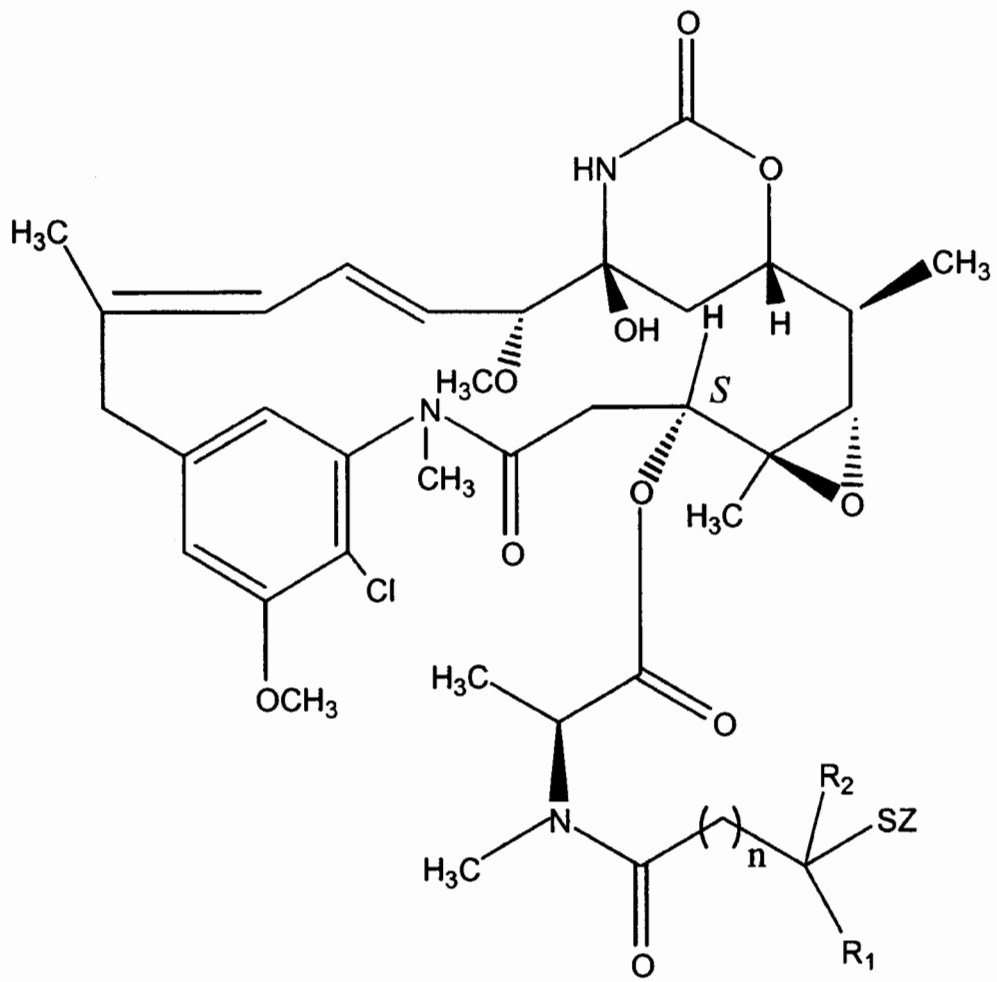
Fig 1.



n ≥1

R_1, R_2, R_3 = H, Me, C_2H_5, linear alkyl or alkenyl having from 1 to 10 carbon atoms, branched or cyclic alkyl or alkenyl having from 3 to 10 carbon atoms, phenyl, substituted phenyl, or heterocyclic aromatic, heterocycloalkyl radical

Z = H, SR_3

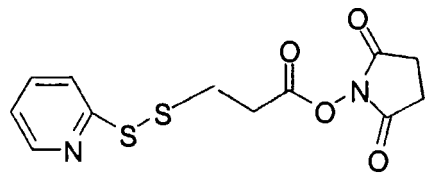
DM1 n= 1, R1, R2 = H, Z = H

DM3 n= 2, R1 = H, R2 = Me, Z = H

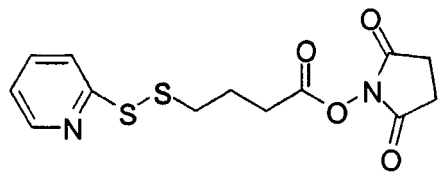
DM4 n= 2, R1, R2 = Me, Z = H

Fig. 2

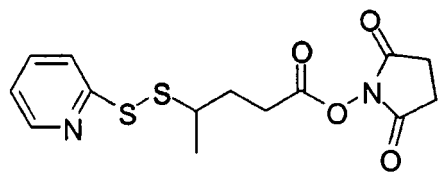
S P D P



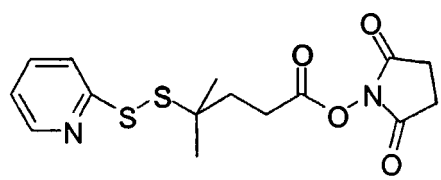
S P D B



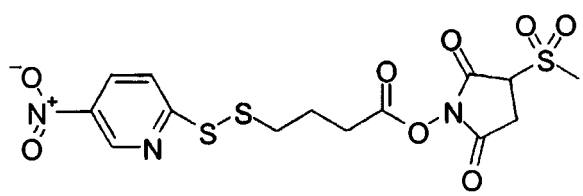
S P P



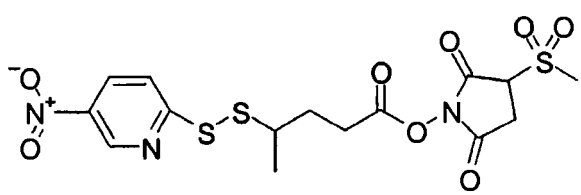
S M N P



S S N P B



S S N P P



S M C C

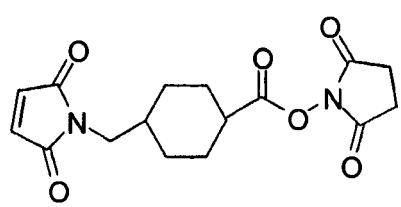


Fig. 3

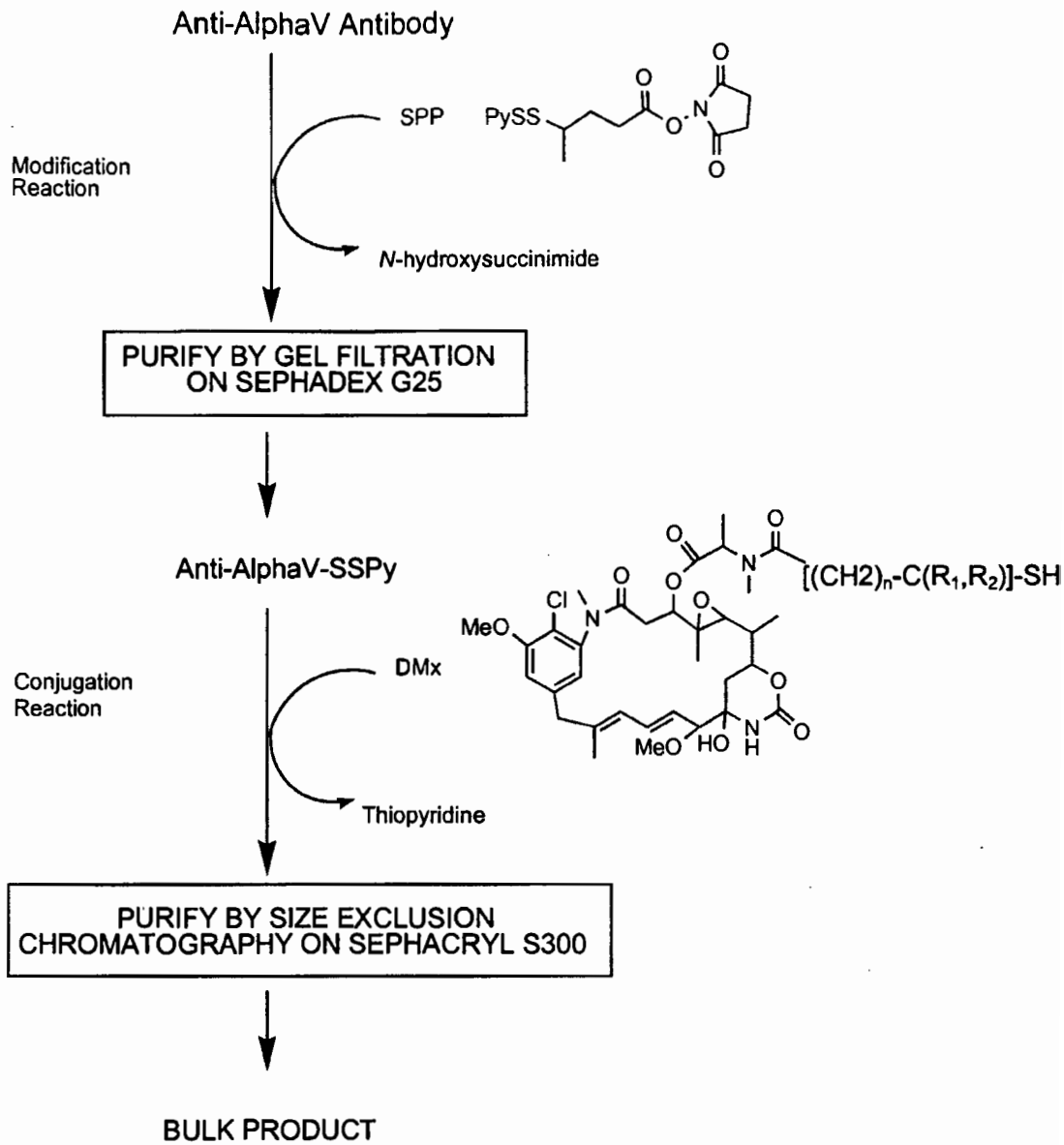

Anti-AlphaV Antibody
Modification Reaction
SPP
PySS
N-hydroxysuccinimide
PURIFY BY GEL FILTRATION ON SEPHADEX G25
Anti-AlphaV-SSPy
Conjugation Reaction
DMx
Thiopyridine
[(CH2)n-C(R1,R2)]-SH
MeO
Cl
MeO
HO
PURIFY BY SIZE EXCLUSION CHROMATOGRAPHY ON SEPHACRYL S300
BULK PRODUCT

FIG. 4

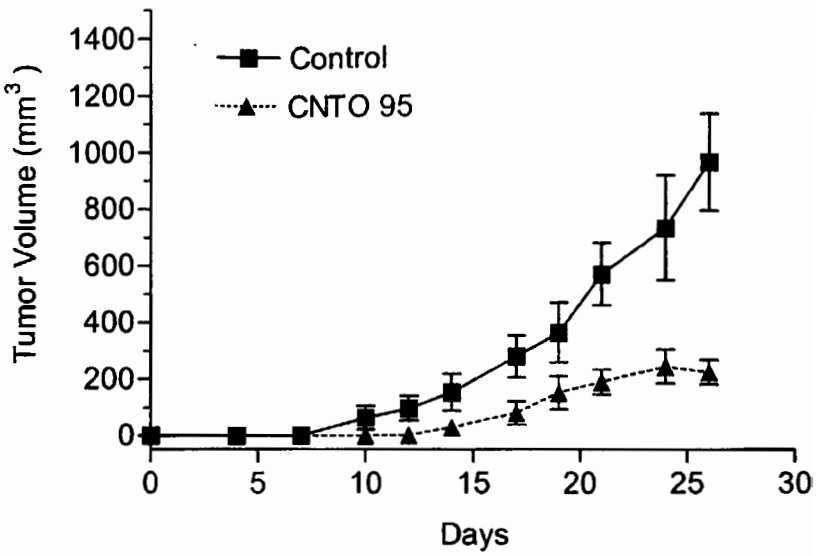

Control
CNTO 95
Tumor Volume (mm3)
1400
1200
1000
800
600
400
200
0
0
5
10
15
20
25
30
Days

FIG. 5

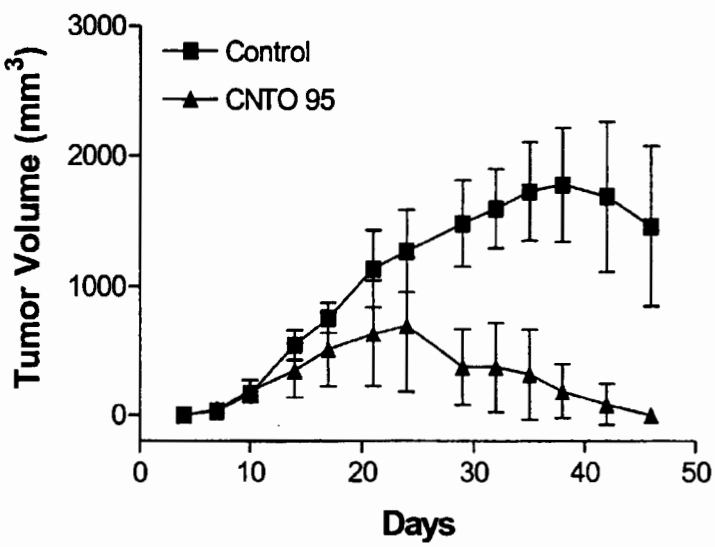

Control
CNTO 95
Tumor Volume (mm3)
3000
2000
1000
0
0
10
20
30
40
50
Days

Fig. 6

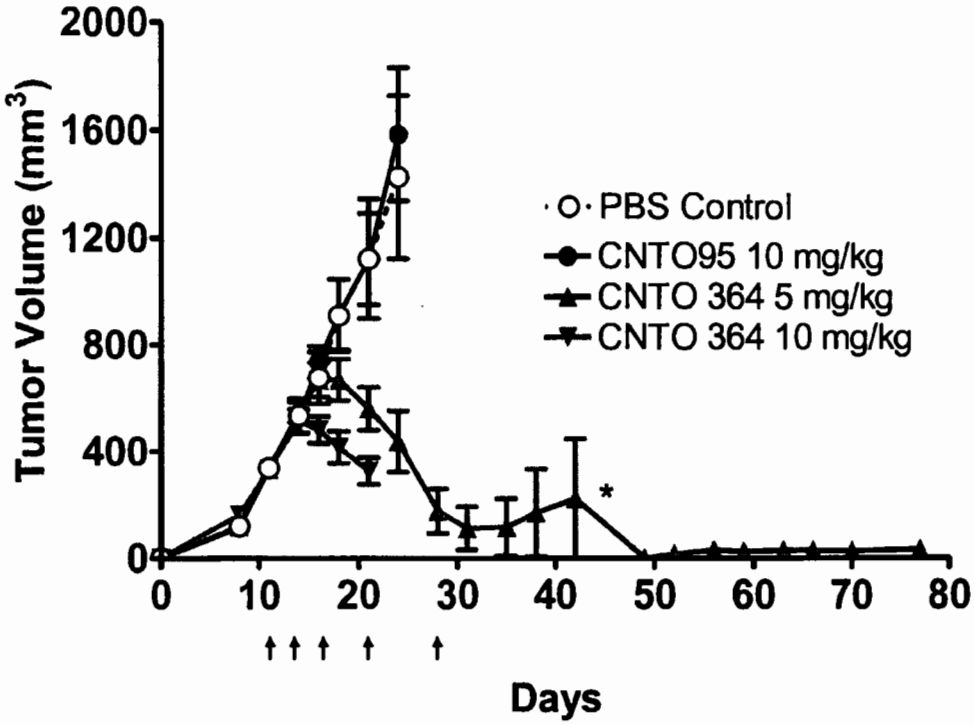

Tumor Volume (mm3)
2000
1600
1200
800
400
0
0
10
20
30
40
50
60
70
80
Days
PBS Control
CNTO95 10 mg/kg
CNTO 364 5 mg/kg
CNTO 364 10 mg/kg
*

Fig. 7

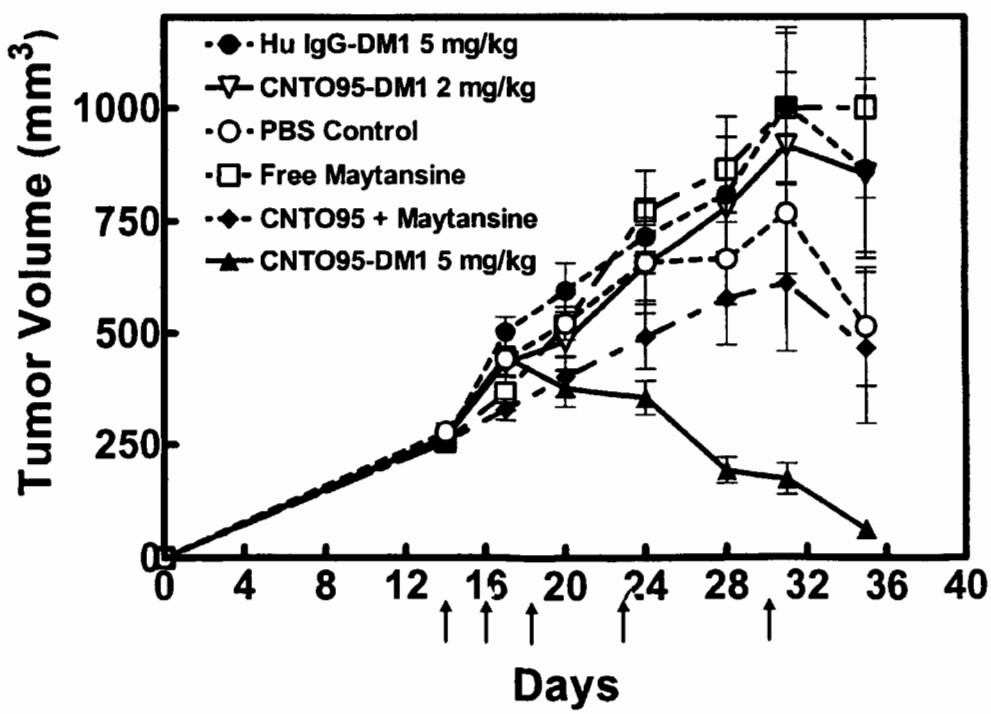

Tumor Volume (mm3)
1000
750
500
250
0
0
4
8
12
16
20
24
28
32
36
40
Days
Hu IgG-DM1 5 mg/kg
CNTO95-DM1 2 mg/kg
PBS Control
Free Maytansine
CNTO95 + Maytansine
CNTO95-DM1 5 mg/kg

Fig.8

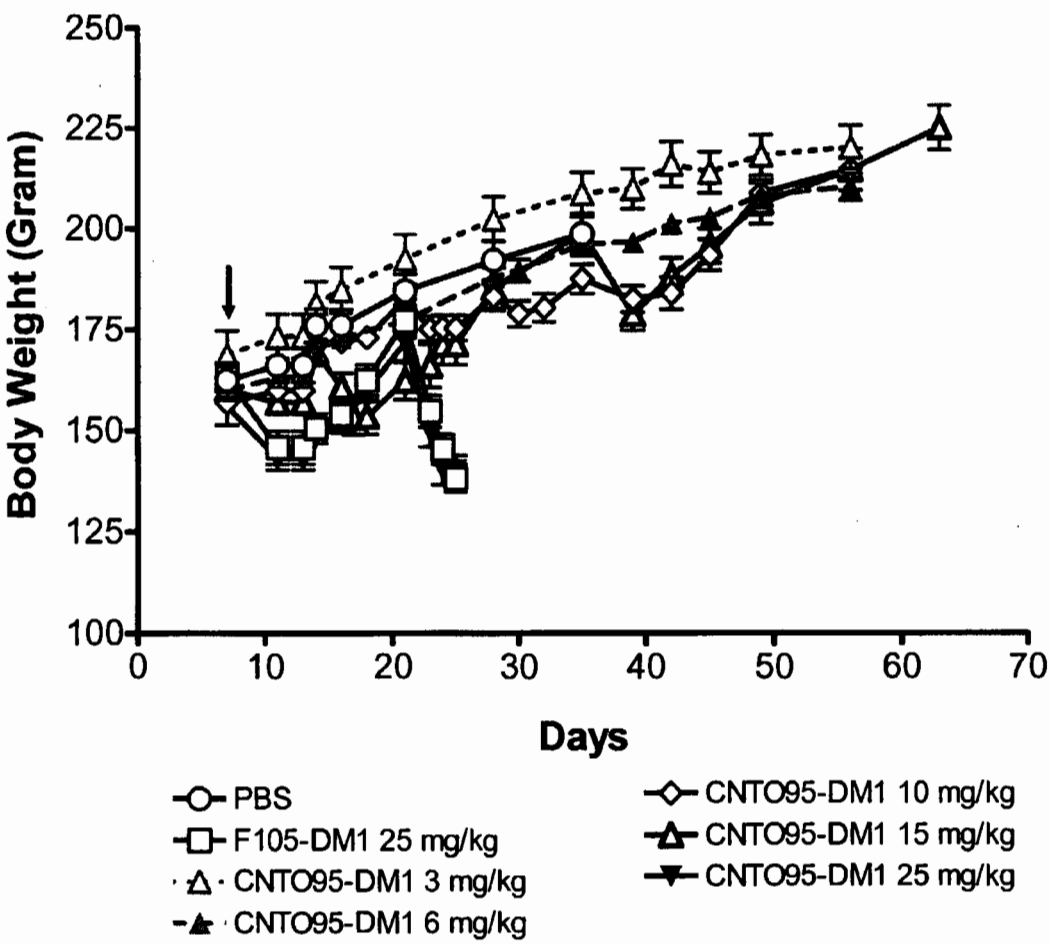

Body Weight (Gram)
250
225
200
175
150
125
100
0
10
20
30
40
50
60
70
Days
PBS
F105-DM1 25 mg/kg
CNTO95-DM1 3 mg/kg
CNTO95-DM1 6 mg/kg
CNTO95-DM1 10 mg/kg
CNTO95-DM1 15 mg/kg
CNTO95-DM1 25 mg/kg

Fig. 9

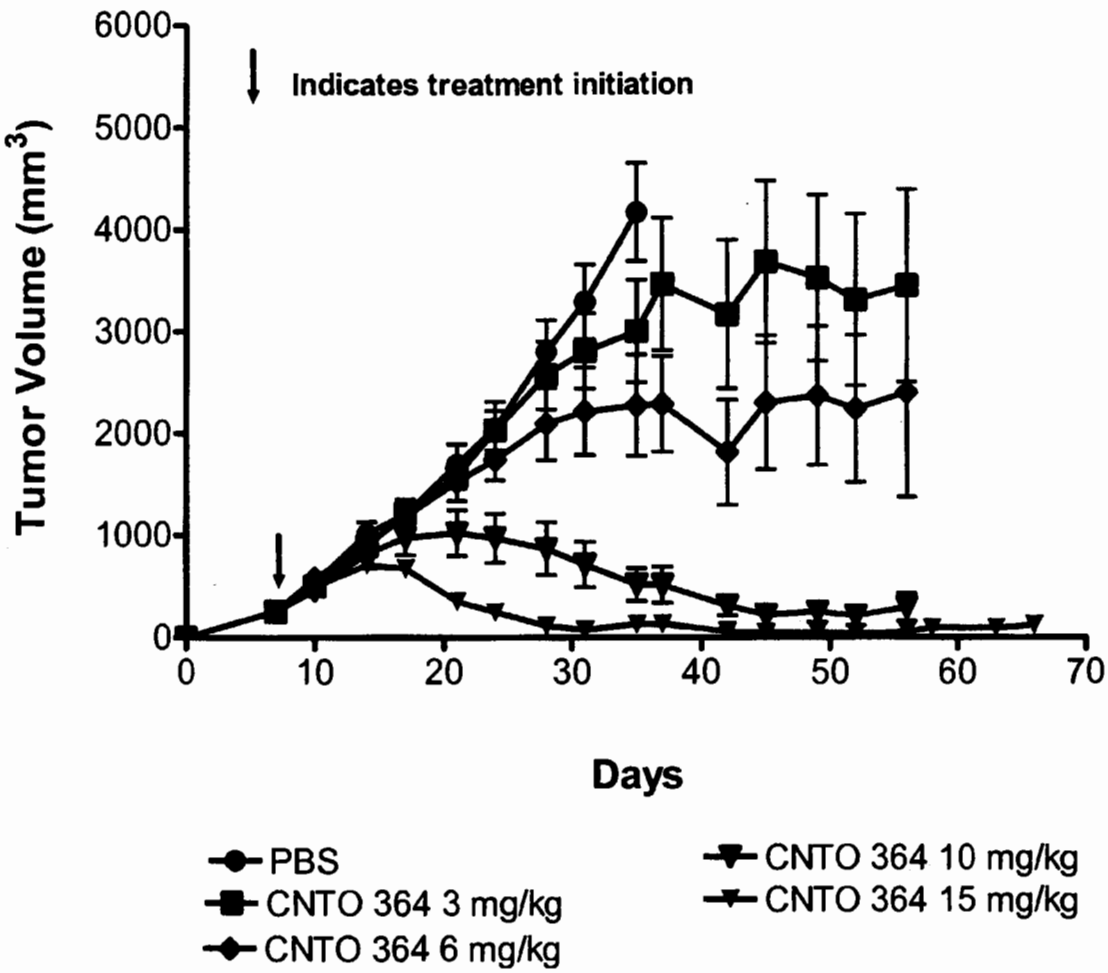

Indicates treatment initiation
Tumor Volume (mm3)
6000
5000
4000
3000
2000
1000
0
0
10
20
30
40
50
60
70
Days
PBS
CNTO 364 3 mg/kg
CNTO 364 6 mg/kg
CNTO 364 10 mg/kg
CNTO 364 15 mg/kg

Fig. 10

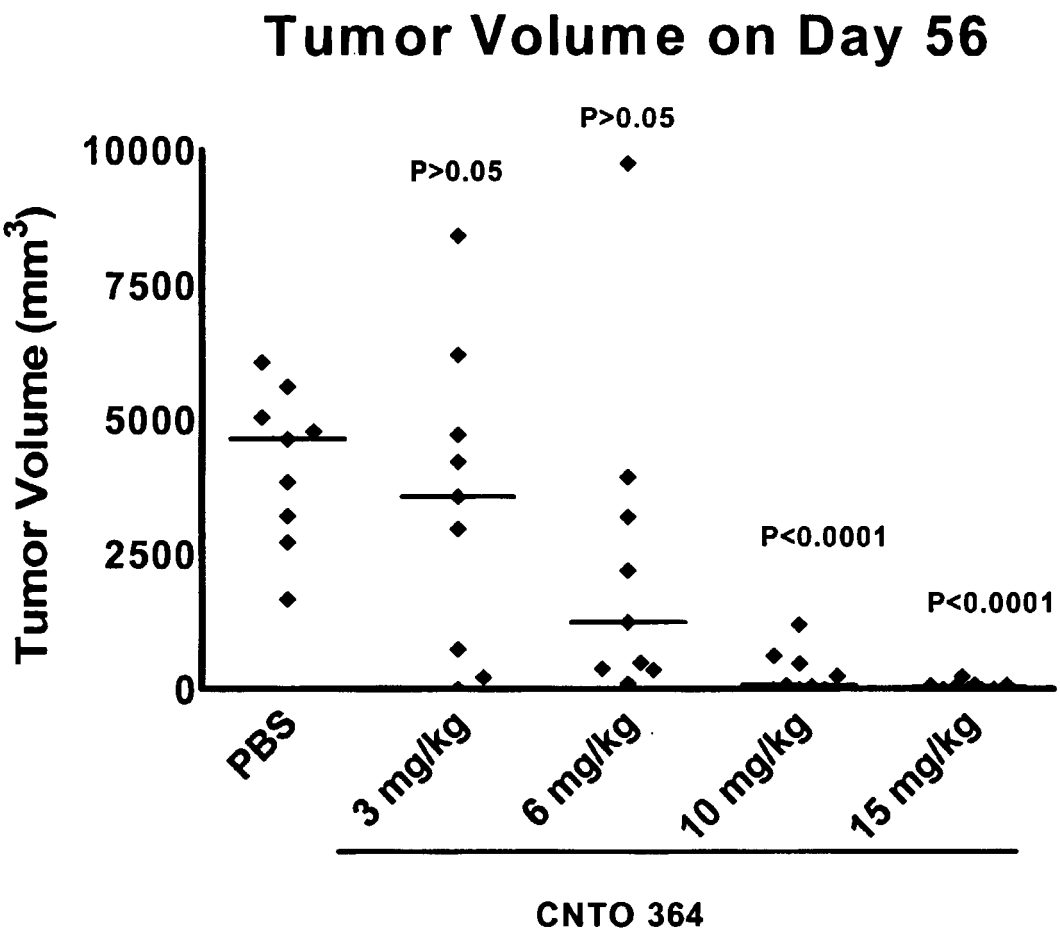

Tumor Volume on Day 56
Tumor Volume (mm3)
10000
7500
5000
2500
0
P>0.05
P>0.05
P<0.0001
P<0.0001
PBS
3 mg/kg
6 mg/kg
10 mg/kg
15 mg/kg
CNTO 364

Fig. 11

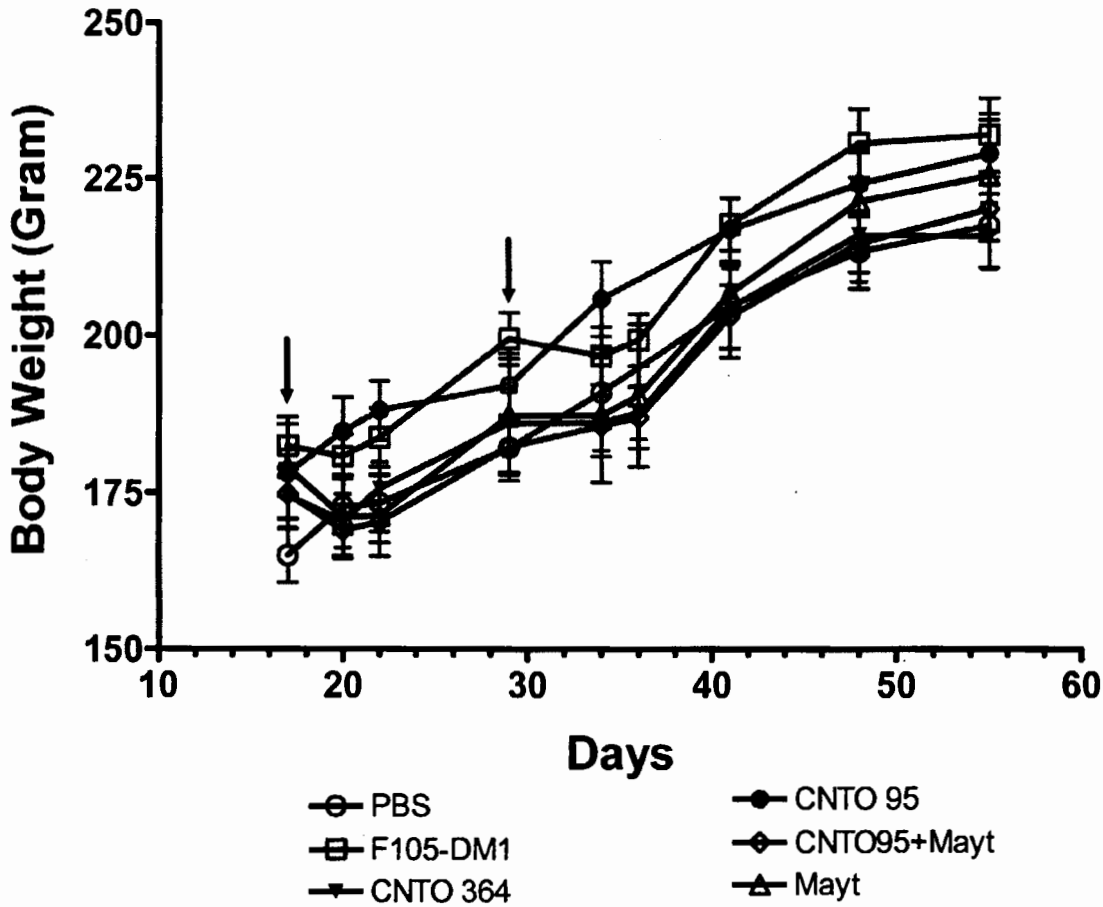

Body Weight (Gram)
250
225
200
175
150
10
20
30
40
50
60
Days
PBS
F105-DM1
CNTO 364
CNTO 95
CNTO95+Mayt
Mayt

Fig. 12

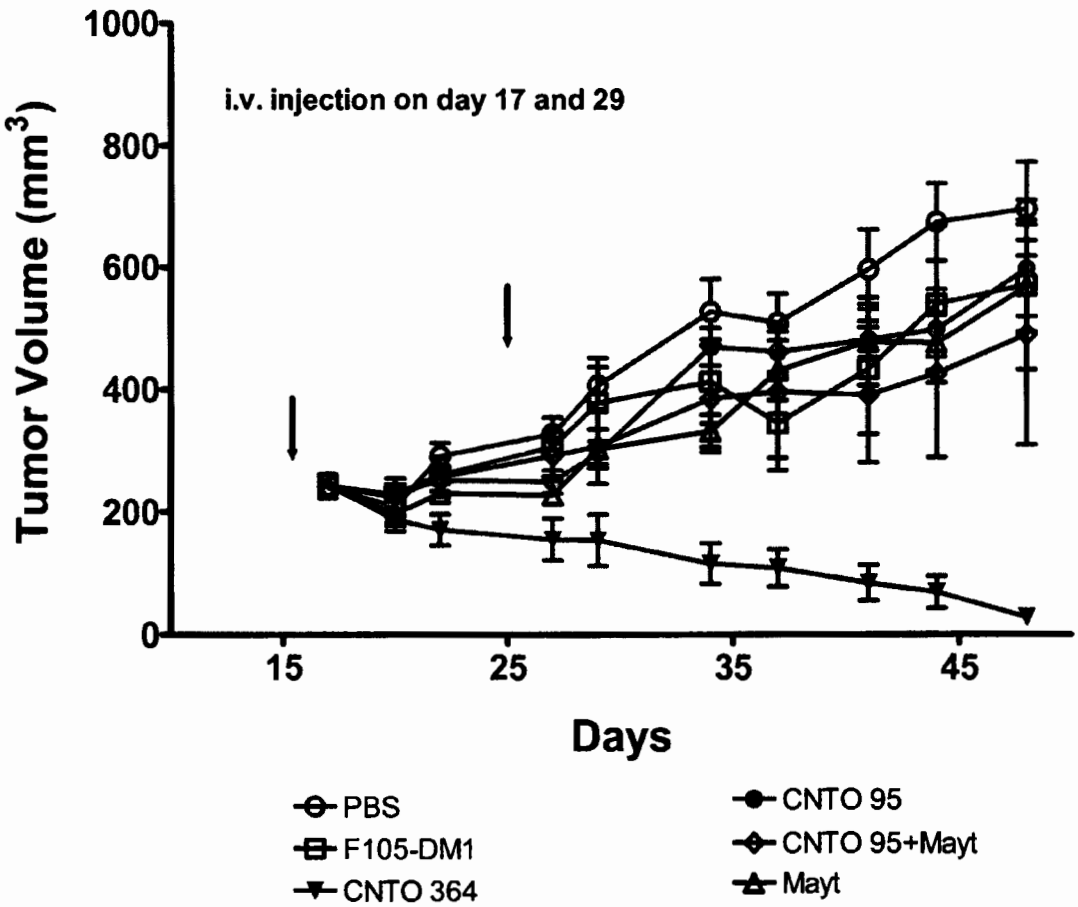

1000
800
600
400
200
0
Tumor Volume (mm3)
i.v. injection on day 17 and 29
15
25
35
45
Days
PBS
F105-DM1
CNTO 364
CNTO 95
CNTO 95+Mayt
Mayt

Fig. 13

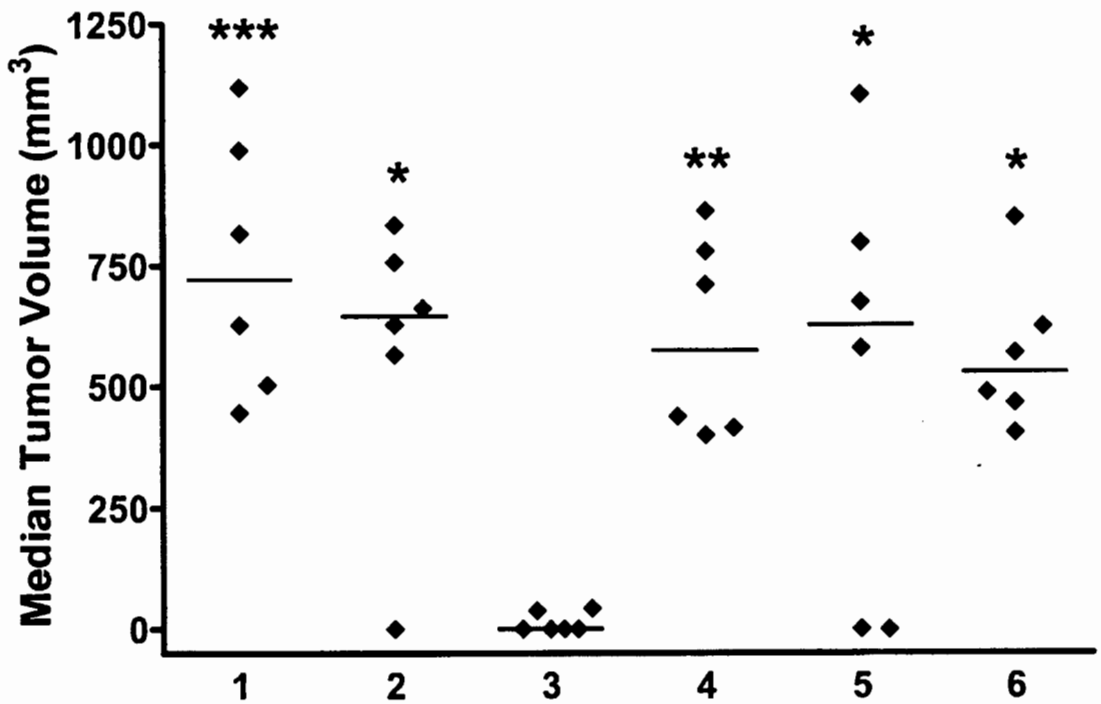


FIG. 14A

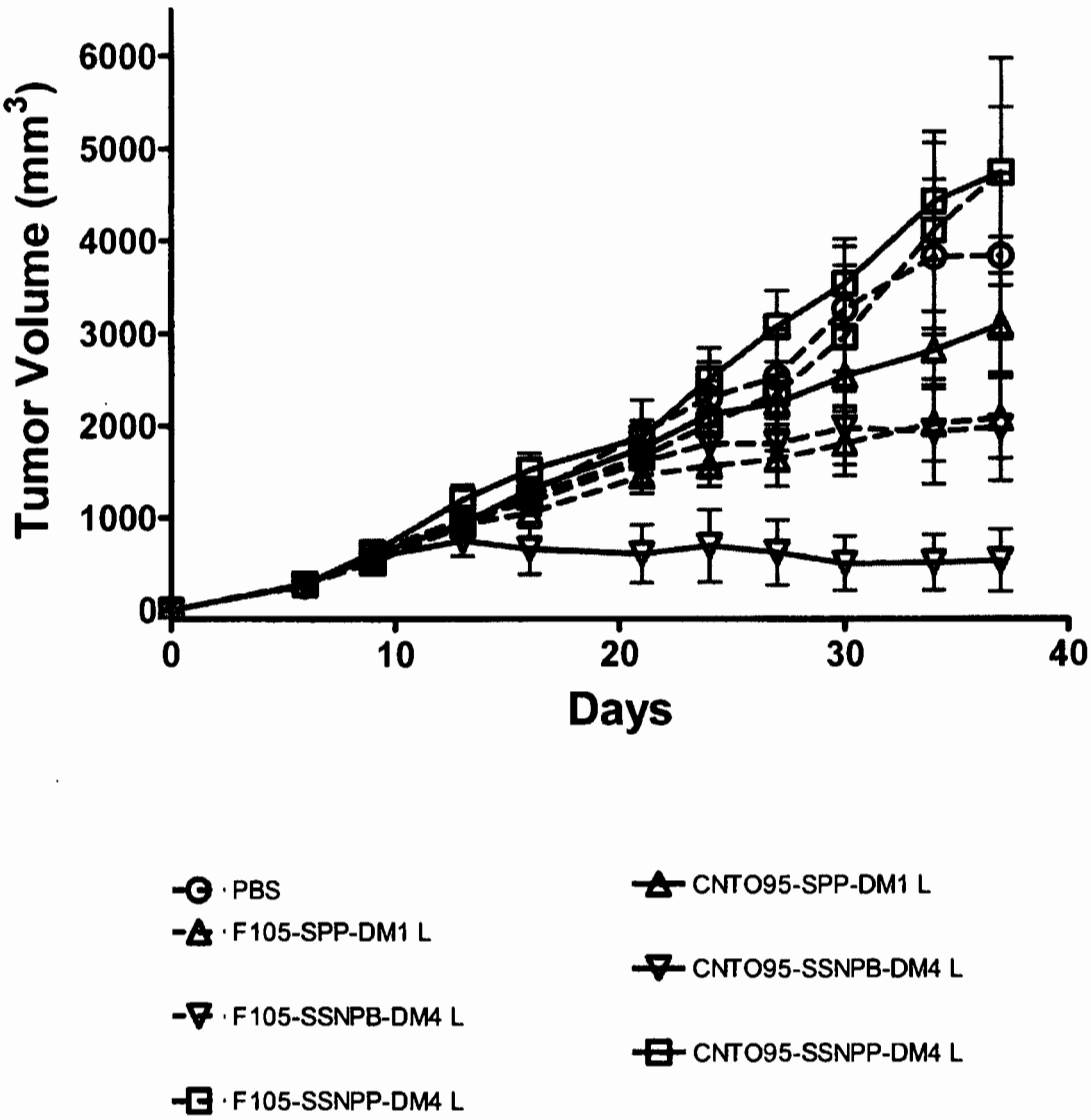

Tumor Volume (mm3)
6000
5000
4000
3000
2000
1000
0
0
10
20
30
40
Days
PBS
F105-SPP-DM1 L
F105-SSNPB-DM4 L
F105-SSNPP-DM4 L
CNTO95-SPP-DM1 L
CNTO95-SSNPB-DM4 L
CNTO95-SSNPP-DM4 L

FIG. 14B

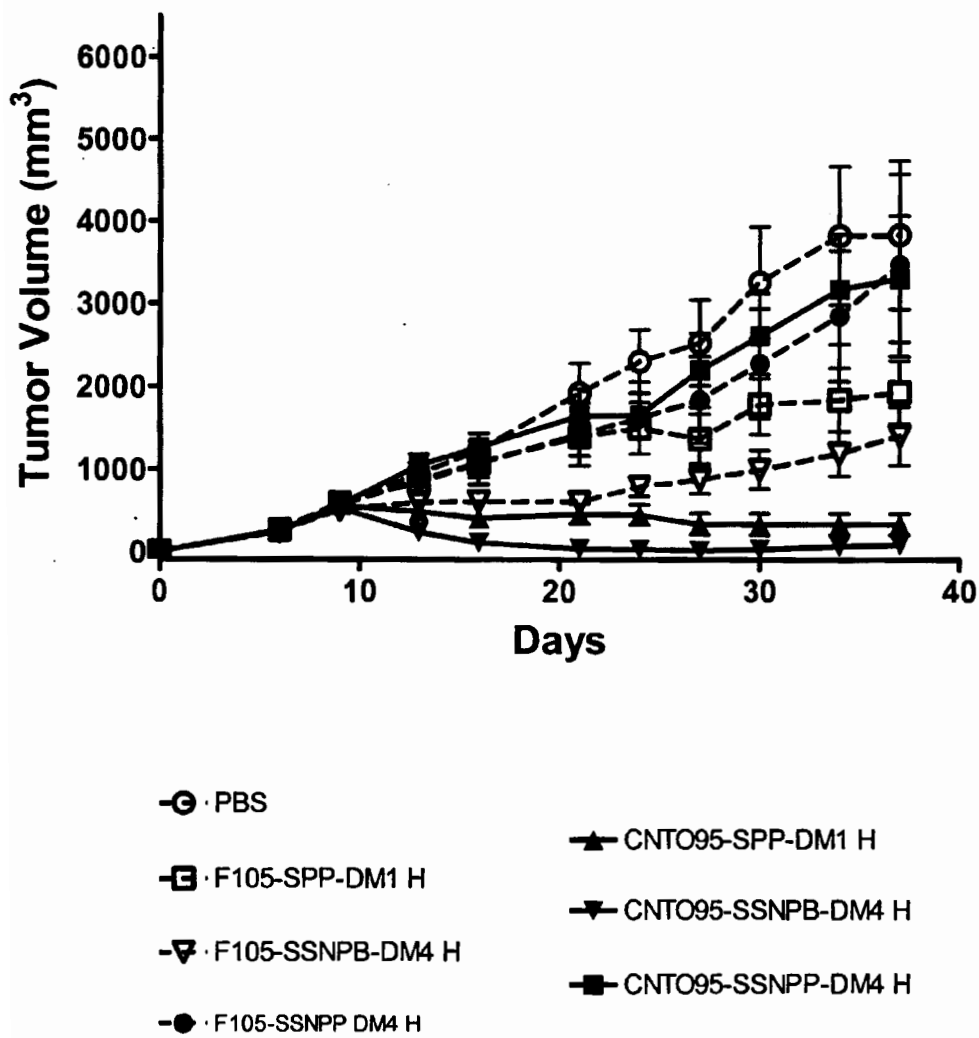

Tumor Volume (mm3)
6000
5000
4000
3000
2000
1000
0
0
10
20
30
40
Days
PBS
F105-SPP-DM1 H
F105-SSNPB-DM4 H
F105-SSNPP DM4 H
CNTO95-SPP-DM1 H
CNTO95-SSNPB-DM4 H
CNTO95-SSNPP-DM4 H

Fig. 15A

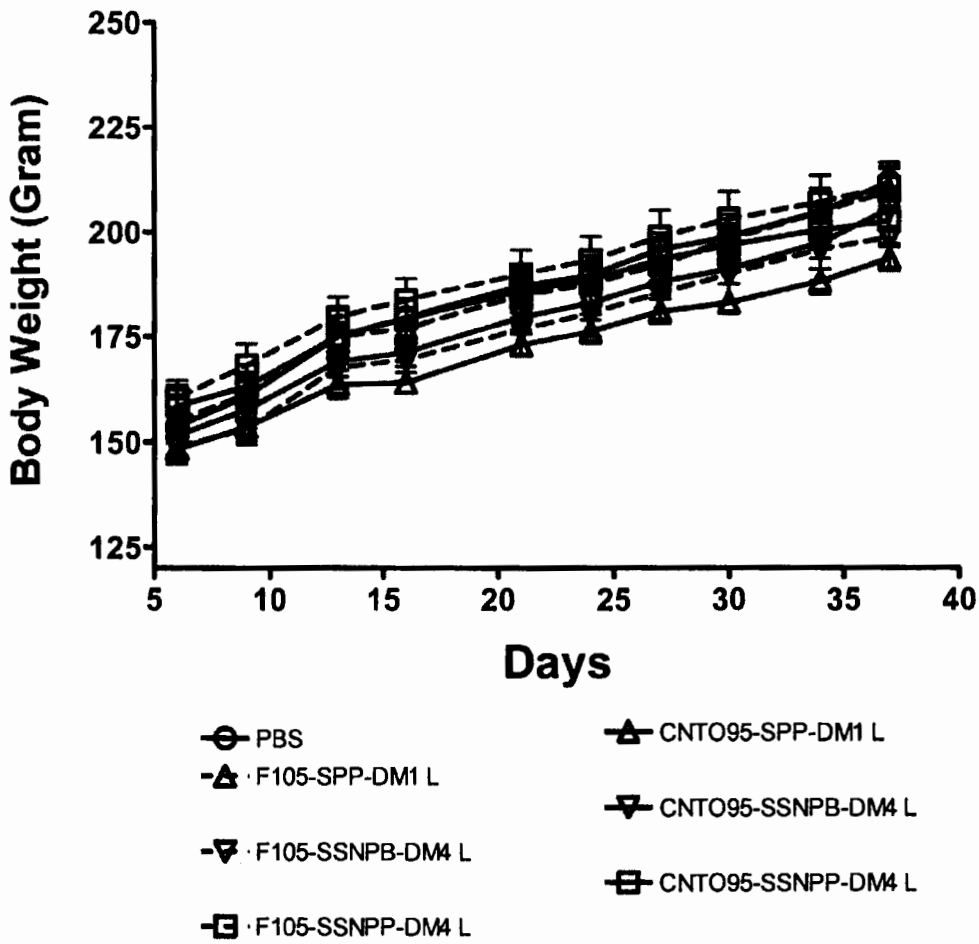
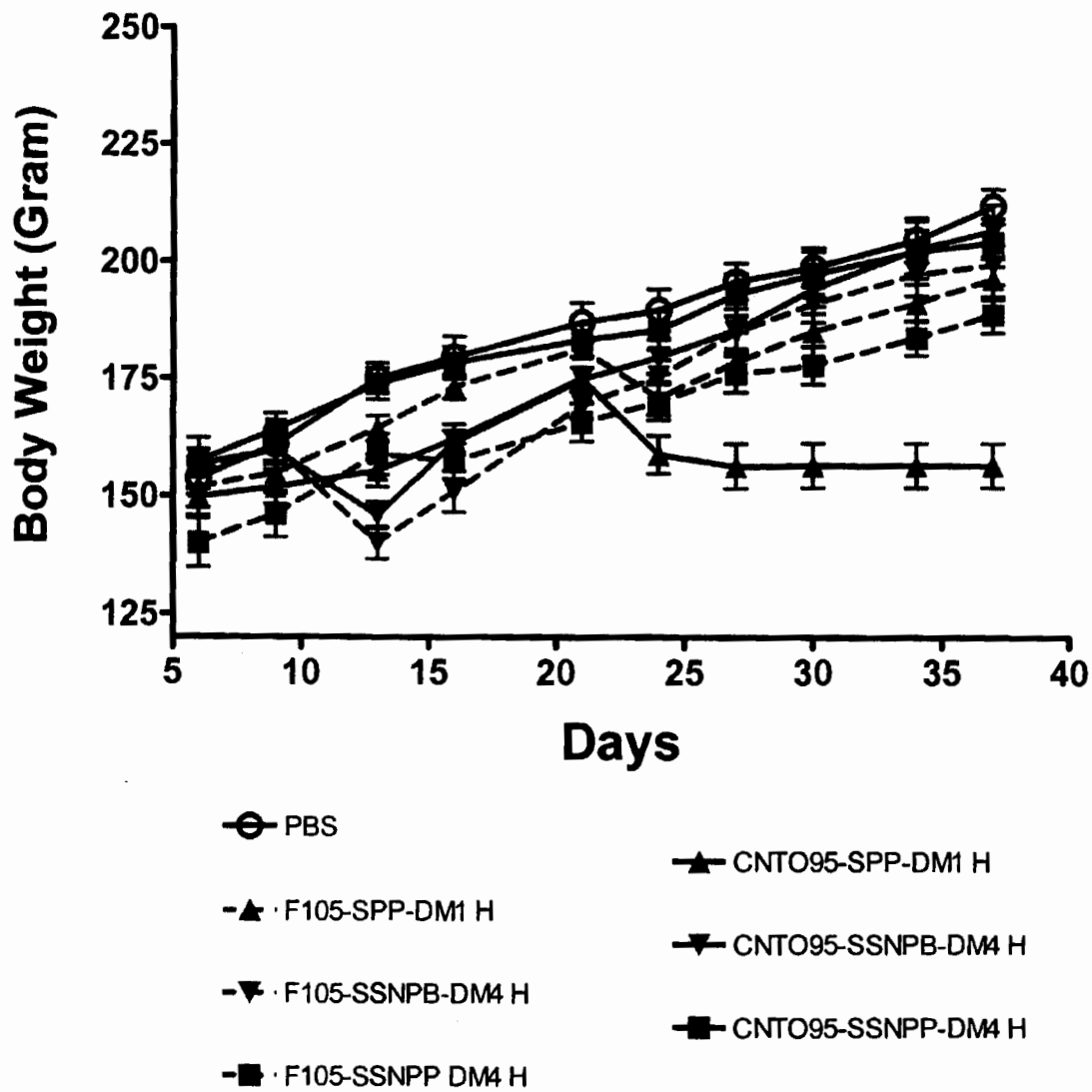

Body Weight (Gram)
250
225
200
175
150
125
5
10
15
20
25
30
35
40
Days
PBS
F105-SPP-DM1 L
F105-SSNPB-DM4 L
F105-SSNPP-DM4 L
CNTO95-SPP-DM1 L
CNTO95-SSNPB-DM4 L
CNTO95-SSNPP-DM4 L

Fig. 15B


Body Weight (Gram)
250
225
200
175
150
125
5
10
15
20
25
30
35
40
Days
PBS
F105-SPP-DM1 H
F105-SSNPB-DM4 H
F105-SSNPP DM4 H
CNTO95-SPP-DM1 H
CNTO95-SSNPB-DM4 H
CNTO95-SSNPP-DM4 H