L-778123 hydrochloride

Cat. No.: HY-16273A

CAS No.: 253863-00-2

Molecular Formula: $C_{22}H_{21}Cl_2N_5O$

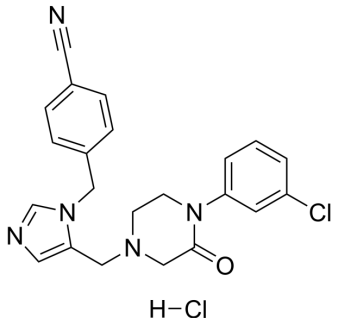
Molecular Weight: 442.34

Target: Farnesyl Transferase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 25 mg/mL (56.52 mM)

H_2O : 25 mg/mL (56.52 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.2607 mL	11.3035 mL	22.6070 mL
	5 mM	0.4521 mL	2.2607 mL	4.5214 mL
	10 mM	0.2261 mL	1.1304 mL	2.2607 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (226.07 mM); Suspended solution; Need ultrasonic and adjust pH to 5 with 1M HCl
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.25 mg/mL (5.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (5.09 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (5.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-778123 hydrochloride is a dual inhibitor of FPTase and GGPTase-I, with IC_{50} values of 2 nM and 98 nM respectively.

In Vitro

L-778123 hydrochloride alone does not have obvious cytotoxicity on HT-29 and A549 cell lines (IC_{50}: >100 μM), but can generate synergistic effects with Doxorubicin (HY-15142A), with the decreased IC_{50}s of 1.72 and 1.52 μM respectively[2].
L-778123 hydrochloride inhibits myeloid leukemia cell proliferation with IC_{50} values of 0.2 μM-1.8 μM for cell lines, and 0.1 μ

	M-161.8 μM in primary samples[3]. L-778123 (0-1 μM, 12 h; or 5 μM, 6 h) hydrochloride inhibits H-RAS prenylation in HL-60 cells, and inhibits phosphorylated MEK-1/2 level (5 μM, 24 h)[3]. L-778123 (0-100 μM, 72 h) hydrochloride inhibits lymphocyte activation (marker: CD71 or CD25) and function in human PBMCs[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-778123 (50 mg/kg/day, infusion, 7 days) hydrochloride inhibits both HDJ2 and Rap1A prenylation in PBMCs of dogs, but without inhibition in Ki-Ras prenylation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- bioRxiv. 2023 Feb 8:2023.02.07.527548.

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REFERENCES

[1]. Fredrick O. Onono, et al. The Farnesyltransferase Inhibitor (FTI) L-778,123 Displays Promising Anti-Leukemia Activity. Blood (2008); 112 (11): 2627.

[2]. Si MS, et al. Inhibition of lymphocyte activation and function by the prenylation inhibitor L-778,123. Invest New Drugs. 2005 Jan;23(1):21-9.

[3]. Lobell RB, et al. Preclinical and clinical pharmacodynamic assessment of L-778,123, a dual inhibitor of farnesyl:protein transferase and geranylgeranyl:protein transferase type-I. Mol Cancer Ther. 2002 Jul;1(9):747-758.

[4]. Ghasemi S, et al. Comparison of Cytotoxic Activity of L778123 as a Farnesyltranferase Inhibitor and Doxorubicin against A549 and HT-29 Cell Lines. Adv Pharm Bull. 2013;3(1):73-77.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA