FIG. 1

Liposome Classification

- Multilamellar vesicles (MLV)
  - Multiple bilayers surrounding aqueous core
- Unilamellar vesicles
  - Single bilayer surrounding aqueous core
  - Small unilamellar vesicles (SUVs)
    - Diameter < 60 nm
  - Large unilamellar vesicles (LUVs)
    - Diameter > 80 nm

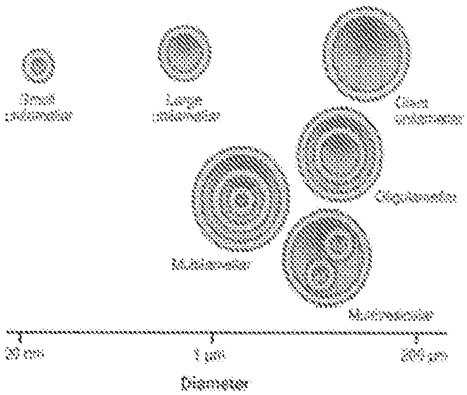


FIG. 2

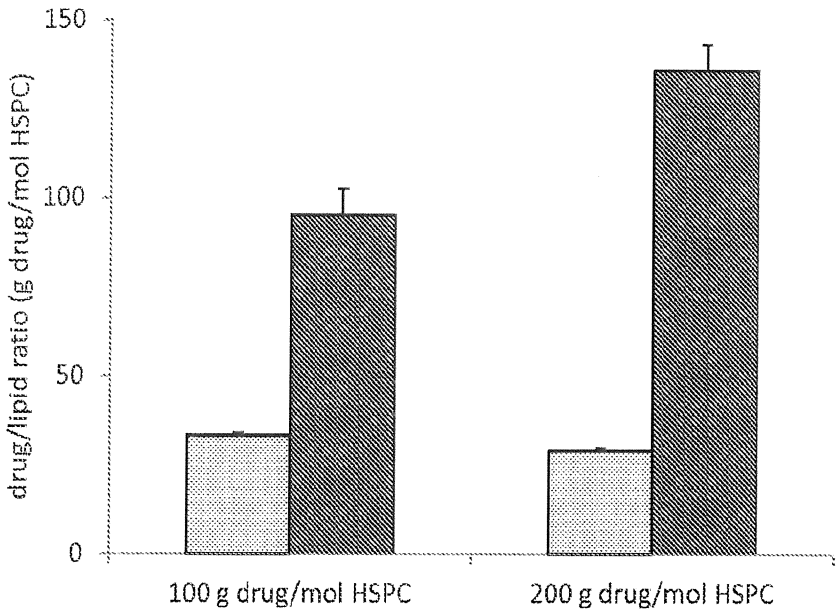

150
100
50
0
drug/lipid ratio (g drug/mol HSPC)
100 g drug/mol HSPC
200 g drug/mol HSPC

FIG. 3

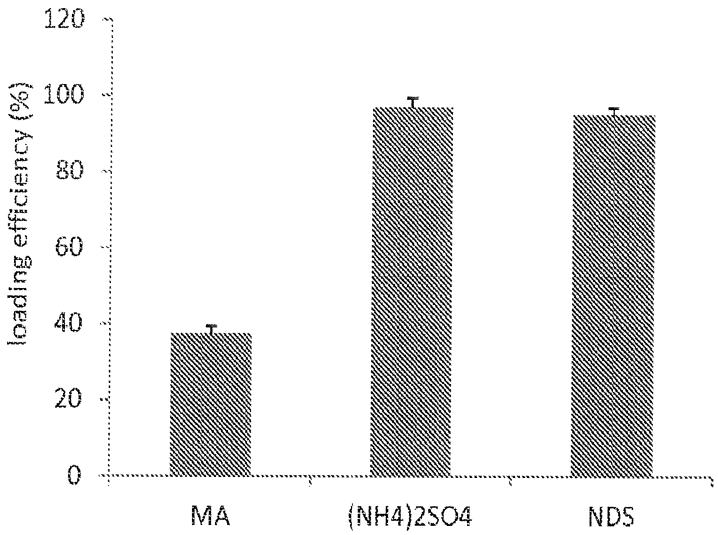


FIG. 4

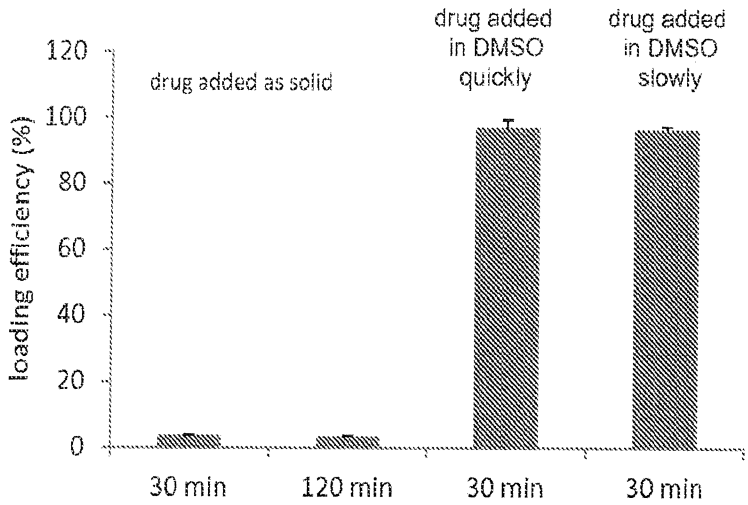

drug added as solid
drug added in DMSO quickly
drug added in DMSO slowly
loading efficiency (%)
120
100
80
60
40
20
0
30 min
120 min
30 min
30 min

FIG. 5

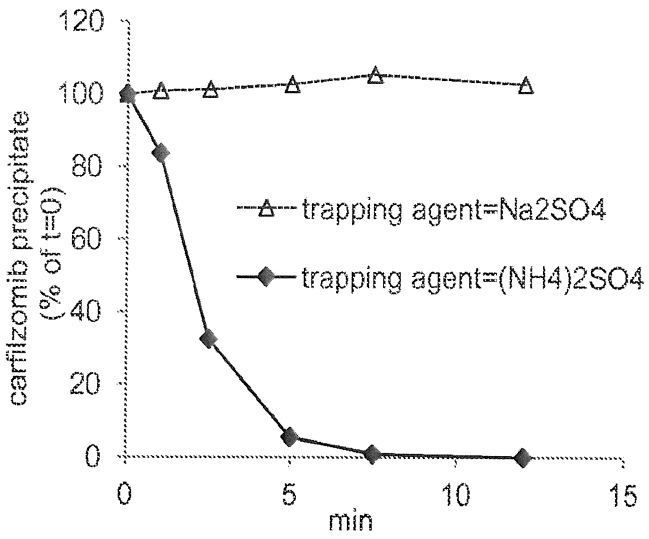

120
100
80
60
40
20
0
carfilzomib precipitate (% of t=0)
0
5
10
15
min
trapping agent=Na2SO4
trapping agent=(NH4)2SO4

FIG. 6

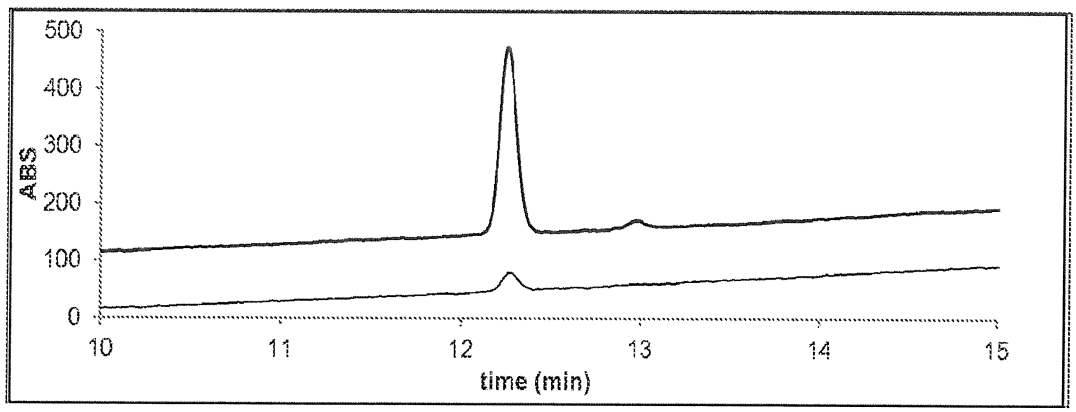


FIG. 7

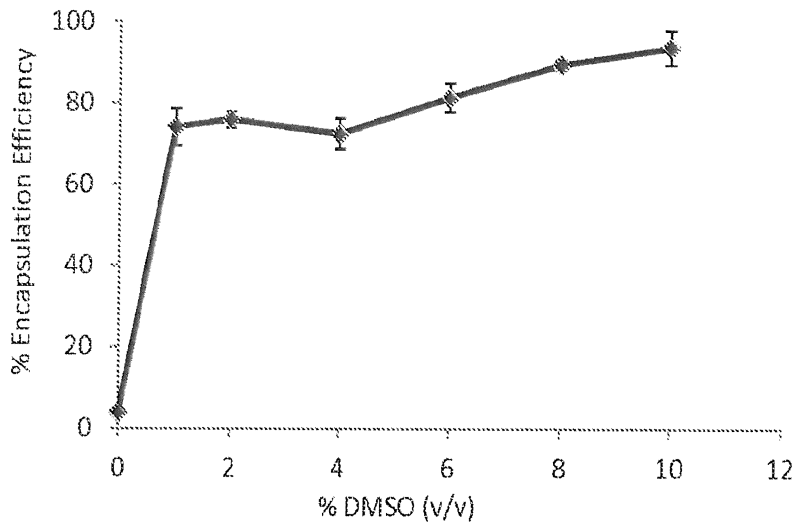

100
80
60
40
20
0
% Encapsulation Efficiency
0
2
4
6
8
10
12
% DMSO (v/v)

FIG. 8

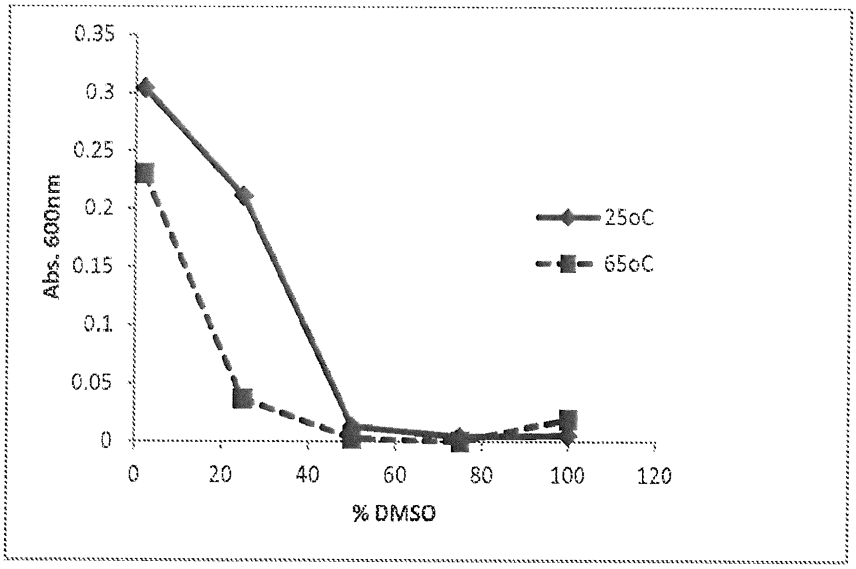

0.35
0.3
0.25
0.2
0.15
0.1
0.05
0
Abs. 600nm
0
20
40
60
80
100
120
% DMSO
25oC
65oC

FIG. 9

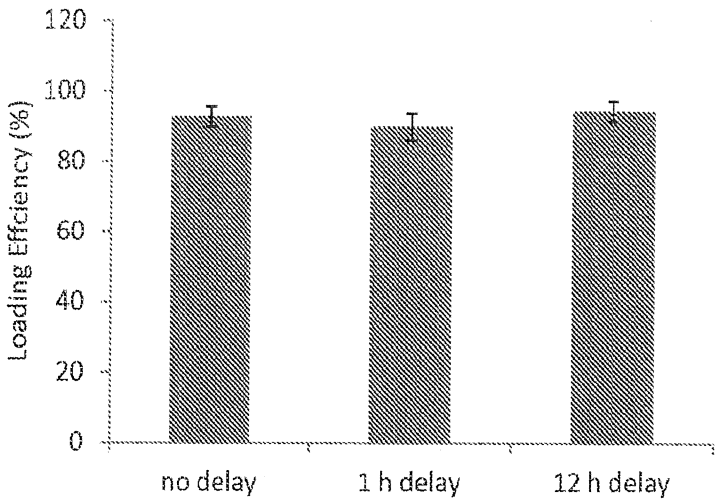

120
100
80
60
40
20
0
Loading Efficiency (%)
no delay
1 h delay
12 h delay

FIG. 10

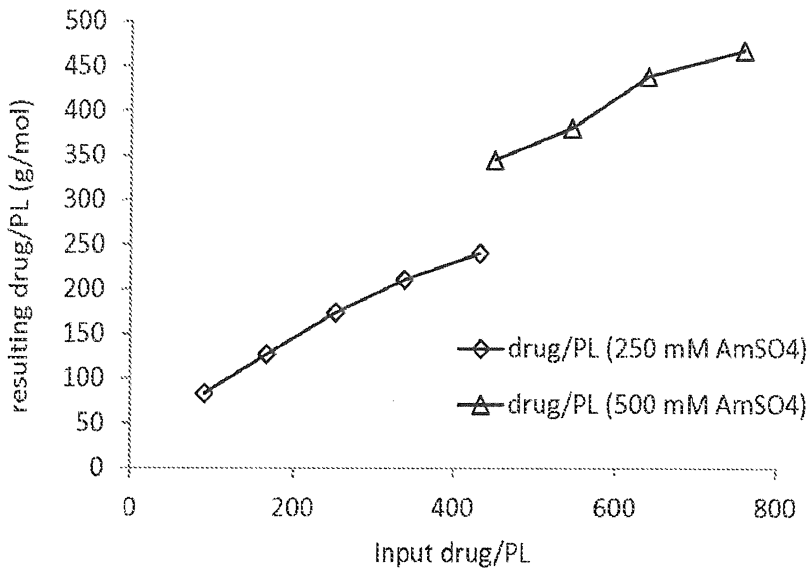

500
450
400
350
300
250
200
150
100
50
0
resulting drug/PL (g/mol)
0
200
400
600
800
Input drug/PL
drug/PL (250 mM AmSO4)
drug/PL (500 mM AmSO4)

FIG. 11

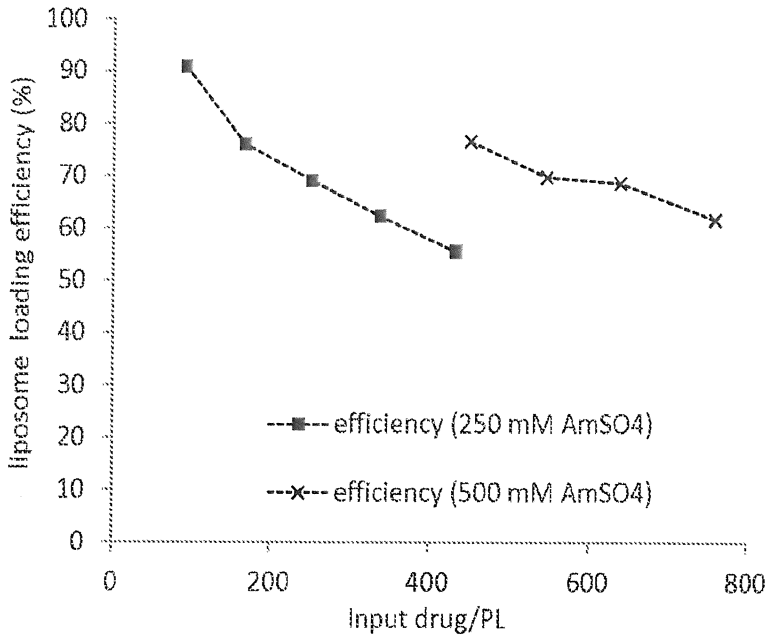

liposome loading efficiency (%)
100
90
80
70
60
50
40
30
20
10
0
0
200
400
600
800
Input drug/PL
efficiency (250 mM AmSO4)
efficiency (500 mM AmSO4)

FIG. 12

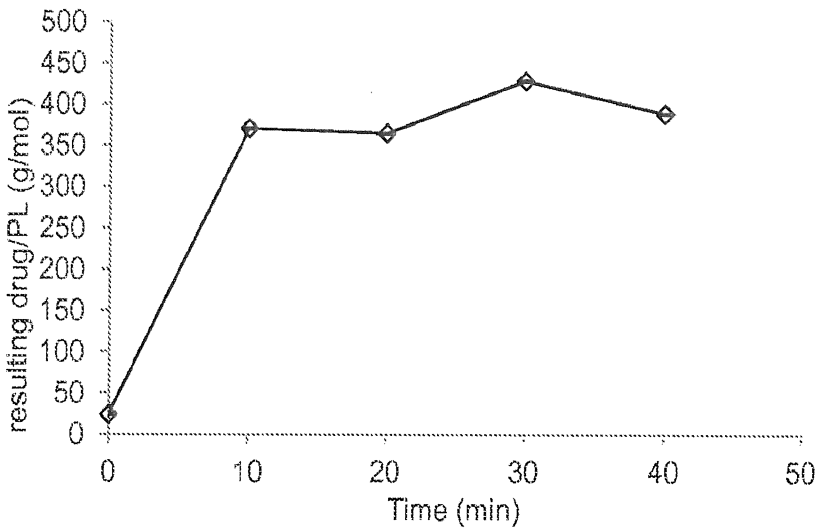

resulting drug/PL (g/mol)
500
450
400
350
300
250
200
150
100
50
0
0
10
20
30
40
50
Time (min)

FIG. 13

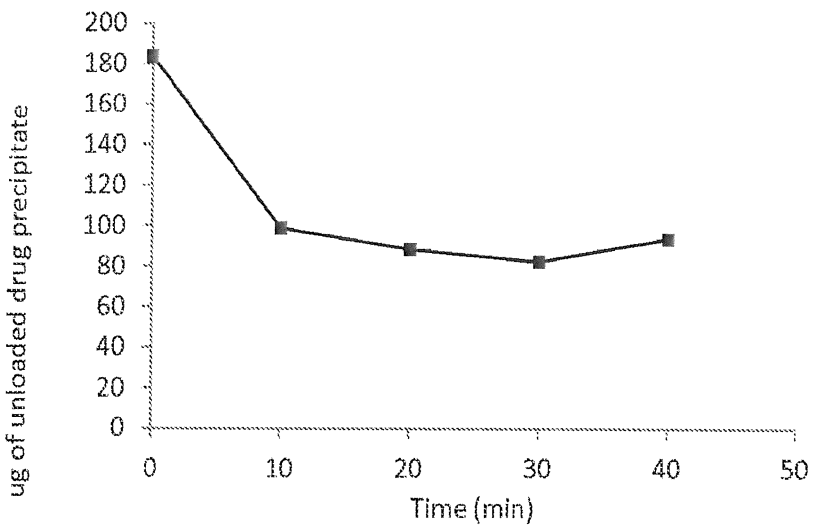

200
180
160
140
120
100
80
60
40
20
0
ug of unloaded drug precipitate
0
10
20
30
40
50
Time (min)

FIG. 14

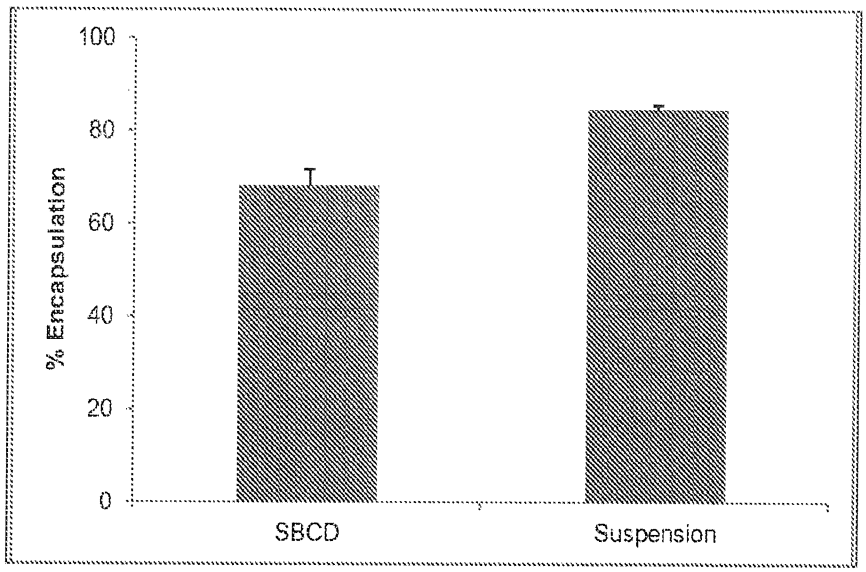


FIG. 15

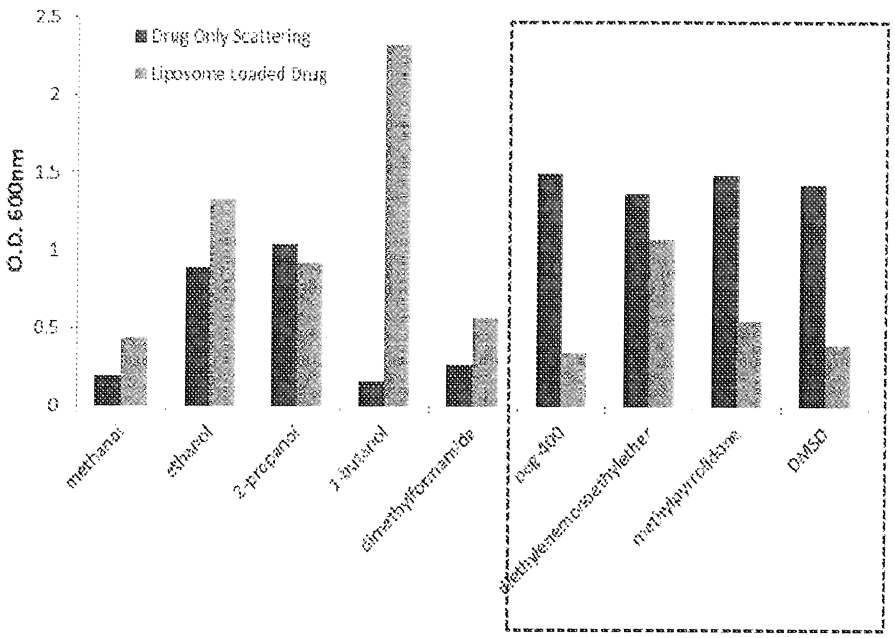

Drug Only Scattering
Liposome Loaded Drug
O.D. 600nm
2.5
2
1.5
1
0.5
0
methanol
ethanol
2-propanol
3-butanol
dimethylformamide
peg-400
diethyleneglycolethylether
methylpyrrolidone
DMSO

FIG. 16

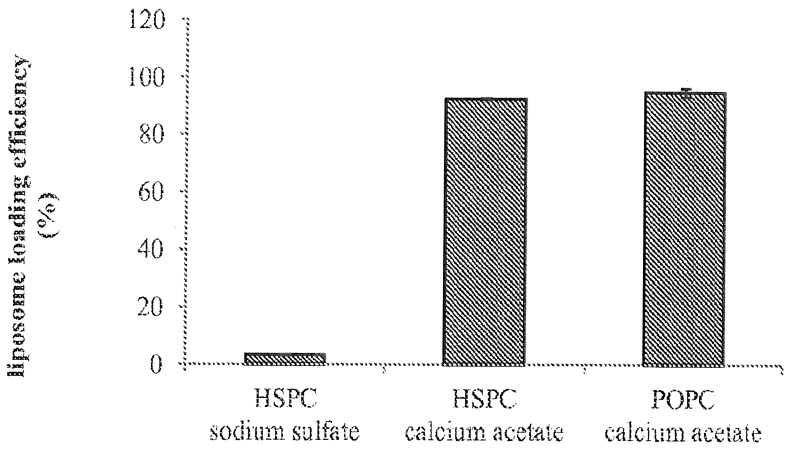

liposome loading efficiency (%)
120
100
80
60
40
20
0
HSPC sodium sulfate
HSPC calcium acetate
POPC calcium acetate

FIG. 17

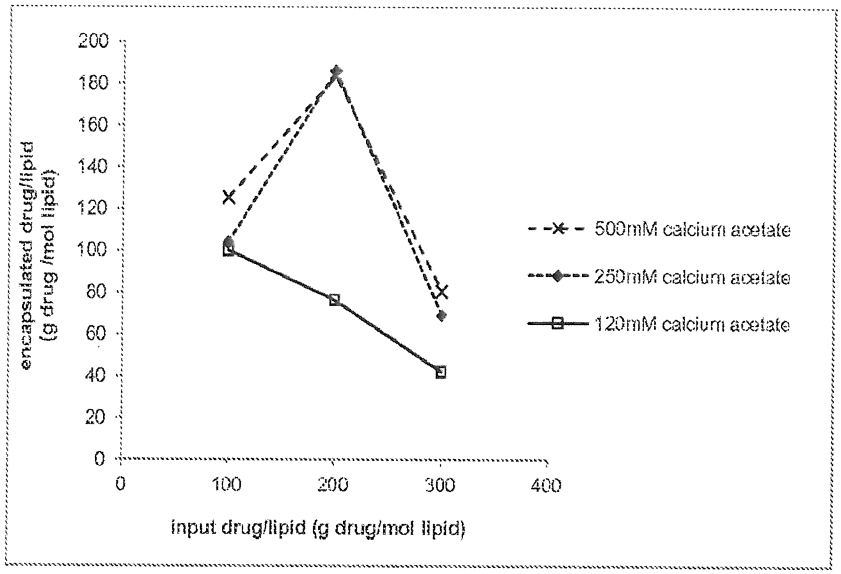
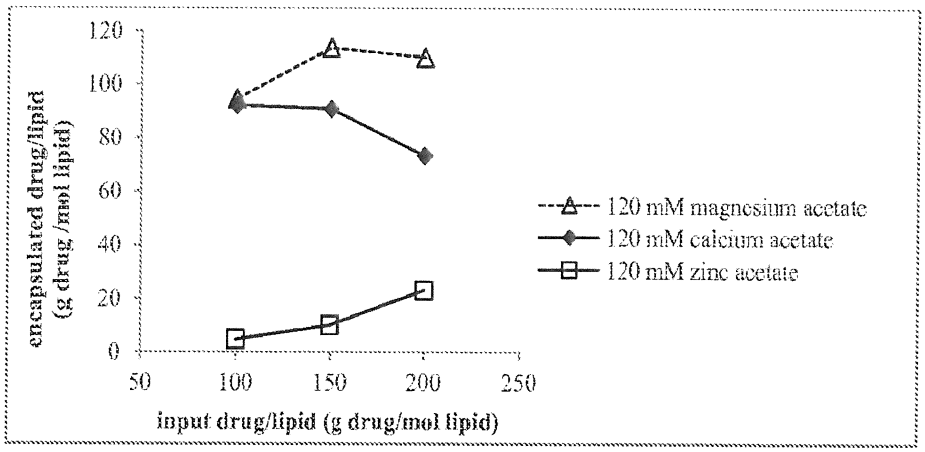

200
180
160
140
120
100
80
60
40
20
0
encapsulated drug/lipid (g drug /mol lipid)
0
100
200
300
400
input drug/lipid (g drug/mol lipid)
500mM calcium acetate
250mM calcium acetate
120mM calcium acetate

FIG. 18


encapsulated drug/lipid
(g drug /mol lipid)
120
100
80
60
40
20
0
50
100
150
200
250
input drug/lipid (g drug/mol lipid)
120 mM magnesium acetate
120 mM calcium acetate
120 mM zinc acetate