Enfuvirtide

Cat. No.: HY-P0052

CAS No.: 159519-65-0

Molecular Formula: $C_{204}H_{301}N_{51}O_{64}$

Molecular Weight: 4491.88

Sequence: 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-NH2

Ac-YTSLIHSLIEESQNQQEKNEQELLELDKWASLWNWF-NH2

Sequence Shortening: Ac-YTSLIHSLIEESQNQQEKNEQELLELDKWASLWNWF-NH2

Target: HIV

Pathway: Anti-infection

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (4.45 mM; Need ultrasonic)

H_2O : 0.67 mg/mL (0.15 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.2226 mL	1.1131 mL	2.2262 mL
5 mM	---	---	---
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2 mg/mL (0.45 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (0.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Enfuvirtide (T20;DP178) is an anti-HIV-1 fusion inhibitor peptide.

IC_{50} & Target HIV-1

In Vitro A cell-cell fusion assay reveals that the effective concentration for achieving 50% inhibition (IC_{50}) of Enfuvirtide is 23 ± 6 nM

	[2]. IFN-λs (1, 2, or 3) or the antiretrovirals (AZT, Efavirenz, Indinavir, and Enfuvirtide) significantly inhibita the expression of HIV p24 antigen and Gag gene in macrophages. IFN-λs (1, 2, or 3) also enhanced the anti-HIV (Bal) effect of AZT, Efavirenz, Indinavir, and Enfuvirtide[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Enfuvirtide has a $T_{1/2}$ of 3.8 h[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	For infection with the resistant HIV strains, 7-day-cultured macrophages (10^5 cells/well in 96-well plates) are incubated with or without IFN-λ1, λ2, or λ3 (100 ng/mL each) and/or anti-HIV drugs: Azidothymidine (AZT) 10 pM; Efavirenz 100 pM; Indinavir 10^{-3} pM, and Enfuvirtide 10 nM for 24 h. Cells are then infected with different strains of HIV (6 ng p24/well) for 2 h. After washed three times with plain DMEM, cells are cultured with fresh 10% DMEM containing IFN-λs and/or antiretroviral drugs. For HIV Bal infection, culture supernatant is harvested at day 8 post infection for RT and p24 assays. Infected and untreated cells served as controls. HIV Gag gene expression in infected cells is also examined at day 8 post infection. For anti-HIV drug-resistant virus (A012 G691-6 or TC49) infection, culture supernatant is harvested for HIV p24 protein by ELISA at days 3, 5, 7, and 10 postinfection. The cell cultures are replaced with the fresh media supplemented with IFN-λ1, λ2, or λ3 and/or the antiretrovirals every 2–3 days. The culture supernatant collected at day 10 postinfection is also subjected to RT assay[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Cell Rep. 2022 Feb 1;38(5):110296.

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REFERENCES

[1]. Figueira TN, et al. Quantitative analysis of molecular partition towards lipid membranes using surface plasmon resonance. Sci Rep. 2017 Mar 30;7:45647.

[2]. Cao P, et al. The improved efficacy of Sifuvirtide compared with Enfuvirtide might be related to its selectivity for the rigid biomembrane, as determined through surface plasmon resonance. PLoS One. 2017 Feb 16;12(2):e0171567.

[3]. Wang X, et al. IFN-λ Inhibits Drug-Resistant HIV Infection of Macrophages. Front Immunol. 2017 Mar 6;8:210.

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