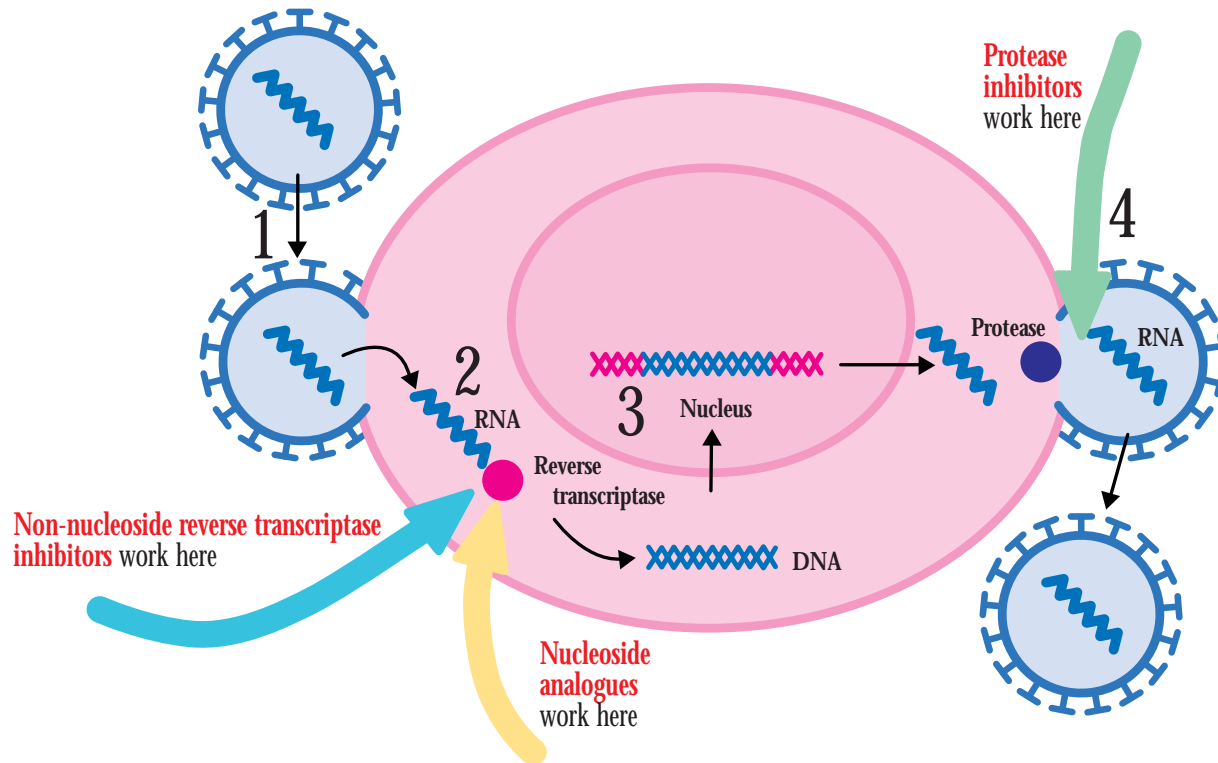


Antiretroviral Agents for HIV



Stages of HIV reproduction

- 1 HIV enters a CD4+ cell.
- 2 HIV is a retrovirus, meaning that its genetic information is stored on single-stranded RNA instead of the double-stranded DNA found in most organisms. To replicate, HIV uses an enzyme known as reverse transcriptase to convert its RNA into DNA.
- 3 HIV DNA enters the nucleus of the CD4+ cell and inserts itself into the cell's DNA. HIV DNA then instructs the cell to make many copies of the original virus.
- 4 New virus particles are assembled and leave the cell, ready to infect other CD4+ cells.

Non-nucleoside reverse transcriptase inhibitors

The newest class of antiretroviral agents, non-nucleoside reverse transcriptase inhibitors (NNRTIs) stop HIV production by binding directly onto reverse transcriptase and preventing the conversion of RNA to DNA. These drugs are called "non-nucleoside" inhibitors because even though they work at the same stage as nucleoside analogues, they act in a completely different way.

Delavirdine mesylate
Efavirenz
Nevirapine

Nucleoside analogues

The first effective class of antiretroviral drugs was the nucleoside analogues. They act by incorporating themselves into the DNA of the virus, thereby stopping the building process. The resulting DNA is incomplete and cannot create new virus.

Abacavir
Didanosine - also known as ddI
Lamivudine - also known as 3TC
Lamivudine / Zidovudine
Stavudine - also known as d4T
Zalcitabine - also known as ddC
Zidovudine - also known as ZDV or AZT

Protease inhibitors

















Protease inhibitors work at the last stage of the virus reproduction cycle. They prevent HIV from being successfully assembled and released from the infected CD4+ cell.

Amprenavir
Indinavir
Nelfinavir mesylate
Ritonavir
Saquinavir Hard Gel Capsule
Saquinavir Soft Gel Capsule

Note: This information is provided for background only.

Daily dosing of available antiretroviral agents*

Tablets and capsules shown are not actual size. Please see Full Prescribing Information for VIRAMUNE® (nevirapine).

CLASS/DRUG	USUAL ADULT DAILY DOSING†	SPECIAL CONSIDERATIONS
NON-NUCLEOSIDE REVERSE TRANSCRIPTASE INHIBITORS		
Delavirdine mesylate	4 x 100 mg tablets 3 times a day 	Should be taken at least 1 hour apart from didanosine and from antacids.
Efavirenz	3 x 200 mg capsule Once a day 	High fat meals should be avoided. Central nervous system side effects have been reported; bedtime administration may be useful.
Nevirapine	1 x 200 mg tablet 2 times a day 	Lead-in dosing for first 14 days of therapy: 1 x 200 mg tablet once a day.
NUCLEOSIDE ANALOGUES		
Abacavir	1 x 300 mg tablet 2 times a day 	Should be discontinued if signs or symptoms of hypersensitivity reaction develop. Do not restart after a hypersensitivity reaction.
Didanosine-also known as ddI	2 x 100 mg tablets 2 times a day 	Take on empty stomach. Alcohol may exacerbate toxicity. For patients weighing <60 kg, dose is 125 mg twice a day.
Lamivudine-also known as 3TC	1 x 150 mg tablet 2 times a day 	
Lamivudine/Zidovudine	1 x 150 mg/300 mg tablet 2 times a day 	Should not be prescribed for patients requiring dosage adjustments.
Stavudine-also known as d4T	1 x 40 mg capsule 2 times a day 	For patients weighing <60 kg, dose is 30 mg twice a day.
Zalcitabine-also known as ddC	1 x 0.75 mg tablet 3 times a day 	Should not be used concomitantly with didanosine. Do not take simultaneously with magnesium/aluminum containing antacids.
Zidovudine-also known as ZDV or AZT	2 x 100 mg capsules 3 times a day  1 x 300 mg tablet 2 times a day 	A broad range of dosage regimens have been commonly used worldwide, e.g. 500 or 600 mg/day administered as 2-5 divided doses.
PROTEASE INHIBITORS		
Amprenavir	8 x 150 mg capsules 2 times a day 	Can be taken with or without food but not with a high fat meal. Dose adjustment required for patients weighing <50 kg.
Indinavir	2 x 400 mg capsules 3 times a day 	Take on empty stomach 1 hour before or 2 hours after a meal. Drink at least 1.5 litres of liquid daily.
Nelfinavir mesylate	3 x 250 mg tablets 3 times a day 	Take with a meal or light snack.
Ritonavir	6 x 100 mg capsules 2 times a day 	Should be refrigerated. Take with meals. Dose escalate over 14 days: 300 mg bid (days 1-2), 400 mg bid (days 3-5), 500 mg bid (days 6-13), 600 mg bid (days 14+).
Saquinavir Soft Gel Capsule	6 x 200 mg soft gelatin capsules 3 times a day 	Take within 2 hours after a full meal. Saquinavir taken without food may have less bioavailability. A hard gel formulation of saquinavir is available.

*Based on manufacturer's prescribing information. †Based on adults weighing ≥ 60 kg.

Please Note: Not all of these drugs are available in all countries

Supported by an educational grant from Boehringer Ingelheim International GmbH.

Consultant: Dr R Murphy, Northwestern University, Chicago, USA