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## Product Data Sheet

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Product Name: Ifetroban sodium

Cat. No.: GC68349

### Chemical Properties

Cas. No. 156715-37-6

Formula C<sub>25</sub>H<sub>31</sub>N<sub>2</sub>NaO<sub>5</sub>

M.Wt 462.51

Solubility DMSO : 130 mg/mL (281.08 mM; Need ultrasonic)

Storage Store at -20°C, protect from light, stored under nitrogen

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

### Background

Ifetroban (BMS-180291) sodium is an orally active antagonist of **thromboxane A2 (TXA2)** or **prostaglandin H2 (PGH2)** receptor. Ifetroban sodium shows antiplatelet activity, and inhibits tumor cell migration without affecting cell proliferation. Ifetroban sodium can be used for myocardial ischemia, hypertension, stroke, thrombosis, cardiomyopathy research<sup>[1][2][3][4]</sup>.

Ifetroban sodium (CPI211) (100 nM; 48 h) results Tpr inhibition and potently blocks spontaneous metastasis from primary tumors, without affecting tumor cell proliferation, motility, or tumor growth in 4T1 cells (mouse mammary cancer)<sup>[2]</sup>.

Ifetroban sodium (100 nM; 6 h) strongly inhibits PKC substrate phosphorylation, and blocks agonist (U46619, 6)-induced TPr diminution in human umbilical vein endothelial cells (HUVECs)<sup>[2]</sup>.

Western Blot Analysis<sup>[2]</sup>

**Caution: Product has not been fully validated for medical applications. For research use only.**

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Cell Line: Mouse pulmonary microvascular endothelial cells (MPMECs) and human umbilical vein endothelial cells (HUVECs)

Concentration: 100 nM

Incubation Time: 6 hours

Result: Decreased the level of TPr protein and inhibited PKC substrate phosphorylation.

**Immunofluorescence<sup>[2]</sup>**

Cell Line: Mouse pulmonary microvascular endothelial cells (MPMECs) and human umbilical vein endothelial cells (HUVECs)

Concentration: 100 nM

Incubation Time: 6 hours

Result: Showed transendothelial migration of GFP+ 4T1 and MDA-MB-231 across mouse MPMECs and human HUVECs.

Ifetroban sodium (50 mg/kg/d; p.o.; 2 d prior to, through 28 d after tumor injection) decreases hematogenous metastasis of multiple cancer types without in mice model<sup>[2]</sup>. Ifetroban sodium (50 mg/kg/d; p.o.; 12 d) does not affect primary tumor growth but decreases tumor vessels in mice with 4T1 (mouse mammary cancer)<sup>[2]</sup>. Ifetroban sodium (BMS 180,291; 1 and 3 mg/kg, p.o.) inhibits aggregation and antagonizes TP-receptor in monekys. Ifetroban sodium (3 mg/kg, i.v.) causes only marginal and transient hemodynamic effects in anesthetized African green monkeys<sup>[3]</sup>.

Animal Model: Athymic (nu/nu) Balb/C female mice injected with tumor cells: 4T1 (mouse mammary cancer), MDA-MB-231 (human breast cancer), MiaPaCa2 (human pancreatic cancer), and A549 (human lung cancer) model<sup>[2]</sup>

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Dosage: 50 mg/kg; via 25  $\mu$ L vehicle (4% sucrose in sterile water)

Administration: Oral gavage; pretreated before 2 days and treated 28 days later

Result: Decreased the percentage of mice harboring MDA-MB-231 lung metastases from 90% to 20%, and mice with A549 lung metastases from 60% to 10%.

- [1]. Johnson RA, et al. Effect of ifetroban, a thromboxane A<sub>2</sub> receptor antagonist, in stroke-prone spontaneously hypertensive rats. Clin Exp Hypertens. 1996 Feb;18(2):171-88.
- [2]. Werfel TA, et al. Repurposing of a Thromboxane Receptor Inhibitor Based on a Novel Role in Metastasis Identified by Phenome-Wide Association Study. Mol Cancer Ther. 2020 Dec;19(12):2454-2464.
- [3]. Schumacher WA, et al. Antiplatelet activity of the long-acting thromboxane receptor antagonist BMS 180,291 in monkeys. Prostaglandins. 1992 Nov;44(5):389-97.
- [4]. Rosenfeld L, et al. Ifetroban sodium: an effective Tx<sub>A</sub><sub>2</sub>/PGH<sub>2</sub> receptor antagonist. Cardiovasc Drug Rev. 2001 Summer;19(2):97-115.

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