# Iptacopan

**Cat. No.:** HY-127105 
**CAS No.:** 1644670-37-0 
**Molecular Formula:** C\textsubscript{25}H\textsubscript{30}N\textsubscript{2}O\textsubscript{4} 
**Molecular Weight:** 422.52 
**Target:** Complement System 
**Pathway:** Immunology/Inflammation 
**Storage:** Powder -20°C 3 years 
4°C 2 years 
In solvent -80°C 6 months 
-20°C 1 month 

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## SOLVENT & SOLUBILITY

### In Vitro

DMSO : 50 mg/mL (118.34 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td></td>
<td>2.3668 mL</td>
<td>11.8338 mL</td>
<td>23.6675 mL</td>
</tr>
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<td></td>
<td></td>
<td>0.4734 mL</td>
<td>2.3668 mL</td>
<td>4.7335 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>0.2367 mL</td>
<td>1.1834 mL</td>
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</tr>
</tbody>
</table>

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent</th>
<th>Concentration</th>
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<th>5 mg</th>
<th>10 mg</th>
</tr>
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<tbody>
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</tr>
</tbody>
</table>

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: ≥ 5 mg/mL (11.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (11.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (11.83 mM); Clear solution

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## BIOLOGICAL ACTIVITY

**Description**

Iptacopan (LNP023) is a first-in-class, orally bioavailable, highly potent and highly selective factor B inhibitor with an IC\textsubscript{50} value of 10 nM. Iptacopan shows direct, reversible, and high-affinity binding to human factor B with a K\textsubscript{D} of 7.9 nM. Iptacopan targets the underlying cause of complement 3 glomerulopathy (C3G)[\textsuperscript{1,2}].

**IC\textsubscript{50} & Target**

<table>
<thead>
<tr>
<th>KD</th>
<th>IC50</th>
</tr>
</thead>
<tbody>
<tr>
<td>7.9 nM (factor B)[\textsuperscript{2}]</td>
<td>10 nM (factor B)[\textsuperscript{2}]</td>
</tr>
</tbody>
</table>
In Vitro

Iptacopan (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)$^{[2]}$.

Iptacopan (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

Iptacopan (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 $C_{\text{max}}$ (Wistar Han rats 410 nM, beagle dogs 2200 nM) following oral administration (rat 30 and, dog 10 mg/kg)$^{[3]}$.

Iptacopan 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.

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**Customer Validation**


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**References**


[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.

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Caution: Product has not been fully validated for medical applications. For research use only.

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