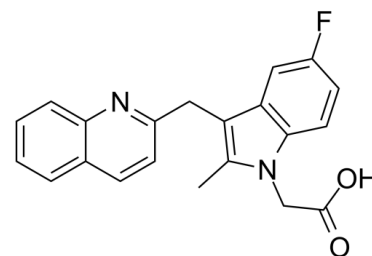


Data Sheet

Product Name:	Timapiprant
Cat. No.:	CS-1285
CAS No.:	851723-84-7
Molecular Formula:	C ₂₁ H ₁₇ FN ₂ O ₂
Molecular Weight:	348.37
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Solubility:	H ₂ O : < 0.1 mg/mL (insoluble); DMSO : 10 mg/mL (28.71 mM); ultrasonic and warming and heat to 60°C)



BIOLOGICAL ACTIVITY:

Timapiprant (OC000459) is a potent, selective, and orally active D prostanoid receptor 2 (**DP₂**, also known as **CRTH2**) antagonist. Timapiprant (OC000459) potently displaces [³H] PGD₂ from human recombinant DP₂ (**K_i**=13 nM), rat recombinant DP₂ (**K_i**=3 nM), and human native DP₂ (**K_i**=4 nM). Timapiprant (OC000459) inhibits mast cell activation of Th2 lymphocytes and eosinophils^[1]. IC₅₀ & Target: **K_i**: 0.013 μM (human recombinant DP₂); 0.003 μM (rat recombinant DP₂) and 0.004 μM (human native DP₂)^[1] **In Vitro:** Timapiprant (OC000459) (0.0001 μM-10 μM; 5 hours) inhibits chemotaxis (IC₅₀=0.028 μM) of human Th2 lymphocytes and cytokine production (IC₅₀=0.019 μM) by human Th2 lymphocytes^[1].

Timapiprant (OC000459) (1 μM) inhibits the activation of Th2 cells and eosinophils in response to supernatants from IgE/anti-IgE-activated human mast cells^[1].

Timapiprant (OC000459) (1 nM-1000 nM; 16 hours) inhibits the anti-apoptotic effect of PGD₂ on Th2 cells with an IC₅₀ of 0.035 μM^[1].

In Vivo: Timapiprant (OC000459) (gavage; 2 mg/kg, 10 mg/kg) inhibits blood eosinophilia induced by 13,14-dihydro-15-keto-PGD₂ (DK-PGD₂) in Rat (ED₅₀=0.04 mg/kg)^[1].

Timapiprant (OC000459) (gavage; 0.01 mg/kg, 0.1 mg/kg, 1.0 mg/kg) inhibits airway eosinophilia in response to an aerosol of DK-PGD₂ in guinea pigs (ED₅₀=0.01 mg/kg)^[1].

References:

[1]. Pettipher R, Vinall SL, Xue L, Pharmacologic profile of OC000459, a potent, selective, and orally active D prostanoid receptor 2 antagonist that inhibits mast cell-dependent activation of T helper 2 lymphocytes and eosinophils. J Pharmacol Exp Ther. 2012 Feb;340(2):473-82.

CAIndexNames:

1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-(2-quinolinylmethyl)-

SMILES:

O=C(O)CN1C(C)=C(CC2=NC3=CC=CC=C3C=C2)C4=C1C=CC(F)=C4

Caution: Product has not been fully validated for medical applications. For research use only.

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