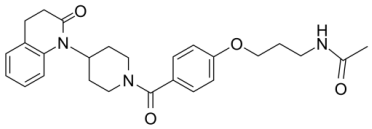
Data Sheet

Product Name:	Fuscoside
Cat. No.:	CS-0003694
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
Solubility:	DMSO : 50 mg/mL (111.22 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Fuscoside (OPC-21268) is an orally effective, nonpeptide, **vasopressin V1** receptor antagonist with an **IC_{50}** of 0.4 μM. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: OPC-21268 is prepared in dimethylformamide (DMF)[1].[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].

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.

CAIndexNames:

Acetamide, N-[3-[4-[[4-(3,4-dihydro-2-oxo-1(2H)-quinolinyl)-1-piperidinyl]carbonyl]phenoxy]propyl]-

SMILES:

O=C(CC1)N(C2CCN(C(C3=CC=C(OCCCNC(C)=O)C=C3)=O)CC2)C4=C1C=CC=C4

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

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