产品名称：甲苯磺酸依度沙班一水物

产品别名：**Edoxaban tosylate monohydrate**；依度沙班对甲苯磺酸盐一水合物

生物活性：

Description

Edoxaban tosylate monohydrate is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention IC50 Value: Target: factor Xa Edoxaban is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention in patients with atrial fibrillation, an elderly population that frequently receives aspirin (ASA) and/or nonsteroidal anti-inflammatory drugs for concurrent illnesses[1]. in vitro: Edoxaban PK was not affected by concomitant low-dose ASA or naproxen, but high-dose ASA increased systemic exposure of edoxaban by approximately 30%. The effects of edoxaban on prothrombin time, activated partial thromboplastin time, international normalized ratio, anti-FXa, and intrinsic FXa activity were not influenced by administration with ASA or naproxen. Inhibition of platelet aggregation by high-dose ASA, low-dose ASA, or naproxen was not affected by edoxaban[1]. in vivo: Forty-eight subjects, aged 18 to 45 years, received either edoxaban 60 mg once daily × 7 days (n = 24) or digoxin 0.25 mg twice daily × 2 days and once daily × 5 days (n = 24) and then concomitantly for 7 days. Serial blood and urine samples were collected for digoxin and edoxaban concentrations on days 7 and 14. Serial coagulation assays were measured for edoxaban on days 7 and 14. Edoxaban PK parameters demonstrated mild increases in area under the curve and peak concentrations of 9.5% and 15.6%, respectively[2], Clinical trial: Pharmacokinetics, biotransformation, and mass balance of edoxaban, a selective, direct factor Xa inhibitor, in humans was reported[3].

Solvent&Solubility

In Vitro:

DMSO : DMSO : 50 mg/mL (67.73 mM; Need ultrasonic)

H_2O : 1 mg/mL (1.35 mM; Need ultrasonic)

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.3545 mL	6.7726 mL	13.5452 mL
	5 mM	0.2709 mL	1.3545 mL	2.7090 mL
	10 mM	0.1355 mL	0.6773 mL	1.3545 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: ≥ 2.5 mg/mL (3.39 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.39 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (3.39 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.39 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 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: ≥ 2.5 mg/mL (3.39 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.39 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Mendell J, Lee F, Chen S, The Effects of the Antiplatelet Agents, Aspirin and Naproxen, on Pharmacokinetics and Pharmacodynamics of the Anticoagulant Edoxaban, a Direct Factor Xa Inhibitor. J Cardiovasc Pharmacol. 2013 Apr 23. [Epub ahead of print] [2]. Mendell J, Noveck RJ, Shi M. Pharmacokinetics of the direct factor Xa inhibitor edoxaban and digoxin administered alone and in combination. J Cardiovasc Pharmacol. 2012 Oct;60(4):335-41. [3]. Bathala MS, Masumoto H, Oguma T, Pharmacokinetics, biotransformation, and mass balance of edoxaban, a selective, direct factor Xa inhibitor, in humans. Drug Metab Dispos. 2012 Dec;40(12):2250-5.