PRODUCT INFORMATION



LNP023

Item No. 41833

CAS Registry No.:	1644670-37-0	, н
Formal Name:	4-[(2S,4S)-4-ethoxy-1-[(5-methoxy-	N.
	7-methyl-1H-indol-4-yl)methyl]-2-	
	piperidinyl]-benzoic acid	
Synonym:	Iptacopan	Ŭ
MF:	$C_{25}H_{30}N_{2}O_{4}$	N
FW:	422.5	
Purity:	≥98%	
Supplied as:	A solid	
Storage:	-20°C	OH
Stability:	≥4 years	Ö

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LNP023 is supplied as a solid. A stock solution may be made by dissolving the LNP023 in the solvent of choice, which should be purged with an inert gas. LNP023 is soluble (≥10 mg/ml) in ethanol and DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of LNP023 can be prepared by directly dissolving the solid in aqueous buffers. LNP023 is sparingly soluble (1-10 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

LNP023 is a complement factor B (CFB) inhibitor (IC₅₀ = 10 nM).¹ It is selective for CFB over a panel of 41 proteases and 110 other enzymes, receptors, and ion channels (IC₅₀s = >30 μ M for all).² LNP023 inhibits formation of the membrane attack complex induced by zymosan A (Item No. 21175) in isolated human whole blood (IC₅₀ = 150 nM).¹ It blocks hemolysis of erythrocytes isolated from patients with paroxysmal nocturnal hemoglobinuria (PNH; IC₅₀ = 400 nM), a disease characterized by immune-mediated red blood cell destruction, anemia, and blood clots. LNP023 (60 mg/kg twice per day) reduces levels of the alternative pathway cleavage products complement factor Ba, -C3d, and -C5a in the joints, levels of inflammatory cell infiltrates in joint tissues, and arthritis disease severity in a K/BxN serum transfer-induced mouse model of inflammatory arthritis. It also decreases proteinuria and serum levels of urea and creatinine and prevents hair loss and kidney damage in an MRL-lpr mouse model of lupus nephritis when administered at a dose of 30 mg/kg per day.³ Formulations containing LNP023 have been used in the treatment of PNH and primary immunoglobulin A nephropathy (IgAN).

References

- 1. Schubart, A., Anderson, K., Mainolfi, N., et al. Small-molecule factor B inhibitor for the treatment of complement-mediated diseases. Proc. Natl. Acad. Sci. USA 116(16), 7926-7931 (2019).
- 2. Mainolfi, N., Ehara, T., Karki, R.G., et al. Discovery of 4-((2S,4S)-4-Ethoxy-1-((5-methoxy-7-methyl-1Hindol-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. 63(11), 5697-5722 (2020).
- 3. Chen, K., Deng, Y., Shang, S., et al. Complement factor B inhibitor LNP023 improves lupus nephritis in MRL/lpr mice. Biomed. Pharmacother. 153:113433, (2022).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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