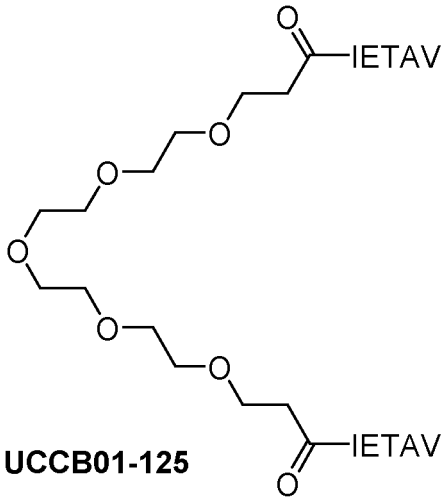
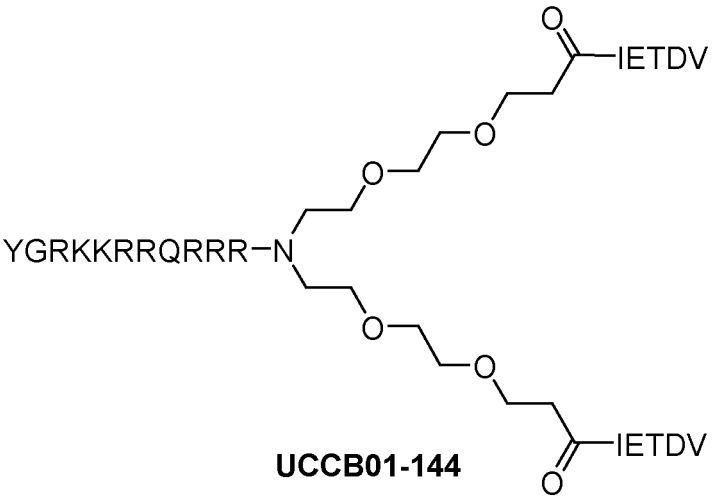


UCCB01-125



UCCB01-144

Fig. 1

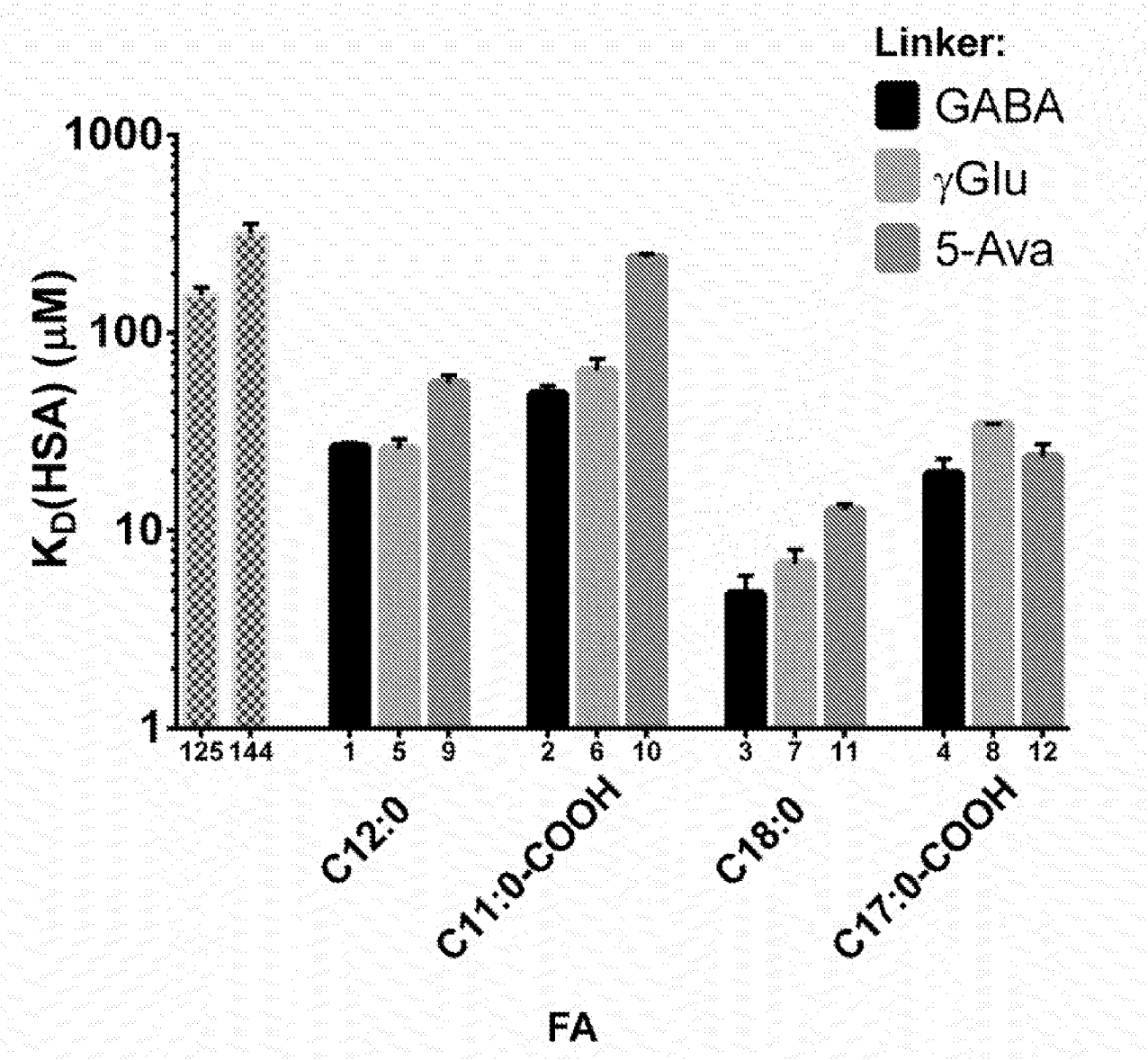

Linker:
GABA
γGlu
5-Ava
1000
100
10
1
K_D(HSA) (μM)
125 144 1 5 9 2 6 10 3 7 11 4 8 12
C12:0
C11:0-COOH
C18:0
C17:0-COOH
FA

Fig. 2

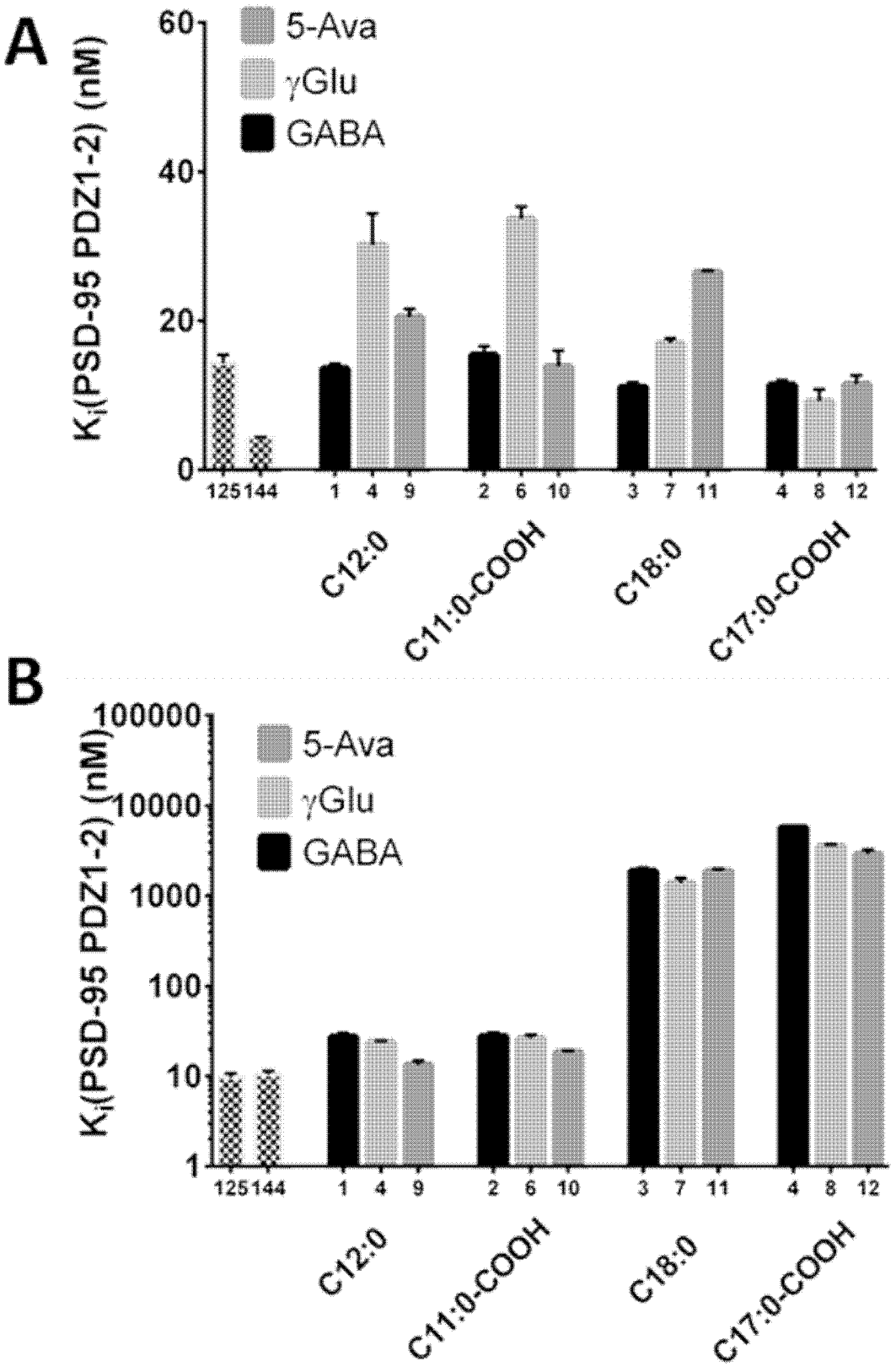

A
K_i(PSD-95 PDZ1-2) (nM)
60
40
20
0
5-Ava
γGlu
GABA
125 144 1 4 9 2 6 10 3 7 11 4 8 12
C12:0
C11:0-COOH
C18:0
C17:0-COOH
B
K_i(PSD-95 PDZ1-2) (nM)
100000
10000
1000
100
10
1
5-Ava
γGlu
GABA
125 144 1 4 9 2 6 10 3 7 11 4 8 12
C12:0
C11:0-COOH
C18:0
C17:0-COOH

Fig. 3

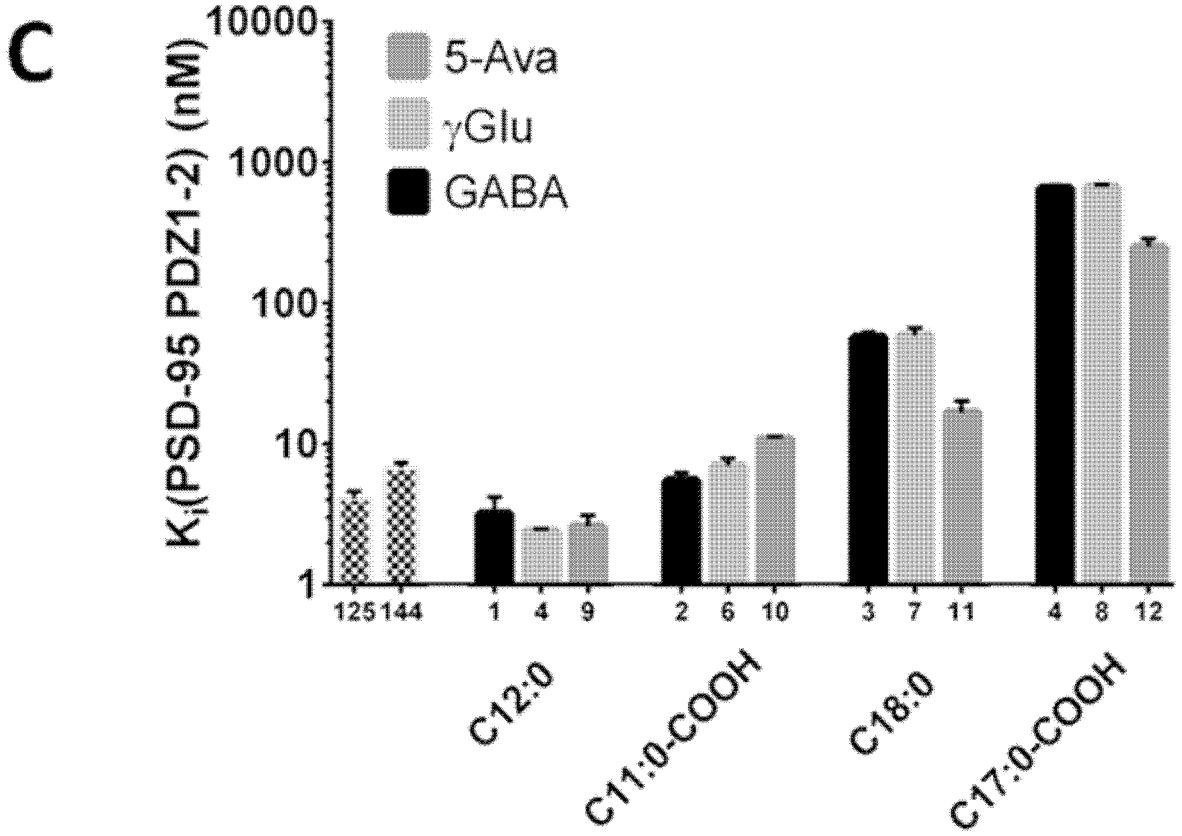

C
K_i(PSD-95 PDZ1-2) (nM)
10000
1000
100
10
1
5-Ava
γGlu
GABA
125 144 1 4 9 2 6 10 3 7 11 4 8 12
C12:0
C11:0-COOH
C18:0
C17:0-COOH

Fig. 3, cont.

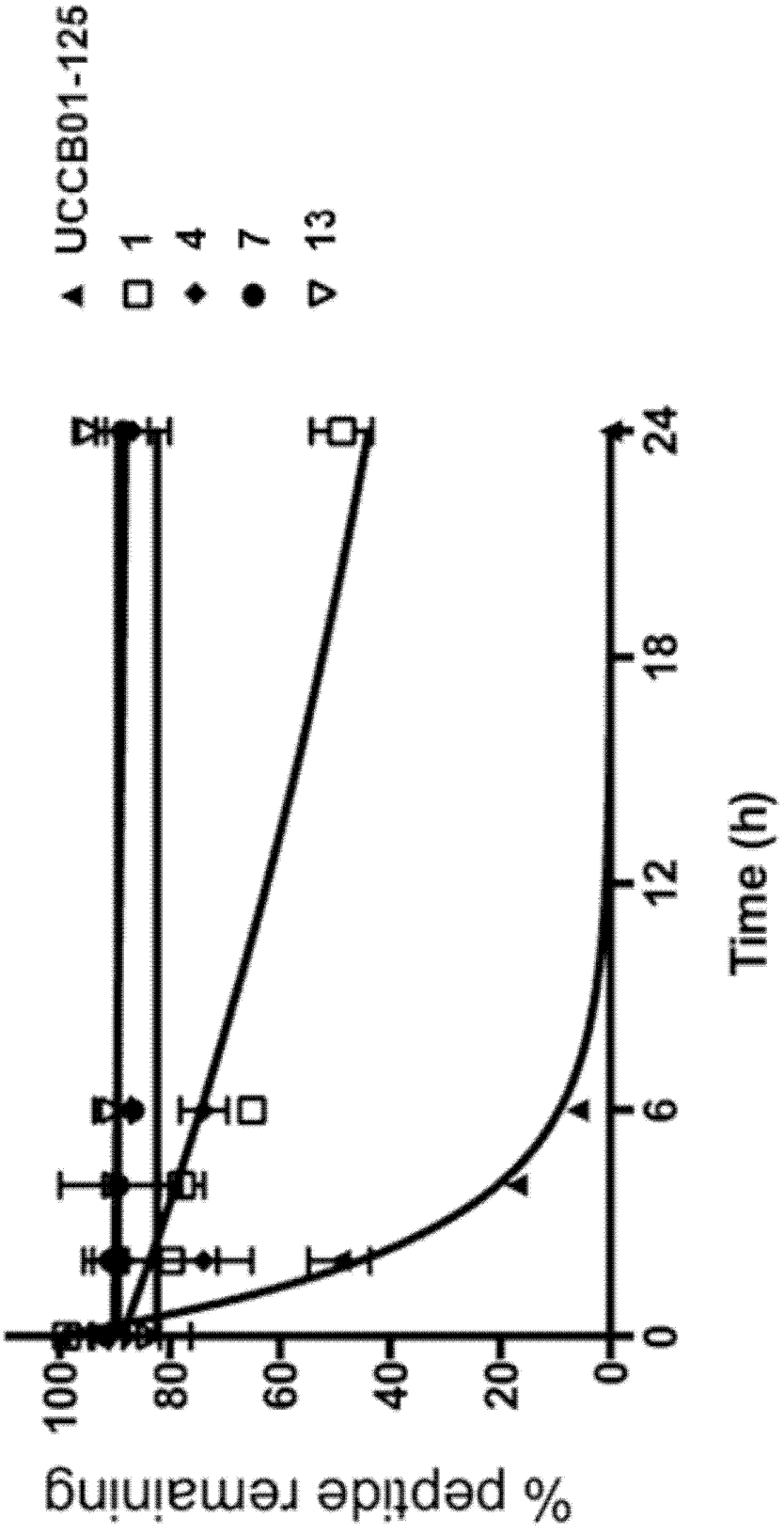

UCCB01-125
1
4
7
13
% peptide remaining
100
80
60
40
20
0
0
6
12
18
24
Time (h)

Fig. 4

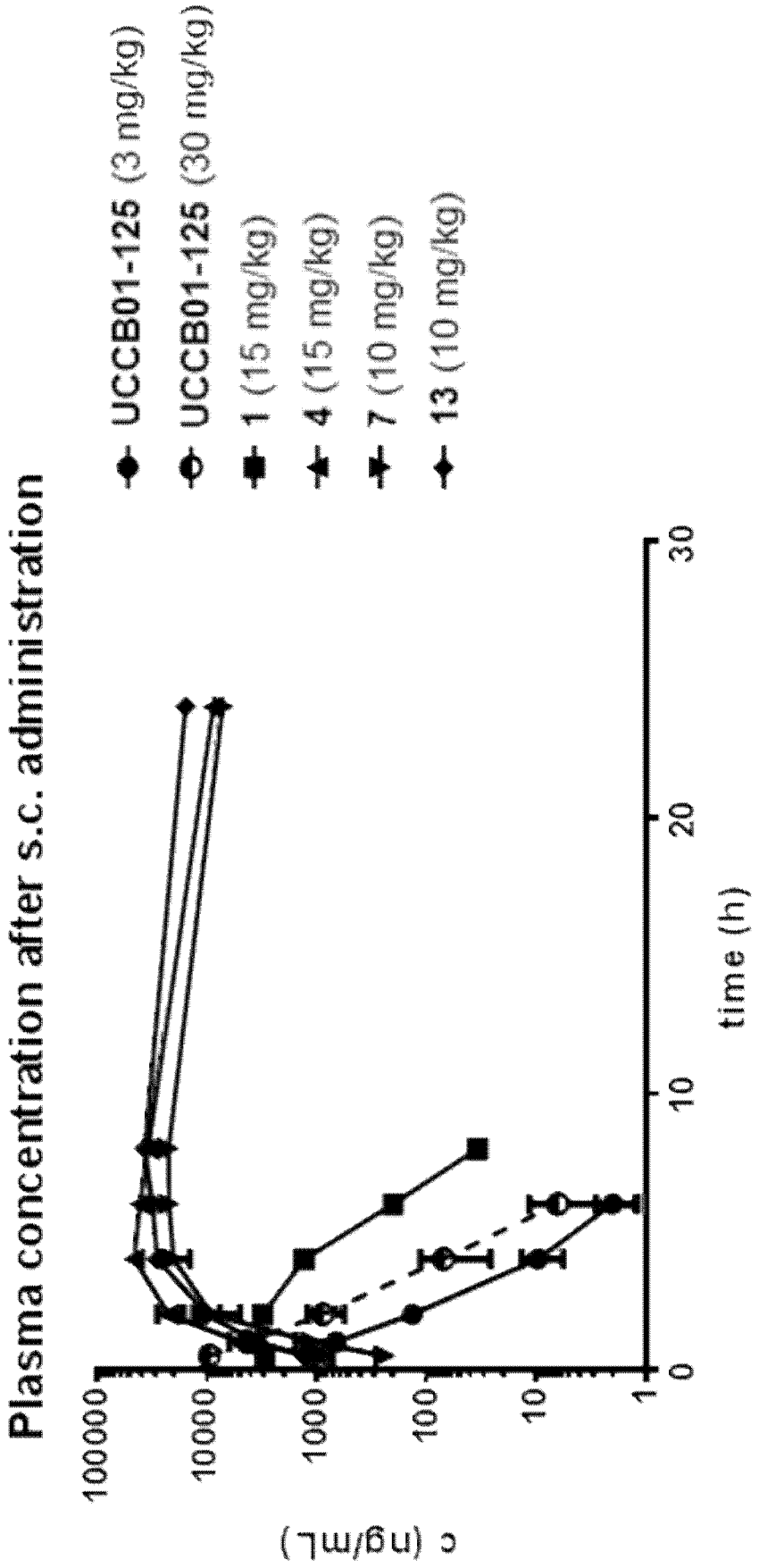

Plasma concentration after s.c. administration
UCCB01-125 (3 mg/kg)
UCCB01-125 (30 mg/kg)
1 (15 mg/kg)
4 (15 mg/kg)
7 (10 mg/kg)
13 (10 mg/kg)
c (ng/mL)
100000
10000
1000
100
10
1
0
10
20
30
time (h)

Fig. 5

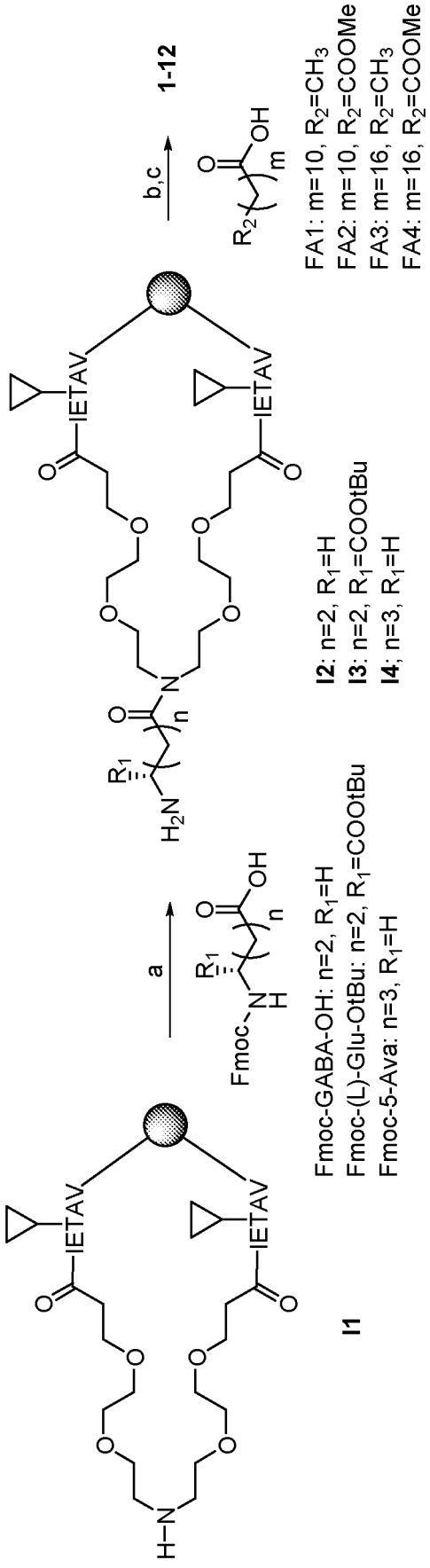
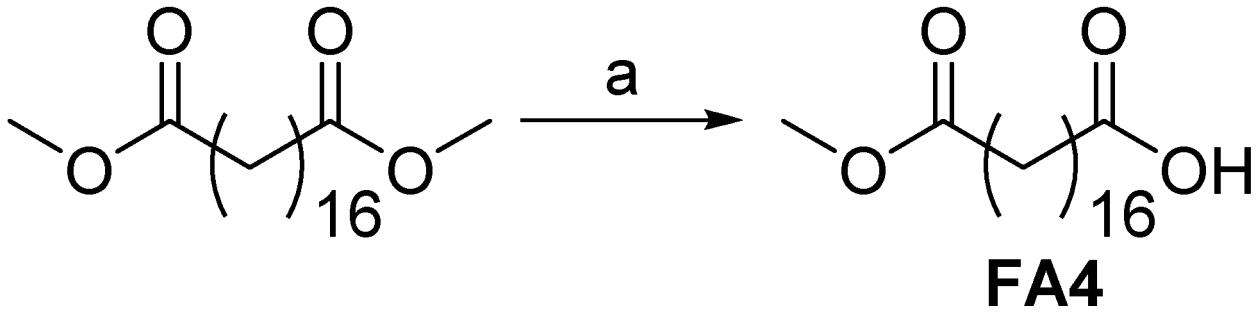

IETAV
a
b,c
1-12
I1
I2: n=2, R1=H
I3: n=2, R1=COOtBu
I4; n=3, R1=H
Fmoc-GABA-OH: n=2, R1=H
Fmoc-(L)-Glu-OtBu: n=2, R1=COOtBu
Fmoc-5-Ava: n=3, R1=H
FA1: m=10, R2=CH3
FA2: m=10, R2=COOMe
FA3: m=16, R2=CH3
FA4: m=16, R2=COOMe

Fig. 6



FA4

Fig. 7