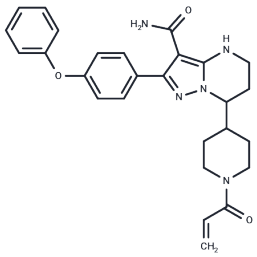
Data Sheet (Cat.No.TQ0039)

TargetMol

(±)-Zanubrutinib

Chemical Properties



CAS No. : 1633350-06-7

Formula: C27H29N5O3

Molecular Weight: 471.55

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	(±)-Zanubrutinib ((±)-BGB-3111) is a potent, orally available Bruton's tyrosine kinase (Btk) inhibitor, exhibiting superior oral bioavailability, higher exposure, and more complete target inhibition.
Targets(IC50)	BTK
In vitro	In both biochemical and cellular assays, (±)-Zanubrutinib exhibits nanomolar Btk inhibition activity. Compared to ibrutinib, (±)-Zanubrutinib shows significantly more restricted off-target activities against a panel of kinases, including ITK. In various MCL and DLBCL cell lines, (±)-Zanubrutinib inhibits BCR aggregation-triggered Btk autophosphorylation, blocks downstream PLC-γ2 signaling, and effectively inhibits cell proliferation[1].
In vivo	(±)-Zanubrutinib exhibits dose-dependent anti-tumor properties in mice with REC-1 MCL xenografts, regardless of whether the xenografts are engrafted subcutaneously or through systemic injection via the tail vein. A preliminary 14-day toxicity study in rats indicates that (±)-Zanubrutinib is exceptionally well-tolerated, with a maximally tolerated dose (MTD) remaining undetermined at dosages up to 250mg/kg/day[1].

Solubility Information

Solubility	DMSO: 125 mg/mL (265.08 mM),Sonication is recommended. Ethanol: 9 mg/mL (19.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (21.21 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (21.21 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0424 mL	0.2121 mL	0.4241 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.