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Potent Dimerization Inhibitors for HIV-1 Protease

Novel protease inhibitors offer superior broad-spectrum activity against multidrug-resistant HIV variants with decreased adverse side effects and improved bioavailability, representing a significant advance in antiretroviral therapy.

Advances in the treatment of HIV/AIDS with HIV-1 protease inhibitors in combination with reverse transcriptase inhibitors, known as highly active antiretroviral therapy (HAART), has resulted in improved life expectancy and significantly reduced HIV/AIDS-related mortality in the developed world. Unfortunately, HAART suffers from adverse drug side effects, poor oral bioavailability, and drug interactions. Also, drug-resistant HIV-1 variants have begun to emerge. Development of antiretroviral therapy with broad-spectrum activity and minimal side effects is needed for current and future HIV/AIDS treatment.

Purdue University researchers have created Darunavir, brand name Prezista, a drug used to treat HIV infection. Prezista was developed by the pharmaceutical company Tibotec and is an OARAC recommended treatment option for treatment-naive and treatment-experienced adults and adolescents. It is also used in patients with drug-resistant HIV.

The researchers have also developed a new generation of protease inhibitors that are exceedingly potent and maintain potency against multidrug-resistant HIV-1 variants. Dr. Ghosh's laboratory has designed, synthesized, and evaluated several different series of compounds. These novel protease inhibitors show potent enzyme inhibitory, antiviral activity, and exceptional broad spectrum activity against highly cross-resistant mutant.

Advantages:

-Decreased adverse side effects

-Effective against multidrug-resistant HIV-1 variants

Technology ID

64554

Category

Pharmaceuticals/Small Molecule Therapeutics

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-Improved bioavailability

-Superior pharmacokinetic properties

Potential Applications:

-Medical/Healthcare

-Pharmaceuticals

-Drug Development

-HIV/AIDS Treatment

TRL: 2

Intellectual Property:

Provisional-Patent, 2006-11-21, United States | Provisional-Patent, 2007-06-22, United States | PCT-Patent, 2007-11-20, WO | NATL-Patent, 2007-11-20, European Patent | DIV-Patent, 2007-11-20, European Patent | NATL-Patent, 2010-01-12, United States

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