GGTI-2154 hydrochloride

Cat. No.: HY-16229A

CAS No.: 478908-50-8

Molecular Formula: $C_{24}H_{29}ClN_4O_3$

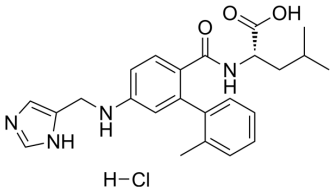
Molecular Weight: 456.97

Target: Apoptosis

Pathway: Apoptosis

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 : 250 mg/mL (547.08 mM; Need ultrasonic)

H_2O : 4.76 mg/mL (10.42 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1883 mL	10.9416 mL	21.8833 mL
	5 mM	0.4377 mL	2.1883 mL	4.3767 mL
	10 mM	0.2188 mL	1.0942 mL	2.1883 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 25 mg/mL (54.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 25 mg/mL (54.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 25 mg/mL (54.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GGTI-2154 hydrochloride is a potent and selective inhibitor geranylgeranyltransferase I (GGTase I), with an IC_{50} of 21 nM. GGTI-2154 hydrochloride shows more than 200-fold selectivity for GGTase I over FTase (IC50=5600 nM). GGTI-2154 hydrochloride can be used for the research of cancer[1][2].

IC_{50} & Target

IC50: 21 nM (GGTase I)[1]

In Vitro

GGTI-2154 inhibits the transfer of geranylgeranyl from [^{3}H]GGPP to H-Ras CVLL, with an IC_{50} of 21 nM[1].

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

In Vivo

GGTI-2154 (100 mg/kg/day; s.c. for 14 days) induces breast tumor regression in MMTV-v-Ha-Ras transgenic mice[2].
GGTI-2154 (50 mg/kg/day; i.p. for 50 day) inhibits A-549 tumor growth in nude mice by 60%[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MMTV-v-Ha-ras transgenic mice bearing mammary carcinoma[2]
Dosage:	100 mg/kg/day
Administration:	S.c. with osmotic mini-pumps for 14 days
Result:	Halted the tumors aggressive growth. Resulted in rapid tumor regression within 3 days of initiation of drug treatment.

REFERENCES

[1]. Sun J, et, al. Antitumor efficacy of a novel class of non-thiol-containing peptidomimetic inhibitors of farnesyltransferase and geranylgeranyltransferase I: combination therapy with the cytotoxic agents cisplatin, Taxol, and gemcitabine. Cancer Res. 1999 Oct 1;59(19):4919-26.

[2]. Sun J, et, al. Geranylgeranyltransferase I inhibitor GGTI-2154 induces breast carcinoma apoptosis and tumor regression in H-Ras transgenic mice. Cancer Res. 2003 Dec 15;63(24):8922-9.

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