Enhanced HIV Treatments: Boronic Acid Group Improves Drug Potency

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View U.S. Patent No. 9,738,664 in PDF format.

The Wisconsin Alumni Research Foundation (WARF) is seeking commercial partners interested in developing protease inhibitors that are boronated to enhance their activity for the treatment of HIV infection and AIDS.

OVERVIEW

HIV infection, AIDS and AIDS-related complex (ARC) remain serious and ongoing diseases compromising the human immune system. Protease inhibitors, which interfere with the replication cycle of HIV, are widely used to treat these disorders.

However, current HIV protease inhibitors metabolize quickly and must be taken frequently, cause adverse side effects and lead to the development of resistance. New, more effective inhibitors are needed.

THE INVENTION

UW–Madison researchers have developed new, more potent protease inhibitors, particularly aspartyl protease inhibitors such as those that inhibit HIV protease.

To make the new inhibitors, certain aryl groups in existing inhibitors are replaced with aryl boronic acid groups, leading to significantly enhanced activity. The boronic acid group may be protected with a protecting group that can be removed \textit{in vivo} to provide an HIV protease inhibitor prodrug.

APPLICATIONS

- Treatment of AIDS and ARC
- Prevention or treatment of HIV infection

KEY BENEFITS

- Increases potency
- Does not require significant modification to existing protease inhibitors

THE WARF ADVANTAGE

WARF: A Leader in Technology Transfer Since 1925
Since its founding as a private, nonprofit affiliate of the University of Wisconsin–Madison, WARF has provided patent and licensing services to UW–Madison and worked with commercial partners to transform university research into products that benefit society. WARF intellectual property managers and licensing staff members are leaders in the field of university-based technology transfer. They are familiar with the intricacies of patenting, have worked with researchers in relevant disciplines, understand industries and markets, and have negotiated innovative licensing strategies to meet the individual needs of business clients.

The University of Wisconsin and WARF – A Single Location to Accelerate Translational Development of New Drugs
UW–Madison has the integrative capabilities to complete many key components of the drug development cycle, from discovery through clinical trials. As one of the top research universities in the world, and one of the two best-funded universities for research in the country, UW–Madison offers state-of-the-art facilities unmatched by most public universities.

These include the Small Molecule Screening Facility at the UW Comprehensive Cancer Center; the Zeeh Pharmaceutical Experiment Station, which provides consulting and laboratory services for developing formulations and studying solubility, stability and more; the Waisman Clinical Biomanufacturing Facility; the Wisconsin Institute for Medical Research, which provides UW–Madison with a complete translational research facility; and the innovative, interdisciplinary Wisconsin Institutes for Discovery, home to the private, nonprofit Morgridge Institute for Research and its public twin, WID, part of the university's graduate school. The highly qualified experts at these facilities are ready to work with you to create a library of candidates for drug development.
• Lowers effective dose, which should minimize side effects
• Provides new inhibitors to combat resistance
• May have a longer *in vivo* half-life

**STAGE OF DEVELOPMENT**

The inventors have experimentally demonstrated a 50-fold increase in potency of an existing drug *in vitro*.

**ADDITIONAL INFORMATION**

**Related Technologies**
For information about the use of boronic acid to enhance drug delivery, see WARF reference number P110315US02. WARF reference number P08414US03 describes enhancements to existing HIV drugs utilizing alpha/beta peptide combinations.

**Publications**


**Tech Fields**
Pharmaceuticals & Vitamin D - Antivirals

**CONTACT INFORMATION**

For current licensing status, please contact Joshua Carson at icarson@warf.org or 608-960-9844.