

Ramatroban

Catalog No: tcsc2956



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

116649-85-5

Formula:

$C_{21}H_{21}FN_2O_4S$

Pathway:

GPCR/G Protein

Target:

Prostaglandin Receptor

Purity / Grade:

>98%

Solubility:

DMSO : 125 mg/mL (300.14 mM; Need ultrasonic)

Alternative Names:

BAY u3405

Observed Molecular Weight:

416.47

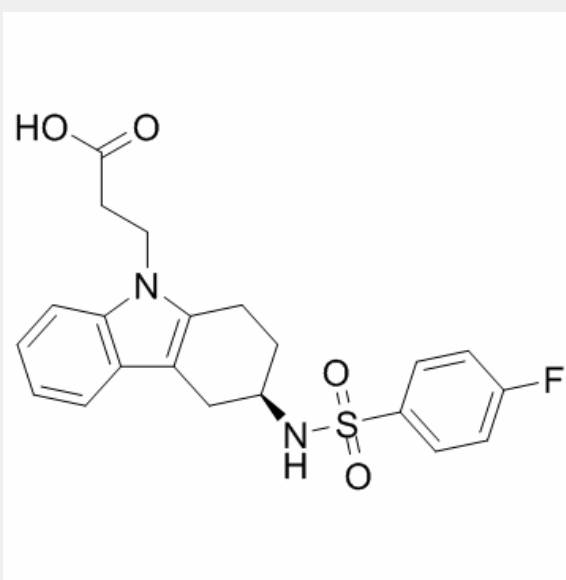
Product Description

Ramatroban is a selective **thromboxane A₂ (TxA₂, IC₅₀=14 nM)** antagonist, which also antagonizes **CRTH2 (IC₅₀=113 nM)** by inhibiting **PGD₂** binding.

IC50 & Target: IC50: 14 nM (hTP, TxA₂), 113 nM (hDP2, CRTH2), 33.4 μM (hDP1), 15 μM (CYP2C9)^[1]

In Vitro: Ramatroban is a potent human thromboxane receptor (hTP) antagonist with an IC₅₀ of 18 nM in a human TP binding assay. Ramatroban inhibits prostaglandin D₂ receptor DP2 (CRTH2) with an IC₅₀ of 113 nM in a human DP2 binding assay. Ramatroban also inhibits human CYP isoform CYP2C9 with an IC₅₀ of 15 μM^[1]. Ramatroban is a selective thromboxane-type prostanoid (TP) receptor antagonist. PGD₂-stimulated human eosinophil migration is shown to be mediated exclusively through activation of CRTH2, and surprisingly, these effects are completely inhibited by Ramatroban. Ramatroban is an antagonist for CRTH2, and inhibits PGD₂-induced migration of eosinophils via CRTH2 blockade. ³H-labeled PGD₂ binds to a single site on CRTH2 transfectants with high affinity (K_D=6.3 nM, B_{max}=450 pM). Nonlabeled PGD₂ inhibits the binding of ³H-labeled PGD₂ to CRTH2 transfectants in a concentration-dependent manner with an EC₅₀ value of 2.7 nM. Ramatroban shows significant inhibitory effects on the binding of ³H-labeled PGD₂ to CRTH2, albeit with much lower potency (IC₅₀=100 nM). Ramatroban also inhibits PGD₂-induced Ca²⁺ mobilization in CRTH2 transfectants to almost the same extent with an IC₅₀ value of 30 nM. Ramatroban completely inhibits the PGD₂-induced migration of eosinophils in a concentration-dependent manner with an IC₅₀ value of 170 nM^[2].

In Vivo: Ramatroban is an orally bioavailable small molecule antagonist of CRTH2. Systemic administration of Ramatroban (30 mg/kg) in CRTH2^{+/+} mice produces the same effects as seen in CRTH2 deficiency. Ramatroban completely blocks LPS-induced decreases in social and object exploratory behavior (p+/+ mice are completely reversed by a single injection of Ramatroban, even when the tumor is enlarged^[3].



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