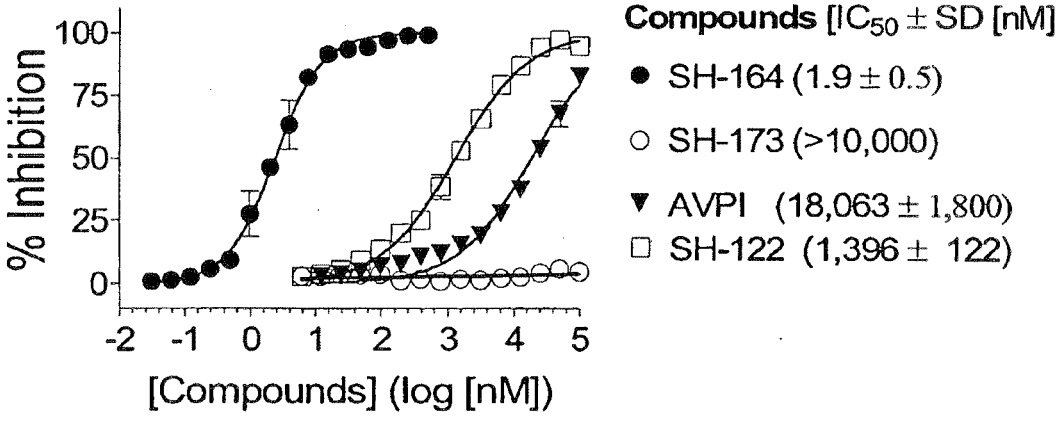

% Inhibition
100
75
50
25
0
-2
-1
0
1
2
3
4
5
[Compounds] (log [nM])
Compounds [IC50 ± SD [nM]
SH-164 (1.9 ± 0.5)
SH-173 (>10,000)
AVPI (18,063 ± 1,800)
SH-122 (1,396 ± 122)

FIG. 1

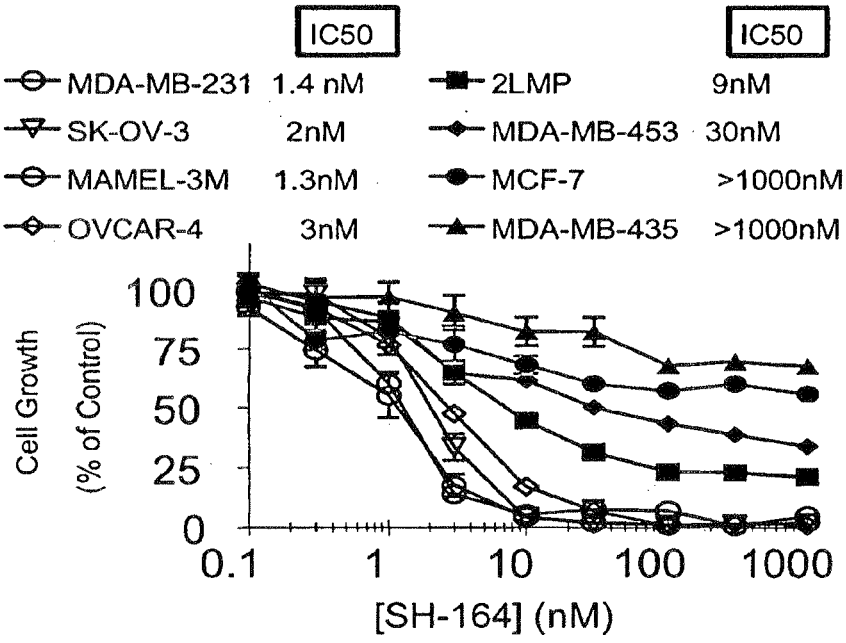

IC50
IC50
MDA-MB-231 1.4 nM
SK-OV-3 2nM
MAMEL-3M 1.3nM
OVCAR-4 3nM
2LMP 9nM
MDA-MB-453 30nM
MCF-7 >1000nM
MDA-MB-435 >1000nM
Cell Growth (% of Control)
100
75
50
25
0
0.1
1
10
100
1000
[SH-164] (nM)

FIG. 2

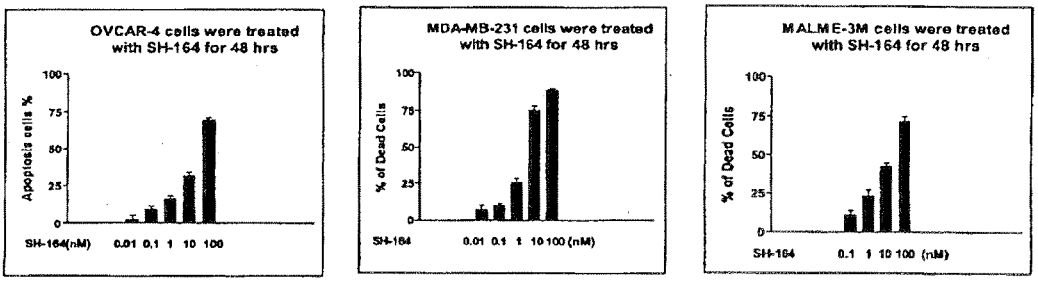
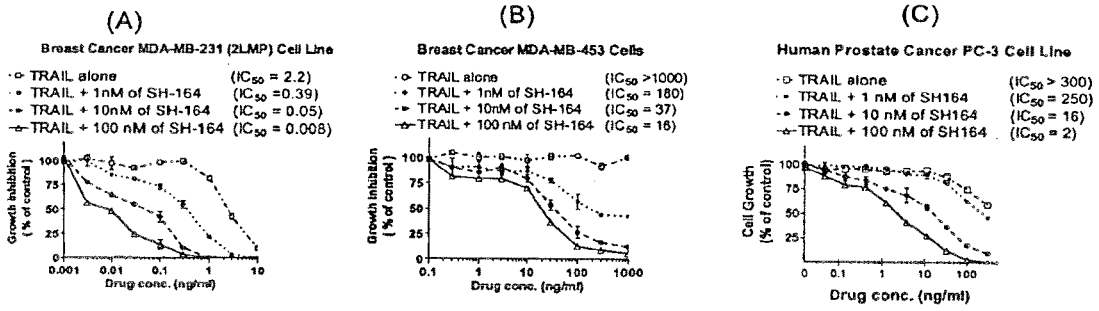

OVCAR-4 cells were treated with SH-164 for 48 hrs
Apoptosis cells %
100
75
50
25
0
SH-164(nM) 0.01 0.1 1 10 100
MDA-MB-231 cells were treated with SH-164 for 48 hrs
% of Dead Cells
100
75
50
25
0
SH-164 0.01 0.1 1 10 100 (nM)
MALME-3M cells were treated with SH-164 for 48 hrs
% of Dead Cells
100
75
50
25
0
SH-164 0.1 1 10 100 (nM)

FIG. 3


(A)
Breast Cancer MDA-MB-231 (2LMP) Cell Line
TRAIL alone (IC_{50} = 2.2)
TRAIL + 1nM of SH-164 (IC_{50} =0.39)
TRAIL + 10nM of SH-164 (IC_{50} = 0.05)
TRAIL + 100 nM of SH-164 (IC_{50} = 0.008)
Growth Inhibition (% of control)
Drug conc. (ng/ml)
(B)
Breast Cancer MDA-MB-453 Cells
TRAIL alone (IC_{50} >1000)
TRAIL + 1nM of SH-164 (IC_{50} = 180)
TRAIL + 10nM of SH-164 (IC_{50} = 37)
TRAIL + 100 nM of SH-164 (IC_{50} = 16)
Growth Inhibition (% of control)
Drug conc. (ng/ml)
(C)
Human Prostate Cancer PC-3 Cell Line
TRAIL alone (IC_{50} > 300)
TRAIL + 1 nM of SH164 (IC_{50} = 250)
TRAIL + 10 nM of SH164 (IC_{50} = 16)
TRAIL + 100 nM of SH164 (IC_{50} = 2)
Cell Growth (% of control)
Drug conc. (ng/ml)

FIGS. 4A-4C

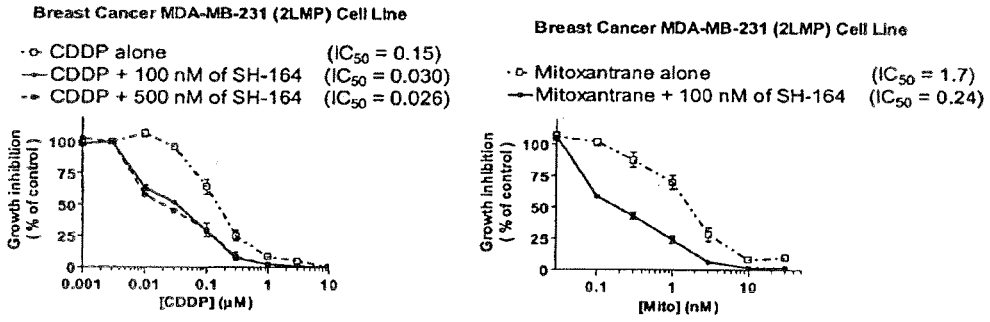

Breast Cancer MDA-MB-231 (2LMP) Cell Line
CDDP alone (IC50 = 0.15)
CDDP + 100 nM of SH-164 (IC50 = 0.030)
CDDP + 500 nM of SH-164 (IC50 = 0.026)
Growth inhibition (% of control)
100
75
50
25
0
0.001
0.01
0.1
1
10
[CDDP] (μM)
Breast Cancer MDA-MB-231 (2LMP) Cell Line
Mitoxantrane alone (IC50 = 1.7)
Mitoxantrane + 100 nM of SH-164 (IC50 = 0.24)
Growth inhibition (% of control)
100
75
50
25
0
0.1
1
10
[Mito] (nM)

FIG. 5

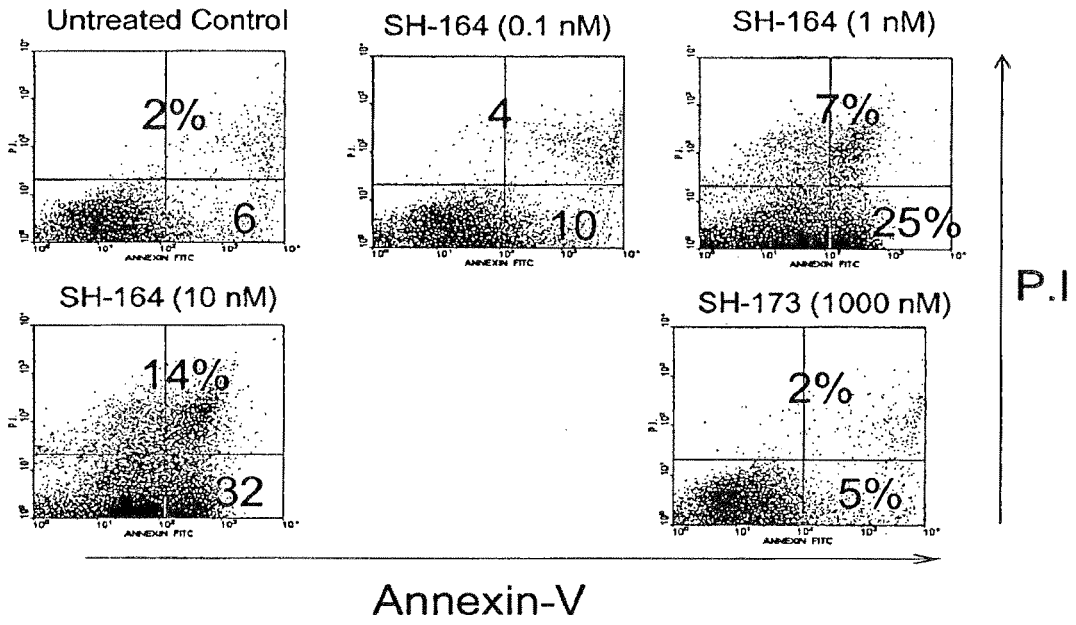

Untreated Control
2%
6
SH-164 (0.1 nM)
4
10
SH-164 (1 nM)
7%
25%
SH-164 (10 nM)
14%
32
SH-173 (1000 nM)
2%
5%
P.I
Annexin-V

FIG. 6