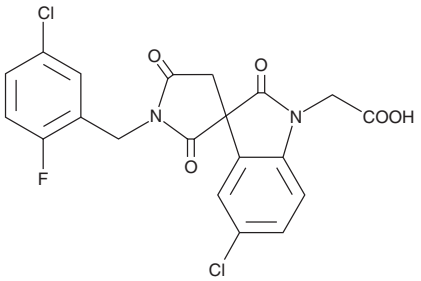
PRODUCT INFORMATION

CAY10595

Item No. 10012553

CAS Registry No.: 916047-16-0
Formal Name: 5-chloro-1'-[5-chloro-2-fluorophenyl)methyl]-2,2',5'-trioxo-spiro[3H-indole-3,3'pyrrolidine]-1(2H)-acetic acid
MF: $C_{20}H_{13}Cl_2FN_2O_5$
FW: 451.2
Purity: ≥97%
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

CAY10595 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10595 in the solvent of choice, which should be purged with an inert gas. CAY10595 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10595 in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

CAY10595 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10595 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CAY10595 has a solubility of approximately 0.5 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. 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] CAY10595, as a racemic mixture, is a potent CRTH2/DP_2 receptor antagonist that binds to the human receptor with a K_i value of 10 nM.[2] The R-enantiomer of CAY10595 is significantly more potent, exhibiting K_i values of 5.3 and 5 nM at the human and murine CRTH2/DP_2 receptors, respectively. The R-enantiomer of CAY10595 inhibits eosinophil chemotaxis induced by 13,14-dihydro-15-keto-PGD_2 with an IC_{50} value of 7.3 nM.[2]

References

1. Hirai, H., Tanaka, K., Yoshie, O., *et al*. Prostaglandin D_2 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 (DP_2) receptor antagonists for the treatment of allergic inflammatory diseases. *J. Med. Chem.* **51**, 2227-2243 (2008).

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