

# 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:**

H<sub>2</sub>O :

**Alternative Names:**

OC000459

**Observed Molecular Weight:**

348.37

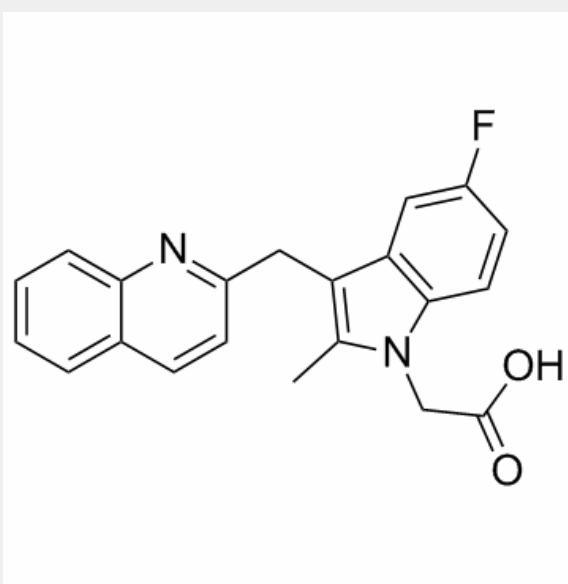
### Product Description

Timapiprant (OC000459) is a potent and selective D prostanoid receptor 2 (DP2) antagonist with IC<sub>50</sub> of 13 nM.

IC<sub>50</sub> & Target: IC<sub>50</sub> 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]PGD<sub>2</sub> from human recombinant DP2 (K<sub>i</sub> = 0.013 μM), rat recombinant DP2 (K<sub>i</sub> = 0.003 μM), and human native DP2 (Th2 cell membranes; K<sub>i</sub> = 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 (IC<sub>50</sub> = 0.028 μM) of human Th2 lymphocytes and cytokine production (IC<sub>50</sub> = 0.019 μM) by human Th2 lymphocytes. OC000459 competitively antagonized eosinophil shape change responses induced by PGD<sub>2</sub> in both isolated human leukocytes (pK<sub>B</sub> = 7.9) and human whole blood (pK<sub>B</sub> = 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-PGD<sub>2</sub> (DK-PGD<sub>2</sub>) in this species (ED<sub>50</sub> = 0.04 mg/kg p.o.) and airway eosinophilia in response to an aerosol of DK-PGD<sub>2</sub> in guinea pigs (ED<sub>50</sub> = 0.01 mg/kg p.o.) [3].



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