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

BML-277

Catalog No: tcsc1809

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
516480-79-8

Formula:
$C_{20}H_{14}ClN_3O_2$

Pathway:
Cell Cycle/DNA Damage

Target:
Checkpoint Kinase (Chk)

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (137.44 mM; Need ultrasonic)

Storage Instruction:
Store at -20°C: ship with blue ice.

Alternative Names:
Chk2 Inhibitor II

Observed Molecular Weight:
363.8

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Protocol:

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.

Notes

BML-277 is novel, potent and highly selective inhibitor of the chk2 with the IC50 value of 15±6.9nM [1]. BML-277 has shown the ATP-competitive inhibition of chk2 with the Ki value of 37nM. BML-277 has also been exhibited to be a chk2 inhibitor with the IC50 value of 15±6.9nM and efficiently rescue T-cell populations from the apoptosis of radiation-induced in a concentration-dependent fashion with the EC50 of 3~7.6μM. The Km of ATP for chk2 is 99μM and assuming that intracellular ATP concentration is 10mM. Apart from these, BML-277 has shown the inhibition through docking into a homology model of chk2 ATP binging site [1]. References: [1]Arienti KL1, Brunmark A, Axe FU, McClure K, Lee A, Blevitt J, Neff DK, Huang L, Crawford S, Pandit CR, Karlsson L, Breitenbucher JG. Checkpoint kinase inhibitors: SAR and radioprotective properties of a series of 2-arylbenzimidazoles. J Med Chem. 2005 Mar 24;48(6):1873-85.

Product Description

BML-277 is a selective checkpoint kinase 2 (**Chk2**) inhibitor with an $\mathbf{IC_{50}}$ of 15 nM.

IC50 & Target: IC50: 15 nM (Chk2)[1]

In Vitro: BML-277 is an ATP-competitive inhibitor of Chk2 that dose dependently protects human $CD4^+$ and $CD8^+$ T-cells from apoptosis due to ionizing radiation. BML-277 efficiently rescues both T-cell populations from radiation-induced apoptosis in a dose-dependent manner with an observed EC_{50} of 3−7.6 μM. The concentration of BML-277 required for radioprotection is consistent with the biochemical measurement of chk2 inhibition. Providing theK_m of ATP for Chk2 is determined to be 99 μM and the K_i for BML-277 is 37 nM, and assuming that the intracellular ATP concentration is 10 mM, a 5 μM concentration of BML-277 would be expected to produce 42% inhibition of intracellular chk2[1].