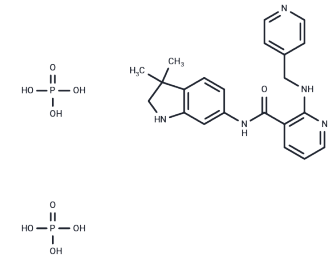
Data Sheet (Cat.No.T2288L)

TargetMol
YOUR TARGET MOLECULES

Motesanib Diphosphate

Chemical Properties



CAS No. : 857876-30-3

Formula: C22H23N5O·2H3PO4

Molecular Weight: 569.44

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Motesanib Diphosphate (AMG 706) is the orally bioavailable diphosphate salt of a multiple-receptor tyrosine kinase inhibitor with potential antineoplastic activity.
Targets(IC50)	VEGFR,c-Kit
In vitro	In a rat corneal model, administering Motesanib Diphosphate orally twice daily (ED50=2.1 mg/kg) or once daily (ED50=4.9 mg/kg) effectively inhibited vascular endothelial growth factor-induced angiogenesis. Additionally, in a model of transplanted squamous cell carcinoma of the head and neck, the combined use of Motesanib Diphosphate and radiation therapy demonstrated significant anticancer
In vivo	In human umbilical vein endothelial cells (HUVECs) induced by VEGF (IC50=10 nM), Motesanib Diphosphate significantly inhibits cell proliferation. It also markedly suppresses proliferation prompted by platelet-derived growth factor (IC50=207 nM) and c-kit phosphorylation induced by SCF (IC50=37 nM). Additionally, Motesanib Diphosphate enhances the sensitivity of these cells to radiation and exhibits broad-spectrum activity against the human VEGFR family.
Kinase Assay	In vitro kinase assays: Optimal enzyme, ATP, and substrate (gastrin peptide) concentrations are established for each enzyme using homogeneous time-resolved fluorescence (HTRF) assays. Motesanib Diphosphate is tested in a 10-point dose-response curve for each enzyme using an ATP concentration of two-thirds Km for each. Most assays consist of enzyme mixed with kinase reaction buffer [20 mM Tris-HCl (pH 7.5), 10 mM MgCl2, 5 mM MnCl2, 100 mM NaCl, 1.5 mM EGTA]. A final concentration of 1 mM DTT, 0.2 mM NaVO4, and 20 μg/mL BSA is added before each assay. For all assays, 5.75 mg/mL streptavidin-allophycocyanin and 0.1125 nM Eu-PT66 are added immediately before the HTRF reaction. Plates are incubated for 30 minutes at room temperature and read on a Discovery instrument. IC50 values are calculated using the Levenberg-Marquardt algorithm into a four-parameter logistic equation.
Cell Research	Cells are preincubated for 2 hours with different concentrations of Motesanib Diphosphate, and exposed with 50 ng/mL VEGF or 20 ng/mL bFGF for an additional 72 hours. Cells are washed twice with DPBS, and plates are frozen at -70 °C for 24 hours. Proliferation is assessed by the addition of CyQuant dye, and plates are read on a Victor 1420 workstation. IC50 data are calculated using the Levenberg-Marquardt algorithm into a four-parameter logistic equatio(Only for Reference)

Solubility Information

Solubility	H2O: 16 mg/mL (28.1 mM), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (163.3 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7561 mL	8.7806 mL	17.5611 mL
5 mM	0.3512 mL	1.7561 mL	3.5122 mL
10 mM	0.1756 mL	0.8781 mL	1.7561 mL
50 mM	0.0351 mL	0.1756 mL	0.3512 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.

Reference

Polverino A, et al. Cancer Res, 2006, 66(17), 8715-8721.
Kruser TJ, et al. Clin Cancer Res, 2010, 16(14), 3639-3647.