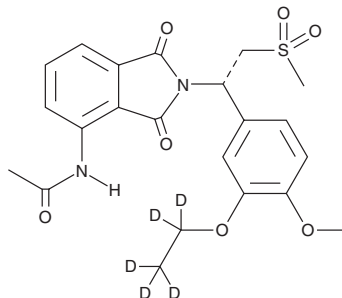


PRODUCT INFORMATION



Apremilast-d₅ Item No. 22553

CAS Registry No.: 1258597-47-5
Formal Name: N-[2-[(1S)-1-[3-(ethoxy-1,1,2,2,2-d₅)-4-methoxyphenyl]-2-(methylsulfonyl)ethyl]-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]-acetamide
Synonym: APR-d₅
MF: C₂₂H₁₉D₅N₂O₇S
FW: 465.5
Chemical Purity: ≥98% (Apremilast)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀
Supplied as: A 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-d₅ is intended for use as an internal standard for the quantification of apremilast (Item No. 18502) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

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 the 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 the 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-methanesulfonyl]ethyl]-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.

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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