Pirtobrutinib

Cat. No.: HY-131328

CAS No.: 2101700-15-4

Molecular Formula: $C_{22}H_{21}F_4N_5O_3$

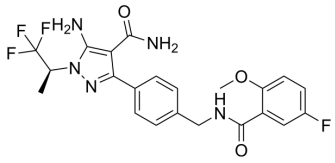
Molecular Weight: 479.43

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (104.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.75 mg/mL (5.74 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pirtobrutinib (LOXO-305), a highly selective and non-covalent next generation BTK inhibitor, inhibits diverse BTK C481 substitution mutations. Pirtobrutinib causes regression of BTK-dependent lymphoma tumors in mouse xenograft models. Pirtobrutinib is also more than 300-fold selective for BTK versus 370 other kinases tested and shows no significant inhibition of non-kinase off-targets at 1 μM[1].

In Vitro

Pirtobrutinib potently inhibits both wild-type BTK and BTK C481S-mediated kinase activity with nanomolar potency. Pirtobrutinib inhibits WT BTK (Y223) autophosphorylation with an IC_{50} of 3.68 nM. Pirtobrutinib inhibits BTK C481S Y223, C481T Y223, and C481R Y223 autophosphorylation with IC_{50}s of 8.45, 7.23, and 11.73 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

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