



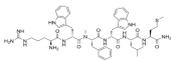
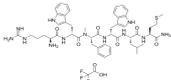
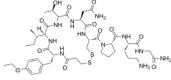
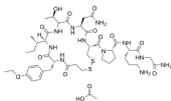
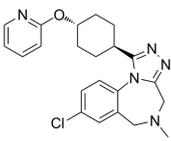
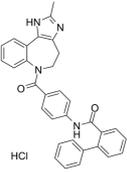
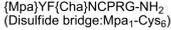
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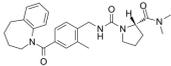
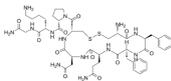
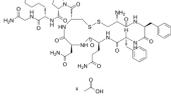
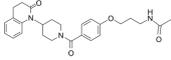
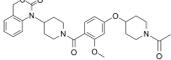
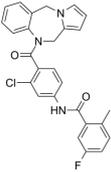
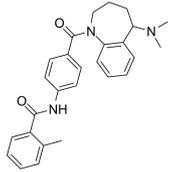
Inhibitors, Agonists, Screening Libraries

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 Agonists & Antagonists

<p>Antagonist G</p> <p style="text-align: right;">Cat. No.: HY-P1185</p> <p>Antagonist G is a potent vasopressin antagonist. Antagonist G is also a weak antagonist of GRP and Bradykinin. Antagonist G induces AP-1 transcription and sensitizes cells to chemotherapy.</p>  <p>Purity: 95.00% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Antagonist G TFA</p> <p style="text-align: right;">Cat. No.: HY-P1185A</p> <p>Antagonist G TFA is a potent vasopressin antagonist. Antagonist G is also a weak antagonist of GRP and Bradykinin. Antagonist G induces AP-1 transcription and sensitizes cells to chemotherapy.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Argipressin (Vasopressin; Arg8-vasopressin; AVP)</p> <p style="text-align: right;">Cat. No.: HY-P0049</p> <p>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.</p> <p style="text-align: center;"><small>CYFQNCPRG-NH₂(Disulfide bridge: Cys1-Cys6)</small></p>  <p>Purity: 99.82% Clinical Data: Launched Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Atosiban (RW22164; RWJ22164)</p> <p style="text-align: right;">Cat. No.: HY-17572</p> <p>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.</p>  <p>Purity: 99.09% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>Atosiban acetate (RW22164 acetate; RWJ22164 acetate)</p> <p style="text-align: right;">Cat. No.: HY-17572A</p> <p>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.</p>  <p>Purity: 99.92% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Balovaptan (RG7314)</p> <p style="text-align: right;">Cat. No.: HY-109024</p> <p>Balovaptan (RG7314) is a highly potent and selective brain-penetrant vasopressin 1a (hV1a) receptor antagonist, with K_s of 1 and 39 nM for human (hV1a) and mouse (mV1a) receptors, and is used for the research of autism.</p>  <p>Purity: 99.18% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Big Endothelin-1 (1-38), human</p> <p style="text-align: right;">Cat. No.: HY-P2538</p> <p>Big Endothelin-1 (1-38), human is the precursor of endothelin-1. Endothelin-1 (ET-1) is a potent vasopressor peptide.</p> <p style="text-align: center;"><small>CCSLLMKKECYFCHLDDIWWTFEHHVYVGLGSPRS (Disulfide bridge: Cys1-Cys12; Cys3-Cys11)</small></p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Big Endothelin-1 (1-39), porcine</p> <p style="text-align: right;">Cat. No.: HY-P2539</p> <p>Big Endothelin-1 (1-39), porcine is the precursor of endothelin-1. Endothelin-1 (ET-1) is a potent vasopressor peptide. Big Endothelin-1 (1-39), porcine has similar pressor effects in vivo.</p> <p style="text-align: center;"><small>CCSLLMKKECYFCHLDDIWWTFEHHVYVGLGSPRS (Disulfide bridge: Cys1-Cys12; Cys3-Cys11)</small></p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Conivaptan hydrochloride (YM 087)</p> <p style="text-align: right;">Cat. No.: HY-18347A</p> <p>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.</p>  <p>Purity: 99.92% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>d[Cha4]-AVP</p> <p style="text-align: right;">Cat. No.: HY-P1390</p> <p>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.</p> <p style="text-align: center;"><small>(Mpa)YF(Cha)NCPRG-NH₂ (Disulfide bridge: Mpa1-Cys6)</small></p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

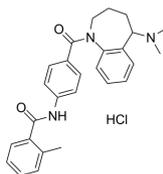
<p>d[Cha4]-AVP TFA</p> <p>Cat. No.: HY-P1390A</p> <p>d[Cha4]-AVP TFA is a potent and selective human vasopressin V1B receptor agonist (K_i values are 1.2, 151, 240 and 750 nM for V1B, V1A, Oxytocin and V2 receptors respectively). d[Cha4]-AVP TFA stimulates ACTH and corticosterone secretion and exhibits negligible vasopressor activity in vivo.</p> <p>(Mpa)YF(Cha)NCPRG-NH₂ (Disulfide bridge-Mpa-Cys₆) (TFA salt)</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>D[LEU4,LYS8]-VP</p> <p>Cat. No.: HY-P1163</p> <p>D[LEU4,LYS8]-VP is a selective agonist of vasopressin V_{1b} receptor, with the K_is of 0.16 nM, 0.52 nM, and 0.138 nM for rat, human and mouse V_{1b} receptor, respectively. D[LEU4,LYS8]-VP has weak antidiuretic, vasopressor, and in vitro oxytocic activities.</p> <p>(Mpa)-YFLNCPRG-NH₂ (Disulfide bridge-Mpa-Cys₆)</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>D[LEU4,LYS8]-VP TFA</p> <p>Cat. No.: HY-P1163A</p> <p>D[LEU4,LYS8]-VP TFA is a selective agonist of vasopressin V_{1b} receptor, with the K_is of 0.16 nM, 0.52 nM, and 0.138 nM for rat, human and mouse V_{1b} receptor, respectively. D[LEU4,LYS8]-VP TFA has weak antidiuretic, vasopressor, and in vitro oxytocic activities.</p> <p>(Mpa)-YFLNCPRG-NH₂ (Disulfide bridge-Mpa-Cys₆) (TFA salt)</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Fedovapagon</p> <p>Cat. No.: HY-14887</p> <p>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.</p>  <p>Purity: 99.03% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>Felypressin (PLV-2)</p> <p>Cat. No.: HY-A0182</p> <p>Felypressin (PLV-2) is a non-catecholamine vasoconstrictor and a vasopressin 1 agonist. Felypressin is widely used in dental procedures.</p>  <p>Purity: 99.68% Clinical Data: Launched Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Felypressin acetate (PLV-2 acetate)</p> <p>Cat. No.: HY-A0182A</p> <p>Felypressin acetate (PLV-2 acetate) is a non-catecholamine vasoconstrictor and a vasopressin 1 agonist. Felypressin acetate is widely used in dental procedures.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Fuscoidide (OPC-21268)</p> <p>Cat. No.: HY-15009</p> <p>Fuscoidide (OPC-21268) is an orally effective, nonpeptide, vasopressin V1 receptor antagonist with an IC_{50} of 0.4 μM.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>L-371,257</p> <p>Cat. No.: HY-15010</p> <p>L-371,257 is an orally bioavailable, non-blood-brain barrier penetrant, selective and competitive antagonist of oxytocin receptor ($pA_2=8.4$) with high affinity at both the oxytocin receptor ($K_i=19$ nM) and vasopressin V1a receptor ($K_i=3.7$ nM).</p>  <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg</p>
<p>Lixivaptan (VPA-985; WAY-VPA 985)</p> <p>Cat. No.: HY-14185</p> <p>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.</p>  <p>Purity: 99.90% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Mozavaptan (OPC-31260)</p> <p>Cat. No.: HY-18346</p> <p>Mozavaptan (OPC-31260) is a benzazepine derivative and a potent, selective, competitive and orally active vasopressin V₂ receptor antagonist with an IC_{50} of 14 nM.</p>  <p>Purity: 99.89% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>

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 V₂ receptor** antagonist with an IC₅₀ of 14 nM.



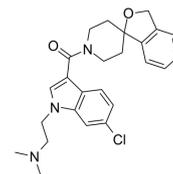
Purity: 98.16%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

RG7713

(RO5028442)

Cat. No.: HY-12981

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

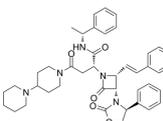


Purity: 99.79%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

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.

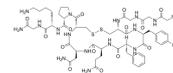


Purity: 99.69%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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: 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.



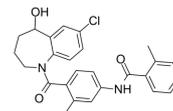
Purity: 99.76%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Tolvaptan

(OPC-41061)

Cat. No.: HY-17000

Tolvaptan is a selective, competitive arginine vasopressin receptor 2 antagonist with an IC₅₀ of 1.28 μM for the inhibition of AVP-induced platelet aggregation.



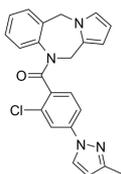
Purity: 99.92%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg

WAY-151932

(VNA-932; WAY-VNA 932)

Cat. No.: HY-19381

WAY-151932 is a **vasopressin V₂-receptor** agonist with IC₅₀ of 80.3 nM and 778 nM in human-V₂ binding and V_{1a} binding assay.



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