PRODUCT INFORMATION

Enfuvirtide

Item No. 24097

CAS Registry No.: 159519-65-0
Formal Name: N-acetyl-L-tyrosyl-L-threonyl-L-seryl-L-leucyl-L-isoleucyl-L-histidyl-L-seryl-L-leucyl-L-isoleucyl-L-α-glutamyl-L-α-glutamyl-L-seryl-L-glutaminyl-L-asparaginyl-L-glutaminyl-L-glutaminyl-L-α-glutamyl-L-lysyl-L-asparaginyl-L-α-glutamyl-L-glutaminyl-L-α-glutamyl-L-leucyl-L-leucyl-L-α-glutamyl-L-leucyl-L-α-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-asparaginyl-L-tryptophyl-L-phenylalaninamide
MF: $C_{204}H_{301}N_{51}O_{64}$
FW: 4,491.9
Purity: ≥95%
UV/Vis.: λ_{max}: 279 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Ac—Tyr—Thr—Ser—Leu—Ile—His—Ser—Leu—Ile—Glu—Glu—Ser—Gln—Asn—Gln—Gln—Glu—Lys—Asn—Glu—Gln—Glu—Leu—Leu—Glu—Leu—Asp—Lys—Trp—Ala—Ser—Leu—Trp—Asn—Trp—Phe—NH_2

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Enfuvirtide is supplied as a crystalline solid. A stock solution may be made by dissolving the enfuvirtide in the solvent of choice, which should be purged with an inert gas. Enfuvirtide is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of enfuvirtide in these solvents is approximately 5 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of enfuvirtide can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of enfuvirtide in PBS (pH 7.2) is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Enfuvirtide is a biomimetic peptide inhibitor of HIV-1 fusion with $CD4^+$ cells.[1] It inhibits HIV-1 infectivity of HeLa cells stably expressing CD4 by the HXB2 strain (IC_{50} = 692 pM) and by clinical isolates (IC_{90}s = 6.1-61 nM) in a single-cycle infectivity assay. It also inhibits genomic integration of HIV-1 into human intraepithelial vaginal cells and peripheral blood mononuclear cells (PBMCs; IC_{50}s = 51.2 and 13.58 µM, respectively).[2] Enfuvirtide binds to a recombinant molecular mimic of HIV-1 glycoprotein gp41 that contains three N-terminal heptad and two C-terminal heptad repeat regions (K_d = 32 nM).[3] It also binds to recombinant formyl peptide receptors (FPR) expressed in rat basophilic leukemia cells (IC_{50} = 5 nM) and attracts and activates human peripheral blood phagocytes, but not T lymphocytes, *in vitro* when used at a concentration of 100 nM.[4] Formulations containing enfuvirtide have been used in combination therapy for the treatment of HIV-1/AIDS.

References

1. Kariya, T., Wille, L.J., and Dage, R.C. *J. Cardiovasc. Pharmacol.* **4(3)**, 509-514 (1982).
2. Sebhat, I.K., Martin, W.J., Ye, Z., *et al. J. Med. Chem.* **45(21)**, 4589-4593 (2002).
3. Martin, W.J., McGowan, E., Cashen, D.E., *et al. Eur. J. Pharmacol.* **454(1)**, 71-79 (2002).
4. Cepoi, D., Phillips, T., Cismowski, M., *et al. Brain Res.* **1000(1-2)**, 64-71 (2004).

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