Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Ramatroban is a selective **thromboxane A_2** (**TxA_2**, **IC_{50}**=14 nM) antagonist, which also antagonizes **CRTH2** (**IC_{50}**=113 nM) by inhibiting **PGD_2** binding.

IC50 & Target: IC50: 14 nM (hTP, TxA_2), 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 IC_{50} of 18 nM in a human TP binding assay. Ramatroban inhibits prostaglandin D_2 receptor DP2 (CRTH2) with an IC_{50} of 113 nM in a human DP2 binding assay. Ramatroban also inhibits human CYP isoform CYP2C9 with an IC_{50} of 15 μM[1]. Ramatroban is a selective thromboxane-type prostanoid (TP) receptor antagonist. PGD_2-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 PGD_2-induced migration of eosinophils via CRTH2 blockade. ^{3}H-labeled PGD_2 binds to a single site on CRTH2 transfectants with high affinity (K_D=6.3 nM, B_{max}=450 pM). Nonlabeled PGD_2 inhibits the binding of ^{3}H-labeled PGD_2 to CRTH2 transfectants in a concentration-dependent manner with an EC_{50} value of 2.7 nM. Ramatroban shows significant inhibitory effects on the binding of ^{3}H-labeled PGD_2 to CRTH2, albeit with much lower potency (IC_{50}=100 nM). Ramatroban also inhibits PGD_2-induced Ca^{2+} mobilization in CRTH2 transfectants to almost the same extent with an IC_{50} value of 30 nM. Ramatroban completely inhibits the PGD_2-induced migration of eosinophils in a concentration-dependent manner with an IC_{50} 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].