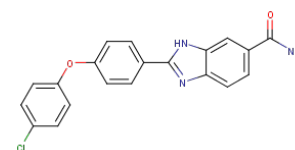


Catalog Number: CM03453

## 产品信息

Catalog Number:  
CM03453CAS号:  
516480-79-8分子式:  
 $C_{20}H_{14}ClN_3O_2$ 主要靶点:  
Chk|Apoptosis主要通路:  
细胞周期|凋亡分子量:  
363.8溶解度:  
DMSO:55 mg/mL

## 靶点活性

Chk2:15 nM

## 体外活性

BML-277 is an ATP-competitive inhibitor of Chk2 that dose dependently protects human CD4<sup>+</sup> and CD8<sup>+</sup> 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<sub>50</sub> of 377.6  $\mu$ M. 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  $\mu$ M and the  $K_i$  for BML-277 is 37 nM, and assuming that the intracellular ATP concentration is 10 mM, a 5  $\mu$ M concentration of BML-277 would be expected to produce 42% inhibition of intracellular chk2[1].

## 细胞实验

BML-277 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1]. To determine the radioprotective effect of Chk2 inhibitors, purified T-cells are incubated at 100,000 cells per well in BML-277 (102.5 nM, 1  $\mu$ M, 100.5  $\mu$ M, 10  $\mu$ M, and 101.5  $\mu$ M) or vehicle (DMSO) at varying concentrations in 96-well stripwells for 1 h. Cells are then exposed to a dose of 0 or 10 Gy gamma irradiation from a <sup>137</sup>Cs source at a dose rate of 3.65 Gy/min and then returned to the incubator for a further 24 h. Cells are stained with Annexin V-FITC and propidium iodide, according to the manufacturers protocol. Apoptotic and surviving cells are quantitated with a FACSCalibur FACS machine. Data are reported as percent recovery or the number of survivors from treatment groups minus the number of cells surviving in the irradiated control group divided by the number of surviving cells in the untreated control groups[1].

## 描述

BML-277 (C 3742) is a selective checkpoint kinase 2 (Chk2) inhibitor.

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years