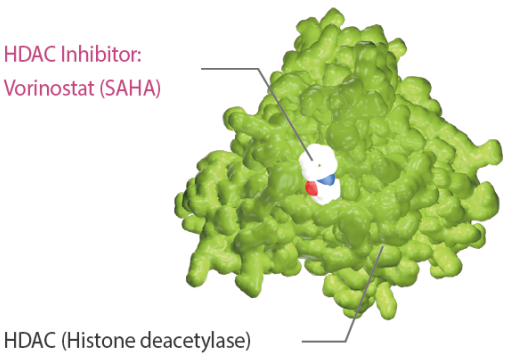
Vasopressin Receptor



Vasopressin receptors are a family of tissue-specific G protein-coupled receptors, which classified into V1, V2 and V3 subtypes. These three subtypes differ in localization, function and signal transduction mechanisms. Although all three of these proteins are G-protein coupled receptors (GPCRs), activation of AVPR1A and AVPR1B stimulate phospholipase C, while activation of AVPR2 stimulates adenylate cyclase. These three receptors for vasopressin have unique tissue distributions. AVPR1A are expressed in vascular smooth muscle cells, hepatocytes, platelets, brain cells, and uterus cells. AVPR1B are expressed in cells of the anterior pituitary and throughout the brain, especially in the pyramidal neurons of the hippocampal CA2 field. AVPR2 are expressed in the kidney tubule, predominantly in the distal convoluted tubule and collecting ducts, in fetal lung tissue and lung cancer, the last two being associated with alternative splicing. AVPR2 is also expressed in the liver where stimulation releases a variety of clotting factors into the bloodstream.

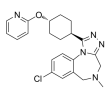
Vasopressin Receptor Inhibitors & Modulators

Balovaptan
(RG7314)

Cat. No.: HY-109024

Bioactivity: Balovaptan is a highly potent and selective brain-penetrant **vasopressin 1a (hV1a) receptor** antagonist, with K_is of 1 and 39 nM for human (hV1a) and mouse (mV1a) receptors, and is used for the research of autism.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

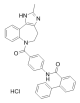


Conivaptan hydrochloride
(YM 087)

Cat. No.: HY-18347A

Bioactivity: Conivaptan (hydrochloride) is a non-peptide antagonist of **vasopressin receptor**, with K_i values of 0.48 and 3.04 nM for rat liver V1A receptor and rat kidney V2 receptor respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

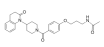


Fuscoside
(OPC-21268)

Cat. No.: HY-15009

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

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

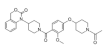


L-371,257

Cat. No.: HY-15010

Bioactivity: L-371,257 is an orally bioavailable, non-blood-brain barrier penetrant, selective and competitive antagonist of **oxytocin receptor** (pA2=8.4) with high affinity at both the oxytocin receptor (**K_i**=19 nM) and **vasopressin V1a receptor** (**K_i**=3.7 ...

Purity: 99.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg

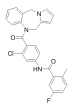


Lixivaptan
(VPA-985; WAY-VPA 985)

Cat. No.: HY-14185

Bioactivity: Lixivaptan (VPA-985, WAY-VPA 985) is an orally active and selective **vasopressin receptor** V2 antagonist, with **IC_{50}** values of 1.2 and 2.3 nM for human and rat V2, respectively.

Purity: 99.57%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

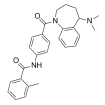


Mozavaptan
(OPC-31260; OPC31260I)

Cat. No.: HY-18346

Bioactivity: Mozavaptan (OPC31260) is a orally effective, nonpeptide **vasopressin** V2 receptor antagonist with an **IC_{50}** of 14 nM.

Purity: 98.94%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

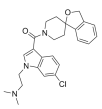


RG7713
(RO5028442)

Cat. No.: HY-12981

Bioactivity: RG7713 (RO5028442) is a highly potent and selective **Brain-Penetrant Vasopressin 1a** (**V1a**) receptor antagonist with **K_i**s of 1 nM (**hV1a**) and 39 nM (**mV1a**).

Purity: 99.49%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

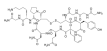


Terlipressin

Cat. No.: HY-12554

Bioactivity: Terlipressin is a potent vasoconstrictor that acts via V1 receptors on arteriolar smooth muscle cells. Terlipressin can result in splanchnic vasoconstriction augmenting systemic arterial blood pressure with beneficial circulatory and renal effects that would be expected to also ameliorate the key...

Purity: 99.07%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

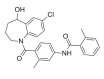


Tolvaptan
(OPC-41061)

Cat. No.: HY-17000

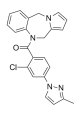
Bioactivity: Tolvaptan is a selective, competitive arginine vasopressin receptor 2 antagonist with an IC50 of 1.28μM for the inhibition of AVP-induced platelet aggregation. IC50 value: 1.28 uM (inhibition of AVP-induced platelet aggregation) Target: vasopressin receptor 2 Tolvaptan (OPC-41061) is a...

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg



WAY-151932
(VNA-932; WAY-VNA 932)

Cat. No.: HY-19381

Bioactivity: WAY-151932 is a **vasopressin V_2-receptor** agonist with **IC_{50}** of 80.3 nM and 778 nM in human-V_2 binding and V_{1a} binding assay.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg