Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Prexasertib

Catalog No: tcsc2198

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1234015-52-1

Formula:
$C_{18}H_{19}N_7O_2$

Pathway:
Cell Cycle/DNA Damage

Target:
Checkpoint Kinase (Chk)

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 60 mg/mL (164.21 mM)

Alternative Names:
LY2606368

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Observed Molecular Weight:
365.39

Product Description

Prexasertib (LY2606368) is a potent, selective and ATP-competitive **checkpoint kinase 1 (Chk1)** inhibitor, with an **IC_{50}** and a **K_i** of IC50 & Target: Ki: 0.9 nM (CHK1)[1]

IC50: [1]

In Vitro: Prexasertib (LY2606368) is a potent and selective ATP competitive inhibitor of Chk1, with an IC_{50} of 50 of 8 nM. Prexasertib has an EC_{50} of 1 nM for CHK1 activity through autophosphorylation of serine 296 and 50 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 IC_{50}s 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 μM) 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].

In Vivo: 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].