# Iptacopan hydrochloride

**Cat. No.:** HY-127105A

**CAS No.:** 1646321-63-2

**Molecular Formula:** $C_{25}H_{31}ClN_2O_4$

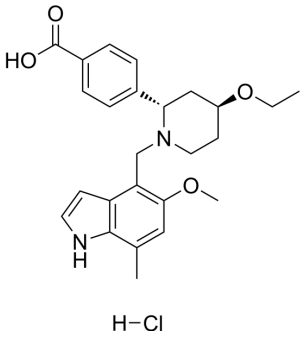
**Molecular Weight:** 458.98

**Target:** Complement System; Liposome

**Pathway:** Immunology/Inflammation; Metabolic Enzyme/Protease

**Storage:** 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (544.69 mM; Need ultrasonic)

$H_2O$ : 50 mg/mL (108.94 mM; ultrasonic and warming and heat to 60°C)

**Preparing Stock Solutions**

| Concentration \ Solvent \ Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 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 |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

LNP023 hydrochloride is an orally bioavailable, highly potent and highly selective factor B inhibitor. LNP023 shows direct, reversible, and high-affinity binding to human factor B with a $K_D$ of 7.9 nM. LNP023 inhibits factor B with an $IC_{50}$ value of 10 nM[1][2].

**$IC_{50}$ & Target**

KD: 7.9 nM (factor B)[2]

IC50: 10 nM (factor B)[2]

**In Vitro**

LNP023 demonstrates potent inhibition of alternative complement pathway (AP)-induced membrane attack complex (MAC)

formation in 50% human serum ($IC_{50}$ value of 130 nM)[2].
LNP023 exhibits excellent selectivity over other proteases affording $IC_{50}$ values of >30 μM across a panel of 41 human proteases, including the AP protein factor D (>100 μM)[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

LNP023 (20-180 mg/kg; oral administration) prevents KRN (150 μL)-induced arthritis in mice and is effective upon prophylactic and therapeutic dosing in an experimental model of membranous nephropathy in rats[2].
LNP023 exhibits moderate half-lives ($T_{1/2}$; Wistar Han rats 3.4 h, beagle dogs 5.5 h) and $C_{max}$ (Wistar Han rats 410 nM, beagle dogs 2200 nM) following oral administration (rat 30 and, dog 10 mg/kg)[3].
LNP023 exhibits terminal elimination half-lives ($T_{1/2}$; Wistar Han rats 7 h, beagle dogs 5.6 h) due to high plasma clearance (8, and 2 mL/min/kg respectively combined with large volumes of distribution (2.3, and 0.6 L/kg respectively) following intravenous administration (rat 1.0 and, dog 0.1 mg/kg)[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | C57BL/6 mice with KRN-induced arthritis[2] |
| Dosage: | 20, 60, and 180 mg/kg |
| Administration: | Orally gavaged; twice a day (b.i.d.) for 14 days |
| Result: | Blocked KRN-induced arthritis. |

## CUSTOMER VALIDATION

- Cell Stem Cell. 2023 Oct 5;30(10):1315-1330.e10.
- Biomed Pharmacother. September 2022, 113433.
- Biomed Chromatogr. 2021 Mar;35(3):e5006.

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## REFERENCES


[1]. Dimitrios C Mastellos, et al. Expanding Complement Therapeutics for the Treatment of Paroxysmal Nocturnal Hemoglobinuria. Semin Hematol. 2018 Jul;55(3):167-175.

[2]. 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.

[3]. 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.





Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA