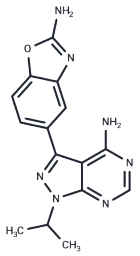
Data Sheet (Cat.No.T1838)

TargetMol

Sapanisertib

Chemical Properties



CAS No. : 1224844-38-5

Formula: C15H15N7O

Molecular Weight: 309.33

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Sapanisertib (INK 128) is an orally bioavailable inhibitor of raptor-mTOR (TOR complex 1 or TORC1) and rictor-mTOR (TOR complex 2 or TORC2) with potential antineoplastic activity.
Targets(IC50)	Autophagy,mTOR,PI3K
In vitro	Administering INK 128 orally on a daily basis to various transplant model systems inhibits angiogenesis and tumor growth. Daily treatment of the ZR-75-1 breast cancer xenograft model with 0.3 mg/kg of INK 128 demonstrated a suppressive effect on tumor growth.
In vivo	INK 128 functions as a dual inhibitor of TORC1/2, suppressing the phosphorylation of TORC1's downstream substrates S6 and 4EBP1, while selectively inhibiting the phosphorylation of AKT on Ser473, a downstream substrate of TORC2. It exhibits enzymatic inhibitory activity against mTOR, presenting over 100-fold selectivity towards PI3K kinases. Moreover, INK 128 effectively inhibits cell lines resistant to rapamycin and pan-PI3K inhibitors.
Kinase Assay	mTOR activity is assayed using LanthaScreen Kinase kit reagents. PI(3)K α, β, γ and δ activity are assayed using the PI(3)K HTRF assay kit. The concentration of INK128 necessary to achieve inhibition of enzyme activity by 50% (IC50) is calculated using concentrations ranging from 20 μM to 0.1 nM (12-point curve). IC50?values are determined using a nonlinear regression model.
Cell Research	PC3 cells are treated with the appropriate drug for 48 h, and proliferation is measured using CellTiter-Glo Luminescent reagent. The concentration of INK128 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).

Solubility Information

Solubility	Ethanol: 2 mg/mL (6.47 mM),Sonication is recommended. DMSO: 60 mg/mL (193.97 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.47 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2328 mL	16.164 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
50 mM	0.0647 mL	0.3233 mL	0.6466 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Liu A, et al. Drug Discovery Today: Therapeutic Strategies. 2009, 6(2), 47-55.
Jessen K, et al. Mol Cancer Ther. 2009, 8(12), Meeting Abstract Supplement.
Hsieh AC, et al. Nature. 2012, 485(7396), 55-61.
Zhao, Ming, et al. GCG inhibits SARS-CoV-2 replication by disrupting the liquid phase condensation of its nucleocapsid protein. Nature Communications . 12.1 (2021): 1-14.