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

Rabusertib

Catalog No: tcsc0472

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
911222-45-2

Formula:
$C_{18}H_{22}BrN_5O_3$

Pathway:
Autophagy;Cell Cycle/DNA Damage

Target:
Autophagy;Checkpoint Kinase (Chk)

Purity / Grade:
>98%

Solubility:
DMSO : 29.5 mg/mL (67.61 mM; Need ultrasonic and warming)

Alternative Names:
LY2603618;IC-83

Observed Molecular Weight:
436.3

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Product Description

Rabusertib (LY2603618) is a potent and selective inhibitor of **Chk1** with an **IC_{50}** of 7 nM.

IC50 & Target: IC50: 7 nM (Chk1)[1]

In Vitro: Rabusertib (LY2603618) is a highly effective inhibitor of multiple aspects of Chk1 biology. Rabusertib (LY2603618) is tested against a panel of 51 diverse protein kinases in vitro. With an IC_{50} of 7 nM for Chk1, Rabusertib (LY2603618) is approximately 100-fold more potent against Chk1 than against any of the other protein kinases evaluated (PDK1, IC_{50}=893 nM, others >1000 nM). Rabusertib (LY2603618) effectively reduced Chk1 autophosphorylation with an EC_{50} of 430 nM. Inhibition of Chk1 by Rabusertib (LY2603618) also effectively abrogated the G_2/M DNA damage checkpoint in cells treated with DNA damaging agents. Treatment of cells with Rabusertib (LY2603618) produced a cellular phenotype similar to that reported for depletion of Chk1 by RNAi. Inhibition of intracellular Chk1 by Rabusertib (LY2603618) results in impaired DNA synthesis, elevated H2A.X phosphorylation indicative of DNA damage and premature entry into mitosis[1]. Treatments of the SK-N-BE(2) cells with variable concentrations of Rabusertib (LY2603618) results in dose-dependent inhibition of cell growth determined by MTT assays with an IC_{50} of 10.81 μM[1].

In Vivo: Mice bearing Calu-6 xenografts are treated with 150 mg/kg (IP) Gemcitabine and a single simultaneous 200 mg/kg oral dose of Rabusertib (LY2603618). 200 mg/kg of Rabusertib (LY2603618) is sufficient to inhibit 85 % of Chk1 autophosphorylation in vivo at 2 h. Rabusertib (LY2603618) effectively reduces Gemcitabine-induced phosphorylation on Tlk serine 695 as well, supporting the cited report with a selective chemical inhibitor of Chk1[1].