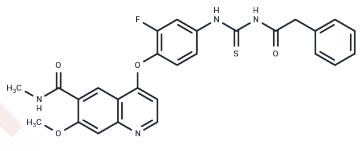
Data Sheet (Cat.No.T13108)

TargetMol

Pamufetinib

Chemical Properties



CAS No. : 1190836-34-0

Formula: C27H23FN4O4S

Molecular Weight: 518.56

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	Pamufetinib (TAS-115) is a potent kinase inhibitor targeting VEGFR and the hepatocyte growth factor receptor (c-Met/HGFR), with IC50s of 30 nM for rVEGFR2 and 32 nM for rMET, respectively.
Targets(IC50)	c-Met/HGFR,VEGFR
In vitro	pamufetinib is ATP antagonism(Ki of 12 and 39 nM for rVEGFR2 and rMET, respectively). Like other known VEGFR or MET inhibitors, AS-115 strongly inhibits the kinase activity of VEGFR2 and MET and their signal-dependent cell growth. TAS-115 induces less damage in various normal cells than do other VEGFR inhibitors[1]. TAS-115 does not affect the growth of PC-9 or HCC827 cells at concentrations less than 10 μM; however, the combined use of TAS-115 with erlotinib reverses HGF-induced resistance in the cell lines in a concentration-dependent manner. VEGF production by cancer cells and endothelial proliferation inhibited by TAS-115[2].
In vivo	During the treatment period,pamufetinib (50 mg/kg/d) completely prevents tumor growth. In MET-amplified human cancer transplanted models,pamufetinib (200 mg/kg/d) induces a 48% regression from the initial tumor volume . ED50 of Tpamufetinib in this model is 8 mg/kg/d. Pamufetinib significantly prolongs survival of these mice when administered at doses of 50 or 200 mg/kg/d[1]. Pamufetinib inhibits angiogenesis in PC-9/HGF tumors in vivo. Moreover, the doublet erlotinib and pamufetinib successfully inhibit PC-9/HGF tumor growth and delay tumor regrowth associated with sustained tumor vasculature inhibition even after cessation of the treatment[2].

Solubility Information

Solubility	DMSO: 13 mg/mL (25.07 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9284 mL	9.6421 mL	19.2842 mL
5 mM	0.3857 mL	1.9284 mL	3.8568 mL
10 mM	0.1928 mL	0.9642 mL	1.9284 mL
50 mM	0.0386 mL	0.1928 mL	0.3857 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

Fujita H, et al. The novel VEGF receptor/MET-targeted kinase inhibitor TAS-115 has marked in vivo antitumor properties and a favorable tolerability profile. Mol Cancer Ther. 2013 Dec;12(12):2685-96.
Nakade J, et al. Triple inhibition of EGFR, Met, and VEGF suppresses regrowth of HGF-triggered, erlotinib-resistant lung cancer harboring an EGFR mutation. J Thorac Oncol. 2014 Jun;9(6):775-83.

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