ICI 118,551 hydrochloride

Cat. No.: HY-13951  
CAS No.: 72795-01-8  
Molecular Formula: C₁₇H₂₈ClNO₂  
Molecular Weight: 313.86  
Target: Adrenergic Receptor  
Pathway: GPCR/G Protein; Neuronal Signaling  
Storage: Powder -20°C 3 years  
\[\text{4°C} \quad \text{2 years}\]  
\[\text{In solvent} \quad \text{-80°C} \quad \text{6 months}\]  
\[\text{-20°C} \quad \text{1 month}\]

SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (79.65 mM; Need ultrasonic)  
H₂O : 12.5 mg/mL (39.83 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>3.1861 mL</td>
<td>15.9307 mL</td>
<td>31.8613 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6372 mL</td>
<td>3.1861 mL</td>
<td>6.3723 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3186 mL</td>
<td>1.5931 mL</td>
<td>3.1861 mL</td>
</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: ≥ 2.5 mg/mL (7.97 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (7.97 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (7.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
ICI 118,551 (hydrochloride) is a highly selective β2 adrenergic receptor antagonist, with Kᵢ of 0.7, 49.5 and 611 nM for β2, β1 and β3 receptors, respectively.

IC₅₀ & Target
Ki: 0.7nM (β2 receptor), 49.5 nM (β1 receptor), 611 nM (β3 receptor)⁴
In Vitro

ICI 118551 inhibits cAMP accumulation with IC₅₀ of 1.7 μM in IMCD cells[1]. ICI 118551 (10 μM) induces a prominent vasorelaxation of norepinephrine (NE)-precontracted PA but not AO[2]. In failing human heart, ICI 118551 has significant effects on beat duration, with time-to-peak contraction and time-to-90% relaxation reduced compared with basal contraction. Negative Inotropic Effect of ICI 118551 Is Not cAMP-Related. Overexpression of β2AR in rabbit myocytes enhances negative inotropic effects of ICI 118551[3].

In Vivo

ICI 118551 (0.2 mg/kg) injected into the jugular vein of the mice, reduces systolic pressure in the pulmonary circuit but not systemic arterial pressure[2].

PROTOCOL

Kinase Assay[1]

One hour prior to assay, the growth media are removed from the wells and replaced with 50 μL of Hank’s balanced salt solution that also contained 0.5 mM of MgCl₂•6H₂O, 0.4 mM of MgSO₄•7H₂O, 20 mM of N-2-hydroxyethylpiperazine-N’-2ethanesulfonic acid (HEPES), 1.2 mM of 3-isobutyl-1-methylxanthine (IBMX), 0.95 mM of CaCl₂, and 0.05% of BSA. Each plate is placed in a 37°C shaking water bath for dose-response studies. In one study, various doses of isoproterenol (10⁻⁹-10⁻⁵ M) and β1- and β2-receptor-selective partial agonists (tazolol, prenalterol, salbutamol, and terbutaline, 10⁻⁶ and 10⁻⁵ M, respectively) are added (5 wells/dose/plate) and incubated for 10 min. In another study, the cells are stimulated with 10 μM isoproterenol in the presence or absence of various doses of β-adrenoceptor antagonists. The incubations are terminated after 10 min by the addition of 100 μL of 10% trichloroacetic acid (TCA) (final TCA concentration of 5%). TCA is removed twice by extraction with H₂O-saturated ether, and samples are dried at 80°C overnight, prior to resuspension in 50 mM of sodium acetate buffer. The CAMP content is measured with a radioimmunoassay kit.

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

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REFERENCES


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

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