Product Datasheet

Ipatasertib

Catalog No. **BP-02343** · CAS **1001264-89-6** · Form **free base** · Physical state **solid** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-10**

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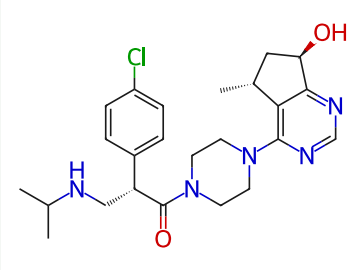
Target	Research area
Organoid; Akt; Apoptosis	**Cancer**

Molecular formula	$C_{24}H_{32}ClN_5O_2$
Molecular weight	**457.9960**

STRUCTURE



DESCRIPTION

Ipatasertib (GDC-0068) is an orally active, highly selective and ATP-competitive pan-Akt inhibitor with IC50 values of 5, 18, 8 nM for Akt1/2/3, respectively. Ipatasertib synchronously activates FoxO3a and NF-κB through inhibition of Akt leading to p53-independent activation of PUMA. Ipatasertib also induces apoptosis in cancer cells and inhibits tumor growth in xenograft mouse models.

BIOLOGICAL ACTIVITY

Ipatasertib (GDC-0068, RG7440) is a novel, ATP-competitive and orally bioavailable Akt inhibitor with IC50 values of 5 nM, 18 nM and 8 nM for Akt1, Akt2 and Akt3, respectively. Ipatasertib (GDC-0068) demonstrates potent inhibition of all three Akt isoforms in biochemical assays, but poor inhibition of other AGC family kinases. Moreover, GDC-0068 selectively inhibits cell cycle progression and viability of cancer cell lines driven by PI3K/Akt signaling pathway, including those with defects in the tumor suppressor PTEN, oncogenic mutations in PIK3CA, and amplification of HER2. GDC-0068 (Ipatasertib) blocks the phosphorylation of multiple downstream targets of Akt in human cancer cell lines. GDC-0068 (RG7440) shows good oral exposure resulting in dose-dependent pharmacodynamic effects on downstream biomarkers and a robust anti-tumor response in xenograft models in which the PI3K-Akt-mTOR pathway is activated.

SOLUBILITY

Soluble in DMSO/water

REFERENCES

[1]. Sun L, et al. Ipatasertib, a novel Akt inhibitor, induces transcription factor FoxO3a and NF-κB directly regulates PUMA-dependent apoptosis. Cell Death Dis. 2018 Sep 5;9(9):911.

[2]. Blake JF, et al. Discovery and preclinical pharmacology of a selective ATP-competitive Akt inhibitor (GDC-0068) for the treatment of human tumors. J Med Chem. 2012 Sep 27;55(18):8110-27.

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