Carboxyamidotriazole Orotate

Cat. No.: HY-16125

CAS No.: 187739-60-2

Molecular Formula: $C_{22}H_{16}Cl_3N_7O_6$

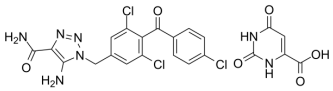
Molecular Weight: 580.76

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

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 : 5 mg/mL (8.61 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7219 mL	8.6094 mL	17.2188 mL
	5 mM	0.3444 mL	1.7219 mL	3.4438 mL
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Carboxyamidotriazole Orotate (L-651582 Orotate) is the orotate salt form of Carboxyamidotriazole (CAI), an orally bioavailable signal transduction inhibitor. Carboxyamidotriazole Orotate is a cytostatic inhibitor of nonvoltage-operated calcium channels and calcium channel-mediated signaling pathways. Carboxyamidotriazole Orotate shows anti-tumor, anti-inflammatory and antiangiogenic effects[1][2].

In Vitro

Carboxyamidotriazole Orotate (0.1-10 μM; 24-96 hours) inhibits cell proliferation of LAMA84R and K562R cell lines[1]. Carboxyamidotriazole Orotate inhibits the phosphorylation of a selected target of Bcr-Abl kinase. Tyrosine phosphorylation of CrkL is reduced by 5 μM Carboxyamidotriazole Orotate treatment[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	LAMA84R and K562R cell lines
Concentration:	0.1, 1, 5, 10 μM
Incubation Time:	24, 48, 72, 96 hours

Result:	Showed a 50% growth reduction of the chronic myelogenous leukaemia (CML) lines with 5 μM CTO at 96 h time point.

Western Blot Analysis[1]

Cell Line:	LAMA84R and K562R cell lines
Concentration:	0.1, 1, 5 μM
Incubation Time:	72 and 96 hours
Result:	A dose-dependent inhibition of both total and phosphorylated Bcr-Abl levels.

In Vivo

Carboxyamidotriazole Orotate (342, 513 mg/kg; i.p.; Q1D×5 for two rounds) displays antitumor activity on CML xenografts[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male NOD/SCID mice four-to-five week old[1]
Dosage:	342, 513 mg/kg
Administration:	i.p.; Q1D×5 for two rounds
Result:	Increase survival.

REFERENCES

[1]. Corrado C, et al. Carboxyamidotriazole-orotate inhibits the growth of imatinib-resistant chronic myeloid leukaemia cells and modulates exosomes-stimulated angiogenesis. PLoS One. 2012;7(8):e42310.

[2]. Hussain MM, et al. Phase II trial of carboxyamidotriazole in patients with relapsed epithelial ovarian cancer. J Clin Oncol. 2003 Dec 1;21(23):4356-63.

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