

Unit-4

Pharmacology- III

B.Pharma 6th Sem Notes

Unit: 4

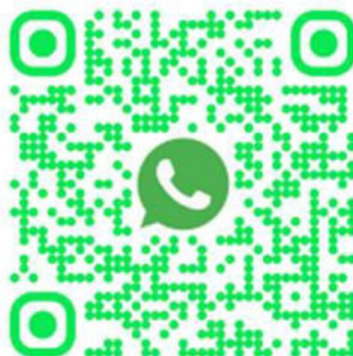
3. Chemotherapy

- Urinary tract infections and sexually transmitted diseases.
- Chemotherapy of malignancy

4. Immunopharmacology

- Immunostimulants
- Immunosuppressant: Protein drugs, monoclonal antibodies, target drugs to antigen, biosimilars

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Urinary Tract Infections (UTIs)

Definition

Urinary tract infections are **bacterial infections** affecting any part of the urinary system — **kidneys, ureters, bladder, or urethra**.

Most UTIs are caused by **Escherichia coli** and other Gram-negative bacteria.

Classification of UTIs

1. **Based on Site of Infection:**
 - **Lower UTI:**
 - **Cystitis** → bladder infection
 - **Urethritis** → urethra infection
 - **Upper UTI:**
 - **Pyelonephritis** → kidney infection
2. **Based on Complexity:**
 - **Uncomplicated UTI** → infection in healthy individuals with no structural/functional abnormalities
 - **Complicated UTI** → infection with underlying abnormalities, stones, catheterization, or resistant bacteria
3. **Based on Duration:**
 - **Acute UTI** → short-term
 - **Chronic/Recurrent UTI** → long-term or repeated infections

Drugs Used in UTIs

The main drug groups used are:

1. Sulfonamides (Example: Sulfamethoxazole + Trimethoprim)

- **Definition:** Synthetic bacteriostatic agents that inhibit folic acid synthesis in bacteria.
- **Mechanism of Action:**
 - Sulfamethoxazole → inhibits dihydropteroate synthase
 - Trimethoprim → inhibits dihydrofolate reductase
 - Result → inhibits bacterial DNA synthesis
- **Uses:**
 - Acute uncomplicated UTIs
 - Respiratory infections, GI infections
- **Adverse Effects:**
 - Nausea, vomiting
 - Hypersensitivity reactions (rash, Stevens–Johnson syndrome)
 - Blood dyscrasias (anemia)
- **Pharmacology Use:** Often given as **co-trimoxazole** for synergistic effect.



2. Fluoroquinolones (Example: Ciprofloxacin, Norfloxacin, Ofloxacin)

- **Definition:** Synthetic broad-spectrum antibiotics.
- **Mechanism of Action:**
 - Inhibit **DNA gyrase (topoisomerase II)** and **topoisomerase IV**, preventing bacterial DNA replication.
- **Uses:**
 - Complicated and uncomplicated UTIs
 - Pyelonephritis
 - Prostatitis
- **Adverse Effects:**
 - GI upset
 - CNS effects (dizziness, headache)
 - Tendon rupture (rare)
 - Contraindicated in pregnancy and children (affects cartilage)
- **Pharmacology Use:** Effective against Gram-negative bacteria including **E. coli**.

3. Nitrofurantoin

- **Definition:** Urinary antiseptic with bactericidal effect in urine.
- **Mechanism of Action:**
 - Reduced by bacterial enzymes to reactive intermediates → damage bacterial DNA.
- **Uses:**
 - Acute uncomplicated cystitis
 - Prophylaxis in recurrent UTIs
- **Adverse Effects:**
 - Nausea, vomiting
 - Pulmonary fibrosis (long-term use)
 - Hemolytic anemia in G6PD deficiency
- **Pharmacology Use:** Concentrates in urine; not for pyelonephritis.

4. β -lactam Antibiotics (Example: Amoxicillin, Amoxicillin-Clavulanic acid, Cephalosporins)

- **Definition:** Broad-spectrum bactericidal antibiotics.
- **Mechanism of Action:**
 - Inhibit bacterial **cell wall synthesis** by binding to **penicillin-binding proteins**.
- **Uses:**
 - UTIs in pregnancy (safe option)
 - Pyelonephritis (higher generation cephalosporins)
- **Adverse Effects:**
 - Allergic reactions
 - Diarrhea
 - Superinfection (Candida)
- **Pharmacology Use:** Amoxicillin + clavulanic acid used to overcome β -lactamase resistance.

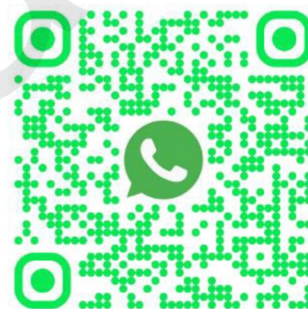


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5. Aminoglycosides (Example: Gentamicin, Amikacin)

- **Definition:** Bactericidal antibiotics for severe Gram-negative infections.
- **Mechanism of Action:**
 - Inhibit bacterial **protein synthesis** by binding to **30S ribosomal subunit**.
- **Uses:**
 - Severe complicated UTIs
 - Pyelonephritis with sepsis
- **Adverse Effects:**
 - Nephrotoxicity
 - Ototoxicity

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Sexually Transmitted Diseases (STDs)

Introduction

- **Sexually Transmitted Diseases** (also called **Sexually Transmitted Infections – STIs**) are infections primarily spread through **sexual contact** (vaginal, anal, oral).
- Caused by **bacteria, viruses, protozoa, or fungi**.
- Common examples: **Gonorrhea, Syphilis, Chlamydia, Genital herpes, HIV/AIDS, Trichomoniasis**.

Definition

- STDs are **infections transmitted predominantly by sexual activity** involving the genital, anal, or oral mucosa, and sometimes by **blood transfusion or mother-to-child** transmission.

Classification of STDs

1. **Bacterial STDs**
 - **Gonorrhea** → *Neisseria gonorrhoeae*
 - **Syphilis** → *Treponema pallidum*
 - **Chlamydia** → *Chlamydia trachomatis*
 - **Chancroid** → *Haemophilus ducreyi*
2. **Viral STDs**
 - **HIV/AIDS** → *Human Immunodeficiency Virus*
 - **Genital Herpes** → *Herpes simplex virus type-2*
 - **Genital Warts** → *Human papillomavirus (HPV)*
 - **Hepatitis B** → *Hepatitis B virus*
3. **Protozoal STDs**
 - **Trichomoniasis** → *Trichomonas vaginalis*
4. **Fungal STDs**
 - **Candidiasis** → *Candida albicans*

Drugs Used in Common STDs

1. Gonorrhea

- **Drug:** Ceftriaxone
- **Definition:** Third-generation cephalosporin antibiotic.
- **Mechanism of Action:**
 - Inhibits bacterial **cell wall synthesis** by binding to **penicillin-binding proteins** → cell lysis.
- **Uses:**
 - Gonorrhea (IM single dose)
 - Other Gram-negative infections
- **Adverse Effects:**
 - Allergic reactions, diarrhea



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- **Pharmacology Note:** Often combined with azithromycin to cover possible chlamydial co-infection.

2. Syphilis

- **Drug: Benzathine Penicillin G**
- **Definition:** Long-acting natural penicillin.
- **Mechanism of Action:**
 - Inhibits bacterial **cell wall synthesis** → bactericidal effect.
- **Uses:**
 - All stages of syphilis
 - Prophylaxis for rheumatic fever
- **Adverse Effects:**
 - Hypersensitivity, Jarisch–Herxheimer reaction
- **Pharmacology Note:** Single IM injection for early syphilis.

3. Chlamydia

- **Drug: Azithromycin**
- **Definition:** Macrolide antibiotic.
- **Mechanism of Action:**
 - Inhibits **protein synthesis** by binding to **50S ribosomal subunit**.
- **Uses:**
 - Chlamydia, respiratory infections, typhoid
- **Adverse Effects:**
 - GI upset, liver enzyme elevation
- **Pharmacology Note:** Single oral dose is effective.

4. Genital Herpes

- **Drug: Acyclovir**
- **Definition:** Antiviral drug for herpes viruses.
- **Mechanism of Action:**
 - Converted to acyclovir triphosphate → inhibits **viral DNA polymerase** → stops viral DNA replication.
- **Uses:**
 - Genital herpes, herpes zoster
- **Adverse Effects:**
 - Nausea, headache, kidney toxicity (rare)
- **Pharmacology Note:** Reduces duration and severity of outbreaks, not a cure.

5. HIV/AIDS

- **Drugs: Tenofovir + Lamivudine + Efavirenz** (first-line ART)



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- **Definition:** Combination antiretroviral therapy.
- **Mechanism of Action:**
 - Tenofovir & Lamivudine → Nucleoside/nucleotide reverse transcriptase inhibitors (NRTIs) – block reverse transcriptase.
 - Efavirenz → Non-nucleoside reverse transcriptase inhibitor (NNRTI) – binds directly to reverse transcriptase.
- **Uses:**
 - HIV infection (lifelong therapy)
- **Adverse Effects:**
 - Liver toxicity, CNS effects, GI upset
- **Pharmacology Note:** Given as **fixed-dose combination** to improve compliance.

6. Trichomoniasis

- **Drug: Metronidazole**
- **Definition:** Nitroimidazole antibiotic/antiprotozoal.
- **Mechanism of Action:**
 - Reduced to active form in anaerobic cells → damages DNA → cell death.
- **Uses:**
 - Trichomoniasis, amoebiasis, giardiasis
- **Adverse Effects:**
 - Metallic taste, nausea, disulfiram-like reaction with alcohol
- **Pharmacology Note:** Both partners must be treated to avoid reinfection.

7. Genital Warts (HPV)

- **Drug: Podophyllotoxin** (topical)
- **Definition:** Antimitotic agent from plant sources.
- **Mechanism of Action:**
 - Arrests mitosis in wart tissue → tissue necrosis.
- **Uses:**
 - External genital warts
- **Adverse Effects:**
 - Local irritation, redness
- **Pharmacology Note:** Avoid use in pregnancy.



Chemotherapy of Malignancy

Introduction

- **Cancer** is an abnormal and uncontrolled proliferation of cells that can invade surrounding tissues and spread (metastasize) to distant sites.
- **Chemotherapy** involves the use of **anticancer (cytotoxic) drugs** to destroy or inhibit the growth of malignant cells.
- Often combined with **surgery and radiotherapy** for best results.

Definition

Chemotherapy of malignancy is the treatment of cancer using drugs that selectively destroy or inhibit the growth of cancer cells without causing excessive damage to normal cells.

Classification of Anticancer Drugs

(According to mechanism of action)

1. **Alkylating Agents**
 - Examples: Cyclophosphamide, Chlorambucil, Melphalan
 - MOA: Alkylate DNA → cross-linking → inhibition of replication and transcription.
2. **Antimetabolites**
 - Examples: Methotrexate, 5-Fluorouracil (5-FU), Cytarabine
 - MOA: Mimic normal metabolites → block DNA or RNA synthesis.
3. **Plant Alkaloids**
 - Examples: Vincristine, Vinblastine, Paclitaxel
 - MOA: Inhibit microtubule function → block mitosis.
4. **Antitumor Antibiotics**
 - Examples: Doxorubicin, Bleomycin, Mitomycin
 - MOA: Intercalate into DNA → inhibit topoisomerase II → generate free radicals.
5. **Hormones and Hormonal Antagonists**
 - Examples: Tamoxifen (antiestrogen), Flutamide (antiandrogen), Prednisone
 - MOA: Block hormone receptors or alter hormone levels in hormone-sensitive cancers.
6. **Miscellaneous Agents**
 - Examples: Hydroxyurea, Procarbazine, Cisplatin
 - MOA: Varies – often DNA damage or enzyme inhibition.

Drugs in Detail

1. Cyclophosphamide (Alkylating agent)

- **Definition:** Nitrogen mustard derivative, cytotoxic agent.



- **Mechanism of Action:** Alkylates DNA → cross-links strands → prevents replication → cell death.
- **Uses:**
 - Leukemias, lymphomas
 - Breast, ovarian cancer
- **Adverse Effects:**
 - Bone marrow suppression
 - Hemorrhagic cystitis (prevented by mesna)
 - Nausea, vomiting

2. Methotrexate (Antimetabolite)

- **Definition:** Folic acid antagonist.
- **Mechanism of Action:** Inhibits **dihydrofolate reductase** → prevents synthesis of thymidylate → inhibits DNA synthesis.
- **Uses:**
 - Leukemia, lymphoma
 - Choriocarcinoma
 - Psoriasis, rheumatoid arthritis (low dose)
- **Adverse Effects:**
 - Bone marrow suppression
 - Hepatotoxicity
 - Mucositis
- **Special Note:** Leucovorin rescue reduces toxicity.

3. 5-Fluorouracil (5-FU) (Antimetabolite)

- **Definition:** Pyrimidine analog.
- **Mechanism of Action:** Inhibits **thymidylate synthase** → blocks DNA synthesis.
- **Uses:**
 - Colorectal, breast, gastric cancers
- **Adverse Effects:**
 - Myelosuppression
 - GI upset
 - Hand-foot syndrome

4. Vincristine (Plant alkaloid)

- **Definition:** Vinca alkaloid from *Catharanthus roseus*.
- **Mechanism of Action:** Binds tubulin → prevents microtubule formation → arrests mitosis in metaphase.
- **Uses:**
 - Leukemias, lymphomas
 - Solid tumors (childhood cancers)
- **Adverse Effects:**
 - Neurotoxicity (peripheral neuropathy)
 - Mild myelosuppression



5. Doxorubicin (Antitumor antibiotic)

- **Definition:** Anthracycline antibiotic.
- **Mechanism of Action:** Intercalates between DNA base pairs → inhibits topoisomerase II → generates free radicals → DNA strand breaks.
- **Uses:**
 - Breast, ovarian, lung cancer
 - Leukemias, lymphomas
- **Adverse Effects:**
 - Cardiotoxicity (prevented by dexrazoxane)
 - Myelosuppression
 - Alopecia

6. Tamoxifen (Hormonal antagonist)

- **Definition:** Selective estrogen receptor modulator (SERM).
- **Mechanism of Action:** Blocks estrogen receptors in breast tissue → inhibits estrogen-dependent tumor growth.
- **Uses:**
 - Estrogen receptor-positive breast cancer
- **Adverse Effects:**
 - Hot flashes
 - Endometrial cancer (partial agonist effect)
 - Thromboembolism

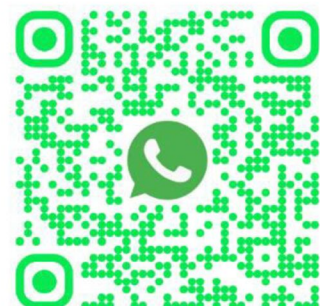
General Adverse Effects of Anticancer Drugs

Most anticancer drugs target rapidly dividing cells → affects normal tissues too:

- **Bone marrow suppression** (anemia, leukopenia, thrombocytopenia)
- **GI toxicity** (nausea, vomiting, diarrhea)
- **Hair loss (alopecia)**
- **Sterility/infertility**
- **Carcinogenicity** (long-term risk)

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Immunopharmacology – Introduction

Definition

Immunopharmacology is the branch of pharmacology that deals with:

- Drugs that **modify the immune system** — either by **stimulating** (immunostimulants) or **suppressing** (immunosuppressants) immune responses.
- The study of immune system mediators and how drugs affect them.

Importance

- The immune system protects the body from **infections, tumors, and foreign substances**.
- Sometimes, immune responses are **too weak** (immunodeficiency) or **too strong/abnormal** (autoimmune diseases, allergies, transplant rejection).
- Immunopharmacology helps **restore balance** by using drugs that modulate immune activity.

Two Main Areas

1. **Immunosuppressive therapy** → reduces the immune response.
 - Used in **organ transplantation, autoimmune diseases, allergic conditions**.
 - Examples: Cyclosporine, Azathioprine, Glucocorticoids.
2. **Immunostimulatory therapy** → enhances the immune response.
 - Used in **immunodeficiency, chronic infections, cancer immunotherapy**.
 - Examples: Vaccines, Interleukins, Levamisole.

Immune System Components in Immunopharmacology

- **Innate immunity** → Non-specific, first-line defense (macrophages, neutrophils, NK cells).
- **Adaptive immunity** → Specific, involves T and B lymphocytes, antibodies, memory cells.
- **Chemical mediators** → Cytokines, interleukins, interferons.

Role of Immunopharmacology

- **In transplantation medicine** → Prevents graft rejection.
- **In autoimmune diseases** → Suppresses abnormal immune attack.
- **In cancer therapy** → Stimulates immune cells to attack tumor cells.
- **In vaccine development** → Enhances immune protection.
- **In allergy management** → Controls hypersensitivity reactions.



Examples of Drug Types in Immunopharmacology

- **Immunosuppressants:** Cyclosporine, Tacrolimus, Azathioprine, Mycophenolate mofetil, Glucocorticoids.
- **Immunostimulants:** BCG vaccine, Interferons, Levamisole.
- **Biological response modifiers:** Monoclonal antibodies (e.g., Rituximab), cytokines.

Clinical Applications

- **Organ transplantation:** Kidney, liver, heart.
- **Autoimmune diseases:** Rheumatoid arthritis, Systemic lupus erythematosus (SLE), Multiple sclerosis.
- **Allergies:** Asthma, anaphylaxis.
- **Cancer immunotherapy:** Melanoma, lymphoma.
- **Immunodeficiency:** HIV/AIDS, congenital immune disorders.

1. Immunostimulants

Definition

Immunostimulants are **drugs or agents that enhance the body's immune response** by stimulating the activity of immune cells or increasing the production of immune mediators. They are used to **boost immunity** in cases of immunodeficiency, chronic infections, cancer, or as vaccines.

Classification of Immunostimulants

1. Specific Immunostimulants

(Produce immunity against a specific antigen)

- **Vaccines:** Killed, live attenuated, or recombinant microorganisms used to stimulate active immunity.
 - Examples: BCG vaccine, Hepatitis B vaccine, Polio vaccine.
- **Toxoids:** Inactivated bacterial toxins that induce immunity.
 - Examples: Tetanus toxoid, Diphtheria toxoid.
- **Antisera and Immunoglobulins:** Provide passive immunity by supplying ready-made antibodies.
 - Examples: Rabies immunoglobulin, Hepatitis B immunoglobulin.

2. Non-Specific Immunostimulants

(Enhance general immune response without targeting a specific antigen)



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- **BCG vaccine** (also acts as a non-specific stimulant in bladder cancer)
- **Levamisole** (antihelminthic with immune-enhancing properties)
- **Cytokines:**
 - Interleukins (IL-2 → stimulates T-cell proliferation)
 - Interferons (IFN- α , IFN- β , IFN- γ → antiviral, antitumor activity)
- **Thymic hormones:** Thymosin, thymopentin.

Drugs in Detail

1. BCG Vaccine

- **Definition:** Live attenuated *Mycobacterium bovis* vaccine.
- **Mechanism of Action:** Stimulates both **cell-mediated** and **humoral immunity** by activating T lymphocytes and macrophages.
- **Uses:**
 - Prevention of tuberculosis
 - Immunotherapy for bladder cancer
- **Adverse Effects:**
 - Local ulceration at injection site
 - Fever, malaise (mild)

2. Levamisole

- **Definition:** Synthetic imidazothiazole derivative with immunostimulant and antihelminthic action.
- **Mechanism of Action:** Enhances **T-cell and macrophage function**, increases antibody production.
- **Uses:**
 - Adjuvant therapy in colon cancer
 - Recurrent aphthous ulcers
 - Immunodeficiency states
- **Adverse Effects:**
 - Nausea, vomiting
 - Flu-like symptoms
 - Rarely agranulocytosis

3. Interleukin-2 (Aldesleukin)

- **Definition:** Recombinant form of human interleukin-2.
- **Mechanism of Action:** Stimulates proliferation of **cytotoxic T cells** and **NK cells** → enhances cell-mediated immunity.
- **Uses:**
 - Renal cell carcinoma
 - Malignant melanoma
- **Adverse Effects:**
 - Hypotension
 - Capillary leak syndrome
 - Flu-like symptoms



4. Interferons

- **Definition:** Naturally occurring glycoproteins with antiviral and immunomodulatory properties.
- **Types & MOA:**
 - IFN- α → boosts antiviral response (used in hepatitis B & C)
 - IFN- β → modulates immune activity (used in multiple sclerosis)
 - IFN- γ → activates macrophages (used in chronic granulomatous disease)
- **Uses:**
 - Viral infections (Hepatitis)
 - Multiple sclerosis
 - Certain cancers (hairy cell leukemia)
- **Adverse Effects:**
 - Flu-like symptoms
 - Depression
 - Myelosuppression

5. Thymic Hormones (Thymosin, Thymopentin)

- **Definition:** Peptide hormones from the thymus gland.
- **Mechanism of Action:** Promote maturation and differentiation of T lymphocytes.
- **Uses:**
 - Immunodeficiency in children
- **Adverse Effects:**
 - Rare, usually mild

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Immunosuppressants – Protein Drugs, Monoclonal Antibodies, Targeted Drugs, and Biosimilars

Introduction

- **Immunosuppressants** are drugs that **inhibit or prevent the activity of the immune system**.
- Protein-based immunosuppressants are **large biologic molecules** such as antibodies or cytokine inhibitors.
- Used in **organ transplantation, autoimmune diseases, and allergic disorders**.

1. Protein Drugs

Protein drugs in immunosuppression are **biological molecules** that act on specific components of the immune system.

Examples:

1. **Cytokine inhibitors** – block immune signaling proteins (e.g., TNF- α inhibitors).
2. **Fusion proteins** – combine different protein domains to bind immune targets (e.g., Etanercept).
3. **Recombinant enzymes** – modify immune molecules.

Mechanism of Action (MOA):

- Bind to cytokines or receptors → prevent immune cell activation.
- Reduce inflammation and immune-mediated tissue damage.

Uses:

- Rheumatoid arthritis
- Psoriasis
- Crohn's disease
- Transplant rejection prevention

Adverse Effects:

- Increased risk of infections
- Hypersensitivity reactions
- Malignancy risk (rare)

2. Monoclonal Antibodies (mAbs)

- Laboratory-made antibodies designed to bind **specific antigens** in the immune system.
- Highly targeted → fewer general side effects than non-specific immunosuppressants.

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Examples & MOA:

1. **Muromonab-CD3 (OKT3)** – Binds to **CD3** on T cells → blocks activation → prevents transplant rejection.
2. **Basiliximab / Daclizumab** – Bind to **IL-2 receptor (CD25)** on activated T cells → inhibit proliferation.
3. **Rituximab** – Targets **CD20** on B cells → causes B-cell depletion (used in lymphoma, RA).
4. **Infliximab / Adalimumab** – Bind **TNF- α** → block inflammation in autoimmune diseases.

Uses:

- Organ transplantation
- Autoimmune disorders (RA, Crohn's, psoriasis)
- Certain cancers

Adverse Effects:

- Infusion-related reactions (fever, chills)
- Immunosuppression → infections
- Hypersensitivity

3. Targeted Drugs to Antigen

- Designed to **specifically bind a disease-related antigen** on cells or molecules.
- Can be **monoclonal antibodies** or **antibody-drug conjugates (ADC)**.

Examples:

1. **Alemtuzumab** – Targets **CD52** on lymphocytes → causes profound lymphocyte depletion (used in MS, leukemia).
2. **Omalizumab** – Targets **IgE** → prevents allergic reactions in asthma.
3. **Brentuximab vedotin** – Antibody-drug conjugate targeting **CD30** in lymphoma → delivers cytotoxic agent to cancer cells.

MOA:

- Bind to a **specific immune or tumor antigen** → block its function or kill the cell.

Uses:

- Autoimmune diseases
- Cancers
- Severe allergies

Adverse Effects:



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- Immune suppression
- Allergic reactions
- Cytokine release syndrome (CRS)

4. Biosimilars

- **Definition:** Biological products that are **highly similar to an already approved reference biologic** (same safety, purity, potency), but not identical.
- Developed when the original biologic's patent expires.
- Cheaper alternative to expensive biologic therapies.

Examples in Immunosuppression:

- **Adalimumab biosimilars** – Used in RA, psoriasis.
- **Infliximab biosimilars** – Used in Crohn's disease, ulcerative colitis.
- **Etanercept biosimilars** – Used in autoimmune arthritis.

Advantages:

- Lower cost than original biologics
- Increased patient access to treatment

Limitations:

- Slight differences in manufacturing can alter immune response (immunogenicity risk).
- Strict regulatory approval process.

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