Sarpogrelate hydrochloride

Cat. No.: HY-10564  
CAS No.: 135159-51-2  
Molecular Formula: C₂₄H₃₂ClNO₆  
Molecular Weight: 465.97  
Target: 5-HT Receptor  
Pathway: GPCR/G Protein; Neuronal Signaling  
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**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>DMSO</td>
<td>2.1461 mL</td>
<td>10.7303 mL</td>
<td>21.4606 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>DMSO</td>
<td>0.4292 mL</td>
<td>2.1461 mL</td>
<td>4.2921 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>DMSO</td>
<td>0.2146 mL</td>
<td>1.0730 mL</td>
<td>2.1461 mL</td>
</tr>
<tr>
<td>H₂O</td>
<td>≥ 33.33 mg/mL (71.53 mM; Need ultrasonic)</td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

1. Add each solvent one by one: PBS  
   Solubility: 10 mg/mL (21.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

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

**In Vivo**

1. Add each solvent one by one: PBS  
   Solubility: 10 mg/mL (21.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution
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   Solubility: ≥ 2.5 mg/mL (5.37 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Description

Sarpogrelate hydrochloride (MCI-9042) is a selective 5-HT₂R antagonist, with pKᵢs of 8.52, 6.57, and 7.43 for 5-HT₂A, 5-HT₂B, and 5-HT₂C receptors, respectively. Sarpogrelate hydrochloride displays selectivity over 5-HT₁, 5-HT₃, 5-HT₄, α₁, α₂, and β-adrenoreceptor, histamine H₁, H₂ and muscarinic M₃ receptors. Sarpogrelate hydrochloride can be used for the research of vascular disease associated with thrombosis[1][2][3].
**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>Target</th>
<th>5-HT₂A Receptor</th>
<th>5-HT₂B Receptor</th>
<th>5-HT₂C Receptor</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>8.52 (pKi)</td>
<td>6.57 (pKi)</td>
<td>7.43 (pKi)</td>
</tr>
</tbody>
</table>

**In Vitro**

Sarpogrelate is selective for 5-HT₂ (pKi=7.54) over 5-HT₁ (pKi=4.58), α₁-, α₂-, and β-adrenergic (pKi=3.17-6.19), and muscarinic receptors (pKi=4.39)[2].

Sarpogrelate (10 μM) significantly reduces the number of platelet-rich plasma (PRP)-induced THP-1 cell that adheres to human umbilical vein endothelial cells (HUVECs)[3].

Sarpogrelate (10 μM) significantly reduces the expression of PRP-induced E-selectin in HUVECs[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Sarpogrelate (5 mg/kg; i.p. daily for 4 weeks) inhibits HFFD-induced obesity and decreases leukocyte-endothelial interactions in mice[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Model:**

Male C57BL/6 mice (7 weeks old) are fed normal chow (NC) or a high-fat diet with 30% fructose in the drinking water (HFFD)[3]

**Dosage:**

5 mg/kg

**Administration:**

I.p. daily for 4 weeks

**Result:**

Prevented the HFFD-induced increases of the body weight, visceral fat weight, and serum monocyte chemoattractant protein-1 levels.

Decreased leukocyte-endothelial interactions and serum monocyte chemoattractant protein-1 (MCP-1) level.

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**REFERENCES**


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