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# Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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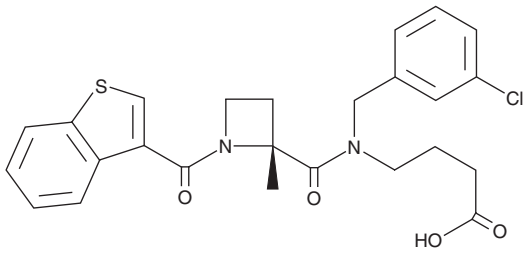
# PRODUCT INFORMATION

## GLPG0974

*Item No. 28108*

| | |
|---|---|
| **CAS Registry No.:** | 1391076-61-1 |
| **Formal Name:** | 4-[[[(2R)-1-(benzo[b]thien-3-ylcarbonyl)-2-methyl-2-azetidinyl]carbonyl][(3-chlorophenyl)methyl]amino]-butanoic acid |
| **MF:** | $C_{25}H_{25}ClN_2O_4S$ |
| **FW:** | 485.0 |
| **Purity:** | ≥98% |
| **Supplied as:** | A solid |
| **Storage:** | -20°C |
| **Stability:** | ≥2 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; $IC_{50}$ = 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 ($IC_{50}$s = 27 and 43 nM, respectively), as well as acetate-induced expression of CD11b activation-specific epitope on neutrophils in isolated human whole blood ($IC_{50}$ = 438 nM). GLPG0974 also inhibits a human FFAR2-based designer receptor exclusively activated by designer drugs (hFFAR2-DREADD; $IC_{50}$ = 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).





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