



# NON-NUCLEOSIDE REVERSE TRANSCRIPTASE 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 440: protease inhibitors
- Fact sheet 460: attachment and fusion inhibitors
- Fact sheet 470: new classes of antiretroviral drugs
- Fact sheet 480: immune therapies

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

## NON-NUCLEOSIDE REVERSE TRANSCRIPTASE INHIBITORS

These drugs stop HIV from multiplying by blocking the reverse transcriptase enzyme. This enzyme changes HIV's genetic material (RNA) into the form of DNA. This step has to occur before HIV's genetic code gets combined with an infected cell's own genetic codes. Non-nucleoside reverse transcriptase inhibitors, called NNRTIs or non-nukes, physically prevent the reverse transcriptase enzyme from working.

## NNRTIs IN DEVELOPMENT

**BILR 355 BS** by Boehringer Ingelheim is being developed against wild type virus and virus already resistant to NNRTIs. A Phase II study is in progress.

**(+)-Calanolide A** by Sarawak MediChem Pharmaceuticals was derived from a rain forest plant. There are no recent reports on its status.

**GSK 2248761 (was IDX899)** by Idenix Pharma was being studied in Phase II studies. It is being developed by ViiV Healthcare. In February 2011 the FDA placed a hold on its development.

**Lersivirine (UK 453,061)** by ViiV Healthcare is being studied in Phase II. It appears to be active against resistant strains of HIV, including HIV resistant to efavirenz.

**MIV-150** by Medivir showed good results in the laboratory against HIV that is resistant to other NNRTIs. It has been licensed for use as part of a vaginal microbicide (see fact sheet 157.)

**RDEA806** by Ardea has completed a Phase II trial. The drug is active against HIV that is resistant to efavirenz. Viral resistance does not develop easily. There have been no reports on its status since 2008.

**Rilpivirine (Edurant, TMC278)** by Tibotec is active against some strains of HIV that are resistant to other NNRTIs. It is being developed as a once-daily medication. It was approved by the FDA in 2011. See fact sheet 435. A slow-release formulation is being studied.

## NNRTIs NO LONGER IN DEVELOPMENT

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

**Ateviridine** by Upjohn

**Capravirine** by Pfizer / Agouron Pharmaceuticals

**Dapivirine (TMC120)** by Tibotec was abandoned due to low blood levels. However, it may still be useful as a microbicide.

**DPC083** by Bristol-Myers Squibb

**Emivirine (Coactinon)** by Triangle Pharmaceuticals

**GW5634, GW678248** by GlaxoSmithKline

**Loviride** by Janssen Pharmaceuticals

**HBV-097** by Hoechst-Bayer

**PNU142721** by Pharmacia & Upjohn

**TMC120** by Tibotec

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