Lonidamine

Cat. No.: HY-B0486

CAS No.: 50264-69-2

Molecular Formula: $C_{15}H_{10}Cl_2N_2O_2$

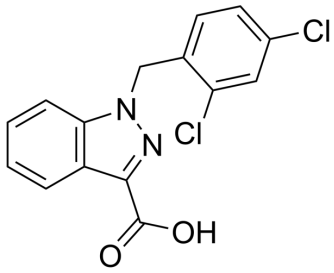
Molecular Weight: 321.16

Target: Hexokinase; Mitochondrial Metabolism; Apoptosis; Parasite

Pathway: Metabolic Enzyme/Protease; Apoptosis; Anti-infection

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 : 50 mg/mL (155.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1137 mL	15.5686 mL	31.1371 mL
	5 mM	0.6227 mL	3.1137 mL	6.2274 mL
	10 mM	0.3114 mL	1.5569 mL	3.1137 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 (6.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lonidamine (AF-1890) is a hexokinase and mitochondrial pyruvate carrier inhibitor (K_i: 2.5 μM). Lonidamine also inhibits aerobic glycolysis in cancer cells. Lonidamine can be used in the research of mitochondrial metabolism and inflammation, such as pulmonary fibrosis[1][2][3].

IC_{50} & Target

Ki: 2.5 μM (Mitochondrial pyruvate carrier)[2]

In Vitro

Lonidamine (100 μM, 24 h) inhibits TGF-β-stimulated lactate production and oxygen consumption rate in AKR-2B and TIG-1 cells[3].
Lonidamine (100 μM, 24/48 h) inhibits H2030BrM3 and A549 cell proliferation[4].
Lonidamine (100-200 μM, 24 h) inhibits H2030BrM3 and A549 cell invasion[4].

	Lonidamine (100-1000 μM, 24 h) inhibits mitochondrial complex I and II activities[4]. Lonidamine (200 μM, 24 h) increases ROS generation in H2030BrM3 lung cancer cells[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lonidamine (oral administration, 10-100 mg/kg/day, d10 to d20) improves lung function by inhibiting hexokinase 2 (HK2) activity in BLM-induced pulmonary fibrosis murine model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lonidamine (oral administration, 10-100 mg/kg/day, d10 to d20) improves lung function by inhibiting hexokinase 2 (HK2) activity in BLM-induced pulmonary fibrosis murine model [3].
Dosage:	10, 30, 100 mg/kg/day
Administration:	Oral administration, daily, d10 to d20 after BLM treatment.
Result:	Partially or completely reversed the increases in HK2 and lactate induced by BLM and reduced the expression of 10 profibrotic mediators.

CUSTOMER VALIDATION

- Cell Res. 2021 Sep;31(9):980-997.
- Cell Discov. 2020 Aug 18;6:56.
- Cell Metab. 2022 Nov 11;S1550-4131(22)00490-9.
- Cell Metab. 2022 Aug 19;S1550-4131(22)00345-X.
- ACS Nano. 2022 Jan 13.

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REFERENCES

[1]. Nancolas B, et al. The anti-tumour agent lonidamine is a potent inhibitor of the mitochondrial pyruvate carrier and plasma membrane monocarboxylate transporters. Biochem J. 2016 Apr 1;473(7):929-36.

[2]. Ilya A Shutkov, et al. Ru(III) Complexes with Lonidamine-Modified Ligands. Int J Mol Sci. 2021 Dec 15;22(24):13468.

[3]. Xueqian Yin, et al. Hexokinase 2 couples glycolysis with the profibrotic actions of TGF-β. Sci Signal. 2019 Dec 17;12(612):eaax4067.

[4]. Gang Cheng, et al. Targeting lonidamine to mitochondria mitigates lung tumorigenesis and brain metastasis. Nat Commun. 2019 May 17;10(1):2205.

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