

LVA 163.114
Medizinische Chemie
VO (2.0)
M. Mihovilovich und U. Jordis

Antivirals

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HIV und AIDS

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Funktionen der HIV-Genteile

Table 1. HIV-gene functions

Genes	Functions
Structural	
<i>gag</i>	matrix, virion maturation and stability, capsid, virus particle maturation and release
<i>pol</i>	protease, reverse transcriptase, integrase
<i>env</i>	external envelope, receptor binding, virion infectivity
Regulatory	
<i>tat</i>	transcription transactivator of gene expression
<i>rev</i>	regulator of protein expression
<i>tev/tnv</i>	undefined
<i>nef</i>	negative factor, virus propagation
<i>vpr</i>	early regulatory protein
Accessory	
<i>vif</i>	cell-free virus transmission, <i>env</i> processing
<i>vpu</i>	virus maturation/release

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Virus - Lebenszyklus

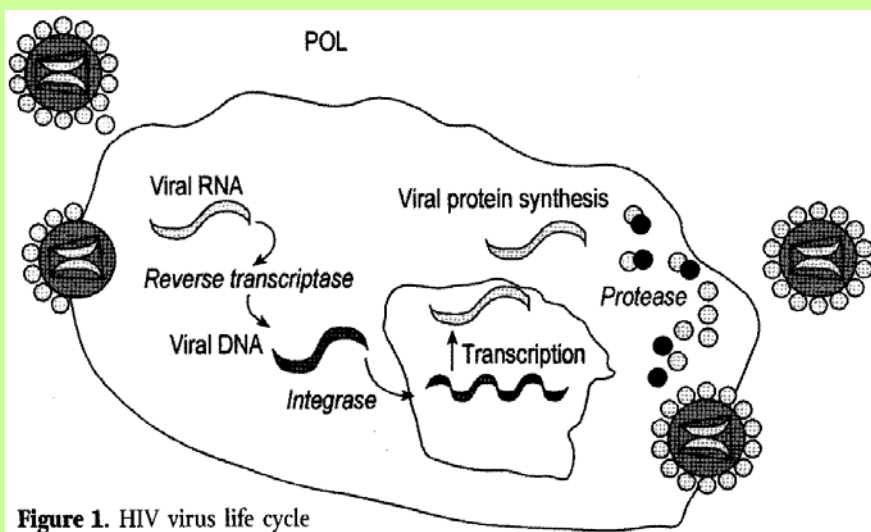


Figure 1. HIV virus life cycle

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Übersicht über die Medikamente der anti/HIV Therapie

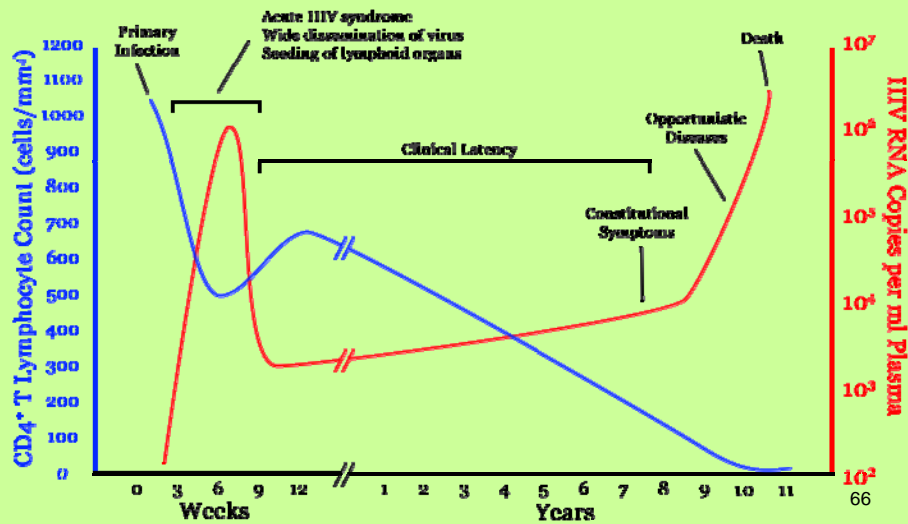
- <http://www.lhiving.com/hiv/27.shtml>
- [Wikipedia](#)

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- <http://www.microbiologybytes.com/tutorials/>

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HIV copies and CD4 counts over course of HIV infection



Assignment for connecting neurons....

Familiarize yourself with the following concepts:

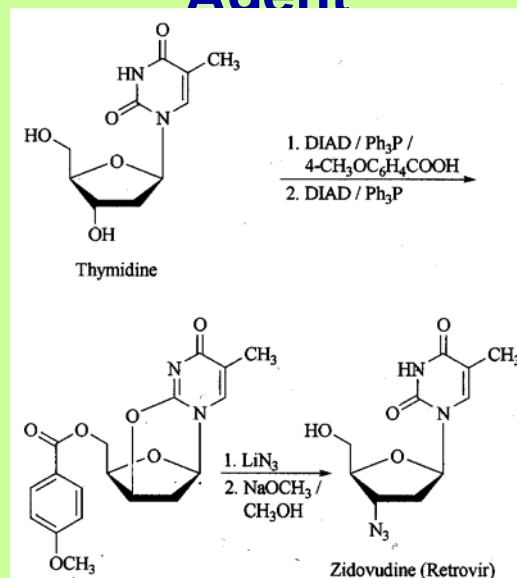
- [Western blot](#)
- ELISA
- PCR

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Nucleoside Analogs

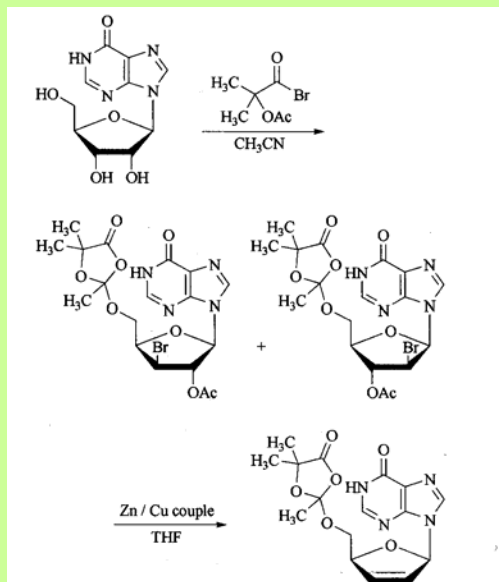
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Zidovudine—the first Antiviral Agent



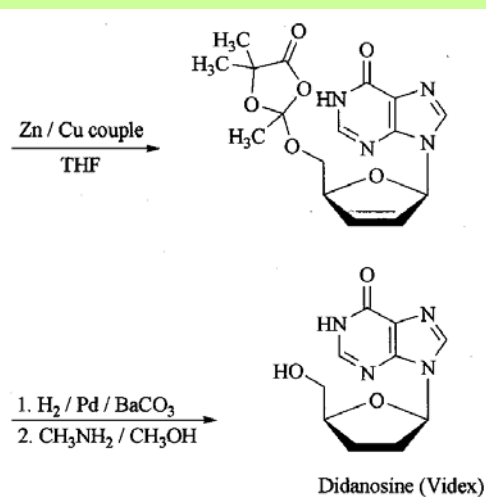
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Didanosine



70

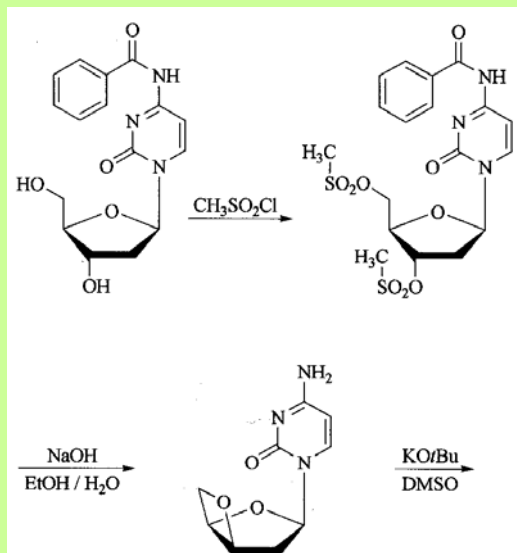
Didanosine



Trade name: Videx (Bristol-Myers Squibb).

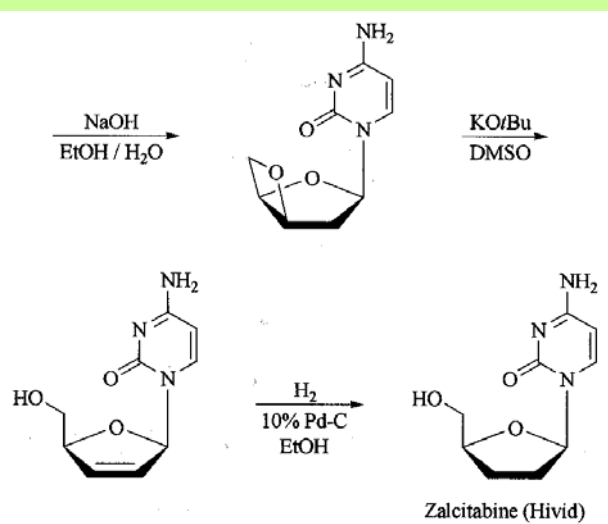
71

Zalcitabine



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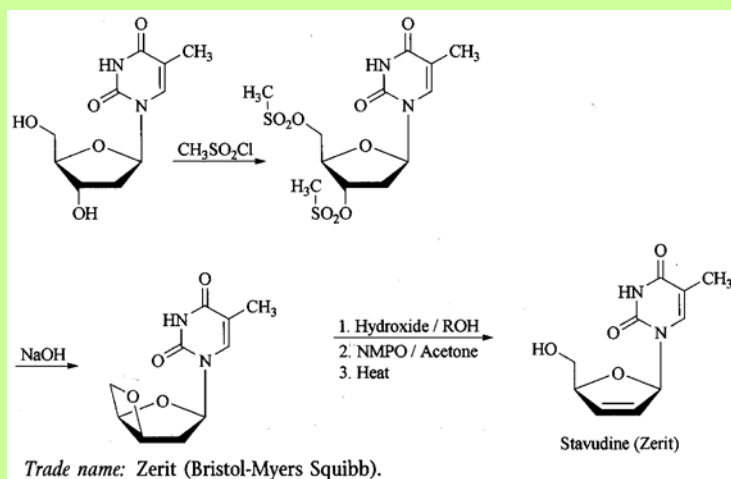
Zalcitabine



Trade name: Hivid (Hoffmann-LaRoche).

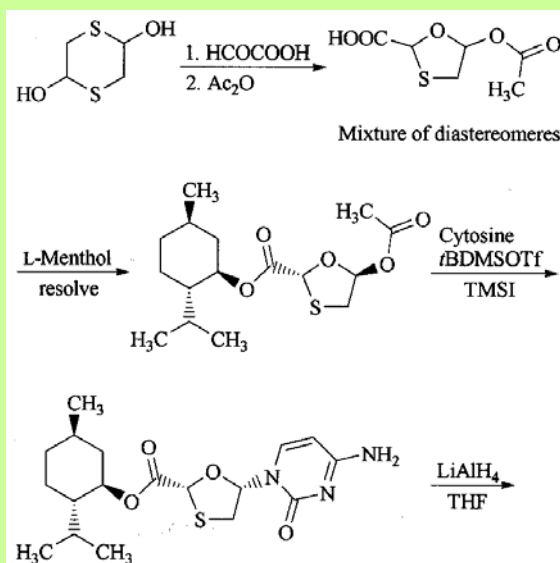
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Stavudine



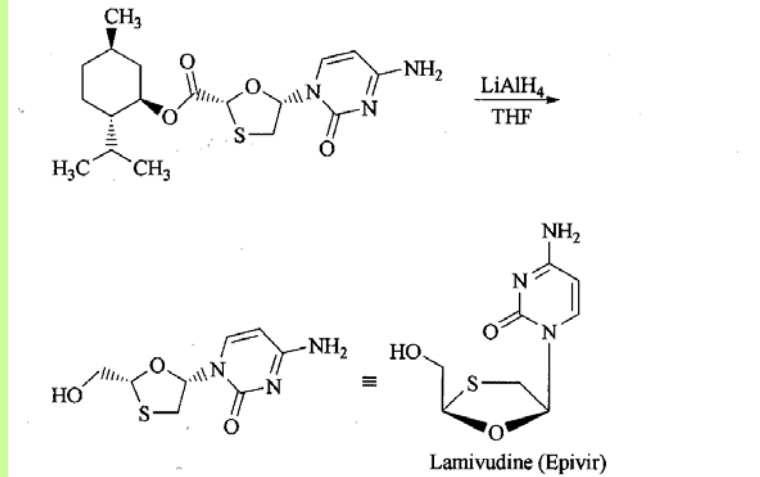
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Lamivudine



75

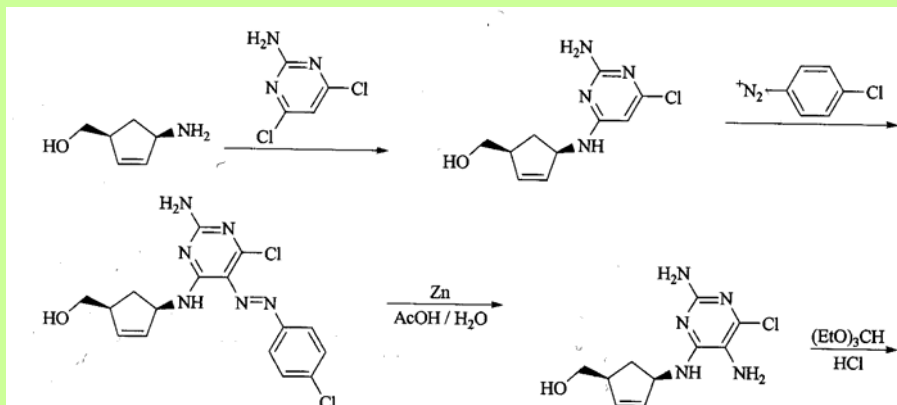
Lamivudine



Trade names: Epivir (BioChem Pharma, Glaxo Wellcome), Combivir (lamivudine and zidovudine combination tablets, Glaxo Wellcome).

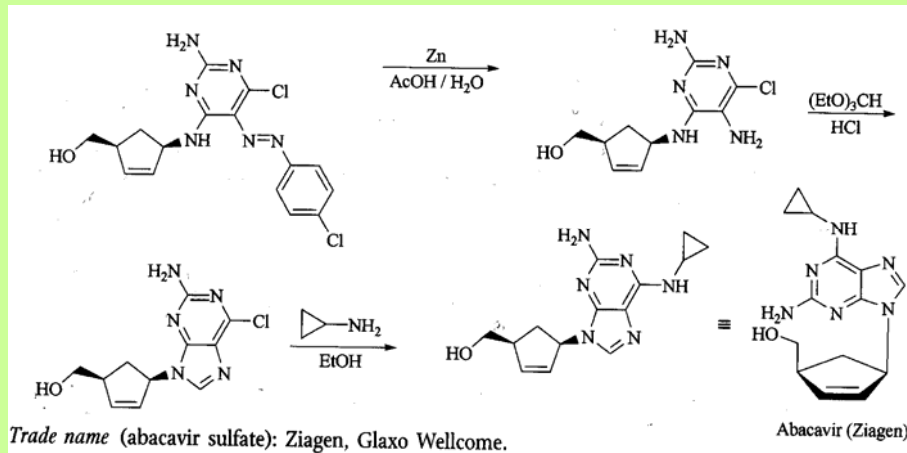
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Abacavir



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Abacavir

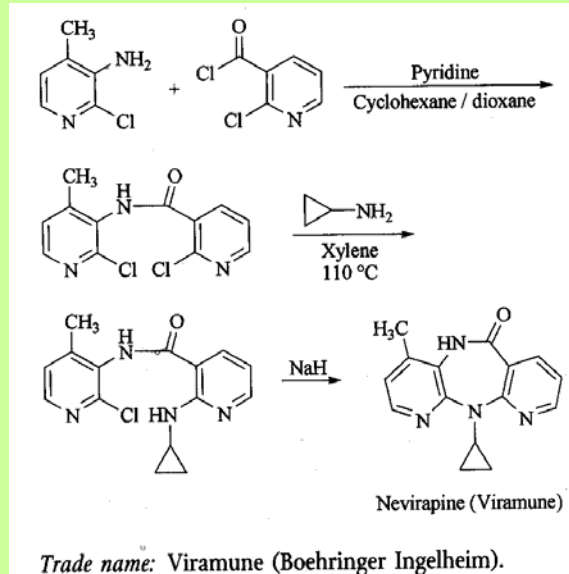


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Non-nucleoside analogs

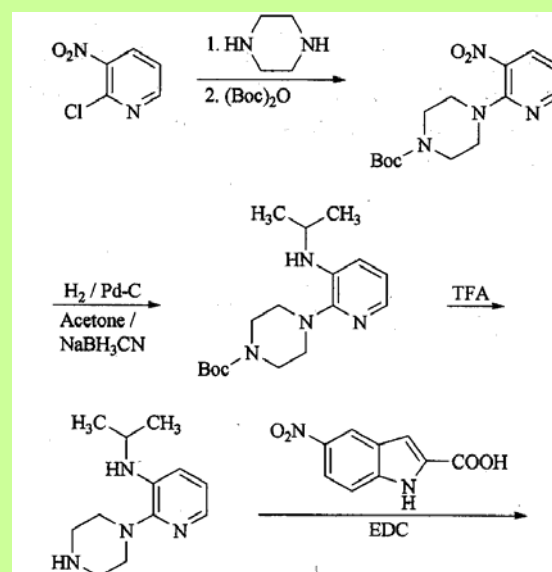
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Nevirapine



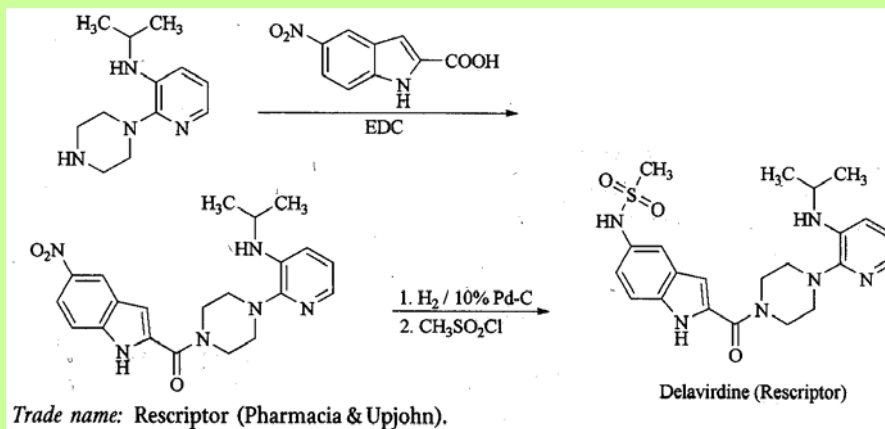
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Delavirdine



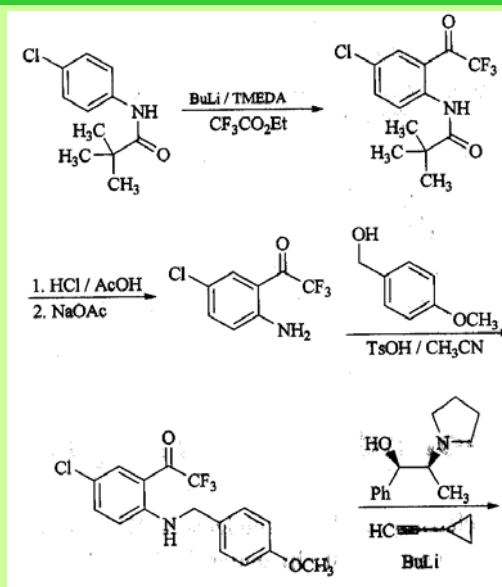
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Delavirdine



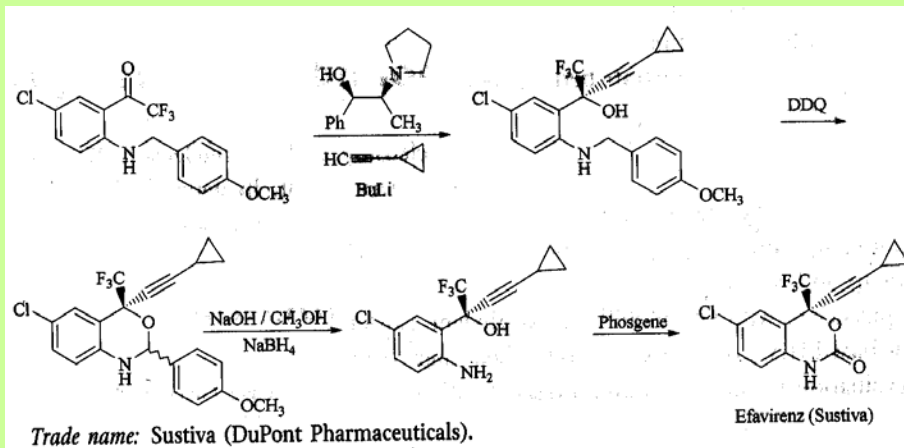
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Efavirenz



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Efavirenz



84

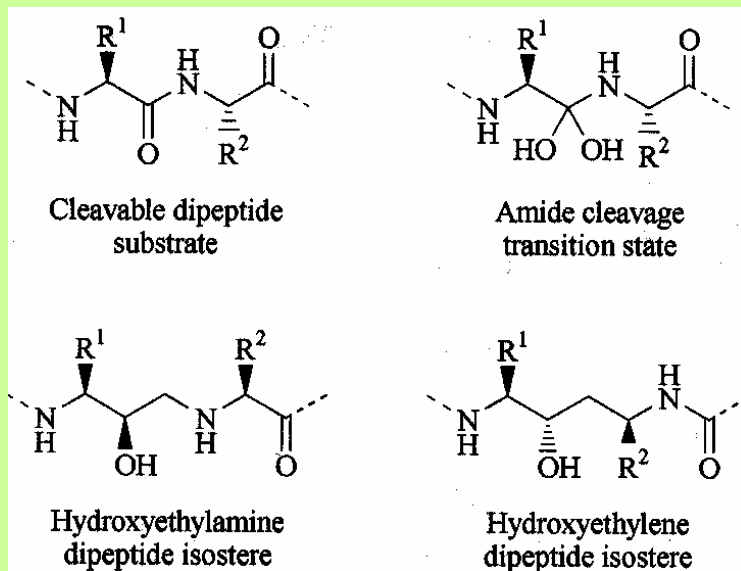
Protease-Inhibitors

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Enzyme Transition State Stabilization

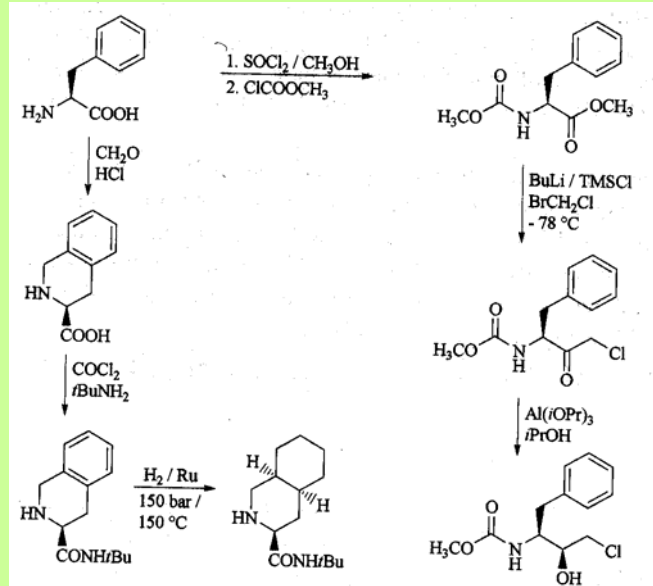
- <http://tutor.lscf.ucsb.edu/instdev/sears/biochemistry/tw-enz/enzyme-transition-flash.htm>

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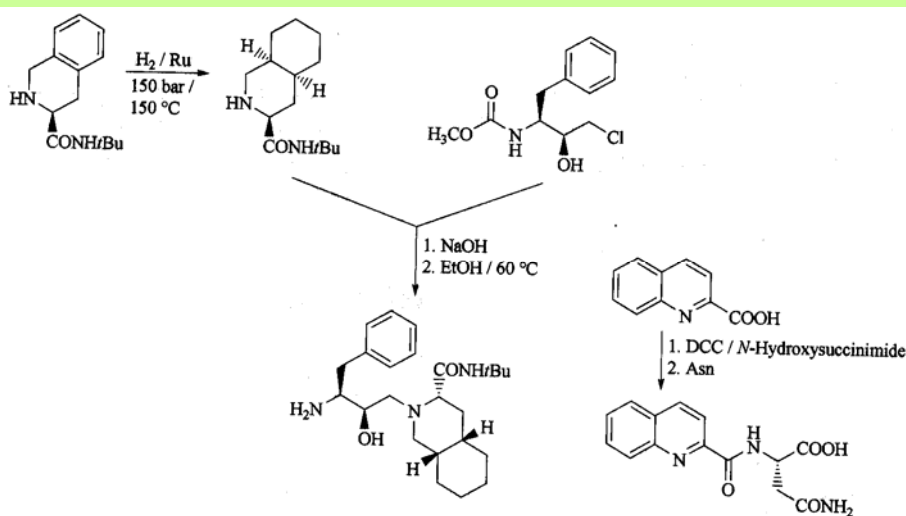
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Saquinavir



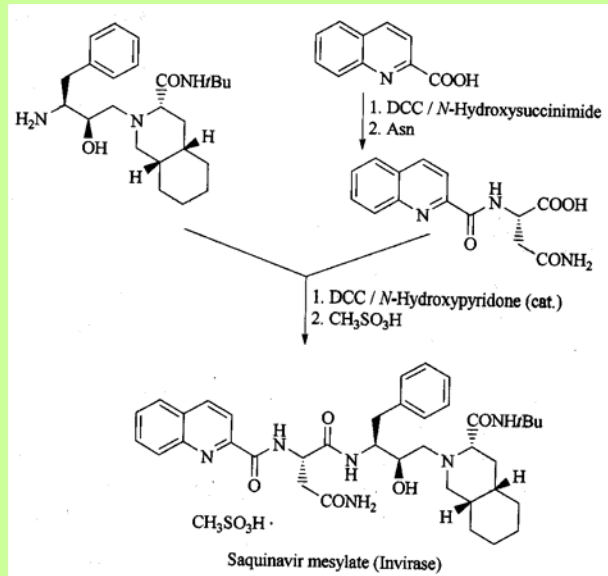
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Saquinavir



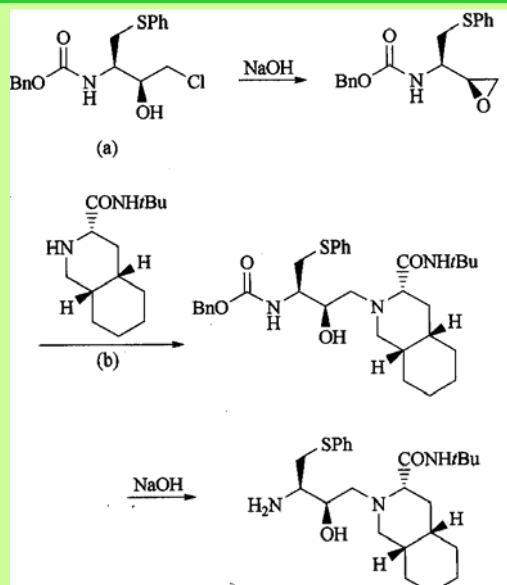
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Saquinavir



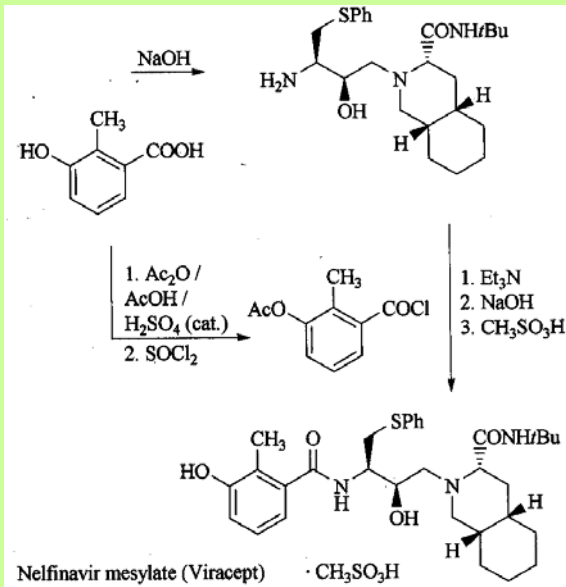
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Nelfinavir



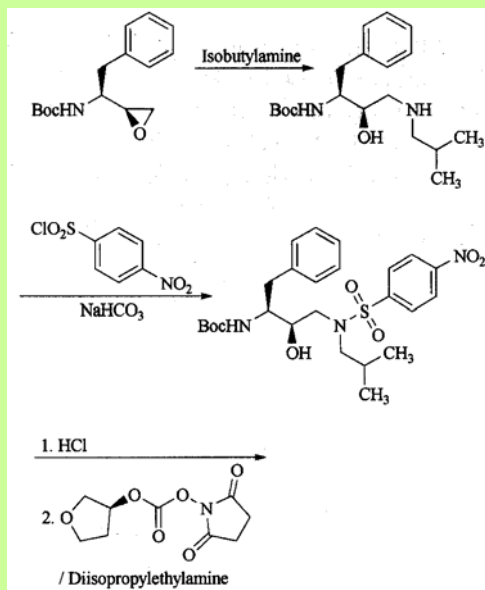
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Nelfinavir



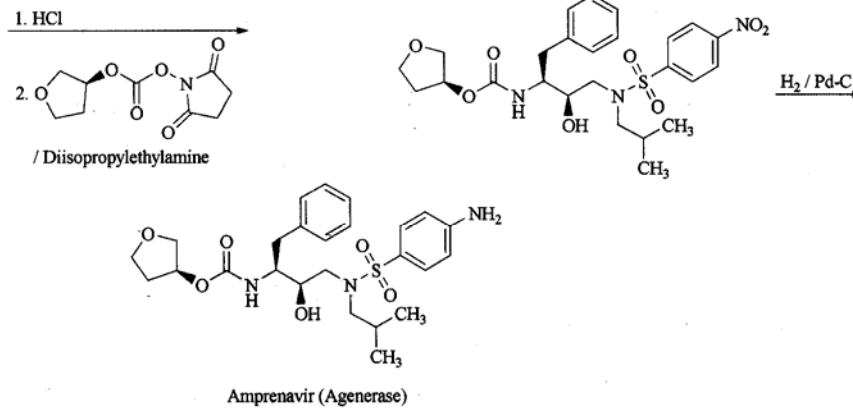
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Amprenavir



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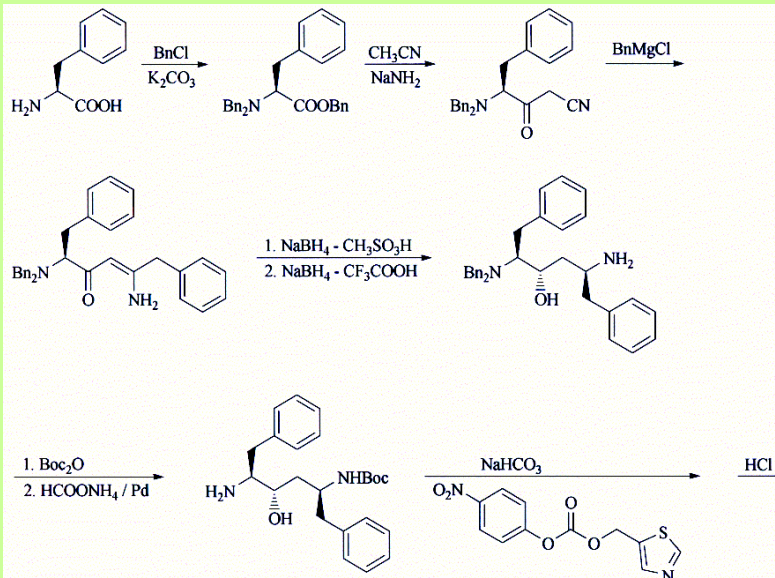
Amprenavir



Trade name: Agenerase (Vertex Pharmaceuticals, USA; Glaxo Wellcome, UK; Kissei, Japan).

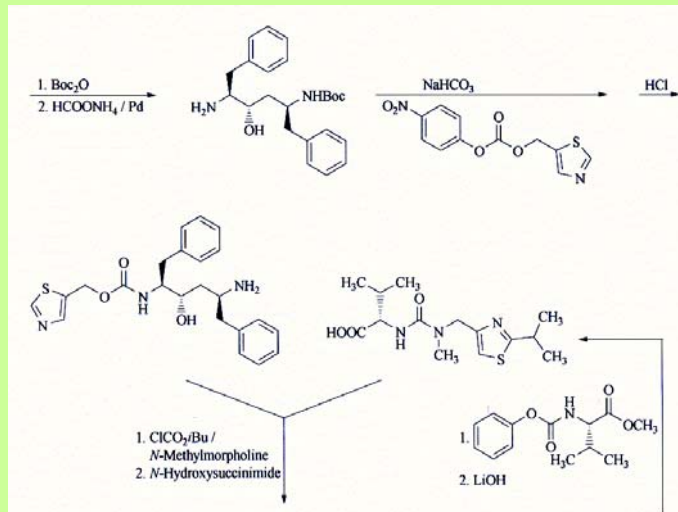
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Ritonavir



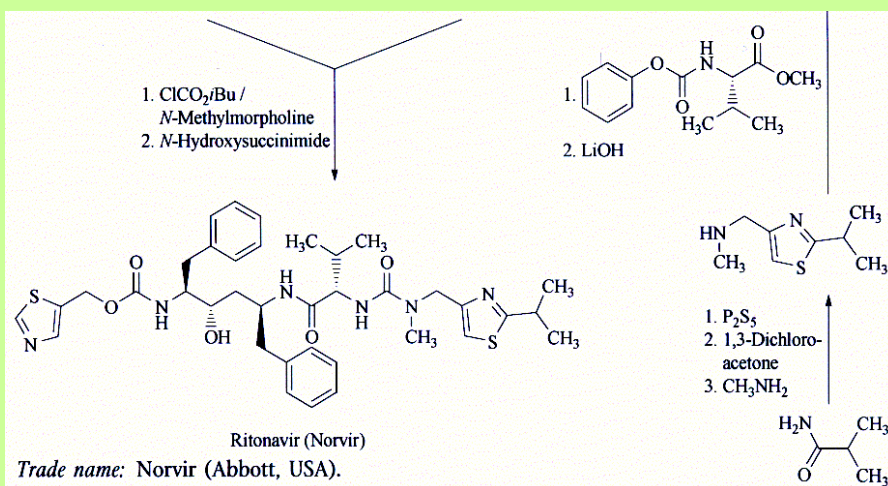
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Ritonavir



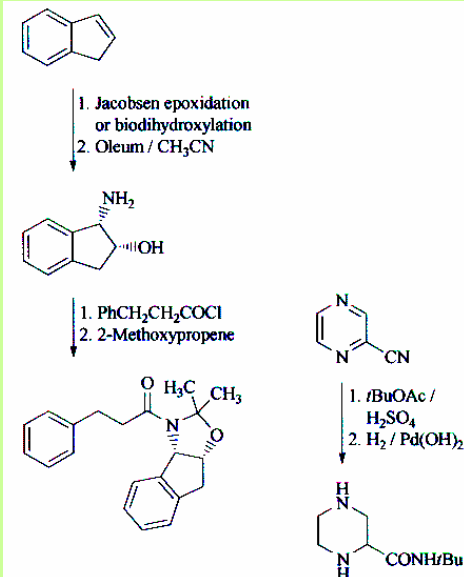
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Ritonavir



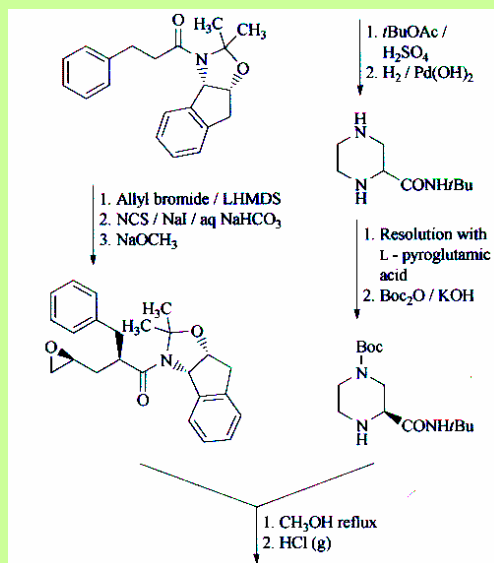
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Crixivan



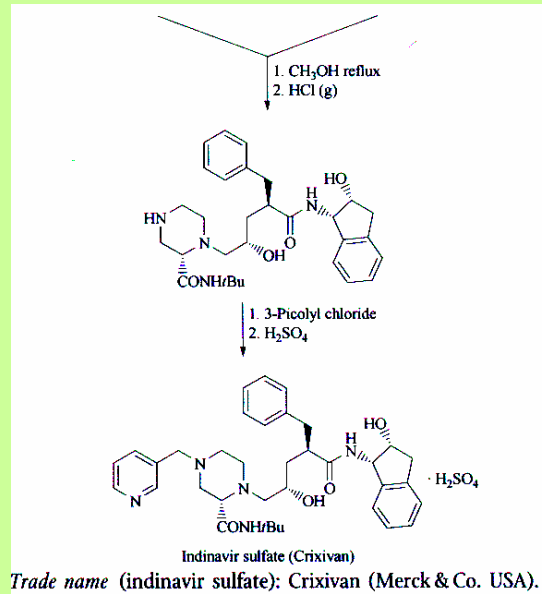
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Crixivan



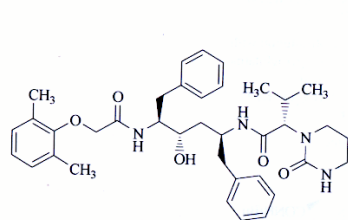
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Crixivan

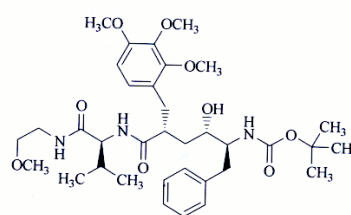


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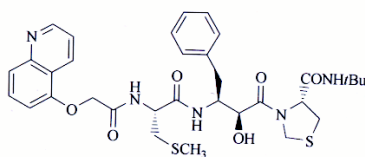
In clinical development



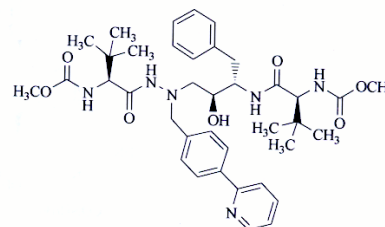
Lopinavir (ABT-378, Abbott, phase III)



Lasinavir (CGP-61755, BMS, phase I / II)

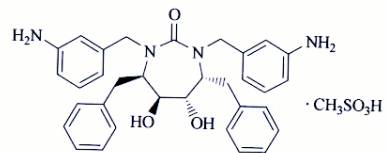


KNI-272 (Japan Energy Corporation, phase II)

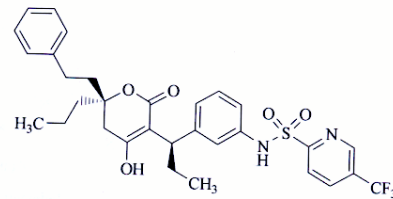


CGP-73547 (BMS, phase I)

In clinical development



DMP-450 (Triangle Pharmaceuticals, phase II)

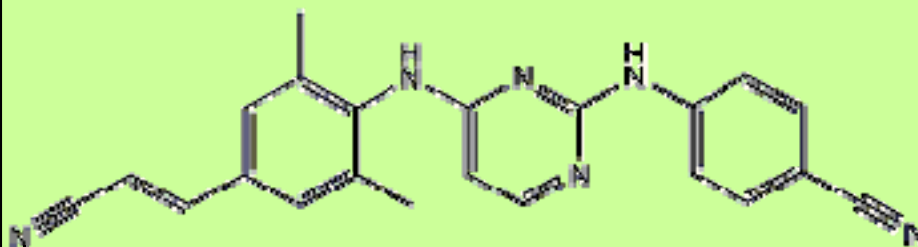


Tipranavir (PNU 140690, Pharmacia & Upjohn, phase II)

Figure 3. HIV therapeutics in clinical development

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In clinical development rilpivirine



HIV-1 reverse transcriptase inhibitor
Non-nucleoside reverse transcriptase inhibitor

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