Product Data Sheet

Orelabrutinib

Cat. No.: HY-129390

CAS No.: 1655504-04-3Molecular Formula: $C_{26}H_{25}N_3O_3$ Molecular Weight: 427.5Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage: Powder -20°C

4°C 2 years

3 years

In solvent -80°C 2 years

-20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (233.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3392 mL	11.6959 mL	23.3918 mL
	5 mM	0.4678 mL	2.3392 mL	4.6784 mL
	10 mM	0.2339 mL	1.1696 mL	2.3392 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.85 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.85 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Orelabrutinib (ICP-022) is a potent, orally active, and irreversible Bruton's tyrosine kinase (BTK) inhibitor with potential antineoplastic activity. Orelabrutinib prevents both the activation of the B-cell antigen receptor (BCR) signaling pathway and BTK-mediated activation of downstream survival pathways, inhibiting the growth of malignant B-cells that overexpress $BTK^{[1][2]}$.

In Vitro

With a proprietary formulation, Orelabrutinib (ICP-022) achieves high bioavailability comparing to other BTK inhibitors^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Upon administration, Orelabrutinib (ICP-022) binds to and inhibits the activity of BTK. This prevents both the activation of the B-cell antigen receptor (BCR) signaling pathway and BTK-mediated activation of downstream survival pathways, inhibiting the growth of malignant B-cells that overexpress BTK^[2].

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

REFERENCES

[1]. Wei Xu, et al. Safety, Tolerability and Efficacy of Orelabrutinib, Once a Day, to Treat Chinese Patients with Relapsed or Refractory Chronic Lymphocytic Leukemia/Small Cell Leukemia. Blood (2019) 134 (Supplement_1): 4319.

[2]. BTK Inhibitor ICP-022.

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

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