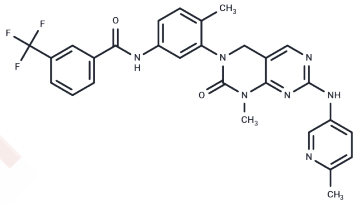
Data Sheet (Cat.No.T3196)

TargetMol

GNF-7

Chemical Properties



CAS No. : 839706-07-9

Formula: C28H24F3N7O2

Molecular Weight: 547.53

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	GNF-7 is Bcr-Abl WT and Bcr-Abl T315I inhibitor with IC50 of 133 nM and 61 nM, respectively.
Targets(IC50)	Bcr-Abl,ACK1,AChR
In vitro	GNF-7 shows potent antiproliferative activity against wild-type and mutant Bcr-Abl Ba/F3 cells with IC50 less than 11 nM. In human colon cancer cells (Colo205 and SW620), GNF-7 also displays excellent growth inhibitory activity with IC50 of 5 nM and 1 nM, respectively. [1] GNF-7, potently and selectively inhibits NRAS-dependent acute myelogenous leukemia and acute lymphoblastic leukemia cells through combined inhibition of ACK1/AKT and GCK. [2]
In vivo	GNF-7 exhibits excellent pharmacokinetic parameters in mice. In a bioluminescent xenograft mouse model using a transformed T315I-Bcr-Abl-Ba/F3 cell line, GNF-7 (10 mg/kg, p.o.) effectively inhibits tumor growth. [1] In NSG mice bearing human mutant NRAS-expressing MOLT-3-luc+ tumors, GNF-7 (15 mg/kg, p.o.) significantly decreases disease burden, prolongs overall survival, and causes strong suppression of phospho-AKT and phospho-RPS6. [2]
Kinase Assay	For kinase assays, purified CDC5L(295-795)-His6 is mixed with [γ-32P]ATP, COS-7 cell extract, and incubated in 100 μL 20 mM HEPES, pH 7.5, 50 mM NaCl, 2 mM MnCl2, 10 mM MgCl2, 0.5% NP-40, 0.5 mM PMSF, 5 mM benzamidine hydrochloride, 5 mM NaF, 1 mM NaVO3 and the specific inhibitor at 30°C for 10 minutes. Cell extract as a source of kinase activity is prepared from subconfluent, serum-stimulated COS-7 cells lysed in 20 mM HEPES-NaOH, pH 7.5, 50 mM NaCl, 1% Triton X-100, 10% glycerol, protease and phosphotase inhibitors. Phosphorylated proteins are separated by electrophoresis in 15% polyacrylamide-SDS gels. Specific inhibitors included 20 μM staurosporine, 10 μM genistein, 1 μM CVT-313, 10 μM Rp-MB-cAMPS and 50 μM PD98059[1].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 16 mg/mL (29.22 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 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 (3.65 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	1.8264 mL	9.1319 mL	18.2638 mL
5 mM	0.3653 mL	1.8264 mL	3.6528 mL
10 mM	0.1826 mL	0.9132 mL	1.8264 mL
50 mM	0.0365 mL	0.1826 mL	0.3653 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

Choi HG, et al. J Med Chem. 2010, 53(15), 5439-5448.
Nonami A, et al. Blood. 2015, 125(20), 3133-3143.