

Product Data Sheet

Product Name: Prexasertib dihydrochloride

Cat. No.: GC36966

Chemical Properties

Cas. No. 1234015-54-3

SMILES NCCCCOC(C=CC=C1OC)=C1C2=CC(NC3=NC=C(C#N)N=C3)=NN2.[H]Cl.[H]Cl

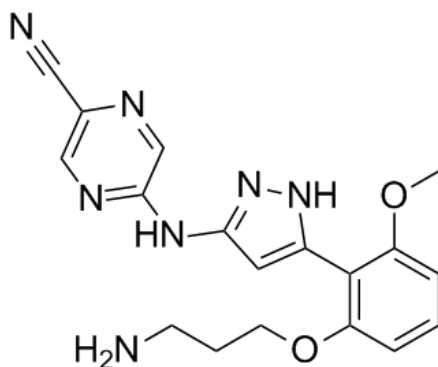
Formula $C_{18}H_{21}Cl_2N_7O_2$ M.Wt 438.31

Solubility DMSO: 8.33 mg/mL (19.00 mM); Storage Store at -20°C
Water: < 0.1 mg/mL (insoluble)

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



H-Cl H-Cl

Background

Prexasertib dihydrochloride (LY2606368 dihydrochloride) is a potent and selective ATP competitive inhibitor of the Chk1 protein kinase, with IC₅₀s of <1 nM and 8 nM for CHK1 and CHK2, respectively, and a K_i of 0.9 nM against purified CHK1. Chk1|0.9 nM (K_i)|Chk1|<1 nM (IC₅₀)|Chk2|8 nM (IC₅₀)

Prexasertib (LY2606368) is a potent and selective ATP competitive inhibitor of Chk1, with an IC₅₀ of <1 nM, and also inhibits CHK2, with an IC₅₀ of 8 nM. Prexasertib has an EC₅₀ of 1 nM for CHK1

Caution: Product has not been fully validated for medical applications. For research use only.

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activity through autophosphorylation of serine 296 and <31 nM for HT-29 CHK2 autophosphorylation (S516). Prexasertib potently abrogates the G2-M checkpoint activated by doxorubicin in p53-deficient HeLa cells with an EC50 of 9 nM. However, 100 nM Prexasertib does not inhibit PMA-stimulated RSK but instead weakly stimulates phosphorylation of S6 on serines 235/236. Prexasertib is broadly antiproliferative with IC50s of 3 nM, 3 nM, 10 nM, 37 nM, and 68 nM against U-2 OS, Calu-6, HT-29, HeLa, and NCI-H460 cell lines, respectively. Prexasertib (4 nM) results in a large shift in cell-cycle populations from G1 and G2-M to S-phase with an accompanied induction of H2AX phosphorylation in U-2 OS cells[1]. Prexasertib (LY2606368; 25 μ M) exhibits inhibitory activities against proliferation of AGS and MKN1 cells. Prexasertib (20 nM) inhibits HR repair capacity DR-GFP cells. Prexasertib (5 nM) in combination with PARP inhibitor BMN673, displays synergistic anticancer effects in gastric cancer cells[2].

Prexasertib (LY2606368; 15 mg/kg, s.c.) significantly inhibits tumor growth in xenograft tumor models with less animal weight loss[1]. Prexasertib (LY2606368; 2 mg/kg, s.c.) and BMN673 combination has synergistic anticancer effect in gastric cancer PDX model, and the effect is higher than that of one drug alone[2].

[1]. King C, et al. LY2606368 Causes Replication Catastrophe and Antitumor Effects through CHK1-Dependent Mechanisms. Mol Cancer Ther. 2015 Sep;14(9):2004-1 [2]. Yin Y, et al. Chk1 inhibition potentiates the therapeutic efficacy of PARP inhibitor BMN673 in gastric cancer. Am J Cancer Res. 2017 Mar 1;7(3):473-483.

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