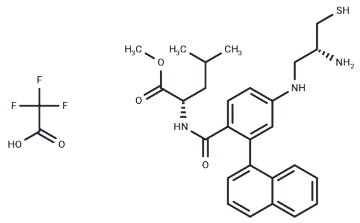
Data Sheet (Cat.No.T6844)

TargetMol
YOUR TARGET MOLECULES

GGTI298 Trifluoroacetate

Chemical Properties



CAS No. : 1217457-86-7

Formula: C27H33N3O3S·C2HF3O2

Molecular Weight: 593.66

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GGTI298 Trifluoroacetate (GGTI 298 TFA salt) is a geranylgeranyltransferase I inhibitor with ability to arrest human tumor cells in the G1 phase of the cell cycle and induce apoptosis.
Targets(IC50)	Apoptosis,Transferase,Ras
In vitro	The geranylgeranyltransferase I inhibitor GGTI-298 has been shown to arrest human tumor cells in the G1 phase of the cell cycle and induce apoptosis. Treatment of the human lung carcinoma cell line Calu-1 with GGTI-298 results in inhibition of the phosphorylation of retinoblastoma protein, a critical step for G1/S transition. The kinase activities of two G1/S cyclin-dependent kinases, CDK2 and CDK4, are inhibited in Calu-1 cells treated with GGTI-298. GGTI-298 has little effect on the expression levels of CDK2, CDK4, CDK6, cyclins D1 and E, but decreases the levels of cyclin A. GGTI-298 increases the levels of the cyclin-dependent kinase inhibitors p21 and p15 and had little effect on those of p27 and p16. GGTI-298 promotes binding of p21 and p27 to CDK2 while decreasing their binding to CDK6. Its treatment results in an increased binding of p15 to CDK4, which is paralleled with decreased binding to p27. Pretreatment of fibroblasts with GGTI-298, blocks PDGF- and epidermal growth factor-dependent tyrosine phosphorylation of their corresponding tyrosine kinase receptors. GGTI-298 has antiproliferative effects on fibroblasts, epithelial, and smooth muscle cells, and this cell growth inhibition appears to be mediated through a G1 phase arrest[1].
In vivo	GGTI-298 inhibits tumor growth in nude mice[1].
Cell Research	Cells were treated with GGTI-298 (15 μM) for 48 h, harvested, and lysed in HEPES lysis buffer. Proteins were then resolved by 12.5% or 7% SDS-PAGE gel and immunoblotted with antibodies. The ECL blotting system was used for detection of positive antibody reactions.(Only for Reference)

Solubility Information

Solubility	DMSO: 14.8 mg/mL (25 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6845 mL	8.4223 mL	16.8447 mL
5 mM	0.3369 mL	1.6845 mL	3.3689 mL
10 mM	0.1684 mL	0.8422 mL	1.6845 mL
50 mM	0.0337 mL	0.1684 mL	0.3369 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.

Reference

Sun J, et al. J Biol Chem. 1999, 274(11):6930-4.
Zhang Y, Yu G, Chu H, et al. Macrophage-Associated PGK1 Phosphorylation Promotes Aerobic Glycolysis and