

Product Data Sheet

Product Name: Timapiprant

Cat. No.: GC37794

Chemical Properties

Cas. No. 851723-84-7

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

Formula $C_{21}H_{17}FN_2O_2$ M.Wt 348.37

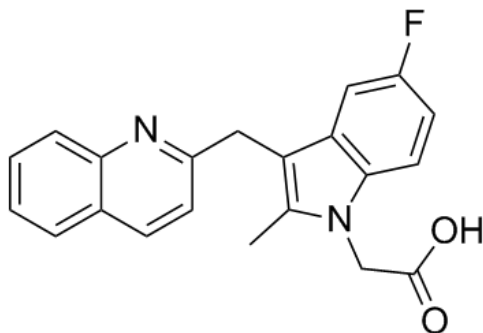
Solubility DMSO: 10 mg/mL (28.71 mM); ultrasonic and warming and heat to 60°C); Water: < 0.1 mg/mL (insoluble)

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

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 (Ki=13 nM), rat recombinant DP2 (Ki=3 nM), and human native DP2 (Ki=4 nM).

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

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Timapiprant (OC000459) inhibits mast cell activation of Th2 lymphocytes and eosinophils[1]. Ki: 0.013 μ M (human recombinant DP2); 0.003 μ M (rat recombinant DP2) and 0.004 μ M (human native DP2)[1]

Timapiprant (OC000459) (0.0001 μ M-10 μ M; 5 hours) inhibits chemotaxis (IC_{50} =0.028 μ M) of human Th2 lymphocytes and cytokine production (IC_{50} =0.019 μ M) by human Th2 lymphocytes[1]. Timapiprant (OC000459) (1 μ M) 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 μ M[1]. Apoptosis Analysis[1] Cell Line: Th2 Cells

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].

[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.

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