

# MK-7246

Catalog No: **tcsc0009391**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 25mg



## Specifications

**CAS No:**

1218918-62-7

**Formula:**

$C_{21}H_{21}FN_2O_4S$

**Pathway:**

GPCR/G Protein

**Target:**

Prostaglandin Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 250$  mg/mL (600.28 mM)

**Observed Molecular Weight:**

416.47

## Product Description

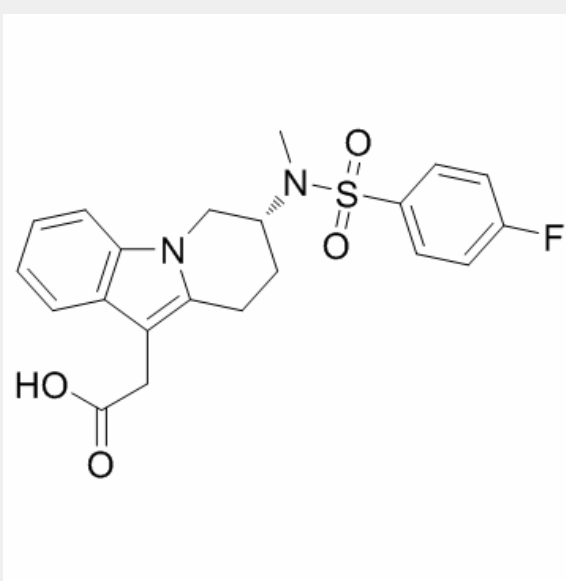
MK-7246 is a potent and selective **CRTH2** antagonist with a **K<sub>i</sub>** of  $2.5 \pm 0.5$  nM.

IC50 & Target: Ki:  $2.5 \pm 0.5$  nM (CRTH2),  $373 \pm 96$  nM (Prostaglandin D, DP),  $7668 \pm 2169$  nM (Prostaglandin E2, EP<sub>2</sub>),  $3804 \pm 1290$  nM

(TXA<sub>2</sub>,TP)<sup>[1]</sup>

**In Vitro:** The affinity and selectivity of MK-7246 for human CRTH2 and recombinant human prostanoid receptors is determined by equilibrium competition analysis using the relevant radioligands and cell membranes expressing the various receptors. MK-7246 competes for [<sup>3</sup>H]PGD<sub>2</sub> specific binding to cell membranes expressing recombinant human CRTH2 with high-affinity (K<sub>i</sub>, 2.5 nM). MK-7246 displays a relatively high selectivity for CRTH2 with an affinity 149-fold lower for the DP receptor (K<sub>i</sub>, 373±96 nM) and ≥1500-fold lower for the other prostanoid receptors (K<sub>i</sub>, 7668±2169 nM for EP<sub>2</sub>, 3804±1290 nM for TP). MK-7246 is also tested in a panel of 157 enzyme and receptor assays at concentrations up to 100 μM and small but significant activity is detected only on phosphodiesterase 1 (PDE1, IC<sub>50</sub>=33.2 μM) and MAPK3 (ERK1, IC<sub>50</sub>=49.4 μM)<sup>[1]</sup>.

**In Vivo:** Whether the inhibition of a clinically-relevant mechanism of allergic lung inflammation such as CRTH2 will lead to a suppression of inflammatory responses is investigated in *A. alternata* challenged Brown Norway rats (n=8 per group). Mast cell derived production of Prostaglandin D<sub>2</sub> (PGD<sub>2</sub>) is believed to be a prime mediator of allergic inflammation. Since CRTH2 plays an important role in the early aspects of the allergic inflammation cascade, the effect of the CRTH2 antagonist is examined on *A. alternata* elicited pulmonary inflammatory responses. CRTH2 inhibitor MK-7246 is orally administered 1 h before and 23 h post-intratracheal instillation of the *A. alternata*. MK-7246 produces a dose dependent decrease in the number of eosinophils with a maximal inhibition of 74±5% in the 100 mg/kg group (P[2]).



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!