Evobrutinib

Cat. No.: HY-101215

CAS No.: 1415823-73-2

Molecular Formula: $C_{25}H_{27}N_5O_2$

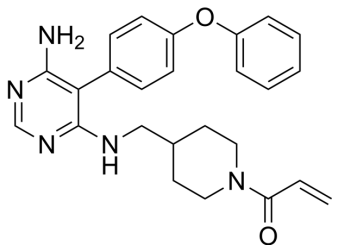
Molecular Weight: 429.51

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (77.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3282 mL	11.6412 mL	23.2823 mL
	5 mM	0.4656 mL	2.3282 mL	4.6565 mL
	10 mM	0.2328 mL	1.1641 mL	2.3282 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: ≥ 2.08 mg/mL (4.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Evobrutinib (M2951) is an orally active, potent, highly selective and irreversibly covalent BTK inhibitor, with an IC_{50} of 8.9 nM. Evobrutinib (M2951) can be used for the research of autoimmune diseases[1].

IC_{50} & Target

IC50: 8.9 nM (BTK)[1]

In Vivo

Evobrutinib (0.3, 1, 3, 10, or 30 mg/kg, oral gavage, once daily) demonstrates efficacy in a rat model of rheumatoid arthritis[1] .

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

Animal Model:	Female Lewis rats with semi-established type II collagen arthritis[1].
Dosage:	0.3, 1, 3, 10, or 30 mg/kg.
Administration:	Oral gavage once daily for 11 days (days 6-16).
Result:	Reduced ankle histopathology scores in a dose-dependent manner, compared to vehicle.

CUSTOMER VALIDATION

- Mol Syst Biol. 2023 Dec 18.
- Thromb Haemost. 2019 Mar;119(3):397-406.
- Eur J Pharmacol. 2021 Dec 8;914:174690.
- Drug Test Anal. 2019 Jan;11(1):129-139.
- Biomed Chromatogr. 2019 May;33(5):e4507.

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REFERENCES

[1]. Caldwell R, et al. Discovery of Evobrutinib: An Oral, Potent and Highly Selective, Covalent Bruton's Tyrosine Kinase (BTK) Inhibitor for the Treatment of Immunological Diseases. J Med Chem. 2019 Aug 1.

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