Antiviral Agents

Introduction

- Antiviral agents are drugs used to prevent or treat viral infections by inhibiting the replication of viruses at various stages of their life cycle.
- Unlike bacteria, viruses rely on host cells for replication, so antiviral drugs must selectively target viral-specific enzymes or processes without harming host cells.
- While vaccines remain the mainstay of viral infection prevention, antivirals are crucial for managing chronic, recurrent, or life-threatening viral infections, such as HIV, hepatitis, influenza, and herpesviruses.

Classification

A. Anti-Herpesvirus Agents

- **Acyclovir**, Valacyclovir
- **Famciclovir**, Penciclovir
- **Ganciclovir**, Valganciclovir
- **Foscarnet**, Cidofovir

B. Anti-HIV Agents (Antiretrovirals)

1. **NRTIs (Nucleoside Reverse Transcriptase Inhibitors)**: Zidovudine, Lamivudine
2. **NNRTIs (Non-Nucleoside RTIs)**: Efavirenz, Nevirapine
3. **Protease Inhibitors (PIs)**: Lopinavir, Ritonavir
4. **Integrase Inhibitors**: Raltegravir, Dolutegravir
5. **Entry/Fusion Inhibitors**: Enfuvirtide, Maraviroc

C. Anti-Influenza Agents

- **Neuraminidase inhibitors**: Oseltamivir, Zanamivir
- **Cap-dependent endonuclease inhibitor**: Baloxavir marboxil
- **Adamantanes** (no longer widely used): Amantadine, Rimantadine

D. Anti-Hepatitis Agents

- **HBV**: Entecavir, Tenofovir
- **HCV** (DAAs – Direct-Acting Antivirals): Sofosbuvir, Ledipasvir, Daclatasvir

E. Other Antivirals

- **Ribavirin**: Broad-spectrum (RSV, hepatitis C, viral hemorrhagic fevers)
- **Remdesivir**: Used for COVID-19 (SARS-CoV-2)

Mechanism of Action

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(Differs by class and virus type)

1. **Anti-Herpesvirus Drugs (e.g., Acyclovir):**
   - Converted to active triphosphate form by viral thymidine kinase
   - Inhibits viral DNA polymerase
   - Causes chain termination during viral DNA replication
2. **Anti-HIV Drugs:**
   - **NRTIs/NNRTIs**: Inhibit reverse transcriptase, preventing viral RNA → DNA
   - **Protease Inhibitors**: Inhibit HIV protease → prevents maturation of virions
   - **Integrase Inhibitors**: Block insertion of viral DNA into host genome
   - **Entry/Fusion Inhibitors**: Prevent virus from entering host cells
3. **Anti-Influenza Drugs:**
   - **Neuraminidase inhibitors** (e.g., Oseltamivir): Prevent virus release from host cells
   - **Baloxavir**: Inhibits viral RNA transcription
4. **Anti-HCV Drugs (DAAs):**
   - Inhibit specific HCV enzymes (e.g., NS3/4A protease, NS5B polymerase)

Pharmacokinetics (General Trends)

Absorption:

- Many agents are well absorbed orally (e.g., valacyclovir > acyclovir)
- Some require IV administration in severe infections (e.g., ganciclovir)

Distribution:

- Widely distributed
- Some cross the blood-brain barrier (e.g., acyclovir, zidovudine)

Metabolism:

- Liver metabolism common (e.g., protease inhibitors, NNRTIs)
- Some are prodrugs (e.g., valacyclovir → acyclovir)

Excretion:

- **Renal**: Acyclovir, ganciclovir
- **Hepatic**: NNRTIs, protease inhibitors

Half-life:

- Varies widely (e.g., acyclovir: 2–3 hours; efavirenz: 40–55 hours)

Pharmacodynamics

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- Viral replication suppression rather than complete eradication
- Most antivirals are virostats (inhibit replication), not virocidals
- Require host immune system for complete viral clearance
- Resistance may develop due to mutations in viral enzymes

Therapeutic Uses

Class	Key Drugs	Common Indications
Anti-herpesvirus	Acyclovir, Valacyclovir	HSV-1/2, Herpes zoster, CMV
Anti-HIV	Zidovudine, Efavirenz, Tenofovir	HIV infection (ART regimens)
Anti-influenza	Oseltamivir, Zanamivir	Influenza A and B (early treatment)
Anti-HBV	Entecavir, Tenofovir	Chronic hepatitis B
Anti-HCV	Sofosbuvir, Daclatasvir	Chronic hepatitis C (curative therapy)
Broad-spectrum	Ribavirin	RSV, hemorrhagic fevers, HCV (older use)
Anti-COVID-19	Remdesivir	COVID-19 (moderate to severe)

Adverse Effects (Major class-specific examples)

Acyclovir & Ganciclovir:

- Nephrotoxicity (crystalluria)
- Bone marrow suppression (ganciclovir)
- CNS effects: tremor, seizures (high doses)

NRTIs (e.g., Zidovudine):

- Lactic acidosis
- Hepatic steatosis
- Bone marrow suppression

NNRTIs (e.g., Efavirenz):

- CNS symptoms: dizziness, vivid dreams
- Rash, hepatotoxicity

Protease Inhibitors:

- Metabolic syndrome: hyperlipidemia, insulin resistance
- GI intolerance

Neuraminidase Inhibitors:

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- Nausea, vomiting
- Bronchospasm (inhaled zanamivir)

Ribavirin:

- Hemolytic anemia
- Teratogenicity (contraindicated in pregnancy)

Remdesivir:

- Elevated liver enzymes
- GI upset

Contraindications

- **Renal impairment**: caution with acyclovir, tenofovir
- **Pregnancy**:
  - Avoid ribavirin (teratogenic)
  - Zidovudine and lamivudine are safe (used in PMTCT)
- **Hypersensitivity** to any agent
- **Pre-existing psychiatric illness**: caution with efavirenz
- **Severe liver dysfunction**: caution with protease inhibitors

Drug Interactions

A. CYP450 interactions:

- NNRTIs and protease inhibitors are major substrates/inhibitors/inducers
- Can alter levels of warfarin, statins, anticonvulsants, oral contraceptives

B. Nephrotoxic drugs:

- Additive toxicity with acyclovir/ganciclovir and aminoglycosides

C. Zidovudine + ganciclovir:

- ↑ bone marrow suppression

D. Ribavirin + didanosine:

- ↑ mitochondrial toxicity

Dose and Route of Administration

(Examples only – dosing varies by indication and renal/hepatic function)

Acyclovir

- **Oral:** 200–800 mg 3–5 times/day (herpes simplex/zoster)
- **IV:** 5–10 mg/kg every 8 hours (severe infections)

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