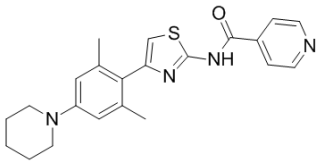
INH154

Cat. No.:	HY-117154		
CAS No.:	1587705-63-2		
Molecular Formula:	$C_{22}H_{24}N_4OS$		
Molecular Weight:	392.52		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (159.23 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5476 mL	12.7382 mL	25.4764 mL
5 mM	0.5095 mL	2.5476 mL	5.0953 mL
10 mM	0.2548 mL	1.2738 mL	2.5476 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (5.30 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (5.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

INH154 is a highly potent inhibitor for Nek2 and Hec1 binding **(INH)**, with **IC_{50}s** of 200 nM and 120 nM for INH in Hela and MB468 cells.

IC_{50} & Target

IC50: 200 nM (INH in Hela cells), 120 nM (INH in MB468 cells)[1].

In Vitro

INH154 is highly potent in treating breast tumors with co-elevated expression of Hec1 and Nek2. INH154 is the most potent inhibitor of tumor cell growth. The IC_{50} values of INH154 in HeLa and MDA-MB-468 cancer cells are 0.20 and 0.12 μM, respectively. INH154 also suppresses the growth of leukemia, osteosarcoma, and glioblastoma cells[1].

In Vivo	Tumor growth rates in mice treated with INH154 are evidently slower than those in control animals in a dose-dependent manner. In agreement with the tumor-growth data, the tumor proliferation index, determined by measuring BrdU staining, is clearly reduced in residual tumors treated with INH154 in comparison with vehicle alone. The expression levels of Nek2 and Hec1 S165 phosphorylation are also substantially reduced in INH154-treated tumors than in vehicle-treated tumors. On the other hand, mice body weights are measured during the 6.5 weeks treatment period and show little difference among treated and control groups. In addition, the toxicity of INHs by treating normal BALB/c ByJNarl mice with high dosage of INH154 (20 mg/kg) shows no significant difference of body weights, blood chemistry, and complete blood count (CBC) analysis among these groups of animals[1].

PROTOCOL

Animal Administration [1]	Mice[1] Human triple negative breast cancer MDA-MB-468 cells, which expressed high levels of both Hec1 and Nek2, are used to test the efficacy of tumor growth in **mouse** xenograft. While tumor volumes reach ~100^{mm3}, mice are randomly divided into 5 treatment groups and began to receive thrice-weekly intraperitoneal (i.p.) injections of vehicle control, 10 mg/kg INH41, 50 mg/kg INH41, **5 mg/kg INH154** or **20 mg/kg INH154**. Treatment is continued for 6.5 weeks and the tumor sizes were measured[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hu CM, et al. Novel small molecules disrupting Hec1/Nek2 interaction ablate tumor progression by triggering Nek2 degradation through a death-trap mechanism. Oncogene. 2015 Mar 5;34(10):1220-30.

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