Therapeutics & Vaccines

Better Living Through Peptides; Improved Approach to HIV Therapy

View U.S. Patent No. 8,642,536 in PDF format.

WARF: P08414US03

Inventors: Samuel Gellman, William Horne, Lisa Johnson

The Wisconsin Alumni Research Foundation (WARF) is seeking commercial partners interested in developing a newer pharmaceutical approach for stable peptide drugs and HIV therapeutics.

Overview

Human immunodeficiency virus (HIV) affects over 1.2 million Americans each year, with approximately 50,000 new infections per year. Current treatment includes HIV combination regimens comprising reverse transcriptase, protease and fusion inhibitors, among others.

While fusion inhibitors like enfuvirtide interrupt HIV binding to proteins on cell surfaces and prevent entry, such drugs are limited because they rely on constituent alpha amino acids, which deteriorate quickly in the face of proteolysis (protein degradation). As a result, the drug wears off and must be re-administered by injection under the skin. This leads to lowered compliance among patients and increases injection site reactions. Resistance to enfuvirtide is also an ongoing challenge as viruses adapt to repeating alpha peptide residues.

The Invention

UW–Madison researchers have developed a new method to fabricate combination alpha and beta peptides for the treatment of HIV and other disorders.

Because beta amino acids are non-natural, they are resistant to proteolysis. Substituting beta amino acids for some of the alpha amino acids in fusion inhibitors increases resistance to proteolysis with little effect on efficacy. The resulting α/β-peptide combination lasts longer and is less likely to cause drug resistance, leading to improved outcomes for HIV patients. This technique is also applicable to other peptide-based therapeutics.

Applications

- HIV/AIDS therapeutics
- Drug targeting of protein-protein interactions

Key Benefits

- Resists proteolysis
- Lasts longer than conventional fusion inhibitors
- May reduce injection site reactions
- Expected to increase patient compliance

Additional Information

For More Information About the Inventors

- Samuel Gellman

Related Technologies

- For more information about non-natural peptides and their applications in disease management, see WARF reference numbers:
- P140148US02
- P130310US02

Related Intellectual Property

- View Continuation Patent in PDF format.

Publications

- Johnson L. M. and Gellman S. H. 2013. α-Helix Mimicry with α/β-Peptides. In A. E. Keating (Ed.), Methods of Enzymology (pp. 407-429). San Diego, CA: Elsevier.
- Johnson et al. 2012. Enhancement of Alpha-Helix Mimicry by an Alpha/Beta-Peptide Foldamer via Incorporation of a Dense Ionic Side-Chain Array. J. Am. Chem. Soc. 134, 7317-7320.
- Horne W. S., Johnson L. M., Ketas T. J., Klasse P. J., Lu M., Moore J. P. and Gellman S. H. 2009. Structural and Biological Mimicry of Protein Surface Recognition by Alpha/Beta-Peptide Foldamers. PNAS. 106, 14751-14756.

Tech Fields

- Drug Discovery & Development : Drug production & design
- Therapeutics & Vaccines : Anti-infectives (antibacterials, antifungals, antivirals)

For current licensing status, please contact Rafael Diaz at rdiaz@warf.org or 608-960-9847