Figure 1

Compound No.	Structure
1	fulvestrant
2	(E)-3-[3,5-Difluoro-4-[(1R,3R)-2-(2-fluoro-2-methylpropyl)-3-methyl-1,3,4,9-tetrahydropyrido[3,4-b]indol-1-yl]phenyl]prop-2-enoic acid (AZD9496)
3	(R)-6-(2-(ethyl(4-(2-(ethylamino)ethyl)benzyl)amino)-4-methoxyphenyl)-5,6,7,8-tetrahydronaphthalen-2-ol (elacestrant, RAD1901)
4	(E)-3-(4-((E)-2-(2-chloro-4-fluorophenyl)-1-(1H-indazol-5-yl)but-1-en-1-yl)phenyl)acrylic acid (Brilanestrant, ARN-810 , GDC-0810)
5	(E)-3-(4-((2-(2-(1,1-difluoroethyl)-4-fluorophenyl)-6-hydroxybenzo[b]thiophen-3-yl)oxy)phenyl)acrylic acid (LSZ102)
6	(E)-N,N-dimethyl-4-((2-((5-((Z)-4,4,4-trifluoro-1-(3-fluoro-1H-indazol-5-yl)-2-phenylbut-1-en-1-yl)pyridin-2-yl)oxy)ethyl)amino)but-2-enamide (H3B-6545)
7	(E)-3-(4-((2-(4-fluoro-2,6-dimethylbenzoyl)-6-hydroxybenzo[b]thiophen-3-yl)oxy)phenyl)acrylic acid (rintodestrant, G1T48)
8	D-0502
9	SHR9549
10	ARV-471
11	3-((1R,3R)-1-(2,6-difluoro-4-((1-(3-fluoropropyl)azetidin-3-yl)amino)phenyl)-3-methyl-1,3,4,9-tetrahydro-2H-pyrido[3,4-b]indol-2-yl)-2,2-difluoropropan-1-ol (giredestrant, GDC-9545)
12	(S)-8-(2,4-dichlorophenyl)-9-(4-((1-(3-fluoropropyl)pyrrolidin-3-yl)oxy)phenyl)-6,7-dihydro-5H-benzo[7]annulene-3-carboxylic acid (SAR439859)

Figure 1 (cont.)

Compound No.	Structure
13	N-[1-(3-fluoropropyl)azetidin-3-yl]-6-[(6S,8R)-8-methyl-7-(2,2,2-trifluoroethyl)-6,7,8,9-tetrahydro-3H-pyrazolo[4,3-f]isoquinolin-6-yl]pyridin-3-amine (AZD9833)
14	OP-1250
15	LY3484356

Figure 2

Compound No.	Structure
16	Tamoxifen
17	Raloxifene
18	Ospemifene
19	Bazedoxifene
20	Toremifene
21	Lasofoxifene

Figure 3

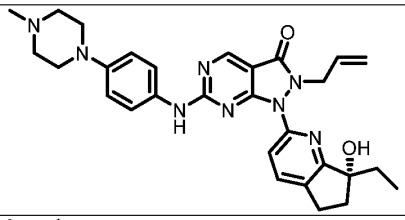
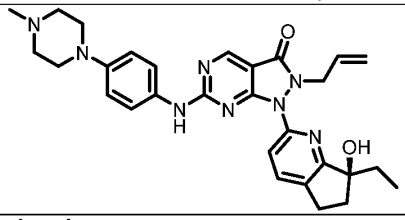
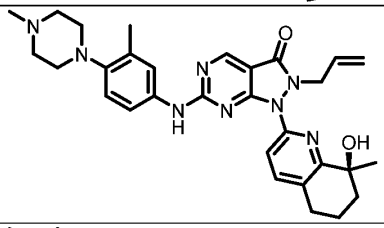
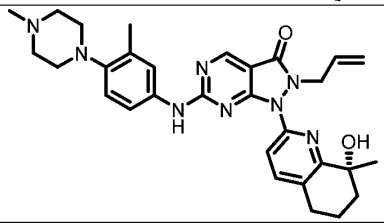
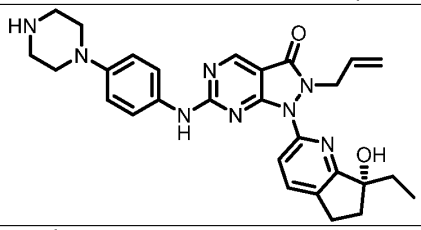
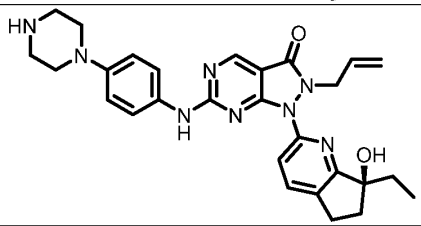
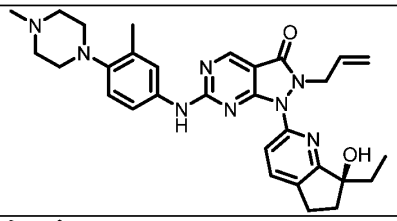
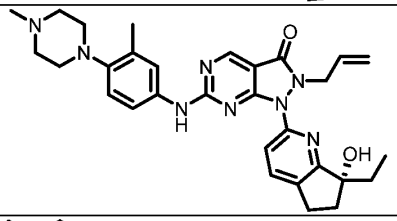
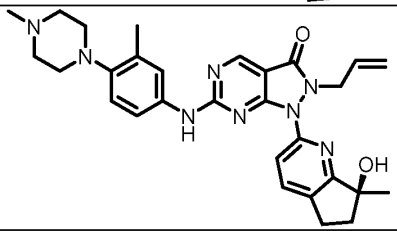
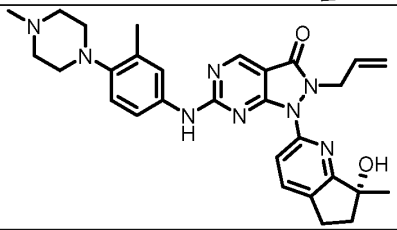
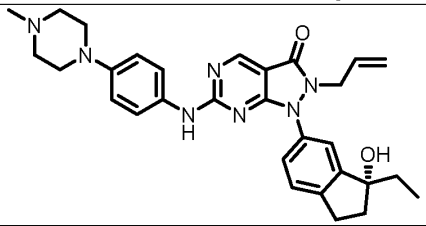
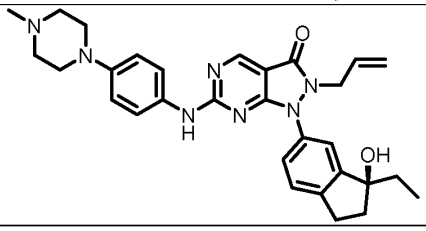
Compound No.	Structure
1A	
2A	
3A	
4A	
5A	
6A	

Figure 3 (cont.)

Compound No.	Structure
7A	
8A	
9A	
10A	
11A	
12A	

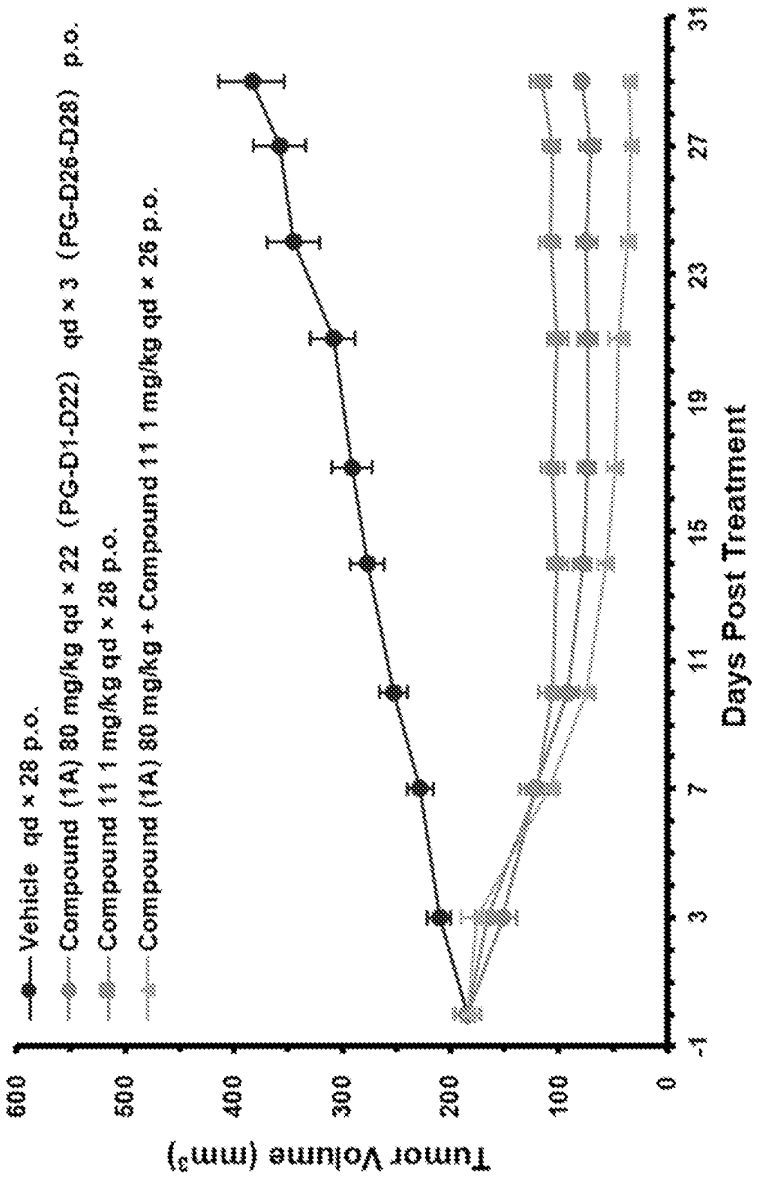

Vehicle qd × 28 p.o.
Compound (1A) 80 mg/kg qd × 22 (PG-D1-D22) qd × 3 (PG-D26-D28) p.o.
Compound 11 1 mg/kg qd × 28 p.o.
Compound (1A) 80 mg/kg + Compound 11 1 mg/kg qd × 26 p.o.
Tumor Volume (mm³)
600
500
400
300
200
100
0
-1
3
7
11
15
19
23
27
31
Days Post Treatment

Figure 4

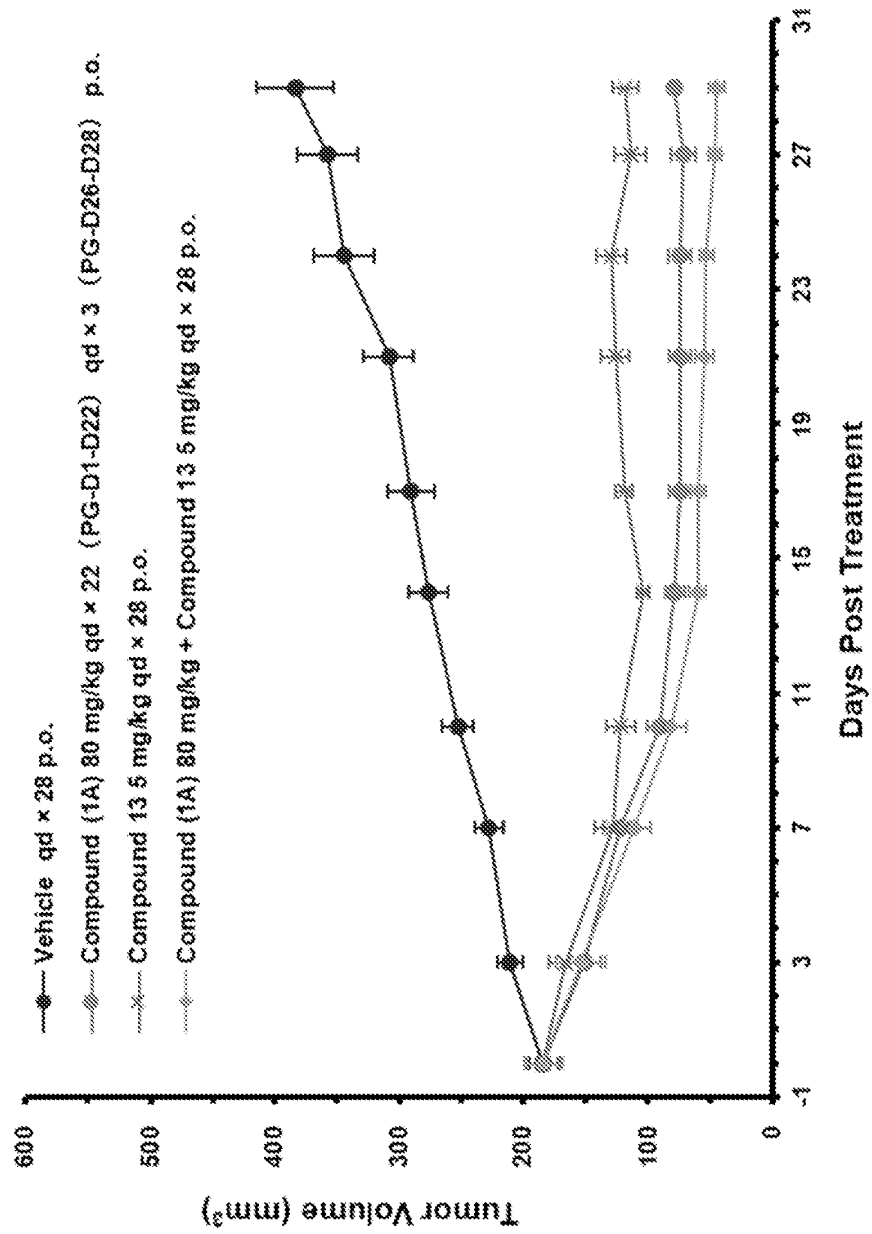

Vehicle qd × 28 p.o.
Compound (1A) 80 mg/kg qd × 22 (PG-D1-D22) qd × 3 (PG-D26-D28) p.o.
Compound 13 5 mg/kg qd × 28 p.o.
Compound (1A) 80 mg/kg + Compound 13 5 mg/kg qd × 28 p.o.
Tumor Volume (mm³)
600
500
400
300
200
100
0
-1
3
7
11
15
19
23
27
31
Days Post Treatment

Figure 5

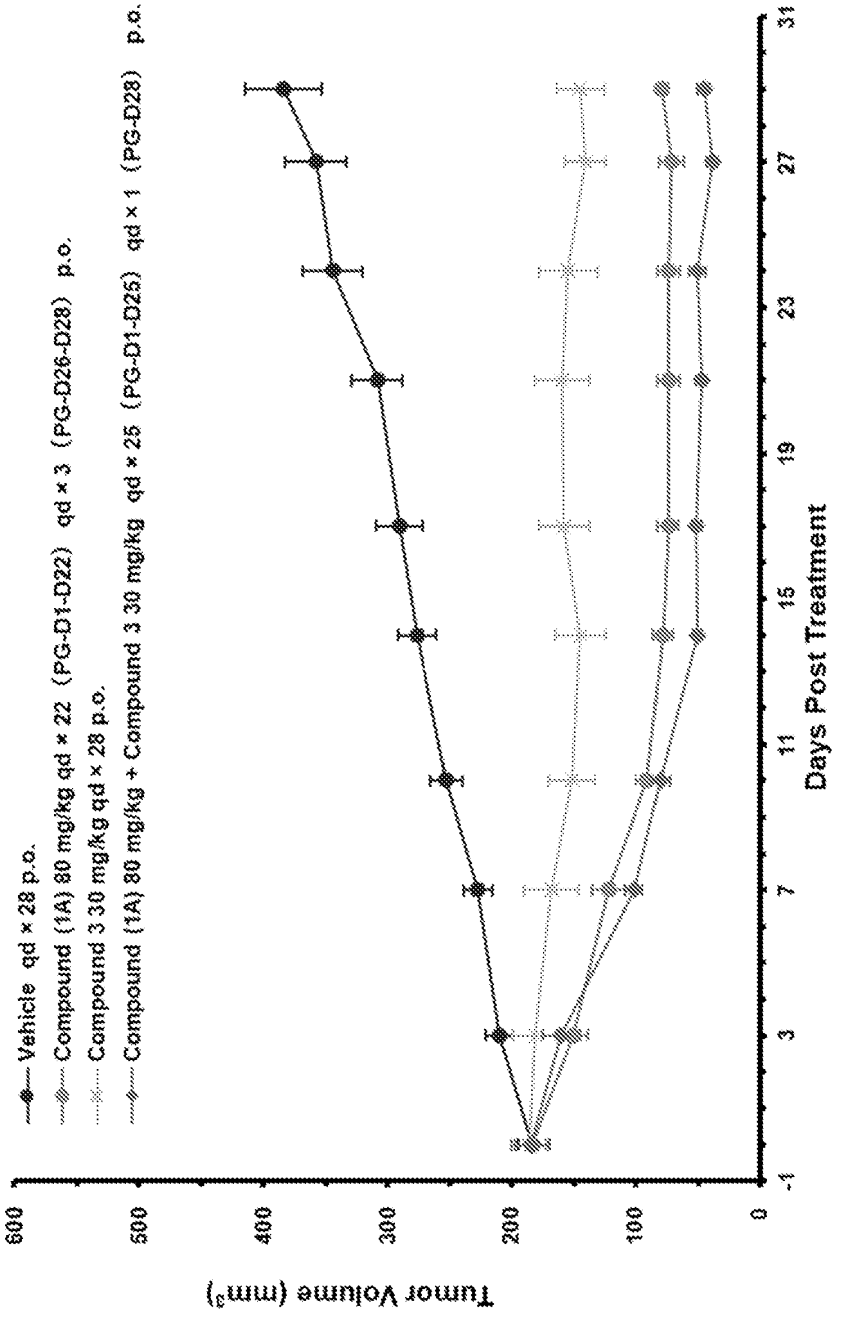


Figure 6

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