

# PRODUCT INFORMATION



## L-778,123 (hydrochloride)

Item No. 22940

CAS Registry No.: 253863-00-2

Formal Name: 4-[[5-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-1H-imidazol-1-yl]methyl]-benzonitrile, monohydrochloride, trifluoroacetate salt

MF:  $C_{22}H_{20}ClN_5O \cdot HCl$

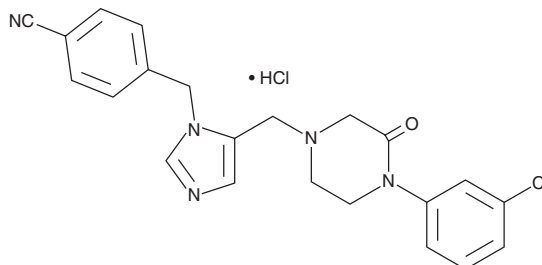
FW: 442.3

Purity:  $\geq 98\%$

Supplied as: A crystalline solid

Storage:  $-20^{\circ}C$

Stability:  $\geq 2$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

L-778,123 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the L-778,123 (hydrochloride) in the solvent of choice. L-778,123 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of L-778,123 (hydrochloride) is approximately 10 mg/ml in ethanol and approximately 12.5 mg/ml in DMSO and DMF.

### Description

L-778,123 (hydrochloride) is a dual inhibitor of farnesyl transferase (FTase;  $IC_{50} = 2$  nM) and geranylgeranyl transferase type I (GGTase I;  $IC_{50} = 98$  nM).<sup>1</sup> It inhibits prenylation of the FTase and GGTase I substrates HDJ2 and RAP1A in PSN-1 pancreatic tumor cells ( $EC_{50}s = 92$  and  $6,760$  nM, respectively). L-778,123 (hydrochloride) ( $1-300 \mu M$ ) also inhibits prenylation of the oncogenic protein KI-RAS in PSN-1 cells in a concentration-dependent manner. *Ex vivo*, L-778,123 (hydrochloride) ( $35-50$  mg/kg per day) reduces HDJ2 and RAP1A prenylation in dog peripheral blood mononuclear cells (PBMCs) but has no effect on KI-RAS prenylation in patient-derived PBMCs. L-778,123 (hydrochloride) inhibits lectin-induced expression of the T cell activation markers CD71 and CD25 on human PMBCs ( $IC_{50}s = 6.48$  and  $84.1 \mu M$ , respectively) and inhibits IL-2-induced proliferation of CTLL-2 cells ( $IC_{50} = 0.81 \mu M$ ).<sup>2</sup>

### References

1. Lobell, R.B., Liu, D., Buser, C.A., *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.* **1**(9), 747-758 (2002).
2. Si, M.-S., Reitz, B.A., and Borie, D.C. Inhibition of lymphocyte activation and function by the prenylation inhibitor L-778,123. *Invest New Drugs* **23**(1), 21-29 (2005).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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