

Reverse Transcriptase Inhibitors:

Nucleoside Analogues Zidovudine (AZT, ZDV) Didanosine (ddI) Zalcitabine (ddC) Stavudine (d4T) Lamivudine (3TC) Abacavir (ABC) Emtricitabine (FTC)

Non-nucleoside analogues: Nevirapine (NVP) delavirdine (DLV) Efavirenz (EFV) etravirine (ETV) rilpivirine (RPV)

Nucleotide analogue

Tenofovir (TFV)

Protease Inhibitors:

saquinavir (SQV)
ritonavir (RTV)
indinavir (IDV)
nelfinavir (NFV)
amprenavir (APV)
lopinavir (LPV)
fosamprenavir (FPV)
atazanavir (ATV)
tipranavir (TPV)
darunavir (DRV)
dolutegravir (DTG)

Reverse Transcriptase Inhibitors:

Integrase Inhibitors	Fusion Inhibitor:	Entry Inhibitors:
raltegravir (RAL)	fuzon (T20)	maraviroc (MVC)
elvitegravir (ELV)		

NRTIs Mechanism of Action:

Nucleoside Analogs (like AZT): Analog of thymidine, cytosine or guanine

Triphosphorylated inside lymphocytes to active compound.

Incorporate into growing HIV viral DNA strand by reverse transcriptase.

Nucleotide Analogs: tenofovir (TDF)

does NOT need to be tri-phosphorylated only di-phosphorylated to activate compound.

After incorporation of NRTIs, viral DNA synthesis will be terminated.

Non-nucleoside Reverse Transcriptase Inhibitors:

Agents directly bind to reverse transcriptase to inhibit transcription.

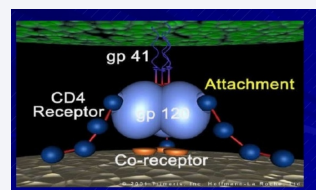
NNRTIs do not require phosphorylation to be active.

Protease Inhibitors (PIs) MOA:

Protease enzyme cleaves HIV precursor proteins into active proteins that are needed to assemble a new, mature HIV virus.

PIs bind to protease preventing the cleavage and inhibiting the assembly of new HIV viruses.

Fusion Inhibitor:



Chemokine Receptor Antagonists:

Maraviroc (Selzentry)

CCR5 or CXCR4 receptors on cell surface

Virus will bind to one of the 2 receptors (some pt virus will bind to either receptors)

Maraviroc blocks viral entry at CCR5

Dosed 300mg BID= 150mg BID with P450 inhibitors. = 600mg BID with P450 inducers

Integrase Inhibitors

Raltegravir (Isentress)

Dosed = 400mg BID (1tab BID)

No induction or inhibition on CYP450 enzymes or Pgp

Metabolized by UGT1A1 (glucuronidation) = Only affected by drugs that inhibit or induce UGTs (ie. rifampin)