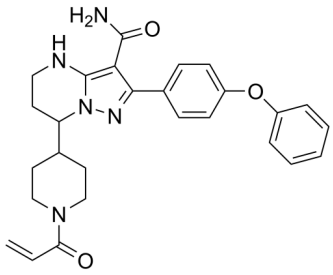
Data Sheet

Product Name:	(±)-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
Solubility:	DMSO: ≥ 30 mg/mL; Methanol: ≥ 25 mg/mL; Ethanol: ≥ 10 mg/mL



BIOLOGICAL ACTIVITY:

(±)-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].

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

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