L-Eflornithine

Cat. No.: HY-B0744C

CAS No.: 66640-93-5

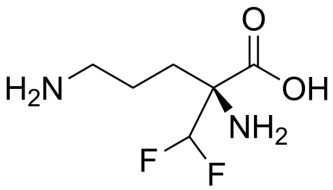
Molecular Formula: $C_6H_{12}F_2N_2O_2$

Molecular Weight: 182.17

Target: Parasite

Pathway: Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	L-Eflornithine (L-DFMO) 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.

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

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