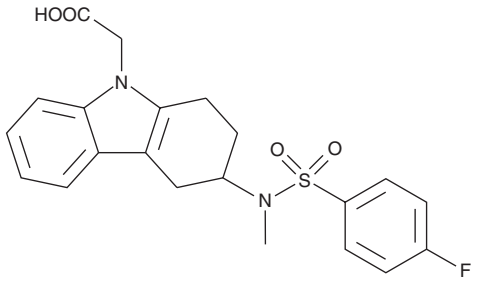
PRODUCT INFORMATION

CAY10471

Item No. 10006735

CAS Registry No.: 627865-18-3
Formal Name: (+)-3-[[(4-fluorophenyl)sulfonyl] methylamino]-1,2,3,4-tetrahydro-9H-carbazole-9-acetic acid
Synonym: TM30089
MF: $C_{21}H_{21}FN_2O_4S$
FW: 416.5
Purity: ≥95%
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

CAY10471 is supplied as a crystalline solid. CAY10471 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10471 in an organic solvent purged with an inert gas. CAY10471 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CAY10471 in these solvents is approximately 15 mg/ml in ethanol and approximately 30 mg/ml in DMSO and DMF.

CAY10471 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10471 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CAY10471 has a solubility of approximately 0.5 mg/ml in a 1:5 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 PGD_2 are transduced by at least two 7-transmembrane G-protein coupled receptors, designated DP_1 and CRTH2/DP_2. BAY-u3405 (Ramatroban) is an approved human medication for the treatment of allergic rhinitis that has documented activity as an antagonist of both the TP and CRTH2/DP_2 receptors.[1,2] CAY10471 is an analog of BAY-u3405 which contains modifications that increase both its potency and selectivity for the human CRTH2/DP_2 receptor.[3] CAY10471 binds to the human CRTH2/DP_2, DP_1, and TP receptors with K_i values of 0.6, 1200, and >10,000 nM, respectively.[3]

References

1. Sugimoto, H., Shichijo, M., Iino, T., *et al.* An orally bioavailable small molecule antagonist of CRTH2, Ramatroban (BAY u3405), inhibits prostaglandin D_2-induced eosinophil migration *in vitro*. *J. Pharmacol. Exp. Ther.* **305**, 347-352 (2003).
2. McKenniff, M.G., Norman, P., Cuthbert, N.J., *et al.* BAY u3405, a potent and selective thromboxane A_2 receptor antagonist on airway smooth muscle *in vitro*. *Br. J. Pharmacol.* **104(3)**, 585-590 (1991).
3. Ulven, T. and Kostenis, E. Minor structural modifications convert the dual TP/CRTH2 antagonist ramatroban into a highly selective and potent CRTH2 antagonist. *J. Med. Chem.* **48(4)**, 897-900 (2005).

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