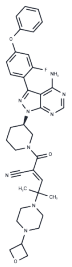
Data Sheet (Cat.No.T12542)

TargetMol

Rilzabrutinib

Chemical Properties



CAS No. : 1575596-29-0

Formula: C36H40FN9O3

Molecular Weight: 665.76

Storage: Store at low temperature
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	Rilzabrutinib (PRN1008) is a small-molecule inhibitor and a reversible covalent inhibitor of Bruton's tyrosine kinase (BTK) (IC50 = 1.3 nM), featuring high selectivity, oral activity, and favorable cell permeability, exhibiting anti-inflammatory and immunomodulatory activities.
Targets(IC50)	EGFR,HER,BTK
In vitro	**Methods**:The inhibitory effects of Rilzabrutinib were evaluated in human whole blood B cell activation assays (anti-IgM stimulation for 18 h), isolated B cell proliferation assays (anti-IgM stimulation for 48 h), monocyte FcγR activation assays (IgG stimulation for 4 h), and basophil FcεR activation assays (anti-IgE stimulation for 15 min). **Results**: The IC_{50} values of Rilzabrutinib in the above assays were 123 nM, 5 nM, 56 nM, and 490 nM, respectively, all showing concentration-dependent inhibitory effects. [1]
In vivo	**Methods**: In a collagen-induced arthritis rat model, Rilzabrutinib was administered orally at 10-40 mg/kg once or twice daily (vehicle: citric acid water); in an ITP mouse model, Rilzabrutinib was administered orally at 10-40 mg/kg once daily; in a canine pemphigus model, Rilzabrutinib was administered orally at 15-30 mg/kg/day. **Results**: Rilzabrutinib dose-dependently improved arthritis scores and pathology in rats, reduced platelet loss in mice, and significantly improved clinical symptoms in dogs within 2 weeks. [1]

Solubility Information

Solubility	DMSO: 240 mg/mL (360.49 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: 5 mg/mL (7.51 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	1.502 mL	7.5102 mL	15.0204 mL
5 mM	0.3004 mL	1.502 mL	3.0041 mL
10 mM	0.1502 mL	0.751 mL	1.502 mL
50 mM	0.030 mL	0.1502 mL	0.3004 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

Langrish, Claire L et al. Preclinical Efficacy and Anti-Inflammatory Mechanisms of Action of the Bruton Tyrosine Kinase Inhibitor Rilzabrutinib for Immune-Mediated Disease. Journal of immunology (Baltimore, Md. : 1950) vol. 206,7 (2021): 1454-1468.

Hill RJ, Bradshaw JM, Bisconte A, Tam D, Owens TD, Brameld KA, Smith PF, Funk JO, Goldstein DM, Nunn PA. Preclinical Characterization of PRN1008, a Novel Reversible Covalent Inhibitor of BTK that Shows Efficacy in a RAT Model of Collagen-Induced Arthritis. Annals of the Rheumatic Diseases 2015; 74(Suppl 2): 216.