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

Timapiprant

Catalog No: tcsc1285

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
851723-84-7

Formula:
$C_{21}H_{17}FN_2O_2$

Pathway:
GPCR/G Protein

Target:
Prostaglandin Receptor

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
OC000459

Observed Molecular Weight:
348.37

Product Description

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Timapiprant (OC000459) is a potent and selective D prostanoid receptor 2 (DP2) antagonist with IC50 of 13 nM.

IC50 & Target: IC50 Value: 13 nM(Ki for hrCRTH2); 3 nM(Ki for Rat rCRTH2);13 nM(Ki for human native CRTH2)

In Vitro: OC000459 is an indole-acetic acid derivative that potently displaces [3H]PGD2from human recombinant DP2 (Ki = 0.013 μM), rat recombinant DP2 (Ki = 0.003 μM), and human native DP2 (Th2 cell membranes; Ki = 0.004 μM) but does not interfere with the ligand binding properties or functional activities of other prostanoid receptors (prostaglandin E1-4 receptors, D prostanoid receptor 1, thromboxane receptor, prostacyclin receptor, and prostaglandin F receptor). OC000459 inhibited chemotaxis (IC50 = 0.028 μM) of human Th2 lymphocytes and cytokine production (IC50 = 0.019 μM) by human Th2 lymphocytes. OC000459 competitively antagonized eosinophil shape change responses induced by PGD2 in both isolated human leukocytes (pKB = 7.9) and human whole blood (pKB = 7.5) but did not inhibit responses to eotaxin, 5-oxo-eicosatetraenoic acid, or complement component C5a. OC000459 also inhibited the activation of Th2 cells and eosinophils in response to supernatants from IgE/anti-IgE-activated human mast cells. OC000459 had no significant inhibitory activity on a battery of 69 receptors and 19 enzymes including cyclooxygenase 1 (COX1) and COX2[3] .

In Vivo: OC000459 was found to be orally bioavailable in rats and effective in inhibiting blood eosinophilia induced by 13,14-dihydro-15-keto-PGD2 (DK-PGD2) in this species (ED50 = 0.04 mg/kg p.o.) and airway eosinophilia in response to an aerosol of DK-PGD2 in guinea pigs (ED50 = 0.01 mg/kg p.o.) [3].