Grp94 Inhibitor-1

Cat. No.: HY-112910

CAS No.: 2234897-35-7

Molecular Formula: $C_{22}H_{28}N_2O_2$

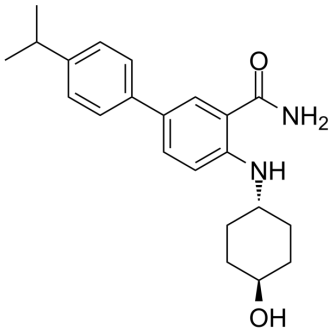
Molecular Weight: 352.47

Target: HSP

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

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 : 250 mg/mL (709.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8371 mL	14.1856 mL	28.3712 mL
	5 mM	0.5674 mL	2.8371 mL	5.6742 mL
	10 mM	0.2837 mL	1.4186 mL	2.8371 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 (5.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Grp94 Inhibitor-1 is a potent, selective Grp94 inhibitor with an IC_{50} value of 2 nM, and over 1000-fold selectivity to Grp94 against Hsp90α[1].

IC_{50} & Target

GRP94
2 nM (IC_{50})

In Vitro

Grp94-specific clients include a subset of integrin subunits such as integrin α2 and integrin αL. Their maturation and

trafficking to the cell surface are dependent on the Grp94 chaperone function but have no association with cytoplasmic Hsp90α.
Grp94 Inhibitor-1 (1-5 μM; 36 hours) significantly downregulated the cell surface expression levels of integrin α2 and integrin αL in a dose-dependent manner in panc1 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Panc1 cells
Concentration:	1 μM; 2.5 μM; 5 μM
Incubation Time:	36 hours
Result:	Decreased integrin α2 and integrin αL protein expression.

In Vivo

Grp94 Inhibitor-1 (intraperitoneal injection,qid.; coadminbistration 10 mg/kg or 30 mg/kg; 8 days) does not attenuate the colon shortening, but decreases disease activity index (DAI) scores. It also TNFα and IL-6 levels in the serum and colonic tissues and significantly reduces the p65 expression in colonic tissues, especially those in the 30 mg/kg dose group[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice (male, 20-22 g)[1]
Dosage:	10 mg/kg or 30 mg/kg
Administration:	Intraperitoneal injection,qid.; coadminbistration 10 mg/kg or 30 mg/kg
Result:	Decreased disease activity index (DAI) scores in UC mices.

CUSTOMER VALIDATION

- J Virol. 2021 Dec 1;JVI0110321.
- Lancaster University. 2023 Sep 12.

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REFERENCES

[1]. Jiang F, et al. Discovery of a Potent Grp94 Selective Inhibitor with Anti-Inflammatory Efficacy in a Mouse Model of Ulcerative Colitis. J Med Chem. 2018 Nov 8;61(21):9513-9533.

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