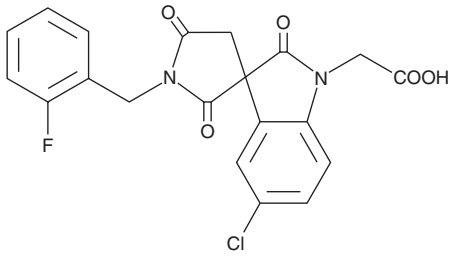
PRODUCT INFORMATION

CAY10597

Item No. 10012539

CAS Registry No.:	916046-55-4
Formal Name:	5-chloro-1'-[(2-fluorophenyl)methyl]-2,2',5'-trioxo-spiro[3H-indole-3,3'-pyrrolidine-1(2H)-acetic acid
MF:	$C_{20}H_{14}ClFN_2O_5$
FW:	416.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 259 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

CAY10597 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10597 in the solvent of choice, which should be purged with an inert gas. CAY10597 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10597 in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of CAY10597 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of CAY10597 in PBS (pH 7.2) is approximately 0.30 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

The biological effects of prostaglandin D_2 (PGD_2) are transduced by at least two 7-transmembrane G protein-coupled receptors, designated DP_1 and $CRTH2/DP_2$. In humans, $CRTH2/DP_2$ is expressed on Th2 cells, eosinophils, and basophils where it mediates the chemotactic activity of PGD_2.[1] CAY10597, as a racemic mixture, is a potent $CRTH2/DP_2$ receptor antagonist that binds to the human receptor with a K_i value of 37 nM.[2] The R enantiomer is slightly more potent exhibiting K_i values of 23 and 22 nM at the human and murine $CRTH2/DP_2$ receptor, respectively. The R enantiomer of CAY10597 inhibits eosinophil chemotaxis induced by 13,14-dihydro-15-keto-prostaglandin D_2 with an IC_{50} value of 40 nM.[2]

References

1. Hirai, H., Tanaka, K., Yoshie, O., *et al.* Prostaglandin D2 selectivity induces chemotaxis in T helper type 2 cells, eosinophils, and basophils via seven-transmembrane receptor CRTH2. *J. Exp. Med.* **193(2)**, 255-261 (2001).
2. Crosignani, S., Page, P., Missotten, M., *et al.* Discovery of a new class of potent, selective, and orally bioavailable CRTH2 (DP2) receptor antagonists for the treatment of allergic inflammatory diseases. *J. Med. Chem.* **51(7)**, 2227-2243 (2008).

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