HOIPIN-8

Cat. No.: HY-122882

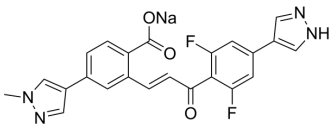
Molecular Formula: $C_{23}H_{15}F_2N_4NaO_3$

Molecular Weight: 456.38

Target: E1/E2/E3 Enzyme

Pathway: Metabolic Enzyme/Protease

Storage: -20°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (219.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1912 mL	10.9558 mL	21.9116 mL
	5 mM	0.4382 mL	2.1912 mL	4.3823 mL
	10 mM	0.2191 mL	1.0956 mL	2.1912 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: 1.25 mg/mL (2.74 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1.25 mg/mL (2.74 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

HOIPIN-8 is a potent inhibitor of linear ubiquitin chain assembly complex (LUBAC) with an IC_{50} of 11 nM. HOIPIN-8 is a HOIPIN-1 derivative with enhanced the potency by 255-fold in the petit-LUBAC inhibition, and 10-fold and 4-fold in the LUBAC- and TNF-α-mediated NF-κB activation, respectively than HOIPIN-1. HOIPIN-1 is a promising tool to explore the cellular functions of LUBAC[1].

In Vitro

HOIPIN-8 (0-100 μM; 72 hours) has little cell toxicity on A549 cells, and exhibits an IC_{50} value of 100 μM[1].
HOIPIN-8 (0-10 μM; 24 hours) has an inhibitory effect over 10-fold enhancement over that of HOIPIN-1 on NF-κB activation, exhibits an IC_{50} value of 0.42?μM in HEK293T cells[1].
HOIPIN-8 (0-30 μM; NF-κB?luciferase?reporter is transfected into cells for 18 hours; then with 10?ng/ml TNF-α for 6?h)

exhibits a 4-fold enhancements of the potency than HOIPIN-1, the IC_{50} value is 11.9 μM. It also effectively reduces IL-1β-induced expression of NF-κB target genes, such as?ICAM1?and?IL-6 as compared to HOIPIN-1[1].

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

Cell Viability Assay[1]

Cell Line:	Human lung carcinoma A549 cells, HEK293T cells
Concentration:	0 μM; 1 μM; 3 μM; 10 μM; 30 μM; 100 μM
Incubation Time:	72 hours
Result:	Did not effect A549 cell viability.

RT-PCR[1]

Cell Line:	HEK293T cells
Concentration:	0 μM; 0.3 μM; 1 μM; 10 μM; 30 μM
Incubation Time:	24 hours
Result:	Had an inhibitory effect on the inflammatory cytokine-induced NF-κB activation pathway.

CUSTOMER VALIDATION

- bioRxiv. 2023 Oct 6.

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REFERENCES

[1]. Ken Katsuya, et al. Small-molecule Inhibitors of Linear Ubiquitin Chain Assembly Complex (LUBAC), HOIPINs, Suppress NF-κB Signaling. Biochem Biophys Res Commun. 2019 Feb 12;509(3):700-706.

Caution: Product has not been fully validated for medical applications. For research use only.

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