(±)-Zanubrutinib

Cat. No.: HY-101474

CAS No.: 1633350-06-7

Molecular Formula: $C_{27}H_{29}N_5O_3$

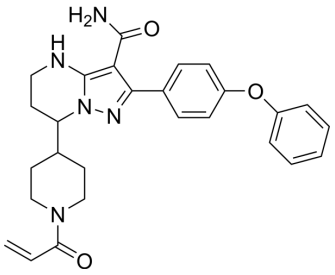
Molecular Weight: 471.55

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (63.62 mM)

Ethanol : ≥ 10 mg/mL (21.21 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1207 mL	10.6033 mL	21.2067 mL
5 mM	0.4241 mL	2.1207 mL	4.2413 mL
10 mM	0.2121 mL	1.0603 mL	2.1207 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 (4.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(±)-Zanubrutinib ((±)-BGB-3111) is a potent, selective and orally available Bruton's tyrosine kinase (Btk) inhibitor[1].

In Vitro

In both biochemical and cellular assays, (±)-Zanubrutinib ((±)-BGB-3111) demonstrates nanomolar Btk inhibition activity. In several MCL and DLBCL cell lines, (±)-Zanubrutinib inhibits BCR aggregation-triggered Btk autophosphorylation, blocks downstream PLC-γ2 signaling, and potently inhibits cell proliferation. In comparison with PCI-32765, (±)-Zanubrutinib shows much more restricted off-target activities against a panel of kinases, including ITK[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	(±)-Zanubrutinib induces dose-dependent anti-tumor effects against REC-1 MCL xenografts engrafted either subcutaneously or systemically via tail vein injection in mice. In the subcutaneous xenografts. Preliminary 14-day toxicity study in rats shows that (±)-Zanubrutinib is very well tolerated and maximal tolerate dose (MTD) is not reached when it is dosed up to 250mg/kg/day[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Pharmaceutics. 2022, 14(9), 1876.
- BMC Cancer. 2021 Jun 26;21(1):732.
- BMC Cancer. 2021 Jun 26;21(1):732.
- Dr. Wang from Chinese Academy of Sciences.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Na L, et al. BGB-3111 is a novel and highly selective Bruton's tyrosine kinase (BTK) inhibitor. [abstract]. In: Proceedings of the 106th Annual Meeting of the American Association for Cancer Research; 2015 Apr 18-22; Philadelphia, PA. Philadelphia (PA): AACR; Cancer Res 2015;75(15 Suppl):Abstract nr 2597. doi:10.1158/1538-7445.AM2015-2597

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