PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 2.7

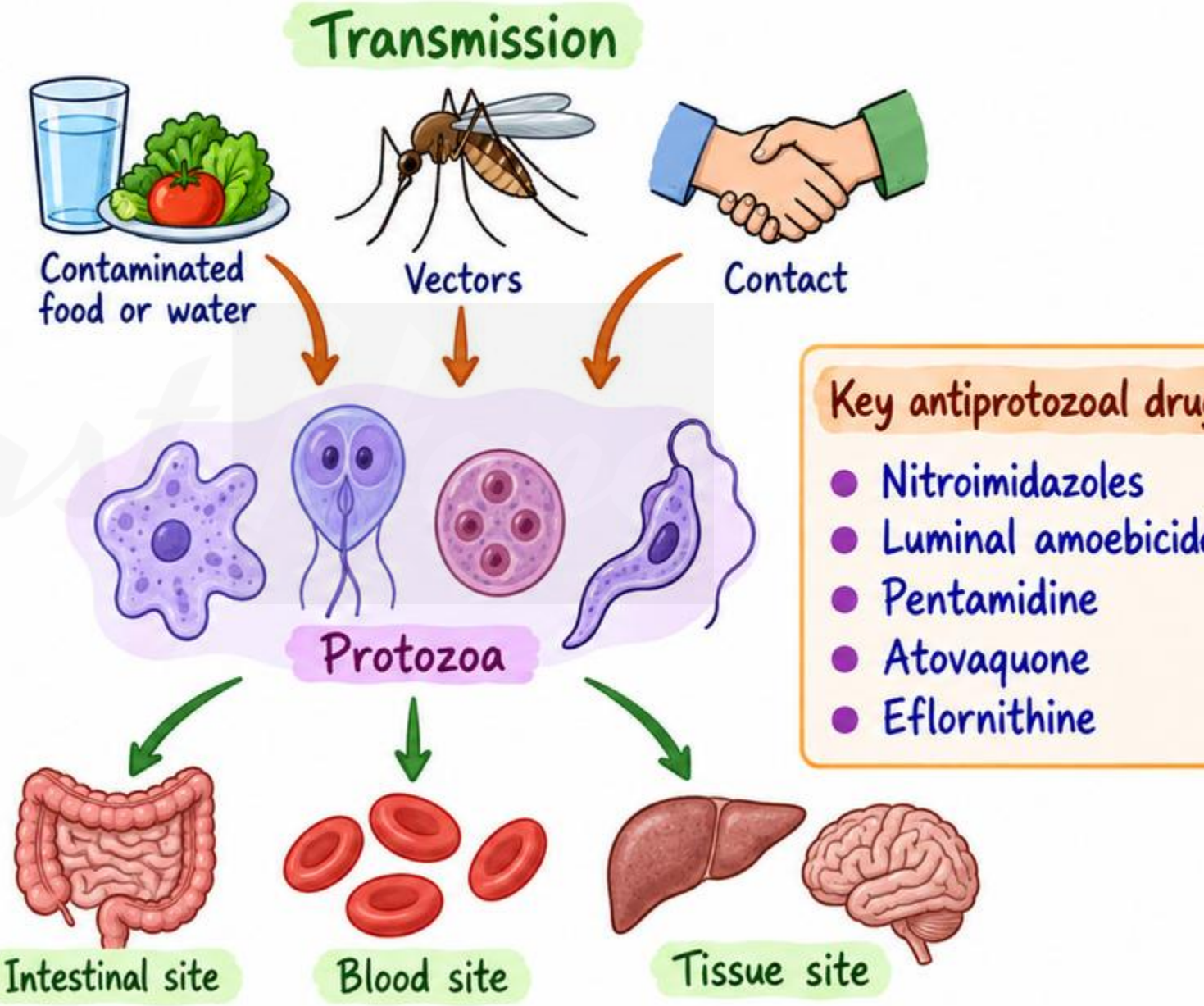
Antiprotozoal Agents

PPT CHAPTER COVER



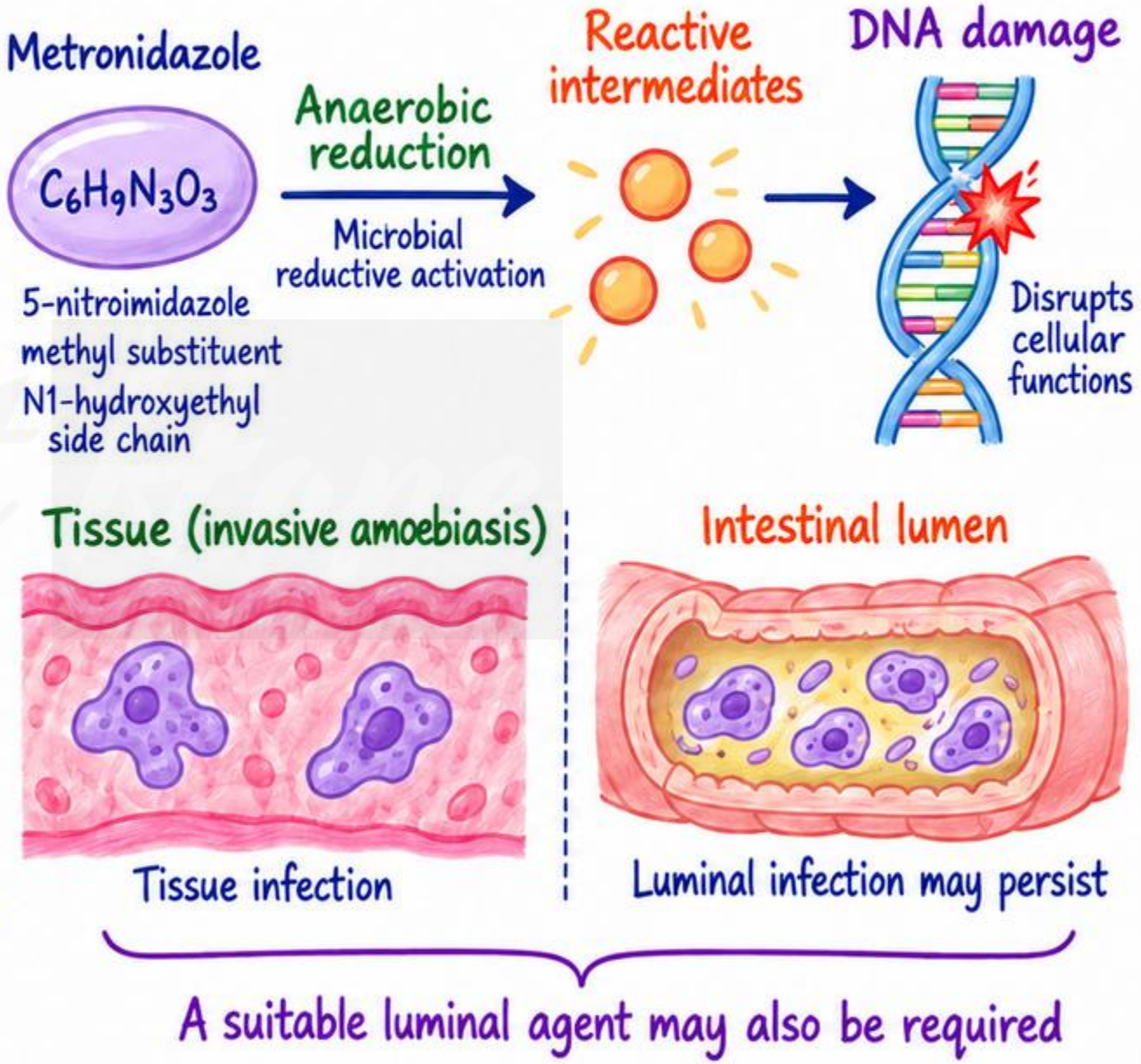
Protozoal infections: identify organism and site

- Protozoa are unicellular eukaryotes; some are harmless, while others cause infections such as amoebiasis, giardiasis, malaria and trypanosomiasis.
- Transmission may involve contaminated food or water, vectors or contact, depending on the organism.
- Antiprotozoal drugs target particular biochemical processes rather than all protozoa equally. Species, tissue site, disease stage and severity determine usefulness.
- The chapter focuses on nitroimidazoles, luminal amoebicides, pentamidine, atovaquone and eflornithine. Brand lists do not replace correct generic identity or current infection-specific treatment guidance.



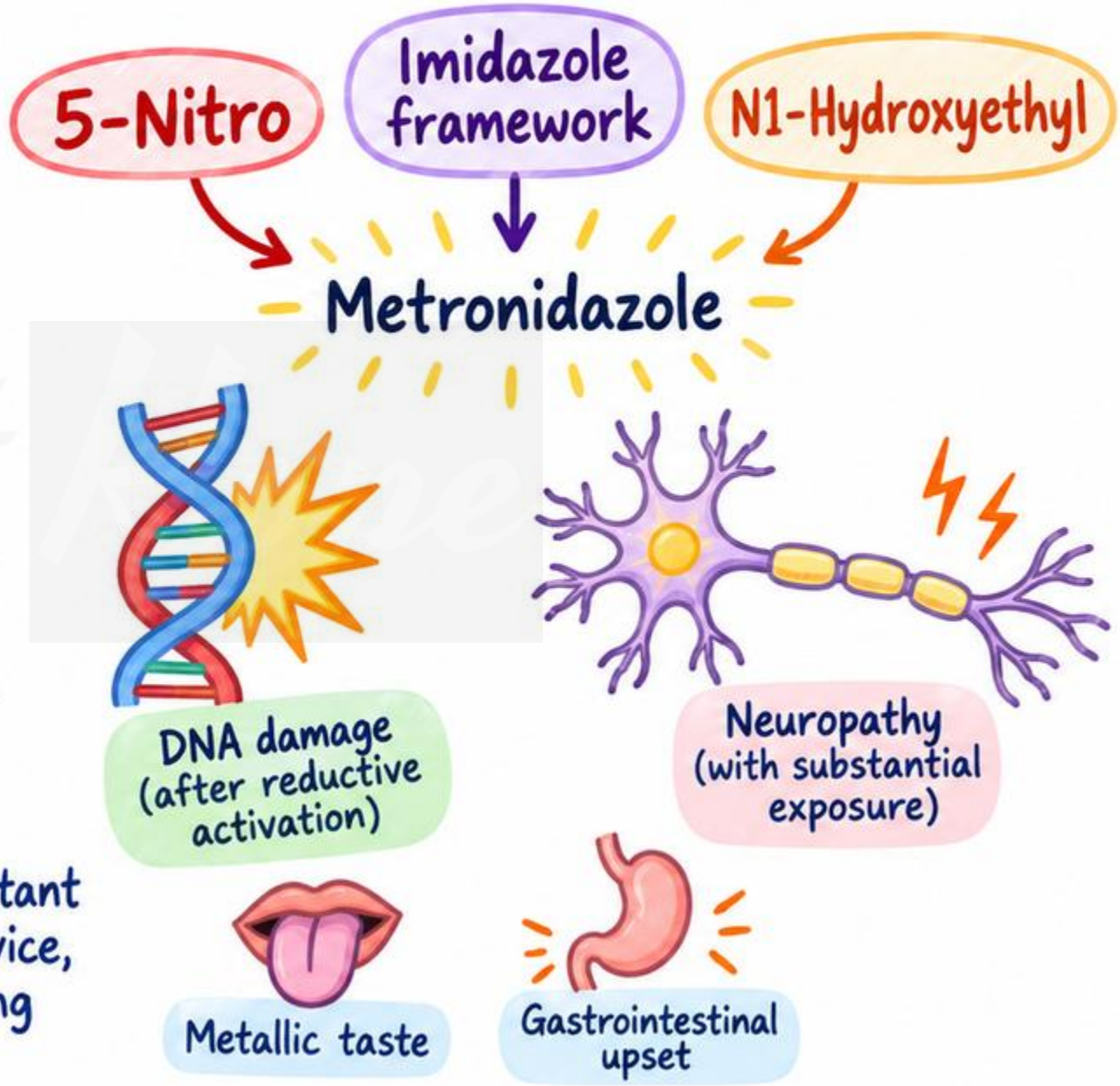
Metronidazole: activation and DNA injury

- Metronidazole is a 5-nitroimidazole, formula $C_6H_9N_3O_3$, with a methyl substituent and an N1-hydroxyethyl side chain.
- Reduction of the nitro group under suitable anaerobic conditions produces reactive intermediates that damage DNA and disrupt cellular functions. This is not accurately summarized as generic reactive-oxygen-species production.
- It is active against selected anaerobic bacteria and protozoa, including organisms responsible for amoebiasis, giardiasis and trichomoniasis.
- In invasive amoebiasis, tissue treatment does not necessarily eradicate intestinal luminal infection; a suitable luminal agent may also be required.



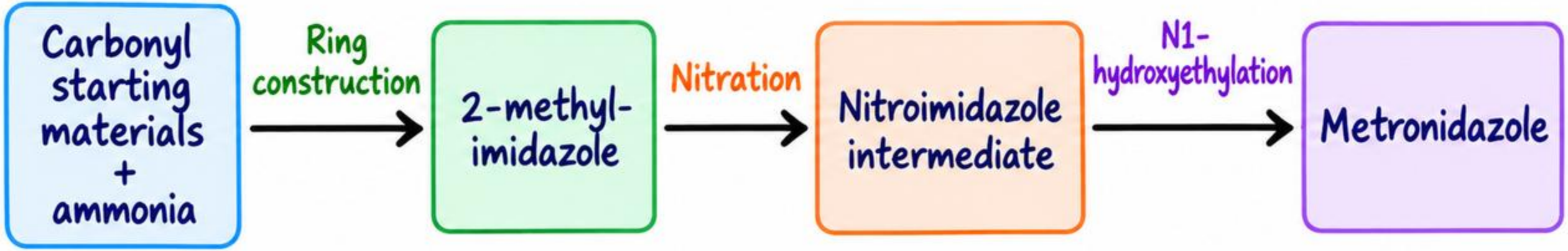
Metronidazole: SAR and precautions

- The 5-nitro group is critical to reductive activation. The imidazole framework and substituents influence reduction potential, uptake and pharmacokinetics.
- Metronidazole's N1 is substituted by a hydroxyethyl group; it is incorrect to claim that an unsubstituted N1 is required for this drug.
- Side-chain changes can alter properties, but there is no universal rule that every bulky substitution abolishes all nitroimidazole activity.
- Metallic taste, gastrointestinal upset and neuropathy with substantial exposure are important cautions. Follow product-specific interaction advice, including alcohol warnings, rather than assuming all related drugs have identical precautions.



Metronidazole synthesis

- A representative route constructs 2-methylimidazole from suitable carbonyl starting materials and ammonia.
- Nitration introduces the nitro substituent into the imidazole framework. Hydroxyethyl substitution at N1 then establishes metronidazole's side chain.
- The final product must have the correct nitro position and N-substitution pattern. A ring-label error changes chemical identity rather than merely changing the drawing style.
- Reaction order, selectivity and purification are process-dependent. This summary explains ring construction, nitration and N-alkylation; it is not a validated manufacturing procedure or an instruction for unsupervised chemical synthesis.



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