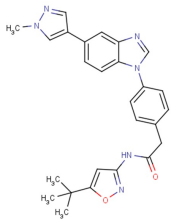
Data Sheet (Cat.No.T12598)

TargetMol
A DRUG SCREENING EXPERT

Pz-1

Chemical Properties



CAS No.:	1800505-64-9
Formula:	C26H26N6O2
Molecular Weight:	454.52
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Pz-1 is a potent inhibitor of RET and VEGFR2 (IC50s <1 for both wild type kinases).
Targets(IC_{50})	RET ,VEGFR2: < 1 nM
In vitro	Pz-1 is an Type-II tyrosine kinase inhibitor, able to bind the DFG-out conformation of the kinase,and strongly inhibits tyrosine phosphorylation of VEGFR2 and clinically relevant RET mutants in cell-based assays, including those refractory to vandetanib and cabozantinib (RETV804M and RETV804L).
In vivo	Pz-1 is shown active on VEGFR2. At 1.0 mg/kg/day per os, Pz-1 abrogates formation of tumors induced by RET-mutant fibroblasts and blocks phosphorylation of both RET and VEGFR2 in tumor tissue. Pz-1 features no detectable toxicity up to 100.0 mg/kg, which indicates a large therapeutic window.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2 mL	11.001 mL	22.001 mL
5 mM	0.44 mL	2.2 mL	4.4 mL
10 mM	0.22 mL	1.1 mL	2.2 mL
50 mM	0.044 mL	0.22 mL	0.44 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Frett B, et al. Fragment-Based Discovery of a Dual pan-RET/VEGFR2 Kinase Inhibitor Optimized for Single-Agent Polypharmacology. Angew Chem Int Ed Engl. 2015 Jul 20;54(30):8717-21.

Inhibitors · Natural Compounds · Compound Libraries

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481