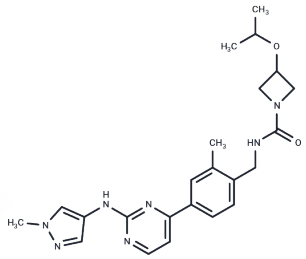
Data Sheet (Cat.No.T9192)

TargetMol

BIIB068

Chemical Properties



CAS No. : 1798787-27-5

Formula: C23H29N7O2

Molecular Weight: 435.52

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	BIIB068 is an selective, reversible and orally active BTK inhibitor (IC50 = 1 nM, Kd = 0.3 nM). BIIB068 is 400 times more selective for BTK than other kinases.
Targets(IC50)	BTK
In vitro	BIIB068 inhibits B cell, neutrophil and monocyte activation in vitro, but not T cell responses.
In vivo	BIIB068 demonstrated good PK/PD correlation with in vivo EC50 = 0.16 μM based on antibody esponse, similar to in vivo WB pBTK potency in mice. BIIB068 exhibited no adverse effects in the single dose CNS and respiratory studies in rats nor in a single dose cardiovascular study in monkeys. BIIB068 was well tolerated and effective at inhibiting BTK phosphorylation in healthy subjects.

Solubility Information

Solubility	DMSO: 30 mg/mL (68.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.59 mM),Sonication is recommended. *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	2.2961 mL	11.4805 mL	22.9611 mL
5 mM	0.4592 mL	2.2961 mL	4.5922 mL
10 mM	0.2296 mL	1.1481 mL	2.2961 mL
50 mM	0.0459 mL	0.2296 mL	0.4592 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

Ma B , Bohnert T , Otipoby K L , et al. Discovery of BIIB068: A Selective, Potent, Reversible Bruton's Tyrosine Kinase Inhibitor as an Orally Efficacious Agent for Autoimmune Diseases[J]. Journal of Medicinal Chemistry, 2020, XXXX (XXX).

Huang F, Liang J, Lin Y, et al.Repurposing of Ibrutinib and Quizartinib as potent inhibitors of necroptosis. Communications Biology.2023, 6(1): 972.