# Zanubrutinib

**Cat. No.:** HY-101474A

**CAS No.:** 1691249-45-2

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

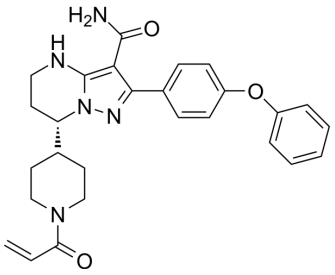
**Molecular Weight:** 471.55

**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].<br>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].<br>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.



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