

Product Data Sheet

Product Name: Apremilast (CC-10004)

Cat. No.: GC10420

Chemical Properties

Cas. No. 608141-41-9

Chemical Name N-[2-[(1S)-1-(3-ethoxy-4-methoxyphenyl)-2-methylsulfonyl-ethyl]-1,3-dioxoisindol-4-yl]acetamide

SMILES CCOC1=C(C=CC(=C1)C(CS(=O)(=O)C)N2C(=O)C3=C(C2=O)C(=CC=C3)NC(=O)C)O

Formula $C_{22}H_{24}N_2O_7S$

M.Wt 460.5

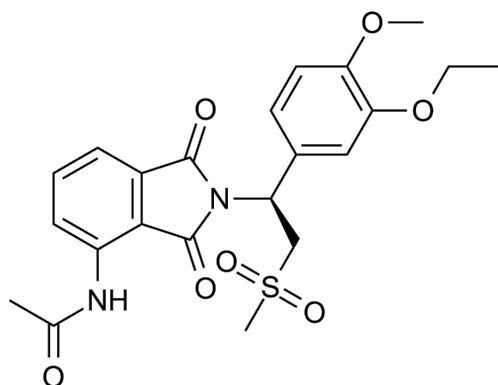
Solubility ≥ 23.05 mg/mL in DMSO

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.

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

Structure



Protocol

Cell experiment [1]:

Cell lines U937 human monocytic cells

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

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Preparation method The solubility of this compound in DMSO is >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.

Reaction Conditions 18h; IC₅₀=74 nM

Applications 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.

Animal experiment [1]:

Animal models SCID mice

Dosage form 5 mg·kg⁻¹·day⁻¹ ; oral taken

Applications 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 < 0.001) and proliferation index (P < 0.001).

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Other notes 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.

References:

[1] Schafer P H, Parton A, Gandhi A K, et al. Apremilast, a cAMP phosphodiesterase-4 inhibitor, demonstrates anti-inflammatory activity in vitro and in a model of psoriasis[J]. British journal of pharmacology, 2010, 159(4): 842-855.

Background

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 IC_{50} and affinity constant K_{pf} 0.074 μ M 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

[1].Georg Schett, Victor S. Sloan, Randall M. Stevens and Peter Schafer. Apremilast: a novel PDE4 inhibitor in the treatment of autoimmune and inflammatory disease. *Ther Adv Musculoskelet Dis*. 2010; 2(5): 271-278

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