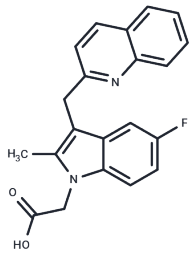
Data Sheet (Cat.No.T2664)

TargetMol

Timapiprant

Chemical Properties



CAS No. : 851723-84-7

Formula: C21H17FN2O2

Molecular Weight: 348.37

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Timapiprant (OC000459) is a potent and selective D prostanoid receptor 2 (DP2) antagonist (IC50: 13 nM).
Targets(IC50)	GPCR,Prostaglandin Receptor
In vitro	Analysis of the full study cohort and individual treatment groups reveals that administering 200 mg of OC000459 twice daily for 28 days improves the quality of life in patients with moderate persistent asthma. OC000459 enhances night-time symptom scores, reduces geometric mean counts of sputum eosinophils, and decreases respiratory infections in these patients. In individuals with corticosteroid-naive persistent asthma, 200 mg of OC000459 administered twice daily suppresses both the late asthmatic response and the increase in allergen-induced sputum eosinophils. Oral administration of 2 mg/kg OC000459 in Sprague-Dawley rats exhibits a plasma half-life of 2.9 hours, a peak plasma concentration (C_max) of 1.54 μg/mL reached in 1.3 hours. Pre-administration of OC000459 0.5 hours before DK-PGD2 injection dose-dependently reduces eosinophilic granulocyte counts, with an effective dose of 50 (ED50) of 0.04 mg/kg in rats. Similarly, it dose-dependently inhibits eosinophil aggregation with an ED50 of 0.01 mg/kg in the rat model.
In vivo	OC000459 inhibits the chemotactic response of human Th2 cells to prostaglandin D2 (PGD2) at 10 nM, with an IC50 of 28 nM. At a concentration of 1 μM, OC000459 suppresses the activation of Th2 cells and the response of eosinophils to mast cell supernatants. Below 3 μM, OC000459 acts as a competitive antagonist against the action of PGD2 in ex vivo leukocyte preparations and in heparinized human whole blood. Furthermore, OC000459 inhibits the deformation of eosinophilic cells in response to DK-PGD2, with an IC50 of 11 nM, and prevents the binding of [3H]PGD2 to the cell membranes of CHO cells transfected with human DP2, with a Ki value of 13 nM. OC000459 also displaces [3H]PGD2 from the cell membranes of human Th2 lymphocytes, with a Ki of 4 nM. Lastly, OC000459 dose-dependently antagonizes PGD2-mediated calcium mobilization in intact CHO cells expressing DP2, with an IC50 of 28 nM.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble),

DMSO: 3.48 mg/mL (9.99 mM),Sonication is recommended.
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8705 mL	14.3526 mL	28.7051 mL
5 mM	0.5741 mL	2.8705 mL	5.741 mL
10 mM	0.2871 mL	1.4353 mL	2.8705 mL
50 mM	0.0574 mL	0.2871 mL	0.5741 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pettipher R, et al. J Pharmacol Exp Ther, 2012, 340(2), 473-482.
Barnes N, et al. Clin Exp Allergy, 2012, 42(1), 38-48.
Singh D, et al. Eur Respir J, 2012 Apr 10.