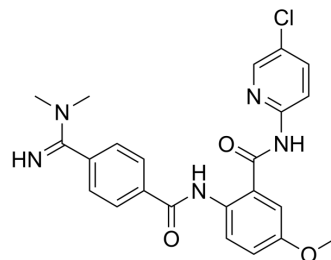


## Betrixaban

<b>Cat. No.:</b>	HY-10268		
<b>CAS No.:</b>	330942-05-7		
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>22</sub> ClN <sub>5</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	451.91		
<b>Target:</b>	Factor Xa		
<b>Pathway:</b>	Metabolic Enzyme/Protease		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 25 mg/mL (55.32 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
<b>Preparing Stock Solutions</b>	1 mM	2.2128 mL	11.0641 mL	22.1283 mL
	5 mM	0.4426 mL	2.2128 mL	4.4257 mL
	10 mM	0.2213 mL	1.1064 mL	2.2128 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	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

<b>Description</b>	Betrixaban (PRT054021) is a highly potent, selective, and orally efficacious factor Xa (fXa) inhibitor with an IC <sub>50</sub> of 1.5 nM. Betrixaban shows antithrombotic effect <sup>[1][3]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 1.5 nM (fXa) <sup>[1]</sup> K <sub>i</sub> : 0.117 nM (fXa), 1.8 μM (hERG) <sup>[1]</sup>
<b>In Vitro</b>	Betrixaban (PRT054021) shows IC <sub>50</sub> of 8.9 μM in patch clamp hERG assays <sup>[1]</sup> . Betrixaban shows an IC <sub>50</sub> and a K <sub>i</sub> of 6.3 μM and 3.5 μM for the plasma kallikrein, respectively <sup>[1]</sup> . Betrixaban (hERG K <sub>i</sub> 1.8 μM) exhibits significantly lower hERG activity than all the others (hERG K <sub>i</sub> ≥ 0.5 μM) <sup>[1]</sup> . Betrixaban (5-25 ng/mL) inhibits thrombin generation <sup>[3]</sup> . 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<sup>[1]</sup>.

Betrixaban (0.75 mg/kg, i.v.; 7.5 mg/kg, p.o.) has oral bioavailability of 58.7% in monkey<sup>[1]</sup>.

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  $0.2 \pm 0.01 \mu\text{M}$ , and the percentages of unbound inhibitor is  $40\% \pm 7.2\%$ . After administration of r-Antidote, the total plasma concentration increased to  $2.0 \pm 0.4 \mu\text{M}$ , and the percentage of unbound inhibitor declined to  $0.3\% \pm 0.1\%$ <sup>[2]</sup>.

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<sup>[3]</sup>.

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<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Animal Administration <sup>[2]</sup>

#### Rats<sup>[2]</sup>

Whole-blood INR values (mean $\pm$ 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. \* $P \leq 0.02$  compared to the r-Antidote treatment group determined by unpaired two-tailed t test. Whole-blood INR values (mean $\pm$ s.d.) in rats infused with Apixaban (0.5 mg per kg body weight h<sup>-1</sup>) 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. \* $P \leq 0.01$  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

- Elife. 2022 Mar 23;11:e77444.
- 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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA