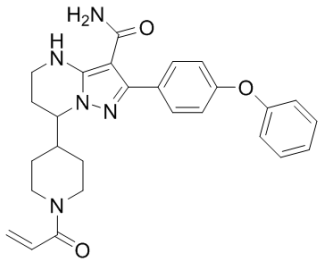
(±)-Zanubrutinib

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	6 months
	-20°C	1 month



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.

BIOLOGICAL ACTIVITY

Description

(±)-Zanubrutinib is a potent, selective and orally available Bruton's tyrosine kinase (**Btk**) inhibitor.

In Vitro

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 ibrutinib, (±)-Zanubrutinib shows much more restricted off-target activities against a panel of kinases, including ITK. (±)-Zanubrutinib is at least 10-fold weaker than ibrutinib in inhibiting rituximab induced ADCC, consistent with its weak ITK inhibition activity[1].

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].

CUSTOMER VALIDATION

- **Dr**. Wang from Chinese Academy of Sciences.

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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

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