



PROTEASE INHIBITORS IN DEVELOPMENT

NOTE: several fact sheets describe drugs that are being tested against HIV:

- Fact sheet 410: nucleoside analog reverse transcriptase inhibitors (nukes)
- Fact sheet 430: non-nucleoside analog reverse transcriptase inhibitors (NNRTIs or non-nukes)
- Fact sheet 460: attachment and fusion inhibitors
- Fact sheet 470: new classes of antiretroviral (ARV) drugs
- Fact sheet 480: immune therapies

These drugs have not been approved by the Food and Drug Administration (FDA) for use against HIV.

PROTEASE INHIBITORS

These drugs block the protease enzyme. When new viral particles break off from an infected cell, protease cuts long protein strands into the parts needed to assemble a mature virus. When protease is blocked, the new viral particles cannot mature.

PROTEASE INHIBITORS IN DEVELOPMENT

Several firms are trying to develop a new type of protease inhibitor that will not be cross-resistant with existing drugs. Another goal is to not require boosting by ritonavir.

CTP-518 by Concert Pharmaceuticals is a new molecule that replaces some hydrogen molecules of atazanavir with deuterium, a related substance. Deuterium is metabolized more slowly than hydrogen. CTP-518 may maintain beneficial blood levels in humans without a booster.

PPL-100 (MK8122) was developed by Ambrilla/Procyon Biopharma. It has been put on hold. Related pro-drugs and formulations are being studied.

SPI256 by Sequoia Pharmaceuticals is in Phase I trials.

PIs NO LONGER IN DEVELOPMENT

The following drugs are no longer being developed for use against HIV:

Brecanavir (GW640385) by GlaxoSmithKline and Vertex

L-756,423 by Merck

Mozenavir (DMP450) by Triangle Pharmaceuticals

RO033-4649 by Roche

Revised November 9, 2009