



产品名称: **Betrixaban maleate**

CAS 号: **936539-80-9**

产品货号: **S23036**

生物活性:				
Description	Betrixaban (PRT054021) maleate 是一种有效的, 具有口服活性的选择性 factor Xa (fXa) 抑制剂, IC50 为 1.5 nM. Betrixaban maleate 具有抗血栓形成效果.			
In Vitro	Betrixaban (PRT054021) shows IC50 of 8.9 μ M in patch clamp hERG assays[1]. Betrixaban shows an IC50 and a Ki of 6.3 μ M and 3.5 μ M for the plasma kallikrein, respectively[1]. Betrixaban (hERG Ki 1.8 μ M) exhibits significantly lower hERG activity than all the others (hERG Ki $\leq$ 0.5 μ M)[1]. Betrixaban (5-25 ng/mL) inhibits thrombin generation[3]			
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 $0.2 \pm 0.01 \mu$ M, and the percentages of unbound inhibitor is $40\% \pm 7.2\%$. After administration of r-Antidote, the total plasma concentration increased to $2.0 \pm 0.4 \mu$ M, and the percentage of unbound inhibitor declined to $0.3\% \pm 0.1\%$[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].			
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 50 mg/mL (88.03 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO) H2O 中的溶解度 : 2 mg/mL (3.52 mM; 超声助溶 (<60°C))			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.7606 mL	8.8031 mL
	Stock Solutions	5 mM	0.3521 mL	1.7606 mL
		10 mM	0.1761 mL	0.8803 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture). -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 * 备注: 如您选择水作为储备液, 请稀释至工作液后, 再用 0.22 μm 的滤膜过滤除菌后使用。				
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			



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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.

完整储备液配制表:

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液;一旦配成溶液,请分装保存,避免反复冻融造成的产品失效。

储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时,请在 6 个月内使用, -20°C 储存时,请在 1 个月内使用。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO/H ₂ O DMSO	1 mM	1.7606 mL	8.8031 mL	17.6063 mL	44.0156 mL
	5 mM	0.3521 mL	1.7606 mL	3.5213 mL	8.8031 mL
	10 mM	0.1761 mL	0.8803 mL	1.7606 mL	4.4016 mL
	15 mM	0.1174 mL	0.5869 mL	1.1738 mL	2.9344 mL
	20 mM	0.0880 mL	0.4402 mL	0.8803 mL	2.2008 mL
	25 mM	0.0704 mL	0.3521 mL	0.7043 mL	1.7606 mL
	30 mM	0.0587 mL	0.2934 mL	0.5869 mL	1.4672 mL
	40 mM	0.0440 mL	0.2201 mL	0.4402 mL	1.1004 mL
	50 mM	0.0352 mL	0.1761 mL	0.3521 mL	0.8803 mL
	60 mM	0.0293 mL	0.1467 mL	0.2934 mL	0.7336 mL
	80 mM	0.0220 mL	0.1100 mL	0.2201 mL	0.5502 mL

备注:如您选择水作为储备液,请稀释至工作液后,再用 0.22 μ m 的滤膜过滤除菌后使用。