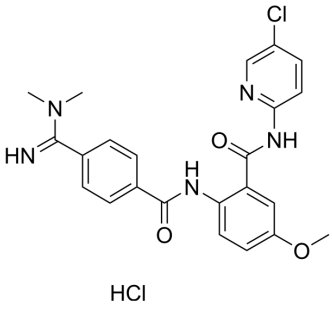
Betrixaban hydrochloride

Cat. No.:	HY-10268B
CAS No.:	2099719-47-6
Molecular Formula:	$C_{23}H_{23}Cl_2N_5O_3$
Molecular Weight:	488.37
Target:	Factor Xa
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Betrixaban (PRT054021) hydrochloride is a highly potent, selective, and orally efficacious factor Xa (fXa) inhibitor with an IC_{50} of 1.5 nM. Betrixaban hydrochloride shows antithrombotic effect[1][3].
IC_{50} & Target	IC_{50}: 1.5 nM (fXa)[1] K_i: 0.117 nM (fXa), 1.8 μM (hERG)[1]
In Vitro	Betrixaban (PRT054021) shows IC_{50} of 8.9 μM in patch clamp hERG assays[1]. Betrixaban shows an IC_{50} and a K_i of 6.3 μM and 3.5 μM for the plasma kallikrein, respectively[1]. Betrixaban (hERG K_i 1.8 μM) exhibits significantly lower hERG activity than all the others (hERG K_i>0.5 μM)[1]. Betrixaban (5-25 ng/mL) inhibits thrombin generation[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Betrixaban (0.5 mg/kg, i.v.; 2.5 mg/kg, p.o.) has bioavailability of 51.6% in dog[1]. Betrixaban (0.75 mg/kg, i.v.; 7.5 mg/kg, p.o.) has bioavailability of 58.7% in monkey[1]. Betrixaban mediated whole-blood INR increase is reversed by r-Antidote. After i.v. infusion for 30 min, the total plasma concentrations of Betrixaban is 0.2±0.01 μM, and the percentages of unbound inhibitor is 40%±7.2%. After administration of r-Antidote, the total plasma concentration increased to 2.0±0.4 μM, and the percentage of unbound inhibitor declined to 0.3%±0.1%[2]. Betrixaban (3 mg/kg) shows nearly comparable inhibition of thrombus mass to enoxaparin 1.6 mg/kg (76% vs 96% inhibition) in the rabbit abdominal vena cava model of clot accretion on cotton threads[3]. Betrixaban (19.1 mg/kg) is at least as effective at maintaining patency as enoxaparin 7.6 mg/kg and clopidogrel 3 mg/kg/d (90% vs 70% vs 80% patency, respectively) in the ferric chloride injury model of rodent carotid artery[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Thromb Haemost. 2018 Jul;118(7):1203-1214.
- Int J Lab Hematol. 2019 Apr;41(2):250-261.

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REFERENCES

[1]. Zhang P, et al. Discovery of Betrixaban (PRT054021), N-(5-chloropyridin-2-yl)-2-(4-(N,N-dimethylcarbamimidoyl)benzamido)-5-methoxybenzamide, a highly potent, selective, and orally efficacious factor Xa inhibitor. Bioorg Med Chem Lett. 2009 Apr 15;19(8):21

[2]. Lu G, et al. A specific antidote for reversal of anticoagulation by direct and indirect inhibitors of coagulation factor Xa. Nat Med. 2013 Apr;19(4):446-51.

[3]. Chan NC, et al. Profile of betrixaban and its potential in the prevention and treatment of venous thromboembolism. Vasc Health Risk Manag. 2015 Jun 26;11:343-51.

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