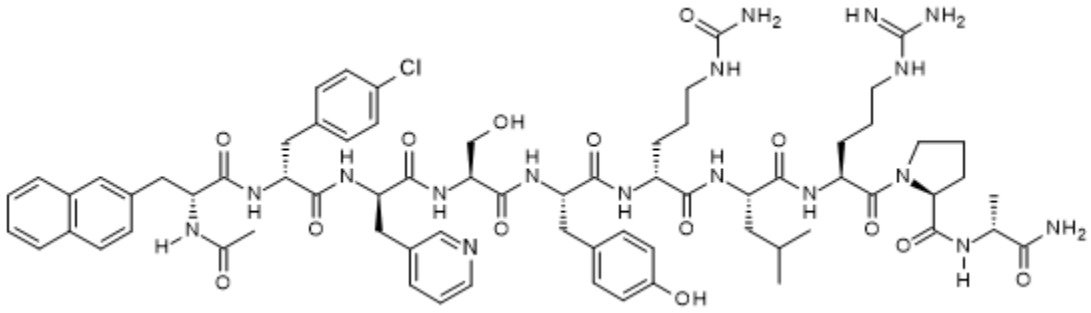
A STABLE PARENTERAL DOSAGE FORM OF CETRORELIX ACETATE

Field of the Invention

The present invention relates to a stable parenteral dosage form with a ready-to-inject sterile stable aqueous solution of Cetrorelix acetate. The invention also relates to an injection device prefilled with the ready-to-inject sterile stable aqueous solution of Cetrorelix acetate. The present invention relates to a method of inhibiting premature luteinizing hormone surges in women undergoing controlled ovarian stimulation comprising a stable parenteral dosage form with a ready-to-inject sterile stable aqueous solution of Cetrorelix acetate.

Background of the Invention

Cetrorelix is gonadotropin releasing hormone antagonist (GnRH antagonist) acetyl-D-3-(2′-naphtyl)-alanine-D-4-chlorophenylalanine-D-3-(3′-pyridyl)-alanine-L-serine-L-tyrosine-D-citruline-L-leucine-L-arginine-L-proline-D-alanine-amide (C70H92ClN17O14) having the following formula. It is a decapeptide with a terminal acid amide group. It acts by blocking the action of GnRH upon the pituitary, thus rapidly suppressing the production and action of leutinizing hormone and follicle stimulating hormone.



Aqueous solutions of peptides are required for parenteral administration. However, aqueous solutions of peptides such as Cetrorelix are susceptible to chemical degradation. They are also prone to aggregation whereby the turbidity or cloudiness of the solution increases on storage.

The first product on the market was Cetrotide®. It is available as a lyophilized powder in glass vials containing 0.25 mg or 3 mg of Cetrorelix. A prefilled glass syringe having 1 ml or 3 ml of sterile water for injection is provided separately and the solution is prepared only prior to injection. Therefore the first product solved the problem of