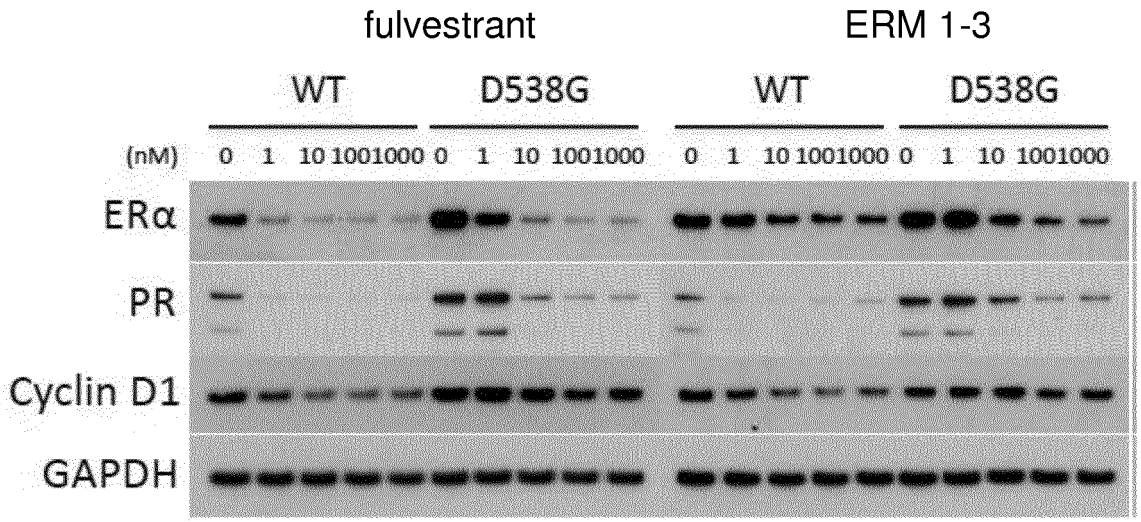

fulvestrant
ERM 1-3
WT
D538G
WT
D538G
(nM)
0 1 10 1001000 0 1 10 1001000 0 1 10 1001000 0 1 10 1001000
ERα
PR
Cyclin D1
GAPDH

Fig. 1

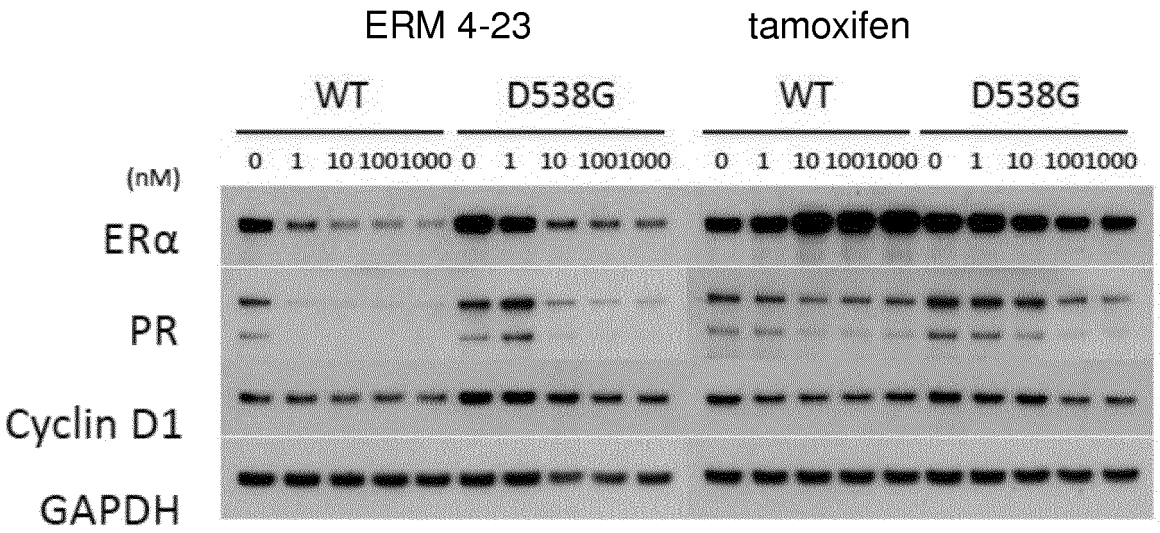

ERM 4-23
tamoxifen
WT
D538G
WT
D538G
(nM)
0 1 10 1001000 0 1 10 1001000 0 1 10 1001000 0 1 10 1001000
ERα
PR
Cyclin D1
GAPDH

Fig. 2

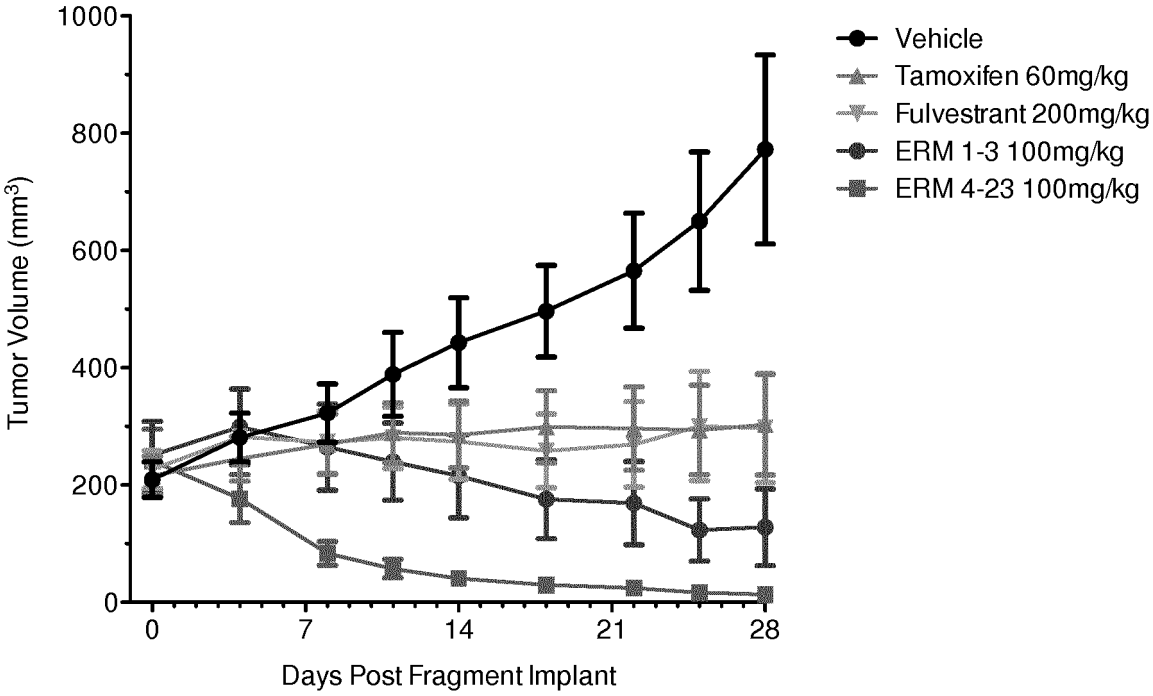

1000
800
600
400
200
0
Tumor Volume (mm3)
0
7
14
21
28
Days Post Fragment Implant
Vehicle
Tamoxifen 60mg/kg
Fulvestrant 200mg/kg
ERM 1-3 100mg/kg
ERM 4-23 100mg/kg

Fig. 3

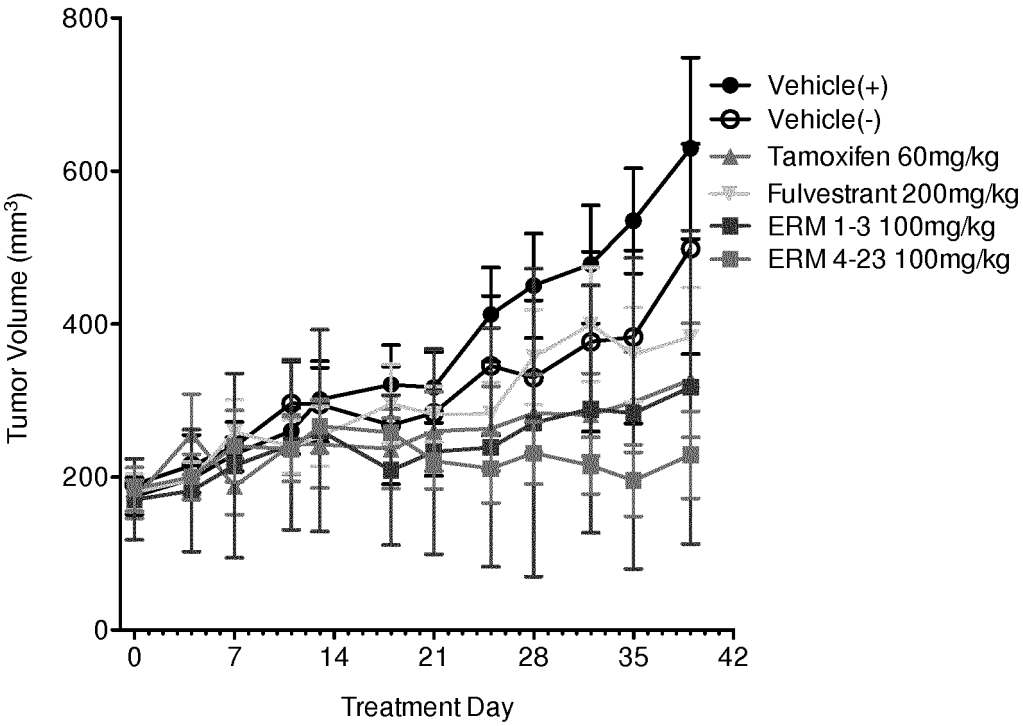

800
600
400
200
0
Tumor Volume (mm3)
0
7
14
21
28
35
42
Treatment Day
Vehicle(+)
Vehicle(-)
Tamoxifen 60mg/kg
Fulvestrant 200mg/kg
ERM 1-3 100mg/kg
ERM 4-23 100mg/kg

Fig. 4

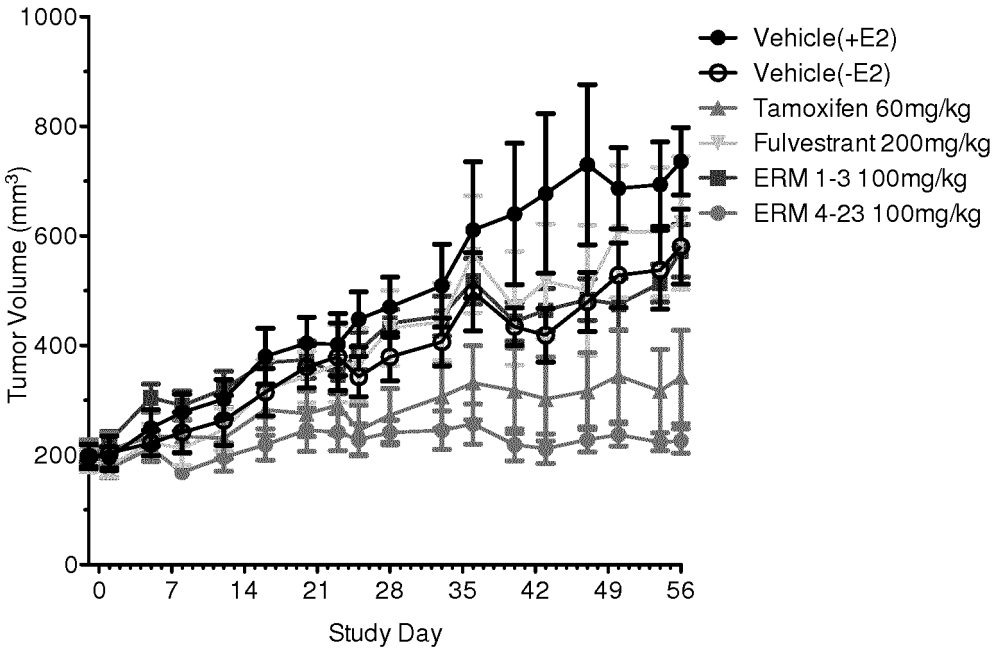

1000
800
600
400
200
0
Tumor Volume (mm3)
0
7
14
21
28
35
42
49
56
Study Day
Vehicle(+E2)
Vehicle(-E2)
Tamoxifen 60mg/kg
Fulvestrant 200mg/kg
ERM 1-3 100mg/kg
ERM 4-23 100mg/kg

Fig. 5

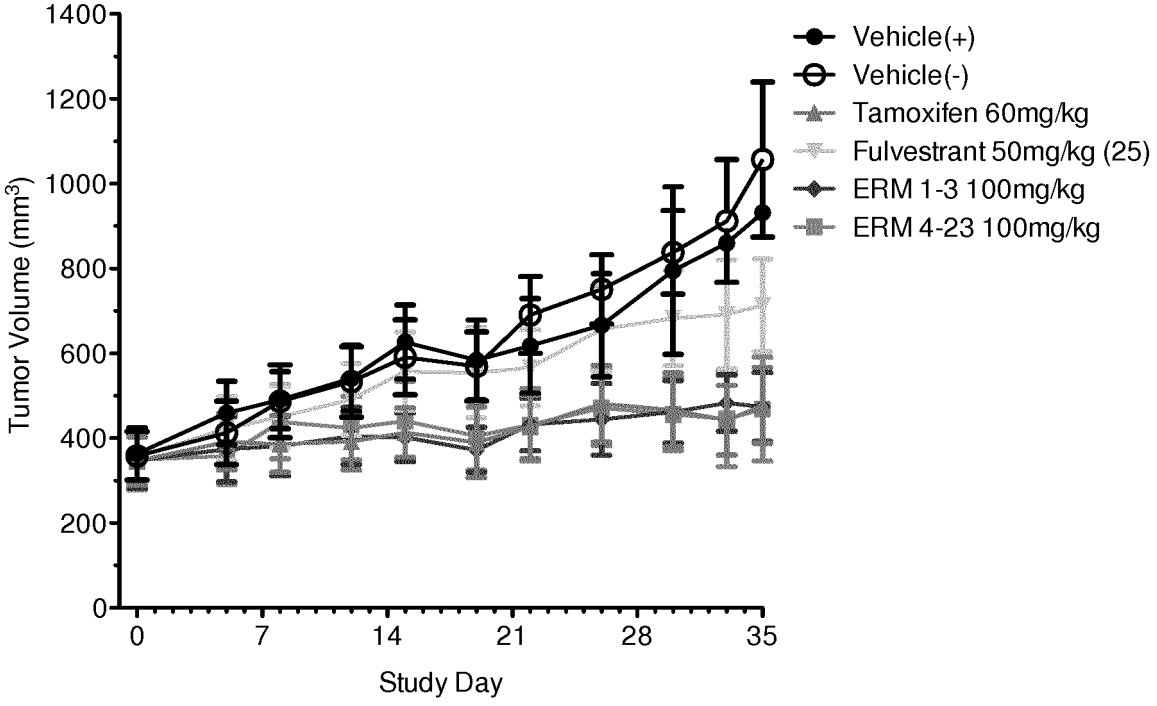

1400
1200
1000
800
600
400
200
0
Tumor Volume (mm3)
0
7
14
21
28
35
Study Day
Vehicle(+)
Vehicle(-)
Tamoxifen 60mg/kg
Fulvestrant 50mg/kg (25)
ERM 1-3 100mg/kg
ERM 4-23 100mg/kg

Fig. 6

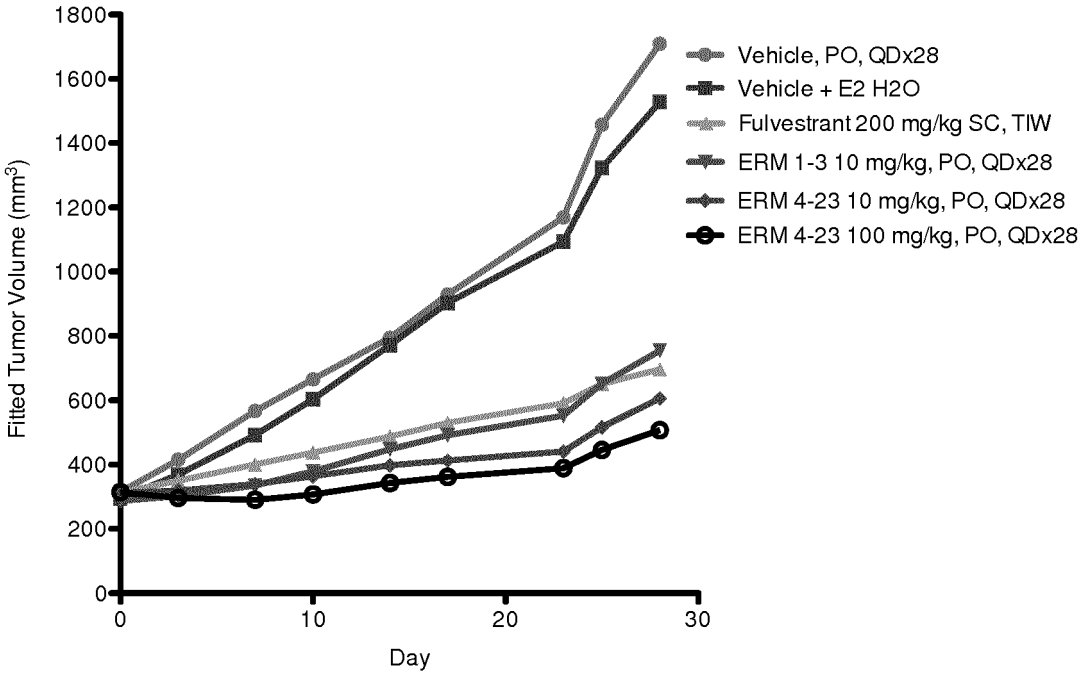

1800
1600
1400
1200
1000
800
600
400
200
0
Fitted Tumor Volume (mm3)
0
10
20
30
Day
Vehicle, PO, QDx28
Vehicle + E2 H2O
Fulvestrant 200 mg/kg SC, TIW
ERM 1-3 10 mg/kg, PO, QDx28
ERM 4-23 10 mg/kg, PO, QDx28
ERM 4-23 100 mg/kg, PO, QDx28

Fig. 7

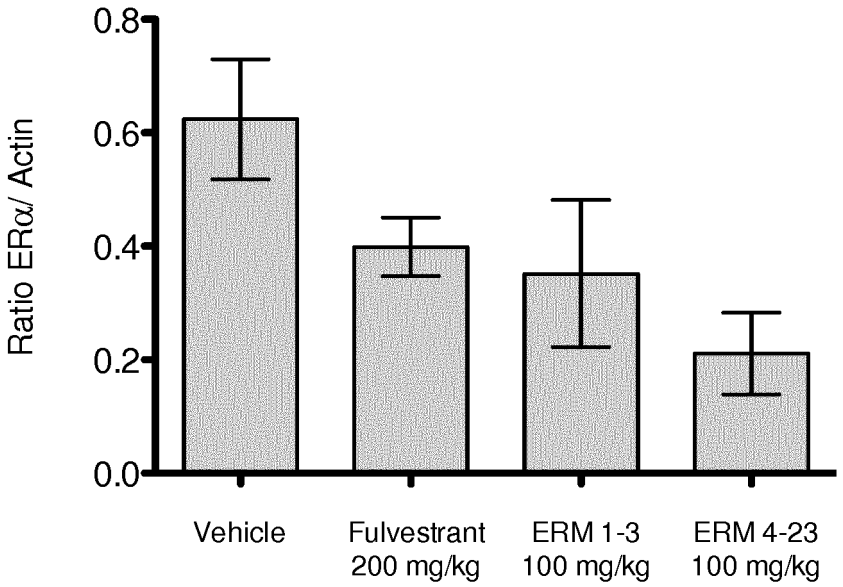

Ratio ERα/ Actin
0.8
0.6
0.4
0.2
0.0
Vehicle
Fulvestrant 200 mg/kg
ERM 1-3 100 mg/kg
ERM 4-23 100 mg/kg

Fig. 8

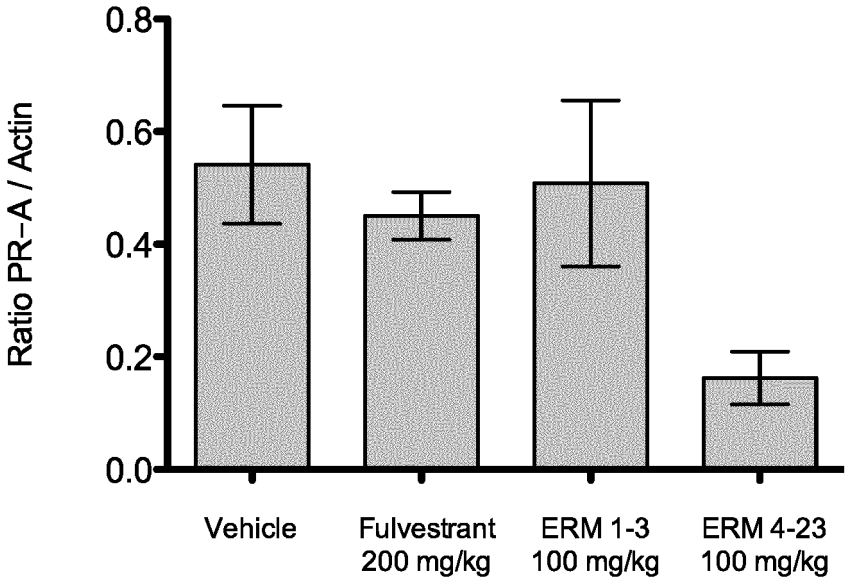
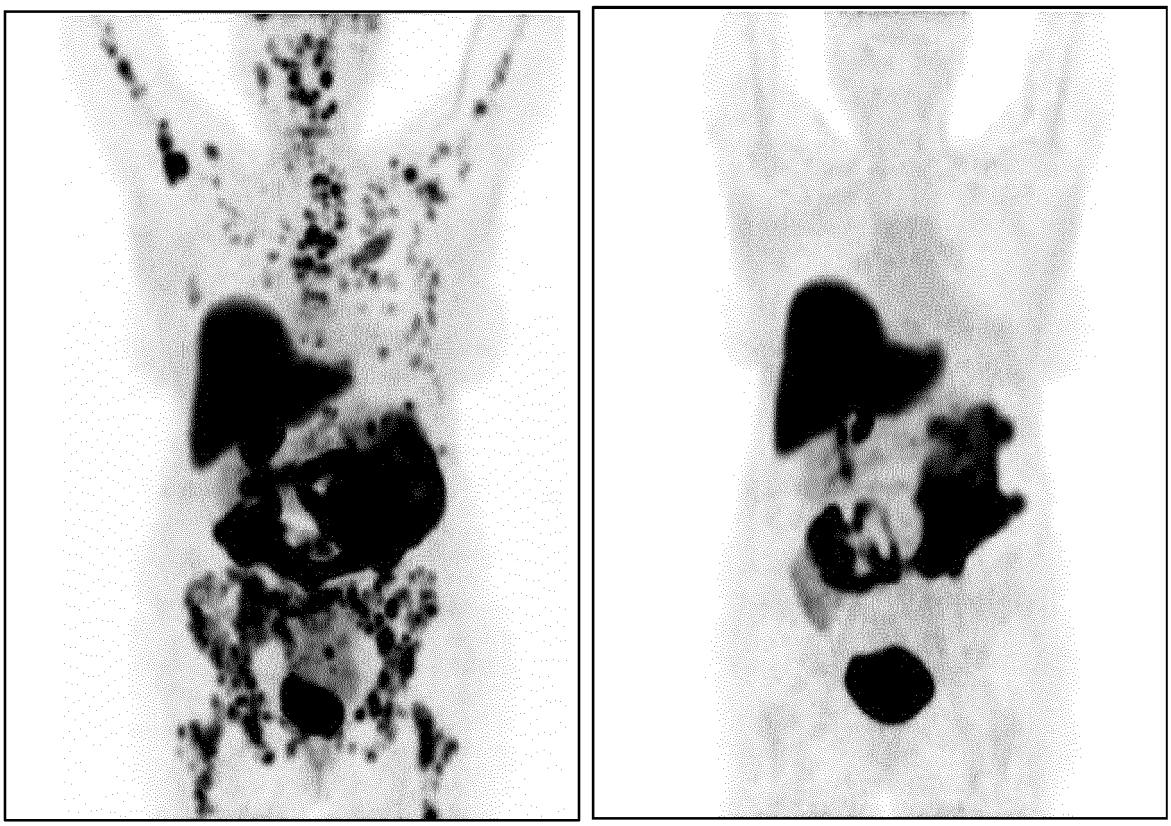

Ratio PR-A / Actin
0.8
0.6
0.4
0.2
0.0
Vehicle
Fulvestrant 200 mg/kg
ERM 1-3 100 mg/kg
ERM 4-23 100 mg/kg

Fig. 9



Pre-treatment ARN-810 – one month 600 mg/day

Fig. 10