Palosuran

Cat. No.: HY-10655

CAS No.: 540769-28-6

Molecular Formula: $C_{25}H_{30}N_4O_2$

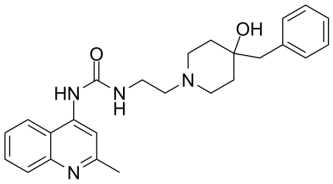
Molecular Weight: 418.53

Target: Urotensin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (119.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3893 mL	11.9466 mL	23.8932 mL
	5 mM	0.4779 mL	2.3893 mL	4.7786 mL
	10 mM	0.2389 mL	1.1947 mL	2.3893 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (3.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.67 mg/mL (3.99 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Palosuran (ACT-058362) is a potent, selective, and orally active antagonist of urotensin II receptor, with an IC_{50} of 3.6 nM for CHO cell membranes expressing human recombinant receptors. Palosuran can improves pancreatic and renal function in diabetic rats[1][2].

IC_{50} & Target

IC50: 3.6 nM (human urotensin II receptor)[1]

In Vitro

Palosuran (8 h) inhibits ^{125}I-U-II binding to human UT receptor, with IC_{50}s of 46.2 nM on TE 671 cells and 86 nM on

recombinant CHO cells[1].
Palosuran inhibits Ca^{2+} mobilization in response to human U-II in CHO cells expressing human and rat UT receptor with IC_{50}s of 17 and >10000 nM, respectively[1].
Palosuran (0.12-10000 nM; 20 min) inhibits human U-II induced MAPK phosphorylation in a dose-dependent manner in recombinant CHO cells, with an IC_{50} of 150 nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ACT-058362 (10 mg/kg/h; i.v.) fully prevents the decrease in renal blood flow after ischemia in rats without decreasing blood pressure[1].
Palosuran (300 mg/kg/d; p.o. for 16 weeks) improves the survival, increases insulin, and slows the increase in glycemia, glycosylated hemoglobin, and serum lipids in streptozotocin-induced diabetic rats[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats with renal ischemia and reperfusion[1]
Dosage:	20 mg/kg/h for 135 min
Administration:	I.v. (continuous infusion) for 135 min
Result:	Restored renal blood flow to baseline values at 30 min after reperfusion and by 60 min increased renal blood flow by 12% above baseline values. Did not significantly alter mean arterial blood pressure (MAP) and heart rate (HR).

CUSTOMER VALIDATION

- Pharmacol Res. 2022 Sep 24;106468.
- Endocrinology. 2018 May 1;159(5):2253-2263.

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REFERENCES

[1]. Clozel 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 S

[2]. Clozel M, et al. The urotensin-II receptor antagonist palosuran improves pancreatic and renal function in diabetic rats. J Pharmacol Exp Ther. 2006 Mar;316(3):1115-21.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA