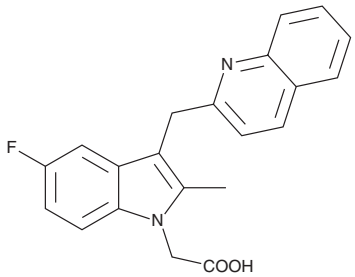
PRODUCT INFORMATION

OC000459

Item No. 12027

CAS Registry No.:	851723-84-7
Formal Name:	5-fluoro-2-methyl-3-(2-quinolinylmethyl)-1H-indole-1-acetic acid
MF:	$C_{21}H_{17}FN_2O_2$
FW:	348.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 229, 281, 318 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

OC000459 is supplied as a crystalline solid. A stock solution may be made by dissolving the OC000459 in the solvent of choice, which should be purged with an inert gas. OC000459 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of OC000459 in these solvents is approximately 1.1 and 0.5 mg/ml, respectively.

OC000459 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, OC000459 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. OC000459 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

OC000459 is a potent, selective DP_2 antagonist that displaces [^{3}H]prostaglandin D_2 ([^{3}H]PGD_2) from human recombinant DP_2 receptors (K_i = 13 nM), rat recombinant DP_2 receptors (K_i = 3 nM), and human native DP_2 (K_i = 4 nM; Th2 cell membranes) without interfering with the ligand binding properties of other prostanoid receptors, including PGE_{1-4}, DP_1, TP, PGI_2, and PGF.[1] OC000459 inhibits chemotaxis and cytokine production of human Th2 lymphocytes with IC_{50} values of 28 and 19 nM, respectively, and competitively antagonizes eosinophil shape change responses induced by PGD_2 in both isolated human leukocytes (pKB = 7.9) and human whole blood (pKB = 7.5).[1] OC000459 was shown to inhibit blood eosinophilia in rats induced by 13,14-dihydro-15-keto PGD_2 (Item No. 12610) (ED_{50} = 0.04 mg/kg) and airway eosinophilia in guinea pigs in response to an aerosol of 13,14-dihydro-15-keto PGD_2 (ED_{50} = 0.01 mg/kg).[1]

Reference

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. *J. Pharmacol. Exp. Ther.* **340(2)**, 473-482 (2012).

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