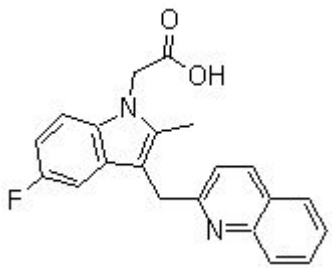
Product Introduction

OC000459

OC000459 is a potent and selective **D prostanoid receptor 2 (DP2)** antagonist with **IC50** of 13 nM.

Technical Data:

Molecular Weight (MW):	348.37	
Formula:	$C_{21}H_{17}FN_2O_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 4 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	851723-84-7	

Biological Activity

OC000459 inhibits the binding of [^{3}H]PGD2 to membranes from CHO cells transfected with human DP2 with K_i of 13 nM. OC000459 also displaces [^{3}H]PGD2 from membranes from human Th2 lymphocytes with K_i of 4 nM. OC000459 antagonizes PGD2-mediated calcium mobilization in a concentration-dependent manner with IC50 of 28 nM in intact CHO cells expressing DP2. OC000459 inhibits chemotaxis of human Th2 cells in response to PGD2 (10 nM) with IC50 of 28 nM. OC000459 (< 3 μM) antagonizes the effect of PGD2 competitively in both the isolated leukocyte preparation and heparinized human whole blood.

OC000459 inhibits eosinophil shape change responses to DK-PGD2 with IC50 of 11 nM. OC000459 (1 μM) inhibits the activation of Th2 cells and eosinophils in response to mast cell supernatants. [1]

OC000459 administrated at doses of 2 mg/kg p.o. in the Sprague-Dawley rats shows plasma half-life of 2.9 hours, time that maximal plasma concentration is achieved of 1.3 hours, maximal plasma concentration achieved is 1.54 μg/mL. OC000459 orally administrated 0.5 hour before injection of DK-PGD2 leads to a dose-dependent reduction in blood eosinophilia with ED50 of 0.04 mg/kg in rats. OC000459 orally administrated 0.5 hour before injection of DK-PGD2 also leads to a dose-dependent inhibition eosinophil accumulation ED50 of 0.01 mg/kg in rats. [1] OC000459 (200 mg twice daily for 28 days) administrated in patients with moderate persistent asthma shows improvement in quality of life as analysed for both the Full Analysis (FA) population and the Per Protocol (PP) population. In those patients, OC000459 improves the night-time symptom scores, reduces the geometric mean sputum eosinophil count and respiratory infections. [2] OC000459 (200 mg twice daily) treatment inhibits the later asthmatic responses and the post allergen increase in sputum eosinophils in steroid naive asthmatic patients. [3]

References

[1] Pettipher R, et al. J Pharmacol Exp Ther, 2012, 340(2), 473-482.

[2] Barnes N, et al. Clin Exp Allergy, 2012, 42(1), 38-48.

[3] Singh D, et al. Eur Respir J, 2012 Apr 10.

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