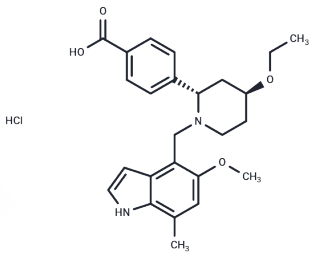
Data Sheet (Cat.No.T9189)

TargetMol

Iptacopan hydrochloride

Chemical Properties



CAS No. :	1646321-63-2
Formula:	C25H31ClN2O4
Molecular Weight:	458.98
Storage:	Keep away from moisture 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	Iptacopan hydrochloride (LNP023 hydrochloride) is an orally bioavailable, highly potent and highly selective factor B inhibitor with an IC50 of 10 nM. Iptacopan hydrochloride shows direct, reversible, and high-affinity binding to human factor B with a KD of 7.9 nM.
Targets(IC50)	Complement System
In vitro	LNP023 demonstrates excellent selectivity over other proteases affording IC50 values of >30 μM across a panel of 41 human proteases, including the AP protein factor D (>100 μM)[3].
In vivo	LNP023 (20-180 mg/kg; oral administration) demonstrates efficacy in both prophylactic and therapeutic dosing in a rat model of membranous nephropathy and prevents KRN (150 μL)-induced arthritis in mice[2]. Following oral administration (rat 30 mg/kg, dog 10 mg/kg), LNP023 exhibits moderate half-lives (T1/2; Wistar Han rats 3.4 h, beagle dogs 5.5 h) and Cmax (Wistar Han rats 410 nM, beagle dogs 2200 nM)[3].

Solubility Information

Solubility	H2O: 50 mg/mL (108.94 mM),Sonication and heating are recommended. DMSO: 252 mg/mL (549.04 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 (10.89 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	2.1787 mL	10.8937 mL	21.7874 mL
5 mM	0.4357 mL	2.1787 mL	4.3575 mL
10 mM	0.2179 mL	1.0894 mL	2.1787 mL
50 mM	0.0436 mL	0.2179 mL	0.4357 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

Dimitrios C Mastellos, et al. Expanding Complement Therapeutics for the Treatment of Paroxysmal Nocturnal Hemoglobinuria. Semin Hematol. 2018 Jul;55(3):167-175.
Anna Schubart, et al. Small-molecule Factor B Inhibitor for the Treatment of Complement-Mediated Diseases. Proc Natl Acad Sci U S A. 2019 Apr 16;116(16):7926-7931.
Nello Mainolfi, et al. Discovery of 4-((2 S,4 S)-4-Ethoxy-1-((5-methoxy-7-methyl-1 H-indol-4-yl)methyl)piperidin-2-yl)benzoic Acid (LNP023), a Factor B Inhibitor Specifically Designed To Be Applicable to Treating a Diverse Array of Complement Mediated Diseases. J Med Chem. 2020 Jun 11;63(11):5697-5722.

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