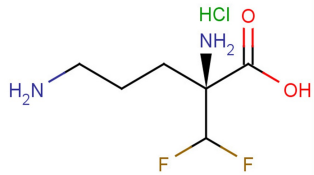
Data Sheet (Cat.No.T11812L)

L-Eflornithine monohydrochloride

Chemical Properties



CAS No.:	69955-42-6
Formula:	C6H13ClF2N2O2
Molecular Weight:	218.63
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	L-Eflornithine is an irreversible ornithine decarboxylase (ODC) inhibitor with a KD of 1.3±0.3 μM, and a Kinact of 0.15±0.03 min-1. L-Eflornithine monohydrochloride (L-DFMO monohydrochloride) is an enantiomer of Eflornithine.
Targets(IC_{50})	Ornithine decarboxylase，ODC: (kd)1.3±0.3 μM
In vitro	Treatment of human colon tumour-derived HCT116 cells with either L-Eflornithine or D- Eflornithine decreases the cellular polyamine contents in a concentration-dependent manner. The enantiomers display different potencies in vitro, with the L-enantiomer having up to a 20-fold higher affinity for the target enzyme ornithine decarboxylase. The L-Eflornithine also appears to be more potent in cultured T.brucei gambiense parasites.Eflornithine (D/L-DFMO) is an inhibitor of ODC, the first enzyme in eukaryotic polyamine biosynthesis. Both enantiomers of Eflornithine (DFMO) irreversibly inactivate ODC. Both Eflornithine enantiomers (L-Eflornithine and D-Eflornithine) suppress ODC activity in a time- and concentration-dependent manner. The inhibitor dissociation constant (KD) values for the formation of enzyme-inhibitor complexes are 28.3±3.4, 1.3±0.3 and 2.2±0.4 μM respectively for D-Eflornithine, L-Eflornithine and Eflornithine. The inhibitor inactivation constants (Kinact) for the irreversible step were 0.25±0.03, 0.15±0.03 and 0.15±0.03 min-1 respectively for D-Eflornithine, L-Eflornithine and Eflornithine.
In vivo	The typical oral clearances of L-Eflornithine and D-eflornithine are 17.4 and 8.23 liters/h, respectively.The more potent L-Eflornithine is present at much lower concentrations in both plasma and cerebrospinal fluid (CSF) than those of the D-Eflornithine. The plasma concentrations of L-Eflornithine are on average 52% of the D-enantiomer concentrations.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.574 mL	22.87 mL	45.739 mL
5 mM	0.915 mL	4.574 mL	9.148 mL
10 mM	0.457 mL	2.287 mL	4.574 mL
50 mM	0.091 mL	0.457 mL	0.915 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Qu N, et al. Inhibition of human ornithine decarboxylase activity by enantiomers of difluoromethylornithine. Biochem J. 2003 Oct 15;375(Pt 2):465-70.

2. Jansson-Löfmark R, et al. Enantiospecific reassessment of the pharmacokinetics and pharmacodynamics of oral eflornithine against late-stage Trypanosoma brucei gambiense sleeping sickness. Antimicrob Agents Chemother. 2015 Feb;59(2):1299-307.

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