Figure 1/3

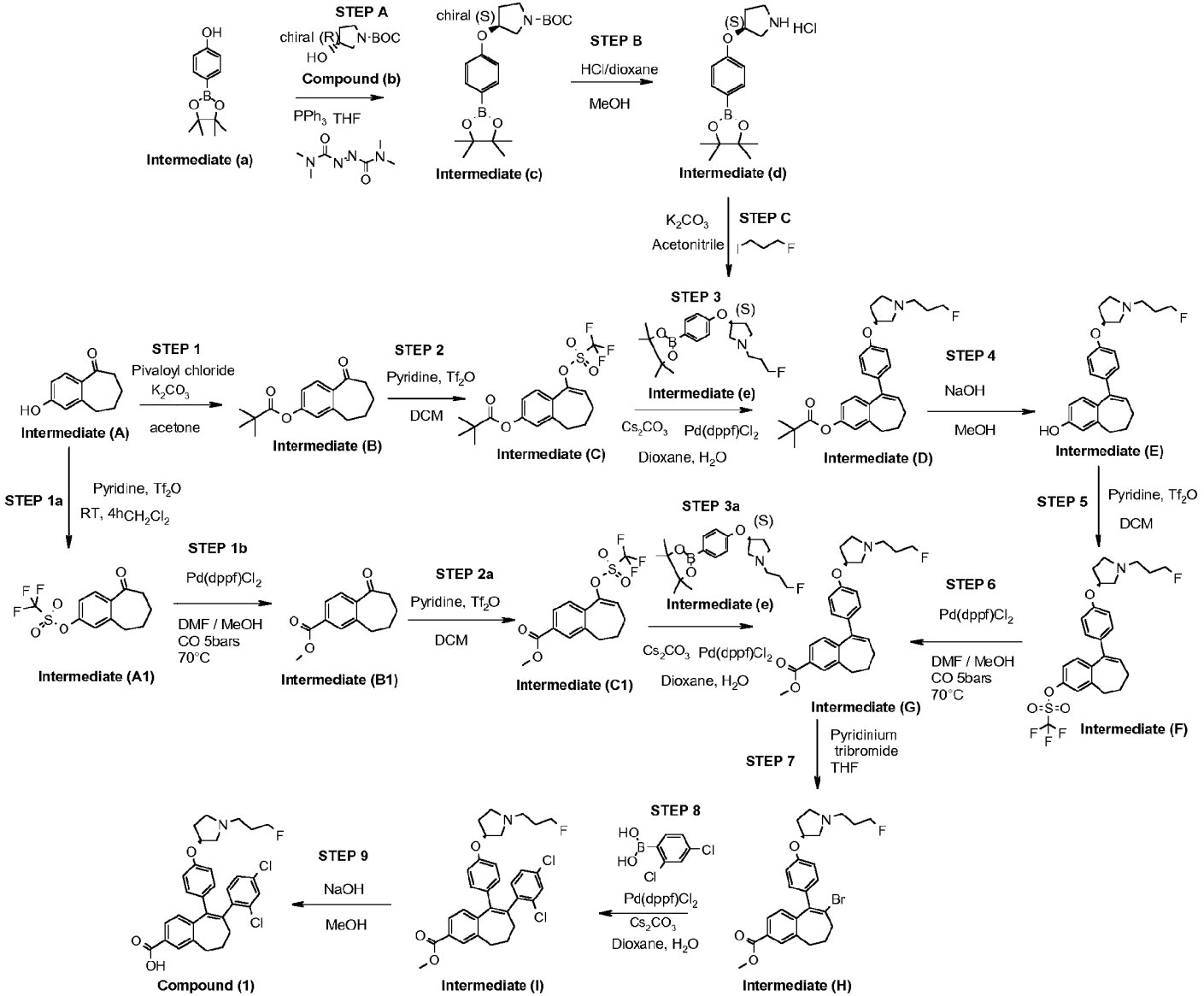

STEP A
chiral (R) N-BOC
HO
Compound (b)
PPh3 THF
Intermediate (a)
chiral (S) N-BOC
Intermediate (c)
STEP B
HCl/dioxane
MeOH
(S) NH HCl
Intermediate (d)
K2CO3
Acetonitrile
STEP C
STEP 3
(S)
Intermediate (e)
Cs2CO3 Pd(dppf)Cl2
Dioxane, H2O
Intermediate (D)
STEP 4
NaOH
MeOH
Intermediate (E)
STEP 1
Pivaloyl chloride
K2CO3
acetone
Intermediate (A)
Intermediate (B)
STEP 2
Pyridine, Tf2O
DCM
Intermediate (C)
STEP 1a
Pyridine, Tf2O
RT, 4hCH2Cl2
Intermediate (A1)
STEP 1b
Pd(dppf)Cl2
DMF / MeOH
CO 5bars
70°C
Intermediate (B1)
STEP 2a
Pyridine, Tf2O
DCM
Intermediate (C1)
STEP 3a
(S)
Intermediate (e)
Cs2CO3 Pd(dppf)Cl2
Dioxane, H2O
Intermediate (G)
STEP 5
Pyridine, Tf2O
DCM
Intermediate (F)
STEP 6
Pd(dppf)Cl2
DMF / MeOH
CO 5bars
70°C
STEP 7
Pyridinium
tribromide
THF
Intermediate (H)
STEP 8
Pd(dppf)Cl2
Cs2CO3
Dioxane, H2O
Intermediate (I)
STEP 9
NaOH
MeOH
Compound (1)

Figure 2/3

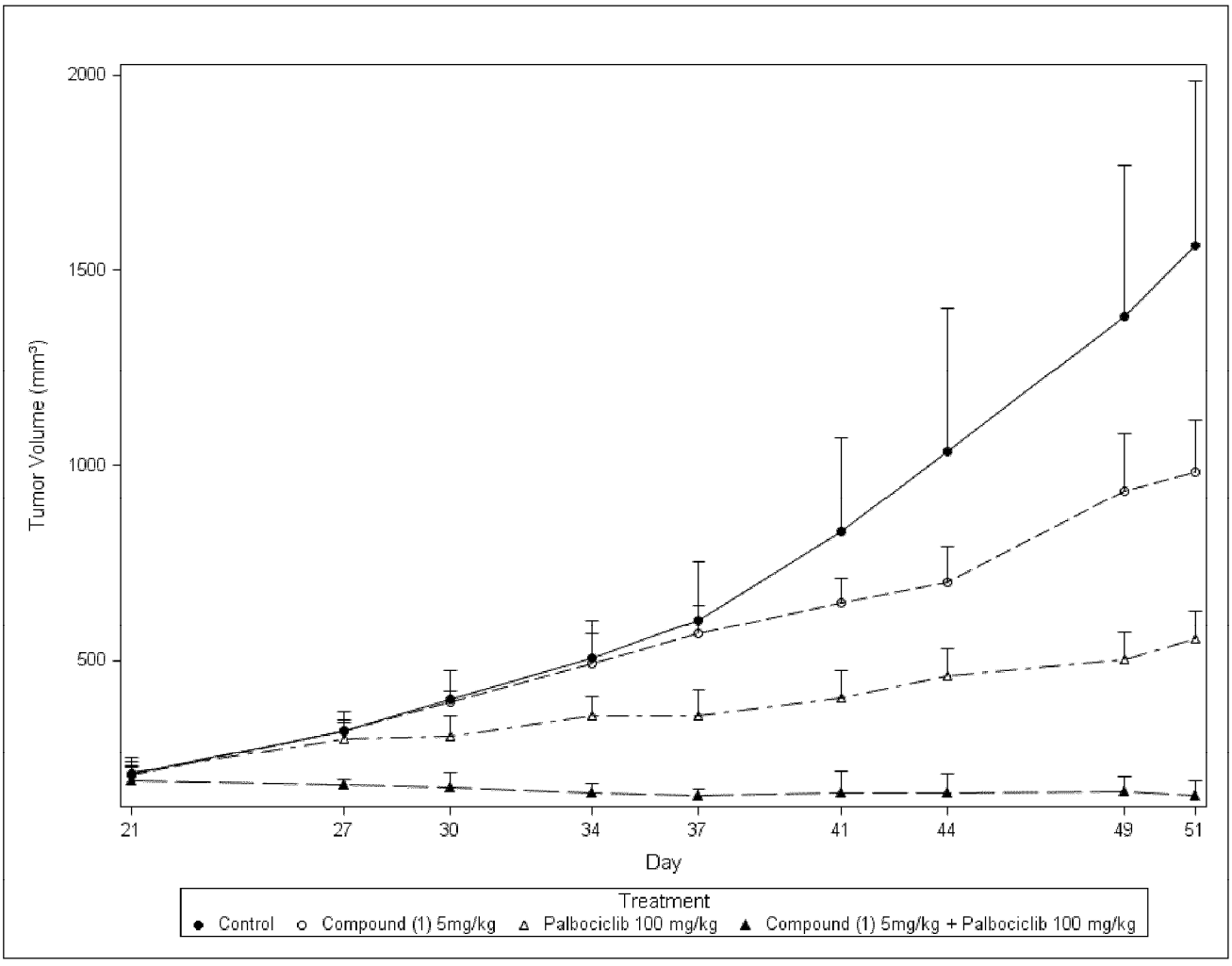
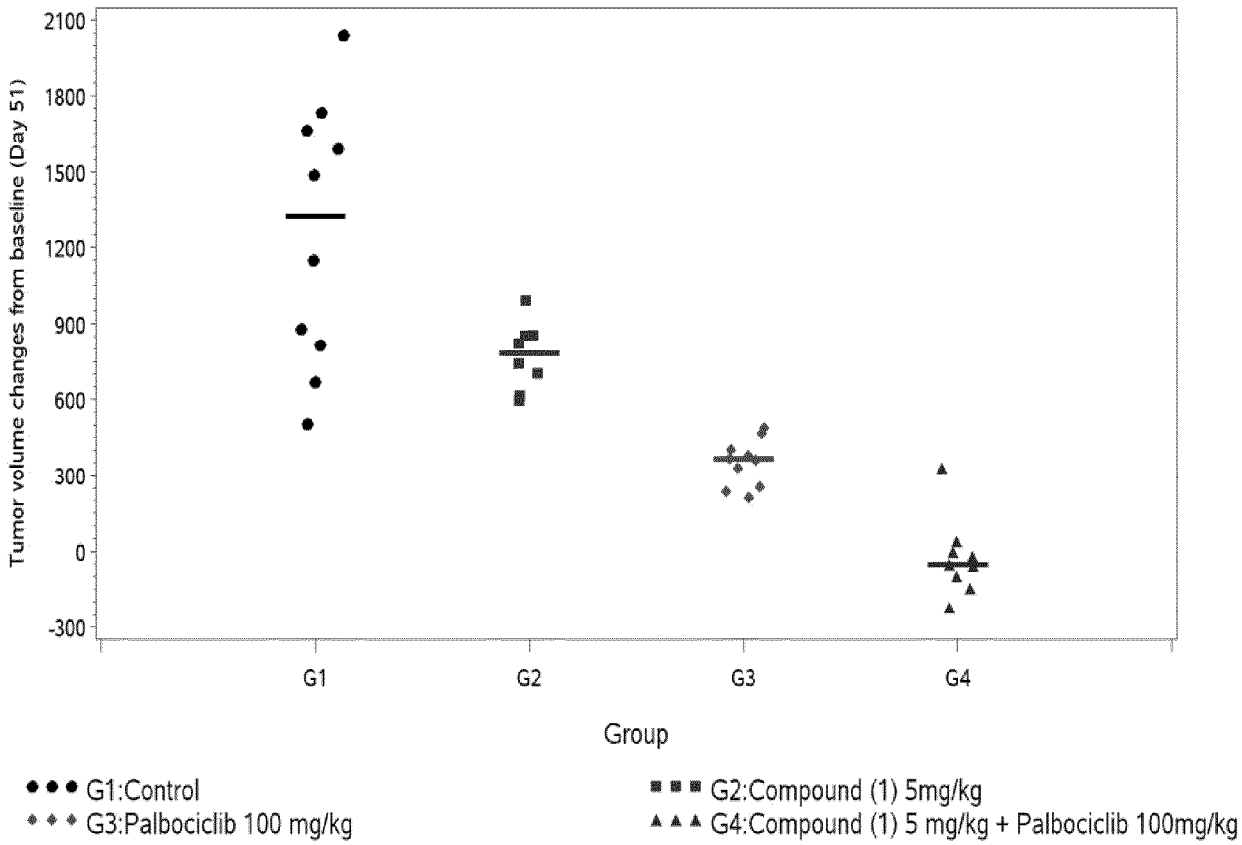

2000
1500
1000
500
Tumor Volume (mm³)
21
27
30
34
37
41
44
49
51
Day
Treatment
Control
Compound (1) 5mg/kg
Palbociclib 100 mg/kg
Compound (1) 5mg/kg + Palbociclib 100 mg/kg

Figure 3/3


Tumor volume changes from baseline (Day 51)
2100
1800
1500
1200
900
600
300
0
-300
G1
G2
G3
G4
Group
G1:Control
G2:Compound (1) 5mg/kg
G3:Palbociclib 100 mg/kg
G4:Compound (1) 5 mg/kg + Palbociclib 100mg/kg