Barusiban

Cat. No.:	HY-P3516
CAS No.:	285571-64-4
Molecular Formula:	$C_{40}H_{63}N_9O_8S$
Molecular Weight:	830.05
Target:	Oxytocin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Barusiban (FE-200440) is an oxytocin receptor (OT-R) antagonist (K_i=0.8 nM), inhibits OT-induced contraction. Barusiban can be used in preterm labor (PTL), in vitro fertilisation (IVF) and infertility research[1][2][3].

In Vitro

Barusiban shows affinity for oxytocin receptor (K_i=0.8 nM) in COS cells expressed with oxytocin receptor[3].

In Vivo

Barusiban (intravenous injection; 50 or 150 μg/kg; once) treatment shows inhibition on OT-induced contractions[2].

Animal Model:	Pregnant cynomolgus monkeys injected with oxytocin (OT)[2]
Dosage:	50 or 150 μg/kg
Administration:	Intravenous injection; 50 or 150 μg/kg; once
Result:	Reduced uterine contractions to baseline levels effectively. Reduced intrauterine pressure (IUP) from 6-7.5 kmm Hg•h to approximately 1 kmm Hg•h.

REFERENCES

[1]. Helmer H, et al. Barusiban, a selective oxytocin receptor antagonist: placental transfer in rabbit, monkey, and human†. Biol Reprod. 2020 Jun 23;103(1):135-143.

[2]. Reinheimer TM, et al. Barusiban, a new highly potent and long-acting oxytocin antagonist: pharmacokinetic and pharmacodynamic comparison with atosiban in a cynomolgus monkey model of preterm labor. J Clin Endocrinol Metab. 2005 Apr;90(4):2275-81.

[3]. Gimpl G, et al. Binding domains of the oxytocin receptor for the selective oxytocin receptor antagonist barusiban in comparison to the agonists oxytocin and carbetocin. Eur J Pharmacol. 2005 Mar 7;510(1-2):9-16.