

PRODUCT INFORMATION

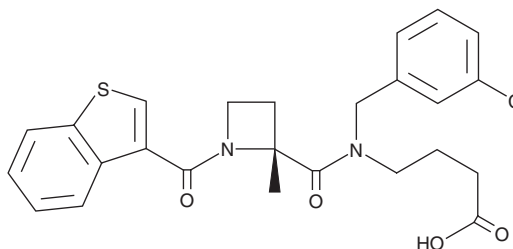


GLPG0974

Item No. 28108

CAS Registry No.: 1391076-61-1
Formal Name: 4-[[[(2R)-1-(benzo[b]thien-3-ylcarbonyl)-2-methyl-2-azetidyl]carbonyl]][(3-chlorophenyl)methyl]amino]-butanoic acid

MF: C₂₅H₂₅ClN₂O₄S
FW: 485.0
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

GLPG0974 is supplied as a solid. A stock solution may be made by dissolving the GLPG0974 in the solvent of choice, which should be purged with an inert gas. GLPG0974 is soluble in ethanol and DMSO.

Description

GLPG0974 is an antagonist of free fatty acid receptor 2 (FFAR2/GPR43; IC₅₀ = 9 nM).¹ It is selective for FFAR2 over FFAR3 at concentrations up to 30 μM and over a panel of 55 receptors, ion channels, and transporters at 10 μM. GLPG0974 inhibits acetate-induced migration of isolated human neutrophils in buffer or plasma (IC₅₀s = 27 and 43 nM, respectively), as well as acetate-induced expression of CD11b activation-specific epitope on neutrophils in isolated human whole blood (IC₅₀ = 438 nM). GLPG0974 also inhibits a human FFAR2-based designer receptor exclusively activated by designer drugs (hFFAR2-DREADD; IC₅₀ = 36.31 nM in a cell-based β-arrestin-2 recruitment assay).² It inhibits glucagon-like peptide 1 (GLP-1) secretion induced by the hFFA2-DREADD ligand sorbic acid in isolated colonic crypts from mice expressing hemagglutinin-tagged hFFAR2-DREADD when used at a concentration of 10 μM.

References

1. Pizzonero, M., Dupont, S., Babel, M., *et al.* Discovery and optimization of an azetidine chemical series as a free fatty acid receptor 2 (FFA2) antagonist: From hit to clinic. *J. Med. Chem.* **57**(23), 10044-10057 (2014).
2. Bolognini, D., Barki, N., Butcher, A.J., *et al.* Chemogenetics defines receptor-mediated functions of short chain free fatty acids. *Nat. Chem. Biol.* **15**(5), 489-498 (2019).

WARNING

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

SAFETY DATA

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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