Detirelix

Also called: RS-68439; RS 68439; Detirelida

What it is

Detirelix (RS-68439) is a decapeptide GnRH/LHRH receptor antagonist developed by Syntex Research. In healthy men a single subcutaneous dose of 5 to 20 mg suppressed LH, FSH and testosterone with an apparent half-life of at least 41 hours (PMID 3104388); in women, alternate-day dosing cut LH by 74 percent within 8 hours and blocked ovulation, reversibly (PMID 2005220). Its toxicology showed signs of peripheral vasodilation (PMID 1794654), the histamine-type reaction that limited the early GnRH antagonists, and it was not developed past phase 2; it is approved nowhere.

Approval status

Discontinued. Never approved anywhere: Drugs@FDA and the openFDA label endpoint hold no record (searched November 5, 2026). ChEMBL records a highest clinical phase of 2 (CHEMBL2106408); the published human trials stop in the early 1990s and development was not continued. ClinicalTrials.gov holds 0 records (the registry postdates the trials).

Dosing, as printed in the page's Recommended Dosing box

Used in human trials

No manufacturer dose exists for this use: these are amounts given in published trials, not recommendations.

Protocol and source	Dose	Frequency	Duration	Route
Single doses, healthy men **[Human study]** PMID 3104388	5, 10 or 20 mg	Single injection	One dose per level, a week apart	Subcutaneous
Short course, cycling women **[Human study]** PMID 2005218	10 mg	Once daily for 3 days	3 consecutive days	Subcutaneous
Single doses, postmenopausal women **[Human study]** PMID 1370507	1, 5 or 20 mg	Single injection	One dose per level, a week apart	Subcutaneous

Educational information, not medical advice. Each dose above is tagged with its source: an approved label, a published human study, animal data, or amounts reported by users. None is a recommendation for you. An unapproved compound has no established safe or effective human dose, and products sold for "research use only" are not made or tested for people. Talk to a doctor before acting on anything on this site, including before you start, stop or change any medicine or dose.

Key human evidence

The first 3 of the 4 human studies carded on the page (7 study cards in all):

• **Single doses in healthy men** (Human, 1987). Nine normal men were each given a single injection under the skin of detirelix at 5, 10 and 20 milligrams, the doses separated by at least a week. Serum FSH, LH and testosterone all fell significantly, testosterone in a dose-dependent way, from a mean of 5.1 down to nadirs of 1.3, 0.9 and 0.6 nanograms per millilitre after the three doses. Testosterone began to escape suppression 12 to 28 hours after the lower doses. The apparent half-life measured by immunoassay was at least 41 hours. The drug caused only a minor local reaction and no systemic side effects at the doses used, and the authors judged it a potent, long-acting inhibitor of the pituitary-gonadal axis in men. **PMID 3104388**

• **Alternate-day dosing in cycling women** (Human, 1991). Six women with normal menstrual cycles were injected under the skin on alternate days for 27 days (six more women were sampled without the drug). Within 8 hours of the first injection, mean LH fell by 74 percent and FSH by 26 percent, and estradiol dropped from 165 to 70 picomoles per litre within a day. Follicular development was suppressed and none of the six women ovulated during treatment. The axis recovered quickly afterwards: every woman had an ovulatory LH surge 10 to 16 days after the last injection, followed by a normal luteal phase. The injections caused local skin reactions (redness and itch) but no systemic effects. **PMID 2005220**

• **Across the phases of the menstrual cycle** (Human, 1991). Twelve women received detirelix at 10 milligrams under the skin on three consecutive days, timed to the mid-follicular, pre-ovulatory or early-luteal phase of the cycle (four women each). The pituitary response was the same whichever phase was treated: LH fell to about a third of baseline within 8 hours and FSH to about three-quarters. The ovarian response, by contrast, varied with timing; estradiol and progesterone fell, and the delay to the next ovulation ranged from about 6 days after pre-ovulatory treatment to about 18 days after mid-follicular treatment. The study used the antagonist as a tool to show that the ovary's dependence on gonadotropins shifts across the cycle. **PMID 2005218**

Interactions

A PubMed search for interaction studies of this compound with any drug (November 5, 2026, 1 record) found none in people. That is not evidence that it is safe to combine with anything.

Side effects and cautions

Reported side effects	Contraindications and cautions
• Local skin reactions at the injection site, redness and itch, in cycling women (PMID 2005220) • A minor local reaction and no systemic side effects at the single doses given to men (PMID 3104388) • In animals, single injections caused signs of peripheral vasodilation: edema, facial flushing, red ears, pallor and lethargy (PMID 1794654) • Expected hormonal effects of shutting down the axis: suppressed sex steroids, blocked ovulation and sperm production, all reversible (PMIDs 2005220, 6389185, 1794654)	• Not an available medicine: approved nowhere and never marketed; supplied only for research • It suppresses the reproductive hormone axis, so it would block ovulation and sperm production while given (PMIDs 2005220, 6389185) • The early GnRH antagonists, detirelix among them, carried a risk of histamine-type systemic reactions tied to the molecule, seen as peripheral vasodilation in its toxicology (PMID 1794654) • No modern safety, interaction or pregnancy data exist, because development stopped decades ago

Five questions to ask

1. Detirelix is listed here as “Discontinued”. What does the evidence on this sheet mean for someone in my situation, and is there an approved treatment I should consider instead?
2. Here are the medicines and supplements I take. Do any of them interact with Detirelix? This sheet records none published, which does not mean none exist.
3. Which side effects should make me stop and call you, for example local skin reactions at the injection site?
4. Do any of the cautions on this sheet apply to me, such as: Not an available medicine: approved nowhere and never marketed?
5. What should we check before I start and while I am on it (blood tests, blood pressure, weight), and how often?

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