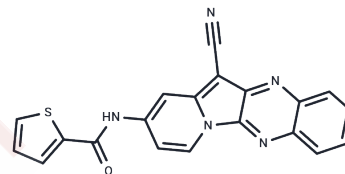


HI-TOPK-032

Chemical Properties

CAS No. : 487020-03-1
Formula: C₂₀H₁₁N₅O₅
Molecular Weight: 369.4
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	HI-TOPK-032 is an effective and specific inhibitor of TOPK.
Targets(IC50)	TOPK
In vitro	HI-TOPK-032 effectively suppresses both anchorage-dependent and independent growth of colon cancer cells by diminishing ERK-RSK phosphorylation and promoting apoptosis, evidenced by increased levels of p53, cleaved caspase-7, and cleaved PARP. At its highest concentration (5 μ M), it also reduces MEK1 activity by 40%, showcasing its potency. While it significantly inhibits TOPK kinase activity, its impact on ERK1, c-jun-NH ₂ -kinase 1, and p38 kinase activities remains minimal. The compound adeptly binds to the ATP-binding site of TOPK, forming hydrogen bonds with GLY83 and ASP151, and engaging in hydrophobic interactions with LYS30, highlighting its specificity and effective mechanism of action.
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: 3.7 mg/mL (10.02 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7071 mL	13.5355 mL	27.0709 mL
5 mM	0.5414 mL	2.7071 mL	5.4142 mL
10 mM	0.2707 mL	1.3535 mL	2.7071 mL
50 mM	0.0541 mL	0.2707 mL	0.5414 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

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

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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