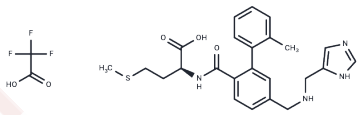
Data Sheet (Cat.No.T11330)

TargetMol

FTI-2148 diTFA

Chemical Properties



CAS No. :	817586-01-9
Formula:	C26H29F3N4O5S
Molecular Weight:	566.6
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 diTFA, a dual inhibitor targeting both farnesyl transferase (FT-1) and geranylgeranyl transferase-1 (GGT-1), functions as a RAS C-terminal mimetic. It exhibits potent inhibitory capabilities with IC50 values of 1.4 nM for FT-1 and 1.7 μM for GGT-1, respectively.
Targets(IC50)	Others,Transferase
In vitro	FTI-2148 diTFA is against P. falciparum PFT, Mammalian PFT and Mammalian PGGT-I with IC50 values of 15 nM; 0.82 nM and 1700 nM, respectively. PFT:protein farnesyltransferase; PGGT-I geranylgeranyltransferase-I.FTI-2148 (30 μM) inhibits the farnesylation of the exclusively farnesylated protein HDJ2 in all 3 RAS-transformed NIH3T3 cells.
In vivo	FTI-2148 (subcutaneous injection; 100 mg/kg/day; 14 days) results in breast tumor regression in a ras transgenic mouse model. FTI-2148 (subcutaneous injection; 100 mg/kg/day; 4 days) results in 85-88% inhibition of FTase with no inhibition of GGTase I enzymatic activity in breast tumors from mice in vivo settings.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.?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.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7649 mL	8.8246 mL	17.6491 mL
5 mM	0.353 mL	1.7649 mL	3.5298 mL
10 mM	0.1765 mL	0.8825 mL	1.7649 mL
50 mM	0.0353 mL	0.1765 mL	0.353 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.