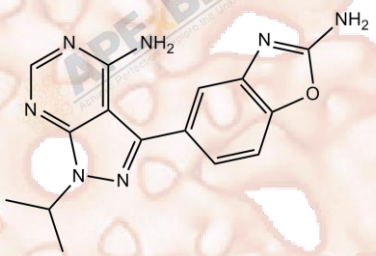
Product Data Sheet

INK 128 (MLN0128)



Cat. No.:	A8551
CAS No.:	1224844-38-5
Formula:	C15H15N7O
M.Wt:	309.33
Synonyms:	INK128; INK-128
Target:	PI3K/Akt/mTOR Signaling
Pathway:	mTOR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾15.45 mg/mL in DMSO; ⩾2.7 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	MTOR(TORC-1/-2) inhibitor,potent and selective
IC_{50} & Target	1 nM (Ki=1.4 nM) (mTOR), 219 nM (PI3Kα), 221 nM (PI3Kγ), 230 nM (PI3Kδ), 5293 nM (PI3Kβ)

In Vitro

Cell Viability Assay

Cell Line:	PANC-1 cells
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	10 ~ 100 nM; 72 hrs

	Applications:	INK 128 time- and dose-dependently inhibited the survival of PANC-1 cells, and significantly reduced the viability of PANC-1 cells at the concentrations of 10 ~ 100 nM. There was no significant viability decrease until 48 hrs after INK 128 treatment.
In Vivo	**Animal experiment**	
	Animal models:	A ZR-75-1 breast cancer xenograft model
	Dosage form:	0.3 mg/kg/day; p.o.
	Applications:	In a ZR-75-1 breast cancer xenograft model, INK 128 significantly inhibited tumor growth. The combination therapy of INK 128 and other standard targeted therapy or chemotherapy such as Sorafenib, Sutent and Paclitaxel enhanced anti-tumor growth activity.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Samluk L, Urbanska M, et al. "Cytosolic translational responses differ under conditions of severe short-term and long-term mitochondrial stress." Mol Biol Cell. 2019 Jul 15;30(15):1864-1877.PMID:31116686

2. Topf U, Suppanz I, et al. "Quantitative proteomics identifies redox switches for global translation modulation by mitochondrially produced reactive oxygen species." Nat Commun. 2018 Jan 22;9(1):324.PMID:29358734

3. Dite TA, Ling NXY, et al. "The autophagy initiator ULK1 sensitizes AMPK to allosteric drugs." Nat Commun. 2017 Sep 18;8(1):571.PMID:28924239

4. Robert R. Redfield,Alonso Heredia,et al. "Treatment agents for inhibiting hiv and cancer in hiv infected patients." Google Patents.2016.

5. Heredia, Alonso, et al. "Targeting of mTOR catalytic site inhibits multiple steps of the HIV-1 lifecycle and suppresses HIV-1 viremia in humanized mice." Proceedings of the National Academy of Sciences (2015): 201511144.PMID:26170311

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References

[1]. Hsieh AC, Liu Y, Edlind MP, Ingolia NT, Janes MR, Sher A, Shi EY, Stumpf CR, Christensen C, Bonham MJ, Wang S, Ren P, Martin M, Jessen K, Feldman ME, Weissman JS, Shokat KM, Rommel C, Ruggero D. The translational landscape of mTOR signalling steers cancer initiation and metastasis. Nature. 2012 Feb 22;485(7396):55-61.

[2]. Lou, H.Z., et al., The novel mTORC1/2 dual inhibitor INK-128 suppresses survival and proliferation of primary and transformed human pancreatic cancer cells. Biochem Biophys Res Commun, 2014. 450(2): p. 973-8.

[3]. Jessen K, et al. INK128 is a potent and selective TORC1/2 inhibitor with broad oral anti-tumor activity. AACR 2009 Molecular targets and cancer therapeutics meeting poster; Boston: 2009.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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