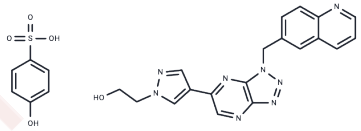
Data Sheet (Cat.No.T12418)

TargetMol

PF-04217903 phenolsulfonate

Chemical Properties



CAS No. : 1159490-85-3

Formula: C25H22N8O5S

Molecular Weight: 546.56

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	PF-04217903 phenolsulfonate is a potent, ATP-competitive inhibitor of c-Met kinase with a Ki of 4.8 nM for human c-Met.
Targets(IC50)	c-Met/HGFR
In vitro	PF-04217903 phenolsulfonate inhibits proliferation of c-Met-amplified human GTL-16 gastric carcinoma and H1993 NSCLC cells with IC50 values of 12 and 30 nM, respectively [1].
In vivo	PF-04217903 phenolsulfonate shows a significant dose-dependent reduction of human IL-8 levels in both the U87MG and GTL-16 models and decreases human VEGFA levels in the GTL-16 model. PF-04217903 phenolsulfonate strongly induces phospho-PDGFRβ levels in U87MG xenograft tumors.PF-04217903 phenolsulfonate dose dependently inhibits c-Met, Gab-1, Erk1/2, and AKT phosphorylation and induced apoptosis (cleaved caspase-3) in U87MG xenograft tumors at all dose levels.[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8296 mL	9.1481 mL	18.2963 mL
5 mM	0.3659 mL	1.8296 mL	3.6593 mL
10 mM	0.183 mL	0.9148 mL	1.8296 mL
50 mM	0.0366 mL	0.183 mL	0.3659 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

Zou HY, et al. Sensitivity of selected human tumor models to PF-04217903, a novel selective c-Met kinase inhibitor. Mol Cancer Ther. 2012 Apr;11(4):1036-47.

Cui JJ, et al. Discovery of a novel class of exquisitely selective mesenchymal-epithelial transition factor (c-MET) protein kinase inhibitors and identification of the clinical candidate 2-(4-(1-(quinolin-6-ylmethyl)-1H-[1,2,3] triazolo[4,5-b]pyrazin-6-yl)-1H-pyrazol-1-yl)ethanol (PF-04217903) for the treatment of cancer. J Med Chem. 2012 Sep 27;55(18):8091-109.

Timofeevski SL, et al. Enzymatic characterization of c-Met receptor tyrosine kinase oncogenic mutants and kinetic studies with aminopyridine and triazolopyrazine inhibitors. Biochemistry. 2009 Jun 16;48(23):5339-49.

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