PRODUCT INFORMATION



MK-7246

Item No. 34208

CAS Registry No.: 1218918-62-7

Formal Name: (7R)-7-[[(4-fluorophenyl)sulfonyl]

> methylamino]-6,7,8,9-tetrahydropyrido[1,2-a]indole-10-acetic acid

MF: $C_{21}H_{21}FN_2O_4S$

FW: 416.5 **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

MK-7246 is supplied as a solid. A stock solution may be made by dissolving the MK-7246 in the solvent of choice, which should be purged with an inert gas. MK-7246 is soluble in the organic solvent DMSO at a concentration of approximately 50 mg/ml.

Description

MK-7246 is an antagonist of the prostaglandin D₂ (PGD₂; Item No. 12010) receptor CRTH₂/DP₂ (K_i = 2.5 nM).¹ It is selective for CRTH₂/DP₂ over DP₁, the PGF_{2 α} receptor (FP), the PGI₂ receptor (IP), and the thromboxane A₂ (TP) receptor (K_is = 373, >25,100, >23,030, and 3,804 nM, respectively), as well as the PGE $_2$ receptor subtypes 1-4 (EP $_{1-4}$; K $_1$ s = 7,668-23,330 nM). MK-7246 inhibits 13,14-dihydro-15-keto-PGD $_2$ -induced inhibition of cAMP formation in HEK293 cells expressing human CRTH $_2$ /DP $_2$ $(IC_{50} = 3 \text{ nM})$. It also inhibits 13,14-dihydro-15-keto-PGD₂-induced eosinophil shape change (IC₅₀ = 2.2 nM) and CD11b upregulation on eosinophils and basophils in isolated human whole blood (IC₅₀s = 6.2 and 5.4 nM, respectively). MK-7246 (1 mg/kg) decreases antigen-induced late-phase bronchoconstriction and completely prevents airway hyperresponsiveness in sheep.

Reference

1. Gervais, F.G., Sawyer, N., Stocco, R., et al. Pharmacological characterization of MK-7246, a potent and selective CRTH2 (chemoattractant receptor-homologous molecule expressed on T-helper type 2 cells) antagonist. Mol. Pharmacol. 79(1), 69-76 (2011).

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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