PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 2.1

Local Anti-Infective Agents

PPT CHAPTER COVER



Local anti-infective agents

Definition

- Local anti-infectives act at skin, mucosa or another application site to inhibit or kill microorganisms. They include antiseptics and locally applied antimicrobial medicines.

Limitations and absorption

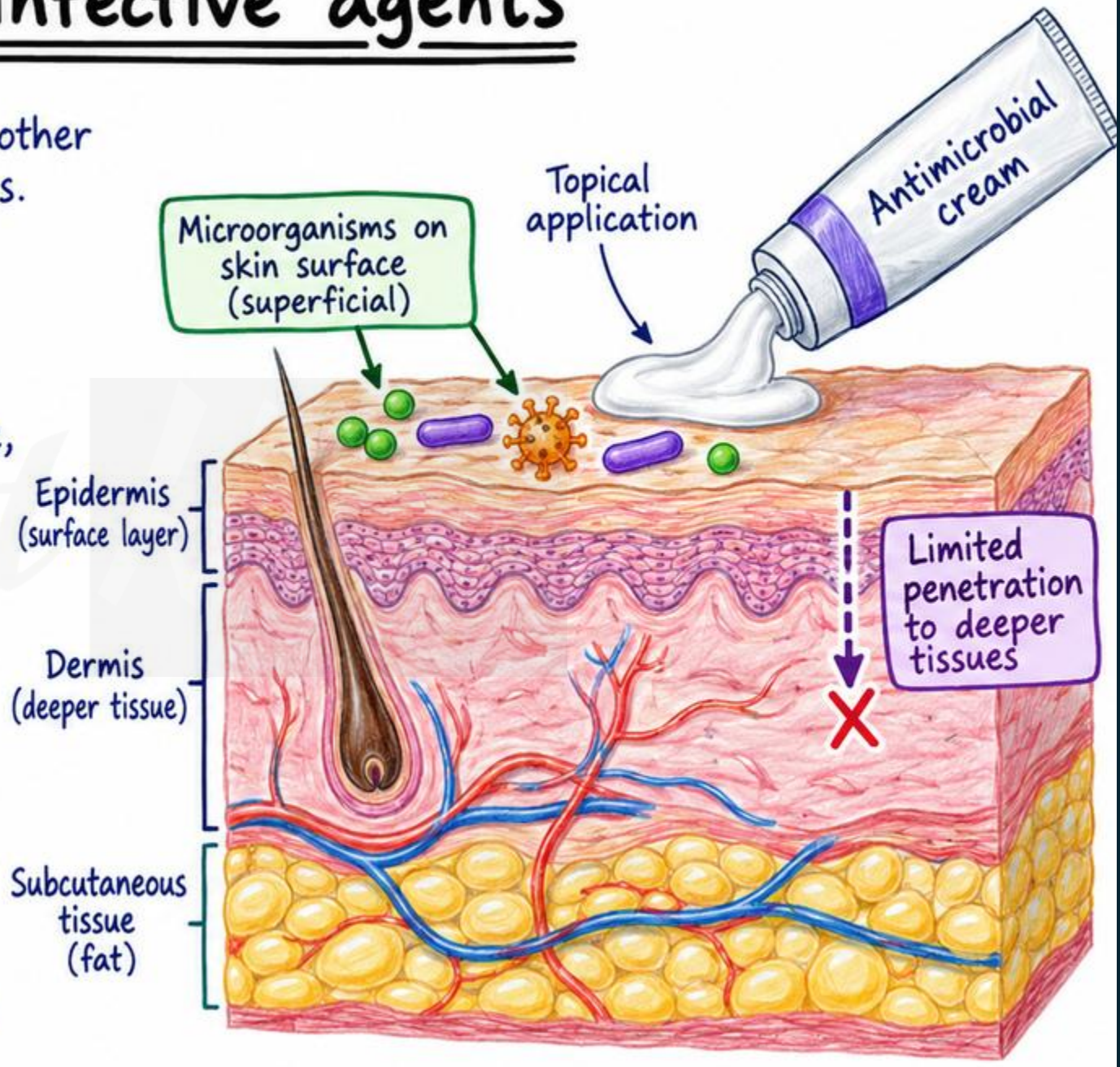
- Localized delivery can reduce systemic exposure, but it does not guarantee zero absorption. Damaged skin, concentration and formulation influence uptake.

Uses and scope

- They are useful when the site is accessible and localized action is sufficient. Poor penetration limits their value in deep or systemic infections.

Choosing an agent

- The target organism, application site and product directions determine an appropriate agent.



Antiseptics and disinfectants

Antiseptics are formulated for living tissues. Examples include chlorhexidine and appropriate iodine preparations.

Disinfectants are used on inanimate surfaces. Phenolic products and aldehydes illustrate chemical disinfection; their tissue toxicity and handling requirements differ.

Disinfection does not necessarily destroy every microbial spore. Sterilization requires a validated process capable of eliminating viable microorganisms.

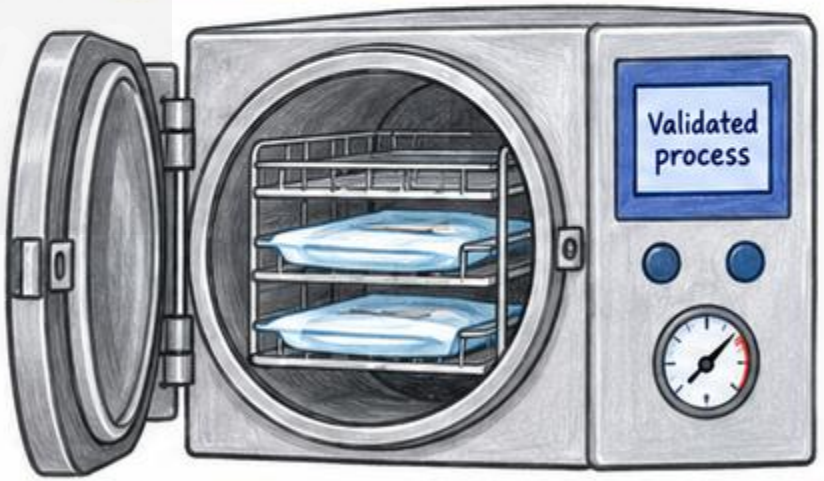
Living tissue



Inanimate surface



Validated sterilization

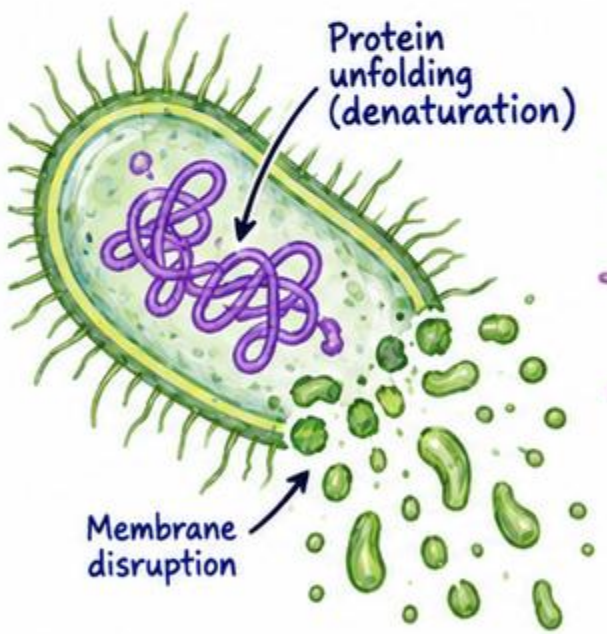


A chemical may appear in different product categories at different concentrations. Surface disinfectants must not be substituted for skin, eye or oral products merely because an ingredient name matches.

How local antimicrobials work

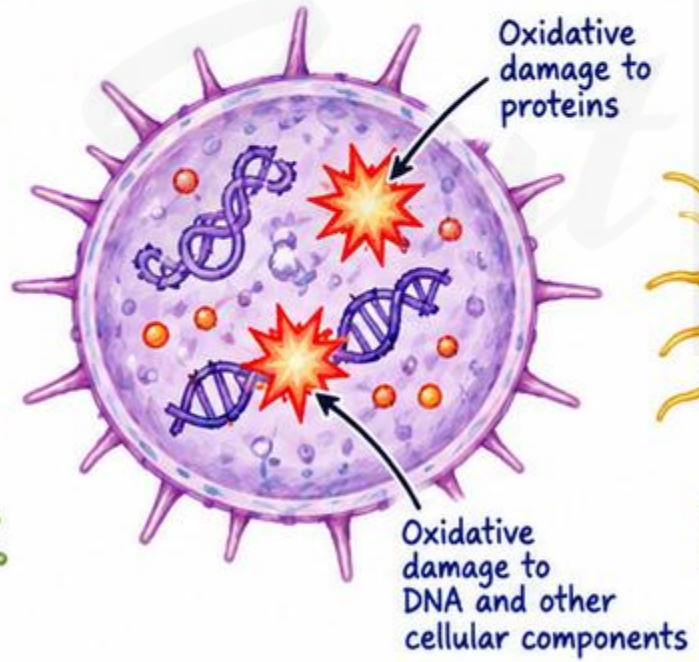
Alcohols and phenolics

denature proteins and disturb microbial membranes. Their effects depend on concentration and contact conditions.



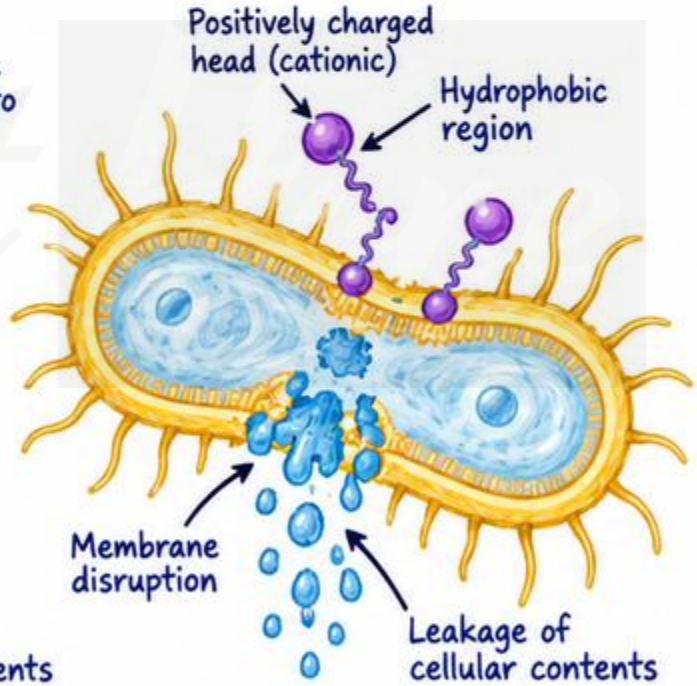
Oxidizers

damage cellular components through oxidation. Halogens can also react with microbial proteins and other targets.



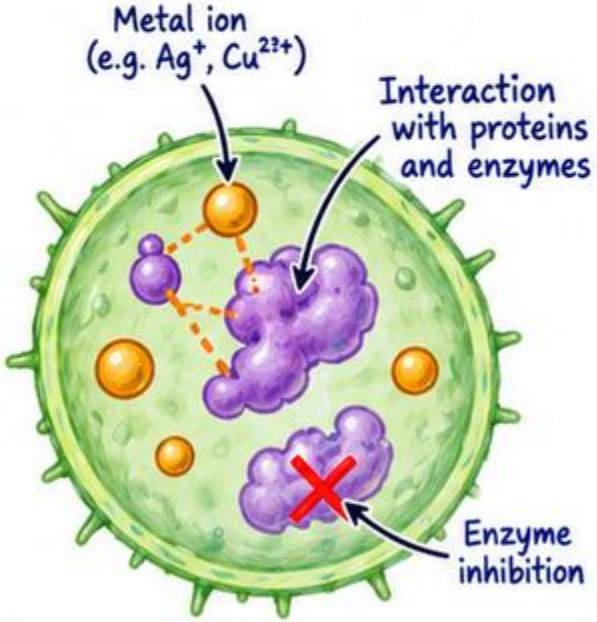
Cationic surfactants

disrupt lipid membranes and cause leakage. Their charged head and hydrophobic region both matter.



Metal ions

can interact with proteins and enzymes. Toxicity limits their suitability.

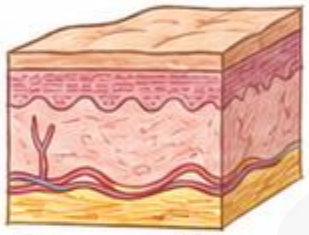


These broad chemical effects differ from the more selective enzyme or biosynthesis targets of topical antibiotics. Multiple targets do not make resistance impossible.

Ideal properties and formulation

1. An ideal local agent combines useful antimicrobial activity with acceptable tissue safety, rapid action, stability and practical cost.


Antimicrobial activity


Tissue safety


Rapid action


Stability

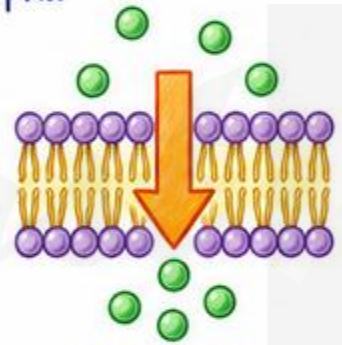

Practical cost

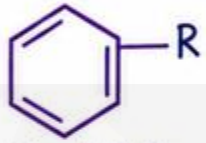
2. Solubility, pKa and lipophilicity influence formulation and access to the microbial target. More membrane penetration is not always safer or more effective.

$$R{-}COOH \rightleftharpoons R{-}COO^- + H^+$$

pKa


Solubility


Membrane penetration



Lipophilicity (log P)

More penetration is not always safer or more effective.

3. Creams, gels, ointments and solutions provide different release and contact characteristics. Excipients can bind, inactivate or destabilize an ingredient.



4. Blood, pus and other organic material may reduce activity. Product concentration, contact time, storage amd compatibility therefore matter as much as the isolated chemical.


Blood


Pus


Other organic material

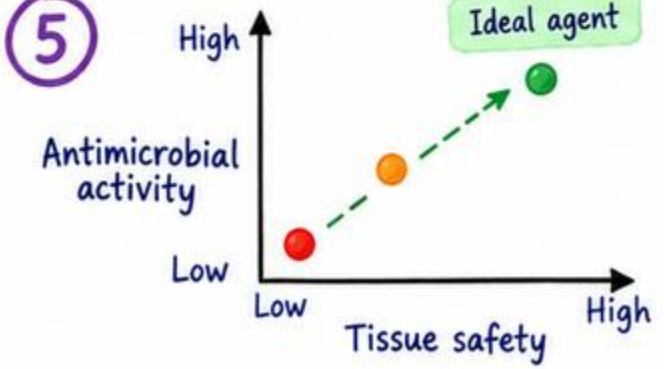
May reduce activity


Reduced antimicrobial activity

- Product concentration
- Contact time
- Storage
- Compatibility

Matter as much as the isolated chemical.

5.



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