PRODUCT INFORMATION



Difamilast

Item No. 39664

CAS Registry No.: 937782-05-3

Formal Name: N-[[2-[4-(difluoromethoxy)-3-(1-

methylethoxy)phenyl]-4-oxazolyl]

methyl]-2-ethoxy-benzamide

Synonym: **OPA 15406** MF: $C_{23}H_{24}F_2N_2O_5$

FW: 446.4 **Purity:** ≥98% 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

Difamilast is supplied as a solid. A stock solution may be made by dissolving the difamilast in the solvent of choice, which should be purged with an inert gas. Difamilast is soluble in acetonitrile and DMSO.

Description

Difamilast is an inhibitor of phosphodiesterase 4 (PDE4).1 It is selective for the PDE4 isoforms PDE4A, PDE4B, PDE4C, and PDE4D (IC $_{50}$ s = 0.0832, 0.0112, 0.2493 and 0.0738 μ M, respectively) over PDE5A and PDE10A (IC₅₀s = 4.793 and 1.4346 μ M, respectively) and eight other PDEs (IC₅₀s = >10 μ M for all). Difamilast (0.01-10 μM) increases intracellular cAMP levels in U937 cells. It inhibits LPS-induced increases in TNF-α secretion from isolated human and mouse peripheral blood mononuclear cells (PBMCs; IC₅₀s = 19 and 3.5 nM, respectively). Topical application of difamilast (3% w/v) decreases ear thickness and CD3+ T cell, eosinophil, and neutrophil lesion infiltrates in a mouse model of 2,4,6-trinitrochlorobenzene-induced chronic allergic contact dermatitis. Formulations containing difamilast have been used in the treatment of atopic dermatitis.

Reference

1. Hiyama, H., Arichika, N., Okada, M., et al. Pharmacological profile of difamilast, a novel selective phosphodiesterase 4 inhibitor, for topical treatment of atopic dermatitis. J. Pharmacol. Exp. Ther. 386(1), 45-55 (2023).

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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