Zanubrutinib

Cat. No.: HY-101474A CAS No.: 1691249-45-2 Molecular Formula: $C_{27}^{}H_{29}^{}N_{5}^{}O_{3}^{}$ 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 6 months

> -20°C 1 month

Product Data Sheet

SOLVENT & SOLUBILITY

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

Ethanol: < 1 mg/mL (insoluble)

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 Bruton tyrosine kinase (Btk) inhibitor ^[1] .	
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- γ 2 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

- 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.
- Pharmaceutics. 2022, 14(10), 1994.

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REFERENCES

[1]. 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.

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

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