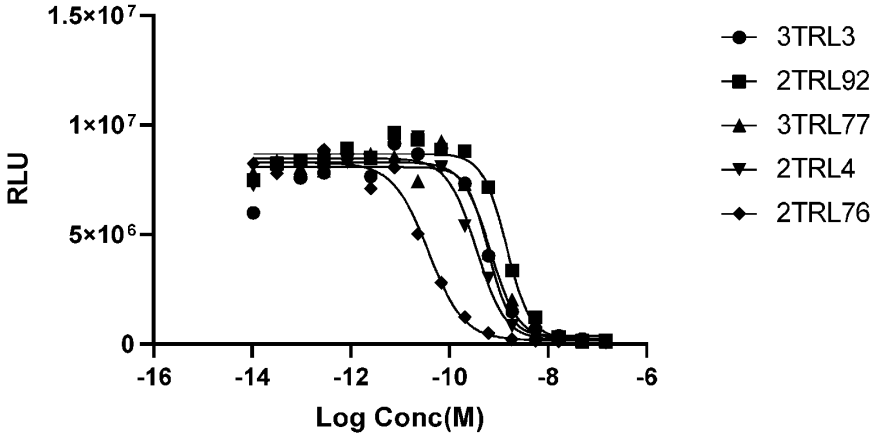

1.5×10⁷
1×10⁷
5×10⁶
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL3
2TRL92
3TRL77
2TRL4
2TRL76

FIG. 1

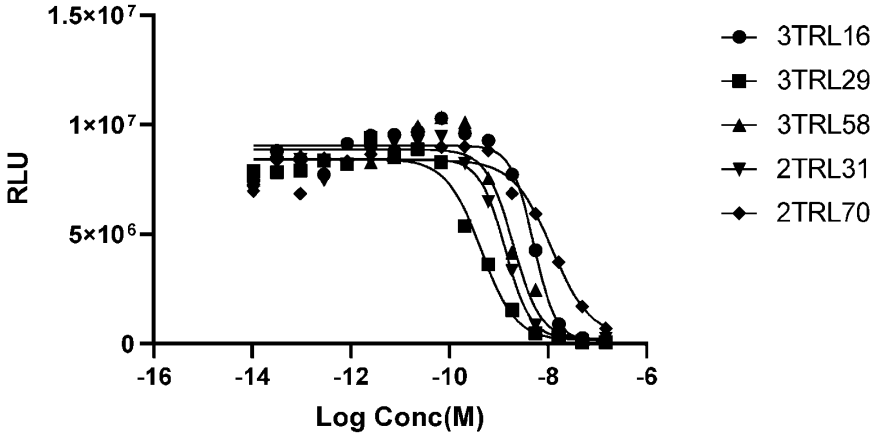

1.5×10⁷
1×10⁷
5×10⁶
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL16
3TRL29
3TRL58
2TRL31
2TRL70

FIG. 2

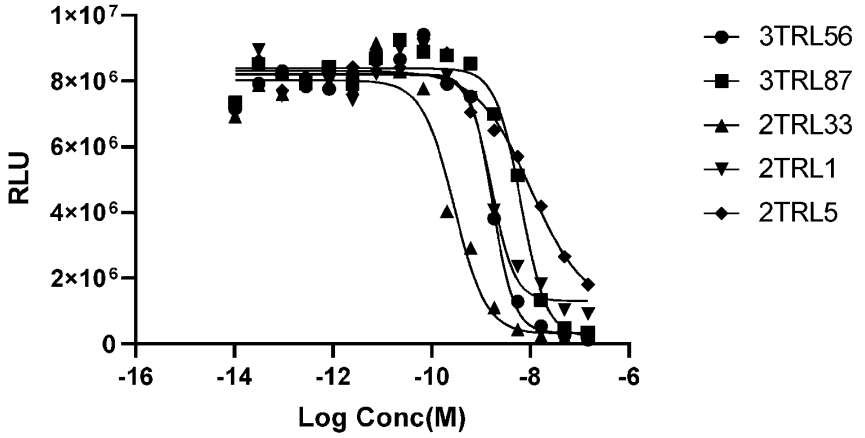

1×10⁷
8×10⁶
6×10⁶
4×10⁶
2×10⁶
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL56
3TRL87
2TRL33
2TRL1
2TRL5

FIG. 3

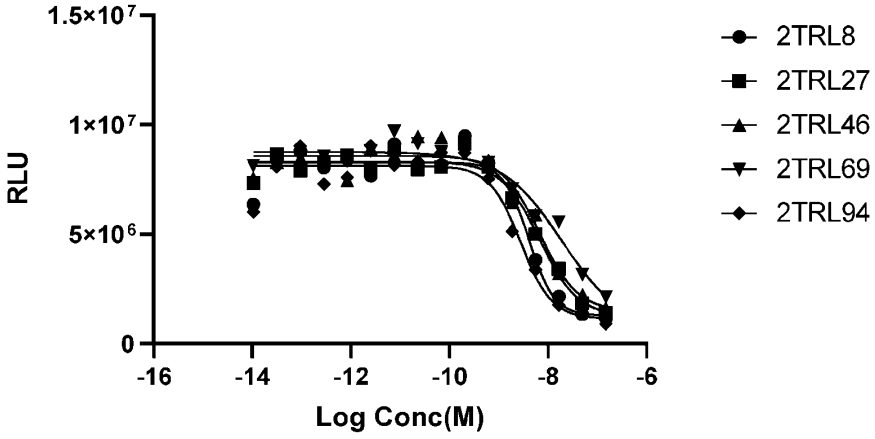

1.5×10⁷
1×10⁷
5×10⁶
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL8
2TRL27
2TRL46
2TRL69
2TRL94

FIG. 4

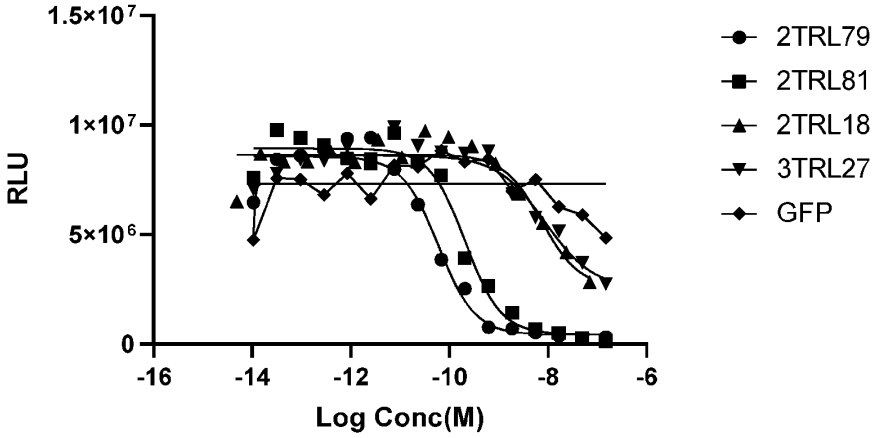

1.5×10^7
1×10^7
5×10^6
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL79
2TRL81
2TRL18
3TRL27
GFP

FIG. 5

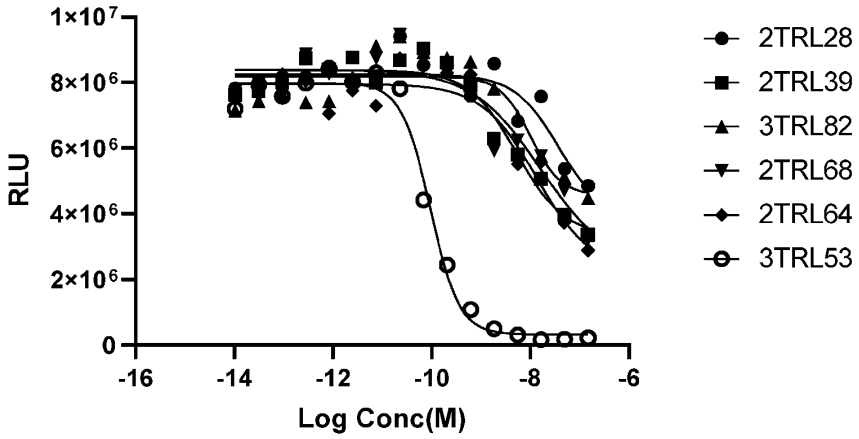

1×10^7
8×10^6
6×10^6
4×10^6
2×10^6
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL28
2TRL39
3TRL82
2TRL68
2TRL64
3TRL53

FIG. 6

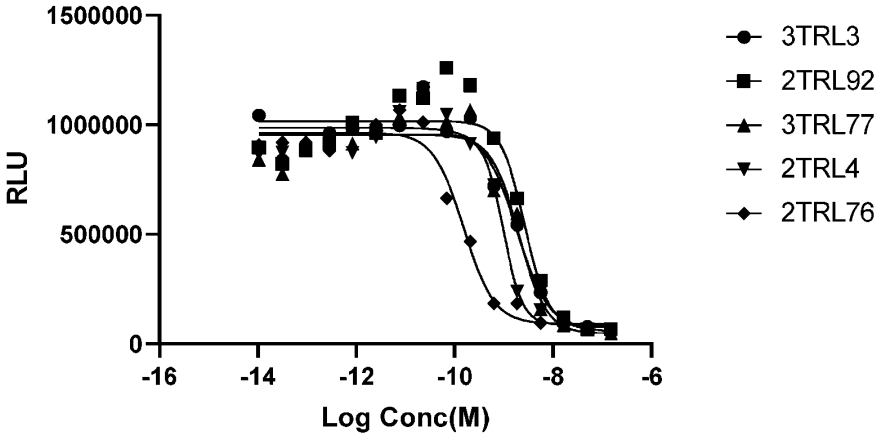

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL3
2TRL92
3TRL77
2TRL4
2TRL76

FIG. 7

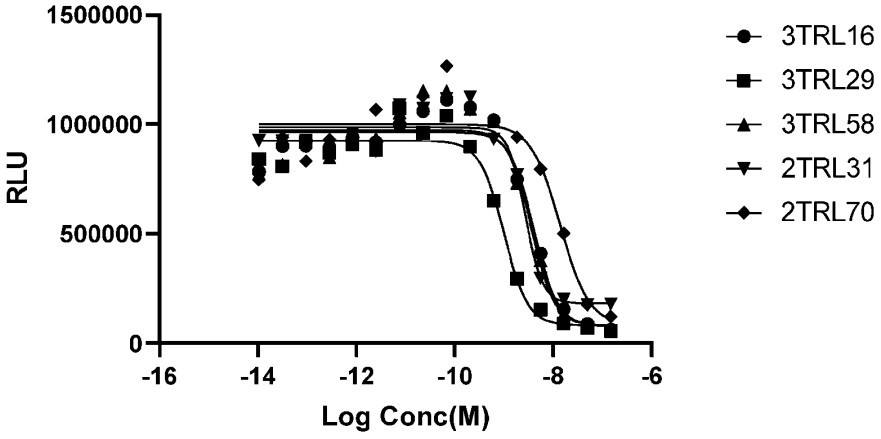

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL16
3TRL29
3TRL58
2TRL31
2TRL70

FIG. 8

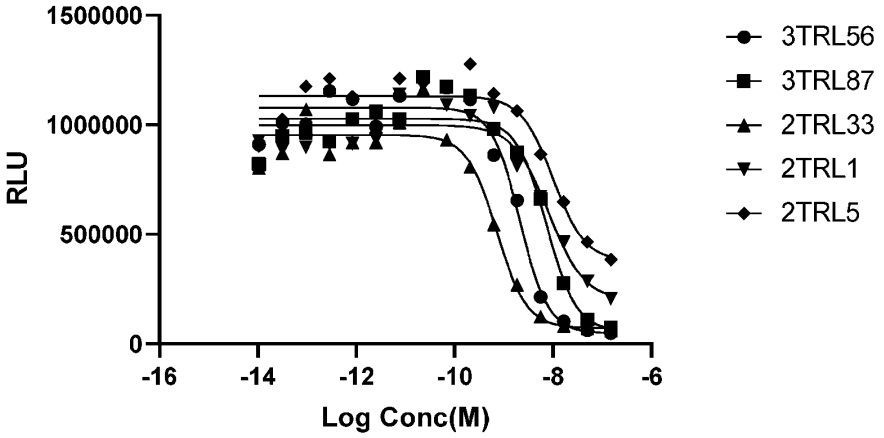

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
3TRL56
3TRL87
2TRL33
2TRL1
2TRL5

FIG. 9

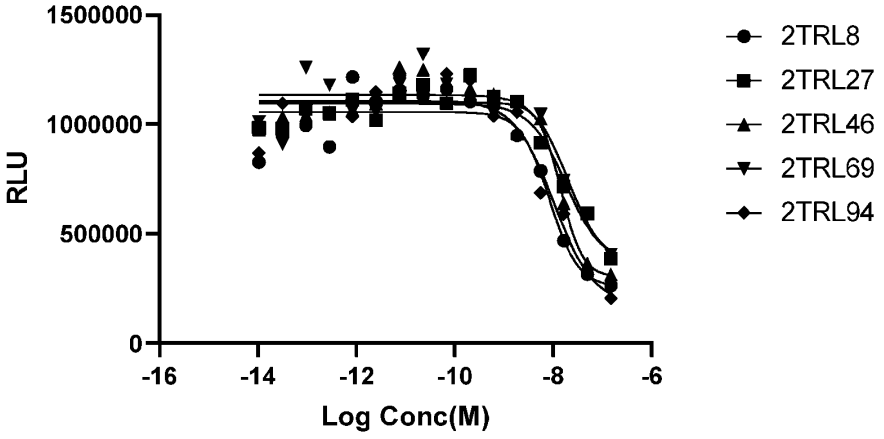

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL8
2TRL27
2TRL46
2TRL69
2TRL94

FIG. 10

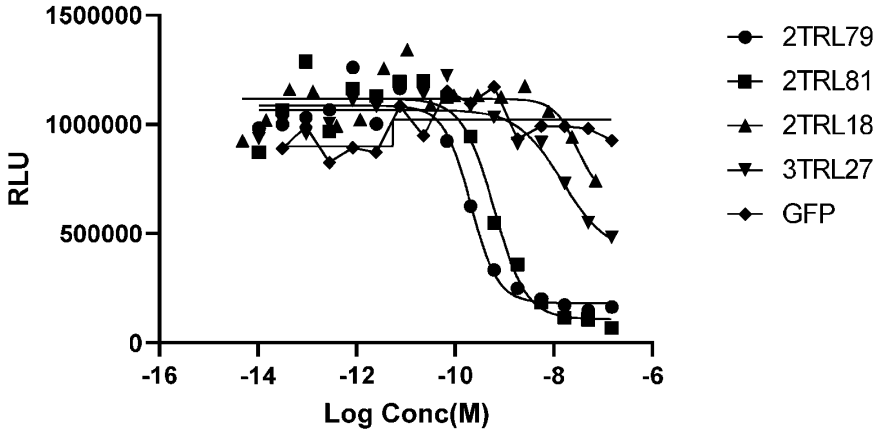

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL79
2TRL81
2TRL18
3TRL27
GFP

FIG. 11

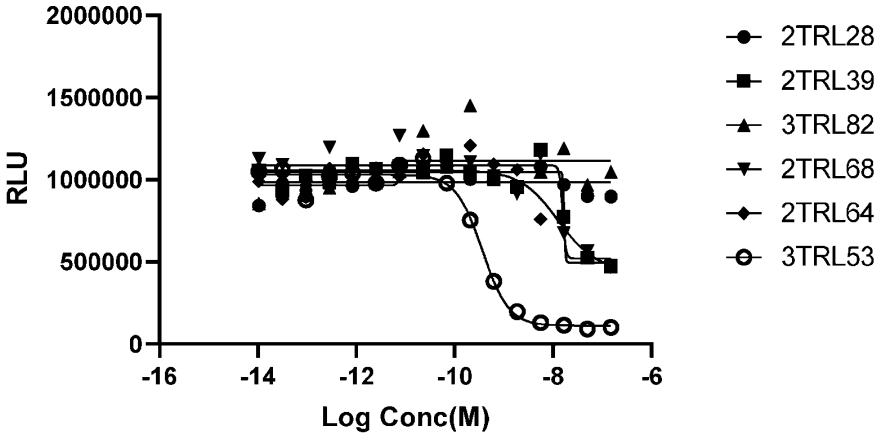

2000000
1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log Conc(M)
2TRL28
2TRL39
3TRL82
2TRL68
2TRL64
3TRL53

FIG. 12

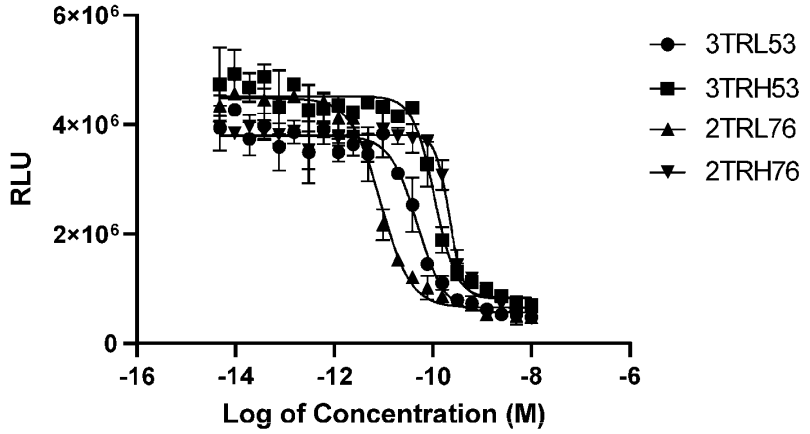

6×10⁶
4×10⁶
2×10⁶
0
RLU
-16
-14
-12
-10
-8
-6
Log of Concentration (M)
3TRL53
3TRH53
2TRL76
2TRH76

FIG. 13

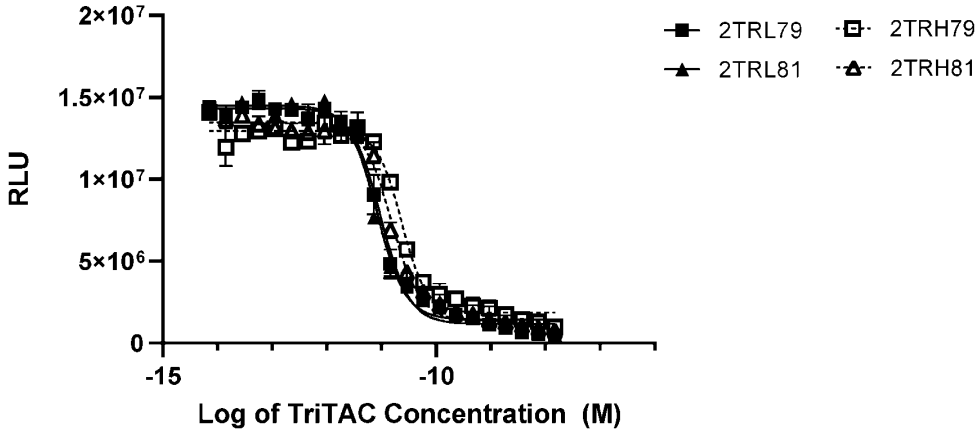

2×10⁷
1.5×10⁷
1×10⁷
5×10⁶
0
RLU
-15
-10
Log of TriTAC Concentration (M)
2TRL79
2TRH79
2TRL81
2TRH81

FIG. 14

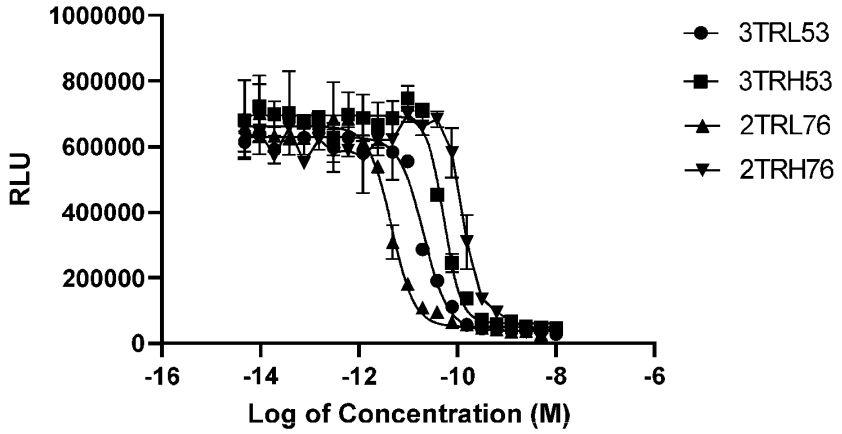

1000000
800000
600000
400000
200000
0
RLU
-16
-14
-12
-10
-8
-6
Log of Concentration (M)
3TRL53
3TRH53
2TRL76
2TRH76

FIG. 15

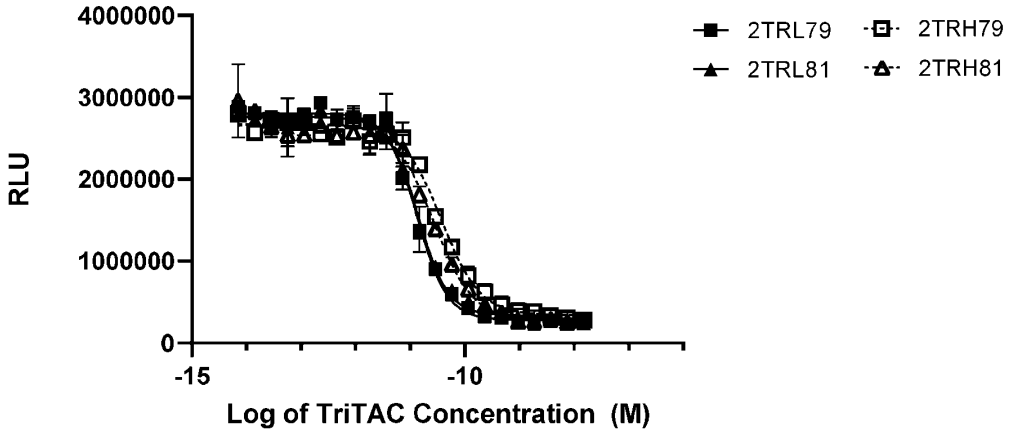

4000000
3000000
2000000
1000000
0
RLU
-15
-10
Log of TriTAC Concentration (M)
2TRL79
2TRH79
2TRL81
2TRH81

FIG. 16

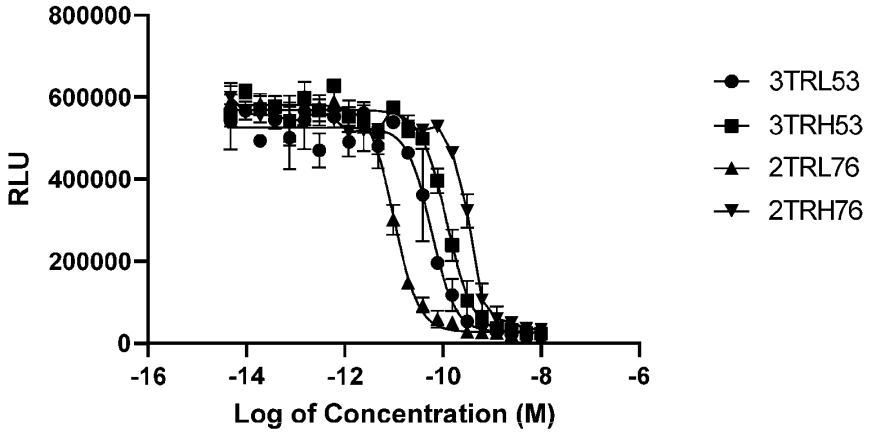

800000
600000
400000
200000
0
RLU
-16
-14
-12
-10
-8
-6
Log of Concentration (M)
3TRL53
3TRH53
2TRL76
2TRH76

FIG. 17

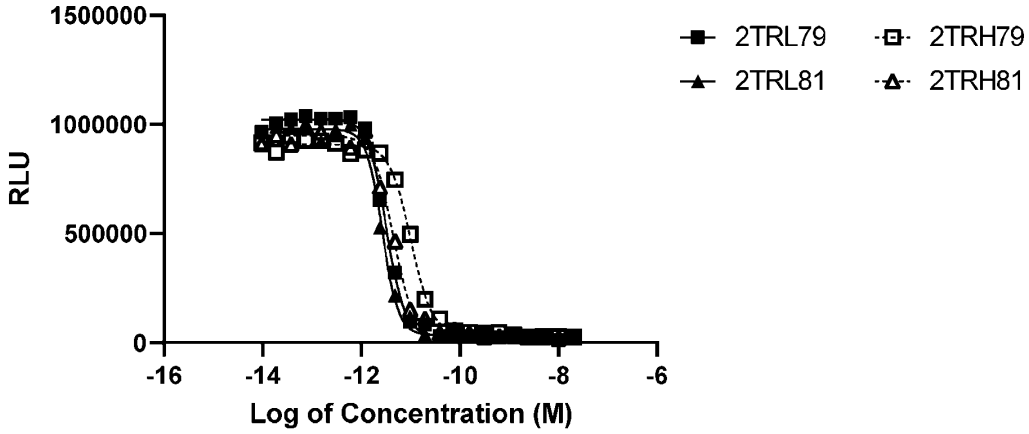

1500000
1000000
500000
0
RLU
-16
-14
-12
-10
-8
-6
Log of Concentration (M)
2TRL79
2TRH79
2TRL81
2TRH81

FIG. 18

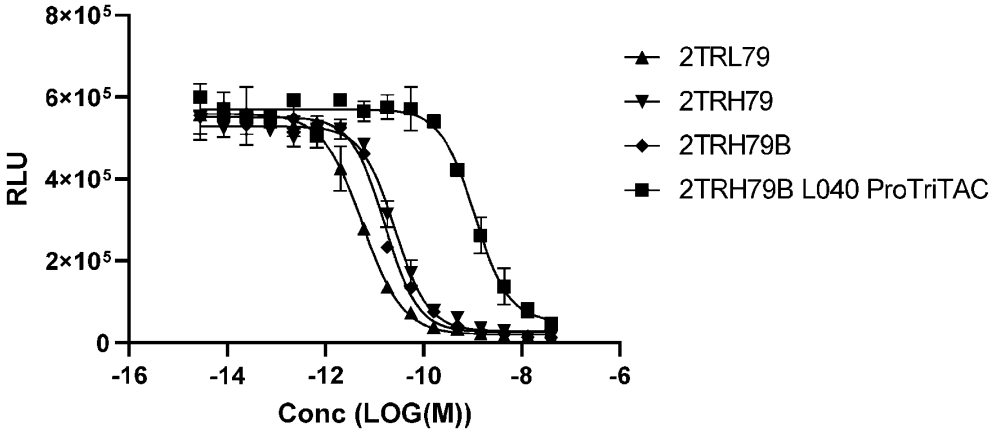

8×10⁵
6×10⁵
4×10⁵
2×10⁵
0
RLU
-16
-14
-12
-10
-8
-6
Conc (LOG(M))
2TRL79
2TRH79
2TRH79B
2TRH79B L040 ProTriTAC

FIG. 19

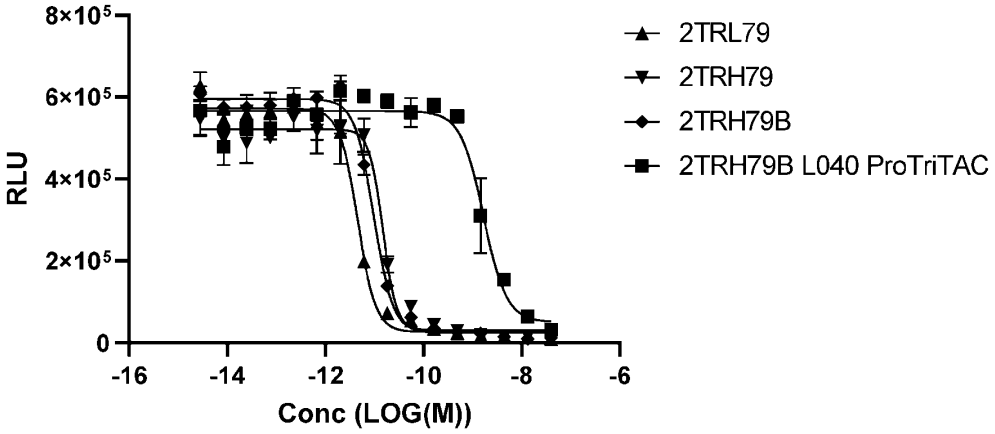

8×10⁵
6×10⁵
4×10⁵
2×10⁵
0
RLU
-16
-14
-12
-10
-8
-6
Conc (LOG(M))
2TRL79
2TRH79
2TRH79B
2TRH79B L040 ProTriTAC

FIG. 20

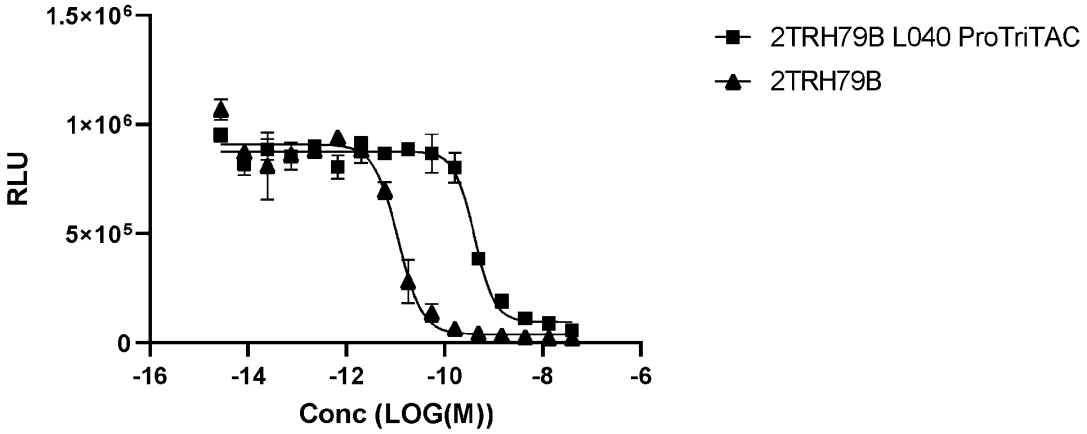

1.5×10^6
1×10^6
5×10^5
0
RLU
-16
-14
-12
-10
-8
-6
Conc (LOG(M))
2TRH79B L040 ProTriTAC
2TRH79B

FIG. 21

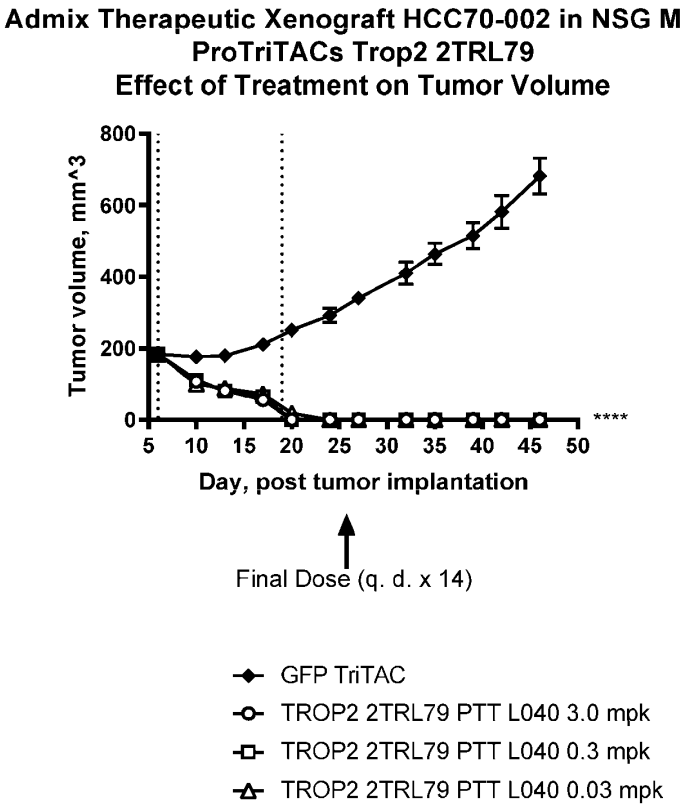

Admix Therapeutic Xenograft HCC70-002 in NSG Mice
ProTriTACs Trop2 2TRL79
Effect of Treatment on Tumor Volume
Tumor volume, mm^3
800
600
400
200
0
5
10
15
20
25
30
35
40
45
50
****
Day, post tumor implantation
Final Dose (q. d. x 14)
GFP TriTAC
TROP2 2TRL79 PTT L040 3.0 mpk
TROP2 2TRL79 PTT L040 0.3 mpk
TROP2 2TRL79 PTT L040 0.03 mpk

FIG. 22

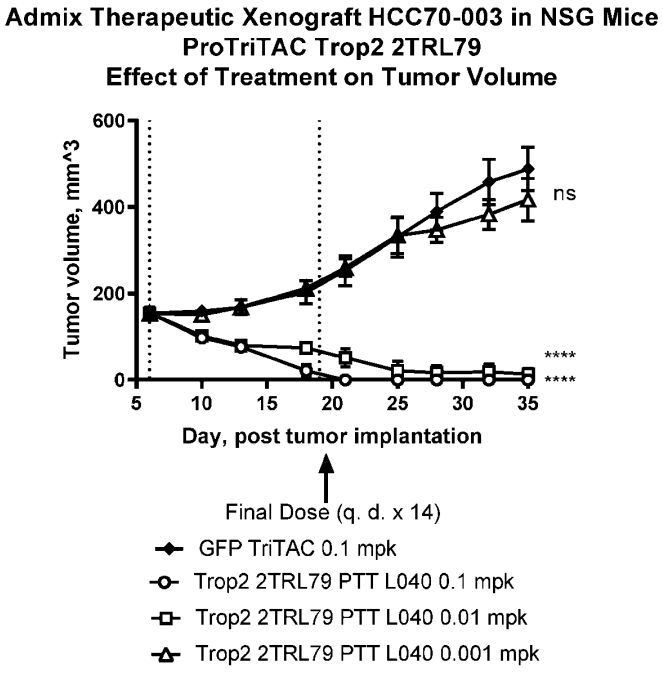

Admix Therapeutic Xenograft HCC70-003 in NSG Mice
ProTriTAC Trop2 2TRL79
Effect of Treatment on Tumor Volume
Tumor volume, mm^3
600
400
200
0
5
10
15
20
25
30
35
Day, post tumor implantation
ns
****
****
Final Dose (q. d. x 14)
GFP TriTAC 0.1 mpk
Trop2 2TRL79 PTT L040 0.1 mpk
Trop2 2TRL79 PTT L040 0.01 mpk
Trop2 2TRL79 PTT L040 0.001 mpk

FIG. 23

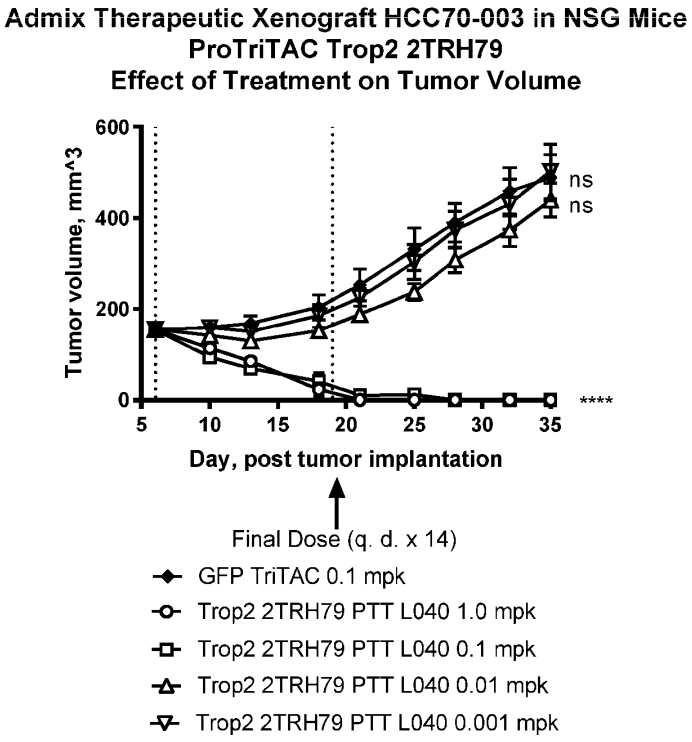

Admix Therapeutic Xenograft HCC70-003 in NSG Mice
ProTriTAC Trop2 2TRH79
Effect of Treatment on Tumor Volume
Tumor volume, mm^3
600
400
200
0
5
10
15
20
25
30
35
ns
ns
****
Day, post tumor implantation
Final Dose (q. d. x 14)
GFP TriTAC 0.1 mpk
Trop2 2TRH79 PTT L040 1.0 mpk
Trop2 2TRH79 PTT L040 0.1 mpk
Trop2 2TRH79 PTT L040 0.01 mpk
Trop2 2TRH79 PTT L040 0.001 mpk

FIG. 24

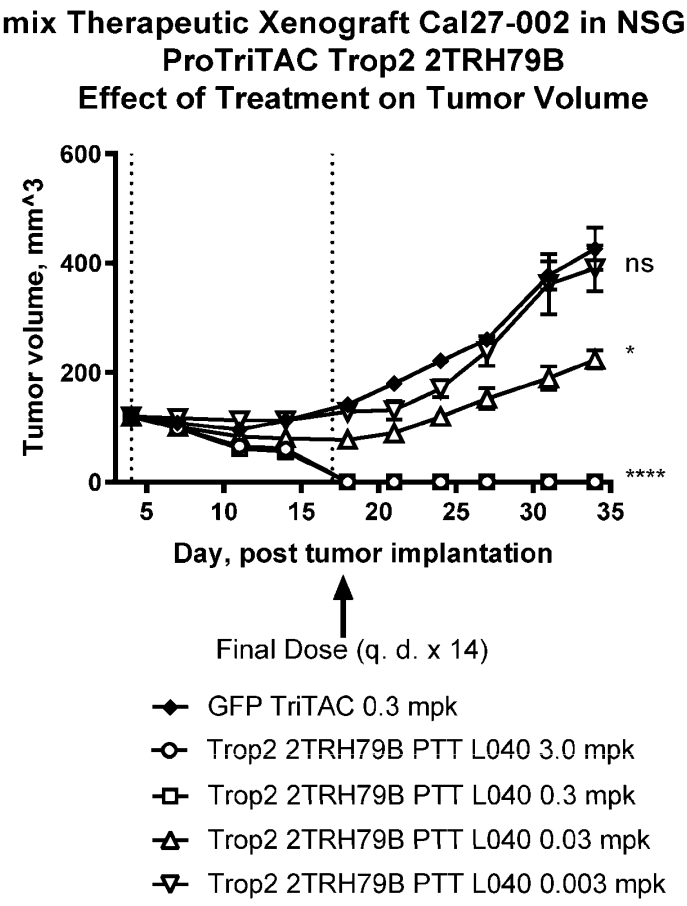

Admix Therapeutic Xenograft Cal27-002 in NSG Mice
ProTriTAC Trop2 2TRH79B
Effect of Treatment on Tumor Volume
Tumor volume, mm^3
600
400
200
0
5
10
15
20
25
30
35
Day, post tumor implantation
ns
*
****
Final Dose (q. d. x 14)
GFP TriTAC 0.3 mpk
Trop2 2TRH79B PTT L040 3.0 mpk
Trop2 2TRH79B PTT L040 0.3 mpk
Trop2 2TRH79B PTT L040 0.03 mpk
Trop2 2TRH79B PTT L040 0.003 mpk

FIG. 25

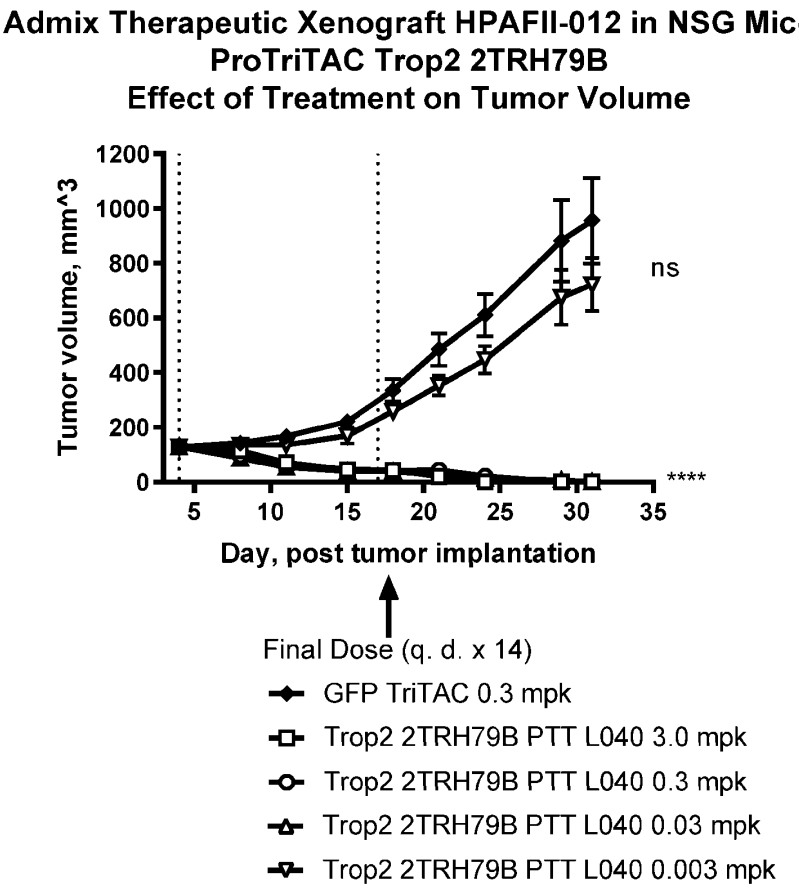

Admix Therapeutic Xenograft HPAFII-012 in NSG Mice
ProTriTAC Trop2 2TRH79B
Effect of Treatment on Tumor Volume
Tumor volume, mm^3
1200
1000
800
600
400
200
0
5
10
15
20
25
30
35
Day, post tumor implantation
ns
****
Final Dose (q. d. x 14)
GFP TriTAC 0.3 mpk
Trop2 2TRH79B PTT L040 3.0 mpk
Trop2 2TRH79B PTT L040 0.3 mpk
Trop2 2TRH79B PTT L040 0.03 mpk
Trop2 2TRH79B PTT L040 0.003 mpk

FIG. 26

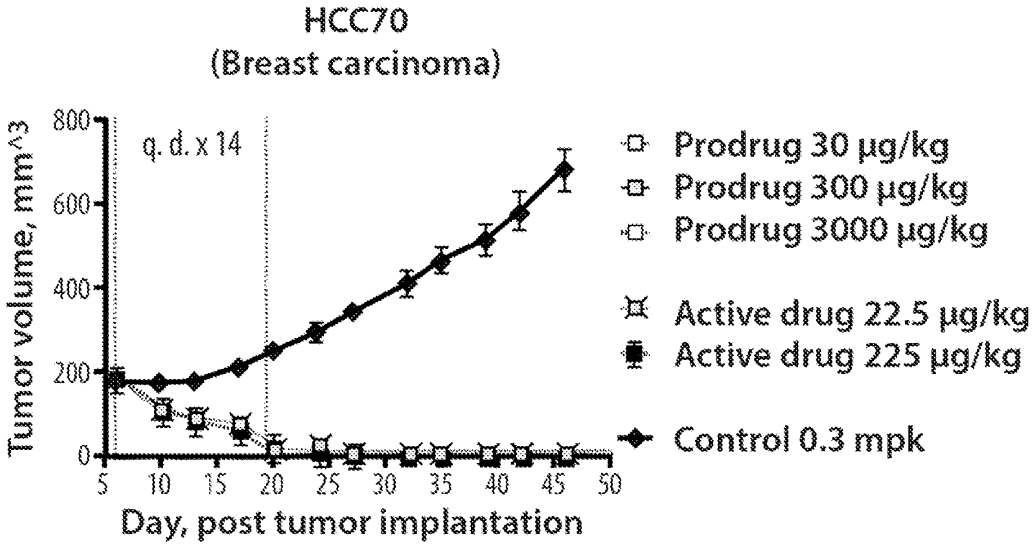


FIG. 27

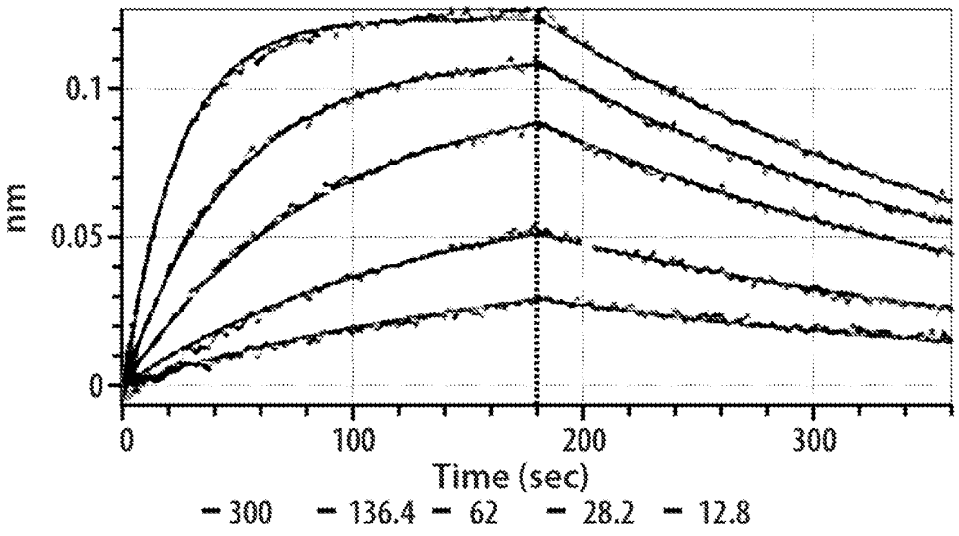


FIG. 28A

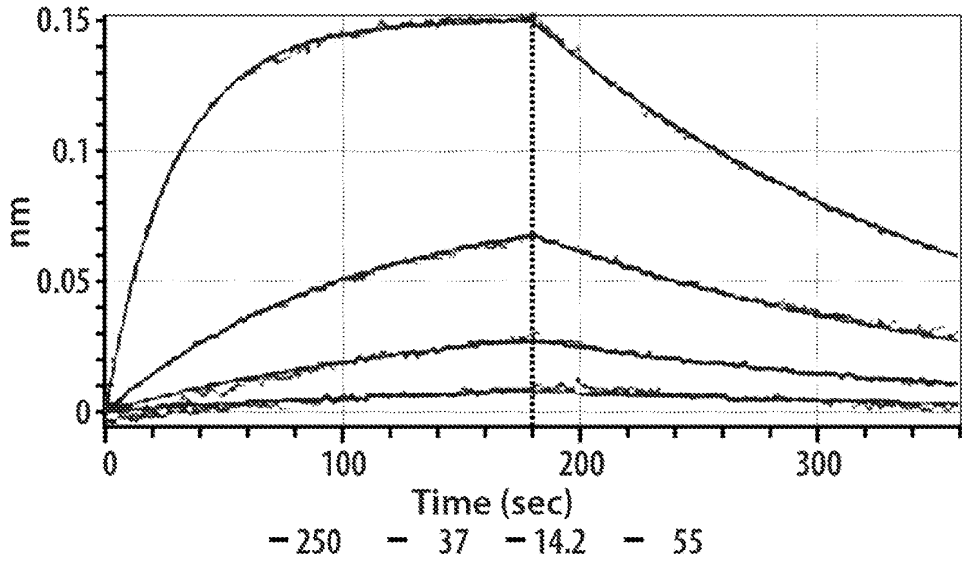


FIG. 28B

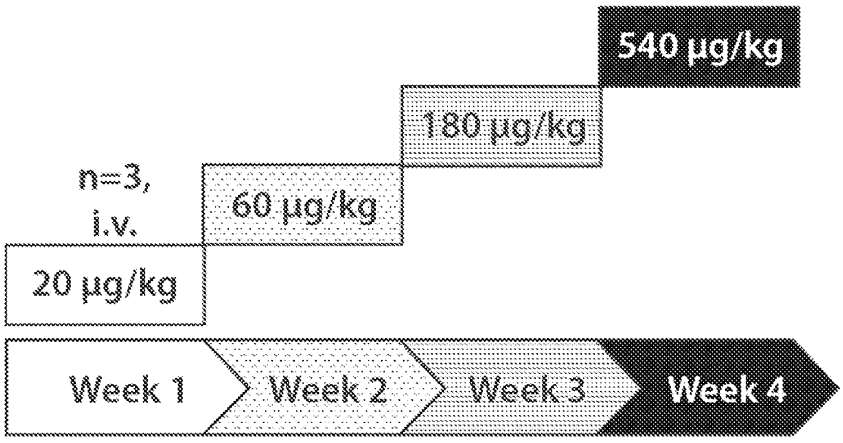


FIG. 29

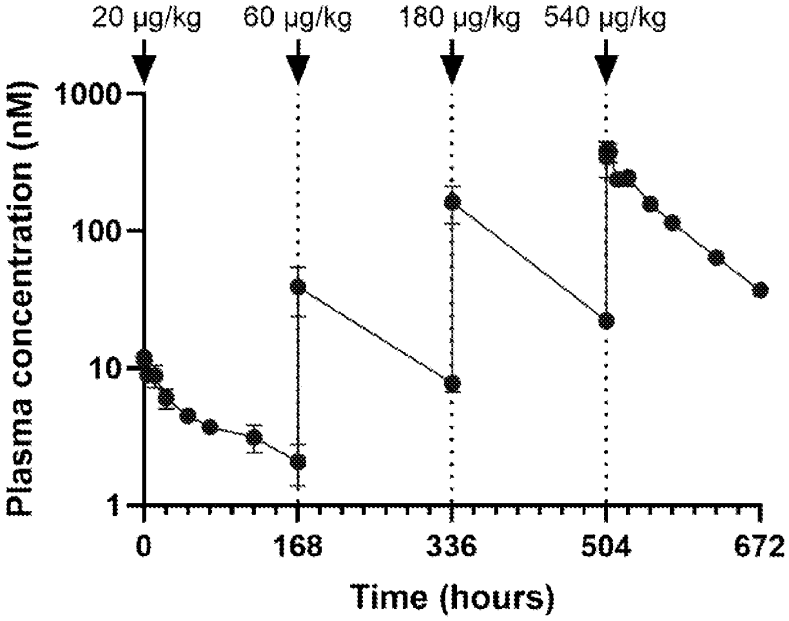

20 µg/kg
60 µg/kg
180 µg/kg
540 µg/kg
Plasma concentration (nM)
1000
100
10
1
0
168
336
504
672
Time (hours)

Fig. 30