PRODUCT INFORMATION



Desisobutyryl Ciclesonide

Item No. 34819

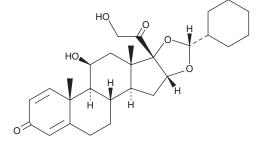
CAS Registry No.: 161115-59-9

Formal Name: 16α,17-[[(R)-cyclohexylmethylene]

> bis(oxy)]-11β,21-dihydroxypregna-1,4-diene-3,20-dione

Synonyms: CIC-AP, des-CIC

MF: $C_{28}H_{38}O_6$ FW: 470.6 **Purity:** ≥95% 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

Desisobutyryl ciclesonide is supplied as a solid. A stock solution may be made by dissolving the desisobutyryl ciclesonide in the solvent of choice, which should be purged with an inert gas. Desisobutyryl ciclesonide is soluble in methanol.

Description

Desisobutyryl ciclesonide is a glucocorticoid receptor agonist and the active metabolite of the prodrug ciclesonide (Item No. 18227).^{1,2} It is formed from inhaled ciclesonide by intracellular esterases in the lung. Desisobutyryl ciclesonide binds to the glucocorticoid receptor ($IC_{50} = 1.75$ nM for the human receptor) and induces glucocorticoid receptor-mediated gene transactivation in a reporter assay. It inhibits concanavalin A-induced proliferation of primary rat spleen cells and human peripheral blood mononuclear cells (PBMCs; IC₅₀s = 1.5 and 1.3 nM, respectively). Desisobutyryl ciclesonide also inhibits CD3-induced proliferation of human CD4 $^+$ lymphocytes (IC $_{50}$ = 0.2 nM) and the production of cytokines in the same cells $(IC_{50}s = 0.5-1.5 \text{ nM})$. It reduces eosinophil, TNF- α , and total protein accumulation in bronchoalveolar lavage fluid (BALF) of rats sensitized and challenged with ovalbumin (ED₅₀s = 0.7, 0.4, and 0.5 mg/kg, respectively).

References

- 1. Belvisi, M.G., Bundschuh, D.S., Stoeck, M., et al. Preclinical profile of ciclesonide, a novel corticosteroid for the treatment of asthma. J. Pharmacol. Exp. Ther. 314(2), 568-574 (2005).
- 2. Joshi, T., Johnson, M., Newton, R., et al. An analysis of glucocorticoid receptor-mediated gene expression in BEAS-2B human airway epithelial cells identifies distinct, ligand-directed, transcription profiles with implications for asthma therapeutics. Br. J. Pharmacol. 172(5), 1360-1378 (2015).
- Stoeck, M., Riedel, R.T., Hochhaus, G., et al. In vitro and in vivo anti-inflammatory activity of the new glucocorticoid ciclesonide. J. Pharmacol. Exp. Ther. 309(1), 249-258 (2004).

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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