

PRODUCT INFORMATION

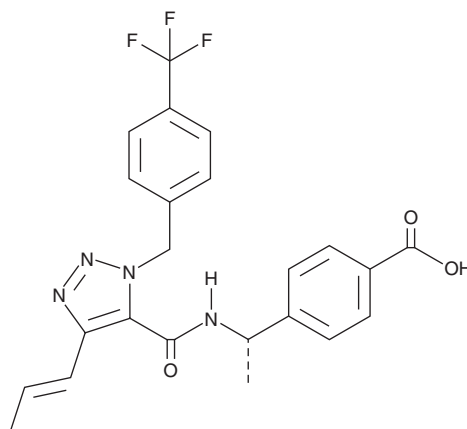


EP₄ Receptor Antagonist 1

Item No. 32722

CAS Registry No.: 2287259-07-6
Formal Name: 4-[(1S)-1-[[[4-(1E)-1-propen-1-yl]-1-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,2,3-triazol-5-yl]carbonyl]amino]ethyl]-benzoic acid

MF: C₂₃H₂₁F₃N₄O₃
FW: 458.4
Purity: ≥98%
UV/Vis.: λ_{max}: 218, 235 nm
Supplied as: A crystalline 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

EP₄ receptor antagonist 1 is supplied as a crystalline solid. A stock solution may be made by dissolving the EP₄ receptor antagonist 1 in the solvent of choice, which should be purged with an inert gas. EP₄ receptor antagonist 1 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of EP₄ receptor antagonist 1 in these solvents is approximately 30 mg/ml.

EP₄ receptor antagonist 1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EP₄ receptor antagonist 1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EP₄ receptor antagonist 1 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EP₄ receptor antagonist 1 is an antagonist of the prostaglandin E₂ (PGE₂) receptor EP₄ that has an IC₅₀ value of 6.1 nM in a calcium flux assay using CHO cells co-expressing the human receptor and Gα₁₆.¹ It is selective for EP₄ over EP₁, EP₂, and EP₃ receptors (IC₅₀s = >10,000 nM for all). EP₄ receptor antagonist 1 inhibits PGE₂-induced β-arrestin recruitment in HEK293 cells expressing EP₄. It reverses ERK phosphorylation induced by PGE₂ in CHO cells expressing EP₄ and decreases GM-CSF-induced expression of *Il1b*, *Il4ra*, *Il6*, *Arg1*, *Cox2*, and *Il10* in RAW 264.7 cells when used at a concentration of 10 μM. EP₄ receptor antagonist 1 (50 and 150 mg/kg once per day) reduces tumor volume and increases infiltration of CD8⁺ T cells into tumors in a murine colon carcinoma model.

Reference

1. Yang, J.-J., Yu, W.-W., Hu, L.-L., *et al.* Discovery and characterization of 1 H-1,2,3-triazole derivatives as novel prostanoid EP₄ receptor antagonists for cancer immunotherapy. *J. Med. Chem.* **63**(2), 569-590 (2020).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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