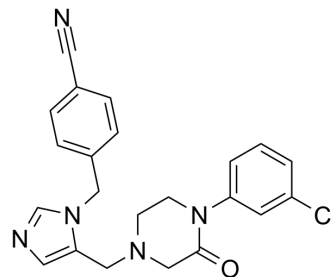


L-778123

Cat. No.:	HY-16273
CAS No.:	183499-57-2
Molecular Formula:	C ₂₂ H ₂₀ ClN ₅ O
Molecular Weight:	405.88
Target:	Farnesyl Transferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	L-778123 is a dual FPTase and GGPTase-I inhibitor, with IC ₅₀ s of 2 nM and 98 nM respectively ^{[1][2]} .
In Vitro	<p>L-778123 alone does not have obvious cytotoxicity on HT-29 and A549 cell lines (IC₅₀: >100 μM), but can generate synergistic effects with Doxorubicin (HY-15142A), with the decreased IC₅₀s of 1.72 and 1.52 μM respectively^[2].</p> <p>L-778123 inhibits myeloid leukemia cell proliferation with IC₅₀ values of 0.2 μM-1.8 μM for cell lines, and 0.1 μM-161.8 μM in primary samples^[3].</p> <p>L-778123 (0-1 μM, 12 h; or 5 μM, 6 h) inhibits H-RAS prenylation in HL-60 cells, and inhibits phosphorylated MEK-1/2 level (5 μM, 24 h)^[3].</p> <p>L-778123 (0-100 μM, 72 h) 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.</p>
In Vivo	<p>L-778123 (50 mg/kg/day, infusion, 7 days) inhibits both HDJ2 and Rap1A prenylation in PBMCs of dogs, but without inhibition in Ki-Ras prenylation^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

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- [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 Farnesyltransferase Inhibitor and Doxorubicin against A549 and HT-29 Cell Lines. *Adv Pharm Bull*. 2013;3(1):73-77.

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

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