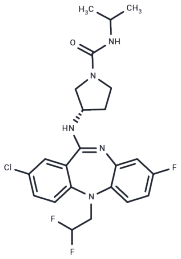
Data Sheet (Cat.No.T16367)

TargetMol

NVS-PAK1-1

Chemical Properties



CAS No. : 1783816-74-9

Formula: C23H25ClF3N5O

Molecular Weight: 479.93

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	NVS-PAK1-1 is an effective and selective allosteric PAK1 inhibitor (IC50: 5 nM).
Targets(IC50)	PAK
In vitro	NVS-PAK1-1 inhibits the proliferation of the Su86.86 cell line only above a concentration of 2 μM, consistent with the observation. NVS-PAK1-1 (6-20 μM) inhibits the phosphorylation of the downstream substrate MEK1 Ser289. Inhibition of downstream signaling, by applying a mixture of NVS-PAK1-1 and PAK2 shRNA, and cell proliferation at a significantly lower 0.21 μM concentration is achieved. NVS-PAK1-1 shows high selectivity for inhibition of PAK1 over other PAK isoforms and the kinome in general. NVS-PAK1-1 has a biochemical PAK1 Kd of 7 nM and a PAK2 Kd of 400 nM. NVS-PAK1-1 displays excellent activity in biochemical assays and an exceptional selectivity profile against other known kinases .
In vivo	NVS-PAK1-1 displays relatively poor stability in rat liver microsomes (RLM). This would limit its application for in vivo studies (t1/2 in RLM 3.5 min).

Solubility Information

Solubility	DMSO: 145 mg/mL (302.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (20.84 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (20.84 mM),Solution. *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	2.0836 mL	10.4182 mL	20.8364 mL
5 mM	0.4167 mL	2.0836 mL	4.1673 mL
10 mM	0.2084 mL	1.0418 mL	2.0836 mL
50 mM	0.0417 mL	0.2084 mL	0.4167 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

Karpov AS, et al. Optimization of a Dibenzodiazepine Hit to a Potent and Selective Allosteric PAK1 Inhibitor. ACS Med Chem Lett. 2015 May 22;6(7):776-81.