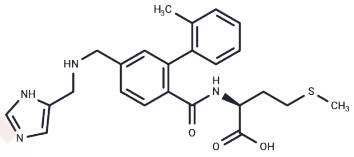
Data Sheet (Cat.No.T11330L)

TargetMol

FTI-2148

Chemical Properties



CAS No. : 251577-09-0

Formula: C24H28N4O3S

Molecular Weight: 452.57

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	FTI-2148 is an inhibitor of RAS C-terminal mimetic dual farnesyl transferase (FT-1) and geranylgeranyl transferase-1 (GGT-1) (IC50s: 1.4 nM and 1.7 μM, respectively).
Targets(IC50)	Others,Transferase
In vitro	FTI-2148 (30 μM) inhibits the farnesylation of the exclusively farnesylated protein HDJ2 in all three RAS-transformed NIH3T3 cells [1]. FTI-2148 is effective against P. falciparum PFT (protein farnesyltransferase), Mammalian PFT (protein farnesyltransferase), and Mammalian PGGT-I (geranylgeranyltransferase-I) with IC50s of 15 nM, 0.82 nM, and 1700 nM, respectively [2].
In vivo	FTI-2148 (subcutaneous injection; 25 mpk/day with a mini-pump; 14 days) inhibits tumor growth by 77%by the end of the 2-week treatment in Human Xenograft Nude Mouse Model. FTI-2148 (subcutaneous?injection; 100 mg/kg/day; 14 days) causes breast tumor regression in a?ras?transgenic mouse model. FTI-2148 (intraperitoneal? injection; 25 or 50 mpk/day with a mini-pump; started on day 15 and stopped on day 45 and restarted day 53-83) inhibits the tumor growth by 91% in human lung adenocarcinoma A-549 cells induced mouse model [1]. FTI-2148 (subcutaneous? injection; 100 mg/kg/day; 4 days) causes 85-88% inhibition of FTase with no inhibition of GGTase I enzymatic activity in breast tumors from mice in vivo?settings [3].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2096 mL	11.048 mL	22.096 mL
5 mM	0.4419 mL	2.2096 mL	4.4192 mL
10 mM	0.221 mL	1.1048 mL	2.2096 mL
50 mM	0.0442 mL	0.221 mL	0.4419 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

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.
Carrico D, et al.In vitro and in vivo antimalarial activity of peptidomimetic protein farnesyltransferase inhibitors with improved membrane permeability.Bioorg Med Chem. 2004 Dec 15;12(24):6517-26.
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.