Fuscoside

Cat. No.: HY-15009

CAS No.: 131631-89-5

Molecular Formula: $C_{26}H_{31}N_3O_4$

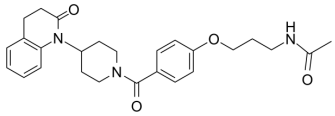
Molecular Weight: 449.54

Target: Vasopressin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (111.22 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2245 mL	11.1225 mL	22.2450 mL
	5 mM	0.4449 mL	2.2245 mL	4.4490 mL
	10 mM	0.2224 mL	1.1122 mL	2.2245 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: ≥ 2.5 mg/mL (5.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fuscoside (OPC-21268) is an orally effective, nonpeptide, vasopressin V1 receptor antagonist with an IC_{50} of 0.4 μM.

IC_{50} & Target

IC50: 0.4 μM (vasopressin V1)

Ki: 0.14 μM (vasopressin V1)[1]

In Vitro

The concentration of Fuscoside (OPC-21268) that displaces 50% of specific AVP binding (IC_{50}) is 0.4 μM for VI receptors and

	100 μM for V2 receptors. The inhibition constant (K_i) of Fuscoside (OPC-21268) for V1 receptors (0.14 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fuscoside (OPC-21268) competitively and specifically antagonizes pressor responses to AVP in vivo. Oral administration of Fuscoside (OPC-21268) (10 mg/kg) inhibits the vasoconstriction induced by exogenous AVP in a dose- and time-dependent manner and the effect lasts for more than 8 hours at 30 mg/kg[1]. Fuscoside (OPC-21268) predominantly exerts a protective effect in areas where the maximum amount of blood-brain barrier breakdown occurs, and it is effective in the treatment of cold-induced vasogenic brain edema. Fuscoside (OPC-21268) treatment at the dosages of 200 and 300 mg/kg significantly reduces brain water content in both hemispheres. Swelling of the traumatized hemispheres is also significantly reduced at 200 and 300 mg/kg dosages[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] Male Sprague-Dawley rats, 300 to 400 g, are injected with Fuscoside (OPC-21268) (0.1, 0.3, 1 mg/kg). Fuscoside (OPC-21268) is given 2 min before the injection of AVP at 30 mU/kg i.v., angiotensin II at 0.3 μg/kg i.v., and noradrenaline at 3 μg/kg i.v.[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell J. 2021 Sep;23(4):451-456.

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REFERENCES

[1]. Yamamura Y, et al. OPC-21268, an orally effective, nonpeptide vasopressin V1 receptor antagonist. Science. 1991 Apr 26;252(5005):572-4.

[2]. Bemana I, et al. Treatment of brain edema with a nonpeptide arginine vasopressin V1 receptor antagonist OPC-21268 in rats. Neurosurgery. 1999 Jan;44(1):148-54.

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