

Product Data Sheet

Product Name: Rostafuroxin (PST 2238)

Cat. No.: GC12413

Chemical Properties

Cas. No. 156722-18-8

Chemical Name (3S,5R,8R,9S,10S,13S,14S,17S)-17-(furan-3-yl)-10,13-dimethylhexadecahydro-1H-cyclopenta[a]phenanthrene-3,14,17-triol

SMILES C[C@]12CC[C@@](O)([H])C[C@@]1([H])CC[C@]3([H])[C@]2([H])CC[C@@]4([C@](C5=COC=C5)(O)CC[C@]34O)C

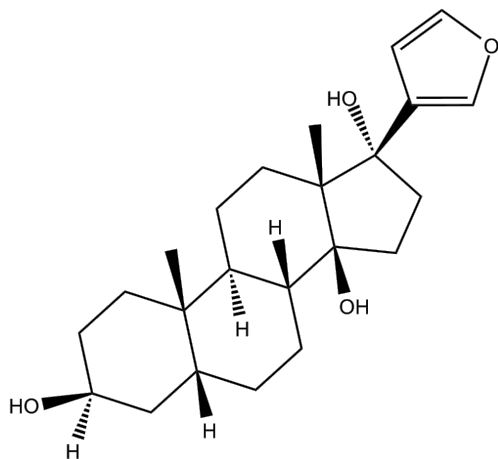
Formula $C_{23}H_{34}O_4$ M.Wt 374.51

Solubility $\geq 12.05\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Description:

IC₅₀: 2 μM for Na⁺-K⁺-ATPase

Two mechanisms are involved in determining the abnormalities of tubular Na⁺ reabsorption observed

Caution: Product has not been fully validated for medical applications. For research use only.

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in hypertension: the polymorphism of the cytoskeletal protein α -adducin and the increased circulating endogenous ouabain (EO) levels. Both lead to increased activity and expression of the renal Na^+/K^+ pump, which is the driving force for tubular Na transport. Morphological and functional vascular alterations have also been associated with EO. Rostafuroxin (PST 2238) is a novel oral antihypertensive agent able to selectively antagonize EO, adducin pressor, and molecular effects.

In vitro: At molecular level, in the kidney, Rostafuroxin antagonizes EO by triggering of the Src-epidermal growth factor receptor (EGFr)-dependent signaling pathway leading to renal Na^+/K^+ pump, and ERK tyrosine phosphorylation and activation. In the vasculature, it normalizes the increased myogenic tone caused by nanomolar ouabain [1].

In vivo: Rostafuroxin reduces blood pressure without affecting heart rate, and restores the normal activity of the renal $\text{Na}^+/\text{K}^+/\text{ATPase}$ in MHS rats when orally treated at doses from 1 to 100 $\mu\text{g}/\text{kg}/\text{day}$. Similarly, NUA rats have their blood pressure normalized by rostafuroxin [1].

Clinical trial: Rostafuroxin has already satisfied safety requirements in phase I studies showing complete tolerability either after single or repeated administrations up to a dose of 10 mg/day, without showing differences in side effect patterns compared with placebo. In two small exploratory studies in patients with mild uncomplicated hypertension, rostafuroxin has been demonstrated to be effective in lowering blood pressure at oral doses from 0.1 to 1 mg/day [1].

Reference:

[1] Ferrari P, Ferrandi M, Valentini G, Bianchi G. Rostafuroxin: an ouabain antagonist that corrects renal and vascular $\text{Na}^+/\text{K}^+/\text{ATPase}$ alterations in ouabain and adducin-dependent hypertension. Am J Physiol Regul Integr Comp Physiol. 2006 Mar;290(3):R529-35.

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