

Catalog Number: CM05228

## 产品信息

**Catalog Number:**  
CM05228

**CAS号:**  
936890-98-1

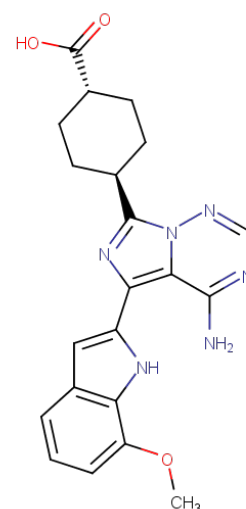
**分子式:**  
C<sub>21</sub>H<sub>22</sub>N<sub>6</sub>O<sub>3</sub>

**主要靶点:**  
PI3K|Autophagy|DNA-PK|mTOR

**主要通路:**  
PI3K/Akt/mTOR信号通路|DNA损伤和修复|自噬

**分子量:**  
406.44

**溶解度:**  
Ethanol:<1 mg/mL,H<sub>2</sub>O:<1 mg/mL,DMSO:15 mg/mL (36.9 mM)



## 靶点活性

mTORC1:22 nM|mTORC2:65 nM

## 体外活性

OSI-027 shows the selective and ATP competitive inhibition activities against mTORC1 and mTORC2 with IC<sub>50</sub> of 22 nM and 65 nM, respectively. In addition, OSI-027 inhibits mTOR signaling of phospho-4E-BP1 with an IC<sub>50</sub> of 1 μM in cell-based assays. [1] OSI-027 exhibits anti-proliferative activities against several acute leukemia cell lines of myeloid/megakaryocytic origin in a dose-dependent manner, including U937, KG-1, KBM-3B, ML-1, HL-60, and MEG-01 cells. [2] A recent study shows that inhibition of mTORC1/2 by OSI-027 effectively suppresses phosphorylation of Akt (S473) and cell proliferation in breast cancer cells. [3]

## 体内活性

In GEO colorectal xenograft, OSI-027 (65 mg/kg) inhibits both mTORC1 and mTORC2 effectors, including 4E-BP1, Akt, and S6 phosphorylation. Furthermore, mTORC1 and mTORC2 inhibition together by OSI-027 potently inhibits tumor growth more than mTORC1 inhibition by rapamycin. [1]

## 细胞实验

Inhibition of proliferation is measured using the Cell Titer Glo Assay, as noted in figure legends. To generate dose-response curves, cell lines are seeded at a density of 5,000 cells per well in a 96-well plate. After 24 hours of plating, cells are dosed with varying concentrations of either OSI-027 or rapamycin. The signal for Cell Titer Glo Assay is determined 72 hours after dosing and normalized to that of vehicle-treated controls. Inhibition of proliferation, relative to vehicle-treated controls, is expressed as a fraction of 1 and graphed using PRISM software. (Only for Reference)

## 描述

OSI-027 (ASP4786) is a selective and potent dual inhibitor of mTORC1 and mTORC2 with IC<sub>50</sub> of 22 nM and 65 nM, and more than 100-fold selectivity observed for mTOR than PI3Kα, PI3Kβ, PI3Kγ or DNA-PK. Phase 1.

## 储存

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