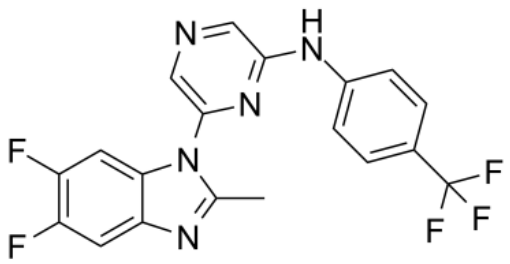
Product Data Sheet

Product Name: PTC-028
Cat. No.: GC37035

Chemical Properties

Cas. No.	1782970-28-8		
SMILES	FC(C1=CC=C(NC2=NC(N3C4=CC(F)=C(F)C=C4N=C3C)=CN=C2)C=C1)(F)F		
Formula	$C_{19}H_{12}F_5N_5$	M.Wt	405.32
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

PTC-028 is an orally bioavailable inhibitor of stem cell factor BMI-1 in ovarian cancer. PTC-028

selectively inhibits cancer cells whereas normal cells remain unaffected. Depletion of BMI-1 by PTC-028 induces caspase-mediated apoptosis[1]. BMI-1[1]

PTC-028 (25-500 nM; 48 hours) significantly decreases CP20, OVCAR4 and OV90 epithelial ovarian cancer cells viability. However, in normal ovarian surface epithelial cells (OSE) and fallopian tube epithelial cells (FTE) cells, up to 500 nM treatment with PTC-028 for 48 hours has minimal effect (~18-30% decrease)[1]. PTC-028 (100 nM; 2-12 hours) increases the phosphorylated BMI-1 species in a time-dependent manner. PTC-028 subsequently reduces BMI-1 in the biochemical functional readout [1]. uH2A is observed up to 12 h with PTC-028 (100 nM) in both CP20 and OV90 cells while total H2A levels remain unchanged [1]. PTC-028 (100 nM; 48 hours) decreases the expression of XIAP and RIPK1 while LC3B levels remains unchanged compared to that of the control [1]. Significant cleavage of Caspase 7, Caspase 9 and PARP is observed in PTC-028 (100 nM; 48 hours) [1]. Cell Viability Assay[1] Cell Line: OVCAR4, OV90 and CP20 cells

PTC-028 (15 mg/kg; administered orally twice weekly) causes ~94% (0.169 g) reduction in tumor weight compared to the control (average tumor weight, ~3g) [1].No obvious toxicity is noted in the animals during therapy experiments as assessed by mean body weight[1].PTC-028 (10 mg/kg or 20mg/kg; single oral doses) is administrated to the CD-1 mice. The Cmax is reached at both dose levels 1h post dose after which plasma concentrations slowly reduce[1]. Animal Model: Female athymic nude mice with implanted OV90 cells[1]

[1]. Dey A, et al. Evaluating the Mechanism and Therapeutic Potential of PTC-028, a Novel Inhibitor of BMI-1 Function in Ovarian Cancer. Mol Cancer Ther. 2018 Jan;17(1):39-49.