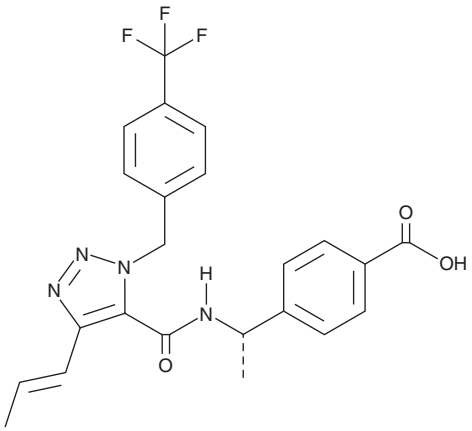
PRODUCT INFORMATION

EP_4 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:	$C_{23}H_{21}F_3N_4O_3$
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

EP_4 receptor antagonist 1 is supplied as a crystalline solid. A stock solution may be made by dissolving the EP_4 receptor antagonist 1 in the solvent of choice, which should be purged with an inert gas. EP_4 receptor antagonist 1 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of EP_4 receptor antagonist 1 in these solvents is approximately 30 mg/ml.

EP_4 receptor antagonist 1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EP_4 receptor antagonist 1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EP_4 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

EP_4 receptor antagonist 1 is an antagonist of the prostaglandin E_2 (PGE_2) receptor EP_4 that has an IC_{50} value of 6.1 nM in a calcium flux assay using CHO cells co-expressing the human receptor and $G\alpha_{16}$.[1] It is selective for EP_4 over EP_1, EP_2, and EP_3 receptors (IC_{50}s = >10,000 nM for all). EP_4 receptor antagonist 1 inhibits PGE_2-induced β-arrestin recruitment in HEK293 cells expressing EP_4. It reverses ERK phosphorylation induced by PGE_2 in CHO cells expressing EP_4 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. EP_4 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 EP_4 receptor antagonists for cancer immunotherapy. *J. Med. Chem.* **63(2)**, 569-590 (2020).

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