

# PRODUCT INFORMATION



**L-778,123**

Item No. 32504

**CAS Registry No.:** 183499-57-2

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

**MF:** C<sub>22</sub>H<sub>20</sub>ClN<sub>5</sub>O

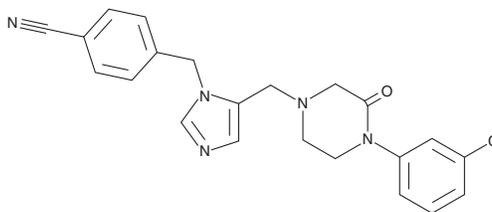
**FW:** 405.9

**Purity:** ≥95%

**Supplied as:** A crystalline solid

**Storage:** -20°C

**Stability:** ≥4 years



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

## Laboratory Procedures

L-778,123 is supplied as a crystalline solid. A stock solution may be made by dissolving the L-778,123 in the solvent of choice, which should be purged with an inert gas. L-778,123 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of L-778,123 in ethanol is approximately 10 mg/ml and 30 mg/ml in DMSO and DMF.

L-778,123 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, L-778,123 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. L-778,123 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

L-778,123 is a dual inhibitor of farnesyl transferase (FTase; IC<sub>50</sub> = 2 nM) and geranylgeranyl transferase type I (GGTase I; IC<sub>50</sub> = 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<sub>50</sub>s = 92 and 6,760 nM, respectively). L-778,123 (1-300 μM) also inhibits prenylation of the oncogenic protein Ki-Ras in PSN-1 cells in a concentration-dependent manner. *Ex vivo*, L-778,123 (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 inhibits lectin-induced expression of the T cell activation markers CD71 and CD25 on human PMBCs (IC<sub>50</sub>s = 6.48 and 84.1 μM, respectively) and inhibits IL-2-induced proliferation of CTLL2 cells (IC<sub>50</sub> = 0.81 μM).<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.

### WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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