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产品名称：Otamixaban
产品别名：奥米沙班； FXV673

生物活性：

Description

Otamixaban(FXV673) is a potent (Ki = 0.5 nM), selective, rapid acting, competitive and reversible fXa inhibitor that effectively inhibits both free and prothrombinase-bound fXa. IC50 value: Target: Factor Xa Otamixaban is a potent (Ki = 0.5 nM), selective, rapid acting, competitive and reversible fXa inhibitor that effectively inhibits both free and prothrombinase-bound fXa. In vivo experiments have demonstrated that Otamixaban is highly efficacious in rodent, canine and porcine models of thrombosis. In addition, recent clinical findings indicate that Otamixaban is efficacious, safe and well tolerated in humans and therefore has considerable potential for the treatment of acute coronary syndrome. This review article chronicles the discovery and pre-clinical data surrounding the fXa inhibitor Otamixaban as well as the recent clinical findings in humans.

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (111.98 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2396 mL	11.1982 mL	22.3964 mL
	5 mM	0.4479 mL	2.2396 mL	4.4793 mL
	10 mM	0.2240 mL	1.1198 mL	2.2396 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。-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。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (6.16 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution

此方案可获得 ≥ 2.75 mg/mL (6.16 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.75 mg/mL (6.16 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (6.16 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Eikelboom JW, Weitz JI.Otamixaban in acute coronary syndromes.Lancet. 2009 Sep 5;374(9692):762-4. Epub 2009 Aug 28. [2]. Sabatine MS, Antman EM, et al. Otamixaban for the treatment of patients with non-ST-elevation acute coronary syndromes (SEPIA-ACS1 TIMI 42): a randomised, double-blind, active-controlled, phase 2 trial.Lancet. 2009 Sep 5;374(9692):787-95. Epub 2009 Aug 28 [3]. Guertin KR, Choi YM.The discovery of the Factor Xa inhibitor otamixaban: from lead identification to clinical development.Curr Med Chem. 2007;14(23):2471-81. [4]. Cohen M, Bhatt DL, et al. SEPIA-PCI Trial Investigators.Randomized, double-blind, dose-ranging study of otamixaban, a novel, parenteral, short-acting direct factor Xa inhibitor, in percutaneous coronary intervention: the SEPIA-PCI trial.Circulation. 2007 [5]. Guertin, Kevin R.; Choi, Yong-Mi.The discovery of the factor Xa inhibitor Otamixaban: from lead identification to clinical development.Current Medicinal Chemistry (2007), 14(23), 2471-2481.