Timapiprant sodium

Cat. No.: HY-15342A

CAS No.: 950688-14-9

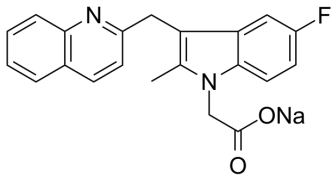
Molecular Formula: $C_{21}H_{16}FN_2NaO_2$

Molecular Weight: 370.35

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 : 100 mg/mL (270.01 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7001 mL	13.5007 mL	27.0015 mL
	5 mM	0.5400 mL	2.7001 mL	5.4003 mL
	10 mM	0.2700 mL	1.3501 mL	2.7001 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: ≥ 2.08 mg/mL (5.62 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Timapiprant sodium (OC000459 sodium) is a potent, selective, and orally active D prostanoid receptor 2 (DP_2, also known as CRTH2) antagonist. Timapiprant sodium (OC000459 sodium) 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 sodium (OC000459 sodium) 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 sodium (OC000459 sodium) (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 sodium (OC000459 sodium) (1 μM) inhibits the activation of Th2 cells and eosinophils in response to supernatants from IgE/anti-IgE-activated human mast cells[1].

Timapiprant sodium (OC000459 sodium) (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 sodium (OC000459 sodium) (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 sodium (OC000459 sodium) (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.

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REFERENCES

[1]. Singh D, Cadden P, Hunter M, Inhibition of the asthmatic allergen challenge response by the CRTH2 antagonist OC000459. Eur Respir J. 2013 Jan;41(1):46-52.

[2]. Horak F, Zieglmayer P, Zieglmayer R, The CRTH2 antagonist OC000459 reduces nasal and ocular symptoms in allergic subjects exposed to grass pollen, a randomised, placebo-controlled, double-blind trial. Allergy. 2012 Dec;67(12):1572-9.

[3]. 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.

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