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Data Sheet

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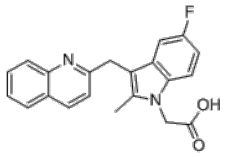
Product Name	:	OC000459
Cat. No.	:	PC-38844
CAS No.	:	851723-84-7
Molecular Formula	:	$C_{21}H_{17}FN_2O_2$
Molecular Weight	:	348.377
Target	:	Prostaglandin Receptor
Solubility	:	10 mM in DMSO



Biological Activity

OC000459 (Timapiprant) is a potent, selective, and orally active **D prostanoid receptor 2 (DP2, CRTH2)** antagonist with Ki of 13/3 nM for human/recombinant DP2, respectively.
OC000459 does not interfere with the ligand binding properties or functional activities of other prostanoid receptors (prostaglandin E1-4 receptors, D1, thromboxane receptor, prostacyclin receptor, and prostaglandin F receptor).
OC000459 inhibited chemotaxis (IC50= 28 nM) of human Th2 lymphocytes and cytokine production (IC50=19 nM) by human Th2 lymphocytes.
OC000459 competitively antagonized eosinophil shape change responses induced by PGD_2 in both isolated human leukocytes (pK(B)=7.9) and human whole blood (pK(B)=7.5) but did not inhibit responses to eotaxin, 5-oxo-eicosatetraenoic acid, or complement component C5a.
OC000459 is orally bioavailable in rats and effective in inhibiting blood eosinophilia induced by 13,14-dihydro-15-keto-PGD_2 (DK-PGD_2) with ED50 of 0.04 mg/kg (p.o.) and airway eosinophilia in response to an aerosol of DK-PGD_2 in guinea pigs (ED50=0.01 mg/kg p.o.).

References

Pettipher R, et al. ***J Pharmacol Exp Ther***. 2012 Feb;340(2):473-82.

Barnes N, et al. ***Clin Exp Allergy.*** 2012 Jan;42(1):38-48.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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