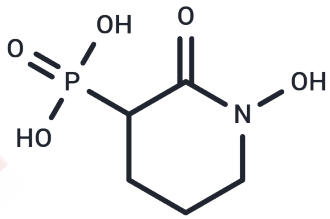
Data Sheet (Cat.No.T39419)

TargetMol

Hex

Chemical Properties



CAS No. : 2004714-32-1

Formula: C5H10NO5P

Molecular Weight: 195.11

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Hex is an enolase inhibitor and antimalarial agent with Ki values of 74.4 nM and 269.4 nM against ENO2 and ENO1, respectively; its IC50 values against NfENO and TbENO are 0.14 μM and 2.1 μM, respectively. Hex exhibits antimalarial activity against Plasmodium falciparum 3D7, Naegleria fowleri trophozoites, and Plasmodium berghei ANKA strain, and also shows certain antitumor effects against intracranial tumors.
Targets(IC50)	Glucokinase,Parasite
In vitro	Hex (1-week treatment) is toxic to D423 (ENO1) cells, with an IC50 of approximately 1.3 μM [1]. Hex is a potent NfENO inhibitor with an IC50 of 0.14 μM [2]. Hex (48-hour treatment) significantly inhibits the proliferation of Naegleria fowleri trophozoites, with an EC50 of 0.21 μM [2]. Hex inhibits TbENO with an IC50 of 2.1 μM [3]. Hex shows weak antimalarial activity against Plasmodium falciparum strain 3D7, with an EC50 > 15 μM [6].
In vivo	Hex (150 mg/kg, intravenous and intraperitoneal injection, once daily for 1 week) significantly inhibits tumor growth in a mouse intracranial tumor model, with complete regression of some tumors and no recurrence after long-term treatment [1]. Hex (3 mg/kg, intranasal instillation, once daily for 10 days) prolongs the survival of rats infected with Naegleria fowleri, but cannot achieve complete cure [2]. Hex (100 mg/kg, intraperitoneal injection, once daily for 5 days) reduces the overall parasite burden, improves clinical scores, and significantly increases the survival rate of mice infected with Plasmodium berghei ANKA strain [7].

Solubility Information

Solubility	DMSO: 112 mg/mL (574.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.1253 mL	25.6266 mL	51.2531 mL
5 mM	1.0251 mL	5.1253 mL	10.2506 mL
10 mM	0.5125 mL	2.5627 mL	5.1253 mL
50 mM	0.1025 mL	0.5125 mL	1.0251 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lin YH, et al. An enolase inhibitor for the targeted treatment of ENO1-deleted cancers. Nat Metab. 2020 Dec;2(12): 1413-1426.
Milanes JE, et al. Enolase inhibitors as therapeutic leads for Naegleria fowleri infection. PLoS Pathog. 2024 Aug 1; 20(8):e1012412.
Roster CP, et al. Enolase Inhibitors as Early Lead Therapeutics against Trypanosoma brucei. Pathogens. 2023 Oct 28;12(11):1290.
Yan VC, et al. Comparative Pharmacology of a Bis-Pivaloyloxymethyl Phosphonate Prodrug Inhibitor of Enolase after Oral and Parenteral Administration. ACS Pharmacol Transl Sci. 2023 Jan 6;6(2):245-252.
Lin Y H, et al. Eradication of ENO1-deleted glioblastoma through collateral lethality. BioRxiv, 2018: 331538.
Jezewski A J, et al. Accelerated erythrocyte senescence causes dose-limiting anemia of antimalarial enolase inhibitors. Hematology. American Society of Hematology. Education Program, 2020.
Jezewski AJ, et al. Targeting Host Glycolysis as a Strategy for Antimalarial Development. Front Cell Infect Microbiol. 2021 Sep 16;11:730413.
BOARD OF REGENTS, et al. Enolase inhibitors and methods of treatment there with. WO2016145113A1