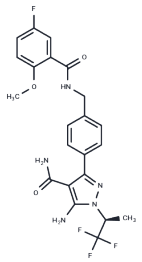
Data Sheet (Cat.No.T36287)

TargetMol

Pirtobrutinib

Chemical Properties



CAS No. : 2101700-15-4

Formula: C22H21F4N5O3

Molecular Weight: 479.43

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	Pirtobrutinib (LOXO-305) is an advanced BTK inhibitor that displays high selectivity and operates through a non-covalent mechanism. This compound effectively inhibits various BTK C481 substitution mutations, leading to tumor regression in BTK-dependent lymphoma tumors in mouse xenograft models. Furthermore, Pirtobrutinib exhibits remarkable selectivity for BTK, with more than a 300-fold difference compared to 370 other kinases tested. Notably, at a concentration of 1 μM, Pirtobrutinib demonstrates no significant inhibition of non-kinase off-targets.
Targets(IC50)	BTK
In vitro	Pirtobrutinib potently inhibits both wild-type BTK and BTK C481S-mediated kinase activity with nanomolar potency. Pirtobrutinib strongly inhibits WT BTK (Y223) autophosphorylation with an IC50 of 3.68 nM. Pirtobrutinib inhibits BTK C481S Y223, C481T Y223, and C481R Y223 autophosphorylation with IC50s of 8.45, 7.23, and 11.73 nM, respectively[1].

Solubility Information

Solubility	DMSO: 250 mg/mL (521.45 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: 10 mg/mL (20.86 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (20.86 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	2.0858 mL	10.4291 mL	20.8581 mL
5 mM	0.4172 mL	2.0858 mL	4.1716 mL
10 mM	0.2086 mL	1.0429 mL	2.0858 mL
50 mM	0.0417 mL	0.2086 mL	0.4172 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

Gomez E B , et al. Loxo-305, a Highly Selective and Non-Covalent Next Generation BTK Inhibitor, Inhibits Diverse BTK C481 Substitution Mutations[J]. Blood, 2019, 134(Supplement_1):4644-4644.