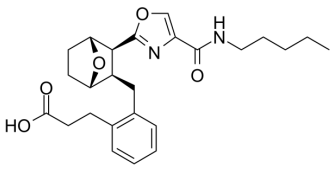
Ifetroban

Cat. No.:	HY-105218
CAS No.:	143443-90-7
Molecular Formula:	$C_{25}H_{32}N_2O_5$
Molecular Weight:	440.53
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ifetroban (BMS-180291) is an orally active antagonist of thromboxane A2 (TXA2) or prostaglandin H2 (PGH2) receptor. Ifetroban shows antiplatelet activity, and inhibits tumor cell migration without affecting cell proliferation. Ifetroban can be used for myocardial ischemia, hypertension, stroke, thrombosis, cardiomyopathy research[1][2][3][4].
IC_{50} & Target	Thromboxane A2 receptor; Prostaglandin H2 receptor[4]
In Vitro	Ifetroban (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)[2]. Ifetroban (100 nM; 6 h) strongly inhibits PKC substrate phosphorylation, and blocks agonist (U46619, HY-108566)-induced TPr diminution in human umbilical vein endothelial cells (HUVECs)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

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[2]

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.

In Vivo	Ifetroban (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[2].
Ifetroban (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)[2].
Ifetroban (BMS 180,291; 1 and 3 mg/kg, p.o.) inhibits aggregation and antagonizes TP-receptor in monekys. Ifetroban (3 mg/kg, i.v.) causes only marginal and transient hemodynamic effects in anesthetized African green monkeys[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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[2]
Dosage:	50 mg/kg; via 25 μL 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%.

REFERENCES

[1]. 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.

[2]. Rosenfeld L, et al. Ifetroban sodium: an effective TxA2/PGH2 receptor antagonist. Cardiovasc Drug Rev. 2001 Summer;19(2):97-115.

[3]. Johnson RA, et al. Effect of ifetroban, a thromboxane A2 receptor antagonist, in stroke-prone spontaneously hypertensive rats. Clin Exp Hypertens. 1996 Feb;18(2):171-88.

[4]. 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.

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