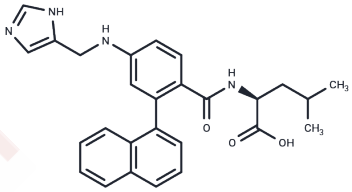
Data Sheet (Cat.No.T24088)

TargetMol

GGTI-2133

Chemical Properties



CAS No. : 191102-79-1

Formula: C27H28N4O3

Molecular Weight: 456.54

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GGTI-2133 is a potent mimetic peptidyl geranylgeranyltransferase type I inhibitor (GGTase I) with an IC50 value of 38 nM.GGTI-2133 inhibits the invasion of inflammatory cells into the airways in experimental asthma in mice.
Targets(IC50)	Others,Transferase
In vitro	BSM tissues isolated from the repeatedly antigen-challenged mice were cultured for 48 h in the absence or presence of GGTI-2133. Under these conditions, the putative geranylgeranylated RhoA was decreased in a GGTI-2133 concentration-dependent manner. The in vitro incubation with GGTI-2133 also inhibited BSM hyperresponsiveness induced by antigen exposure. These findings suggest that GGTI-2133 inhibits antigen-induced BSM hyperresponsiveness, probably by reducing downstream signal transduction of RhoA.[1]
In vivo	Animals also were treated with GGTI-2133 (5 mg/kg; i.p.; once a day; mice with experimental asthma) during the antigen inhalation period. Repeated antigen inhalation caused a BSM hyperresponsiveness to acetylcholine with the increased expressions of RhoA and the anti-farnesyl-positive 21-kDa proteins, probably geranylgeranylated RhoA. The in vivo GGTI-2133 treatments significantly inhibited BSM hyperresponsiveness induced by antigen exposure.[1]

Solubility Information

Solubility	DMSO: 50 mg/mL (109.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.38 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1904 mL	10.9519 mL	21.9039 mL
5 mM	0.4381 mL	2.1904 mL	4.3808 mL
10 mM	0.219 mL	1.0952 mL	2.1904 mL
50 mM	0.0438 mL	0.219 mL	0.4381 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Chiba Y, et al. Inhibition of geranylgeranyltransferase inhibits bronchial smooth muscle hyperresponsiveness in mice. Am J Physiol Lung Cell Mol Physiol. 2009;297(5):L984-L991.
Merza M, et al. Inhibition of geranylgeranyltransferase attenuates neutrophil accumulation and tissue injury in severe acute pancreatitis. J Leukoc Biol. 2013;94(3):493-502.
Ohsawa M, et al. Involvement of protein isoprenylation in neuropathic pain induced by sciatic nerve injury in mice. Neurosci Lett. 2014;564:27-31.
Abdullah MI, et al. Inhibition of the mevalonate pathway augments the activity of pitavastatin against ovarian cancer cells. Sci Rep. 2017;7(1):8090.