Therapeutics & Vaccines

Enhanced HIV Treatments: Boronic Acid Group Improves Drug Potency

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WARF: P140376US02

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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 *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
- 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

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

- Andersen K. A., Smith T. P., Lomax J. E. and Raines R. T. 2016. Boronic Acid for the Traceless Delivery of Proteins into Cells. ACS Chem. Biol. 11, 319-323.
- Windsor I. W. and Raines R. T. 2015. Fluorogenic Assay for Inhibitors of HIV-1 Protease with Sub-picomolar Affinity. Sci. Rep. 5, 11286.
- Ellis G. A., Palte M. J. and Raines R. T. 2012. Boronate-Mediated Biologic Delivery. J. Am. Chem. Soc. 134, 3631-3634.

Tech Fields

- Therapeutics & Vaccines : Anti-infectives (antibacterials, antifungals, antivirals)

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