

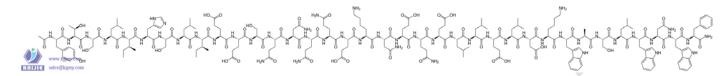
Chengdu KaiJie Biopharm Co., Ltd.

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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.

Enfuvirtide



1. US Trade Names: Fuzeon

2. How Supplied

2.1.Fuzeon:

Subcutaneous Powder for Solution: 90 MG

3. Adult Dosing

HIV infection, In combination with other antiretrovirals: 90 mg (1 mL) SUBCUTANEOUSLY twice a day

4. Pediatric Dosing

HIV infection, In combination with other antiretrovirals: (6 years of age and older) 2 mg/kg SUBCUTANEOUSLY twice a day; maximum 90 mg/dose

5. Dose Adjustments

renal impairment: clearance is not affected in patients with a creatinine clearance greater than 35 milliliters/minute; there is no information in patients with a creatinine clearance less than 35 milliliters/minute

6. Mechanism of Action

Enfuvirtide interferes with the entry of HIV-1 into cells by inhibiting fusion of viral and cellular membranes. Enfuvirtide binds to the first heptad-repeat (HR1) in the gp41subunit of the viral envelope glycoprotein and prevents confirmational changes required for fusion of viral and cellular membranes.

Enfuvirtide was active in vitro against R5, X4, and dual topic viruses. Enfuvirtide has no activity against HIV-2.

Enfuvirtide exhibited additive to synergistic effects in cell culture assays when combined with individual members of various antiretroviral classes, including zidovudine, lamivudine, nelfinavir, indinavir, and efavirenz.

7. Pharmacokinetics

6.1 Absorption

Time to peak (subcutaneous): 4 hours (range 4 to 8 hours)



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Bioavailability: $84.3\% \pm 15.5\%$

6.2 Distribution

Protein binding: 92%

 $Vd: 5.5 \pm 1.1 L$

6.3 Metabolism

Hydrolysis to M3 metabolite

6.4 Excretion

Total body clearance: 30.6 mL/hr/kg Hemodialysis: no effect on clearance

6.5 Elimination Half Life

 $3.8 \pm 0.6 \text{ h}$

8. Adverse Effects

Dermatologic: Injection site reaction

Gastrointestinal: Decrease in appetite, Diarrhea, Nausea

Musculoskeletal: Myalgia

Neurologic: Insomnia, Peripheral neuropathy

Ophthalmic: Conjunctivitis

Psychiatric: Anxiety

Other: Fatigue