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 Agonists & Antagonists

### Argipressin

**Vasopressin; Arg8-vasopressin; AVP**

**Cat. No.: HY-P0049**

Argipressin binds to the V1, V2, V3-vascular arginine vasopressin receptor, with a $K_d$ value of 1.31 nM in A7r5 rat aortic smooth muscle cells for V1. Argipressin is a selective V2 agonist.

**Purity:** 99.82%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

### Atosiban

**(RW22164; RWJ22164)**

**Cat. No.: HY-17572**

Atosiban (RW22164; RWJ22164) is a nonapeptide competitive vasopressin/oxytocin receptor antagonist, and is a desamino-oxytocin analogue. Atosiban is the main tocolytic agent and has the potential for spontaneous preterm labor research.

**Purity:** 99.09%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Atosiban acetate

**(RW22164 acetate; RWJ22164 acetate)**

**Cat. No.: HY-17572A**

Atosiban acetate (RW22164 acetate; RWJ22164 acetate) is a nonapeptide competitive vasopressin/oxytocin receptor antagonist, and is a desamino-oxytocin analogue. Atosiban is the main tocolytic agent and has the potential for spontaneous preterm labor research.

**Purity:** 99.92%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Balovaptan

**(RG7314)**

**Cat. No.: HY-109024**

Balovaptan (RG7314) is a highly potent and selective brain-penetrant vasopressin 1a (hV1a) antagonist, with $K_i$ values of 1 and 39 nM for human (hV1a) and mouse (mV1a) receptors, and is used for the research of autism.

**Purity:** 99.18%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Conivaptan hydrochloride

**(YM 087)**

**Cat. No.: HY-18347A**

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:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### d[Cha4]-AVP

**Cat. No.: HY-P1390**

d[Cha4]-AVP is a potent and selective human vasopressin V1B receptor agonist ($K_i$ values are 1.2, 151, 240 and 750 nM for V1B, V1A, Oxytocin and V2 receptors respectively). d[Cha4]-AVP stimulates ACTH and corticosterone secretion and exhibits negligible vasopressor activity in vivo.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

### Fedovapagon

**Cat. No.: HY-14887**

Fedovapagon is a selective vasopressin V2 receptor (V2R) agonist with an $EC_{50}$ of 24 nM, which is being developed for the treatment of nocturia.

**Purity:** 99.03%

**Clinical Data:** Phase 3

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Felypressin

**(PLV-2)**

**Cat. No.: HY-A0182**

Felypressin (PLV-2) is a non-catecholamine vasoconstrictor and a vasopressin 1 agonist. Felypressin is widely used in dental procedures.

**Purity:** 99.68%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Felypressin acetate

**(PLV-2 acetate)**

**Cat. No.: HY-A0182A**

Felypressin acetate (PLV-2 acetate) is a non-catecholamine vasoconstrictor and a vasopressin 1 agonist. Felypressin acetate is widely used in dental procedures.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg
Fuscoside (OPC-21268) Cat. No.: HY-15009
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: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

L-371,257 Cat. No.: HY-15010
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 ($K_i=3.7$ nM).

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

Lixivaptan (VPA-985; WAY-VPA 985) Cat. No.: HY-14185
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: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Mozavaptan (OPC-31260) Cat. No.: HY-18346
Mozavaptan (OPC-31260) is a benzazepine derivative and a potent, selective, competitive and orally active vasopressin V$_2$ receptor antagonist with an IC$_{50}$ of 14 nM.

Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Mozavaptan hydrochloride (OPC-31260 hydrochloride) Cat. No.: HY-123593
Mozavaptan hydrochloride (OPC-31260 hydrochloride) is a benzazepine derivative and a potent, selective, competitive and orally active vasopressin V$_2$ receptor antagonist with an IC$_{50}$ of 14 nM.

Purity: 98.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

RG7713 (RO5028442) Cat. No.: HY-12981
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: 99.79%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

SRX246 Cat. No.: HY-105685
SRX246 is a potent, CNS-penetrant, highly selective, orally bioavailable vasopressin 1a (V1a) receptor antagonist ($K_i=0.3$ nM for human V1a). SRX246 has no interaction at V1b and V2 receptors.

Purity: 99.69%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Terlipressin Cat. No.: HY-12554
Terlipressin is a vasopressin analogue with potent vasoactive properties. Terlipressin is a highly selective vasopressin V1 receptor agonist that reduces the splanchnic blood flow and portal pressure and controls acute variceal bleeding.

Purity: >98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Terlipressin acetate Cat. No.: HY-12554A
Terlipressin acetate is a vasopressin analogue with potent vasoactive properties. Terlipressin acetate is a highly selective vasopressin V1 receptor agonist that reduces the splanchnic blood flow and portal pressure and controls acute variceal bleeding.

Purity: 99.76%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Tolvaptan (OPC-41061) Cat. No.: HY-17000
Tolvaptan is a selective, competitive arginine vasopressin receptor 2 antagonist with an IC$_{50}$ of 1.28μM for the inhibition of AVP-induced platelet aggregation.

Purity: 99.92%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg
WAY-151932
(VNA-932; WAY-VNA 932)

WAY-151932 is a vasopressin V2-receptor agonist with IC50 of 80.3 nM and 778 nM in human-V2 binding and V1a binding assay.

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