

Figure 1

general structure of compounds according to the present invention:

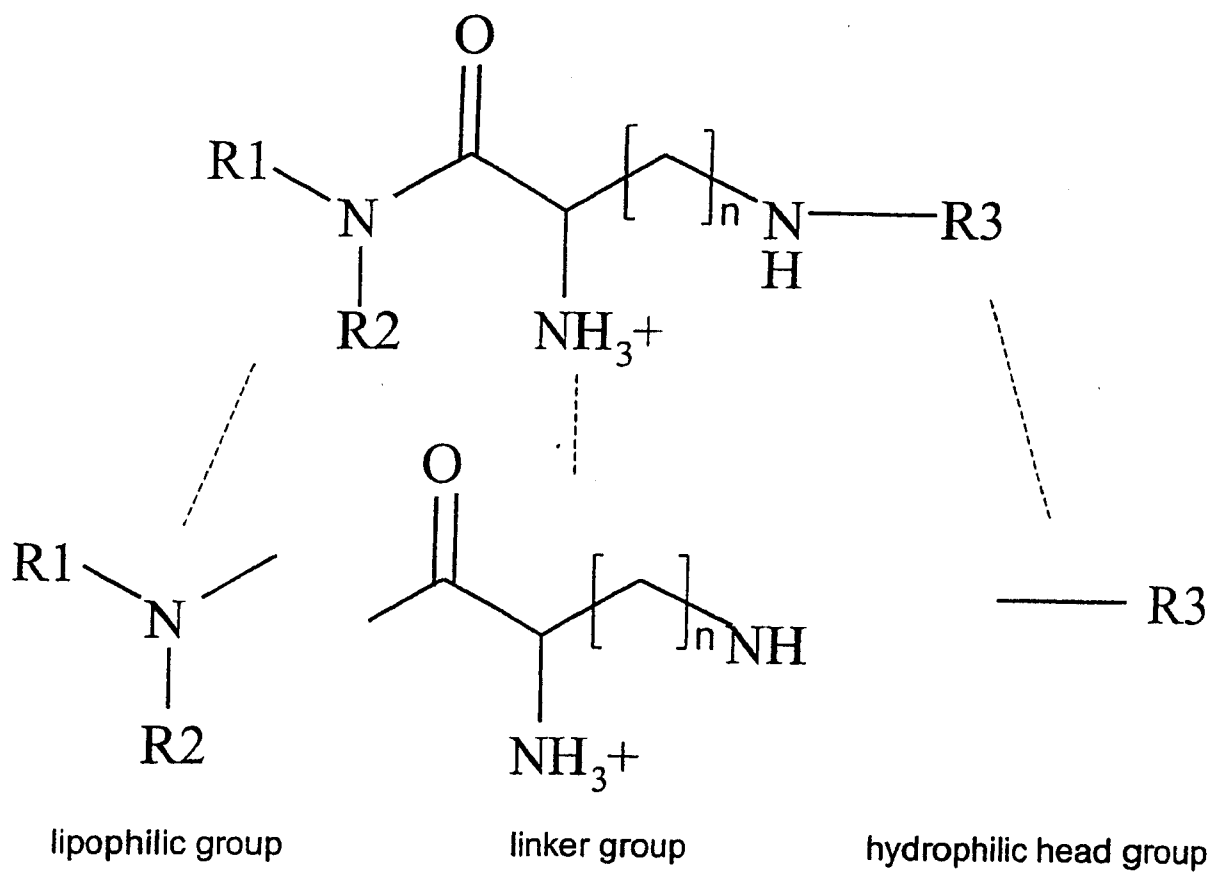


Figure 2

starting material: oleyl amine

Fluka technical grade $\geq 70\%$ (GC)

~30% amines with different alkyl chains

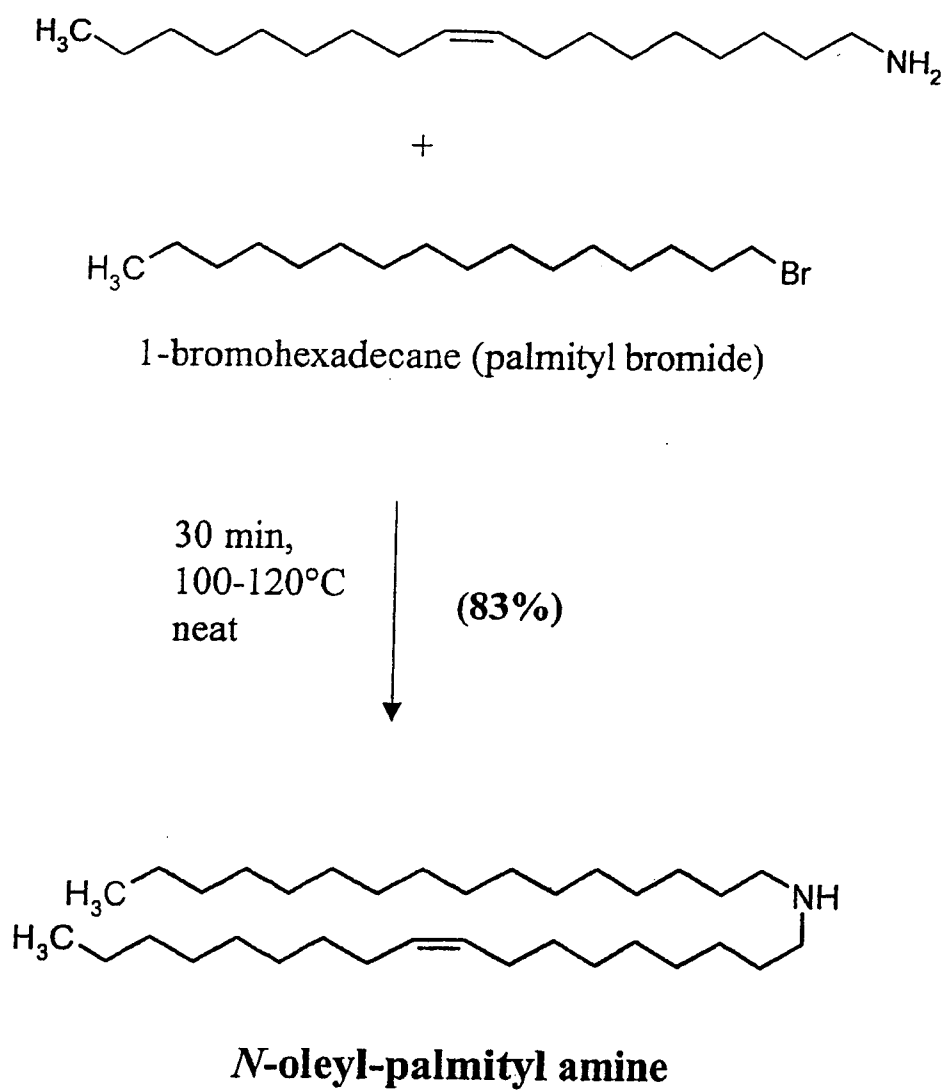
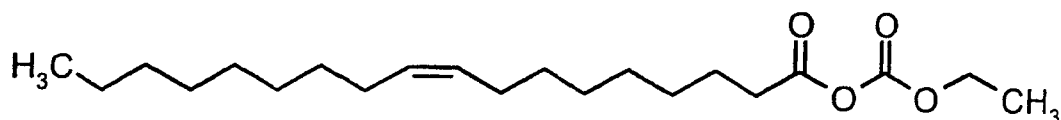
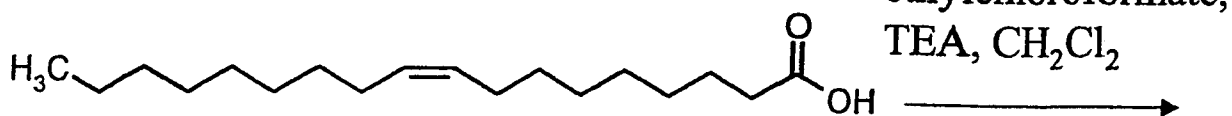


Figure 3

starting material: oleic acid $\geq 99\%$ (GC)

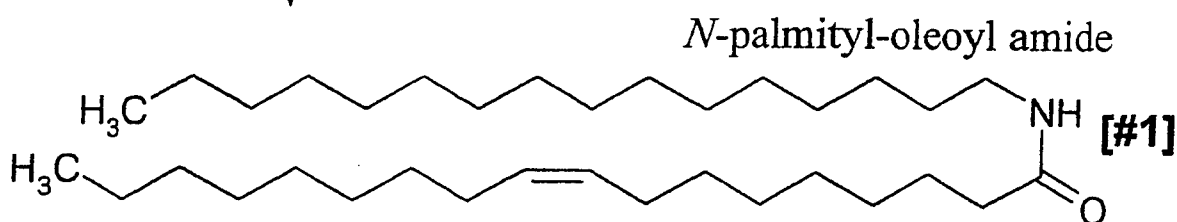


(89%)

CCCCCCCC

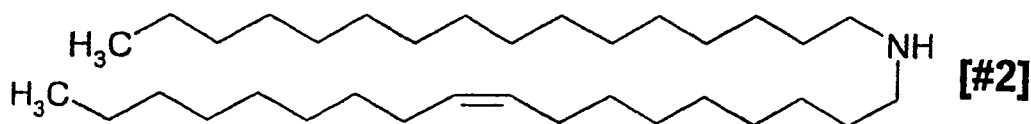
NH_2

hexadecyl amine (palmityl amine) $\geq 99\%$ (GC)



(85%)

lithiumaluminiumhydride
(LiAlH_4),
THF



N-palmityl-oleyl amine
(colourless, crystalline solid)

Figure 4

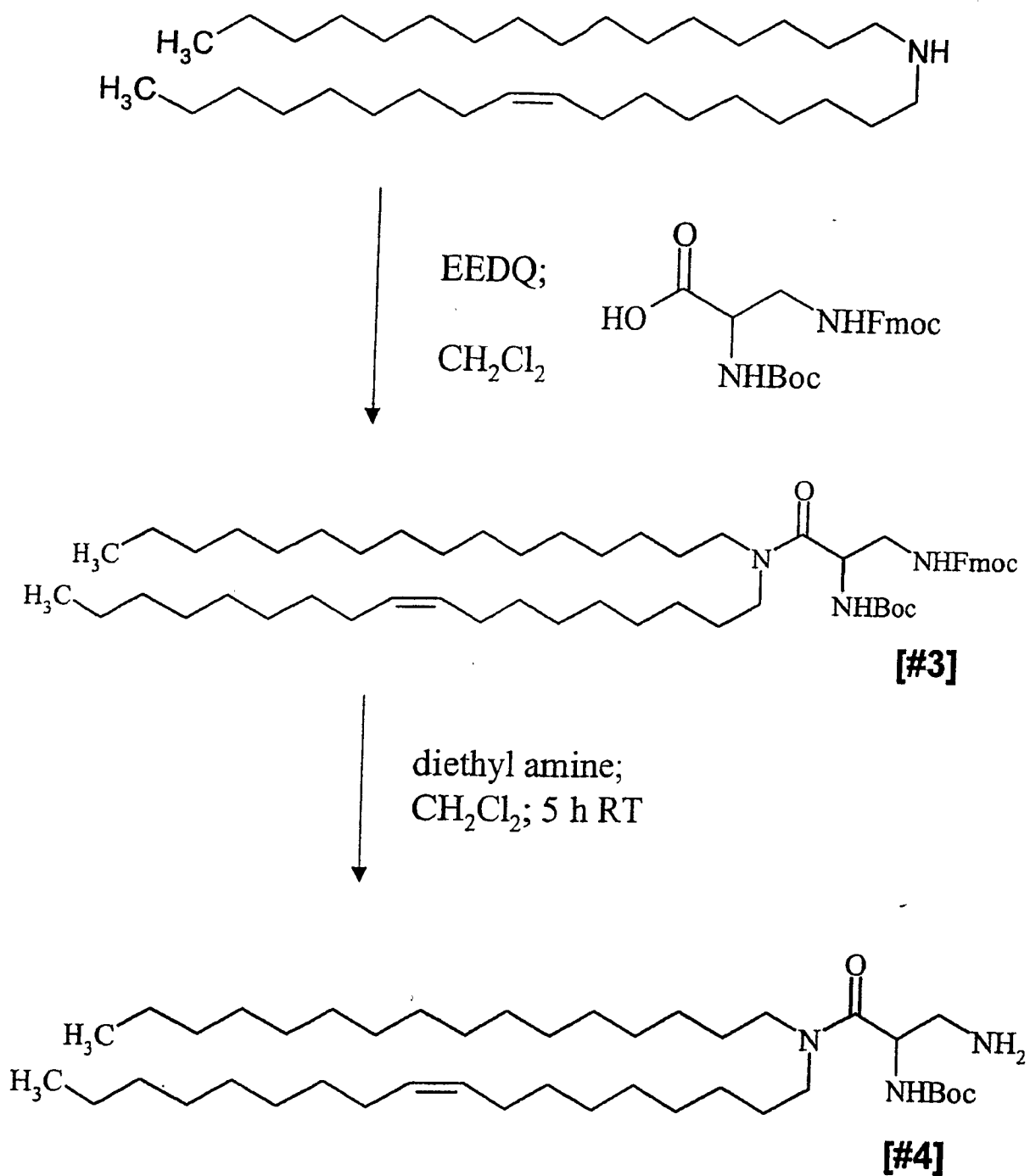
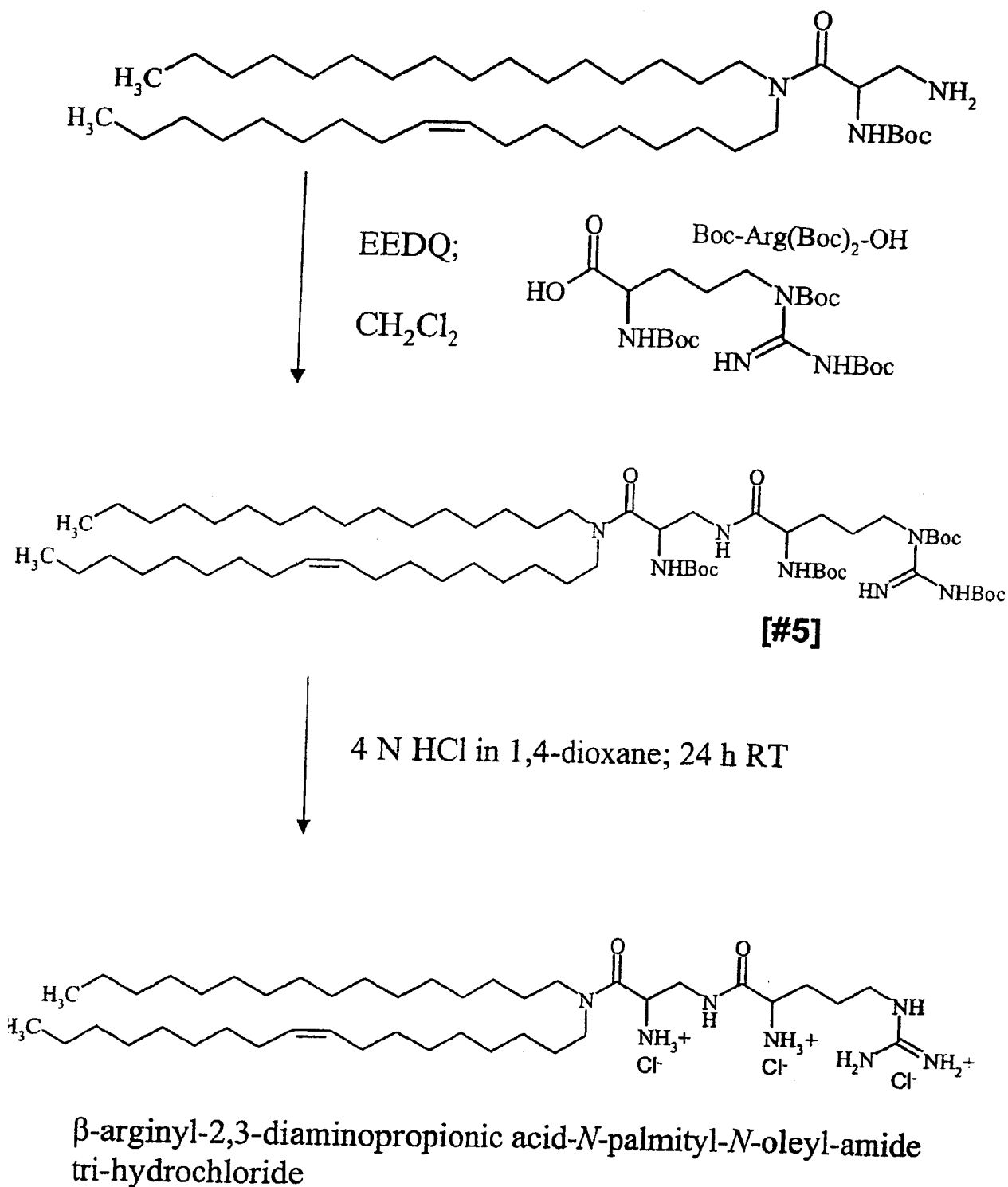


Figure 5



[#6]

Figure 6

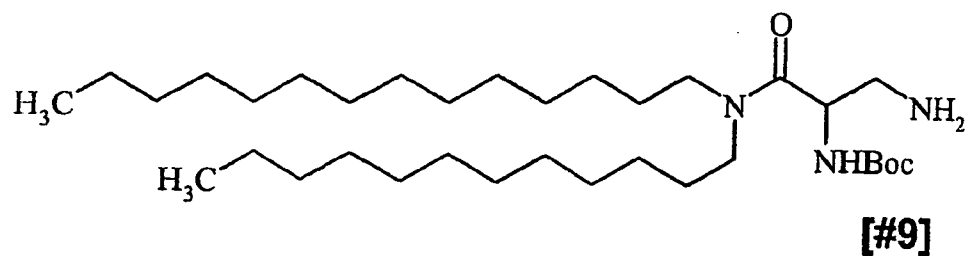
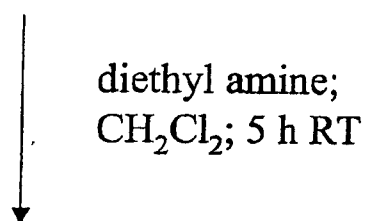
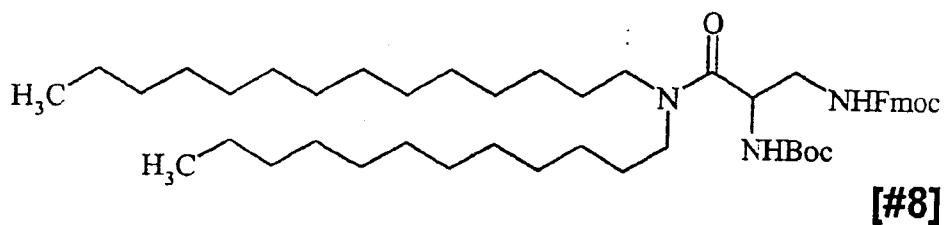
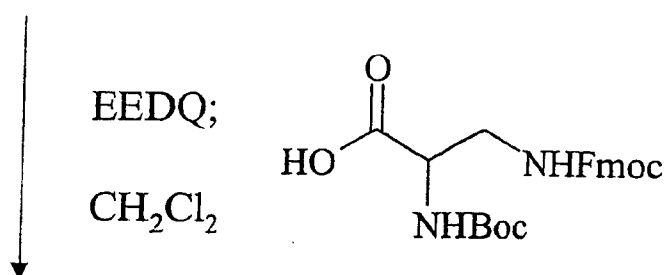
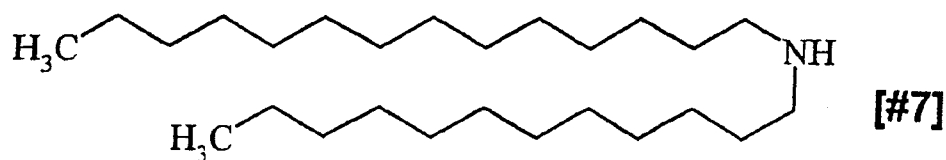
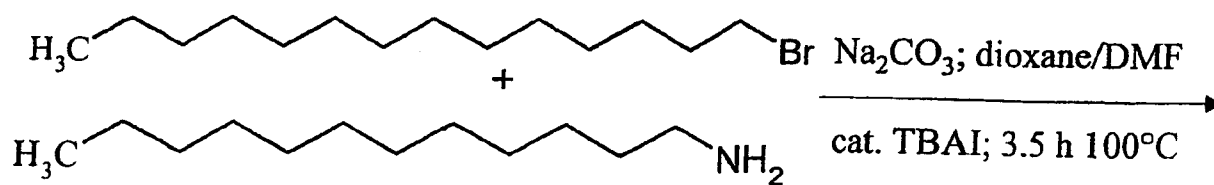


Figure 7

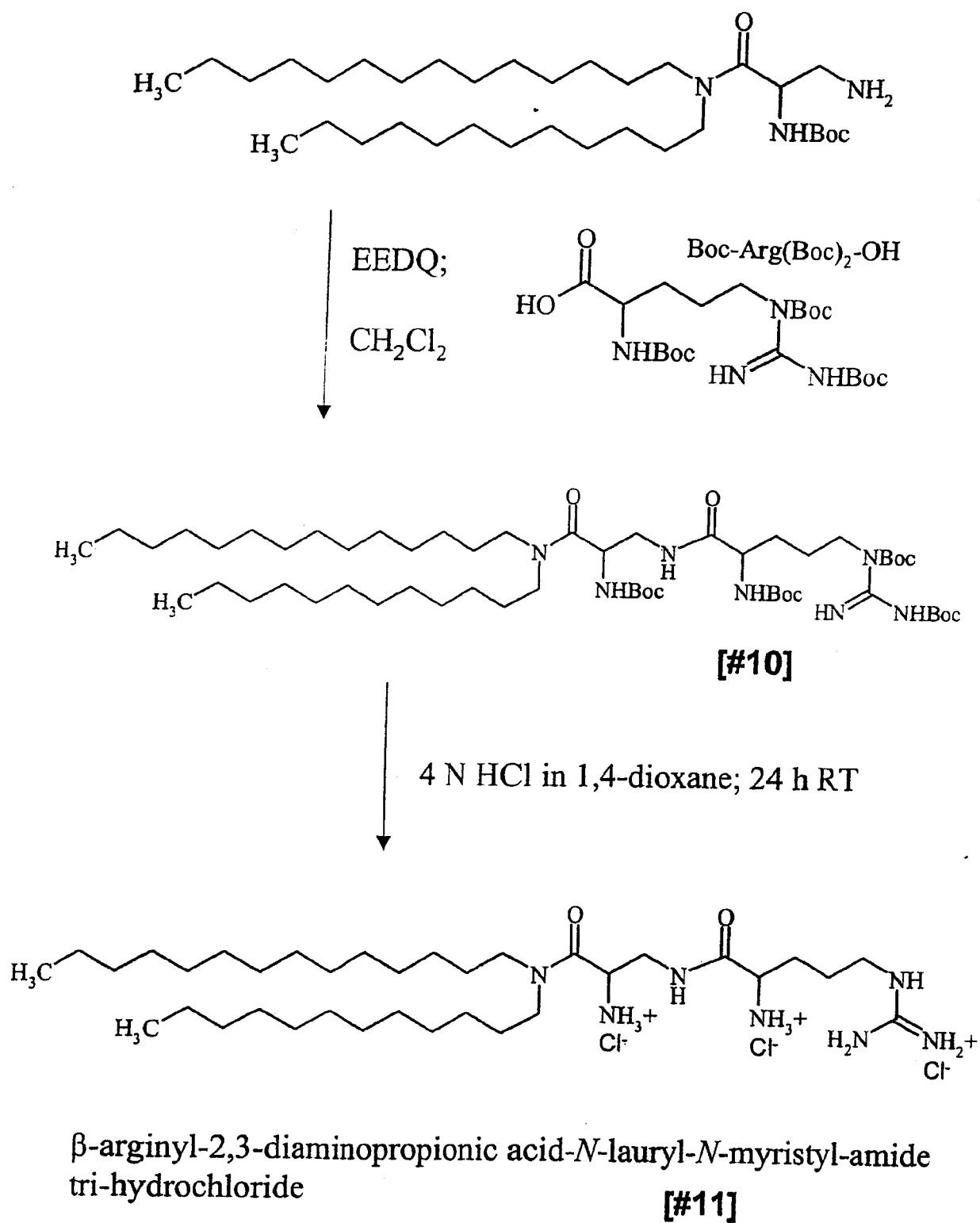


Figure 8

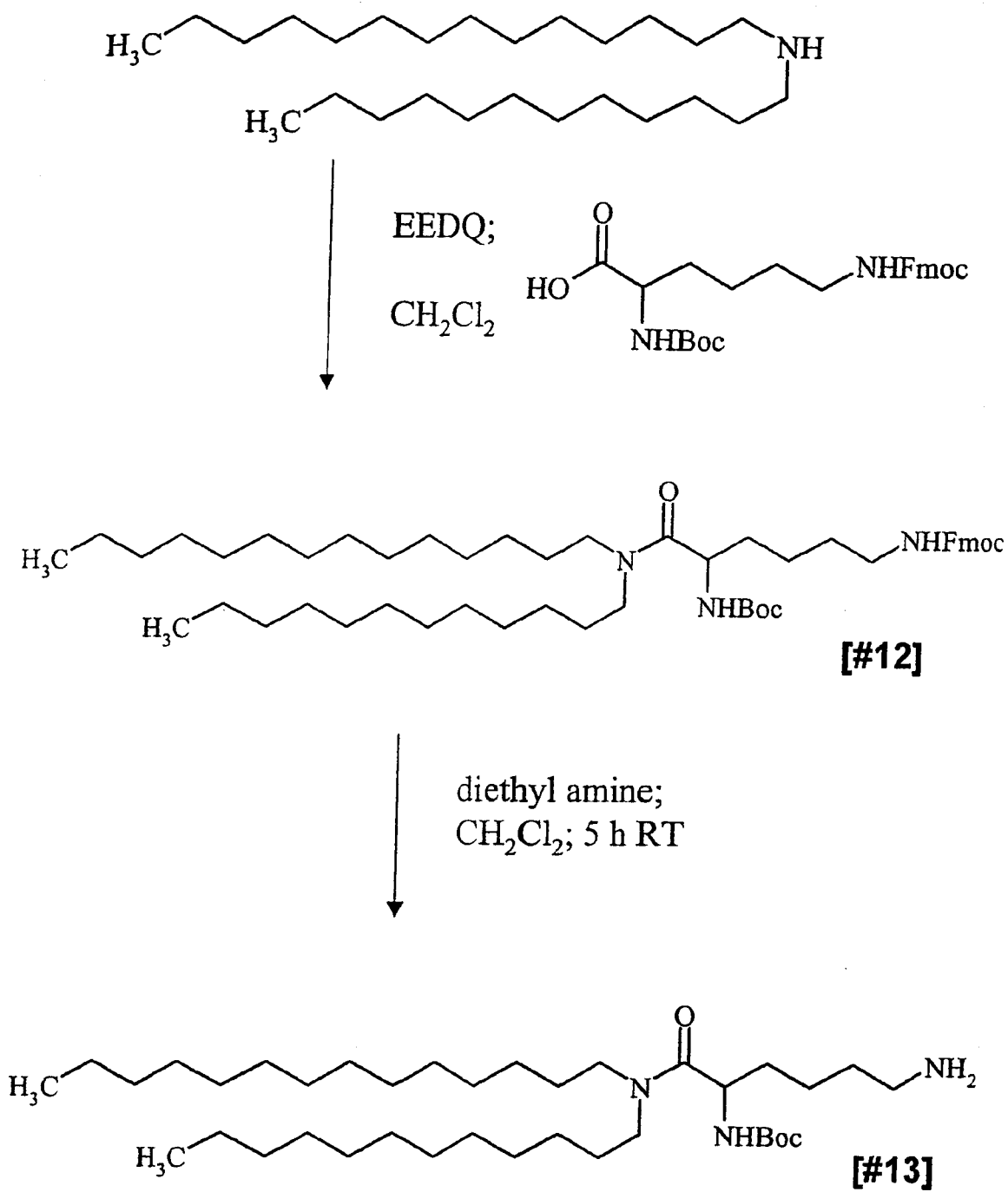
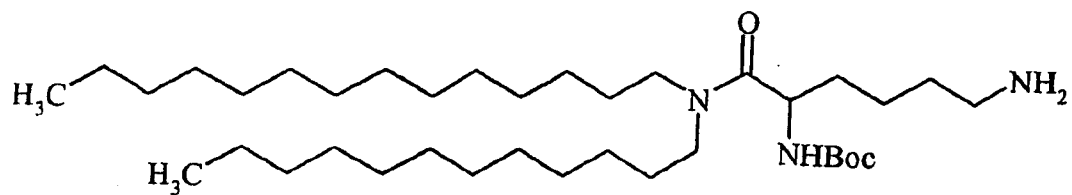
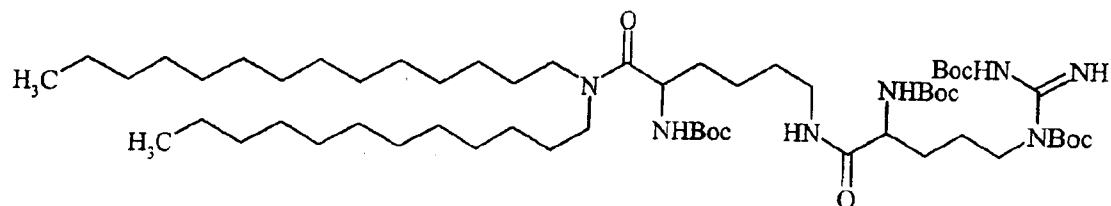
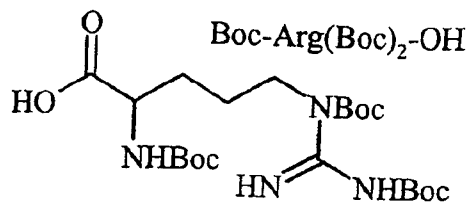


Figure 9



EEDQ;

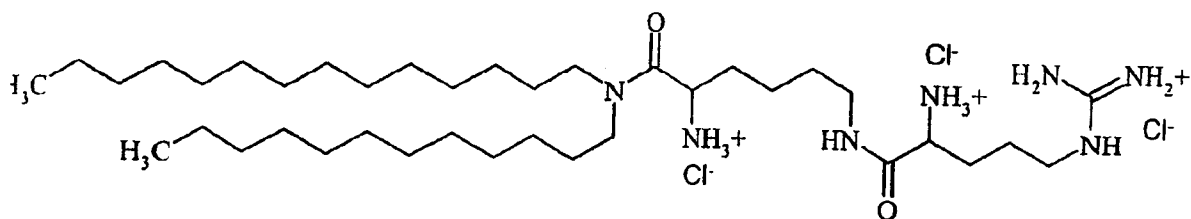
CH_2Cl_2



[#14]



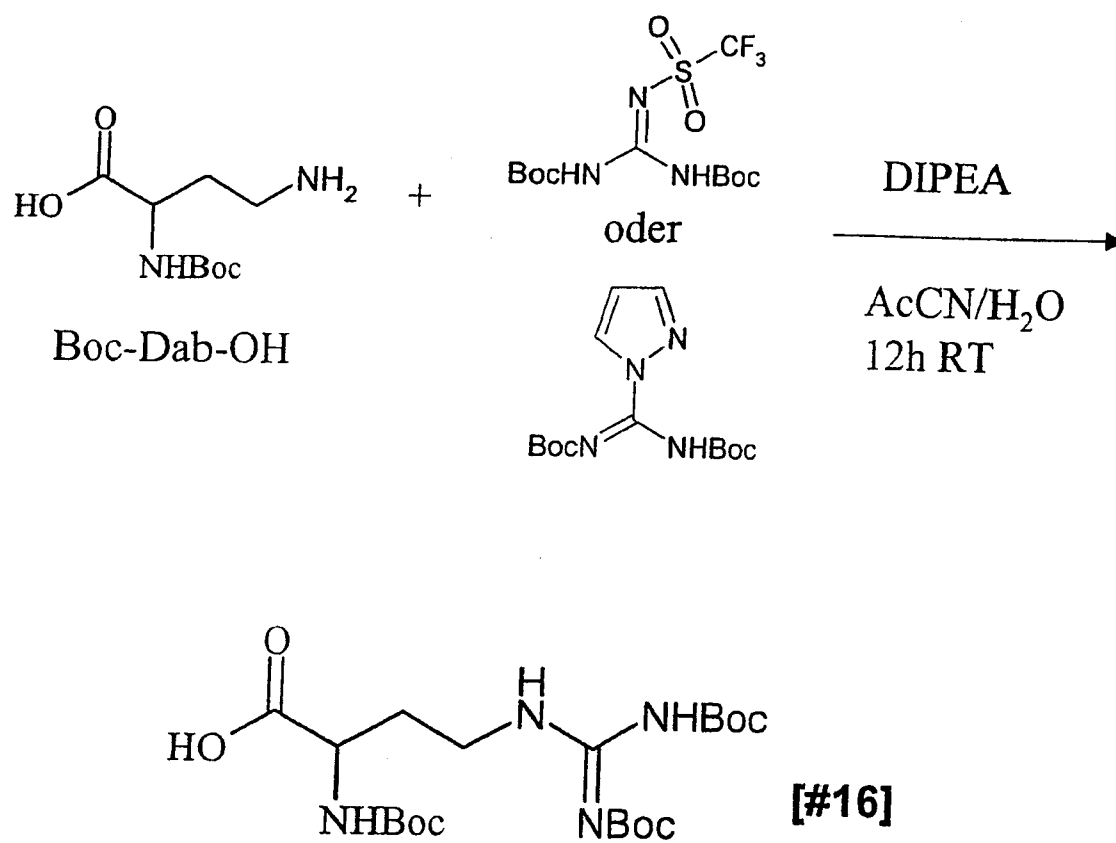
4 N HCl in 1,4-dioxane; 24 h RT



ε-arginyl-lysine-N-lauryl-N-myristyl-amide tri-hydrochloride

[#15]

Figure 10



tri-Boc- γ -carbamidino- α,γ -diaminobutyric acid

Alternative synthetic route

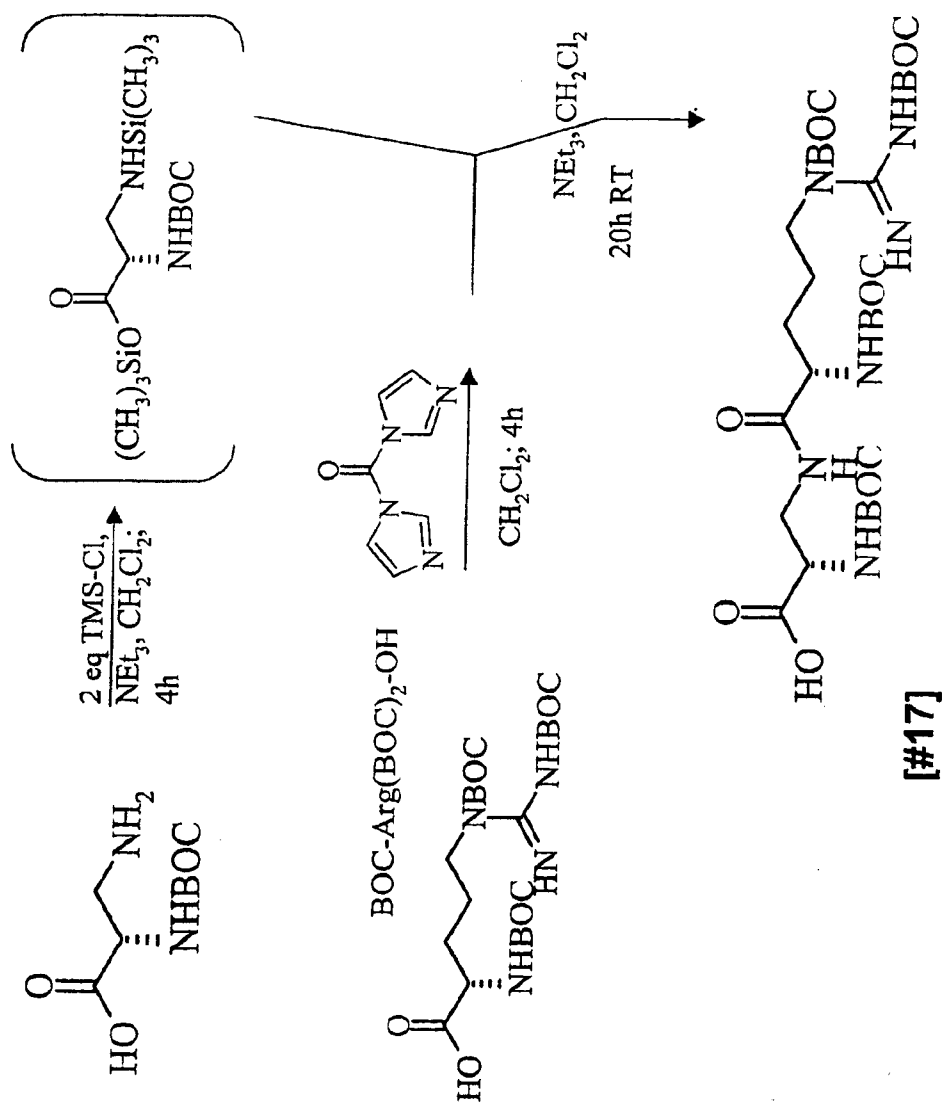
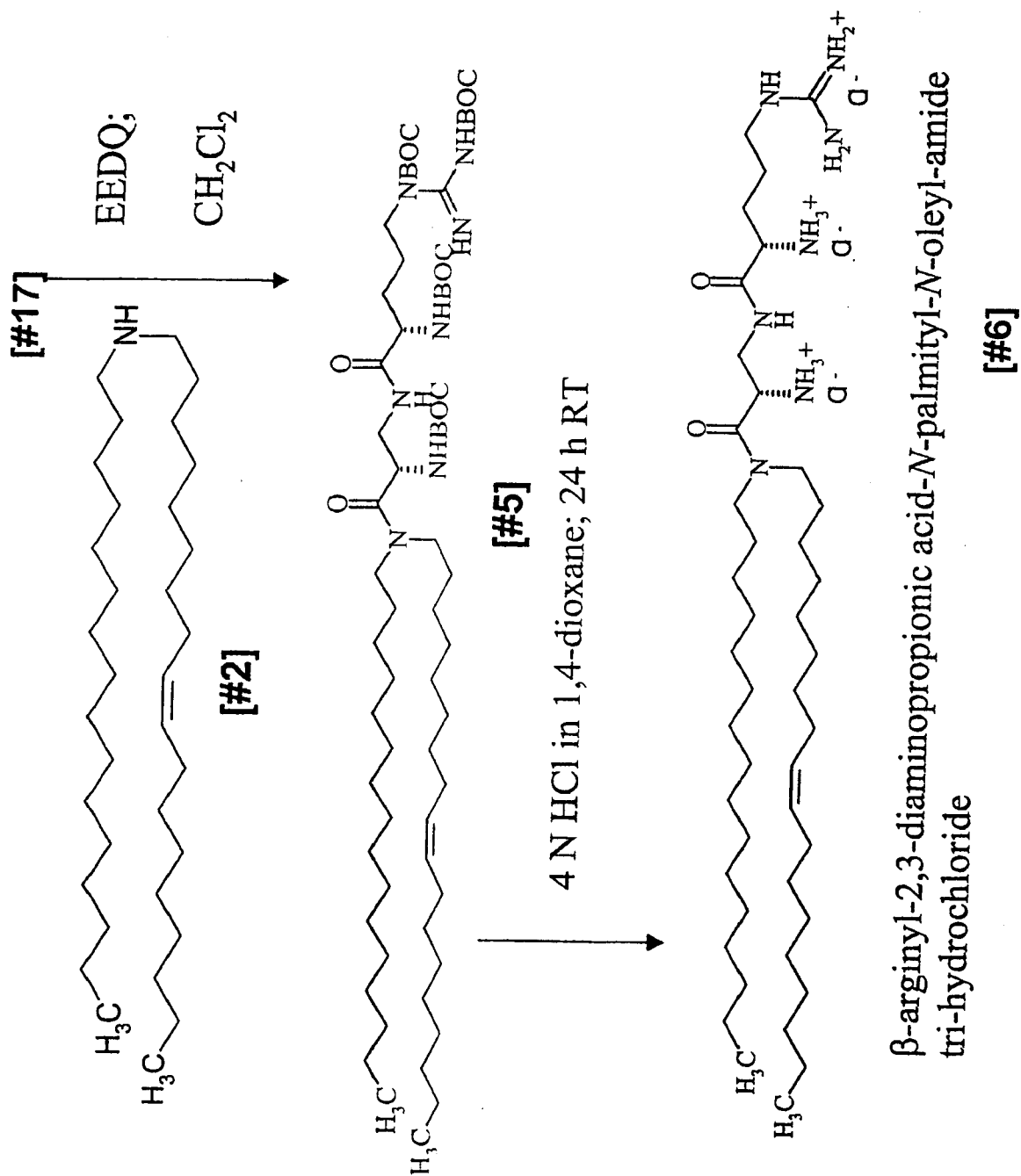


Fig. 11 - part 1

Fig. 11 – part 2



atuFect -liposomes - sizing by extrusion as determined by photon correlation spectroscopy with Beckman- Coulter N5 submicron particle analyzer

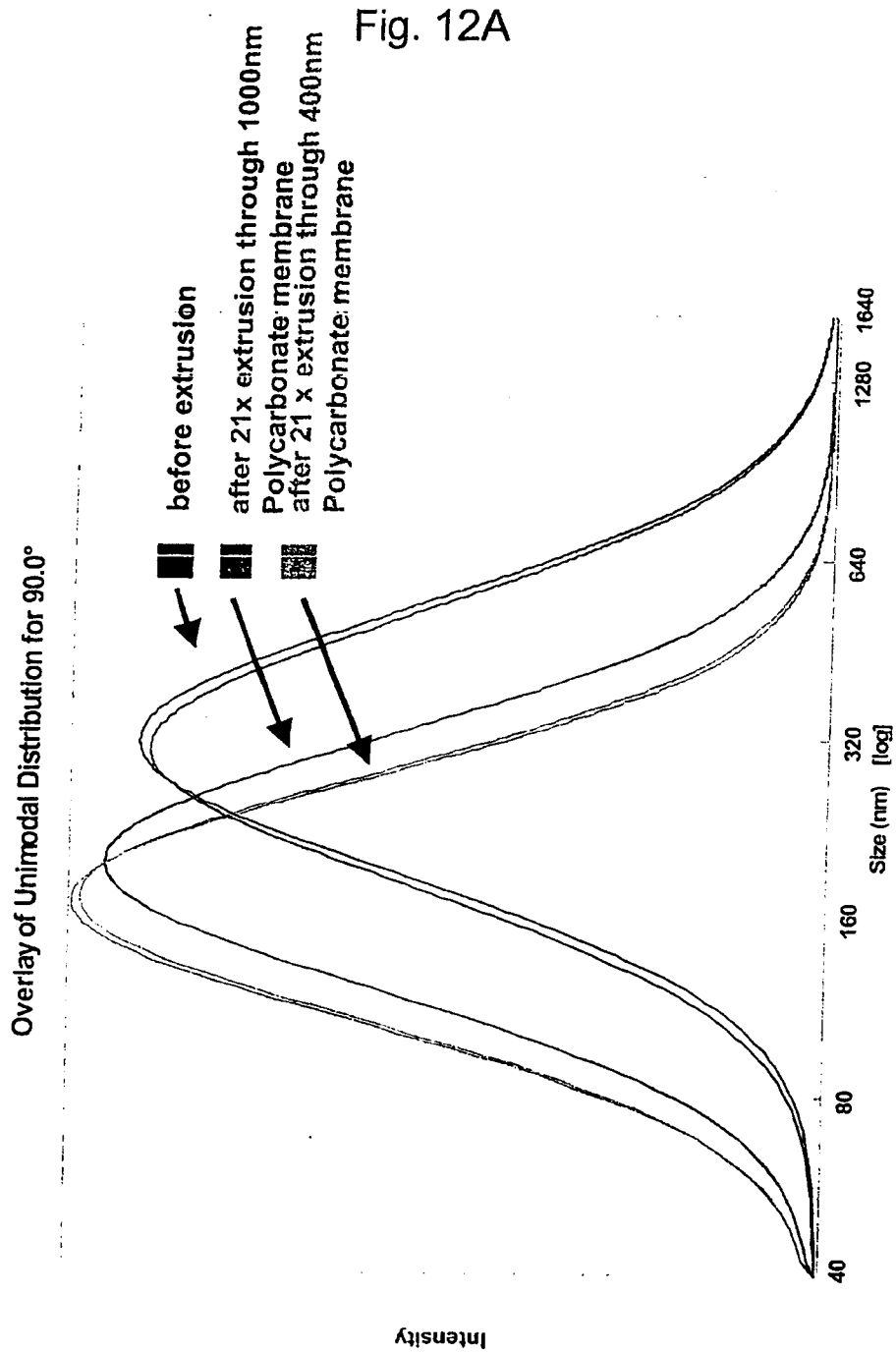


Fig. 12A

atuFect -liposomes - sizing by high-pressure homogenization

as determined by photon correlation spectroscopy with Beckman-
Coulter N5 submicron particle analyzer

Overlay of Unimodal Distribution for 90.0°

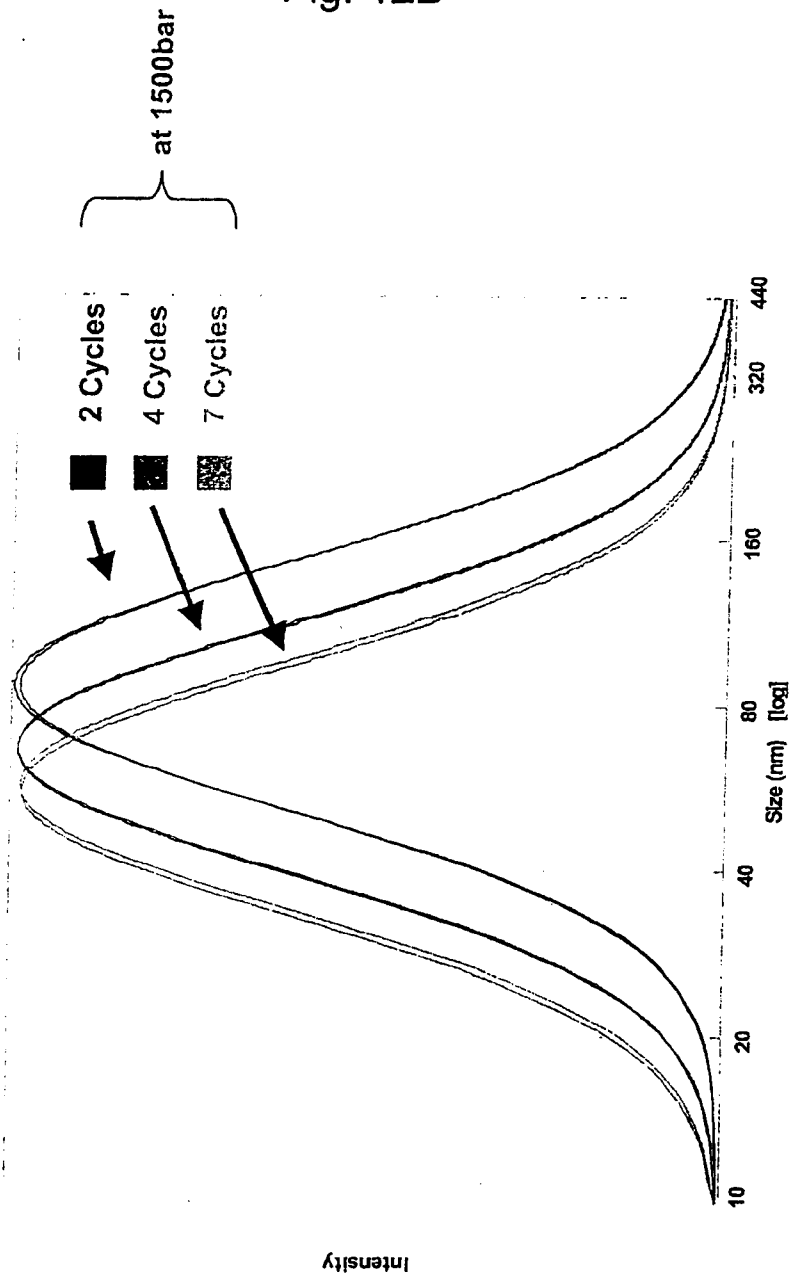


Fig. 12B

Lagermedium 300mM Sucrose / Lagerung für 7 Tage

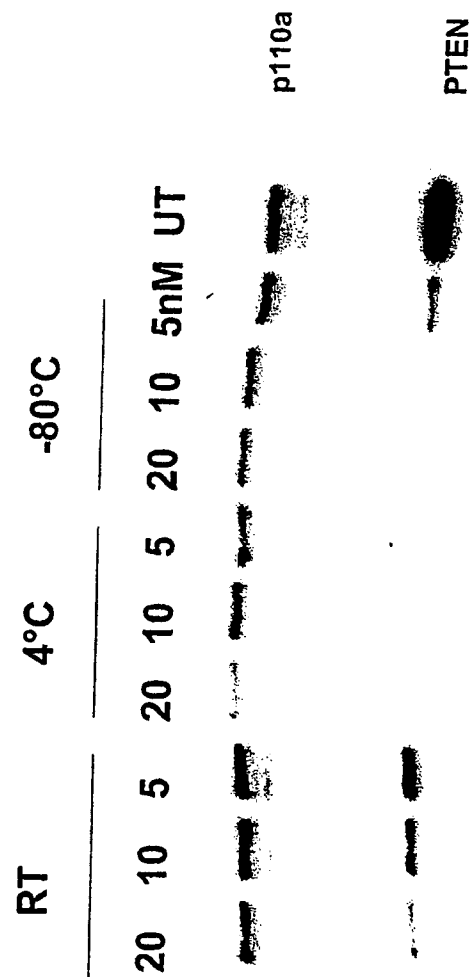
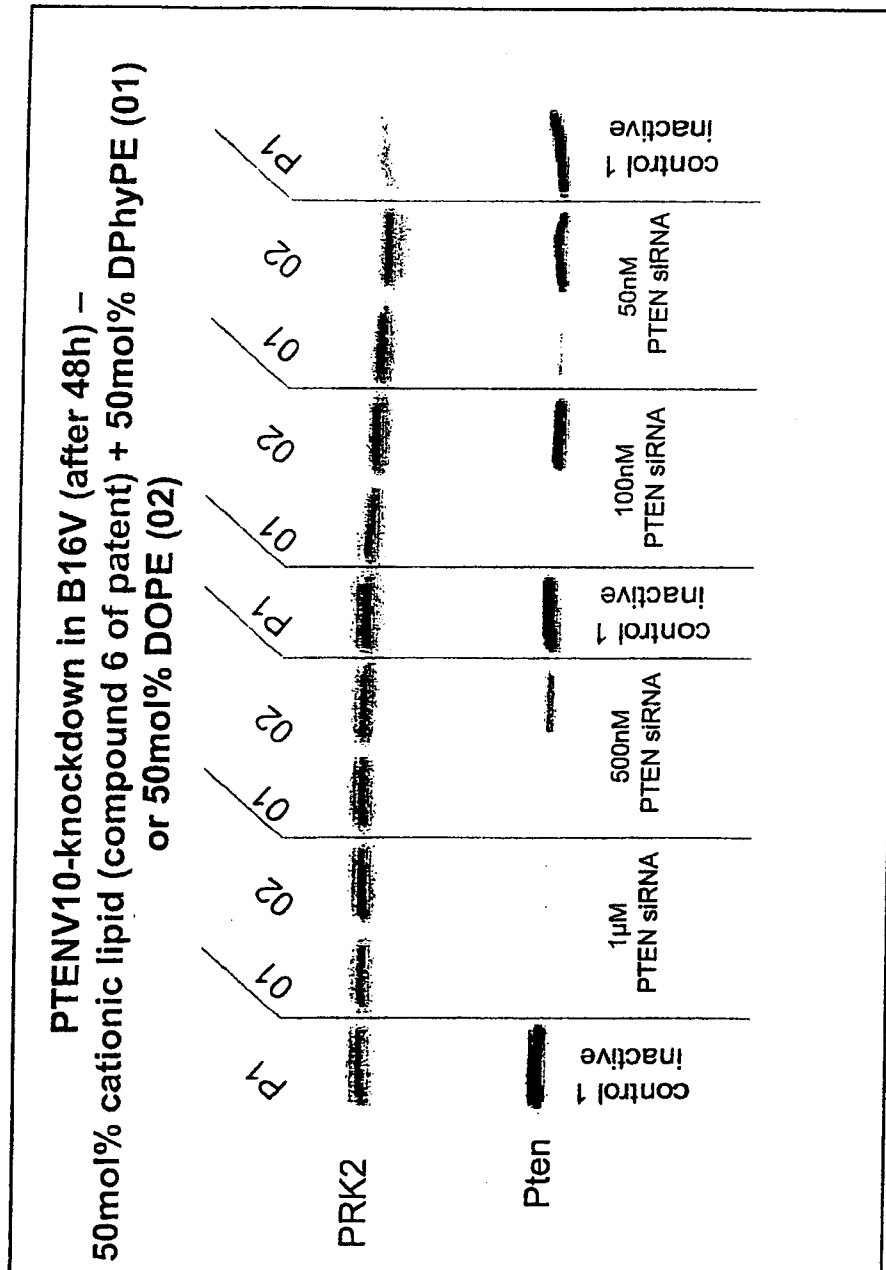


Fig. 13

Fig. 14



20nM siRNA

1% 2% 3% 4% 5% 1% 2% 3% 4% 5%

C1 C2 C3 C4 C5 H1 H2 H3 H4 H5 UT



p110a



PTEN

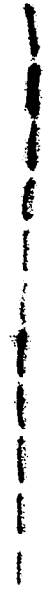
5nM siRNA

1% 2% 3% 4% 5% 1% 2% 3% 4% 5%

C1 C2 C3 C4 C5 H1 H2 H3 H4 H5 UT



p110a

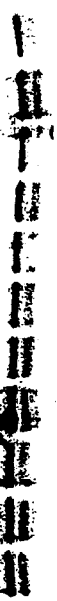


PTEN

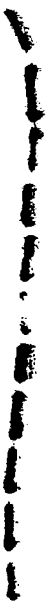
1,25nM siRNA

1% 2% 3% 4% 5% 1% 2% 3% 4% 5%

C1 C2 C3 C4 C5 H1 H2 H3 H4 H5 UT



p110a



PTEN

10nM siRNA

1% 2% 3% 4% 5% 1% 2% 3% 4% 5%

C1 C2 C3 C4 C5 H1 H2 H3 H4 H5 UT



p110a



PTEN

2,5nM siRNA

1% 2% 3% 4% 5% 1% 2% 3% 4% 5%

C1 C2 C3 C4 C5 H1 H2 H3 H4 H5 UT



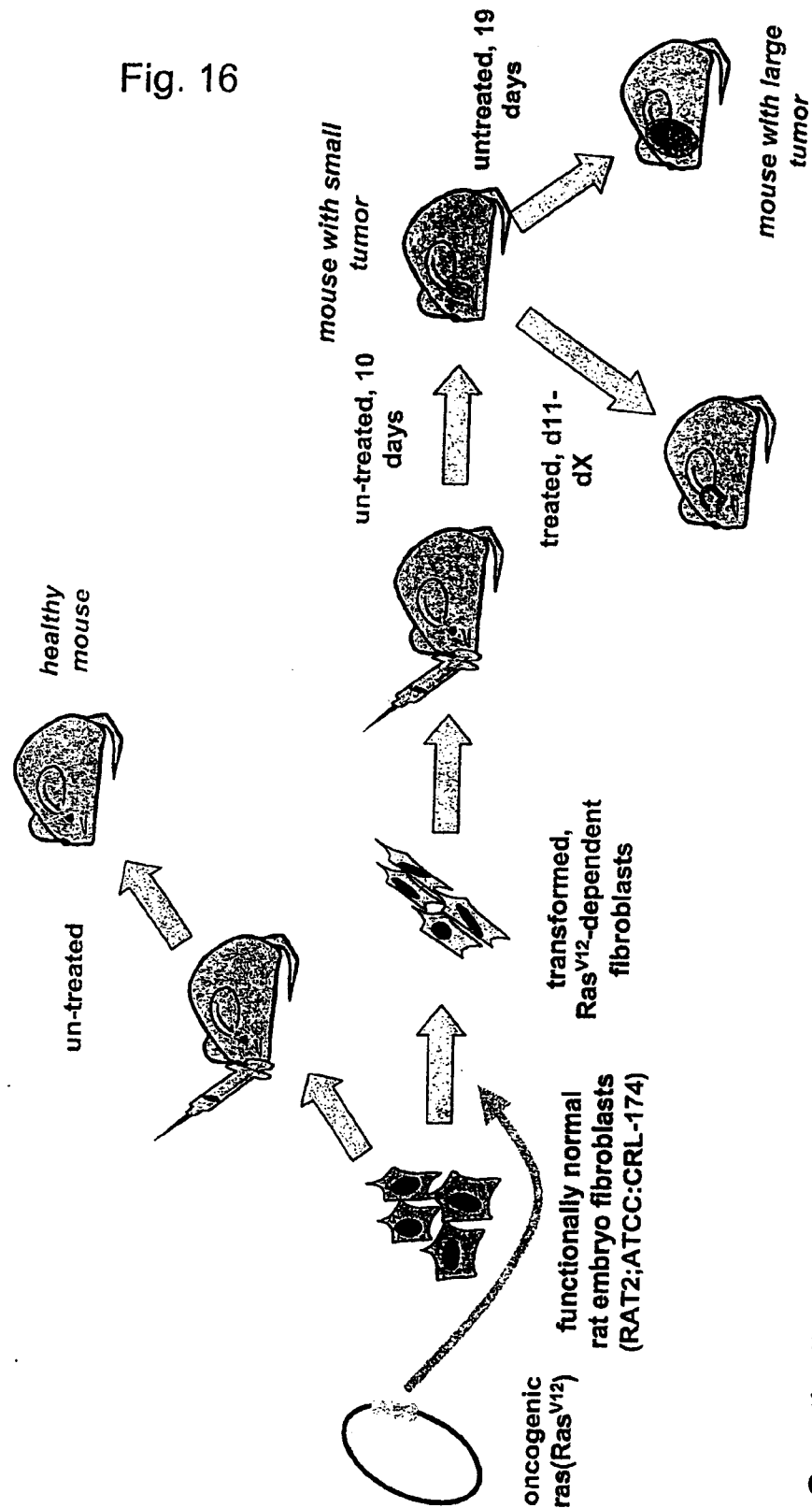
p110a



PTEN

Fig. 15

Fig. 16



Genetically Engineered, Ras-Dependent Tumor Model to test for efficacy of different formulations, the tumor growth is strictly dependent on Ras^{V12} expression

Fig. 17 A

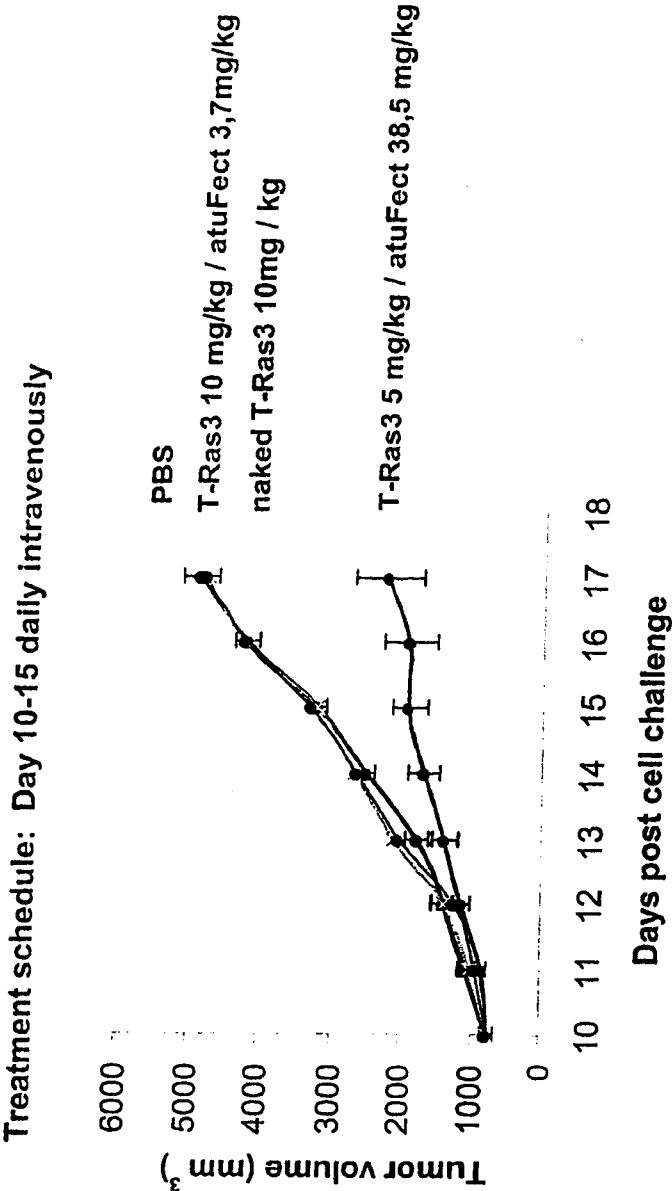
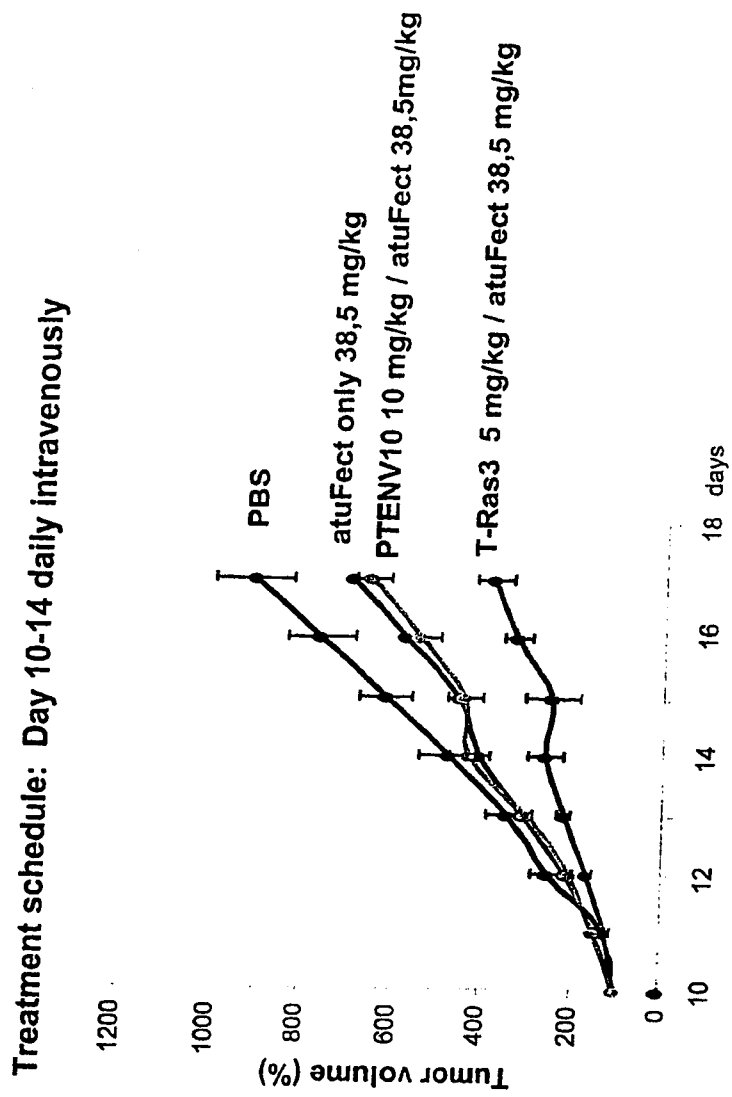


Fig. 17B



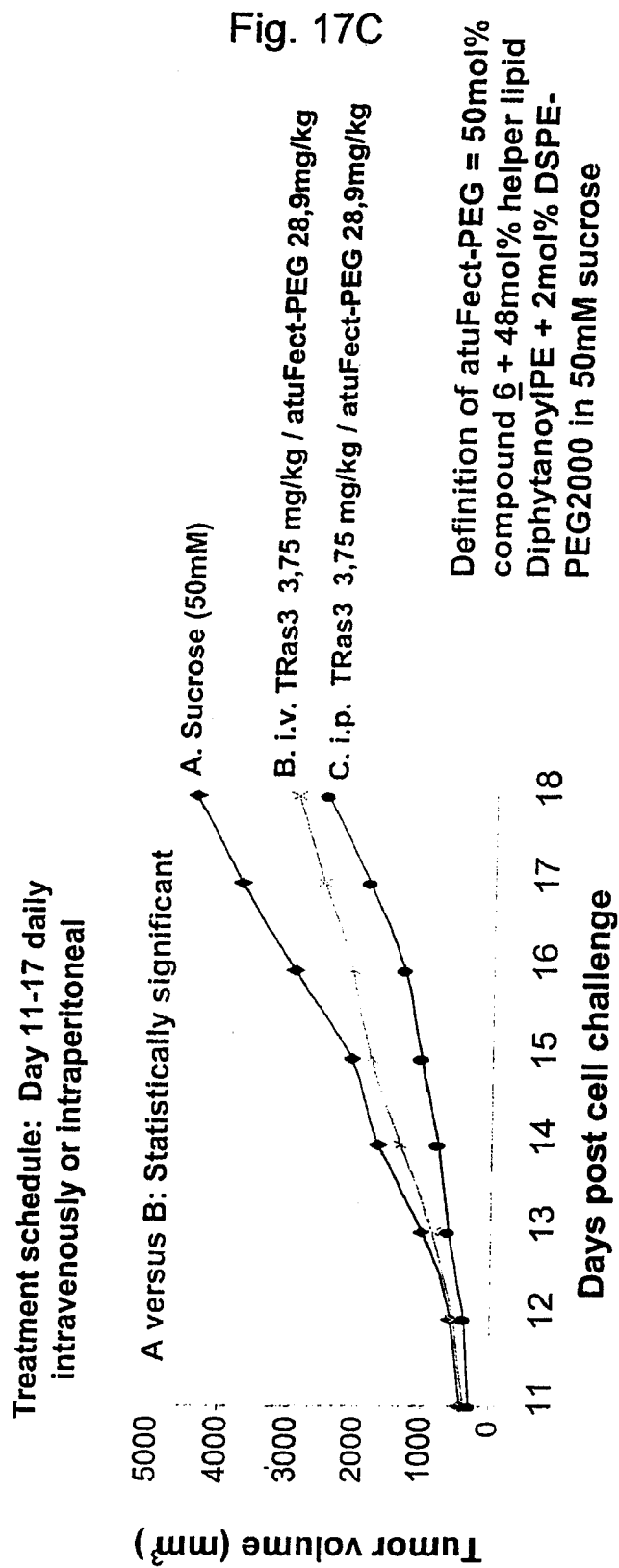


Fig. 17C

Fig. 18/1

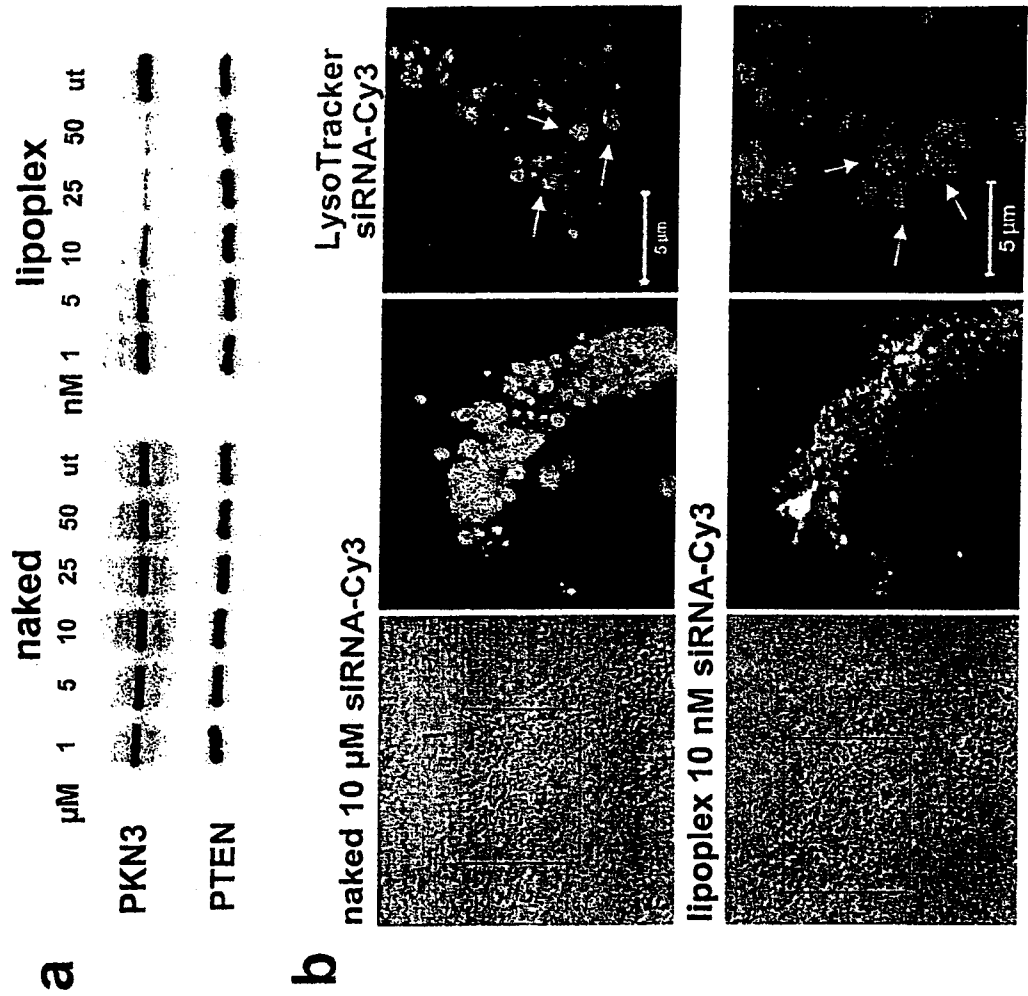
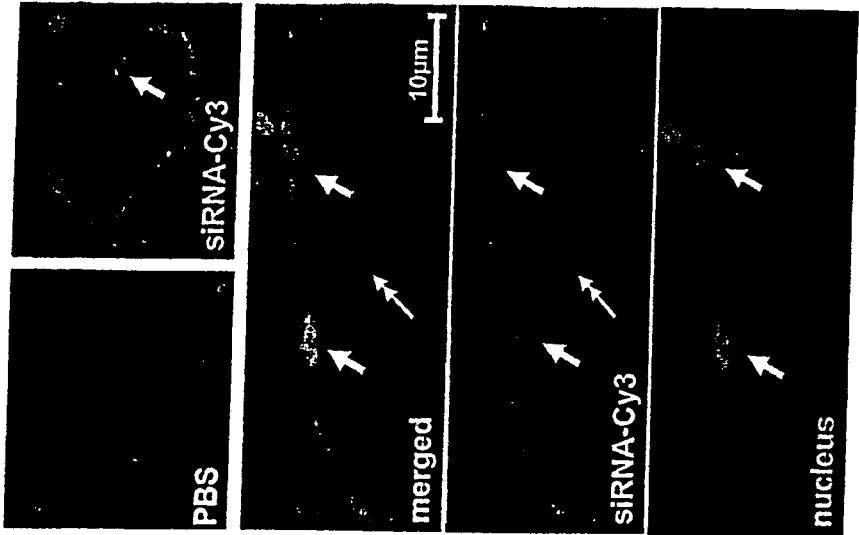
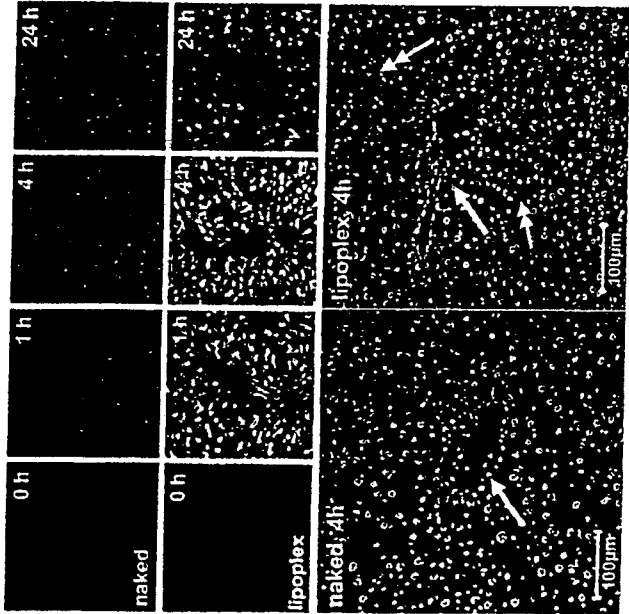


Fig. 18/2

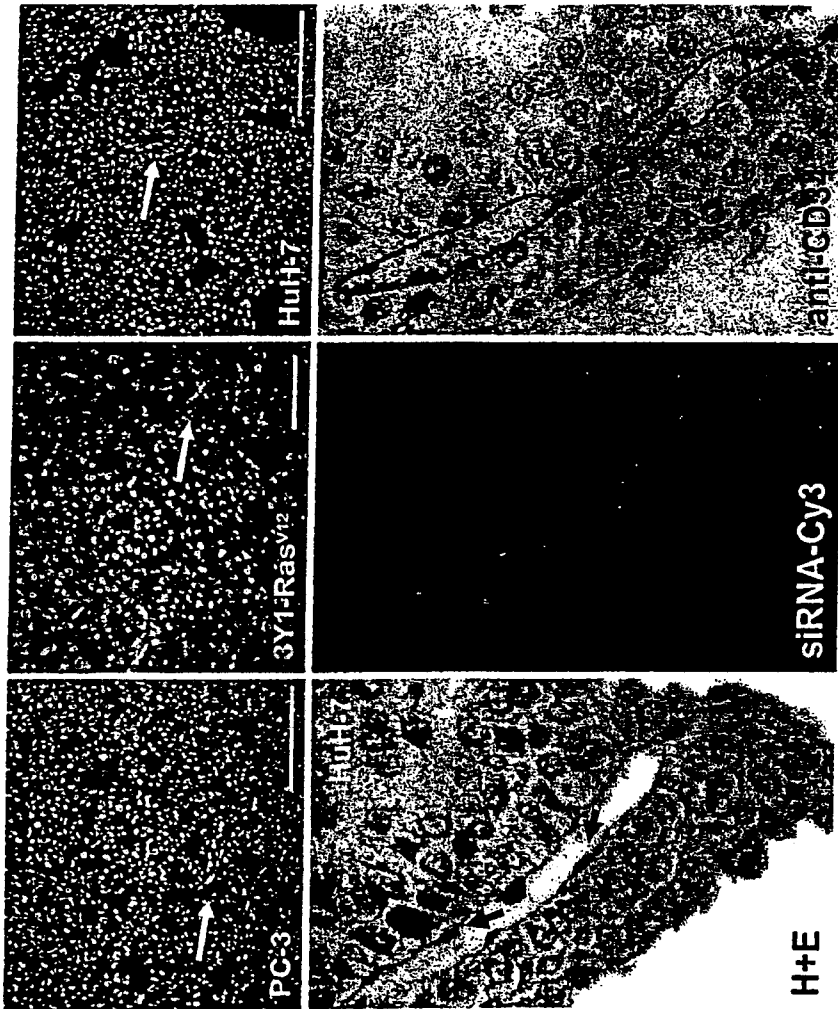


d



c

Fig. 18/3



e

Fig. 19/1

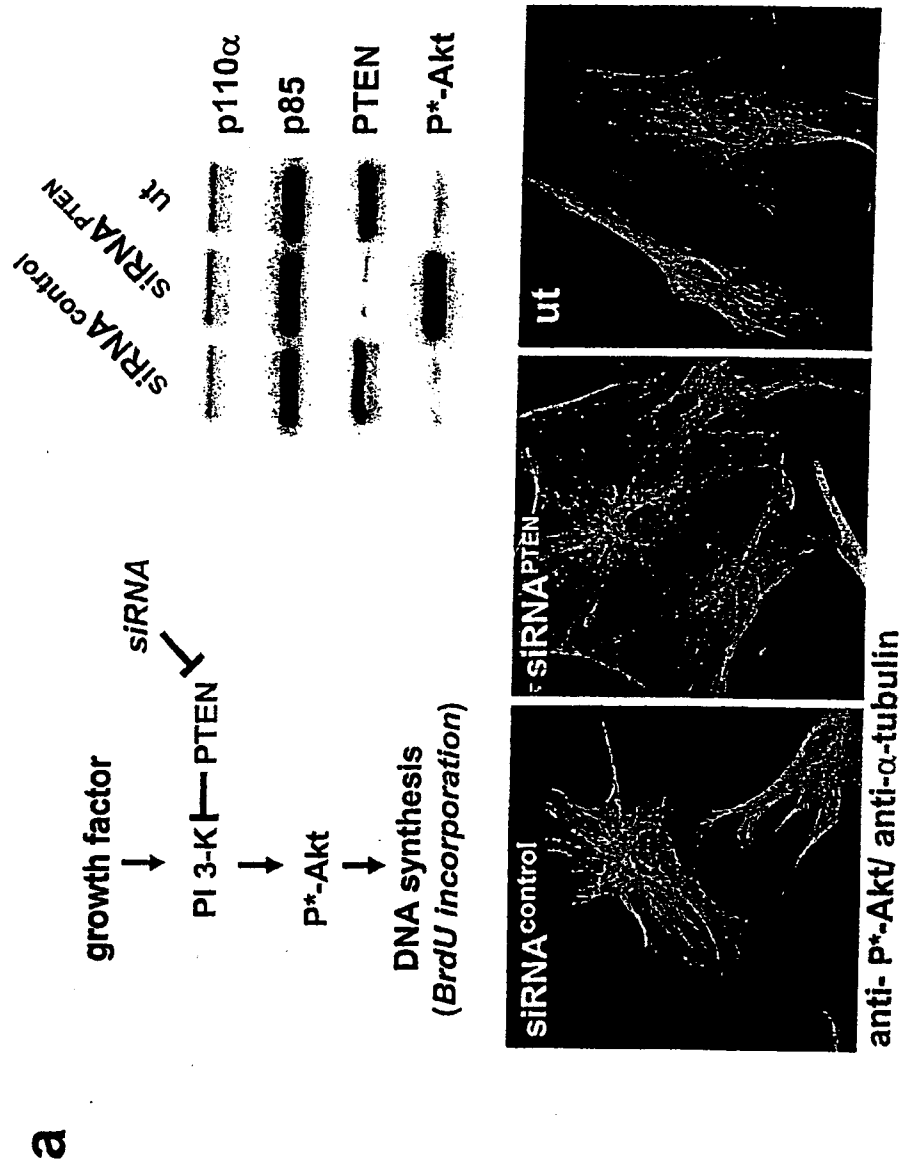
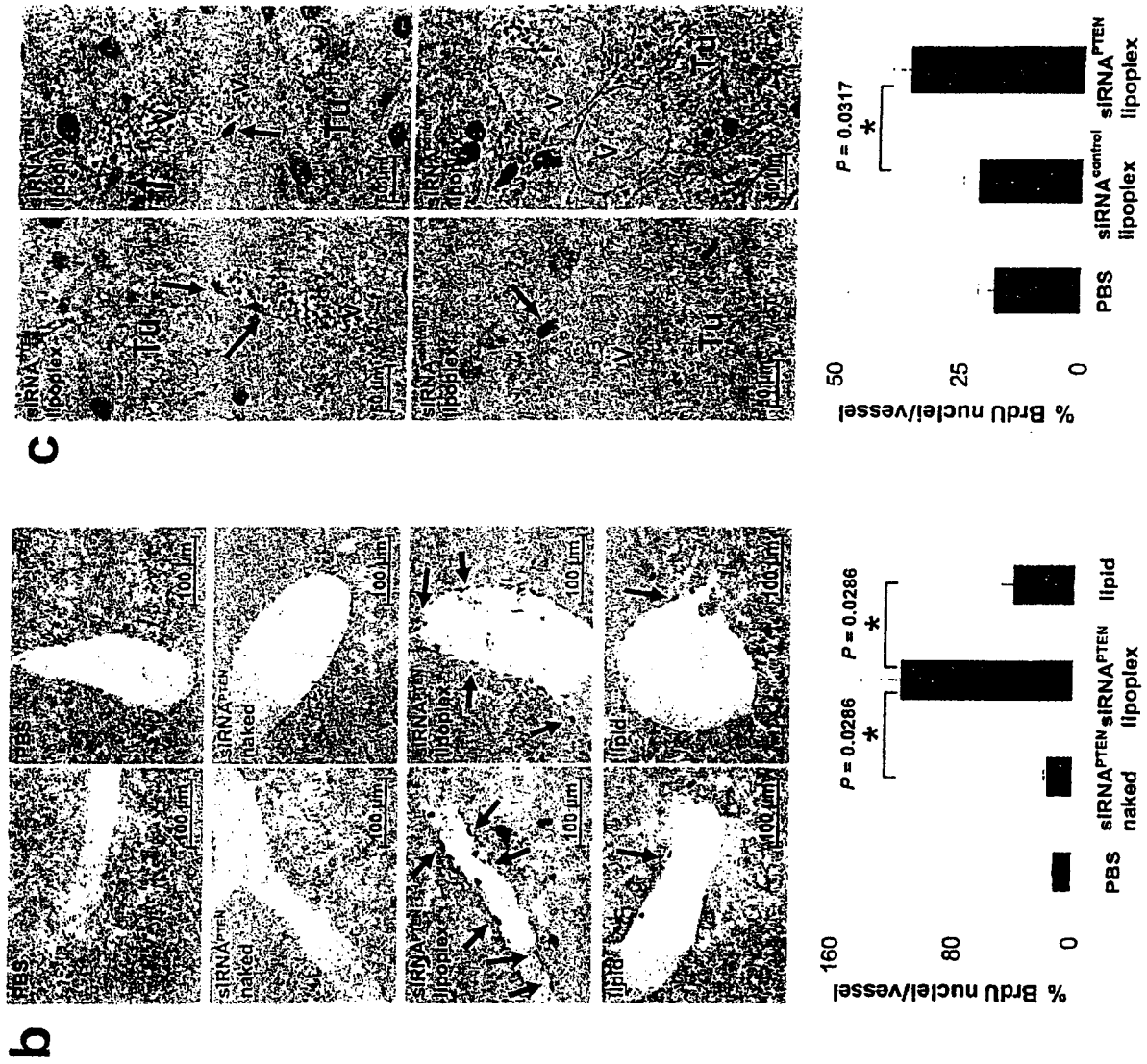


Fig. 19/2



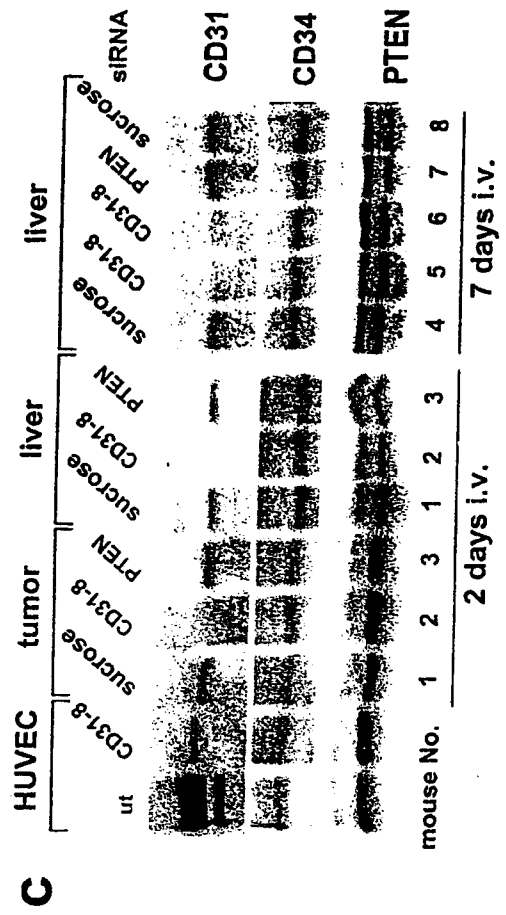
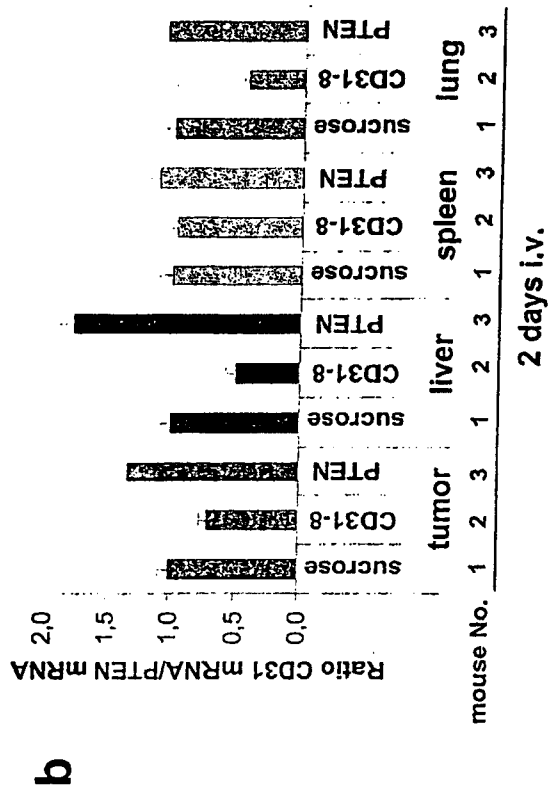
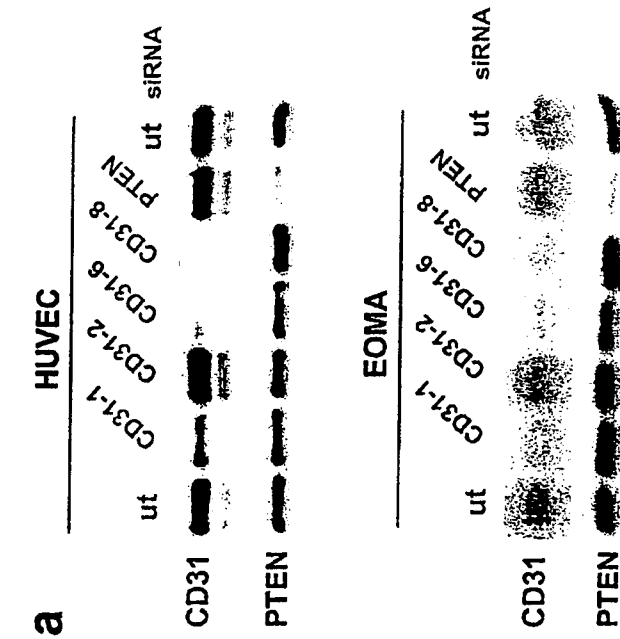
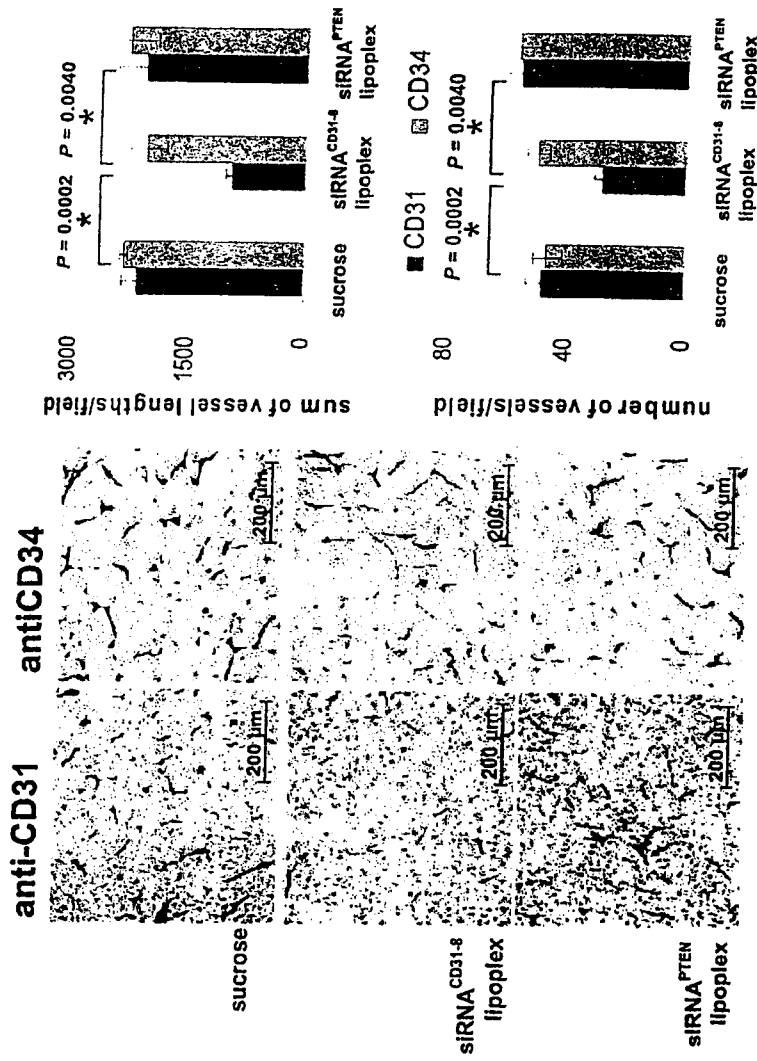
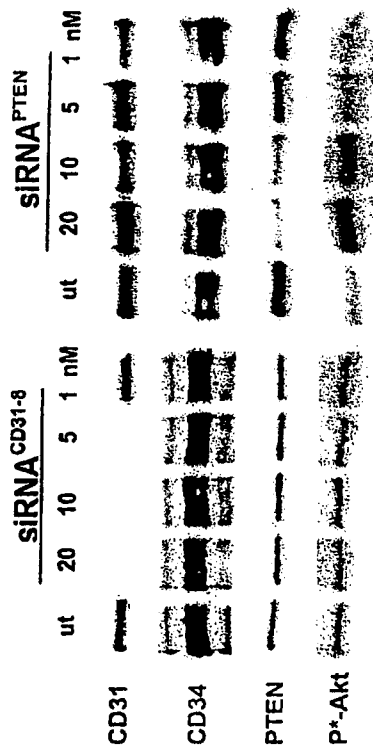


Fig. 20/2

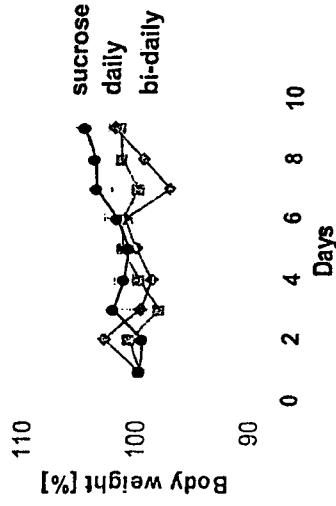
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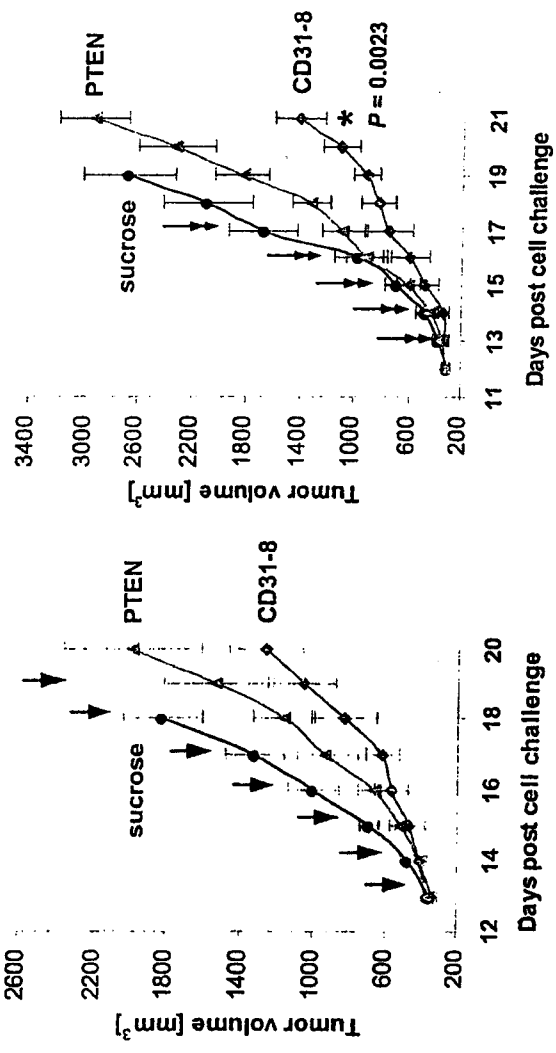
a



b



c



d

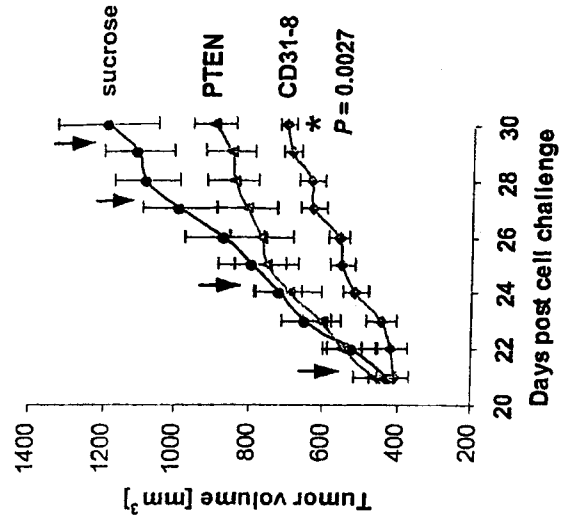


Fig. 21