Antifungal agents

Antifungal Agents

- Antifungal agents treat fungal infections by targeting the cell wall, cell membrane, or intracellular components to inhibit fungal growth.

Mechanisms of Action

1. Cell Wall-Targeting Agents

- Inhibit beta-glucan synthesis, weakening the fungal cell wall.
- **Examples:** Echinocandins (**caspofungin, anidulafungin**).

2. Cell Membrane-Targeting Agents

- Disrupt ergosterol synthesis, compromising membrane integrity.
- **Examples:**
  - **Azoles:** Fluconazole, Itraconazole.
  - **Polyenes:** Amphotericin B.

3. Intracellular Component-Targeting Agents

- Inhibit nucleic acid synthesis (e.g., flucytosine) or cell wall synthesis (e.g., terbinafine).

Classification of Antifungal Agents

1. Polyene Antibiotics

- → Bind to ergosterol in the fungal cell membrane → form pores → cell leakage → fungicidal
  - **Amphotericin-B**

2. Azole Antifungals

- → Inhibit 14-α-demethylase (a cytochrome P450 enzyme) → block ergosterol synthesis → disrupt membrane integrity → fungistatic

A. Imidazoles (contain 2 nitrogen atoms in azole ring)

- Miconazole
- Ketoconazole*

B. Triazoles (contain 3 nitrogen atoms in azole ring)

- Itraconazole
- Fluconazole*

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3. llylamines

- → Inhibit squalene epoxidase → block ergosterol synthesis → accumulate toxic squalene → fungicidal
  - Naftifine Hydrochloride

4. Miscellaneous Antifungals

- → Disrupt mitotic spindle → inhibit fungal cell division → fungistatic
  - Griseofulvin

Various Antifungal agents

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1. Amphotericin B

Chemical Name:

- Amphotericin B

Uses:

- Systemic fungal infections (Aspergillosis, Candidiasis, Cryptococcosis)
- Fungal meningitis
- Leishmaniasis (off-label)

Stability & Storage:

- Light-sensitive and heat-labile
- Store in airtight, amber-colored containers at 2–8°C
- Reconstituted solution stable for 24 hours at room temperature, 1 week refrigerated

Formulations:

- Powder for injection (50 mg/vial)
- Liposomal form: safer profile

Popular Brand Names:

- Fungizone
- AmBisome (liposomal)
- Abelcet

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2. Griseofulvin

Chemical Name:

- 7-Chloro-2',4,6-trimethoxy-6'-methylspiro[benzofuran-2(3H),1'-[2]cyclohexene]-3,4'-dione

Uses:

- Dermatophytosis (tinea infections of skin, hair, nails)
- Onychomycosis

Stability & Storage:

- Stable under normal conditions
- Store at room temperature below 25°C in airtight containers
- Protect from light and moisture

Formulations:

- Tablets (125 mg, 250 mg, 500 mg)
- Oral suspension

Popular Brand Names:

- Gris-PEG
- Fulvicin
- Grifulvin V

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3. Miconazole

Chemical Name:

- 1-[2,4-Dichloro-β-(2,4-dichlorobenzyloxy)phenethyl]imidazole

Uses:

- Topical treatment for candidiasis, dermatophytosis, and tinea
- Vaginal infections
- Oral thrush (gel form)

Stability & Storage:

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- Store below 25°C
- Stable in solid form
- Avoid extreme heat and freezing

Formulations:

- Topical cream (2%)
- Vaginal suppositories (100 mg, 200 mg)
- Oral gel

Popular Brand Names:

- Monistat
- Daktarin
- Micatin

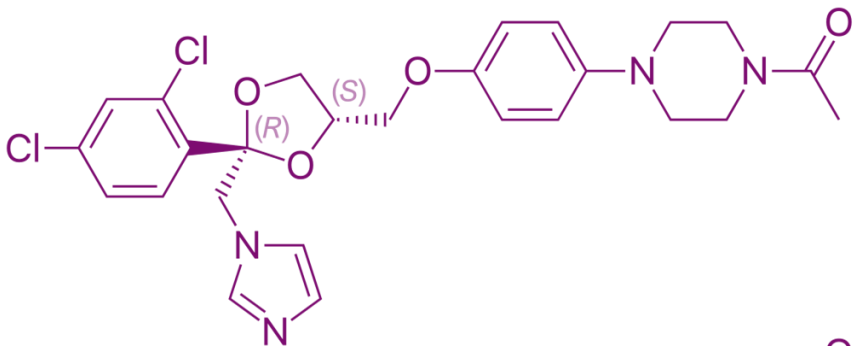
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4. Ketoconazole*

Chemical Name:

- cis-1-Acetyl-4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]piperazine

Chemical Structure:



- Imidazole ring*
- Dichlorophenyl group*
- Dioxolane ring
- Piperazine group

Uses:

- Topical and systemic treatment for dermatophytosis, candidiasis

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- Seborrheic dermatitis (shampoo form)
- Androgen-dependent conditions (e.g., prostate cancer, Cushing’s syndrome—off-label)

Stability & Storage:

- Sensitive to moisture and light
- Store in tightly closed container, below 25°C

Formulations:

- Oral tablets (200 mg)
- Topical cream/shampoo (2%)

Popular Brand Names:

- Nizoral
- Extina
- Ketoderm

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5. Itraconazole

Chemical Name:

- (±)-cis-4-[4-[4-[[(2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]piperazin-1-yl]phenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

Uses:

- Systemic fungal infections (Aspergillosis, Histoplasmosis, Candidiasis)
- Onychomycosis
- Blastomycosis

Stability & Storage:

- Stable when stored in a cool, dry place
- Store below 25°C, protect from light and moisture

Formulations:

- Capsules (100 mg)
- Oral solution
- Topical forms (limited use)

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