DOSAGE AND ADMINISTRATION Adult males (including the elderly) - one depot of ZOLADEX® LA containing goserelin acetate equivalent to 10.8 mg goserelin, should be injected subcutaneously into the anterior abdominal wall every 12 weeks following the procedure recommended on the instruction card (see Instructions for Use on card attached to sterile pouch). While the 12-week schedule should be adhered to, a delay of a few days is permissible .

In patients with impaired renal function, the serum half-life is increased (serum half-life is 2-4 hours in patients with normal renal function). When ZOLADEX® LA is given every 12 weeks, this change will not lead to any accumulation hence, no change in dosing is necessary.

Hepatic impairment does not compromise the clearance of ZOLADEX® LA, therefore a dosage adjustment is not needed for patients with hepatic impairment.

ZOLADEX® LA is not indicated for use in females, since there is insufficient evidence of reliable suppression of serum oestradiol. For female patients requiring treatment with goserelin, refer to the prescribing information for ZOLADEX® (3.6 mg depot) (see WARNINGS).

ZOLADEX® LA is not indicated for use in children (see WARNINGS).

PHARMACEUTICAL INFORMATION

Drug Substance

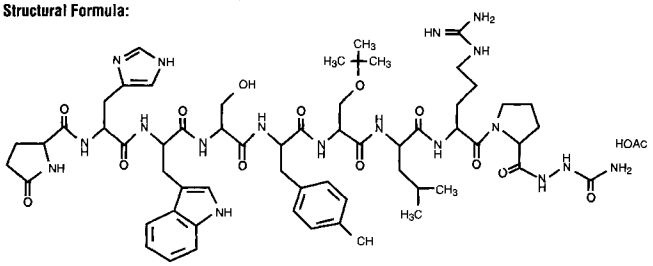
Proper (common) Name: Goserelin acetate

Chemical Name: L-pyroglutamyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosyl-D-(*0-tert*-butyl)seryl-L-leucyl-L-arginyl-L-prolyl-azaglycine amide acetate

Abbreviated Chemical Name: L-Glp-L-His-L-Trp-L-Ser-L-Tyr-D-Ser (But)-L-Leu-L-Arg-L-Pro-AzGlyNH2 acetate

Other Names: 6-D-(*0-tert*-butyl)serine-10-azaglycine amide-LH-RH, acetate salt

Structural Formula:



Free Base Molecular Formula: $C_{59}H_{84}N_{18}O_{14}$
Free Base Molecular Weight: 1269.44

Description Goserelin acetate is a white to off-white powder. It is freely soluble in glacial acetic acid, soluble in water, 0.1M hydrochloric acid, 0.1M sodium hydroxide, dimethylformamide and dimethylsulphoxide. It is practically insoluble in acetone, chloroform and diethyl ether.

Measured pKa (base) is 6.2 (associated with the protonation of the histidine residue).

pH of a 2% aqueous solution is approximately 6 (dependent on level of acetic acid present).

Oil/water coefficient of partition Soluble in water, insoluble in n-octanol.

Composition Active constituent: goserelin acetate equivalent to 10.8 mg goserelin per depot. Other constituents: Lactide-glycolide copolymers to total weight 36.0 mg per depot.

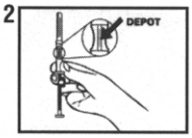
STABILITY AND STORAGE RECOMMENDATIONS Protect from light and moisture. Store in the intact package between 2°C and 25°C.

AVAILABILITY OF DOSAGE FORMS ZOLADEX® LA (goserelin acetate) depot is supplied as a cylindrical rod of biodegradable and biocompatible D-L Lactide-glycolide copolymers. Each ZOLADEX® LA depot contains goserelin acetate equivalent to 10.8 mg of goserelin. This depot is presented in a sterile ready-to-use syringe with a 14 gauge needle for a single subcutaneous injection. The entire syringe containing ZOLADEX® LA is packaged in a sterile pouch. Instructions for administration, once every 12 weeks, are attached.

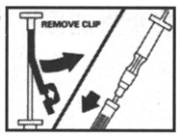
INSTRUCTIONS FOR USE

1

Swab abdominal injection site.

2


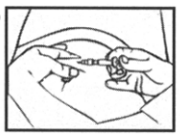
Open pouch at arrows and remove syringe. **Do not remove blue clip.** Check the depot is present in the window.

3


Remove blue clip taking care not to accidentally express depot. Remove needle cover. **Do not depress the plunger.**

4

CORRECT grip, fingers around barrel. Insert needle into loosely gathered fold of skin.

5

Depress plunger fully to inject depot. Cover injection site with a sterile dressing.

6

INCORRECT grip and angle of presentation for needle insertion.

References: **1.** Debruyne FM, Dijkman GA, Lee DCH and Witjes WPJ on behalf of the Dutch South East Cooperative Urological Group. A new long acting formulation of the luteinizing hormone-releasing hormone analogue, goserelin: results of studies in prostate cancer. Journal of Urology 1996;155:1352-1354. **2.** ZOLADEX LA product monograph. Zeneca Pharma 1996.

ZENECA Pharma

Mississauga, Ontario L5N 5R7
A member of the Zeneca Group.
Further information available on request.

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