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A Class of Exceptionally Potent HIV-1 Protease Inhibitors Containing Novel Bridged Tp-THF and Other Variants as P2-ligands

A novel class of exceptionally potent HIV-1 protease inhibitors offers superior efficacy against both wild-type and multidrug resistant strains, potentially reducing required dosage for HIV therapy.

As reported by the World Health Organization (WHO), globally, there were 35.3 million people living with HIV/AIDS in 2012, a number that has been growing due to the increased availability of antiviral treatments. After peaking from 1997 to 2011, the incidence rate has declined nearly 30 percent from 3.5 million to 2.5 million, and within the last 10 years, the availability of antiretroviral therapy (ART), the combination of at least three antiretroviral (ARV) drugs, within low- and middle-income regions has increased nearly 20-fold. Despite these advances, there is still great need for novel, more powerful treatments to fight new, drug resistant strains of the disease.

Researchers at Purdue University have designed, synthesized, and evaluated a series of exceptionally potent HIV-1 protease inhibitors for the treatment of AIDS and HIV infections. These compounds represent a novel new class of HIV-1 protease inhibitors that include Tp-THF and other substituted ligands in combination with P1, P1', and P2' ligands. These compounds have demonstrated potency against wild-type viruses as well as multidrug resistant strains of HIV-1. Certain compounds exhibit nearly 100 times more potency than the current, standard HIV therapy.

Advantages:

-Exceptionally potent against wild-type and multidrug resistant strains of HIV-1

-Potency could reduce dosage requirements while maintaining efficacy

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Category
Pharmaceuticals/Small Molecule Therapeutics

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Potential Applications:

-HIV therapy

TRL: 3

Intellectual Property:

Provisional-Patent, 2014-05-16, United States | PCT-Patent, 2015-05-15, WO | NATL-Patent, 2016-11-15, Japan | NATL-Patent, 2016-11-16, United States | EP-Patent, 2016-12-14, Switzerland | EP-Patent, 2016-12-14, Germany | EP-Patent, 2016-12-14, Belgium | NATL-Patent, 2016-12-14, European Patent | EP-Patent, 2016-12-14, Denmark | EP-Patent, 2016-12-14, France | EP-Patent, 2016-12-14, United Kingdom | EP-Patent, 2016-12-14, Ireland

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