

## Product Datasheet

# Prexasertib dihydrochloride

Catalog No. **BP-02309A** · CAS **1234015-54-3** · Form **salt · dihydrochloride** · Physical state **other** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-10**

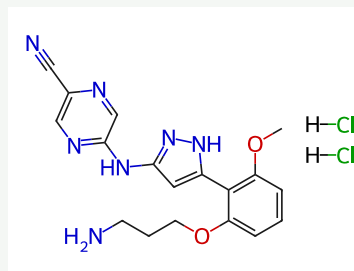
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|-----------------------------------------------------|--------------------------------|
| Target<br><b>Checkpoint Kinase (Chk); Apoptosis</b> | Research area<br><b>Cancer</b> |
|-----------------------------------------------------|--------------------------------|

Molecular formula **C<sub>18</sub>H<sub>21</sub>Cl<sub>2</sub>N<sub>7</sub>O<sub>2</sub>**

Molecular weight **438.3110**

### STRUCTURE



## DESCRIPTION

Prexasertib dihydrochloride, LY2606368, is a selective, ATP-competitive second-generation checkpoint kinase 1 (CHK1) inhibitor with a  $K_i$  of 0.9 nM and an  $IC_{50}$  of <1 nM. Prexasertib dihydrochloride inhibits CHK2 ( $IC_{50}$ =8 nM) and RSK1 ( $IC_{50}$ =9 nM). Prexasertib dihydrochloride causes double-stranded DNA breakage and replication catastrophe resulting in apoptosis. Prexasertib dihydrochloride shows potent anti-tumor activity.

## BIOLOGICAL ACTIVITY

Prexasertib (LY2606368) is a substrate ATP competitively selective inhibitor of CHK1 and CHK2 with  $IC_{50}$  values of <1 nM and 8 nM against CHK1 and CHK2, respectively. LY2606368 treatment led to TUNEL and pH2AXy positive double-stranded DNA breaks in cells in S phase. LY2606368 effectively cancelled the G2-M checkpoint activated by Doxorubicin in P53-deficient HeLa cells with  $EC_{50}$  of 9 nM. LY2606368 can play an antiproliferative role in a wide range of cells, with  $IC_{50}$ s < 50 nM in most sensitive cell lines. Only a few cell lines were resistant to LY2606368,  $IC_{50}$ s > 1000 nM.

In vivo studies, LY2606368 can effectively inhibit tumor growth in tumor xenograft model and exert anti-tumor activity. In the SKOV3 ovarian cancer model, LY2606368 inhibited the growth of primary tumor and significantly reduced the rate of metastasis and ascites accumulation. In SW1990 model of pancreatic carcinoma in situ, LY2606368 inhibited the growth of 92% of the primary tumor and prevented its metastasis to lymph node tuberculosis, spleen and intestine.

## SOLUBILITY

Soluble in DMSO H<sub>2</sub>O

**In vitro** DMSO 8 mg/mL H<sub>2</sub>O < 0.1 mg/mL

## REFERENCES

[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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