

# Novel Macrocyclic HIV-1 Protease Inhibitors to Combat Multidrug-Resistant HIV-1 Variants

**New, tightly-binding protease inhibitors demonstrate superior antiviral potency and improved drug resistance against multidrug-resistant HIV variants compared to existing treatment options.**

According to the Centers for Disease Control, an estimated 1.2 million people in the United States live with human immunodeficiency virus (HIV) and 1 in 7 are unaware that they have it. HIV is a virus that weakens the immune system by destroying important cells that fight disease and infection. There is currently no cure for HIV, but it can be controlled. If HIV is not treated, the virus can lead to acquired immunodeficiency syndrome (AIDS). AIDS usually occurs in the last stage of HIV when the development of cancer and other diseases take advantage of the weakened immune system. Antiretroviral therapy (ART) is the most effective treatment for HIV. Unfortunately, drug side effects and the emergence of drug resistance have reduced the effectiveness of ART.

Researchers at Purdue University have developed new compounds that have been shown to maintain potency against multidrug-resistant HIV variants. These compounds are novel protease inhibitors, but unlike current protease inhibitor drugs, these compounds bind to the protease backbone very tightly, exhibiting improved drug resistance. Many inhibitors in the current series have shown exceedingly potent enzyme inhibitory and antiviral potency. This class of inhibitors may exhibit much improved pharmacological properties compared to darunavir and other FDA approved inhibitors.

## **Advantages:**

- Increased antiviral potency
- Potent enzyme inhibitor
- Improved pharmacological properties
- Maintains potency against multidrug-resistant HIV variants

**Technology ID**  
2017-GHOS-67965

**Category**  
Pharmaceuticals/Small Molecule  
Therapeutics

**Authors**  
Margherita Brindisi  
Sean Fyvie  
Arun K Ghosh  
Hiroaki Mitsuya

**Further information**  
Joe Kasper  
[JKKasper@prf.org](mailto:JKKasper@prf.org)

Nathan Smith  
[nesmith@prf.org](mailto:nesmith@prf.org)

**[View online](#)**



#### Potential Applications:

-Pharmaceuticals

-Treatment option for HIV/AIDS and specifically for multidrug-resistant HIV variants

-Medical/Health

**TRL: 4**

#### Intellectual Property:

Provisional-Patent, 2017-06-30, United States | PCT-Patent, 2018-06-29, WO  
| NATL-Patent, 2019-12-30, United States

**Keywords:** HIV, AIDS treatment, novel protease inhibitors, multidrug-resistant HIV, ART alternative, antiviral potency, enzyme inhibitor, improved pharmacological properties, drug resistance, pharmaceuticals