Product Data Sheet

Product Name: L-Eflornithine (L-DFMO)
Cat. No.: GC33414

Chemical Properties

Cas. No.	66640-93-5		
SMILES	N[C@](CCCN)(C(F)F)C(O)=O		
Formula	$C_6H_{12}F_2N_2O_2$	M.Wt	182.17
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	L-Eflornithine (L-DFMO)		

Background

L-Eflornithine (L-DFMO) is an enantiomer of Eflornithine. 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[1].

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. 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

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parasites[2].

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].

[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-L?fmark 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.