Betrixaban

Cat. No.: HY-10268

CAS No.: 330942-05-7

Molecular Formula: $C_{23}H_{22}ClN_5O_3$

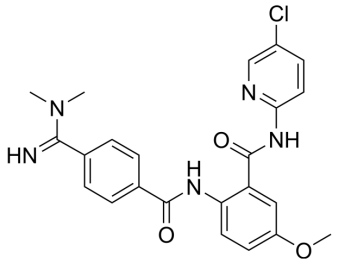
Molecular Weight: 452

Target: Factor Xa

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (55.31 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2124 mL	11.0619 mL	22.1239 mL
5 mM	0.4425 mL	2.2124 mL	4.4248 mL
10 mM	0.2212 mL	1.1062 mL	2.2124 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Betrixaban (PRT054021) is a highly potent, selective, and orally efficacious factor Xa (fXa) inhibitor with an IC_{50} of 1.5 nM. Betrixaban shows antithrombotic effect[1][3].

IC_{50} & Target

IC50: 1.5 nM (fXa)[1]
Ki: 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 oral bioavailability of 51.6% in dog[1]. Betrixaban (0.75 mg/kg, i.v.; 7.5 mg/kg, p.o.) has oral 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.

PROTOCOL

Animal Administration [2]	Rats[2] Whole-blood INR values (mean±s.d.) in rats infused with Betrixaban (1 mg/kg per hour) or vehicle and then treated with either vehicle or r-Antidote by i.v. bolus (6 mg) over 5 min plus infusion (9 mg/h) for up to 90 min. Circles, vehicle+vehicle; squares, Betrixaban + vehicle; triangles, Betrixaban + r-Antidote. *P≤0.02 compared to the r-Antidote treatment group determined by unpaired two-tailed t test. Whole-blood INR values (mean±s.d.) in rats infused with Apixaban (0.5 mg per kg body weight h−1) or vehicle and then treated with either vehicle or r-Antidote by i.v. bolus (6 mg) over 5 min plus infusion (6 mg/h) for up to 90 min. Circles, vehicle + vehicle; squares, apixaban + vehicle; triangles, apixaban+r-Antidote. *P≤0.01 compared to the r-Antidote treatment group determined by unpaired two-tailed t test. 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.
- Molecules. 2023 Feb 28.
- Int J Lab Hematol. 2019 Apr;41(2):250-261.

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REFERENCES

[1]. 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.

[2]. 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

[3]. 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.

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

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