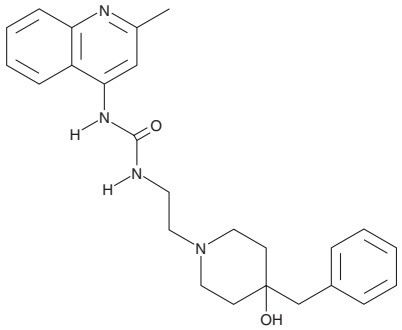
PRODUCT INFORMATION

Palosuran

Item No. 23460

CAS Registry No.:	540769-28-6
Formal Name:	N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-(2-methyl-4-quinolinyl)-urea
Synonym:	ACT058362
MF:	$C_{25}H_{30}N_4O_2$
FW:	418.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 234, 338 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

Palosuran is supplied as a crystalline solid. A stock solution may be made by dissolving the palosuran in the solvent of choice. Palosuran is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of palosuran in ethanol is approximately 0.5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Palosuran is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, palosuran should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Palosuran has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Palosuran is an antagonist of the urotensin II receptor (UTR; IC_{50}s = 3.6 and 1,475 nM for CHO cell membranes expressing human and rat recombinant receptors, respectively).[1] It inhibits contraction of isolated rat aortic rings induced by urotensin II (Item Nos. 24711 | 24753) in a concentration-dependent manner. Palosuran (300 mg/kg twice per day) reduces plasma endothelin-1 (Item No. 24127), urotensin II, and TGF-β1 levels, as well as the main pulmonary arterial pressure and right ventricular hypertrophy index in a rat model of pulmonary arterial hypertension (PAH) induced by monocrotaline (Item No. 16666).[2] Palosuran (300 mg/kg per day) reduces serum glucose, cholesterol, and triglyceride levels and increases survival in a rat model of diabetes induced by streptozotocin (STZ; Item No. 13104).[3] It also decreases albuminuria and global kidney lesion scores in STZ-induced diabetic rats.

References

1. Clozel, M., Binkert, C., Birker-Robaczewska, M., *et al.* Pharmacology of the urotensin-II receptor antagonist palosuran (ACT-058362; 1-[2-(4-benzyl-4-hydroxy-piperidin-1-yl)-ethyl]-3-(2-methyl-quinolin-4-yl)-urea sulfate salt): First demonstration of a pathophysiological role of the urotensin system. *J. Pharmacol. Exp. Ther.* **311(1),** 204-212 (2004).
2. Onat, A.M., Pehlivan, Y., Turkbeyler, I.H., *et al.* Urotensin inhibition with palosuran could be a promising alternative in pulmonary arterial hypertension. *Inflammation* **36(2),** 405-412 (2013).
3. Clozel, M., Hess, P., Qiu, C., *et al.* The urotensin-II receptor antagonist palosuran improves pancreatic and renal function in diabetic rats. *J. Pharmacol. Exp. Ther.* **316(3),** 1115-1121 (2006).

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