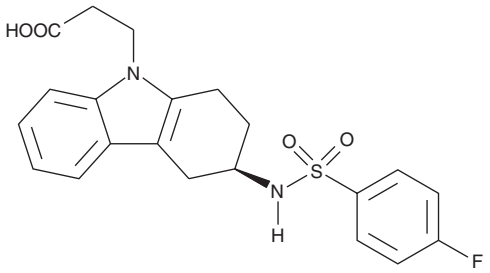
PRODUCT INFORMATION

Ramatroban

Item No. 10156

CAS Registry No.:	116649-85-5
Formal Name:	3R-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-9H-carbazole-9-propanoic acid
Synonym:	Bay u3405
MF:	$C_{21}H_{21}FN_2O_4S$
FW:	416.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 228, 285 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

Ramatroban is supplied as a crystalline solid. A stock solution may be made by dissolving the ramatroban in the solvent of choice, which should be purged with an inert gas. Ramatroban is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ramatroban in ethanol is approximately 50 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 ramatroban can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ramatroban in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Ramatroban is a dual TP and DP_2/$CRTH_2$ receptor antagonist (K_is = 4.5 and 4.3 nM for the human receptors, respectively).[1] It is selective for these receptors over the prostaglandin E_2 (PGE_2) receptor subtypes EP_1 and EP_2, as well as the FP and IP receptors, at 1 µM.[2] Ramatroban inhibits contractions induced by U-46619 (Item No. 16450) or PGD_2 (Item No. 12010) in isolated guinea pig tracheal rings (pA_2s = 8.7 and 8.6, respectively). It also reduces PGD_2-induced migration of isolated human eosinophils when used at concentrations of 1, 10, and 100 nM.[3] Ramatroban (5 mg/kg) inhibits ovalbumin-induced airway eosinophil infiltration and mucus cell hyperplasia in an ovalbumin-sensitized mouse model of asthma.[4] Formulations containing ramatroban have been used in the treatment of allergic rhinitis.

References

1. 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).
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. Sugimoto, H., Shichijo, M., Iino, T., *et al.* An orally bioavailable small molecule antagonist of $CRTH_2$, Ramatroban (BAY u3405), inhibits prostaglandin D_2-induced eosinophil migration in vitro. *J. Pharmacol. Exp. Ther.* **305(1)**, 347-352 (2003).
4. Uller, L., Mathiesen, J.M., Alenmyr, L., *et al.* Antagonism of the prostaglandin D_2 receptor CRTH2 attenuates asthma pathology in mouse eosinophilic airway inflammation. *Respir. Res.* **8(1)**, 16 (2007).

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