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Inhibitors, Agonists, Screening Libraries

# Oxytocin Receptor

## OXTR

Oxytocin, a hormone involved in numerous physiologic processes, plays a central role in the mechanisms of parturition and lactation. It acts through its receptor, which is a transmembrane receptor belonging to the rhodopsin-type class I G-protein-coupled receptor (GPCR) superfamily, while Gq/phospholipase C (PLC)/inositol 1,4,5-triphosphate (InsP3) is the main pathway via which it exerts its action in the myometrium. The main signaling pathway is the Gq/LPC/Ins3 pathway, but the MAPK and the RhoA/Rho kinase pathways are also activated, contributing to increased prostaglandin production and direct contractile effect on myometrial cells. Various peptide and nonpeptide antagonists have been developed as potential tocolytic agents or research tools for the various Oxytocin functions. Many of these oxytocin receptor antagonists are used only as pharmacological tools, while others have tocolytic action.

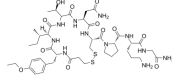
## Oxytocin Receptor Agonists & Antagonists

### Atosiban

(RW22164; RWJ22164)

Cat. No.: HY-17572

Atosiban (RW22164; RWJ22164) is a nonpeptide 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.



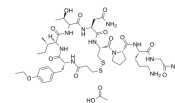
**Purity:** 99.09%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Atosiban acetate

(RW22164 acetate; RWJ22164 acetate)

Cat. No.: HY-17572A

Atosiban acetate (RW22164 acetate; RWJ22164 acetate) is a nonpeptide 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.

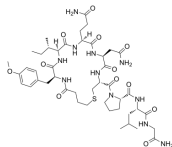


**Purity:** 99.92%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### Carbetocin

Cat. No.: HY-17573

Carbetocin (Lonactene; Duratocin) is an obstetric drug used to control postpartum hemorrhage and bleeding after giving birth; an agonist at peripheral oxytocin receptors.



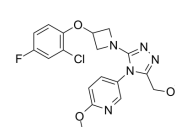
**Purity:** >98.0%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Cligosiban

(PF-3274167)

Cat. No.: HY-15023

Cligosiban (PF-3274167), a high oral bioavailability and good brain-penetrant non-peptide **oxytocin receptor** antagonist, shows a high-affinity ( $K_i=9.5$  nM) and an excellent selectivity versus the vasopressin receptors with almost no affinity for the  $V_{1b}$  and  $V_{1a}$ ...

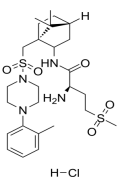


**Purity:** 99.85%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### L-368,899 hydrochloride

Cat. No.: HY-108677

L-368,899 hydrochloride is a potent, selective, orally bioavailable, non-peptide **oxytocin receptor** antagonist, with  $IC_{50}$ s of 8.9 nM and 26 nM for rat uterus and human uterus oxytocin receptor, respectively, used as a tocolytic agent.

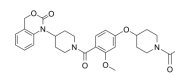


**Purity:** 98.00%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### 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** ( $pA_2=8.4$ ) with high affinity at both the oxytocin receptor ( $K_i=19$  nM) and **vasopressin V1a receptor** ( $K_i=3.7$  nM).

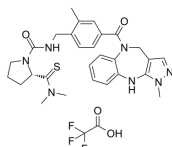


**Purity:** >99.0%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg

### LIT-001

Cat. No.: HY-124733A

LIT-001 is the first nonpeptide **oxytocin receptor** (OT-R) agonist ( $EC_{50}=55$  nM;  $K_i=226$  nM). LIT-001 improves social interaction in a mouse model of autism.



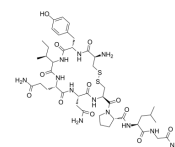
**Purity:** 98.52%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Oxytocin

( $\alpha$ -Hypophamine; Oxytocic hormone)

Cat. No.: HY-17571

Oxytocin ( $\alpha$ -Hypophamine) is a mammalian neurohypophysial hormone; its actions are mediated by specific, high-affinity oxytocin receptors; ligand of oxytocin receptor.



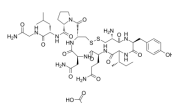
**Purity:** 98.68%  
**Clinical Data:** Launched  
**Size:** 2 mg

### Oxytocin acetate

( $\alpha$ -Hypophamine acetate; Oxytocic hormone acetate)

Cat. No.: HY-17571A

Oxytocin acetate ( $\alpha$ -Hypophamine acetate) is a mammalian neurohypophysial hormone; its actions are mediated by specific, high-affinity oxytocin receptors; ligand of oxytocin receptor.



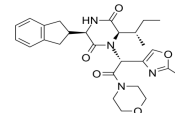
**Purity:** >99.0%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Retosiban

(GSK 221149; GSK 221149A)

Cat. No.: HY-14778

Retosiban (GSK221149A) is a potent and selective oxytocin antagonist with a  $K_i$  of 0.65 nM.



**Purity:** >98%  
**Clinical Data:** Phase 3  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg