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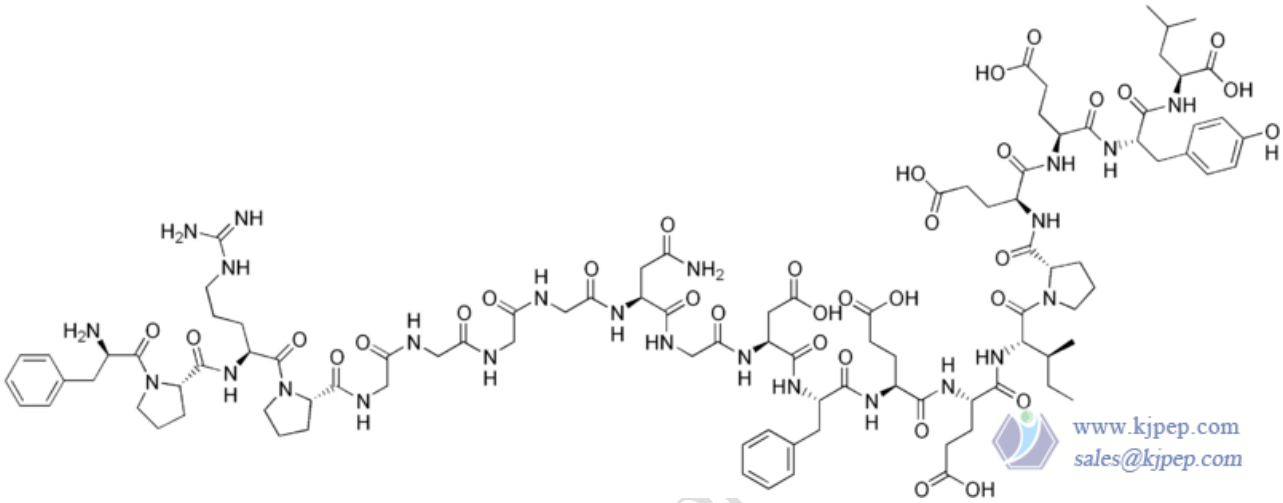
About Author

Chengdu Kaijie Biopharm Co, Ltd. (KJBP) is one of leading peptide manufacturers in Asia. With its highest capacity of production in China and the outstanding quality of peptide products, Kaijie holds a unique position.

Bivalirudin



1.US Trade Name: Angiomax

2.How Supplied

2.1.Angiomax:

Intravenous Powder for Solution: 250 MG

3.Adult Dosing

Percutaneous coronary intervention, with provisional use of glycoprotein IIb/IIIa inhibitor: 0.75 mg/kg as an INTRAVENOUS bolus dose, followed by an INTRAVENOUS infusion of 1.75 mg/kg/hr for the duration of the procedure; check ACT 5 minutes after the bolus dose and if less than 225 seconds, an additional bolus dose of 0.3 mg/kg should be given; the infusion may be continued 4 hours post-procedure; an intravenous infusion of 0.2 mg/kg/hr can then be initiated for up to 20 hours if necessary; intended to be used with aspirin 300 to 325 mg/d

Percutaneous transluminal coronary angioplasty - Unstable angina: 0.75 mg/kg as an INTRAVENOUS bolus dose, followed by an INTRAVENOUS infusion of 1.75 mg/kg/hr for the duration of the procedure; check ACT 5 minutes after the bolus dose and if less than 225 seconds, an additional bolus dose of 0.3 mg/kg should be given; the infusion may be continued 4 hours post-procedure; an intravenous infusion of 0.2 mg/kg/hr can then be initiated for up to 20 hours if necessary; intended to be used with aspirin 300 to 325 mg/d

Ovulation induction, Controlled stimulation; Adjunct: single dose regimen: 3 mg SUBQ when the serum estradiol level is indicative of an appropriate stimulation response, usually on stimulation day 7 (range day 5 to 9). If hCG has not been administered within 4 days after injection of cetrorelix 3 mg, then 0.25 mg SC once daily should be administered until the day of hCG administration; adjust dose according to individual response

Ovulation induction, Controlled stimulation; Adjunct: multiple dose regimen: 0.25 mg SUBQ every day starting on either stimulation day 5 (morning or evening) or day 6 (morning) and continued until the day of hCG administration; adjust dose according to individual response

4.Pediatric Dosing

safety and efficacy in pediatric patients have not been established

5. Dose Adjustments

Renal impairment: CrCL less than 30 mL/min, a reduction of infusion rate to 1 mg/kg/h should be considered; no bolus dose reduction is necessary

Hemodialysis: reduce infusion rate to 0.25 mg/kg/h; no bolus dose reduction is necessary

Renal impairment: monitor the activated clotting time (ACT) in all renally-impaired patients

6. Intravenous

a. (bolus, initial infusion, low-rate infusion) reconstitute 250-mg vial with 5 mL of Sterile Water for Injection; swirl until dissolved

b. (initial infusion), further dilute each reconstituted vial in 50 mL of D5W or NS to yield a final concentration of 5 mg/mL; administer over 4 h (2.5 mg/kg/h)

c. (low-rate infusion) further dilute each reconstituted vial in 500 mL of D5W or NS to yield a final concentration of 0.5 mg/mL; administer infusion for up to 20 h if needed (0.2/mg/kg/

7. Subcutaneous

Inject in lower abdominal area at least 1 inch away from naval; (multiple dose regimens) choose different injection site daily to minimize local irritation

Reconstitute with Sterile Water for Injection USP

8.Mechanism of Action

Cetrorelix acetate is a synthetic decapeptide with antagonistic activity against gonadotropin-releasing hormone (GnRH). It controls the release of luteinizing hormone (LH) and follicle stimulating hormone (FSH) by competing with natural GnRH for binding to membrane receptors on gonadotrophic cells of the anterior pituitary .

9.Absorption

Subcutaneous: time to peak concentration, approximately 1 h to 2 h ;Bioavailability: 85%

10. Distribution

Vd: 1 L/kg **;**Protein binding: 86%

11. Metabolism

peptidases ,Metabolites: (1-9), (1-7), (1-6), and (1-4) peptides

12.Excretion

Biliary: 5% to 10% unchanged and as metabolites over 24 h

Renal: 2% to 4% unchanged over 24 h

13.Adverse Effects

Cardiovascular: Swelling (Mild), Transient

Dermatologic: Bruising symptom (Mild), Transient, Erythema (Mild), Transient, Pruritus (Mild), Transient

Gastrointestinal: Nausea (1.3%) ;**Neurologic:** Headache (1.1%)

Reproductive: Ovarian hyperstimulation syndrome; **Immunologic:** Anaphylaxis (rare)

14. Clinical Teaching

This drug may cause Bradyarrhythmia, hypotension, abdominal pain, dyspepsia, nausea, vomiting, back pain, headache, insomnia, pain, pain in pelvis, anxiety, nervousness, or fever. Instruct patient to report signs/symptoms of bleeding from any site.

15. **Elimination Half Life**: Systemic: 25 min **Excretion** Systemic: Renal

Cetrorelix (**US Trade Name:** Cetrotide)

Cetrotide: Subcutaneous Powder for Solution: 0.25 MG, 3 MG

Multiple dose, (0.25 mg daily x14 days); 20.6 h (4.1 h to 179.3 h)

Single dose (0.25 mg); 5 h (2.4 h to 48.8 h)

Single dose (3 mg); 62.8 h (38.2 h to 108 h)