

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

Protocol:

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.

Notes

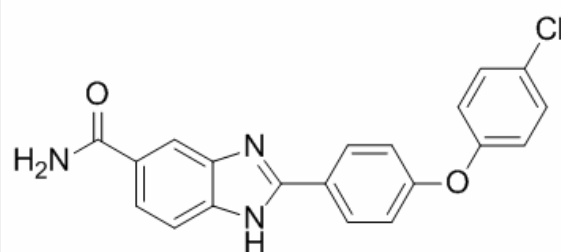
BML-277 is novel, potent and highly selective inhibitor of the chk2 with the IC₅₀ value of 15±6.9nM [1]. BML-277 has shown the ATP-competitive inhibition of chk2 with the K_i value of 37nM. BML-277 has also been exhibited to be a chk2 inhibitor with the IC₅₀ value of 15±6.9nM and efficiently rescue T-cell populations from the apoptosis of radiation-induced in a concentration-dependent fashion with the EC₅₀ of 3~7.6μM. The K_m 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 binding 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 **IC₅₀** 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 EC₅₀ of 3–7.6 μM. The concentration of BML-277 required for radioprotection is consistent with the biochemical measurement of chk2 inhibition. Providing the K_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].



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