
Product Data Sheet

Product Name: L-45 dihydrochloride (L-Moses dihydrochloride)

Cat. No.: GC34377

Chemical Properties

Cas. No.

SMILES C[C@@H]([C@H](C1=CC=CC=C1)N(C)C)NC(C2=C3C=CC=C2)=NN4C3=NN=C4C.[H]Cl.[H]Cl

Formula $C_{21}H_{26}Cl_2N_6$ M.Wt 433.38

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

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 ± 15 nM.

L-45 disrupts PCAF-Brd histone H3.3 interaction in cells using a nanoBRET assay, and a co-crystal structure of L-45 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-45 is also a potent ligand (isothermal titration calorimetry (ITC) K_D 280 nM), is successfully obtained (PDB: 5TPX). L-45 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].

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].

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

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

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