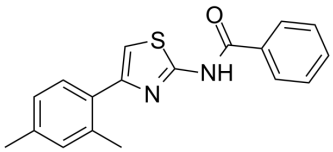
INH1

Cat. No.:	HY-16660
CAS No.:	313553-47-8
Molecular Formula:	$C_{18}H_{16}N_2OS$
Molecular Weight:	308.4
Target:	Apoptosis
Pathway:	Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (324.25 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2425 mL	16.2127 mL	32.4254 mL
5 mM	0.6485 mL	3.2425 mL	6.4851 mL
10 mM	0.3243 mL	1.6213 mL	3.2425 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: ≥ 2.5 mg/mL (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

INH1 specifically disrupts the Hec1/Nek2 interaction via direct Hec1 binding. INH1 shows promising cancer inhibition activity both in vitro and in vivo[1].

In Vitro

INH1 (25 μM, 24 h) treatment resulted in reduced association of Hec1 with kinetochore and decrease of global Nek2 protein level[1].

INH1 exhibits GI_{50} values of 10.5 μM (in MDA-MB-468 cells), 15 μM (in SKBR3 cells), 10.5 μM (in T47D cells), 20.5 μM (in MDA-MB-361 cells), 15 μM (in ZR-75-1 cells), 15 μM (in HBL 100 cells), 15.5 μM (in MDA-MB-435 cells), 11 μM (in HS578T cells) and 41 μM (in MCF10A cells), respectively[1].

INH1 (5k) has an IC_{50} value of 176 nM in the dose-dependent transwell migration assays in MDA-MB-231 cells. INH1 (5k) substantially reduces cellular f-actin and prevented localization of fascin to actin-rich membrane protrusions[2].

INH1 induces abnormal mitotic processes, as well as cell apoptosis[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	MCF10A cells.
Concentration:	10 μM.
Incubation Time:	12 days.
Result:	Effectively inhibits the proliferation of human breast cancer lines.

Western Blot Analysis[1]

Cell Line:	MCF10A cells.
Concentration:	25 μM.
Incubation Time:	24 h.
Result:	Nek2 reduction in INH1-treated cells may be independent of Hec1.

In Vivo

INH1 (50 or 100 mg/kg, i.p., every other day/25 cycles) inhibits tumor outgrowth in a xenografted breast cancer model in nude mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Xenografted nude mice breast cancer model[1].
Dosage:	50 or 100 mg/kg.
Administration:	I.P., every other day/25 cycles.
Result:	Inhibited tumor growth.

REFERENCES

[1]. Wu G, et al. Small molecule targeting the Hec1/Nek2 mitotic pathway suppresses tumor cell growth in culture and in animal. Cancer Res. 2008 Oct 15;68(20):8393-9.

[2]. Shilong Zheng, et al. Discovery of a Series of Thiazole Derivatives as Novel Inhibitors of Metastatic Cancer Cell Migration and Invasion. ACS Med Chem Lett. 2013 Feb 14; 4(2): 191–196.

[3]. Yongxia Zhu, et al. Small Molecule TH-39 Potentially Targets Hec1/Nek2 Interaction and Exhibits Antitumor Efficacy in K562 Cells via G0/G1 Cell Cycle Arrest and Apoptosis Induction. Cell Physiol Biochem. 2016;40(1-2):297-308.

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