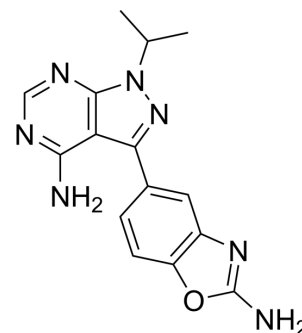


Sapanisertib

Cat. No.:	HY-13328		
CAS No.:	1224844-38-5		
Molecular Formula:	C ₁₅ H ₁₅ N ₇ O		
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)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		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	<ol style="list-style-type: none"> 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 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 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.			
IC₅₀ & 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	<p>Sapanisertib (INK-128) exhibits an enzymatic inhibition activity against mTOR and more than 100-fold selectivity to PI3K kinases^[1].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Cell Assay ^[2]	<p>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% (IC₅₀) is calculated using concentrations ranging from 20.0 μM to 0.1 nM (12-point curve).</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[2]	<p>Nude mice are inoculated subcutaneously in the right subscapular region with 5×10⁶ MDA-MB-361 cells. After tumours reach a size of 150-200 mm³, mice are randomly assigned into vehicle control or treatment groups. Sapanisertib (INK-128) is formulated in 5% polyvinylpropylene, 15% NMP, 80% water and administered by oral gavage at 0.3 mg/kg and 1 mg/kg daily.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2017 Jun 8;8:15617.

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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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