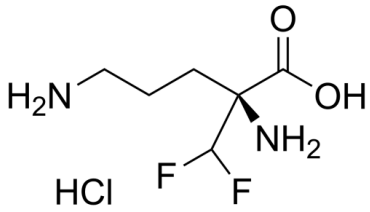
Data Sheet

Product Name:	L-Eflornithine (monohydrochloride)
Cat. No.:	CS-0083703
CAS No.:	69955-42-6
Molecular Formula:	$C_6H_{13}ClF_2N_2O_2$
Molecular Weight:	218.63
Target:	Parasite
Pathway:	Anti-infection
Solubility:	DMSO : 200 mg/mL (ultrasonic);H_2O : 50 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

L-Eflornithine monohydrochloride (L-DFMO monohydrochloride) is an enantiomer of Eflornithine. L-Eflornithine is an irreversible **ornithine decarboxylase** (**ODC**) inhibitor with a $\mathbf{K_D}$ of 1.3±0.3 μM, and a $\mathbf{K_{inact}}$ of 0.15±0.03 min^{-1}[1]. IC50 & 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].

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].

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.

CAIndexNames:

L-Ornithine, 2-(difluoromethyl)-, monohydrochloride (9CI)

SMILES:

N[C@](CCCN)(C(F)F)C(O)=O.Cl

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

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