

# Produktinformation



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# PRODUCT INFORMATION



### Rostafuroxin

Item No. 21282

CAS Registry No.: 156722-18-8

Formal Name: (3β,5β,14β)-21,23-epoxy-24-norchola-

20,22-diene-3,14,17-triol

Synonym: PST 2238 MF:  $C_{23}H_{34}O_4$ 374.5 FW: **Purity:** ≥98% UV/Vis.:  $\lambda_{\text{max}}$ : 212 nm Supplied as: A crystalline solid

Storage:

As supplied, 2 years from the QC date provided on the Certificate of Analysis, when Stability:

stored properly



Rostafuroxin is supplied as a crystalline solid. A stock solution may be made by dissolving the rostafuroxin in the solvent of choice. Rostafuroxin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of rostafuroxin in these solvents is approximately 20, 0.1, and 2 mg/ml, respectively.

Rostafuroxin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rostafuroxin should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Rostafuroxin has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Rostafuroxin potently inhibits binding of endogenous ouabain to the Na $^+$ /K $^+$  ATPase (IC $_{50}$  = 1.7  $\mu$ M) through the Src-epidermal growth factor receptor (EGFR)-dependent signaling pathway.<sup>1</sup> This blocks the ouabain-dependent increase in Na<sup>+</sup>/K<sup>+</sup> ATPase activity. In cultured renal cells where the Na<sup>+</sup>/K<sup>+</sup> ATPase is upregulated or has increased activity, rostafuroxin normalizes mRNA levels and Na<sup>+</sup>/K<sup>+</sup> ATPase activity.<sup>2</sup> At very low doses (1 and 10 µg/kg for 5-6 weeks) in Milan-hypertensive rats, it decreased the development of hypertension.<sup>3</sup> In a Phase II clinical study, rostafuroxin did not reduce blood pressure in human patients at doses of 0.05-5.0 mg/d.4

#### References

- 1. Ferrari, P., Ferrandi, M., Valentini, G., et al. Rostafuroxin: An ouabain antagonist that corrects renal and vascular Na<sup>+</sup>-K<sup>+</sup>- ATPase alterations in ouabain and adducin-dependent hypertension. Am. J. Physiol. Regul. Integr. Comp. Physiol. 290(3), R529-R535 (2006).
- Ferrari, P., Torielli, L., Ferrandi, M., et al. PST2238: A new antihypertensive compound that antagonizes the long-term pressor effect of ouabain. J. Pharmacol. Exp. Ther. 285(1), 83-94 (1998).
- Ferrari, P., Ferrandi, M., Tripodi, G., et al. PST 2238: A new antihypertensive compound that modulates Na,K-ATPase in genetic hypertension. J. Pharmacol. Exp. Ther. 288(3), 1074-1083 (1999).
- Staessen, J.A., Thijs, L., Stolarz-Skrzypek, K., et al. Main results of the ouabain and adducin for specific intervention on sodium in hypertension trial (OASIS-HT): A randomized placebo-controlled phase-2 dose-finding study of rostafuroxin. Trials 12, 13 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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