Product Data Sheet

Product Name: Poloppin
Cat. No.: GC60294

Chemical Properties

Cas. No.	683808-78-8		
SMILES	O=C(O)CCC1=CC=C(C2=CC=C(Br)C=C2)N1C3=CC=CC=C3C(F)(F)F		
Formula	$C_{20}H_{15}BrF_3NO_2$	M.Wt	438.24
Solubility		Storage	
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Poloppin		

Background

Poloppin is a potent, cell penetrant inhibitor of the mitotic Polo-like kinase (PLK) (IC50=26.9 μM) and prevents the protein-protein interaction via the Polo-box domain (PBD) (Kd= 29.5 μM). Poloppin selectively kills cells expressing mutant KRAS, enhancing death in mitosis. Poloppin is used for the study of KRAS-mutant cancers as single agents, or in combination with c-MET inhibitors[1].

Poloppin (0-200 μM) competitively inhibits the binding of a TAMRA-labeled substrate peptide to the PLK1 PBD, exhibiting an IC50 value of 26.9 μM in an FP assay; the isothermal titration calorimetry of Poloppin binding to the PBD domain of PLK1 with a Kd of 29.5 μM[1].Poloppin (0-100 μM) triggers a dose-dependent mitotic arrest and induces multiple anomalies in mitosis in cells, the EC50 value is 29.9 μM. In representative images of U2OS cells with 12.5 μM Poloppin, <5% of cells exhibit normal metaphase chromosome alignment, and shows bipolar or disordered spindles and non-congressed chromosomes in cells[1].Poloppin (0-200 μM; 24 hours) inhibits SW48 isogenic parental or KRAS G12D cells growth with GI50 values of 13.7 μM and 5.3 μM, respectively. It inhibits KRAS wild-type p53 and KRAS MUT p53 MEFs cells with GI50 values of 51.1 and 49.5 μM, respectively. When the medium is added 500nM 4-OH Tamoxifen to the culture

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media overnight, Poloppin inhibits KRAS wild-type p53 and KRAS MUT p53 MEFs cells with GI50 values of 43.7 μM and 17.6 μM, respectively[1].Poloppin (0-10 μM; 72 hours) sensitizes mutant KRAS-expressing cells to inhibitors of the c-MET tyrosine kinase. SW48 cell bearing mutant KRAS are sensitized to Poloppin after inhibition of c-MET, the GI50 values of Poloppin combination with Crizotinb are 0.23 uM and 0.08 uM, respectively in SW48 KRAS WT and KRAS G12D cells. In the contrast, the GI50 values are 0.56 uM and 0.63 uM in SW48 KRAS WT or KRAS MUT cells when treated with Crizotinib alone[1]. Cell Viability Assay[1] Cell Line: SW48 isogenic parental or KRAS G12D cells

[1]. Ana J Narvaez, et al. Modulating Protein-Protein Interactions of the Mitotic Polo-like Kinases to Target Mutant KRAS. Cell Chem Biol. 2017 Aug 17;24(8):1017-1028.e7.

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