Pimecrolimus

Cat. No.: HY-13723
CAS No.: 137071-32-0
Molecular Formula: C₄₃H₆₈ClNO₁₁
Molecular Weight: 810.45
Target: Others
Pathway: Others
Storage: Powder
-20°C 3 years
 4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (39.48 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>1.2339 mL</td>
<td>6.1694 mL</td>
<td>12.3388 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.2468 mL</td>
<td>1.2339 mL</td>
<td>2.4678 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.1234 mL</td>
<td>0.6169 mL</td>
<td>1.2339 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 (3.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pimecrolimus is an immunophilin ligand, which binds specifically to the cytosolic receptor, immunophilin macrophilin-12. Target: Others Pimecrolimus blocks T-lymphocyte activation pathway by inhibiting calcineurin function [1]. Pimecrolimus prevents the release of cytokines and pro-inflammatory mediators from mast cells. Pimecrolimus binds to macrophilin-12, the pimecrolimus-macrophilin complex then binds to the cytosolic enzyme calcineurin phosphatase. The pimecrolimus-macrophilin complex prevents the dephosphorylation of the cytoplasmic component of the nuclear factor of activated T cells by inhibiting the action of calcineurin. Pimecrolimus inhibits not only the transcription and synthesis of cytokines from mast cells, but also the release of preformed mediators serotonin and β-hexosaminidase by the inhibition of Fce-RI mediated degranulation and secretion. Pimecrolimus treatment causes a
strong down-regulation of the expression of mRNA for genes associated with the macrolactam target pathway and inflammation [2]. Pimecrolimus is found to be as effective as cyclosporine A following oral ingestion and slightly superior after subcutaneous administration in mice. Pimecrolimus contrasts cyclosporine A and tacrolimus by inhibiting ongoing secondary inflammatory response, but not impairing the primary immune response in allergic contact dermatitis in mice. [2] Pimecrolimus is as effective as the high-potency corticosteroid clobetasol-17-propionate in a pig model of allergic contact dermatitis (ACD). Pimecrolimus also effectively reduces skin inflammation and pruritus in hypomagnesemic hairless rats, a model that mimics acute signs of atopic dermatitis [3].

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