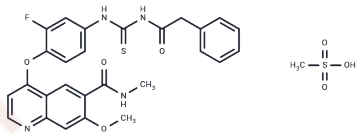
Data Sheet (Cat.No.T13108L)

TargetMol

Pamufetinib mesylate

Chemical Properties



CAS No. : 1688673-09-7

Formula: C28H27FN4O7S2

Molecular Weight: 614.67

Storage: Keep away from direct sunlight,Store at low temperature,Keep away from moisture
Store at -20°C
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Pamufetinib mesylate (TAS-115 mesylate) is a potent vascular endothelial growth factor receptor (VEGFR) antagonist and hepatocyte growth factor receptor (c-Met) inhibitor used in the study of cancer and respiratory diseases.
Targets(IC50)	c-Met/HGFR,VEGFR
In vitro	Pamufetinib mesylate is an ATP antagonist with inhibition constants (Ki) of 12 nM and 39 nM for rVEGFR2 and rMET, respectively.It potently inhibits the kinase activity of VEGFR2 and MET and their signaling-dependent cellular growth, with effects comparable to other known VEGFR or MET inhibitors. In addition, Pamufetinib mesylate caused less damage to a variety of normal cells than other VEGFR inhibitors. At concentrations below 10 μM, Pamufetinib mesylate did not affect the growth of PC-9 or HCC827 cells, but was able to concentration-dependently reverse HGF-induced resistance in both cell lines when combined with erlotinib. In addition, Pamufetinib mesylate inhibits VEGF production and endothelial cell proliferation in cancer cells. [1][2]
In vivo	Pamufetinib mesylate (50 mg/kg/d) was able to completely inhibit tumor growth during treatment. And in a MET-amplified human cancer transplant model, Pamufetinib mesylate (200 mg/kg/d) regressed tumor volume by 48% from the initial volume. In this model, the 50% effective dose (ED50) of Pamufetinib was estimated to be 8 mg/kg/d. Pamufetinib mesylate significantly prolonged survival in mice when administered at doses of 50 or 200 mg/kg/d. Meanwhile, Pamufetinib mesylate effectively inhibited angiogenesis in the PC-9/HGF tumor model. In addition, the combination of erlotinib and Pamufetinib mesylate successfully inhibited PC-9/HGF tumor growth and delayed tumor regeneration that was still associated with persistent vasculature inhibition even after cessation of treatment. [1][2]

Solubility Information

Solubility	DMSO: 60 mg/mL (97.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6269 mL	8.1344 mL	16.2689 mL
5 mM	0.3254 mL	1.6269 mL	3.2538 mL
10 mM	0.1627 mL	0.8134 mL	1.6269 mL
50 mM	0.0325 mL	0.1627 mL	0.3254 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.