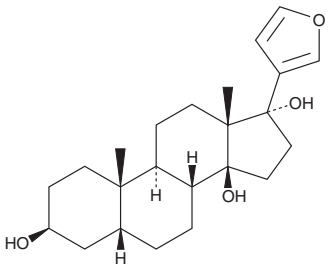
PRODUCT INFORMATION

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:	$C_{23}H_{34}O_4$
FW:	374.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 212 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Rostafuroxin is supplied as a crystalline solid. A stock solution may be made by dissolving the rostafuroxin in the solvent of choice, which should be purged with an inert gas. Rostafuroxin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. 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 µM) through the Src-epidermal growth factor receptor (EGFR)-dependent signaling pathway.[1] This blocks the ouabain-dependent increase in Na^+/K^+ ATPase activity. In cultured renal cells where the Na^+/K^+ ATPase is upregulated or has increased activity, rostafuroxin normalizes mRNA levels and Na^+/K^+ ATPase activity.[2] At very low doses (1 and 10 µg/kg for 5-6 weeks) in Milan-hypertensive rats, it decreased the development of hypertension.[3] 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^+-K^+- ATPase alterations in ouabain and adducin-dependent hypertension. *Am. J. Physiol. Regul. Integr. Comp. Physiol.* **290(3)**, R529-R535 (2006).
2. 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).
3. 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).
4. 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).

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