Timapiprant

Cat. No.: HY-15342

CAS No.: 851723-84-7

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

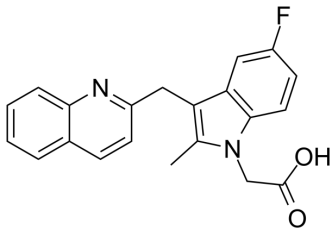
Molecular Weight: 348.37

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (28.71 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8705 mL	14.3526 mL	28.7051 mL
5 mM	0.5741 mL	2.8705 mL	5.7410 mL
10 mM	0.2871 mL	1.4353 mL	2.8705 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.4 mg/mL (1.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Timapiprant (OC000459) is a potent, selective, and orally active D prostanoid receptor 2 (DP_2, also known as CRTH2) antagonist. Timapiprant (OC000459) potently displaces [^{3}H] PGD2 from human recombinant DP_2 (K_i=13 nM), rat recombinant DP_2 (K_i=3 nM), and human native DP_2 (K_i=4 nM). Timapiprant (OC000459) inhibits mast cell activation of Th2 lymphocytes and eosinophils[1].

IC_{50} & Target

Ki: 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 (IC_{50}=0.028 μM) of human Th2 lymphocytes and cytokine production (IC_{50}=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 IC_{50} of 0.035 uM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	Th2 Cells
Concentration:	0.0001 μM-10 μM
Incubation Time:	16 hours
Result:	Inhibited the antiapoptotic effect of PGD2.

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 (ED_{50}=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 (ED_{50}=0.01 mg/kg)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Allergol Int. 2016 Oct;65(4):414-419.
- Life Sci Alliance. 2022 Sep 27;5(12):e202201555.
- bioRxiv. 2023 Aug 22.

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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

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