Teverelix

Cat. No.:	HY-105173
CAS No.:	151272-78-5
Molecular Formula:	$C_{74}H_{100}ClN_{15}O_{14}$
Molecular Weight:	1459.13
Target:	GnRH Receptor; Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Teverelix (EP 24332) is a GnRH antagonist. Teverelix binds competitively and reversibly to GnRH receptors, thereby suppressing the release of LH and FSH. Teverelix can be used in the research of prostatic hyperplasia, endometriosis, and prostate cancer[1][2].

In Vitro

Teverelix (10 nM, 45 mins) inhibits GnRH-induced intracellular Ca^{2+} increase in HEK293/GnRHR cells[2].
Teverelix (0.1 nM-1 μM, 45 mins) inhibits GnRH-induced cAMP accumulation in HEK293/GnRHR cells[2].
Teverelix (10 nM-1 μM, 15 mins) inhibits GnRH-induced pERK1/2 and pCREB activation in HEK293/GnRHR cells[2].
Teverelix inhibits histamine release in a peritoneal rat mast cell, with an EC_{50}value of 81 μg/mL[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	HEK293/GnRHR cells
Concentration:	10 nM, 100 nM, 1 μM
Incubation Time:	15 mins
Result:	Inhibited GnRH-induced pERK1/2 and pCREB activation.

In Vivo

Teverelix (3-300 μg/kg, intramuscular injection) inhibits testosterone in rats[3].
Teverelix (1 mg/kg, s.c, daily for 3 days) abolishes luteal function in stumptailed macaques[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[3]
Dosage:	300, 100, 30, 10 and 3 μg/kg
Administration:	Intramuscular injection
Result:	Showed dose-response and time-course of testosterone inhibitory activity.

REFERENCES

[1]. MacLean CM, et al. Pharmacokinetic, Safety, and Pharmacodynamic Properties of Teverelix Trifluoroacetate, a Novel Gonadotropin-Releasing Hormone Antagonist, in Healthy Adult Subjects. Clin Pharmacol Drug Dev. 2022 Feb;11(2):257-269.

[2]. Sperduti S, et al. GnRH Antagonists Produce Differential Modulation of the Signaling Pathways Mediated by GnRH Receptors. Int J Mol Sci. 2019 Nov 7;20(22):5548.

[3]. Deghenghi R, et al. Antarelix (EP 24332) a novel water soluble LHRH antagonist. Biomed Pharmacother. 1993;47(2-3):107-10.

[4]. Fraser HM, et al. Initiation of high dose gonadotrophin-releasing hormone antagonist treatment during the late follicular phase in the macaque abolishes luteal function irrespective of effects upon the luteinizing hormone surge. Hum Reprod. 1997 Mar;12(3):430-5.

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