

Product Data Sheet

Product Name: OPC 21268

Cat. No.: GC10622

Chemical Properties

Cas. No. 131631-89-5

Chemical Name N-(3-(4-(4-(2-oxo-3,4-dihydroquinolin-1(2H)-yl)piperidine-1-carbonyl)phenoxy)propyl)acetamide

SMILES O=C1N(C2=CC=CC=C2CC1)C3CCN(C(C(C=C4)=CC=C4OCCCNC(C)=O)=O)CC3

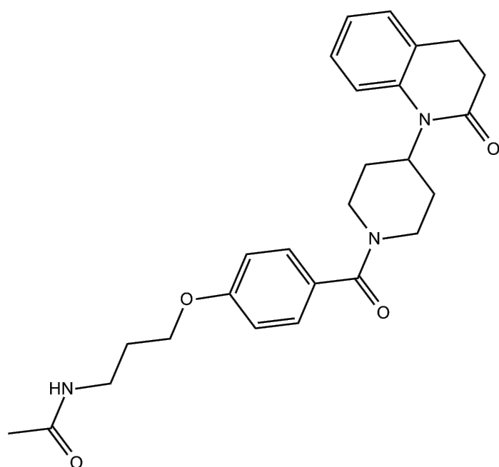
Formula $C_{26}H_{31}N_3O_4$ M.Wt 449.54

Solubility <44.95mg/ml in DMSO; <11.24mg/ml in ethanol Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Vascular smooth muscle cells and ventricular myocytes

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

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Preparation Method	After the equilibrium period, the Tyrode's solution containing Arginine vasopressin (AVP) and/or OPC 21268 (1 μ M) was superfused into the cell chamber. $[Ca^{2+}]_i$ and the cell motion of ventricular cells were stabilized within 2 minutes after exposure to test solutions, and then data were collected.
Reaction Conditions	1 μ M; 1 min pretreatment
Applications	1 μ M OPC 21268 (1 min) pretreatment of vascular smooth muscle cells abolished the effects of 100 nM vasopressin on cytosolic Ca^{2+} concentration ($[Ca^{2+}]_i$). Pretreatment of ventricular myocytes with OPC 21268 (1 μ M; 1 min) completely suppressed vasopressin induced changes in peak systolic cell position and $[Ca^{2+}]_i$.
Animal experiment [2]:	
Animal models	Spontaneously hypertensive rats (SHR) and stroke-prone spontaneously hypertensive rats (SHRSP)
Preparation Method	In every experiment, after at least 1 hour of equilibration OPC 21268 dissolved in dimethylformamide was injected intravenously in a volume of 100 μ L/kg, followed by 0.3 mL saline. The highest dose of OPC 21268 was 3 mg/kg, and this dose was considered to cause complete antagonistic effects to AVP. In SHRSP at 35 to 41 weeks of age, OPC 21268 was given at 0.3, 1, or 3 mg/kg. Control animals received the same volume of dimethylformamide and saline. The measurement was performed for 1 hour after administration.
Dosage form	0.3, 1, or 3 mg/kg; i.v.; once

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Applications

In spontaneously hypertensive rats (SHR), OPC 21268 administered intravenously (0.3, 1.0, 3.0mg/kg, i.v.) produced slight hypotensive effects in both 38- and 70-week-old animals. In stroke-prone spontaneously hypertensive rats (SHRSP), intravenous administration of OPC 21268 did not alter blood pressure at 15 weeks of age, but significantly decreased blood pressure in 25-week-old hypertensive rats.

References:

- [1]. Matsui, Hiroshi, et al. "Effects of a nonpeptide vasopressin antagonist (OPC-21268) on cytosolic Ca^{2+} concentration in vascular and cardiac myocytes." *Hypertension* 19.6_pt_2 (1992): 730-733.
- [2]. Fraser, Taflin B., et al. "Vasopressin V1a receptor antagonism does not reverse adrenocorticotrophin-induced hypertension in the rat." *Clinical and Experimental Pharmacology and Physiology* 27.11 (2000): 866-870.

Background

OPC 21268 is an orally effective, nonpeptide, vasopressin V1 receptor antagonist with an IC_{50} of $0.4\mu\text{M}$ ^[1].

In vitro, pretreatment with OPC 21268 ($1\mu\text{M}$; 1min) of vascular smooth muscle cells abolished the effects of 100nM vasopressin on cytosolic Ca^{2+} concentration ($[\text{Ca}^{2+}]_i$). Pretreatment of ventricular myocytes with OPC 21268 ($1\mu\text{M}$; 1min) completely suppressed vasopressin induced changes in peak systolic cell position and $[\text{Ca}^{2+}]_i$ ^[2].

In vivo, in spontaneously hypertensive rats (SHR), OPC 21268 administered intravenously (0.3, 1.0, 3.0mg/kg; i.v.; once) produced slight hypotensive effects in both 38- and 70-week-old animals. In stroke-prone spontaneously hypertensive rats (SHRSP), intravenous administration of OPC 21268 did not alter blood pressure at 15-week-old, but significantly decreased blood pressure in 25-week-old hypertensive rats^[3]. OPC 21268 oral treatment at the dosages of 200 and 300mg/kg significantly reduced brain water content in both hemispheres, reduced swelling and protected blood-brain barrier in cold brain injury rats^[4].

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References:

- [1]. Yamamura, Yoshitaka, et al. "OPC-21268, an orally effective, nonpeptide vasopressin V1 receptor antagonist." *Science* 252.5005 (1991): 572-574.
- [2]. Matsui, Hiroshi, et al. "Effects of a nonpeptide vasopressin antagonist (OPC-21268) on cytosolic Ca²⁺ concentration in vascular and cardiac myocytes." *Hypertension* 19.6_pt_2 (1992): 730-733.
- [3]. Fraser, Taflin B., et al. "Vasopressin V1a receptor antagonism does not reverse adrenocorticotrophin-induced hypertension in the rat." *Clinical and Experimental Pharmacology and Physiology* 27.11 (2000): 866-870.
- [4]. Bemana, Iraj, and Seigo Nagao. "Treatment of brain edema with a nonpeptide arginine vasopressin V1 receptor antagonist OPC-21268 in rats." *Neurosurgery* 44.1 (1999): 148-154.

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