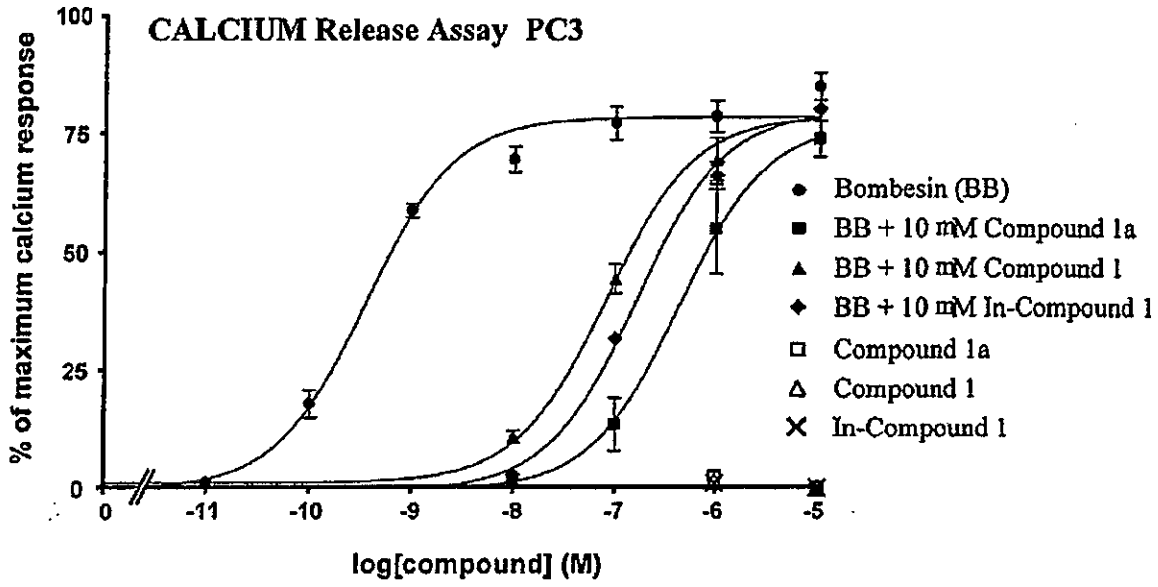

CALCIUM Release Assay PC3
% of maximum calcium response
100
75
50
25
0
0
-11
-10
-9
-8
-7
-6
-5
log[compound] (M)
Bombesin (BB)
BB + 10 mM Compound 1a
BB + 10 mM Compound 1
BB + 10 mM In-Compound 1
Compound 1a
Compound 1
In-Compound 1

Fig.1

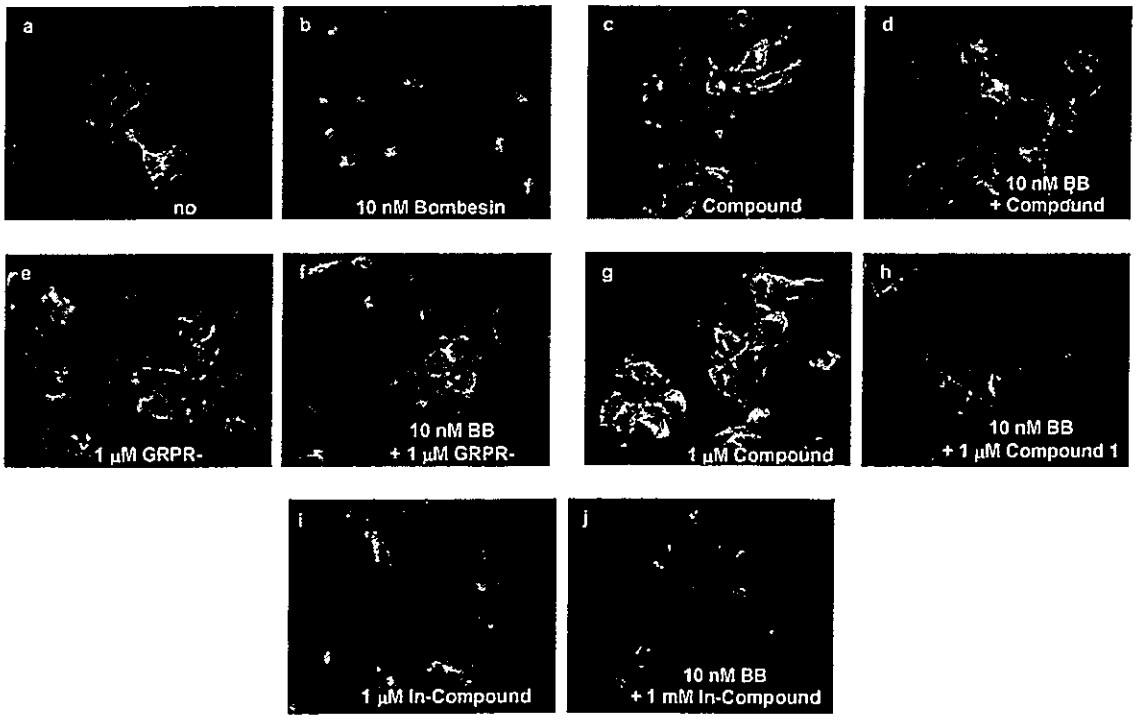

a
no
b
10 nM Bombesin
c
Compound
d
10 nM BB
+ Compound
e
1 µM GRPR-
f
10 nM BB
+ 1 µM GRPR-
g
1 µM Compound
h
10 nM BB
+ 1 µM Compound 1
i
1 µM In-Compound
j
10 nM BB
+ 1 mM In-Compound

Fig. 2

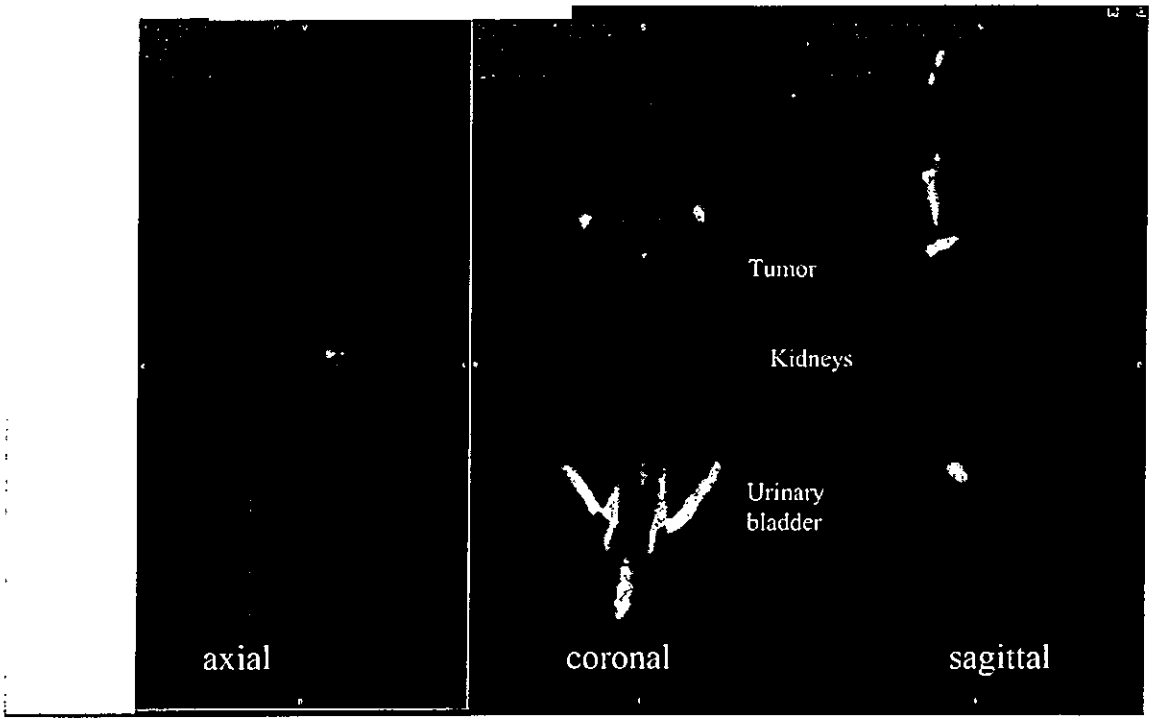


Fig. 3a

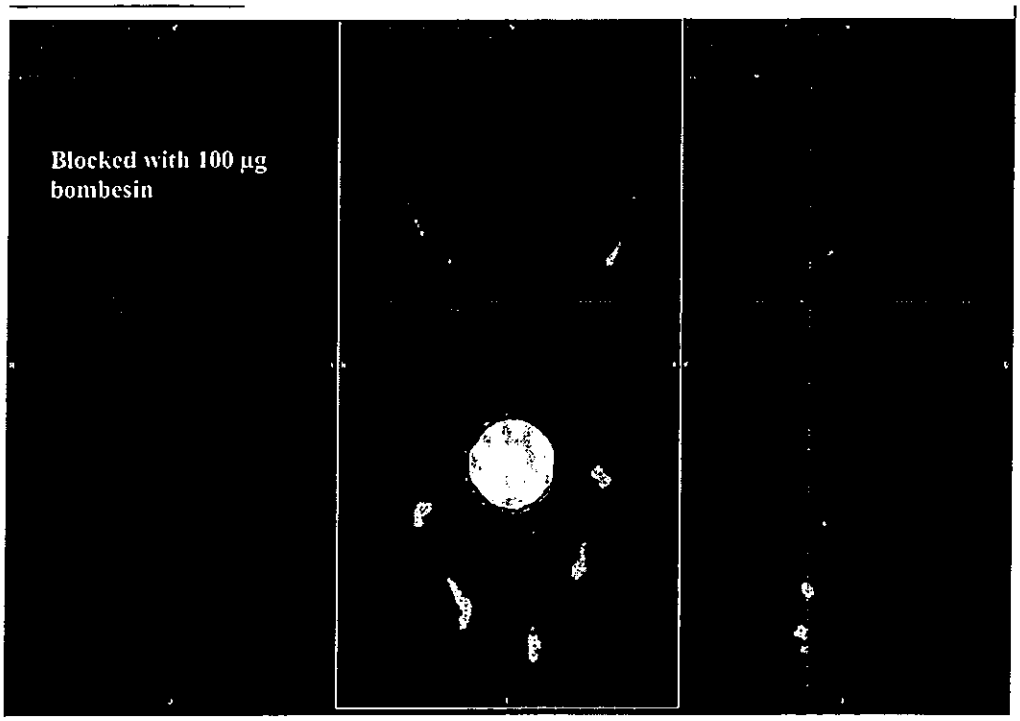


Fig. 3b

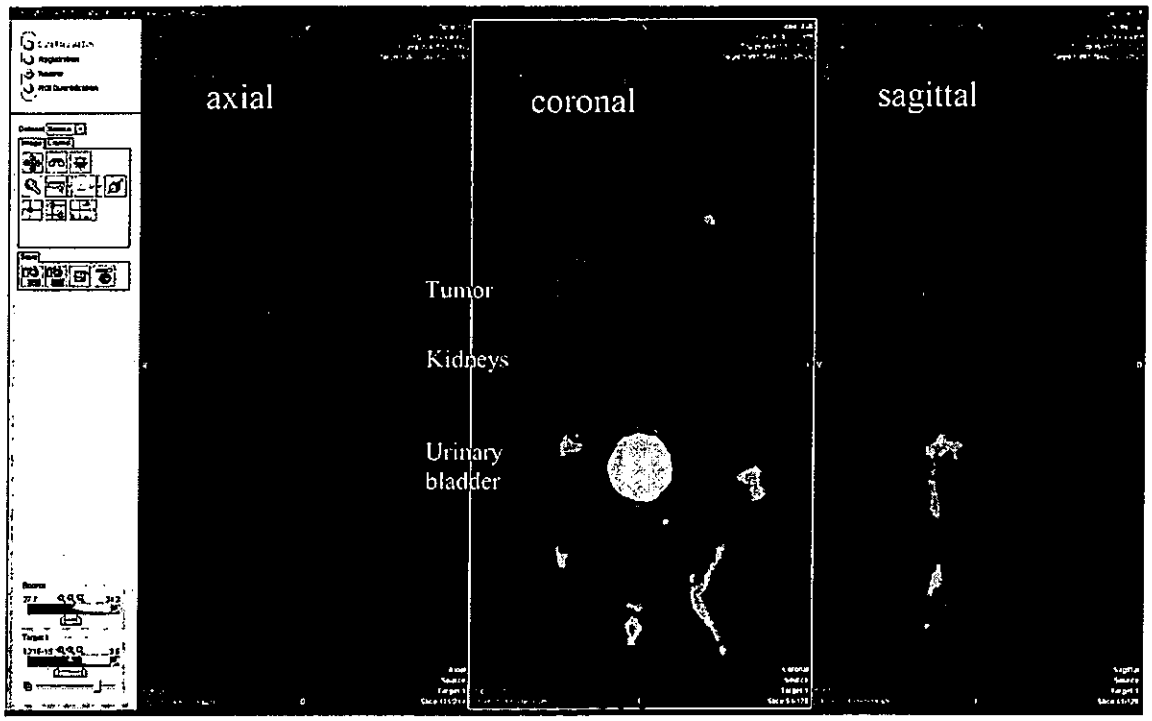


Fig. 4a

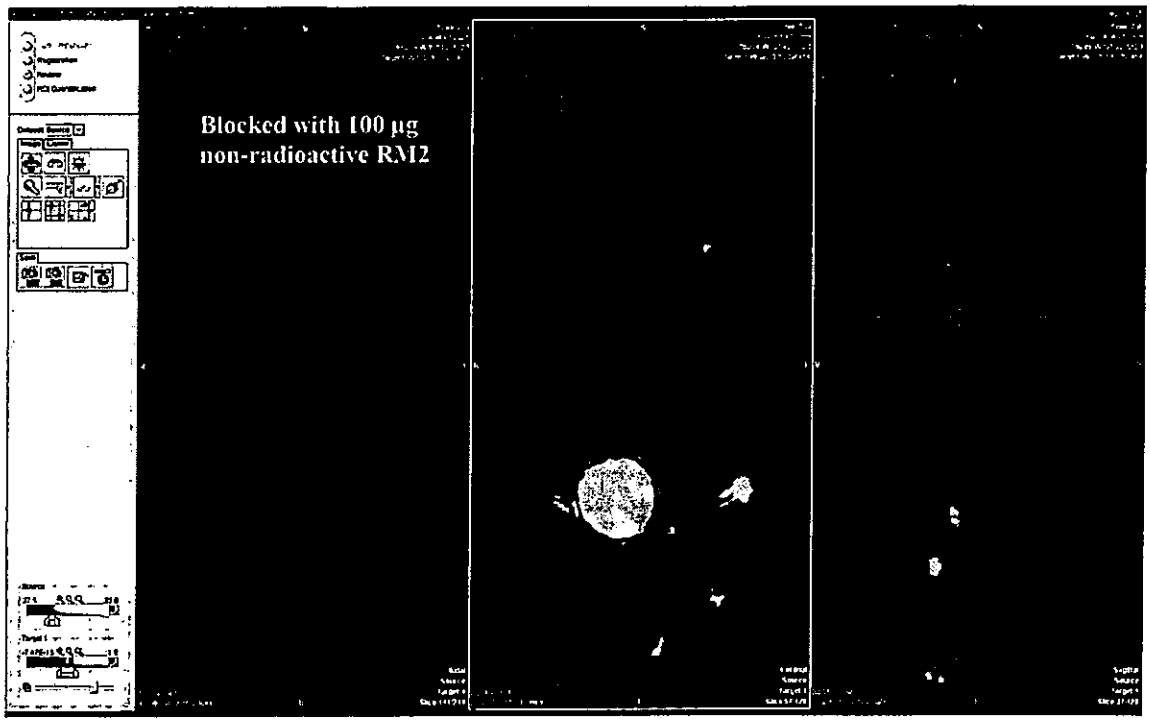


Fig. 4b



12 h pi Blocked

Fig. 6

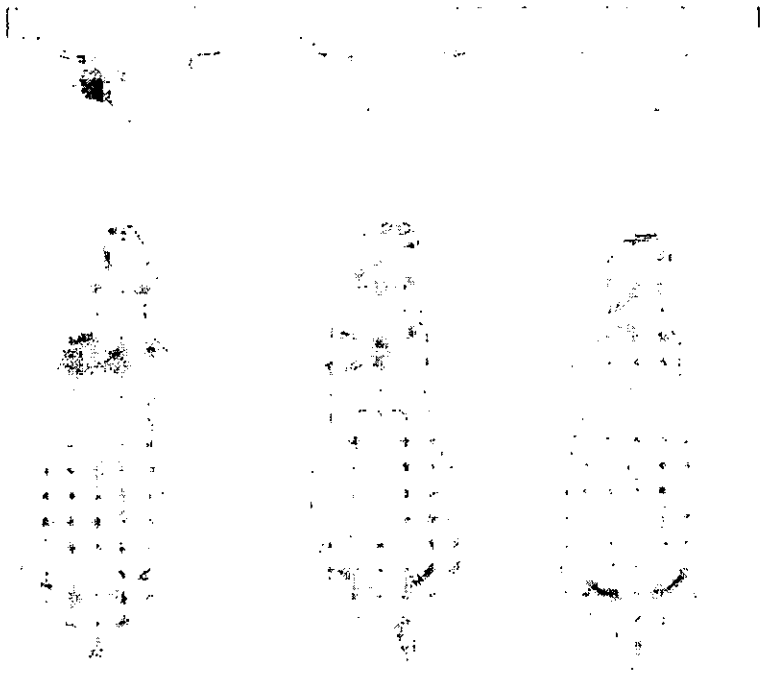


Fig. 8

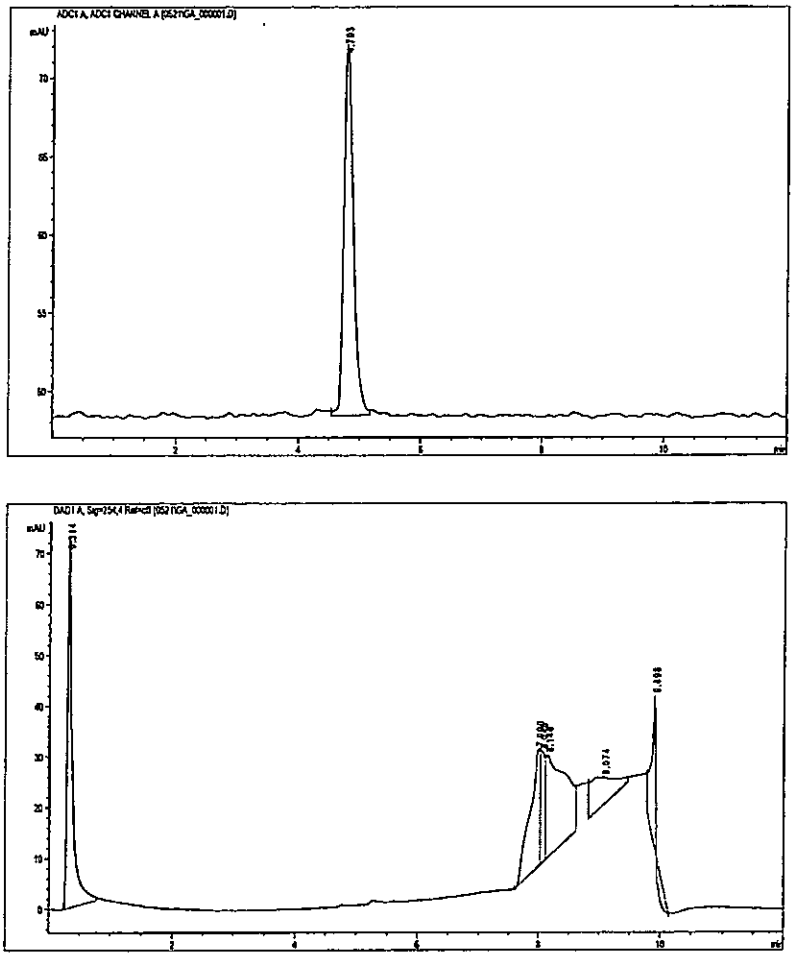


Fig. 9

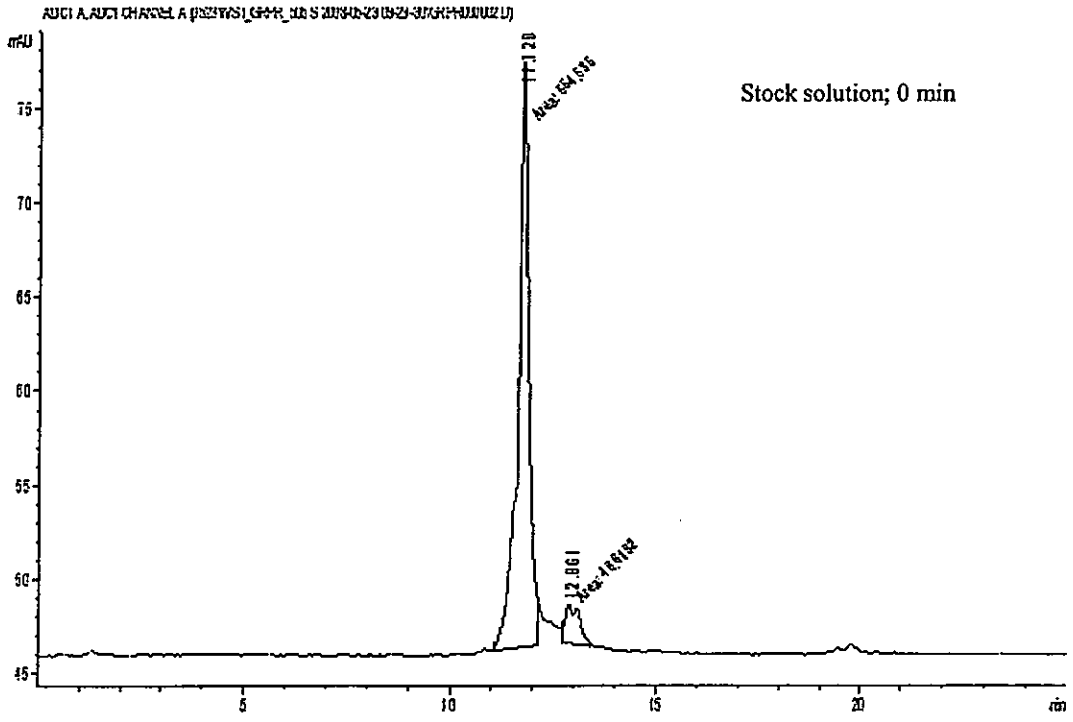

mAU
75
70
65
60
55
50
45
11.120
12.861
5
10
15
20
min
Stock solution; 0 min

Fig. 10a

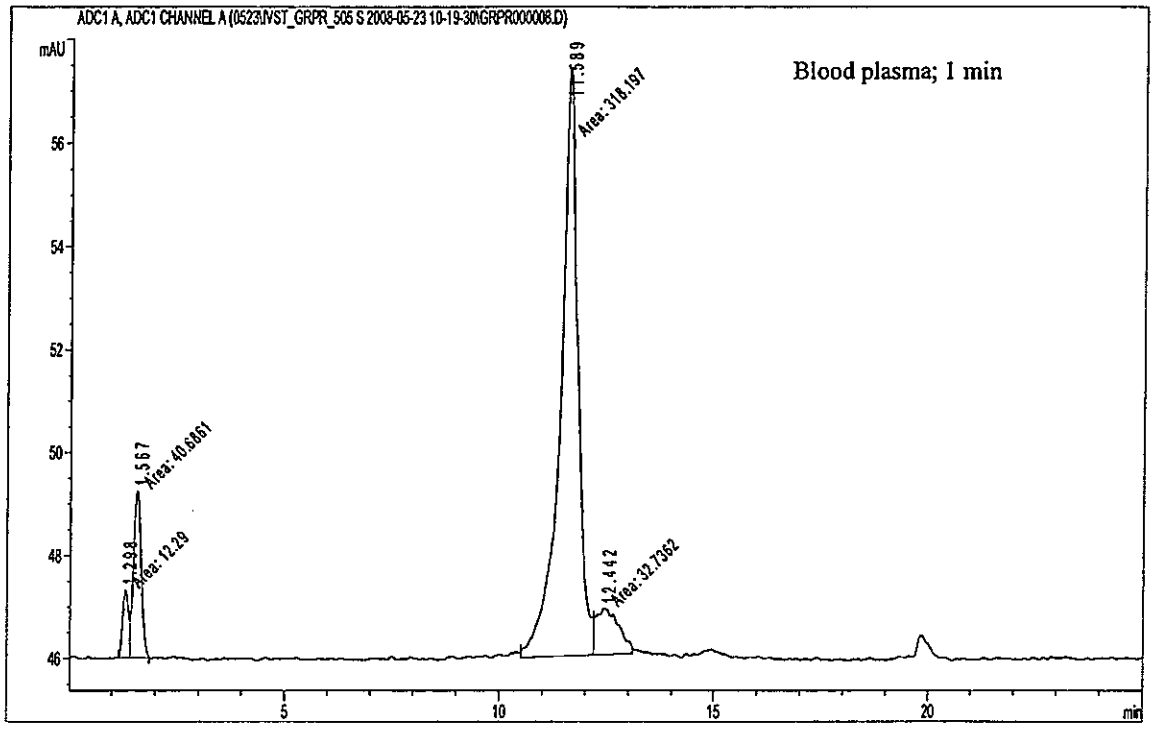

ADC1 A, ADC1 CHANNEL A (0523\IVST_GRPR_505 S 2008-05-23 10-19-30\GRPR000008.D)
mAU
56
54
52
50
48
46
5
10
15
20
min
Blood plasma; 1 min
5.567
Area: 40.6861
12.29
Area: 12.29
11.589
Area: 318.197
12.442
Area: 32.7362

Fig. 10b

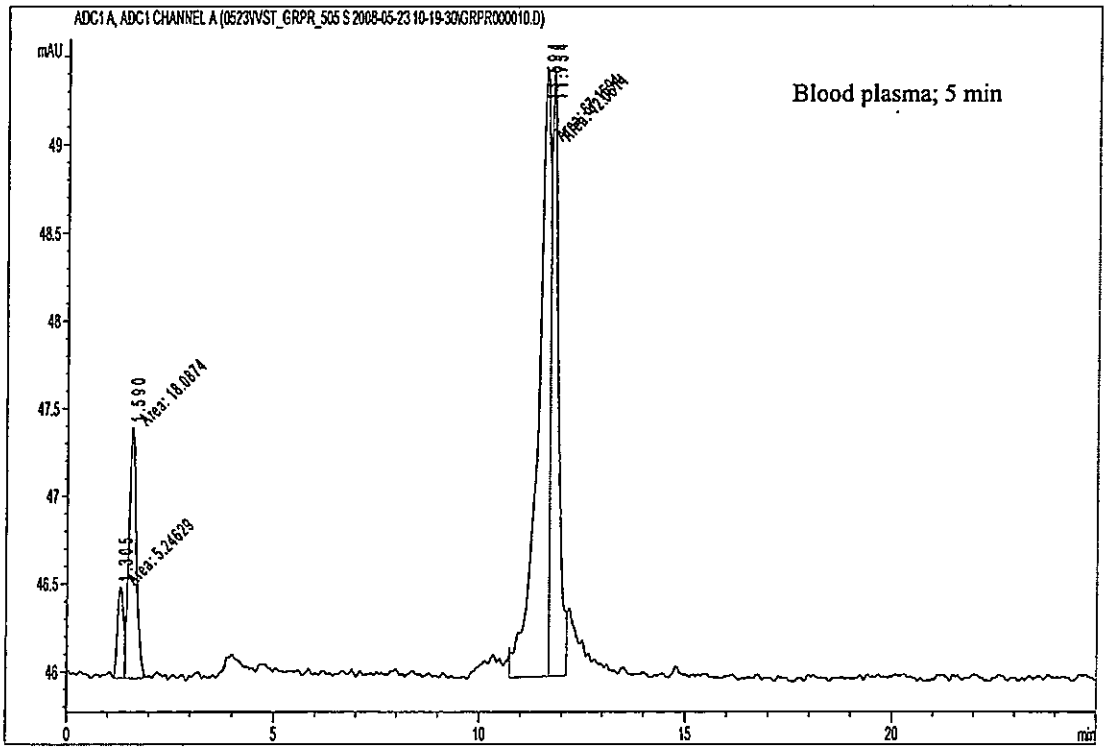

ADC1 A, ADC1 CHANNEL A (0523\VST_GRPR_505 S 2008-05-23 10-19-30\GRPR000010.D)
mAU
49
48.5
48
47.5
47
46.5
46
1.590
Area: 18.0874
1.305
Area: 5.24629
11.934
Area: 521.06944
Blood plasma; 5 min
0
5
10
15
20
min

Fig. 10c

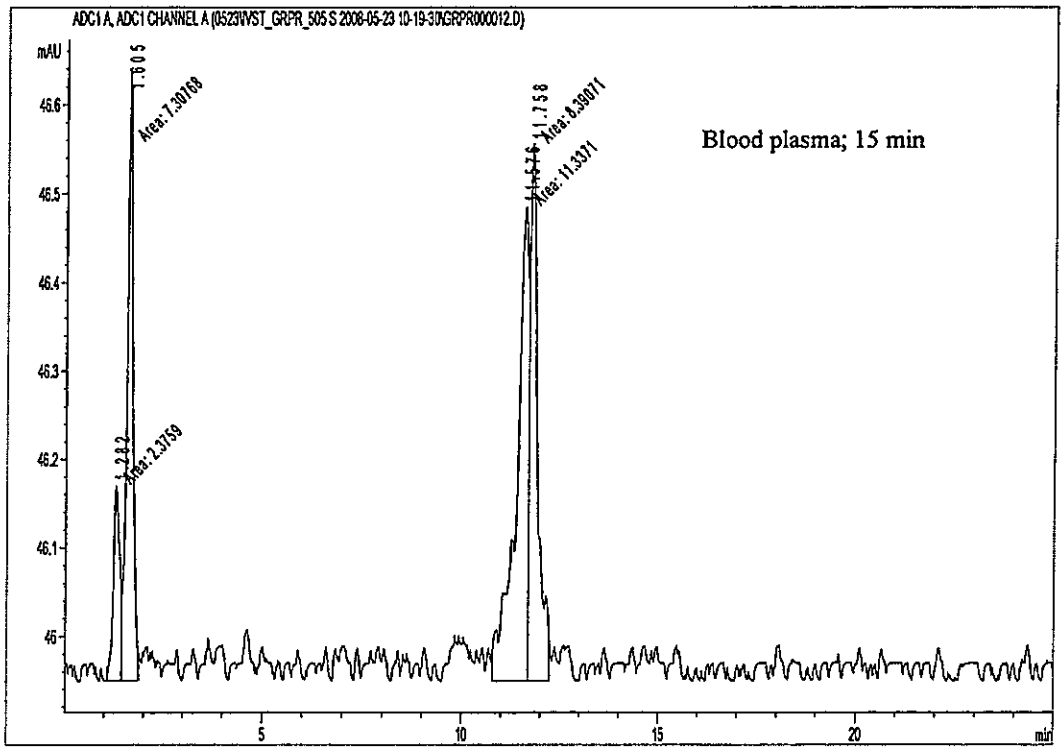

ADC1 A, ADC1 CHANNEL A (0523IVST_GRPR_505 S 2008-05-23 10-19-30\GRPR000012.D)
mAU
46.6
46.5
46.4
46.3
46.2
46.1
46
1.805
Area: 7.30168
1.758
Area: 8.39071
Area: 11.3371
1.282
Area: 2.3759
Blood plasma; 15 min
5
10
15
20
min

Fig. 10d

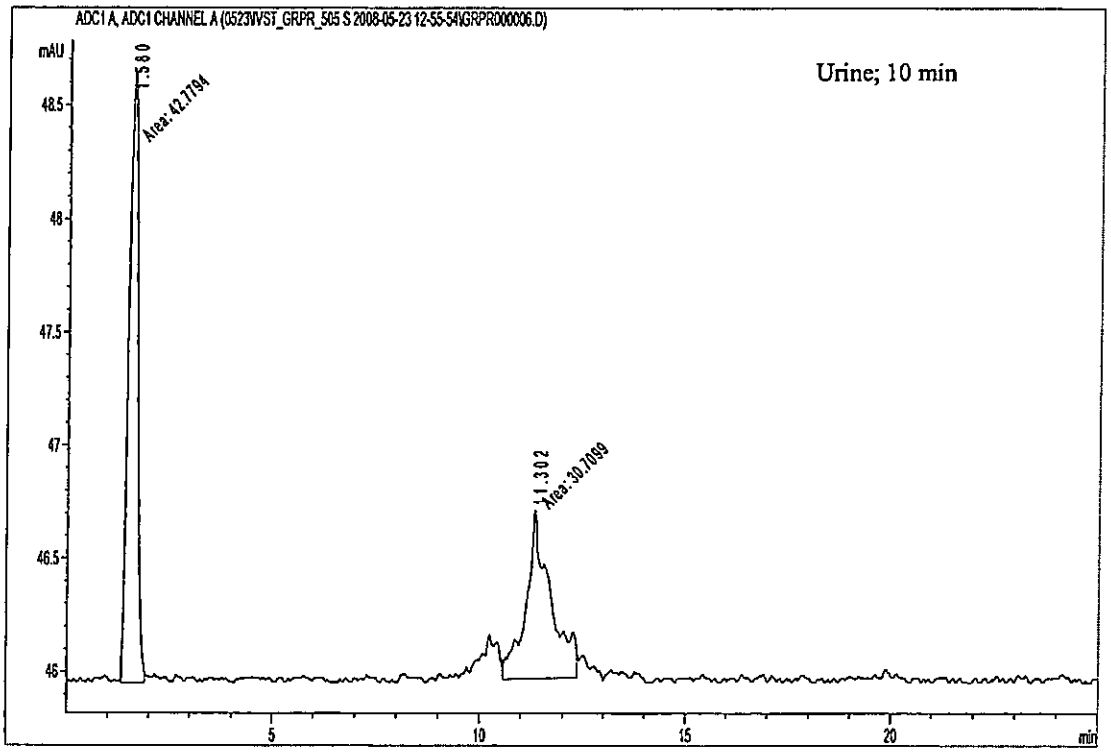

ADC1 A, ADC1 CHANNEL A (0523WVST_GRPR_505 S 2008-05-23 12-55-54\GRPR000006.D)
mAU
48.5
48
47.5
47
46.5
46
7.380
Area: 42.7794
11.302
Area: 30.7099
Urine; 10 min
5
10
15
20
min

Fig. 10e

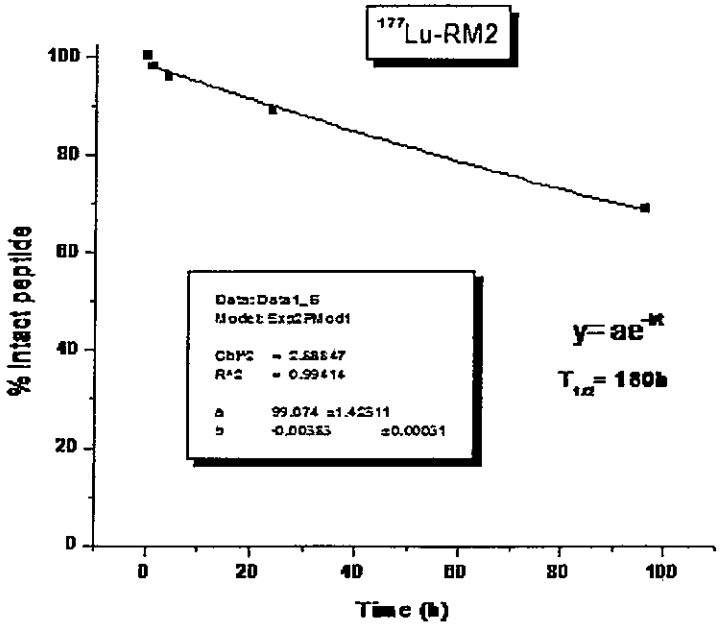

177Lu-RM2
% Intact peptide
Time (h)
100
80
60
40
20
0
0
20
40
60
80
100
Data:Data1_B
Model:ExpDecay1
Chi^2 = 2.68547
R^2 = 0.99414
a 99.074 ±1.42511
b -0.00383 ±0.00031
y=ae^-bt
T1/2= 180h

Fig. 11

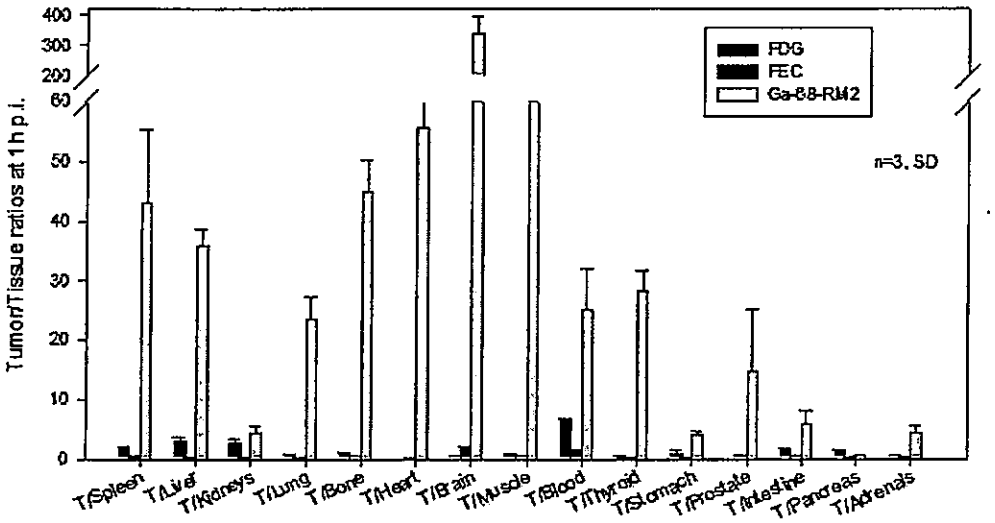

Tumor/Tissue ratios at 1 h p.i.
400
300
200
60
50
40
30
20
10
0
FDG
FEC
Ga-68-RM2
n=3, SD
T/Spleen
T/Liver
T/Kidneys
T/Lung
T/Bone
T/Heart
T/Brain
T/Muscle
T/Blood
T/Thyroid
T/Stomach
T/Prostate
T/Intestine
T/Pancreas
T/Adrenals

Fig 12