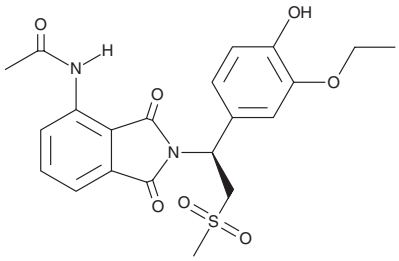
PRODUCT INFORMATION

O-Demethyl Apremilast

Item No. 34216

CAS Registry No.:	1384441-38-6
Formal Name:	N-[2-[(1S)-1-(3-ethoxy-4-hydroxyphenyl)-2-(methylsulfonyl)ethyl]-2,3-dihydro-1,3-dioxo-1H-isoindol-4-yl]-acetamide
Synonym:	4'-hydroxy APR
MF:	$C_{21}H_{22}N_2O_7S$
FW:	446.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 231 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥42 years



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

Laboratory Procedures

O-Demethyl apremilast is supplied as a cystalline solid. A stock solution may be made by dissolving the O-demethyl apremilast in the solvent of choice, which should be purged with an inert gas. O-Demethyl apremilast is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of O-demethyl apremilast in these solvents is approximately 30 mg/ml.

O-Demethyl apremilast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, O-demethyl apremilast should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. O-demethyl apremilast has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

O-Demethyl apremilast is an active metabolite of the phosphodiesterase 4 (PDE4) inhibitor apremilast (Item No. 18502).[1] It inhibits the activity of PDE4 isolated from U937 cells and LPS-induced TNF-α production in isolated human peripheral blood mononuclear cells (PBMCs; IC_{50}s = 8.3 and 5.6 µM, respectively). O-Demethyl apremilast is also an oxidative degradation product of apremilast.[2,3]

References

1. Hoffmann, M., Kumar, G., Schafer, P., *et al*. Disposition, metabolism and mass balance of [^{14}C]apremilast following oral administration. *Xenobiotica* **41(12)**, 1063-1075 (2011).
2. Lu, Y., Shen, X., Hang, T., *et al*. Identification and characterization of process-related substances and degradation products in apremilast: Process optimization and degradation pathway elucidation. *J. Pharm. Biomed. Anal.* **141**, 70-78 (2017).
3. Bhole, R.P., Naksakhare, S.R., and Bonde, C.G. A stability indicating HPTLC method for apremilast and identification of degradation products using MS/MS. *J. Pharm. Sci. & Res.* **11(5)**, 1861-1869 (2019).

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