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产品名称: **ROSTAFUROXIN**
产品别名: **PST 2238**

生物活性:

Description

Rostafuroxin(PST 2238) is a antihypertensive compound; Na,K-ATPase antognist;displaced [3H]ouabain from the dogkidney Na+,K+-ATPase with IC50 of 1.5 nM. IC50 value: 1.5 nM [1] Target: Na+,K+-ATPase modulator; ouabain antagonist in vitro: PST 2238 displaced [3H]ouabain from the dog kidney Na+,K+-ATPase receptor (IC50) 1.5X 10-6M), was devoid of cardiac inotropic activity in isolated guinea pig atria, and showed no affinity up to 10-4 M with general (R1, R2, a1, a2, A1, A2, M1, M2, H1, H2, 5-HT1, 5-HT2, Ca2+ channels, TXA2/PGH2, PAF, GABAA, GABAB, DA-NE-5-HT uptake, glutammate,glycine, benzodiazepine) and hormonal (estrogenic, progestinic, androgenic, mineralcorticoid) receptors [1]. At molecular level, in the kidney, Rostafuroxin antagonizes EO triggering of the Src-epidermal growth factor receptor (EGFr)-dependent signaling pathway leading to renal Na+-K+ pump, and ERK tyrosine phosphorylation and activation [3]. in vivo: PST 2238, given orally at very low doses (1 and 10 microg/kg for 5-6 weeks), reduced the development of hypertension in MHS rats and normalized the increased renal Na,K-ATPase activity and mRNA levels, whereas it did not affect either blood pressure or Na,K-ATPase in Milan-normotensive (MNS) rats [2].

In Vitro:

DMSO : ≥ 50 mg/mL (133.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.6702 mL	13.3508 mL	26.7016 mL
	5 mM	0.5340 mL	2.6702 mL	5.3403 mL
	10 mM	0.2670 mL	1.3351 mL	2.6702 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (6.68 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.68 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。

Solvent&Solubility



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	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.68 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 (6.68 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.68 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]. Quadri L, et al. 17 beta-(3-furyl)-5 beta-androstane-3 beta, 14 beta, 17 alpha-triol (PST 2238). A very potent antihypertensive agent with a novel mechanism of action. J Med Chem. 1997 May 23;40(11):1561-4. [2]. Ferrari P, et al. PST 2238: A new antihypertensive compound that modulates Na,K-ATPase in genetic hypertension. J Pharmacol Exp Ther. 1999 Mar;288(3):1074-83. [3]. Ferrari P, et al. Rostafuroxin: an ouabain antagonist that corrects renal and vascular Na⁺-K⁺-ATPase alterations in ouabain and adducin-dependent hypertension. Am J Physiol Regul Integr Comp Physiol. 2006 Mar;290(3):R529-35.

源叶生物