L-Eflornithine monohydrochloride

Cat. No.: HY-B0744D

CAS No.: 69955-42-6

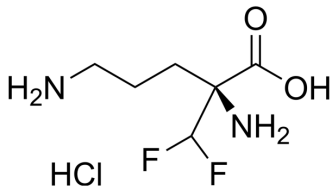
Molecular Formula: $C_6H_{13}ClF_2N_2O_2$

Molecular Weight: 218.63

Target: Parasite

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (914.79 mM; Need ultrasonic)
H_2O : 50 mg/mL (228.70 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.5739 mL	22.8697 mL	45.7394 mL
5 mM	0.9148 mL	4.5739 mL	9.1479 mL
10 mM	0.4574 mL	2.2870 mL	4.5739 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: ≥ 100 mg/mL (457.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (22.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (22.87 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (22.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-Eflornithine monohydrochloride (L-DFMO monohydrochloride) is an enantiomer of Eflornithine. L-Eflornithine is an irreversible ornithine decarboxylase (ODC) inhibitor with a K_D of 1.3±0.3 μM, and a K_{inact} of 0.15±0.03 min^{-1}[1].

IC_{50} & Target

KD:1.3±0.3 μM (Ornithine decarboxylase, ODC)[1]

In Vitro

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 (K_D) 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 (K_{inact}) 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. 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[1]. 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[2]. The L-Eflornithine also appears to be more potent in cultured T.brucei gambiense parasites[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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. The typical oral clearances of L-Eflornithine and D-eflornithine are 17.4 and 8.23 liters/h, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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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