# PRODUCT INFORMATION

## L-778,123

*Item No. 32504*

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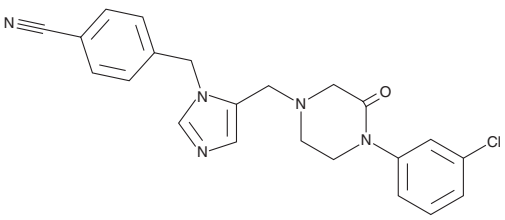
| **CAS Registry No.:** | 183499-57-2 |
| **Formal Name:** | 4-[[5-[[4-(3-chlorophenyl)-3-oxo-1-piperazinyl]methyl]-1H-imidazol-1-yl]methyl]-benzonitrile |
| **MF:** | $C_{22}H_{20}ClN_5O$ |
| **FW:** | 405.9 |
| **Purity:** | ≥95% |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **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; $IC_{50}$ = 2 nM) and geranylgeranyl transferase type I (GGTase I; $IC_{50}$ = 98 nM).[1] 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 (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 ($IC_{50}$s = 6.48 and 84.1 µM, respectively) and inhibits IL-2-induced proliferation of CTLL2 cells ($IC_{50}$ = 0.81 µM).[2]

### 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).





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