Zanubrutinib

Cat. No.: HY-101474A

CAS No.: 1691249-45-2

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

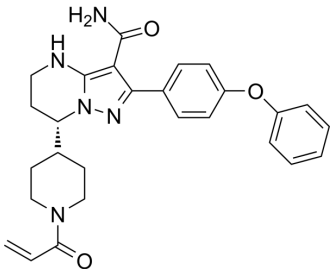
Molecular Weight: 471.55

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (424.13 mM; Need ultrasonic)

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); Clear solution
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 selective and orally active Bruton tyrosine kinase (Btk) inhibitor (IC_{50}: 0.3 nM)[1][2].

IC_{50} & Target

BTK[1]

In Vitro

Zanubrutinib (BGB-3111) is a selective Bruton tyrosine kinase (BTK) inhibitor. In both biochemical and cellular assays, Zanubrutinib 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 (BGB-3111) 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, Zanubrutinib at 2.5 mg/kg BID shows similar activity as PCI-32765 at 50 mg/kg QD. In the systemic model, the median survival of Zanubrutinib 25 mg/kg BID group is significantly longer than those of both PCI-32765 50 mg/kg QD and BID groups. In an ABC-subtype DLBCL (TMD-8) subcutaneous xenograft model, Zanubrutinib also demonstrates better anti-tumor activity than PCI-32765. 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 250 mg/kg/day[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Syst Biol. 2023 Dec 18.
- J Med Chem. 2021 Oct 21.
- Antioxidants (Basel). 2021, 10(12), 1936.
- Thromb Haemost. 2019 Mar;119(3):397-406.
- Pharmaceutics. 2023 Mar 22;15(3):1016.

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REFERENCES

[1]. Guo Y, et al. Discovery of Zanubrutinib (BGB-3111), a Novel, Potent, and Selective Covalent Inhibitor of Bruton's Tyrosine Kinase. J Med Chem. 2019 Sep 12;62(17):7923-7940.

[2]. Na Li, et al. Abstract 2597: BGB-3111 is a novel and highly selective Bruton's tyrosine kinase (BTK) inhibitor. Cancer Res 2015;75(15 Suppl):Abstract nr 2597.

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