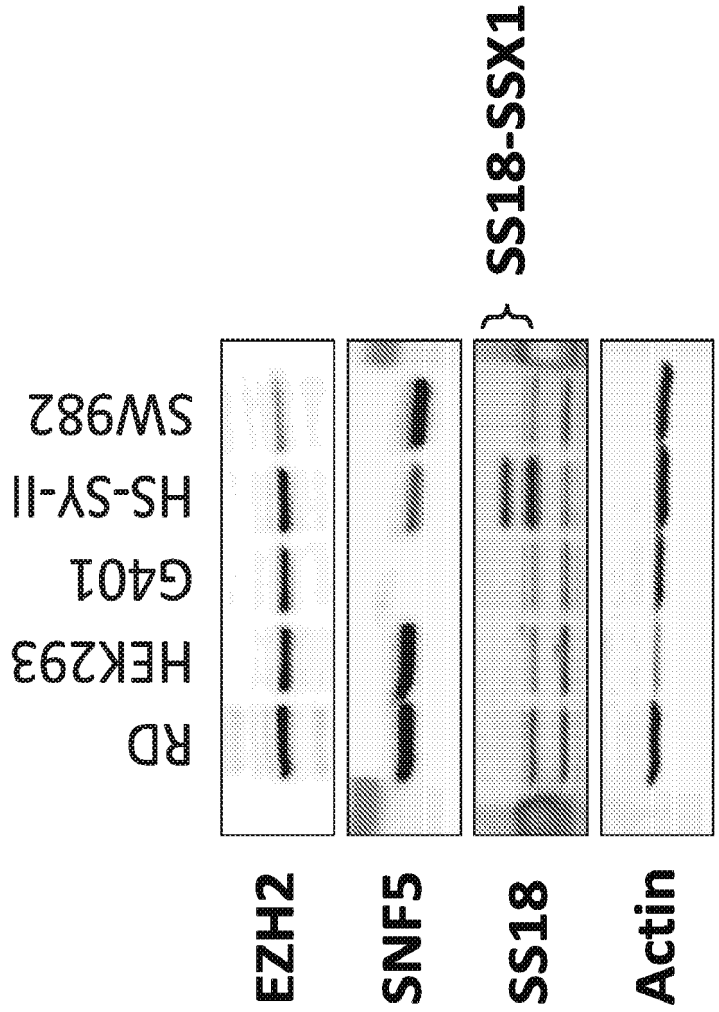


FIG. 1

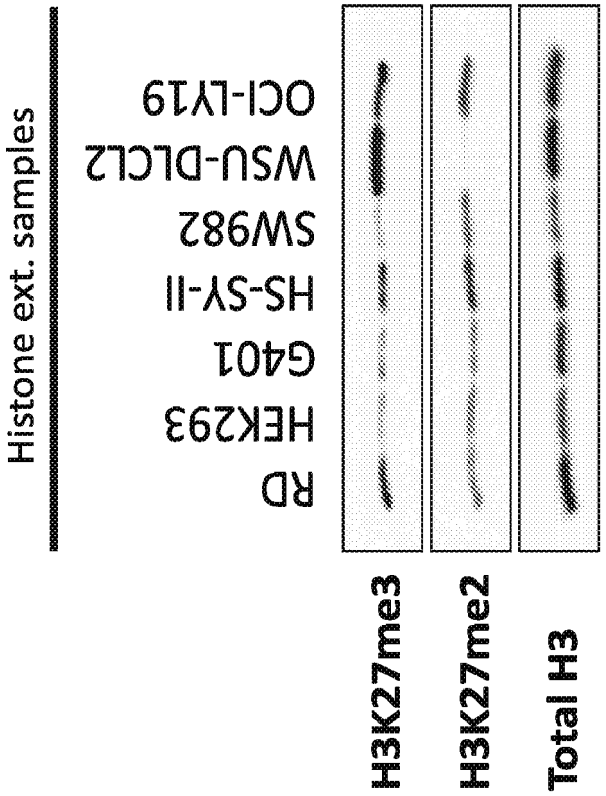

Histone ext. samples
RD
HEK293
G401
HS-SY-II
SW982
WSU-DLCL2
OCI-LY19
H3K27me3
H3K27me2
Total H3

FIG. 2A

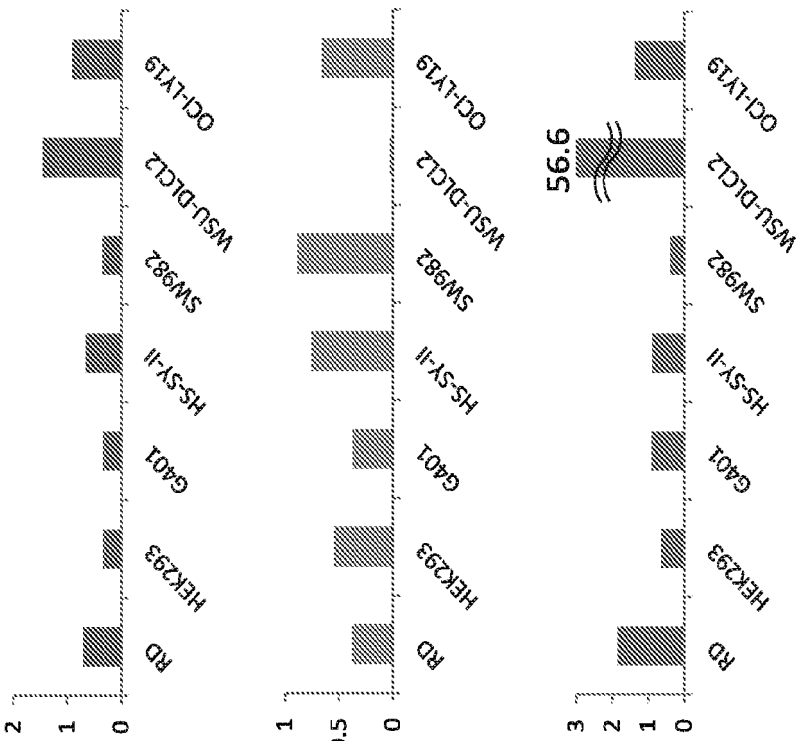


FIG. 2B

FIG. 2C

FIG. 2D

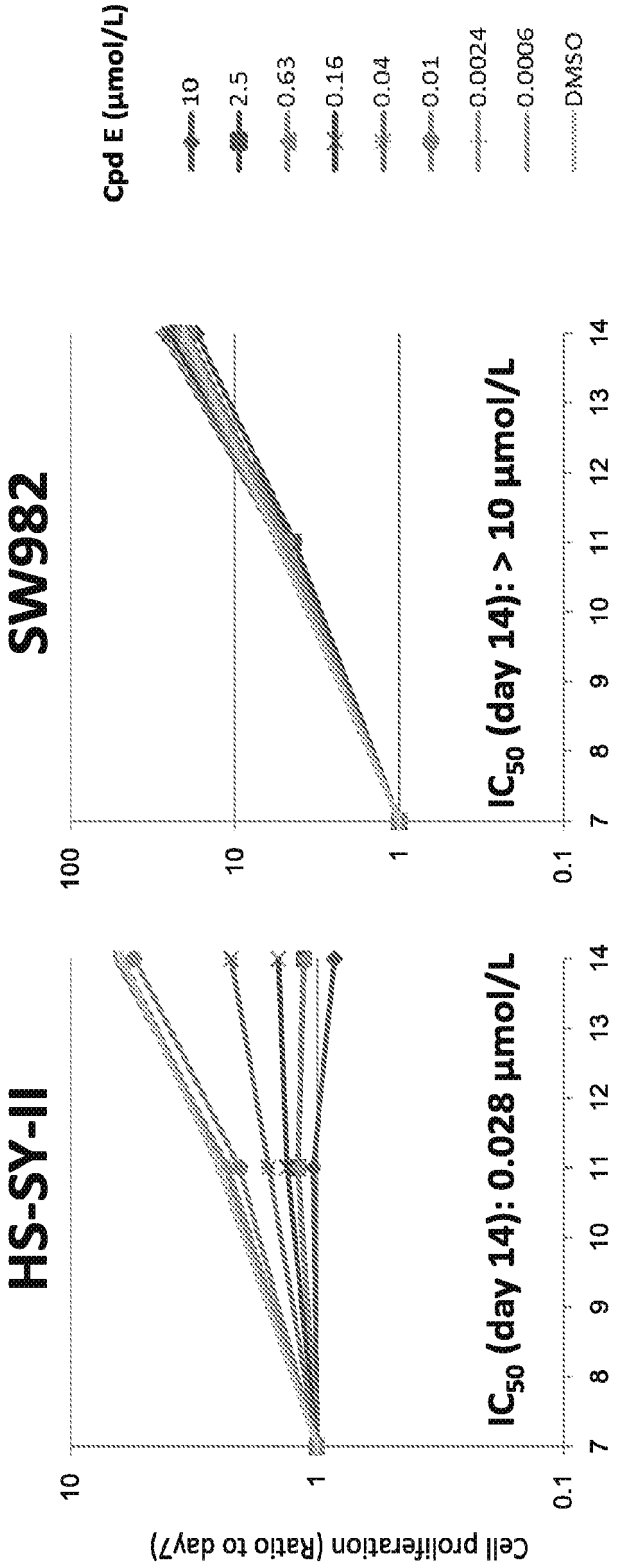

HS-SY-II
SW982
Cell proliferation (Ratio to day7)
Days
IC50 (day 14): 0.028 µmol/L
IC50 (day 14): > 10 µmol/L
Cpd E (µmol/L)
10
2.5
0.63
0.16
0.04
0.01
0.0024
0.0006
DMSO

FIG. 3A

FIG. 3B

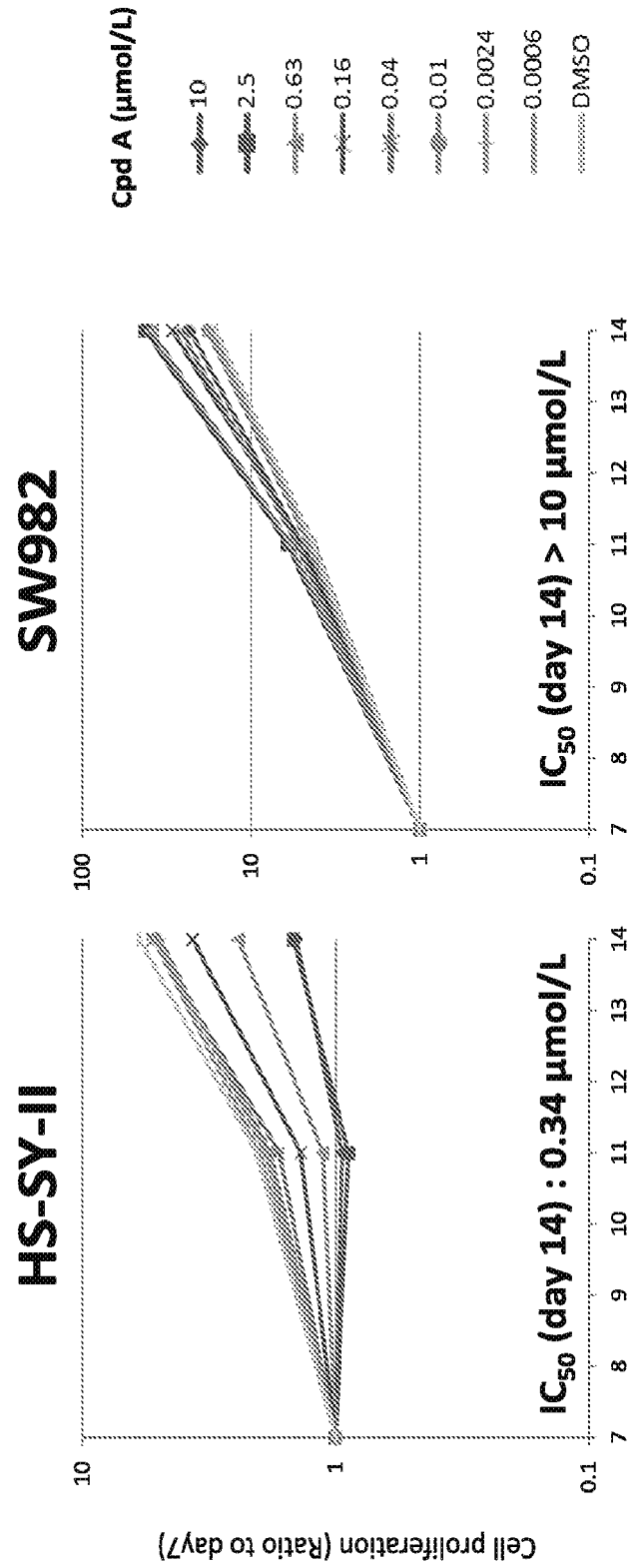

HS-SY-II
SW982
Cell proliferation (Ratio to day7)
Days
IC50 (day 14) : 0.34 µmol/L
IC50 (day 14) > 10 µmol/L
Cpd A (µmol/L)
10
2.5
0.63
0.16
0.04
0.01
0.0024
0.0006
DMSO

FIG. 3C

FIG. 3D

FIG. 4A

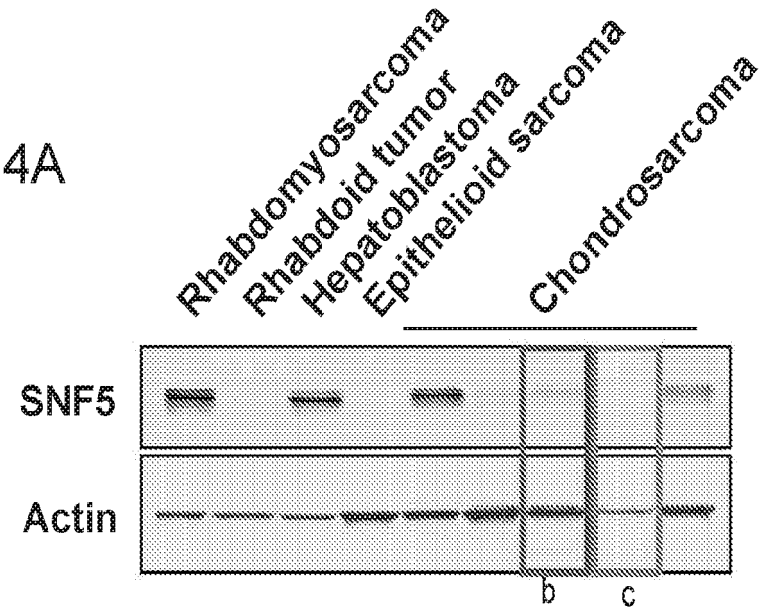


FIG. 4B

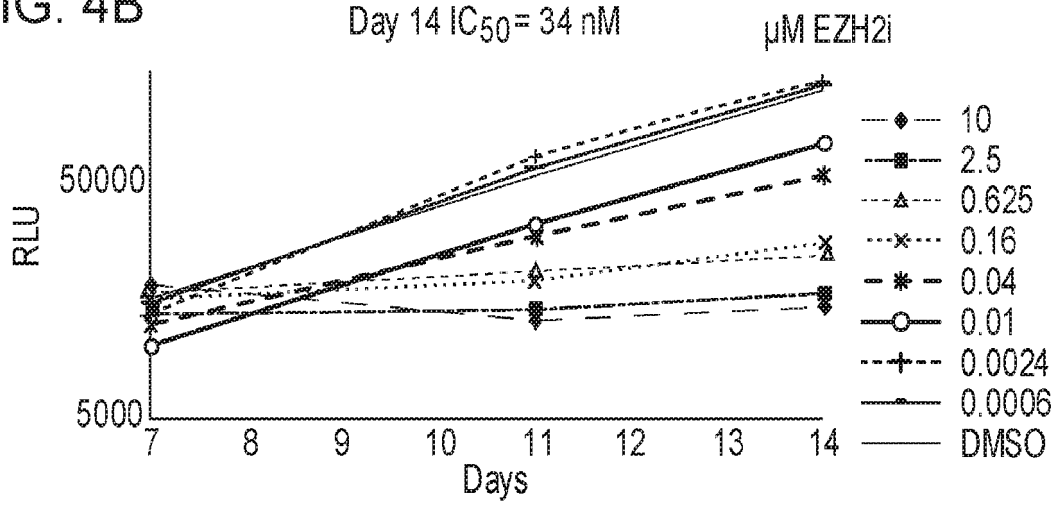


FIG. 4C

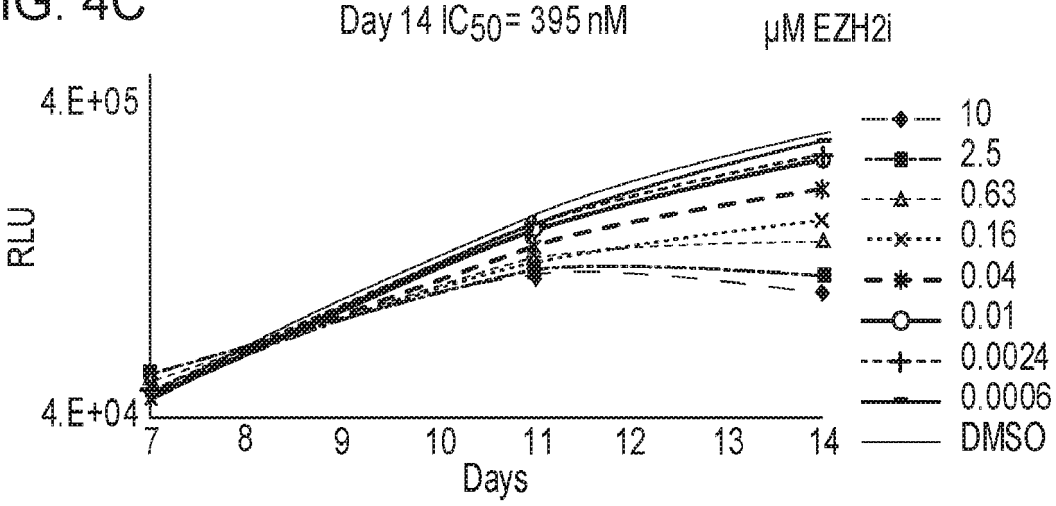


FIG. 4D

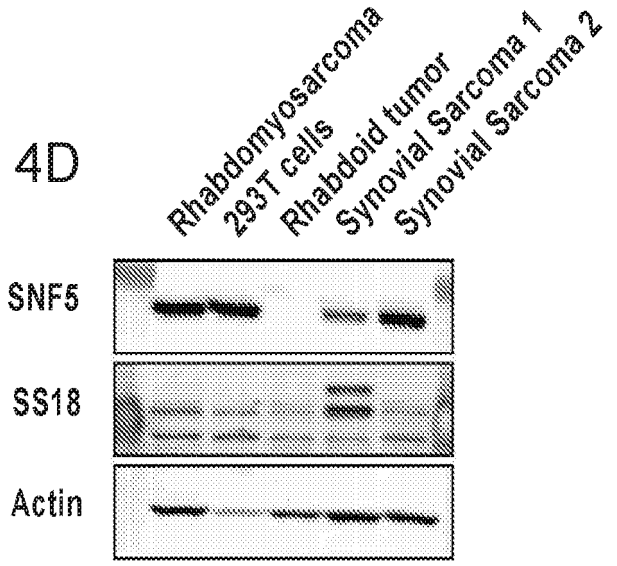


FIG. 4E

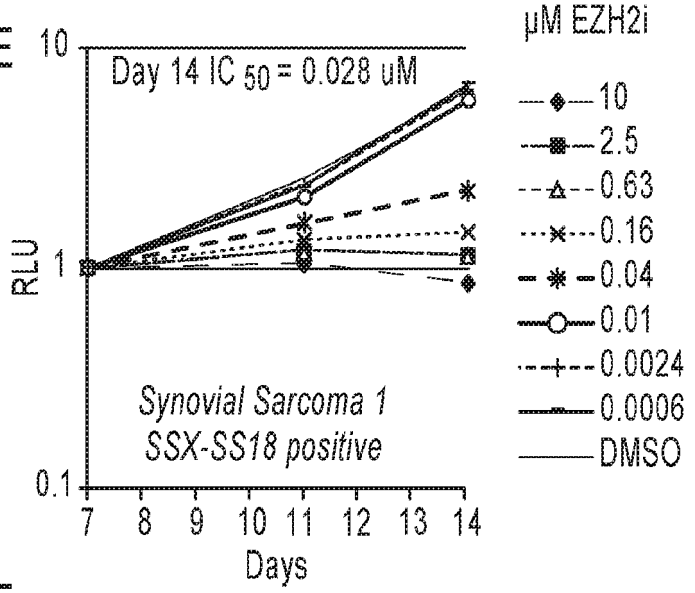
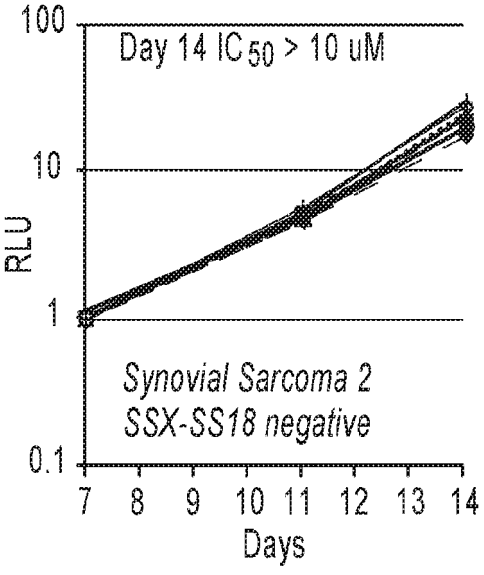


FIG. 4F



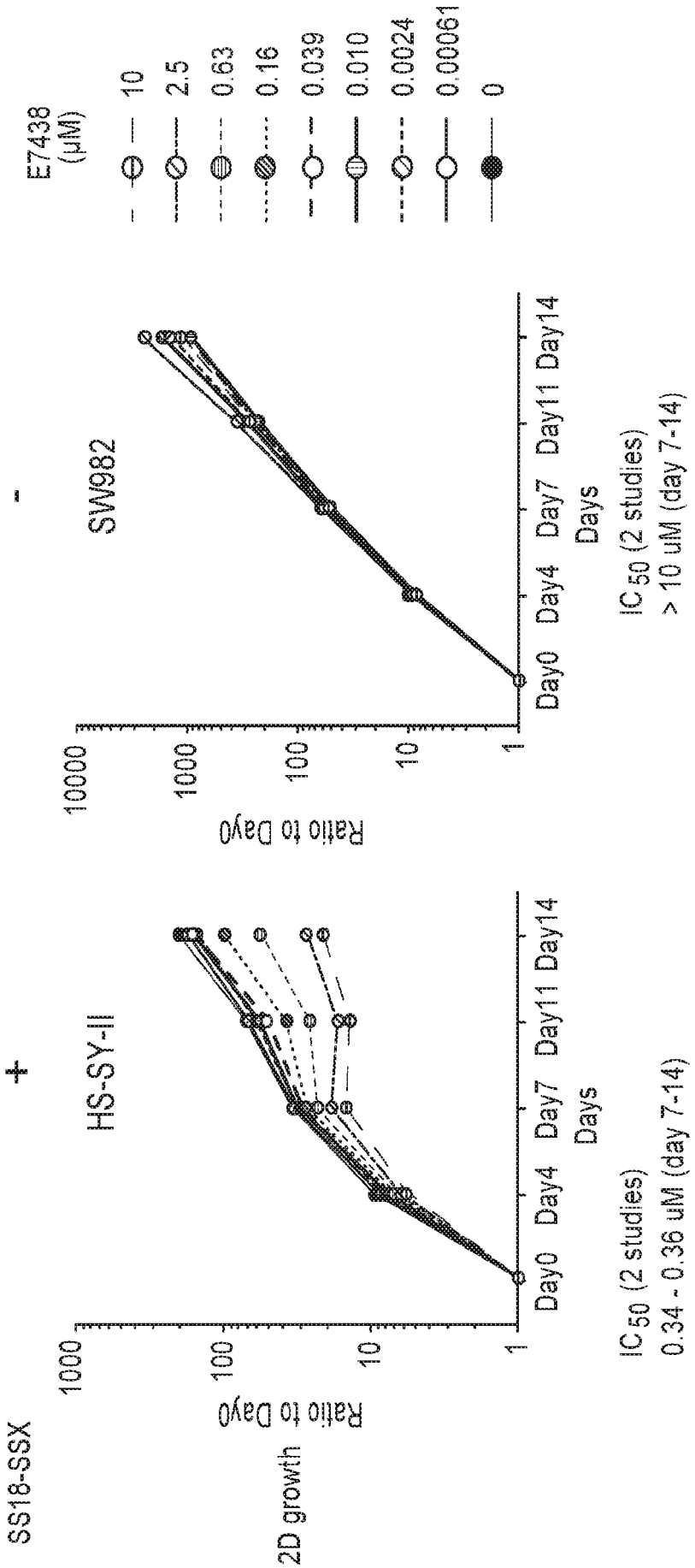

SS18-SSX
+
HS-SY-II
2D growth
Ratio to Day0
10000
1000
100
10
1
Day0 Day4 Day7 Day11 Day14
Days
IC50 (2 studies)
0.34 - 0.36 uM (day 7-14)
-
SW982
Ratio to Day0
10000
1000
100
10
1
Day0 Day4 Day7 Day11 Day14
Days
IC50 (2 studies)
> 10 uM (day 7-14)
E7438 (μM)
10
2.5
0.63
0.16
0.039
0.010
0.0024
0.00061
0

FIG. 5A

FIG. 5B

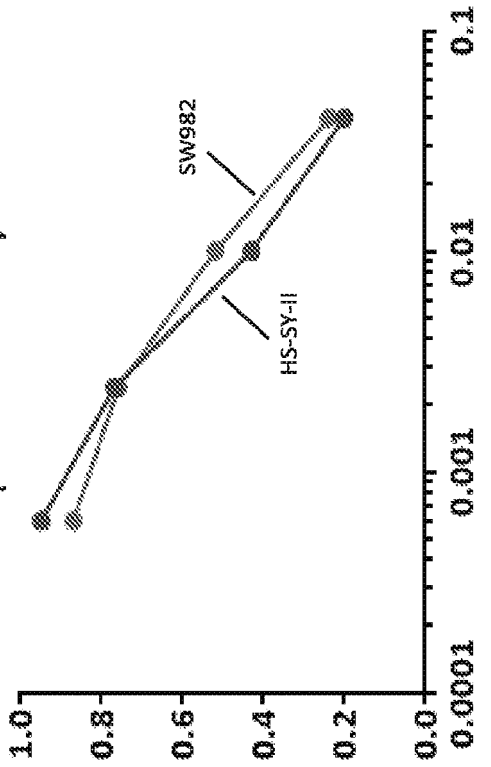


FIG. 6A

Cell line	SS18-SSX Translocation	IC_{50} (nM)
HS-SY-II	+	7.5 - 9.1
SW982	-	11.0 - 13.7

FIG. 6B

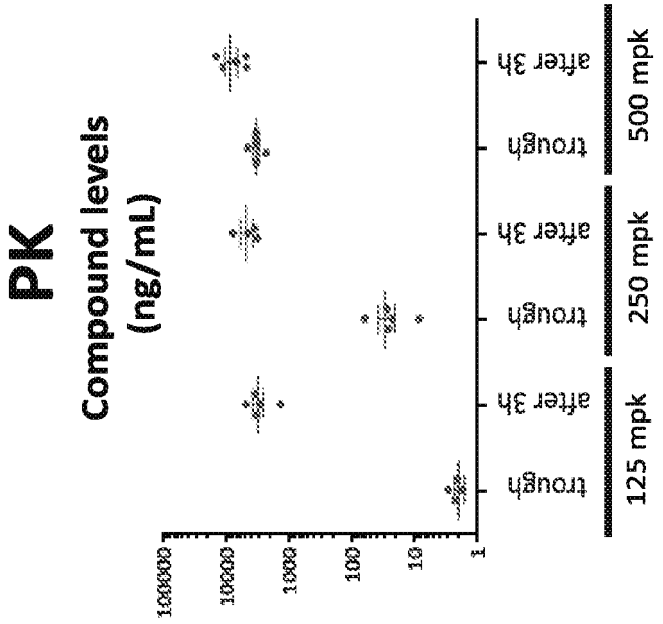

PK
Compound levels
(ng/mL)
1000000
100000
10000
1000
100
10
1
trough
after 3h
trough
after 3h
trough
after 3h
125 mpk
250 mpk
500 mpk

FIG. 7A

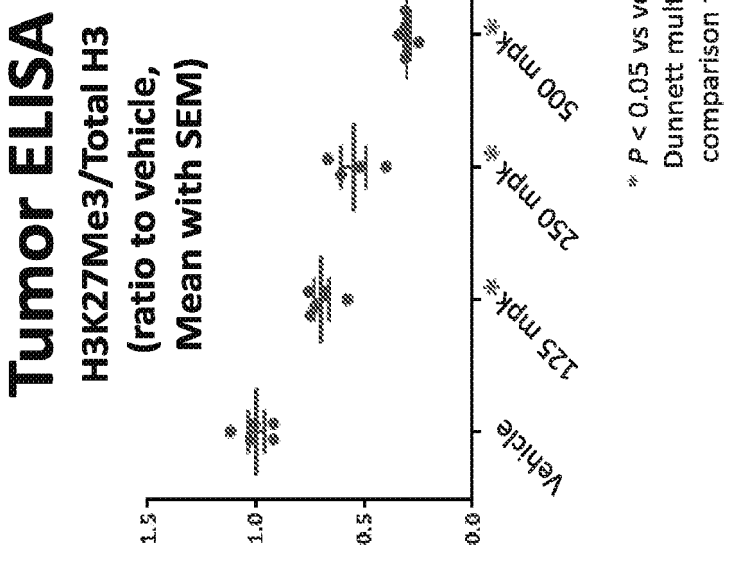

Tumor ELISA
H3K27Me3/Total H3
(ratio to vehicle,
Mean with SEM)
1.5
1.0
0.5
0.0
Vehicle
125 mpk*
250 mpk*
500 mpk*
* P < 0.05 vs vehicle
Dunnett multiple
comparison test

FIG. 7B

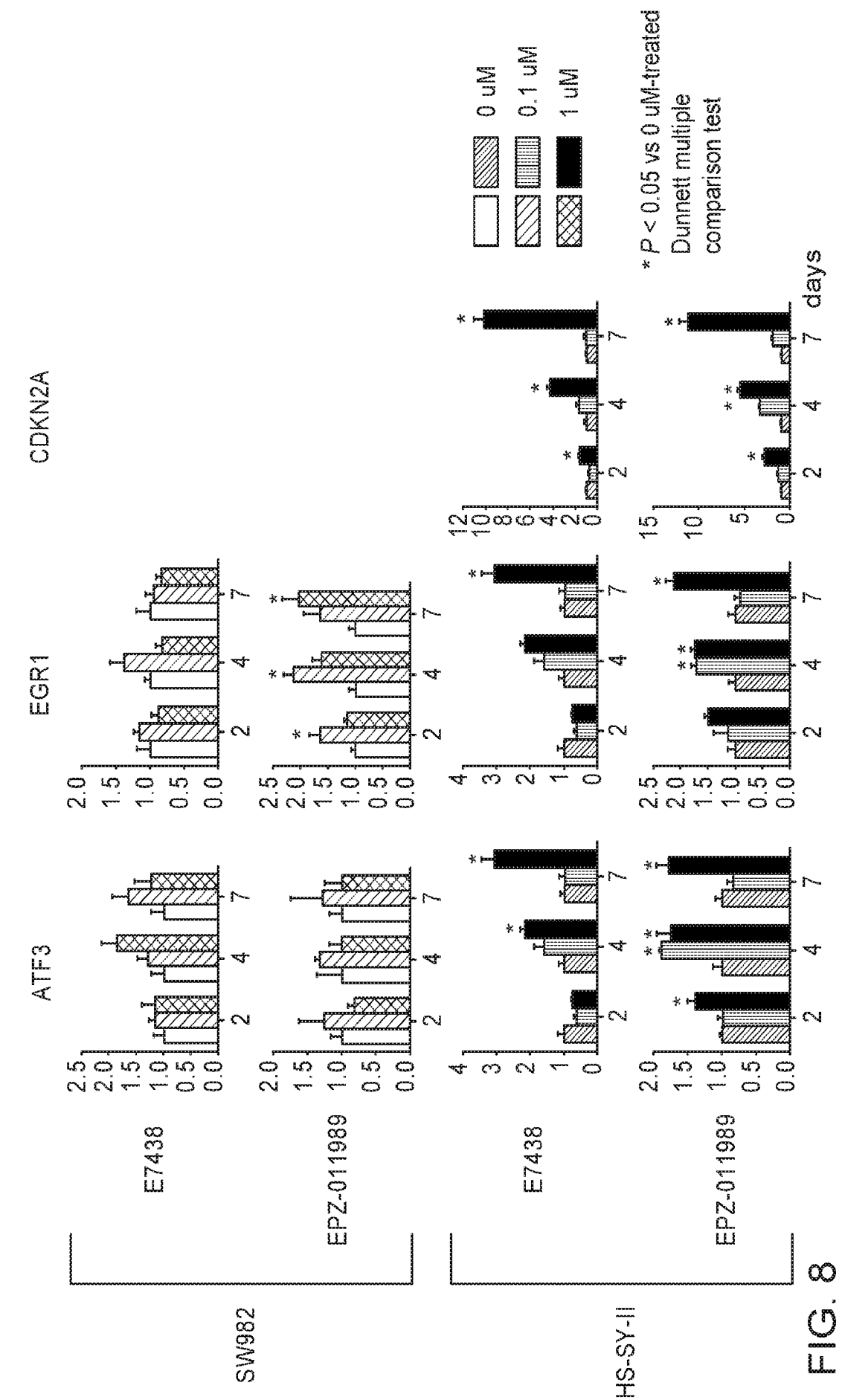

ATF3
EGR1
CDKN2A
SW982
HS-SY-II
E7438
EPZ-011989
0 uM
0.1 uM
1 uM
* P < 0.05 vs 0 uM-treated
Dunnett multiple
comparison test
days

FIG. 8

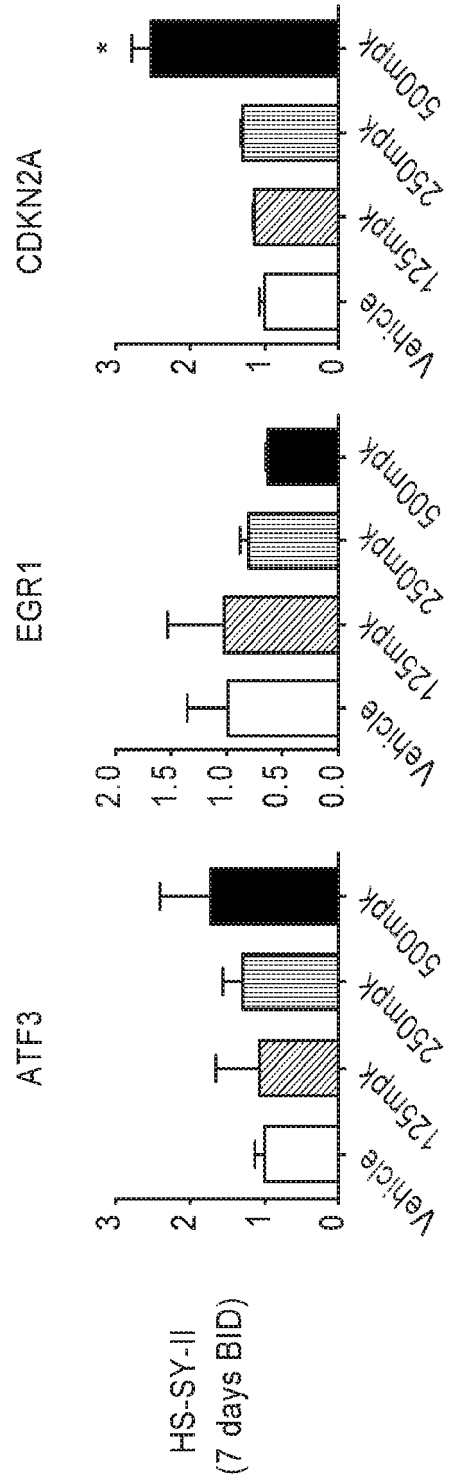

ATF3
EGR1
CDKN2A
HS-SY-II
(7 days BID)
Vehicle
125mpk
250mpk
500mpk
0
1
2
3
0.0
0.5
1.0
1.5
2.0
*
* P < 0.05 vs vehicle
Dunnett multiple
comparison test

FIG. 9

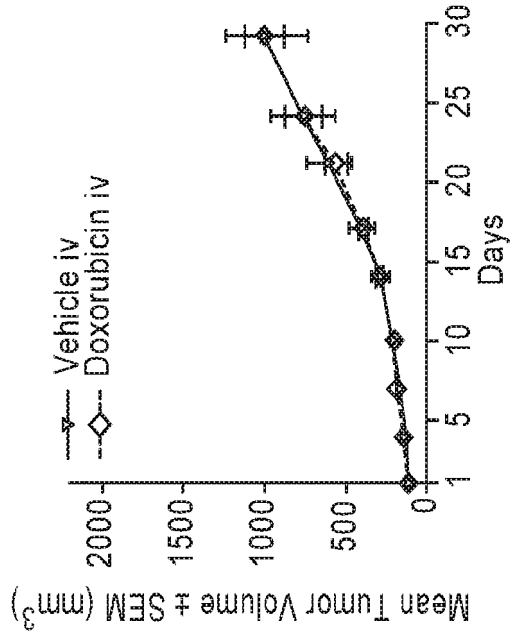


FIG. 10B

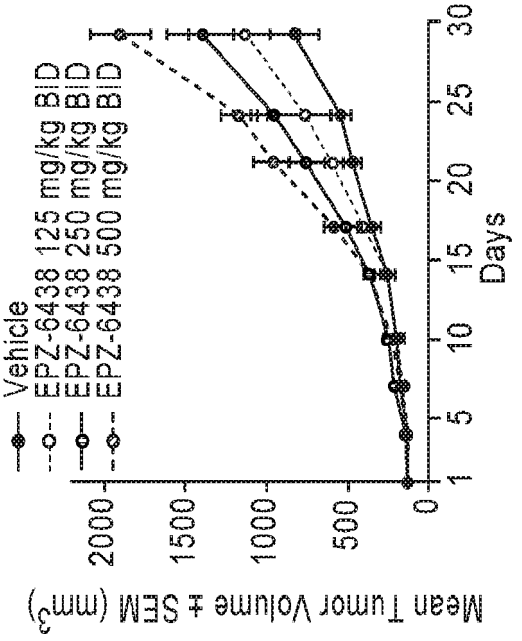


FIG. 10A

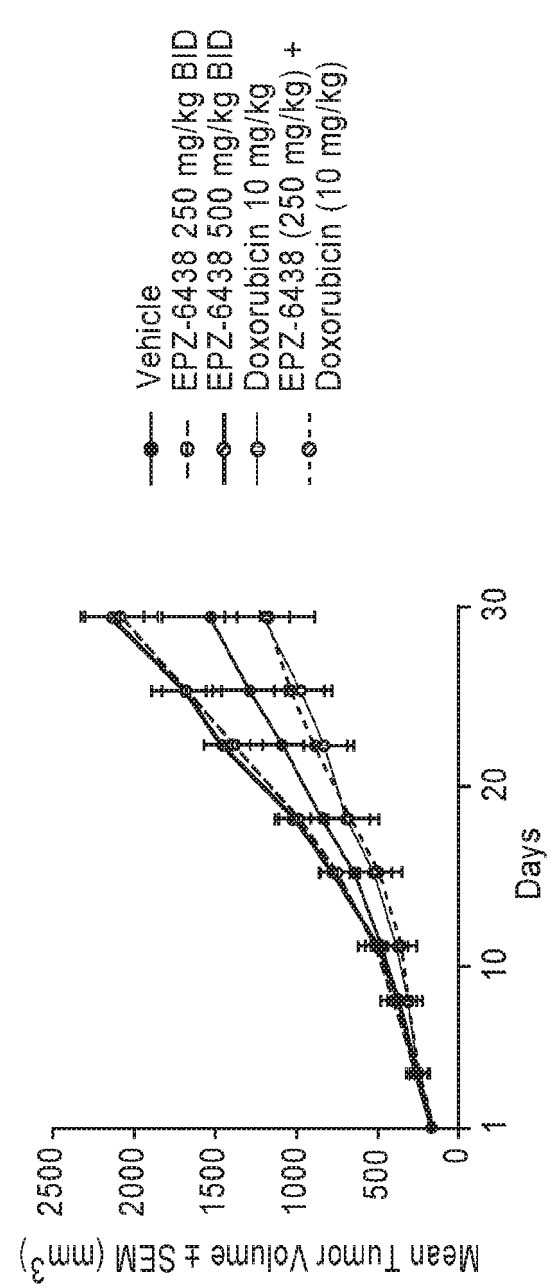


FIG. 10C

FIG. 10D

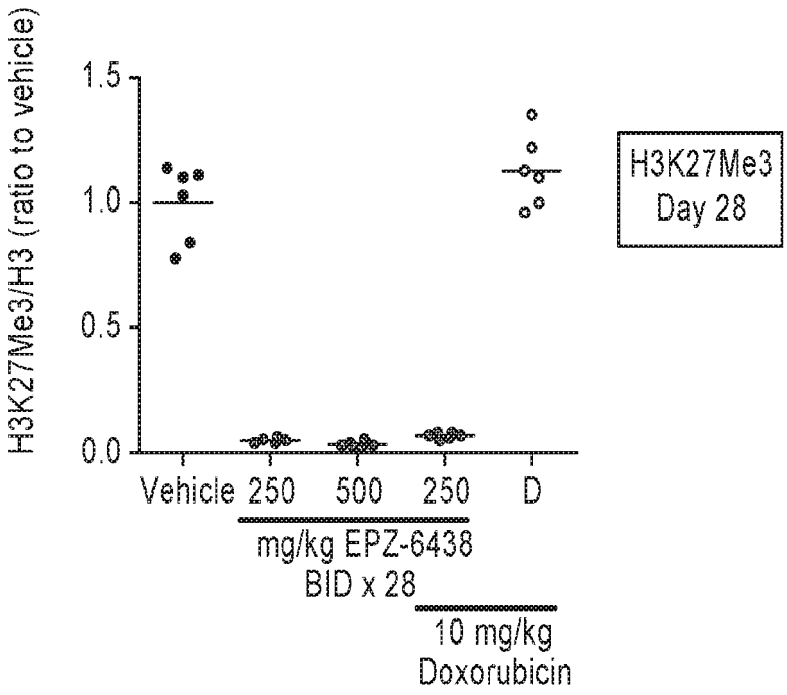


FIG. 10E

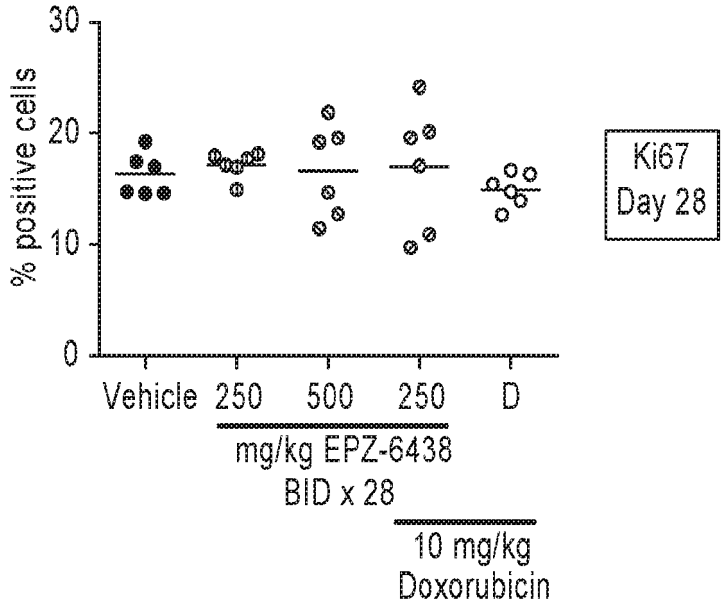


FIG. 11A

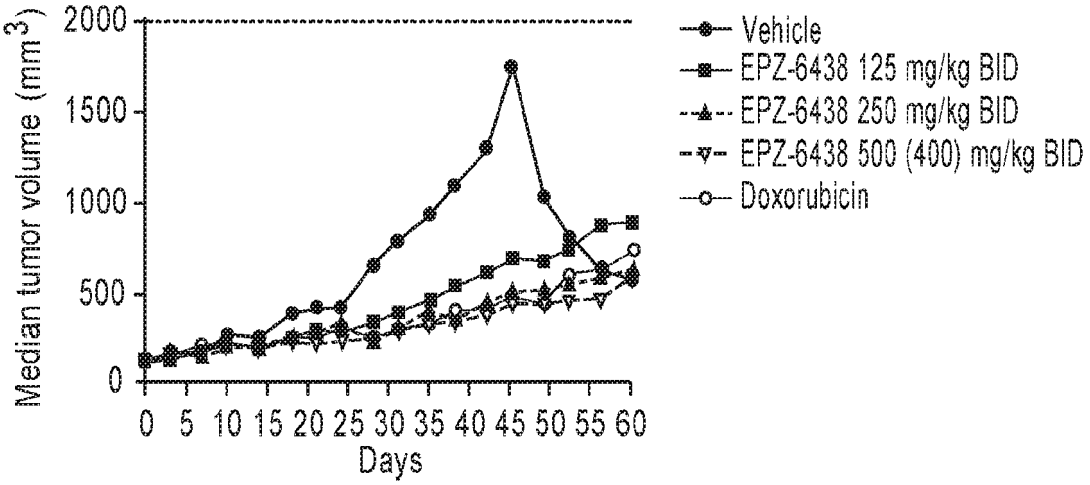


FIG. 11B

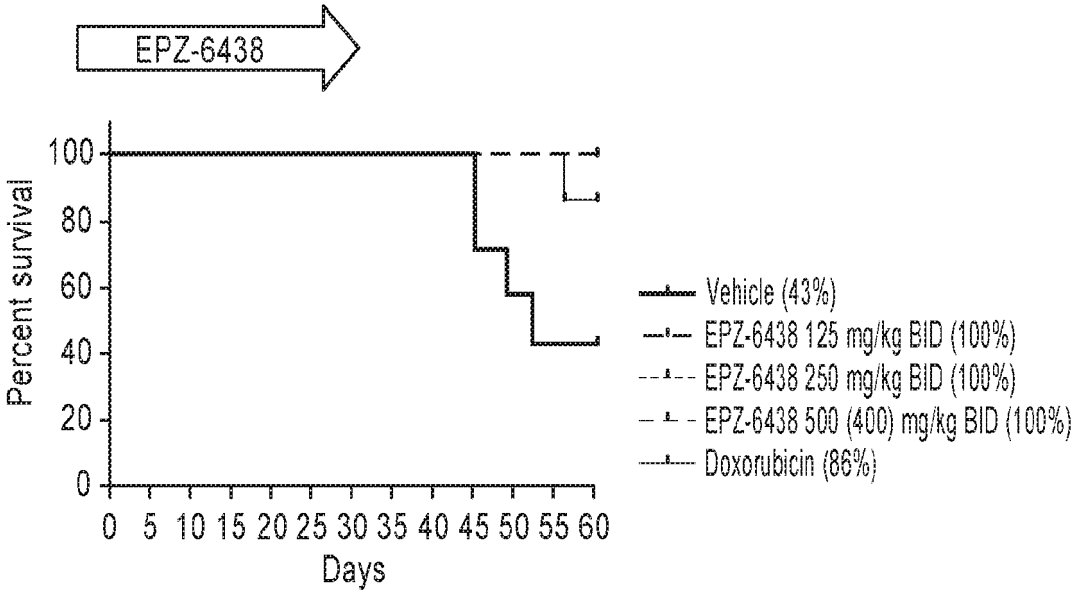


FIG. 11C

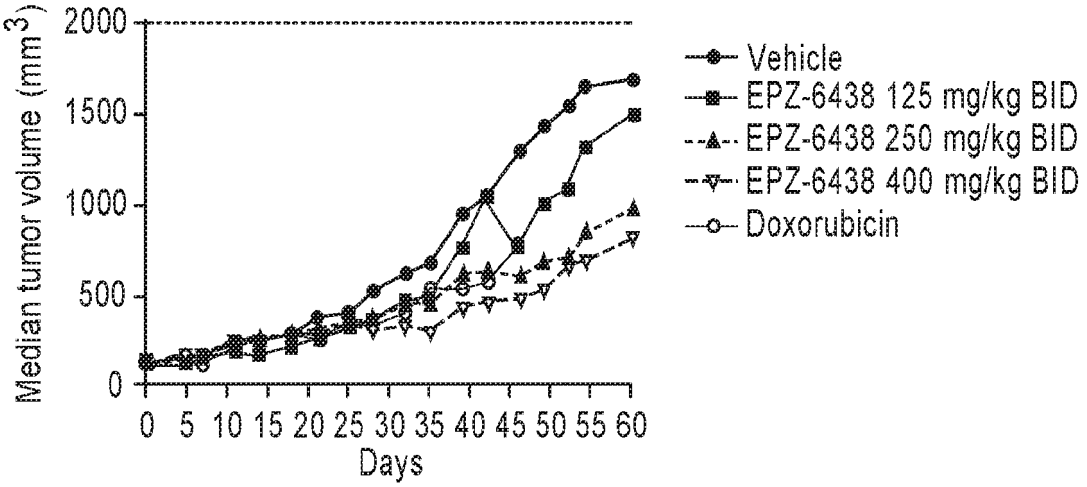
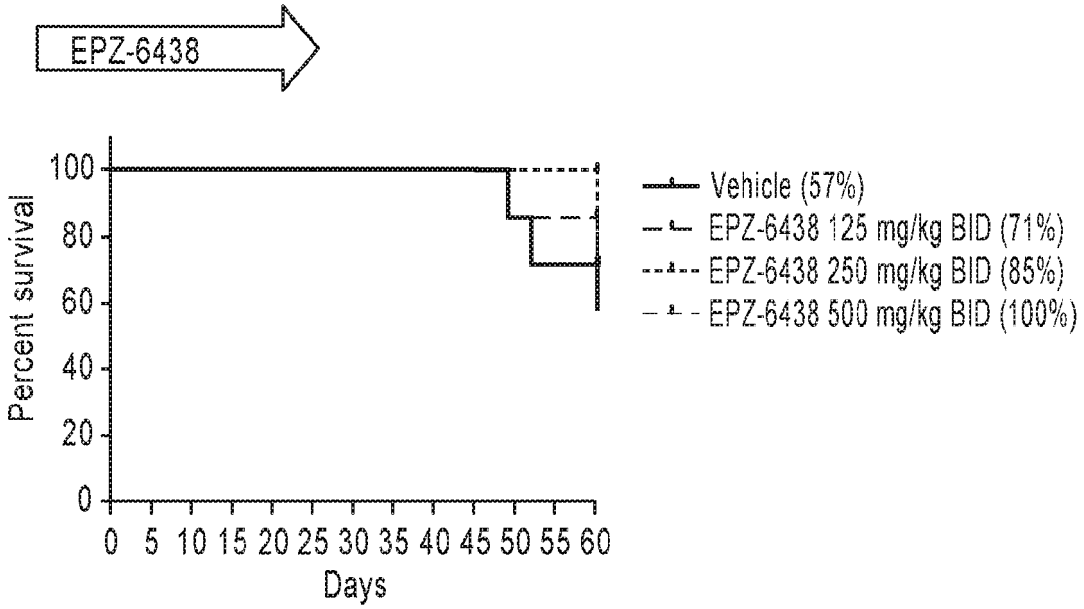


FIG. 11D



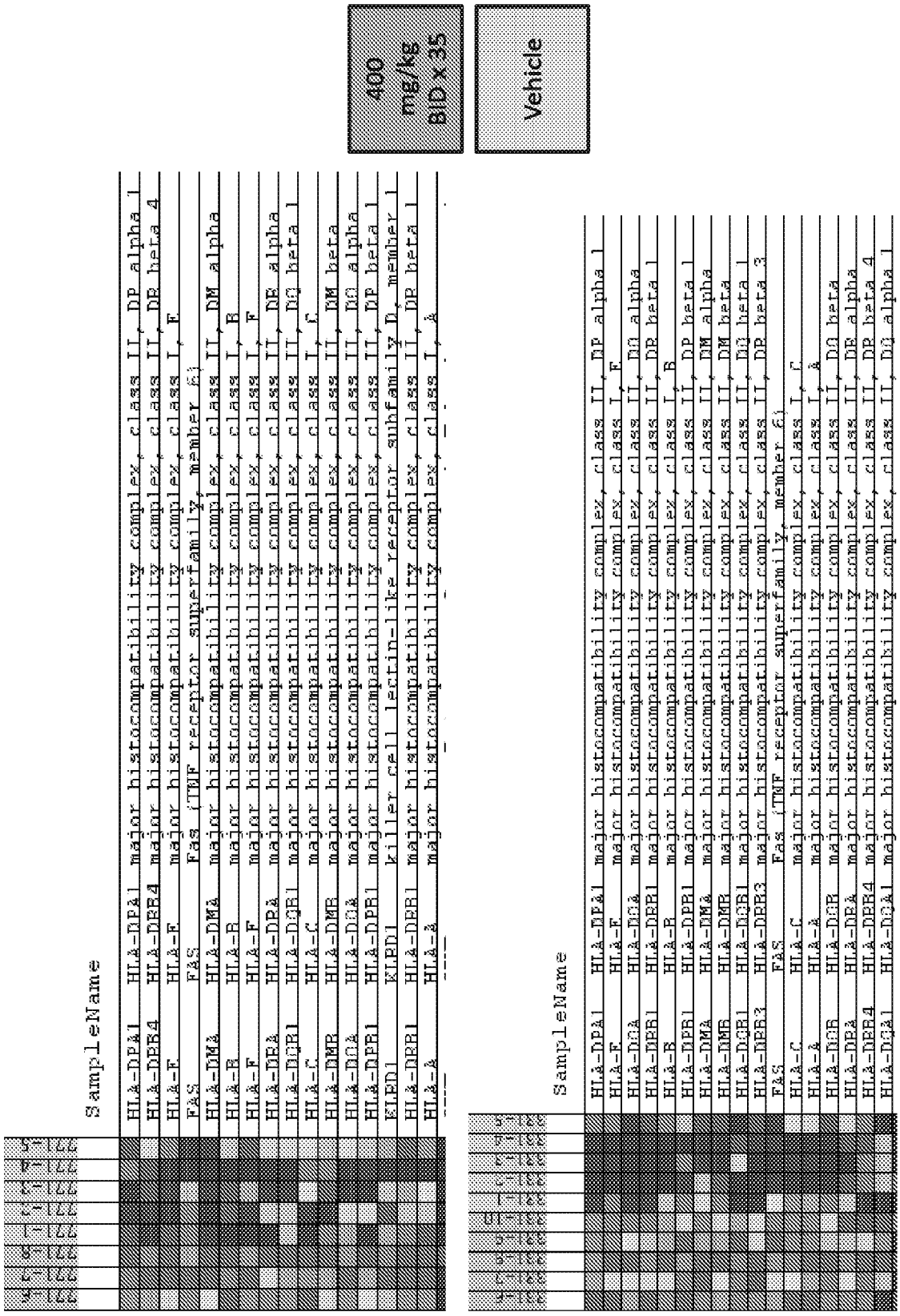


FIG. 12A

FIG. 12B