

SCH772984 HCl

Chemical Properties

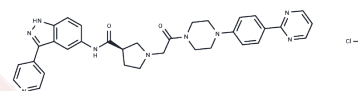
CAS No. :

Formula: C₃₃H₃₄ClN₉O₂

Molecular Weight: 624.14

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	SCH772984 HCl is a selective inhibitor of ERK1/2 that displays behaviors of both type I and type II kinase inhibitors, with IC ₅₀ s of 4 and 1 nM, respectively. SCH772984 HCl has nanomolar cellular potency in tumor cells with mutations in BRAF, NRAS, or KRA
Targets(IC ₅₀)	ERK
In vitro	In LOX BRAFV600E melanoma cells, SCH772984 HCl (3, 10, 30, 100, 300 nM; 24 hours) inhibited ERK and RSK phosphorylation. SCH772984 HCl showed EC ₅₀ values less than 500 nM in approximately 88% and 49% of BRAF-mutant (n=25) or RAS-mutant (n=35) tumor lines, respectively. In SCH772984 HCl-sensitive melanoma cells, SCH772984 HCl (300 nM; 24-48hours) resulted in a G1 arrest[1].
In vivo	In female nude mice bearing human LOX BRAFV600E tumors, SCH772984 HCl (12.5, 25, 50 mg/kg; i.p. twice daily for 14 days) lead to 98% tumor regression at all doses. Dose-dependent antitumor activity is also observed in the KRAS-mutant pancreatic MiaPaCa model, with 36% regression at 50 mg/kg twice daily. Importantly, tumor regression is accompanied by robust inhibition of ERK phosphorylation in tumor tissue[1].

Solubility Information

Solubility	H ₂ O: 23.5 mg/mL (37.65 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6022 mL	8.011 mL	16.022 mL
5 mM	0.3204 mL	1.6022 mL	3.2044 mL
10 mM	0.1602 mL	0.8011 mL	1.6022 mL
50 mM	0.032 mL	0.1602 mL	0.3204 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

Morris EJ, et al. Discovery of a novel ERK inhibitor with activity in models of acquired resistance to BRAF and MEK inhibitors. *Cancer Discov.* 2013 Jul;3(7):742-50.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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