Product Data Sheet

Chemical Properties

Product Name: Apremilast (CC-10004)

Cas No.: 608141-41-9

M.Wt: 460.5

Formula: C22H24N2O7S

Chemical Name: N-[2-[(1S)-1-(3-ethoxy-4-methoxyphenyl)-2-methylsulfonylethyl]-1,3-dioxoisooindol-4-yl]acetamide

Canonical SMILES: CCOC1=C(C=CC(C1)C(C=C(C=CC(=O)C)N2C(=O)C3=C(C2=O)C(=CC=C3)NC(=O)C)OC

Solubility: ≥23.05 mg/mL in DMSO, <2.51 mg/mL in EtOH, <2.43 mg/mL in H2O

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Metabolism

Pathways: PDE

Description:
Apremilast, also known as CC-10004, is a novel and potent small-molecule inhibitor of phosphodiesterase 4 (PDE4), a key enzyme involved in cyclic adenosine monophosphate (cAMP) degradation and cytokine production of inflammatory cells. Apremilast binds to the catalytic site of PDE4, with values of inhibition constant IC50 and affinity constant Kd of 0.074 μM and 68 nM respectively, consequently leading to the degradation of cAMP. Apremilast exhibits
anti-inflammatory activities against inflammatory disease in animal models as well as human chronic inflammatory diseases (such as psoriasis and psoriatic arthritis) by blocking the synthesis of a range of pro-inflammatory cytokines and chemokines, including tumor necrosis factor alpha, interleukin 23, CXCL9 and CXCL10.

Reference:

Protocol

<table>
<thead>
<tr>
<th>Cell experiment:</th>
<th></th>
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<tbody>
<tr>
<td>Cell lines</td>
<td>U937 human monocytic cells</td>
</tr>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt;10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
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<tr>
<td>Reacting conditions</td>
<td>18h; IC50=74 nM</td>
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<tr>
<td>Applications</td>
<td>Apremilast was initially screened for PDE4 inhibition using a partially purified enzyme preparation from U937 human monocytic cells and which has been shown previously to contain predominantly PDE4B and PDE4D activities. Apremilast was also found to exhibit an IC50 of around 74 nM using 1 mM cAMP as substrate.</td>
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Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>SCID mice</th>
</tr>
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<tbody>
<tr>
<td>Dosage form</td>
<td>5 mg·kg-1·day-1 ; oral taken</td>
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<tr>
<td>Applications</td>
<td>The pharmacological activity of apremilast (5 mg·kg-1·day-1 total divided into 2 daily doses) was tested in comparison with cyclosporine (5 mg·kg-1·day-1 total divided into 2 daily doses) and vehicle control (0.1 mL·day-1 divided into twice daily doses) in a mouse xenograft model of psoriasis. Epidermal thickness and proliferation index correlated with histological findings and demonstrated significant differences between treatment groups. Notably, apremilast caused statistically significant reductions in epidermal thickness (P &lt; 0.001) and proliferation index (P &lt; 0.001).</td>
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</table>
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.