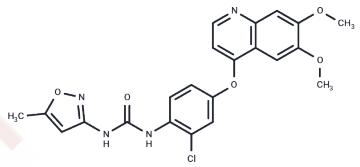
Data Sheet (Cat.No.T2456)

TargetMol

Tivozanib

Chemical Properties



CAS No. : 475108-18-0

Formula: C22H19ClN4O5

Molecular Weight: 454.86

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	Tivozanib (KRN951) is an orally bioavailable inhibitor of vascular endothelial growth factor receptors (VEGFRs) 1, 2 and 3 with potential antiangiogenic and antineoplastic activities.
Targets(IC50)	Ephrin Receptor,PDGFR,VEGFR
In vitro	In endothelial cells (IC 50 = 0.16 nM), Tivozanib was able to inhibit VEGF-induced phosphorylation of VEGFR2
In vivo	In endothelial cells (IC 50 = 0.16 nM), Tivozanib was able to inhibit VEGF-induced phosphorylation of VEGFR2
Kinase Assay	Kinase Assays: Cell-free kinase assays are done in quadruplicate with 1 μM ATP to determine the IC50 values of AV-951 against a variety of recombinant receptor and nonreceptor tyrosine kinases including VEGFR1, VEGFR2, VEGFR3, c-Kit, PDGFRβ, Flt-3 and FGFR1.
Cell Research	Human umbilical vein endothelial cells (HUVEC) and normal human dermal fibroblasts-based assays are done to determine the ability of AV-951 to inhibit ligand-dependent phosphorylation of tyrosine kinase receptors. The cells are starved overnight in appropriate basic medium containing 0.5% fetal bovine serum (FBS). The cells are incubated for 1 hour following the addition of AV-951 or 0.1% DMSO, and then stimulated with the cognate ligand at 37 °C. Receptor phosphorylation is induced for 5 minutes except for VEGFR3 (10 minutes), c-Met (10 minutes), and c-Kit (15 minutes). All the ligands used in the assays are human recombinant proteins, except for VEGF-C, a rat recombinant protein. Following cell lysis, receptors are immunoprecipitated with appropriate antibodies and subjected to immunoblotting with phosphotyrosine. Quantification of the blots and calculation of IC50 values are carried ou(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 38.33 mg/mL (84.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Saline: < 3.83 mg/mL (8.42 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.83 mg/mL (8.42 mM),Suspension. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1985 mL	10.9924 mL	21.9848 mL
5 mM	0.4397 mL	2.1985 mL	4.397 mL
10 mM	0.2198 mL	1.0992 mL	2.1985 mL
50 mM	0.044 mL	0.2198 mL	0.4397 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

Nakamura K, et al. Cancer Res, 2006, 66(18), 9134-9142.
Taguchi E, et al. Cancer Sci, 2008, 99(3), 623-630.

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