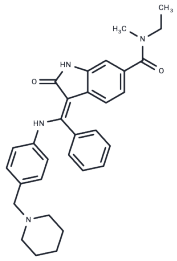
Data Sheet (Cat.No.T5197)

TargetMol

BIBF0775

Chemical Properties



CAS No. : 334951-90-5

Formula: C31H34N4O2

Molecular Weight: 494.63

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	BIBF0775 is a selective TGFβ type I receptor (Alk5) inhibitor (IC50: 34 nM).
Targets(IC50)	ALK,TGF-beta/Smad
Kinase Assay	The inhibition of the kinase activity of TGFβRI was determined using the Promega Kinase-Glo kit according to the manufacturer's protocol in the presence of 600 nM ATP. N-terminally his-tagged human TGFβRI (aa 162-end) expressed in baculovirus and purified using nickel affinity chromatography was used at a final concentration of 0.03 μg/mL. The inhibition of the kinase activity of PDGFRR was determined using the Z0-LYTE assay technology according to the manufacturer's protocol. Full-length human PDGFRR (5.6 nM per assay) and the Tyr4 peptide (2 μM per assay) were obtained from Invitrogen, too. IC50 values were determined by the use of the Graph PadPrism software.
Cell Research	The high-content cytotoxicity assay (kit I) was performed according to the manufacturer's instructions. HaCaT cells were cultured overnight in black 96-well plates, incubated for 24 h with each compound at different concentrations, and stained with cytotoxicity cocktail. Cells were fixed, washed, and scanned on the Cellomics ArrayScan II platform. Images were analyzed with the Cell Health image analysis algorithm. Cytotoxicity indices were calculated for each of the four parameters (cellular membrane integrity, nuclear fragmentation and density, and lysosomal mass) to indicate the percentage of cells outside of the normal range which was defined using a vehicle-treated reference cell population.

Solubility Information

Solubility	DMSO: 11 mg/mL (22.24 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: 2 mg/mL (4.04 mM),Sonication is recommended. *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	2.0217 mL	10.1086 mL	20.2171 mL
5 mM	0.4043 mL	2.0217 mL	4.0434 mL
10 mM	0.2022 mL	1.0109 mL	2.0217 mL
50 mM	0.0404 mL	0.2022 mL	0.4043 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

Roth GJ, et al. Design, synthesis, and evaluation of indolinones as inhibitors of the transforming growth factor β receptor I (TGFβRI). J Med Chem. 2010 Oct 28;53(20):7287-95.