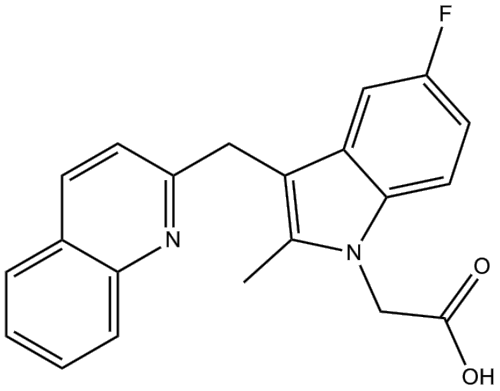
Product Data Sheet

Product Name: OC000459
Cat. No.: GC12536

Chemical Properties

Cas. No.	851723-84-7,950688-14-9		
Chemical Name	2-[5-fluoro-2-methyl-3-(quinolin-2-ylmethyl)indol-1-yl]acetic acid		
SMILES	CC1=C(C2=C(N1CC(=O)O)C=CC(=C2)F)CC3=NC4=CC=CC=C4C=C3		
Formula	C21H17FN2O2	M.Wt	348.37
Solubility	DMF: 0.5 mg/ml,DMSO: 1.1 mg/ml,DMSO:PBS(pH7.2) (1:1): 0.5 mg/ml	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

OC000459 is a potent, selective and orally active antagonist of D prostanoid receptor 2 (DP2) with Ki value of 4nM [1].

DP2 is expressed on Th2 cells and eosinophils. It is activated by PGD2 which is reported to have effects on the pathogenesis of allergic disease. As an antagonist of DP2, OC000459 can prevent

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PGD2 from binding to human DP2 and rat recombinant DP2 with Ki values of 4nM and 3nM. In CHO cells expressing DP2, OC000459 suppresses PGD2 mediated calcium mobilization with IC50 value of 28nM. In human Th2 cells, OC000459 inhibits chemotaxis and production of IL-13 in response to PGD2 with IC50 values of 28nM and 19nM. In addition, oral administration of OC000459 effectively reduces blood eosinophilia in rats. OC000459 also shows inhibitory effect on the accumulation of eosinophil in guinea pigs aerosolized by DK-PGD2. Furthermore, OC000459 is also reported to inhibit the late-phase allergic response in patients with asthma [1, 2].

References:

[1] Pettipher R, Vinall S L, Xue L, et al. 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. Journal of Pharmacology and Experimental Therapeutics, 2012, 340(2): 473-482.
[2] Singh D, Cadden P, Hunter M, et al. Inhibition of the asthmatic allergen challenge response by the CRTH2 antagonist OC000459. European Respiratory Journal, 2013, 41(1): 46-52.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA