

Product Data Sheet

Product Name: Lonidamine

Cat. No.: GC11532

Chemical Properties

Cas. No. 50264-69-2

Chemical Name 1-[(2,4-dichlorophenyl)methyl]indazole-3-carboxylic acid

SMILES C1=CC=C2C(=C1)C(=NN2CC3=C(C=C(C(=C3)Cl)Cl)C(=O)O

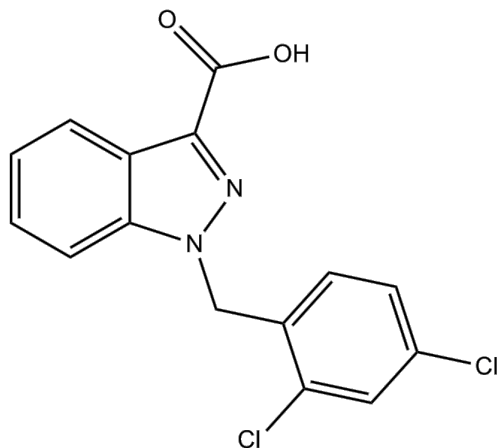
Formula $C_{15}H_{10}Cl_2N_2O_2$ M.Wt 321.16

Solubility $\geq 11.1\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines DB-1 cell

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

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For testing Lonidamine treatment response of cultured cells, DB-1 cells were expanded in phenol-red free RPMI 1640 complete medium. Suspended DB-1 cells (4×10^4 , 200 μ l) were transferred to a 35-mm glass bottom dish (MatTek, MA) and incubated for 4h to allow cells to attach to the glass surface. Fresh medium (1 ml) was then added, and the dish was incubated for 20h.

Preparation Method Approximately 1h before imaging, the medium was removed and the dish were rinsed twice with PBS (with Ca^{2+} and Mg^{2+}) followed by adding 1ml live cell imaging solution (LCIS) spiked with 11mM glucose and 2mM glutamine. For the Lonidamine-treated group, the cells were treated with 150 μ M Lonidamine for 45min (0.8% or 0.2% DMSO in the glucose and glutamine-spiked LCIS). The control group was treated with 0.8% or 0.2% DMSO for 45min.

Reaction Conditions 150 μ M; 45min

Applications Lonidamine significantly reduced the NADH level in the cells, and the Fp redox ratio ($\text{Fp}/(\text{NADH} + \text{Fp})$) increased, while the mitochondrial redox capacity was significantly decreased.

Animal experiment [2]:

Animal models C57BL/6 mice

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Preparation Method	C57BL/6 mice were housed in ventilated cages. All animal experiments were approved by the institutional animal care and use committee at the Zhejiang University Laboratory Animal Center. A mouse model of eosinophilic chronic sinusitis (ECRS) was established using intranasal papain administration (20µg in 20µL PBS) on Days 0–2 and 7–10 for a total of 7 doses, with controls receiving PBS. Treatment groups included gavage with Lonidamine (1, 3, or 10mg/kg), or intraperitoneal DEX (1mg/kg) daily for 11 days. Seventy-two mice (balanced for sex) were divided into six groups (n = 12 per group): control, ECRS, ECRS+ Lonidamine (1, 3, or 10mg/kg), and ECRS+DEX. No adverse effects were noted. Mice were anesthetized with isoflurane and euthanized using CO₂ (30% vol/min). This study followed ethical guidelines for humane animal treatment.
Dosage form	1, 3, and 10mg/kg/day for 11 days; i.g.
Applications	Lonidamine alleviated ECRS symptoms, reducing sneezing, cytokine release, inflammatory cell infiltration, and goblet cell hyperplasia.

References:

- [1] Xu H N, Feng M, Nath K, et al. Optical redox imaging of lonidamine treatment response of melanoma cells and xenografts[J]. *Molecular Imaging and Biology*, 2019, 21(3): 426-435.
- [2] Liu J, Wu L, Wei H, et al. Lonidamine alleviates inflammation and tissue remodeling in a mouse model of eosinophilic chronic sinusitis: A single-cell transcriptomics study[J]. *International Immunopharmacology*, 2025, 163: 115258.

Background

Lonidamine is an inhibitor of hexokinase, mitochondrial pyruvate carrier (with a K_i of 2.5µM in isolated rat liver mitochondria) and plasma membrane monocarboxylate transporter, and also inhibits mitochondrial complex II [1]. Lonidamine is an anti-tumor drug that can inhibit aerobic glycolysis in cancer cells [2]. Lonidamine can be used in the research of mitochondrial metabolism and inflammation [3].

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In vitro, Lonidamine (3, 10, and 30 μ M; 24h) treatment of IL-4, IL-13, and TNF- α -induced human nasal mucosal epithelial cells significantly and dose-dependently reduced the expression of CXCL1 and PTN, confirming its direct anti-inflammatory and anti-resorptive effects on nasal epithelial cells [4]. Lonidamine (150 μ M; 45min and 3h) treatment of human melanoma DB-1 cells significantly reduced NADH levels, the Fp redox ratio (Fp/(NADH + Fp)) increased, and mitochondrial redox capacity significantly decreased [5].

In vivo, Lonidamine (100mg/kg; ip) treatment for 2 hours significantly reduced the β NTP level in nude mice with DB-1 human melanoma. At the same time, the NTP levels in DB-1, HCC1806, BT-474, A2780 and LNCaP also decreased respectively [6]. Lonidamine (1, 3, and 10mg/kg/day for 11 days; i.g.) treatment alleviated the symptoms of chronic sinusitis mice, reduced sneezing, cytokine release, inflammatory cell infiltration, and goblet cell hyperplasia. Lonidamine inhibits inflammation by inhibiting the differentiation of inflammatory epithelial cells and neutrophils through Cxcl1-Cxcr2 [4].

References:

- [1] Shutkov IA, Okulova YN, Tyurin VY, et al. Ru(III) Complexes with Lonidamine-Modified Ligands. Int J Mol Sci. 2021;22(24):13468.
- [2] 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.
- [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] Liu J, Wu L, Wei H, et al. Lonidamine alleviates inflammation and tissue remodeling in a mouse model of eosinophilic chronic sinusitis: A single-cell transcriptomics study[J]. International Immunopharmacology, 2025, 163: 115258.
- [5] Xu H N, Feng M, Nath K, et al. Optical redox imaging of lonidamine treatment response of melanoma cells and xenografts[J]. Molecular Imaging and Biology, 2019, 21(3): 426-435.
- [6] Nath K, Nelson D S, Heitjan D F, et al. Lonidamine induces intracellular tumor acidification and ATP depletion in breast, prostate and ovarian cancer xenografts and potentiates response to doxorubicin[J]. NMR in Biomedicine, 2015, 28(3): 281-290.

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