Discovery of Highly Potent HIV-1 Protease Inhibitors with Crown-THF Ligands

A new class of highly potent protease inhibitors offers an improved treatment option for multidrug-resistant HIV-1, outperforming a leading FDA-approved drug by 100 times in cell culture.

There is a need to develop new treatments for patients living with HIV-1, as HIV-1 rapidly acquires resistance to treatment options. Researchers at Purdue University have developed a new class of HIV-1 protease inhibitors. Compared to darunavir, a leading FDA approved drug, these compounds were 100 times more potent in cell culture. Additionally, the compounds have improved metabolic stability over previous protease inhibitors. The primary application for these compounds is for the treatment of multidrug-resistant HIV-1.

Advantages:

- -Improved potency against HIV-1
- -Improved pharmacokinetic properties

Potential Applications:

-HIV/AIDS treatment

Publication: Design, Synthesis, and X-ray Studies of Potent HIV-1 Protease Inhibitors with P2-Carboxamide Functionalities

ACS Med. Chem. Lett. 2020, 11, 1965â^'1972

https://doi.org/10.1021/acsmedchemlett.9b00670

TRL: 3

Intellectual Property:

Technology ID

2019-GHOS-68467

Category

Pharmaceuticals/Small Molecule Therapeutics

Authors

Arun K Ghosh Hiroaki Mitsuya

Further information

Joe Kasper JRKasper@prf.org

Nathan Smith nesmith@prf.org

View online



Provisional-Patent, 2019-01-15, United States | PCT-Gov. Funding, 2020-01-15, WO | NATL-Patent, 2020-01-15, Europe | NATL-Patent, 2021-07-15, United States | NATL-Patent, N/A, Europe

Keywords: HIV-1 protease inhibitors, multidrug-resistant HIV-1 treatment, improved potency, improved pharmacokinetic properties, HIV/AIDS treatment, cell culture potency, metabolic stability, antiretroviral, darunavir comparison, P2-Carboxamide functionalities