

Product Data Sheet

Product Name: Atosiban
Cat. No.: GC12194

Chemical Properties

Cas. No. 90779-69-4

Formula C₄₃H₆₇N₁₁O₁₂S₂

M.Wt 994.19

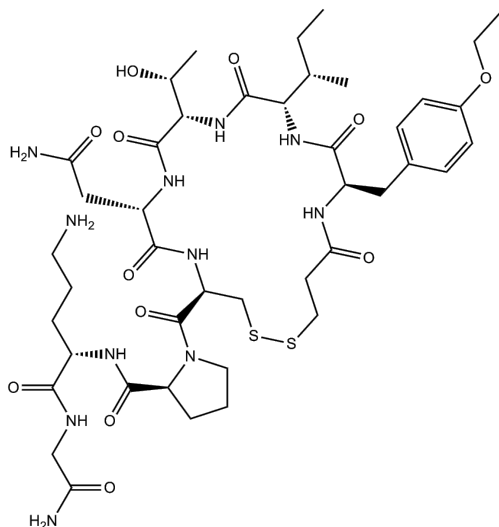
Solubility DMF: 30 mg/ml, DMSO: 14 mg/ml, Ethanol: 5 mg/ml, PBS (pH 7.2): 5 mg/ml

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 Prenatal myometrial smooth muscle cells

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Prenatal myometrial smooth muscle cells were stimulated with oxytocin (OT) (10nM) in the presence or absence of Atosiban (10μM) for 5min, 15min, 30min, Preparation Method 2h, 4h, and 6h. The expression of phosphorylated NF-κB p65 subunit, ERK1/2, and p38 MAPK, as well as COX-2 and p-cPLA2 were detected by Western blotting.

Reaction Conditions 10μM; 5min, 15min, 30min, 2h, 4h, and 6h

Applications Treatment with Atosiban significantly reduced the OT-driven activation of p38 kinase and the upregulation of COX-2 in human myometrial cells.

Animal experiment [2]:

Animal models Female Wistar rats

Endometriosis was surgically induced in 35 female rats during estrus. Four weeks after this procedure, relaparotomy was performed. The viability and dimensions of the endometriosis foci were recorded. Rats were then randomly divided into three groups. In the first group (n=8), a daily dose of 0.2mL 0.9% NaCl was injected intraperitoneally (i.p.) (control cases). In the second and third groups (n=8 and n=8), 0.5mg/kg/day i.p. Atosiban and 1mg/day i.p. diltiazem Preparation Method were given, respectively. The treatment was continued for 21 consecutive days at approximately the same time each day. At the end of the treatment, laparotomy was performed, and the dimensions of the endometriosis foci were recorded. The endometrial implants were processed for histological and immunohistochemical studies. The volumes of endometriotic implants were measured, and immunohistochemical analyses were performed, and compared between the groups.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Dosage form 0.5mg/kg/day; 21 days; i.p.

Applications After the treatment with Atosiban, volumes of endometriotic implants decreased significantly. Proliferating cell nuclear antigen expression levels were significantly reduced in the Atosiban and diltiazem groups compared with the control group.

References:

- [1] Kim S H, Pohl O, Chollet A, et al. Differential effects of oxytocin receptor antagonists, Atosiban and Nolasiban, on oxytocin receptor-mediated signaling in human amnion and myometrium[J]. *Molecular Pharmacology*, 2017, 91(4): 403-415.
- [2] Simsek Y, Celik O, Karaer A, et al. Therapeutic efficiency of Atosiban, an oxytocin receptor blocking agent in the treatment of experimental endometriosis[J]. *Archives of gynecology and obstetrics*, 2012, 286(3): 777-783.

Background

Atosiban is a mixed antagonist of oxytocin and vasopressin receptors. It effectively inhibits uterine contractions and prolongs pregnancy by competitively blocking oxytocin receptors on uterine smooth muscle^[1, 2]. Atosiban is a synthetic peptide tocolytic agent that can be used to treat premature labor^[3].

In vitro, treatment of human myometrial cells with Atosiban (10 μ M) significantly reduced oxytocin (OT)-induced intracellular p38 kinase activation and COX-2 upregulation^[4]. Treatment of human umbilical vein endothelial cells (HUVECs) with Atosiban (10 μ M) significantly inhibited the promoter activity of hypoxia-inducible factor-1 α (HIF-1 α) in HUVECs and reduced the mRNA and protein expression of HIF-1 α ^[5].

In vivo, treatment of experimental endometriosis rats with Atosiban (0.5mg/kg/day) by intraperitoneal injection for 21 days significantly reduced the volume of endometriotic implants and significantly reduced the expression level of proliferating cell nuclear antigen in implanted tissues^[6]. Treatment of

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

pregnant rats with Atosiban (6mg/kg/day) by intraperitoneal injection for 21 days significantly increased the level of oxidative stress in the plasma and heart tissue of newborn rats^[7].

References:

- [1] McCafferty G P, Pullen M A, Wu C, et al. Use of a novel and highly selective oxytocin receptor antagonist to characterize uterine contractions in the rat[J]. American Journal of Physiology-Regulatory, Integrative and Comparative Physiology, 2007, 293(1): R299-R305.
- [2] Kuć P, Laudański P, Pierzyński P, et al. The effect of combined tocolysis on in vitro uterine contractility in preterm labour[J]. Advances in medical sciences, 2011, 56(1): 88-94.
- [3] Di Renzo G C. Safety and efficacy of new drugs in preterm labor[J]. Expert Review of Obstetrics & Gynecology, 2007, 2(1): 19-24.
- [4] Kim S H, Pohl O, Chollet A, et al. Differential effects of oxytocin receptor antagonists, Atosiban and Nolasiban, on oxytocin receptor-mediated signaling in human amnion and myometrium[J]. Molecular Pharmacology, 2017, 91(4): 403-415.
- [5] Zhu J, Wang H, Zhang X, et al. Regulation of angiogenic behaviors by oxytocin receptor through Gli1-induced transcription of HIF-1 α in human umbilical vein endothelial cells[J]. Biomedicine & Pharmacotherapy, 2017, 90: 928-934.
- [6] Simsek Y, Celik O, Karaer A, et al. Therapeutic efficiency of Atosiban, an oxytocin receptor blocking agent in the treatment of experimental endometriosis[J]. Archives of gynecology and obstetrics, 2012, 286(3): 777-783.
- [7] Simsek Y, Celik O, Karaer A, et al. Elevated cardiac oxidative stress in newborn rats from mothers treated with atosiban[J]. Archives of gynecology and obstetrics, 2012, 285(3): 655-661.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA