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 $C_{21}H_{22}N_2O_7S$ MF:

FW: 446.5 **Purity:** ≥95% UV/Vis.: λ_{max} : 231 nm

Supplied as: A crystalline solid

-20°C Storage: 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). It inhibits the activity of PDE4 isolated from U937 cells and LPS-induced TNF- α production in isolated human peripheral blood mononuclear cells (PBMCs; IC₅₀s = 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 [14C]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).

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

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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