Product Datasheet

R-GNE-140

Catalog No. **BP-02325** · CAS **2003234-63-5** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-10**

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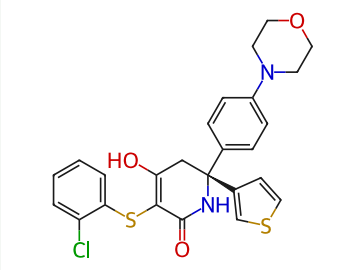
Target	Research area
Lactate Dehydrogenase; Bacterial	**Cardiovascular Disease; InfectionInflammation/Immunology; Cancer**

Molecular formula	$C_{25}H_{23}ClN_2O_3S_2$
Molecular weight	**499.0450**

STRUCTURE



DESCRIPTION

(R)-GNE-140 is a potent lactate dehydrogenase A (LDHA) inhibitor, with IC50s of 3 nM and 5 nM for LDHA and LDHB, respectively; (R)-GNE-140 is 18-fold more potent than S enantiomer.

BIOLOGICAL ACTIVITY

In Vitro

(R)-GNE-140 (10 μM; 48 h) reduces intracellular lactate levels, decreases the surface area of neonatal mouse cardiomyocytes, downregulates the expression of cardiac hypertrophy markers, and inhibits multiple histone lysine lactylation modifications, thereby alleviating angiotensin II (Ang II)-induced hypertrophy of neonatal mouse cardiomyocytes (NMCM).

Pretreatment of mouse RAW264.7 macrophages with (R)-GNE-140 (10 μM; 4 h) inhibits PM2.5-induced glycolysis, histone lactylation, pro-fibrotic gene expression and cytokine secretion, and partially reverses macrophage-mediated epithelial-mesenchymal transition (EMT) in MLE-12 cells.

(R)-GNE-140 (6-12 h) inhibits MSM-induced Arg1 mRNA expression in MRSA-infected THP1 cells at 6 h and 12 h post-infection.

(R)-GNE-140 (6-12 h) blocks MSM-induced Arg1 protein expression in THP1 cells infected with MRSA at 6 h and 12 h post-infection.

(R)-GNE-140 (Compound 29-R) can inhibit lactate production in human pancreatic cancer MiaPaca2 cells, with its IC50 being 0.67 μM.

In Vivo

(R)-GNE-140 (5 mg/kg; i.t.; once every other day; 4 weeks) reduces PM2.5-induced pulmonary inflammation and

fibrosis in male C57BL/6 J mice by inhibiting glycolysis and subsequent histone lactylation.

SOLUBILITY

soluble in DMSO

REFERENCES

[1]. Zhao SS, et al. Lactate regulates pathological cardiac hypertrophy via histone lactylation modification. J Cell Mol Med. 2024;28(16):e70022.

[2]. Li J, et al. Urban airborne PM2.5 induces pulmonary fibrosis through triggering glycolysis and subsequent modification of histone lactylation in macrophages. Ecotoxicol Environ Saf. 2024;273:116162.

[3]. Ma W, et al. Methylsulfonylmethane protects against lethal dose MRSA-induced sepsis through promoting M2 macrophage polarization. Mol Immunol. 2022;146:69-77.

[4]. Purkey HE, et al. Cell Active Hydroxylactam Inhibitors of Human Lactate Dehydrogenase with Oral Bioavailability in Mice. ACS Med Chem Lett. 2016 Aug 26;7(10):896-901.

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