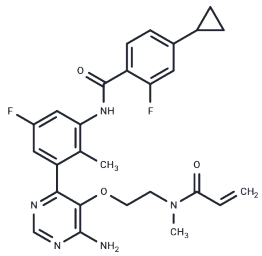
Data Sheet (Cat.No.T16730)

TargetMol

Remibrutinib

Chemical Properties



CAS No. : 1787294-07-8

Formula: C27H27F2N5O3

Molecular Weight: 507.53

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	Remibrutinib (Rhapsido) belongs to small molecule inhibitors and is a highly selective, covalent Bruton's tyrosine kinase (BTK) inhibitor (IC50 = 1 nM) with oral activity and cell permeability. The IC50 for inhibiting BTK activity in blood is 0.23 μM. This compound can be used in research on chronic urticaria.
Targets(IC50)	BTK
In vitro	**Methods**: Heparinized whole blood was collected and treated with Remibrutinib, then incubated with anti-IgE at 37°C and 5% CO_2 for 25 minutes. A basophil activation test was performed using flow cytometry to detect the proportion of CD63+ and CD203c+ basophils. **Results**: Remibrutinib inhibited CD63 expression in a dose-dependent manner, with efficacy observed at a single 5 mg dose and near-complete inhibition achieved at ≥100 mg. The maximum inhibition rate of CD203c reached 84%, demonstrating potent in vitro inhibitory activity against basophil activation. [1] **Methods**: Hirudin/citrate-anticoagulated human whole blood was collected and co-incubated with Remibrutinib at concentrations of 0.03–0.5 μM at 37°C for 1 hour. Platelet aggregation and in vitro bleeding time were assessed using multi-electrode aggregometry and the Platelet Function Analyzer-200. **Results**: Remibrutinib inhibited GPVI-mediated platelet aggregation in a dose-dependent manner, with an IC_{50} of 0.03 μM. At 0.1 μM, inhibition of the Btk-dependent pathway exceeded 90%, with significantly less impact on hemostatic function compared to rilzabrutinib. [2]
In vivo	**Methods**:: Using experimental autoimmune encephalomyelitis mice expressing human/rat myelin oligodendrocyte glycoprotein as a model, Remibrutinib was suspended in 0.5% methylcellulose + 0.5% Tween 80 aqueous solution and administered by gavage from the day of immunization at doses of 3/30 mg/kg twice daily until the end of the experiment. **Results**: Remibrutinib improved neurological symptoms in a dose-dependent manner, with 30 mg/kg significantly inhibiting disease progression without depleting B cells or reducing total immunoglobulin levels.[3]

Solubility Information

Solubility	DMSO: 240 mg/mL (472.88 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: 5 mg/mL (9.85 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	1.9703 mL	9.8516 mL	19.7033 mL
5 mM	0.3941 mL	1.9703 mL	3.9407 mL
10 mM	0.197 mL	0.9852 mL	1.9703 mL
50 mM	0.0394 mL	0.197 mL	0.3941 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

Kaul, Martin et al. Remibrutinib (LOU064): A selective potent oral BTK inhibitor with promising clinical safety and pharmacodynamics in a randomized phase I trial. Clinical and translational science vol.

Duan, Rundan et al. Effects of the Btk-Inhibitors Remibrutinib (LOU064) and Rilzabrutinib (PRN1008) With Varying Btk Selectivity Over Tec on Platelet Aggregation and in vitro Bleeding Time. Frontiers in cardiovascular medicine vol. 8 749022. 24 Sep. 2021.

Nuesslein-Hildesheim, Barbara et al. Remibrutinib (LOU064) inhibits neuroinflammation driven by B cells and myeloid cells in preclinical models of multiple sclerosis. Journal of neuroinflammation vol. 20,1 194. 26 Aug. 2023.

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