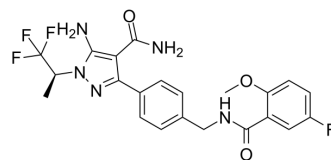


Pirtobrutinib

Cat. No.:	HY-131328
CAS No.:	2101700-15-4
Molecular Formula:	C ₂₂ H ₂₁ F ₄ N ₅ O 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 Concentration	Mass	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.

CUSTOMER VALIDATION

- bioRxiv. 2023 Dec 18.

See more customer validations on www.MedChemExpress.com

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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA