Sapanisertib

Cat. No.: HY-13328

CAS No.: 1224844-38-5

Molecular Formula: $C_{15}H_{15}N_7O$

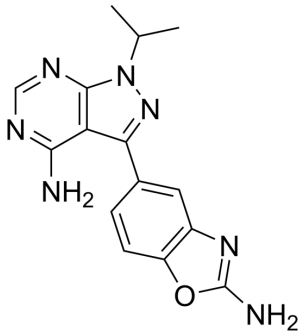
Molecular Weight: 309.33

Target: mTOR; Autophagy

Pathway: PI3K/Akt/mTOR; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 55 mg/mL (177.80 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2328 mL	16.1640 mL	32.3279 mL
	5 mM	0.6466 mL	3.2328 mL	6.4656 mL
	10 mM	0.3233 mL	1.6164 mL	3.2328 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Sapanisertib (INK-128; MLN0128; TAK-228) is an orally available, ATP-dependent mTOR1/2 inhibitor with an IC_{50} of 1 nM for mTOR kinase.

IC_{50} & Target

mTOR 1 nM (IC_{50})	mTORC1	mTORC2	PI3Kα 219 nM (IC_{50})
PI3Kγ 221 nM (IC_{50})	PI3Kδ 230 nM (IC_{50})	PI3Kβ 5.293 μM (IC_{50})	Autophagy

In Vitro	Sapanisertib (INK-128) exhibits an enzymatic inhibition activity against mTOR and more than 100-fold selectivity to PI3K kinases[1]. Sapanisertib (INK-128) selectively decreases the expression of YB1, MTA1, vimentin and CD44 at the protein but not transcript level in PC3 cells. Sapanisertib (INK-128) decreases the invasive potential of PC3 prostate cancer cells. Furthermore, Sapanisertib (INK-128) inhibits cancer cell migration starting at 6 h of treatment, precisely correlating with when decreases in the expression of pro-invasion genes are evident, but preceding any changes in the cell cycle or overall global protein synthesis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In a ZR-75-1 breast cancer xenograft model, Sapanisertib (INK-128) shows tumor growth inhibition efficacy at a dose of 0.3 mg/kg/day[1]. 4EBP1 and p70S6K1/2 phosphorylation is completely restored to wild-type levels after treatment with INK128 in PtenL/L mice. Sapanisertib (INK-128) treatment results in a 50% decrease in prostatic intraepithelial neoplasia (PIN) lesions in PtenL/L mice and induces programmed cell death in multiple cancer cell lines in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	PC3 cells are treated with the appropriate drug for 48 h, and proliferation is measured using CellTiter-Glo Luminescent reagent. The concentration of Sapanisertib (INK-128) necessary to achieve inhibition of cell growth by 50% (IC_{50}) is calculated using concentrations ranging from 20.0 μM to 0.1 nM (12-point curve). MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Nude mice are inoculated subcutaneously in the right subscapular region with 5×10^6 MDA-MB-361 cells. After tumours reach a size of 150-200 mm^3, mice are randomLy assigned into vehicle control or treatment groups. Sapanisertib (INK-128) is formulated in 5% polyvinylpropyline, 15% NMP, 80% water and administered by oral gavage at 0.3 mg/kg and 1 mg/kg daily. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2016 Dec 1;540(7631):119-123.
- Cell Stem Cell. 2020 Sep 3;27(3):441-458.e10.
- Cell Stem Cell. 2018 Mar 1;22(3):369-383.e8.
- Nat Cell Biol. 2024 Feb;26(2):181-193.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Liu A, et al. mTOR Mediated Anti-Cancer Drug Discovery. Drug Discovery Today: Therapeutic Strategies. 2009, 6(2), 47-55.

[2]. Hsieh AC, et al. The translational landscape of mTOR signalling steers cancer initiation and metastasis. Nature. 2012 Feb 22;485(7396):55-61.

Caution: Product has not been fully validated for medical applications. For research use only.

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