30
0 1 2 3 4 7 9 11 14
Study Day

FIG. 10

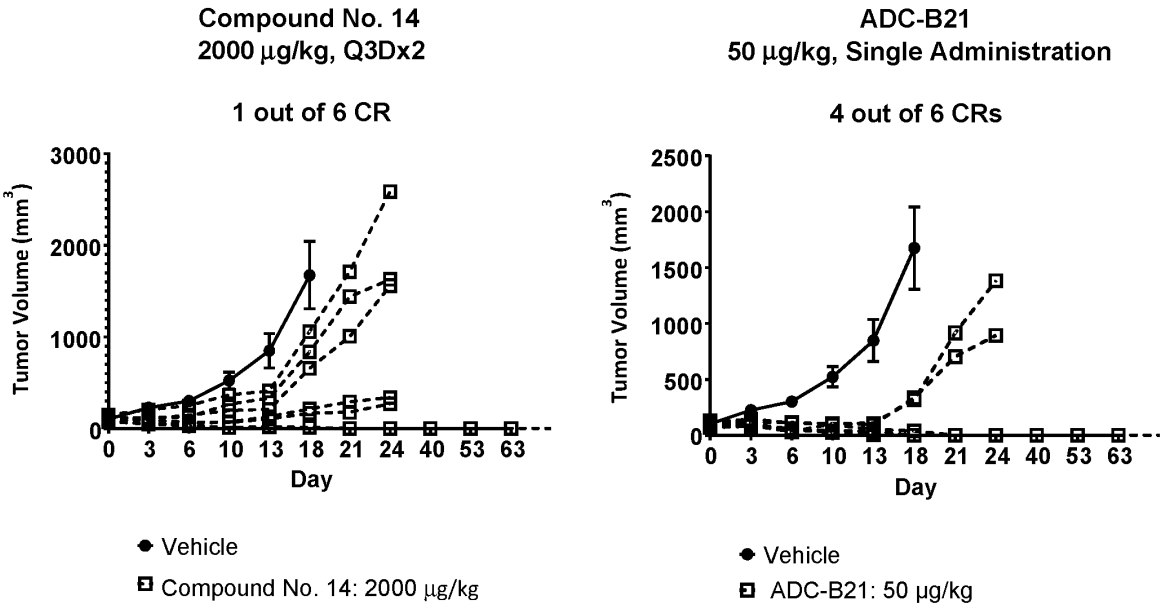

Compound No. 14
2000 μg/kg, Q3Dx2
1 out of 6 CR
Tumor Volume (mm3)
3000
2000
1000
0
0 3 6 10 13 18 21 24 40 53 63
Day
Vehicle
Compound No. 14: 2000 μg/kg
ADC-B21
50 μg/kg, Single Administration
4 out of 6 CRs
Tumor Volume (mm3)
2500
2000
1500
1000
500
0
0 3 6 10 13 18 21 24 40 53 63
Day
Vehicle
ADC-B21: 50 μg/kg

FIG. 11

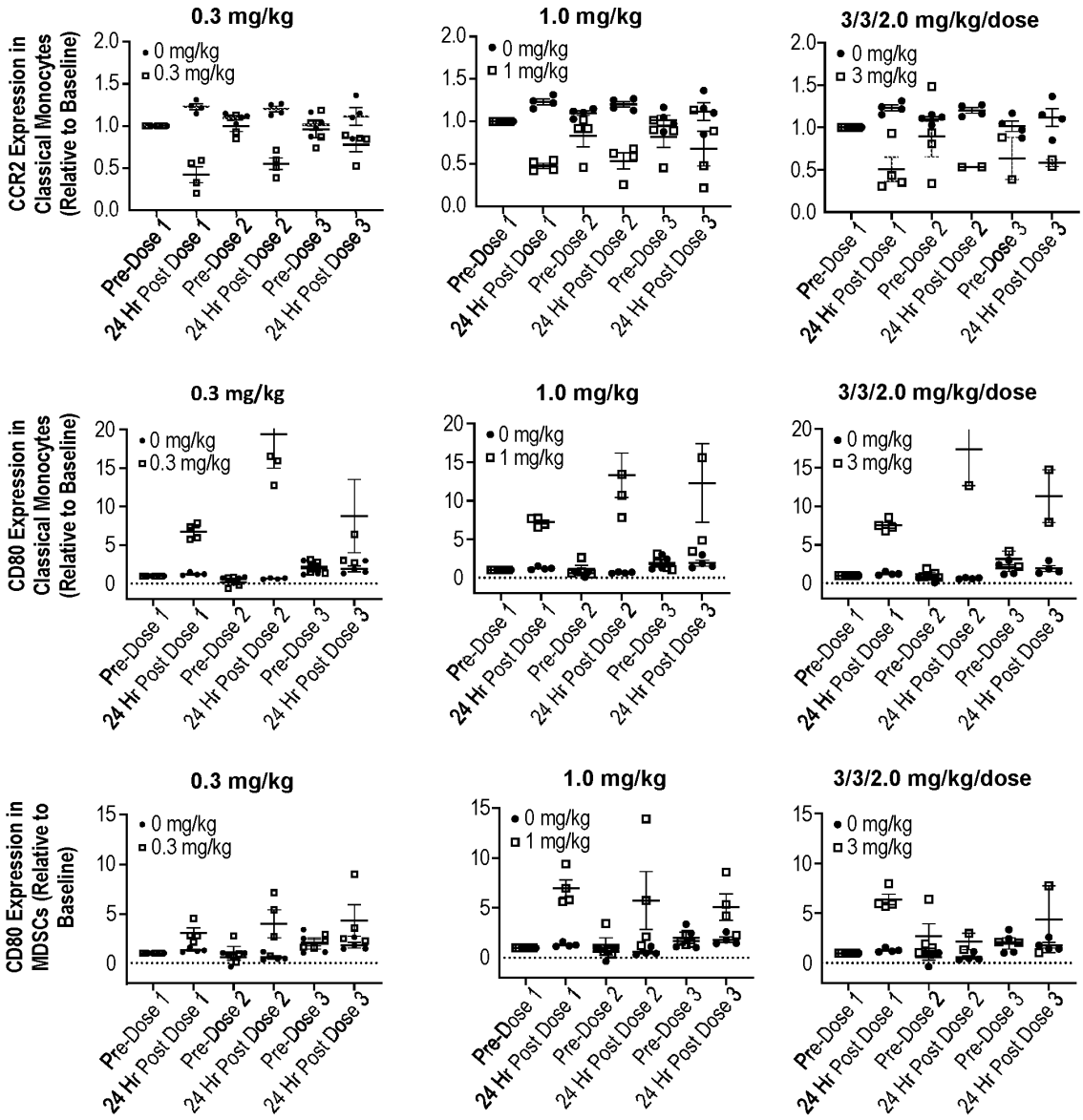

0.3 mg/kg
1.0 mg/kg
3/3/2.0 mg/kg/dose
CCR2 Expression in Classical Monocytes (Relative to Baseline)
CD80 Expression in Classical Monocytes (Relative to Baseline)
CD80 Expression in MDSCs (Relative to Baseline)
• 0 mg/kg
□ 0.3 mg/kg
□ 1 mg/kg
□ 3 mg/kg
Pre-Dose 1
24 Hr Post Dose 1
Pre-Dose 2
24 Hr Post Dose 2
Pre-Dose 3
24 Hr Post Dose 3

FIG. 12

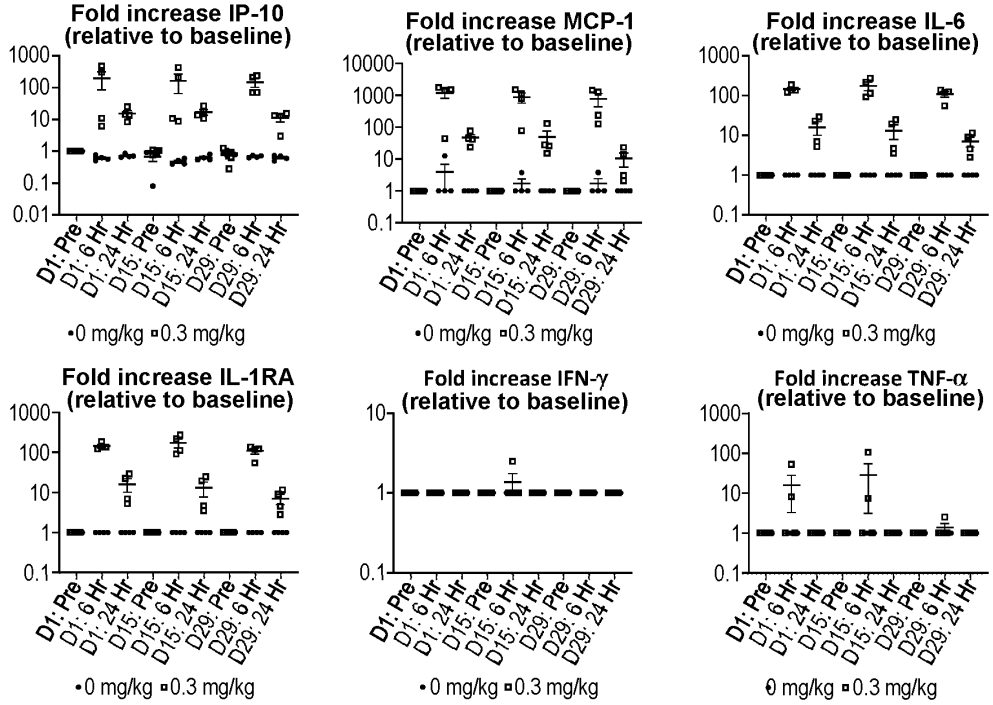

Fold increase IP-10 (relative to baseline)
Fold increase MCP-1 (relative to baseline)
Fold increase IL-6 (relative to baseline)
Fold increase IL-1RA (relative to baseline)
Fold increase IFN-γ (relative to baseline)
Fold increase TNF-α (relative to baseline)
D1: Pre
D1: 6 Hr
D1: 24 Hr
D15: Pre
D15: 6 Hr
D15: 24 Hr
D29: Pre
D29: 6 Hr
D29: 24 Hr
•0 mg/kg ▫0.3 mg/kg

FIG. 13

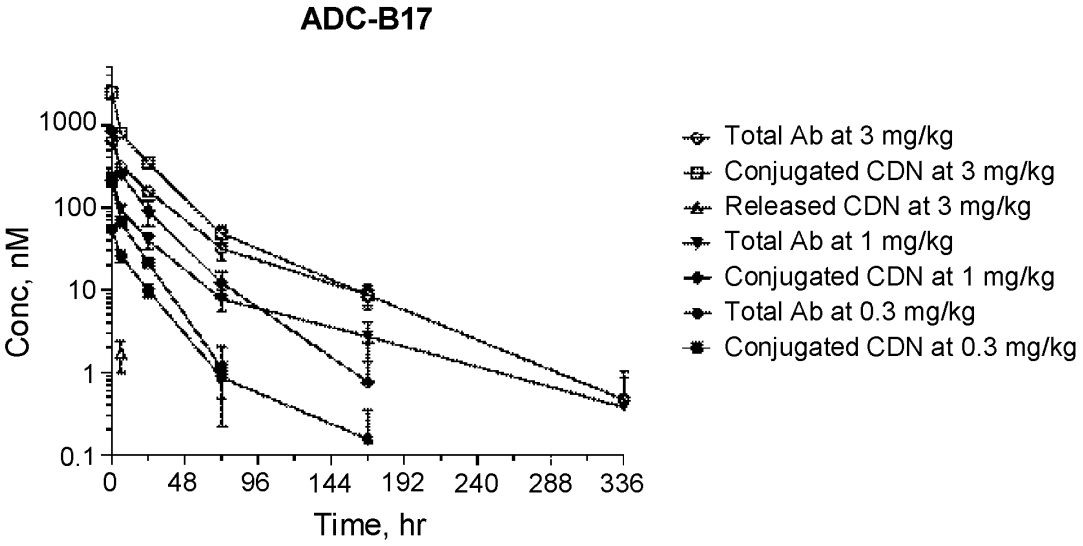

ADC-B17
Conc, nM
Time, hr
Total Ab at 3 mg/kg
Conjugated CDN at 3 mg/kg
Released CDN at 3 mg/kg
Total Ab at 1 mg/kg
Conjugated CDN at 1 mg/kg
Total Ab at 0.3 mg/kg
Conjugated CDN at 0.3 mg/kg

FIG. 14