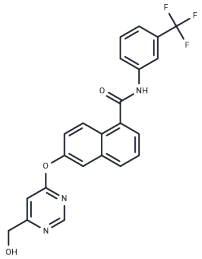
Data Sheet (Cat.No.T3599)

TargetMol

BFH772

Chemical Properties



CAS No. : 890128-81-1

Formula: C23H16F3N3O3

Molecular Weight: 439.39

Appearance: no data available

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

Biological Description

Description	BFH772, a structure analogue of BAW2881, is a potent and selective VEGF inhibitor. BFH772 is highly effective at targeting VEGFR2 kinase with an IC50 value of 3 nM. BFH772 inhibits the ligand induced autophosphorylation of RET, PDGFR, and KIT kinases, with IC50 values ranging between 30 and 160 nM.
Targets(IC50)	VEGFR
In vitro	Daily oral administration of 3 mg/kg BFH772 effectively inhibits melanoma growth, with primary tumors reducing by 54-90% and metastatic tumors diminishing by 71-96%.
In vivo	BFH772 inhibits ligand-induced autophosphorylation of RET, PDGFR, and KIT kinases with an IC50 (half maximal inhibitory concentration) value of 30-160 nM. It effectively targets VEGFR2 with an IC50 of 3 nM, demonstrating efficacy 500 times lower against FLK-1, FLT-1, and FLT-4 compared to VEGFR2. Beyond VEGFR2, BFH772 also targets B-RAF, RET, and TIE-2, albeit with over 40 times lower efficacy compared to its action on VEGFR2.
Kinase Assay	In vitro kinase assay is based on a filter binding assay, using the recombinant GST-fused kinase domains expressed in baculovirus and purified over glutathione-sepharose, γ-[33P]ATP as the phosphate donor, and poly(Glu:Tyr 4:1) peptide as the acceptor. Each GST-fused kinase is incubated under optimized buffer conditions [20 mM Tris-HCl buffer (pH 7.5), 1-3 mM MnCl2, 3-10 mM MgCl2, 3-8 μg/mL poly(Glu:Tyr 4:1), 0.25 mg/mL polyethylene glycol 20000, 8 μM ATP, 10 μM sodium vanadate, 1 mM DTT] and 0.2 μCi γ-33P ATP in a total volume of 30 μL in the presence or absence of a test substance for 10 min at ambient temperature. The reaction is stopped by adding 10 mL of 250 mM EDTA. Using a 384-well filter system, half the volume is transferred onto an Immobilon-polyvinylidene difluoride membrane. The membrane is then washed extensively and dried, and scintillation counting is performed. IC50s for compounds are calculated by linear regression analysis of the percentage inhibition[1].
Cell Research	Subconfluent HUVECs were incubated in triplicate in 96-well plates with basal medium containing 1.5% FCS and a constant concentration of VEGF (10 ng/mL), bFGF (0.5 ng/mL), or FCS (5%) in the presence or absence of compounds. After 24 h of incubation, BrdUrd labeling solution was added and cells incubated an additional 24 h before fixation, blocking, and addition of peroxidaselabeled anti-BrdUrd antibody. Bound antibody was then detected spectrophotometrically at 450 nm.(Only for Reference)

Solubility Information

Solubility	Ethanol: 81 mg/mL (184.35 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 81 mg/mL (184.35 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2759 mL	11.3794 mL	22.7588 mL
5 mM	0.4552 mL	2.2759 mL	4.5518 mL
10 mM	0.2276 mL	1.1379 mL	2.2759 mL
50 mM	0.0455 mL	0.2276 mL	0.4552 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

Bold G, et al. J Med Chem. 2016, 59(1):132-46.