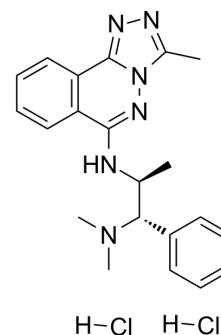


L-Moses dihydrochloride

Cat. No.:	HY-101125A
Molecular Formula:	C ₂₁ H ₂₆ Cl ₂ N ₆
Molecular Weight:	433.38
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description	L-Moses (L-45) dihydrochloride is the first potent, selective, and cell-active p300/CBP-associated factor (PCAF) bromodomain (Brd) inhibitor with a K _d of 126 nM ^[1] .
IC₅₀ & Target	Brd 126 nM (Kd)
In Vitro	L-Moses (L-45) disrupts PCAF-Brd histone H3.3 interaction in cells using a nanoBRET assay, and a co-crystal structure of L-Moses with the homologous Brd PfGCN5 from Plasmodium falciparum rationalizes the high selectivity for PCAF and GCN5 bromodomains. A structure using highly homologous (64 % identity) Brd from Plasmodium falciparum, PfGCN5, of which L-Moses is also a potent ligand (isothermal titration calorimetry (ITC) KD 280 nM), is successfully obtained (PDB: 5TPX). L-Moses binds in the acetylated lysines (KAc) -binding pocket of PfGCN (blue ribbon and sticks) and makes H-bonds (dotted lines) through the triazole to N1436 and the first of a network of four water molecules (red spheres) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-Moses (L-45) shows no observable cytotoxicity in peripheral blood mononuclear cells (PBMC), good cell-permeability, and metabolic stability in human and mouse liver microsomes, supporting its potential for in vivo use ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- EMBO Rep. 2020 Mar 4;21(3):e48328.

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REFERENCES

[1]. Moustakim M, et al. Discovery of a PCAF Bromodomain Chemical Probe. Angew Chem Int Ed Engl. 2017 Jan 16;56(3):827-831.

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

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