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- Expressversand

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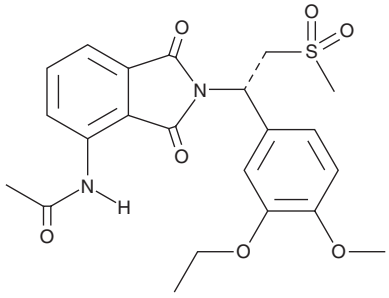
PRODUCT INFORMATION

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:	$C_{22}H_{24}N_2O_7S$
FW:	460.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 203, 229, 345 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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 IC_{50} 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. J. Med. Chem.* **52(6)**, 1522-1524 (2009).
2. Schafer, P.H., Parton, A., Gandhi, A.K., *et al. Br. J. Pharmacol.* **159(4)**, 842-855 (2010).
3. Gordon, J.C., Prothero, J.D., Thornton, C.A., *et al. J. Crohns. Colitis.* **3(3)**, 175-182 (2009).
4. McCann, F.E., Palfreeman, A.C., Andrews, M., *et al. Arthritis Res. Ther.* **12(3)**, (2010).

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