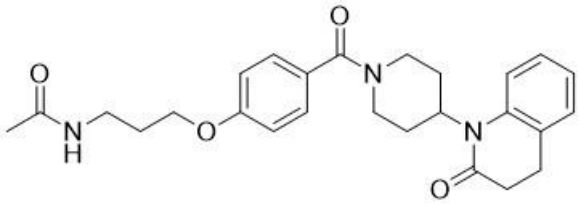
Product data sheet

MedKoo Cat#: 529801 Name: OPC-21268 CAS#: 131631-89-5 Chemical Formula: $C_{26}H_{31}N_3O_4$ Exact Mass: 449.23 Molecular Weight: 449.55	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

OPC-21268 is a vasopressin 1 receptor antagonist potentially for the treatment of heart failure and hypertension.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20.0	44.5

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.22	11.12	22.24
5 mM	0.44	2.22	4.45
10 mM	0.22	1.11	2.22
50 mM	0.04	0.22	0.44

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Jamil KM, Watanabe T, Nakao A, Okuda T, Kurokawa K. Distinct mechanisms of action of V1 antagonists OPC-21268 and [d(CH2)5Tyr(Me)AVP] in mesangial cells. Biochem Biophys Res Commun. 1993 Jun 15;193(2):738-43. doi: 10.1006/bbrc.1993.1687. PMID: 8390252.
2. Burrell LM, Phillips PA, Stephenson J, Risvanis J, Hutchins AM, Johnston CI. Characterization of a novel non-peptide vasopressin V1 receptor antagonist (OPC-21268) in the rat. J Endocrinol. 1993 Aug;138(2):259-66. doi: 10.1677/joe.0.1380259. PMID: 8228734.

In vivo study

1. Yamada Y, Yamamura Y, Chihara T, Onogawa T, Nakamura S, Yamashita T, Mori T, Tominaga M, Yabuuchi Y. OPC-21268, a vasopressin V1 antagonist, produces hypotension in spontaneously hypertensive rats. Hypertension. 1994 Feb;23(2):200-4. doi: 10.1161/01.hyp.23.2.200. PMID: 8307629.
2. Burrell LM, Phillips PA, Stephenson J, Risvanis J, Hutchins AM, Johnston CI. Characterization of a novel non-peptide vasopressin V1 receptor antagonist (OPC-21268) in the rat. J Endocrinol. 1993 Aug;138(2):259-66. doi: 10.1677/joe.0.1380259. PMID: 8228734.

7. Bioactivity

Biological target:

Fuscoside (OPC-21268) is an orally effective, nonpeptide, vasopressin V1 receptor antagonist with an IC50 of 0.4 μM.

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In vitro activity

This paper reports the in-vitro characterization of OPC-21268 binding to vasopressin receptors in rat liver and kidney. OPC-21268 caused a concentration-dependent displacement of the selective V1 receptor antagonist radioligand, 125I-labelled [d(CH2)5,sarcosine7]AVP to V1 receptors in both rat liver and kidney medulla membranes. The concentration of OPC-21268 that displaced 50% of specific AVP binding (IC50) was 40 +/- 3 nmol/l for liver V1 and 15 +/- 2 nmol/l for kidney V1 receptors (mean +/- S.E.M.; n = 3). OPC-21268 had little effect on the selective V2 antagonist radioligand [3H]desGly-NH2(9)]d(CH2)5,D-Ile2,Ile4] AVP binding to V2 receptors in renal medulla membranes (IC50 > 0.1 mmol/l). Binding kinetic studies showed that OPC-21268 acted as a competitive antagonist at the liver V1 receptor in vitro.

Reference: J Endocrinol. 1993 Aug;138(2):259-66. https://pubmed.ncbi.nlm.nih.gov/8228734/

In vivo activity

OPC-21268 caused a concentration-dependent displacement of the selective V1 receptor antagonist radioligand, 125I-labelled [d(CH2)5,sarcosine7]AVP to V1 receptors in both rat liver and kidney medulla membranes. The concentration of OPC-21268 that displaced 50% of specific AVP binding (IC50) was 40 +/- 3 nmol/l for liver V1 and 15 +/- 2 nmol/l for kidney V1 receptors (mean +/- S.E.M.; n = 3). OPC-21268 had little effect on the selective V2 antagonist radioligand [3H]desGly-NH2(9)]d(CH2)5,D-Ile2,Ile4] AVP binding to V2 receptors in renal medulla membranes (IC50 > 0.1 mmol/l). After oral administration to rats, OPC-21268 was an effective V1 antagonist in a time- and dose-dependent manner. Binding kinetic studies showed that OPC-21268 acted as a competitive antagonist at the liver V1 receptor in vitro and in vivo. OPC-21268 shows promise as an orally active V1 antagonist.

J Endocrinol. 1993 Aug;138(2):259-66. https://pubmed.ncbi.nlm.nih.gov/8228734/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.