OT-R antagonist 1 is a new potent and selective nonpeptide low molecular weight OT-R antagonist. OT-R antagonist 1 inhibits oxytocin-evoked intracellular Ca\(^{2+}\) mobilization (IC\(_{50} = 8\) nM). IC\(_{50}\) value: 8 nM.

Target: oxytocin receptor

in vitro: OT-R antagonist 1 inhibits IP3-Synthesis, rat OT-R (IC\(_{50}=0.03\) \(\mu\)M). [4]

OT-R antagonist 1 shows a very clean selectivity profile with specific interaction with OT-R. OT-R antagonist 1 competitively inhibits binding of [3H]oxytocin and the peptide antagonist 125I-ornithine vasotocin analog to human and rat oxytocin receptor expressed in human embryonic kidney 293-EBNA or Chinese hamster ovary cells with nanomolar potency. Selectivity against vasopressin receptor subtypes is >6-fold for V1a and >350-fold for V2 and V1b. [1]

in vivo:

Oxytocininduced contraction of isolated rat uterine strips is blocked by OT-R antagonist 1 (pA\(_{2} = 7.82\)). In anesthetized nonpregnant rats, single administration of OT-R antagonist 1 by i.v. or oral routes causes dose-dependent inhibition of contractions elicited by repeated injections of oxytocin with ED\(_{50} = 3.5\) mg/kg i.v. and 89 mg/kg p.o., respectively. OT-R antagonist 1 significantly inhibits spontaneous uterine contractions in pregnant rats near term when administered intravenously or orally. [1]

REFERENCES


