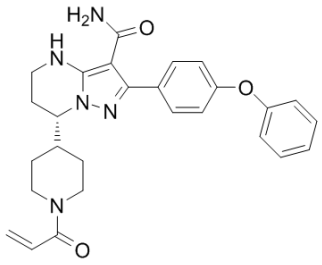
Zanubrutinib

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 6 months -20°C 1 month



Solvent & Solubility

In Vitro

DMSO : ≥ 56.75 mg/mL (120.35 mM)

Ethanol : < 1 mg/mL (insoluble)

* "≥" 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 selective **Bruton tyrosine kinase** (**BTK**) inhibitor.
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 ibrutinib, Zanubrutinib shows much more restricted off-target activities against a panel of kinases, including ITK[1].
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 ibrutinib 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 ibrutinib 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 ibrutinib. 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].

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.

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