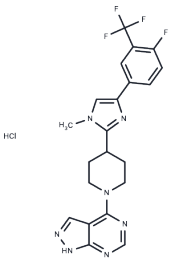
Data Sheet (Cat.No.T11900)

TargetMol

LY-2584702 hydrochloride

Chemical Properties



CAS No. : 1082948-81-9

Formula: C21H20ClF4N7

Molecular Weight: 481.88

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	Ly-2584702 hydrochloride is a p70S6K selective ATP competitive inhibitor with IC50 of 4 nM.In the S6K1 enzyme assay, the IC50 of LY-2584702 was 2 nM.
Targets(IC50)	S6 Kinase
In vitro	LY-2584702 has some activity against the S6K-related kinases MSK2 and RSK at high concentrations (enzyme assay IC50=58-176 nM).?LY-2584702 inhibits S6K activity in EOMA cells, as determined by the phosphorylation of its downstream effector S6, in a dose-dependent manner[2].?Proliferation of A549 is significantly inhibited by LY-2584702 ?treating over 24 h at 0.1 μM (P<0.05);?and the trend of decline is more conspicuous with longer treatment and/or with the increased drug concentration (all P<0.05).?Similar results are also observed in SK-MES-1, although the obvious inhibition is led by LY-2584702 at 0.6 μM (P<0.05), much higher than that of A549[3].LY-2584702 ? inhibits phosphorylation of the S6 ribosomal protein (pS6) in HCT116 colon cancer cells with an IC50 of 0.1-0.24 μM[1].?In S6K1 enzyme assay, the IC50 of LY-2584702 ?is 2 nM.? For pS6 inhibition in cells, the IC50=100 nM.
In vivo	LY-2584702 significantly inhibits tumor growth as a single agent in U87MG glioblastoma and HCT116 colon carcinoma xenograft models at doses of 2.5 mg/kg and 12.5 mg/kg twice daily (BID), achieving noteworthy tumor growth reduction at threshold minimum effective dose 50% (TMED50) of 2.3 mg/kg and TMED90 of 10 mg/kg in the HCT116 model[1]. In vivo studies involving EOMA cells expressing shAkt3 implanted in nu/nu mice show that, when treated with LY-2584702 or Rapamycin for 14 days, LY-2584702 nearly matches Rapamycin in inhibiting S6 phosphorylation, highlighting its potential mechanism of action against tumors. Additionally, while LY-2584702 does not significantly change the growth of pLKO tumors, it considerably reduces tumor growth in shAkt3 expressing tumors after a 14-day treatment period, pointing to the enhanced tumor growth in the absence of Akt3[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0752 mL	10.376 mL	20.7521 mL
5 mM	0.415 mL	2.0752 mL	4.1504 mL
10 mM	0.2075 mL	1.0376 mL	2.0752 mL
50 mM	0.0415 mL	0.2075 mL	0.415 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

Tolcher A, et al. A phase I trial of LY2584702 tosylate, a p70 S6 kinase inhibitor, in patients with advanced solid tumors. Eur J Cancer. 2014 Mar;50(5):867-75.
Phung TL, et al. Akt1 and akt3 exert opposing roles in the regulation of vascular tumor growth. Cancer Res. 2015 Jan 1;75(1):40-50.
Chen B, et al. Hyperphosphorylation of RPS6KB1, rather than overexpression, predicts worse prognosis in non-small cell lung cancer patients. PLoS One. 2017 Aug 9;12(8):e0182891.