PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 2.6

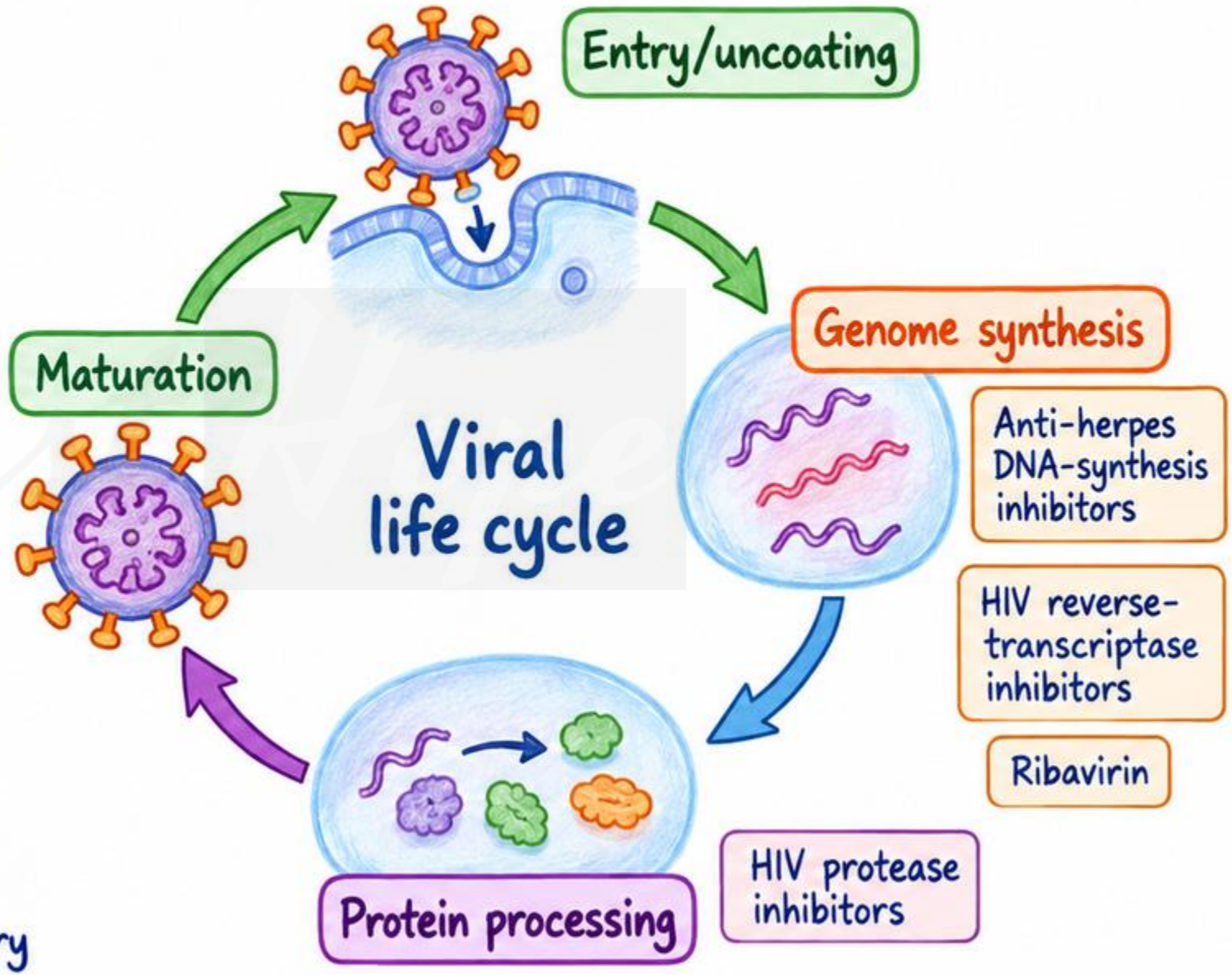
Antiviral and Anti-AIDS Agents

PPT CHAPTER COVER



Antivirals: target the viral life cycle

- Antivirals act on specific viruses and stages of replication; there is no single medicine for every viral infection.
- The chapter groups examples into influenza M2 inhibitors, anti-herpes DNA-synthesis inhibitors, HIV reverse-transcriptase inhibitors, HIV protease inhibitors and ribavirin.
- Drug activation, viral enzyme selectivity and resistance determine activity. Host-cell toxicity remains possible because viral replication depends on host functions.
- Generic identity, indication and current guidance matter more than a brand list. Older or investigational examples should not be mistaken for preferred contemporary treatment.



Amantadine and rimantadine

Structural features

Amantadine is an adamantane primary amine; rimantadine has an aminoethyl substituent on the adamantane framework.

Their hydrochlorides are distinct from the free bases.

Amantadine

adamantane primary amine

Rimantadine

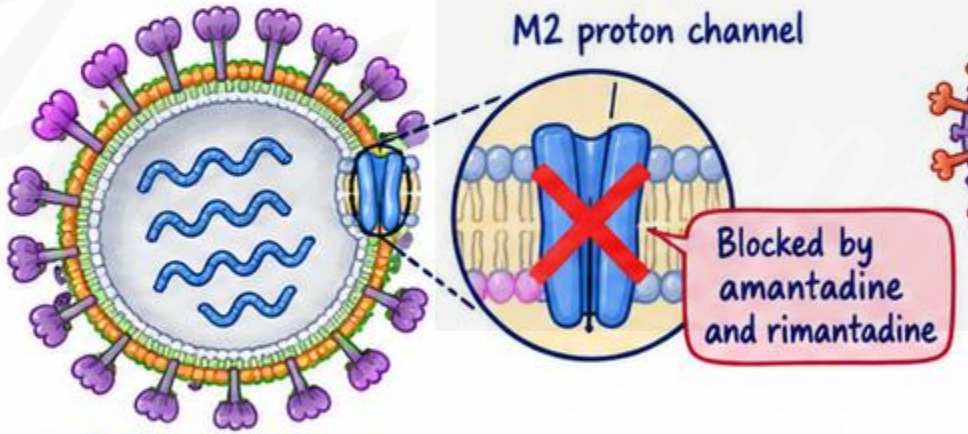
aminoethyl substituent on the adamantane framework

Hydrochlorides are distinct from the free bases.

Mechanism of action

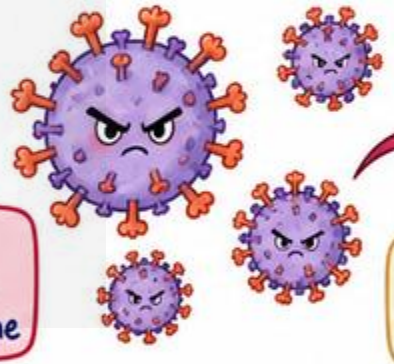
Both block the influenza A M2 proton channel, interfering with uncoating.

They do not treat influenza B by this mechanism.



Resistance and guidance

Widespread resistance means these adamantanes are not recommended for current influenza treatment or prevention in U.S. CDC guidance.



Widespread resistance

Not recommended in U.S. CDC guidance.

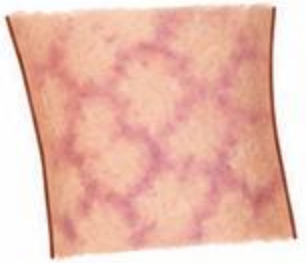
Neurological uses and adverse effects

Amantadine has separate neurological uses and can cause confusion, hallucinations and livedo reticularis.

It should not simply be called a direct dopamine agonist.



Confusion and hallucinations



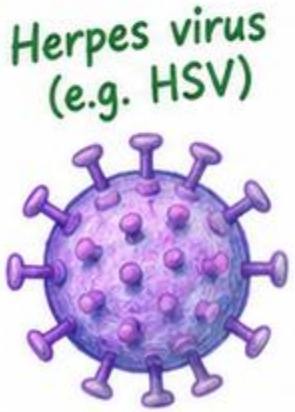
Livedo reticularis

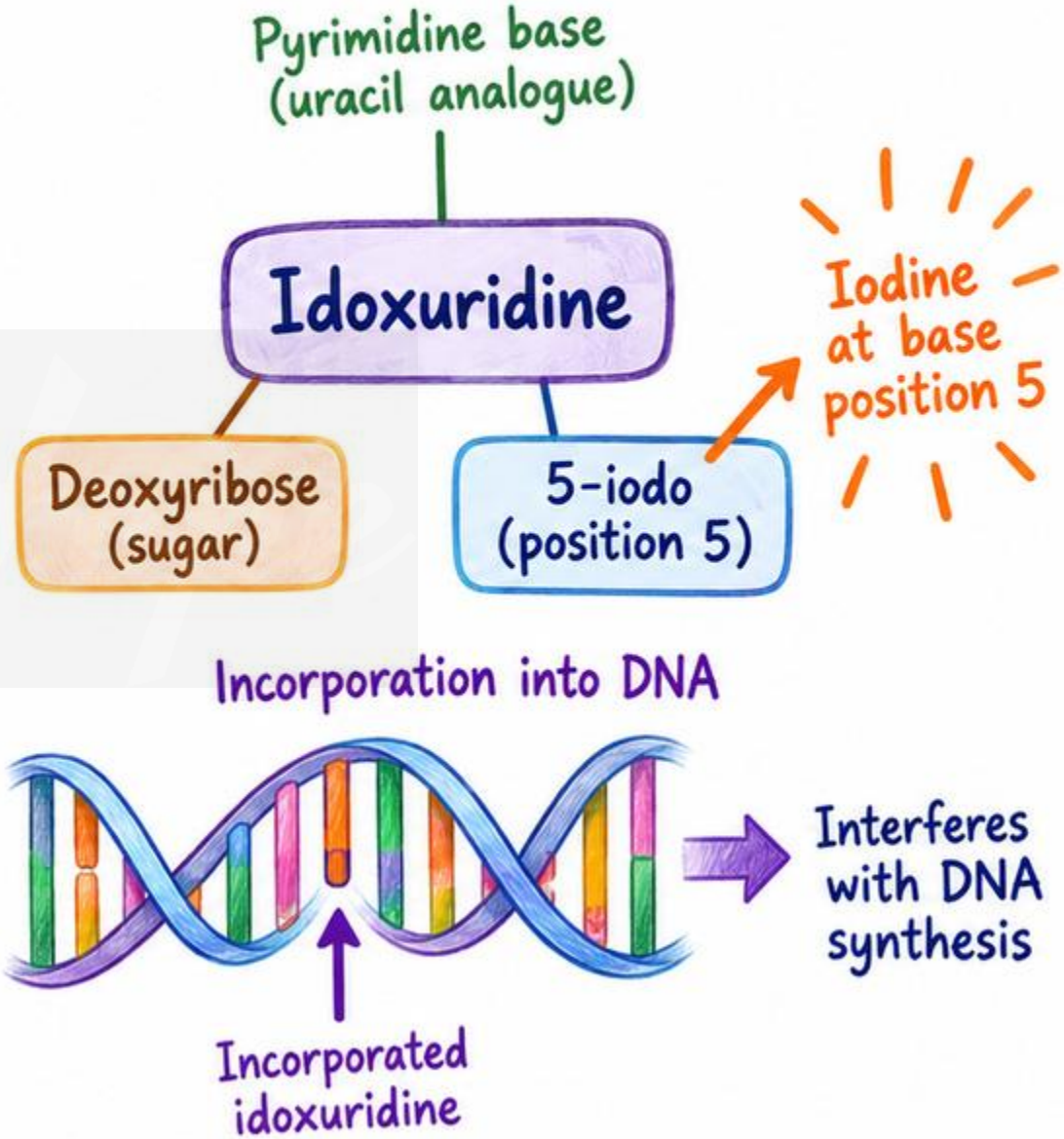
Idoxuridine: an iodinated nucleoside

- Idoxuridine is 5-iodo-2′-deoxyuridine, a pyrimidine nucleoside analogue with formula $C_9H_{11}IN_2O_5$.
- The iodine is on the base at position 5. The compound is not 'idoxuridine trifluoride'; trifluridine is a different antiviral molecule.
- After activation, incorporation into DNA interferes with DNA synthesis. Limited viral selectivity contributes to host-cell toxicity and restricts its usefulness.
- It is a historical topical anti-herpes example, including ophthalmic use. Local irritation and corneal effects are important; it must not be interpreted as a routine systemic anti-herpes drug.



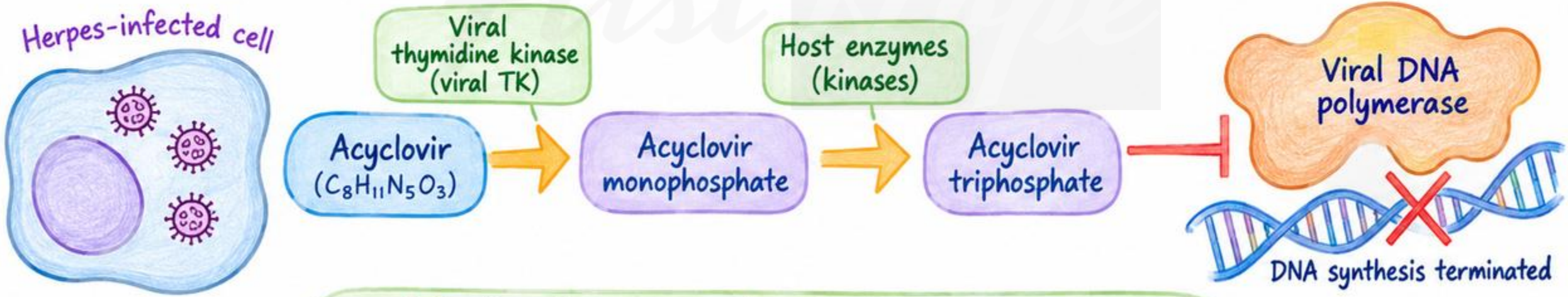
Local irritation and corneal effects are important





Acyclovir: selective activation

- Acyclovir is an acyclic guanine analogue, formula $C_8H_{11}N_5O_3$. Its side chain replaces the full sugar ring of a natural nucleoside.
- In susceptible herpes-infected cells, viral thymidine kinase performs the initial phosphorylation. Host enzymes then produce the active triphosphate.
- Acyclovir triphosphate inhibits viral DNA polymerase and terminates elongation after incorporation into DNA.
- This activation pathway supports selectivity for HSV and varicella-zoster virus. Viral kinase or polymerase changes can cause resistance; the presence of an antiviral scaffold alone does not ensure susceptibility.



Selective for HSV and varicella-zoster virus.

Viral kinase or polymerase changes can cause resistance;
the presence of an antiviral scaffold alone does not ensure susceptibility.

First Hope

FREE PREVIEW

Click here to visit our website

PHARMACY PREMIUM NOTES

Complete subject and semesters are available on FirstHope app only.

Scan or click here

Click here to get the app >

Available on Google Play

JOIN THE FIRSTHOPE COMMUNITY

Join our Telegram channel

Click here to join Telegram >

Scan or click here

@FirstHopeNotes

Instagram

@firsthope.official

Click here to follow >

YouTube channel

FirstHope-Pharmacy / Live streams

Click here to watch >

WhatsApp channel

Follow FirstHope on WhatsApp

Click here to join >

FirstHope website

firsthope.co.in

Click here to visit >

B.Pharm / D.Pharm / M.Pharm / Pharm.D

Preview notes. Complete subjects and semesters in the FirstHope app.