

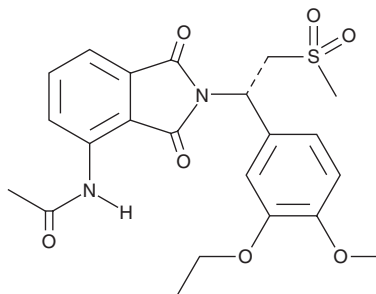
PRODUCT INFORMATION



Apremilast

Item No. 18502

CAS Registry No.: 608141-41-9
Formal Name: N-[2-[(1S)-1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)ethyl]-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]-acetamide
Synonyms: APR, CC-10004
MF: C₂₂H₂₄N₂O₇S
FW: 460.5
Purity: ≥98%
UV/Vis.: λ_{max}: 203, 229, 345 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Apremilast is supplied as a crystalline solid. A stock solution may be made by dissolving the apremilast in the solvent of choice. Apremilast is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of apremilast in these solvents is approximately 10 and 20 mg/ml, respectively.

Apremilast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, apremilast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Apremilast has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Apremilast is an inhibitor of phosphodiesterase 4 (PDE4) with an IC₅₀ value of 74 nM when tested in U937 cell lysates with 1 mM cAMP.^{1,2} It is orally active, significantly decreasing epidermal thickness and epithelial cell proliferation index in a mouse model of psoriasis.² Apremilast reduces both TNF-α and matrix metalloproteinase-3 production in gut lamina propria mononuclear cells from patients with inflammatory bowel disease.³ It also blocks spontaneous production of TNF-α from human rheumatoid synovial cells and improves clinical score in mouse models of arthritis.⁴

References

1. Man, H.W., Schafer, P., Wong, L.M., *et al.* Discovery of (S)-N-[2-[1-(3-ethoxy-4-methoxyphenyl)-2-methanesulfonylethyl]-1,3-dioxo-2,3-dihydro-1H-isoindol-4-yl] acetamide (apremilast), a potent and orally active phosphodiesterase 4 and tumor necrosis factor-α inhibitor. *J. Med. Chem.* **52**(6), 1522-1524 (2009).
2. 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. *Br. J. Pharmacol.* **159**(4), 842-855 (2010).
3. Gordon, J.C., Prothero, J.D., Thornton, C.A., *et al.* CC-10004 but not thalidomide or lenalidomide inhibits lamina propria mononuclear cell TNF-α and MMP-3 production in patients with inflammatory bowel disease. *J. Crohns. Colitis.* **3**(3), 175-182 (2009).
4. McCann, F.E., Palfreeman, A.C., Andrews, M., *et al.* Apremilast, a novel PDE4 inhibitor, inhibits spontaneous production of tumour necrosis factor-α from human rheumatoid synovial cells and ameliorates experimental arthritis. *Arthritis Res. Ther.* **12**(3), (2010).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/19/2022

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM