Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 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_i** of 2.5±0.5 nM.

IC50 & Target: Ki: 2.5±0.5 nM (CRTH2), 373±96 nM (Prostaglandin D, DP), 7668±2169 nM (Prostaglandin E2, EP_2), 3804±1290 nM

(TXA2,TP)[1]

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 [^{3}H]PGD_2 specific binding to cell membranes expressing recombinant human CRTH2 with high-affinity (K_i, 2.5 nM). MK-7246 displays a relatively high selectivity for CRTH2 with an affinity 149-fold lower for the DP receptor (K_i, 373±96 nM) and ≥1500-fold lower for the other prostanoid receptors (K_i, 7668±2169 nM for EP_2, 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_{50}=33.2 μM) and MAPK3 (ERK1, IC_{50}=49.4 μM)[1].

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_2 (PGD_2) 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. alternate* 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].