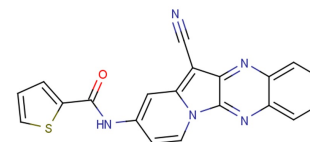


HI-TOPK-032

Chemical Properties

CAS No.:	487020-03-1
Formula:	C ₂₀ H ₁₁ N ₅ O ₂ S
Molecular Weight:	369.4
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	HI-TOPK-032 is an effective and specific inhibitor of TOPK.
Targets(IC ₅₀)	Others: None
In vitro	HI-TOPK-032 inhibits anchorage-dependent and -independent colon cancer cell growth by reducing ERK-RSK phosphorylation as well as increasing colon cancer cell apoptosis through regulation of the abundance of p53, cleaved caspase-7, and cleaved PARP. However, HI-TOPK-032 at the highest concentration (5 μM) also inhibits MEK1 activity by 40%. HI-TOPK-032 strongly inhibits TOPK kinase activity. However, it also has little effect on extracellular signal-regulated kinase 1 (ERK1), c-jun-NH2-kinase 1, or p38 kinase activities. HI-TOPK-032 occupies the ATP-binding site of TOPK and fits the binding site very well. The compound forms hydrogen bonds with GLY83 and ASP151 and has a hydrophobic interaction with LYS30.
In vivo	HI-TOPK-032 (1 or 10 mg/kg; mice) treatment, obviously inhibits HCT-116 tumor growth by more than 60% relative to the vehicle-treated group. Mice are well tolerated with HI-TOPK-032 treatment. The expression of p53 is strongly caused, and phosphorylation of ERK and RSK, a direct downstream protein of ERK. Which is markedly inhibited in the HI-TOPK-032-treated group.

Solubility Information

Solubility	DMSO: 7.5 mg/mL (20.30 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.707 mL	13.535 mL	27.071 mL
5 mM	0.541 mL	2.707 mL	5.414 mL
10 mM	0.271 mL	1.354 mL	2.707 mL
50 mM	0.054 mL	0.271 mL	0.541 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Kim DJ, et al. Novel TOPK inhibitor HI-TOPK-032 effectively suppresses colon cancer growth. Cancer Res. 2012 Jun 15;72(12):3060-8.

Inhibitors · Natural Compounds · Compound Libraries

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