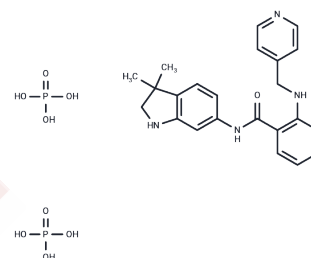


## Motesanib Diphosphate

## Chemical Properties

CAS No. :	857876-30-3
Formula:	C <sub>22</sub> H <sub>23</sub> N <sub>5</sub> O <sub>7</sub> ·2H <sub>3</sub> PO <sub>4</sub>
Molecular Weight:	569.44
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	Motesanib Diphosphate (AMG 706) is the orally bioavailable diphosphate salt of a multiple-receptor tyrosine kinase inhibitor with potential antineoplastic activity.
Targets(IC50)	c-Kit, VEGFR
In vitro	In a rat corneal model, administering Motesanib Diphosphate orally twice daily (ED <sub>50</sub> =2.1 mg/kg) or once daily (ED <sub>50</sub> =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 activity.
In vivo	In human umbilical vein endothelial cells (HUVECs) induced by VEGF (IC <sub>50</sub> =10 nM), Motesanib Diphosphate significantly inhibits cell proliferation. It also markedly suppresses proliferation prompted by platelet-derived growth factor (IC <sub>50</sub> =207 nM) and c-kit phosphorylation induced by SCF (IC <sub>50</sub> =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 K <sub>m</sub> for each. Most assays consist of enzyme mixed with kinase reaction buffer [20 mM Tris-HCl (pH 7.5), 10 mM MgCl <sub>2</sub> , 5 mM MnCl <sub>2</sub> , 100 mM NaCl, 1.5 mM EGTA]. A final concentration of 1 mM DTT, 0.2 mM NaVO <sub>4</sub> , 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. IC <sub>50</sub> 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. IC <sub>50</sub> data are calculated using the Levenberg-Marquardt algorithm into a four-parameter logistic equation (Only for Reference)

## Solubility Information

Solubility	H2O: 16 mg/mL (28.1 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (163.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.8 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

## 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.

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

- Polverino A, et al. Cancer Res, 2006, 66(17), 8715-8721.  
Kruser TJ, et al. Clin Cancer Res, 2010, 16(14), 3639-3647.  
Coxon A, et al. Clin Cancer Res, 2009, 15(1), 110-118.

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