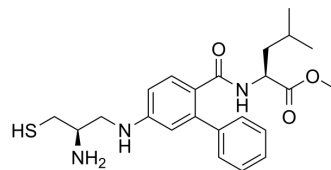


GGTI-286

Cat. No.:	HY-115489
CAS No.:	171744-11-9
Molecular Formula:	C ₂₃ H ₃₁ N ₃ O ₃ S
Molecular Weight:	429.58
Target:	Ras
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (116.39 mM; Need ultrasonic)

Concentration	Mass			
	1 mg	5 mg	10 mg	
1 mM	2.3279 mL	11.6393 mL	23.2786 mL	
5 mM	0.4656 mL	2.3279 mL	4.6557 mL	
10 mM	0.2328 mL	1.1639 mL	2.3279 mL	

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

BIOLOGICAL ACTIVITY

Description

GGTI-286, a potent and cell-permeable GGTase I inhibitor, is 25-fold more potent (IC₅₀=2 μM) than the corresponding methyl ester of FTI-276 (HY-15873A). GGTI-286 selectively inhibits geranylgeranylation of Rap1A over farnesylation of H-Ras in NIH3T3 cells (IC₅₀s=2 and >30 μM, respectively). GGTI-286 also potently inhibits oncogenic K-Ras4B stimulation with an IC₅₀ of 1 μM^{[1][2]}.

IC₅₀ & Target

IC₅₀: 2 μM (Geranylgeranylation of Rap1A) in NIH3T3 cells^[1]

In Vitro

GGTI-286 (10 μM; 2 and 4 h; CHO cells) reduces nuclear localization of β-catenin and transcription dependent on β-catenin/T cell factor in mammalian cells^[2].

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

Western Blot Analysis^[2]

Cell Line:	CHO cells
Concentration:	10 μM
Incubation Time:	2 and 4 h

Result:	Reduced the nuclear b-catenin amount.
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REFERENCES

- [1]. E C Lerner, et al. Disruption of oncogenic K-Ras4B processing and signaling by a potent geranylgeranyltransferase I inhibitor. J Biol Chem. 1995 Nov 10;270(45):26770-3.
- [2]. Naoyuki Nishiya, et al. A zebrafish chemical suppressor screening identifies small molecule inhibitors of the Wnt/ β -catenin pathway. Chem Biol. 2014 Apr 24;21(4):530-540.
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Caution: Product has not been fully validated for medical applications. For research use only.

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