

11.5 Antimicrobial and anticancer drugs

Name: _____ Class: _____ Date: _____

Total: 24 marks

KEY WORDS selective toxicity

Objective

Targets by absence; schedules by cycle.

1 Key knowledge and worked examples

■ Two selectivities

Antibacterial targets human cells lack (wall, 30S/50S, gyrase, folate – MED08) ✓.
Anticancer by timing: S-phase antimetabolites (methotrexate, fluorouracil), M-phase vinca and taxanes, alkylators any phase ✓.

■ Worked example: the margin

Marrow, gut and hair cycle too – the narrow margin writes the toxicity list ✓. Fractionation meets more cells in their vulnerable phase.

2 Practice

2.1 Name the two axes of selectivity (one word each). [3]

2.2 In which phase do antimetabolites act? [3]

2.3 Which anticancer class is cycle-independent? [3]

3 Exam-style questions

3.1 Three illustrative anticancer agents: methotrexate, a vinca alkaloid, an alkylator.

Assign the cell-cycle phase of each (S; M; any) with the mechanism ✓. Explain why fractionating the S-phase agent over time meets more cells in phase than the same total as one bolus ✓. Predict the three tissues that pay for the narrow margin ✓. [8]

3.2 A fictional antibacterial fails despite an intact target map.

Give two resistance mechanisms from MED08 (β -lactamase opening the ring; altered target PBP2a) and the arithmetic behind combinations (mutation supply 10^{-7} – 10^{-9} per cell per generation; two independent hits multiply) ✓. [7]

Solutions

2.1

- Absence (bacteria) and timing (cancer) ✓.

2.2

- S-phase (DNA synthesis) ✓.

2.3

- The alkylators – DNA damage regardless of phase ✓.

3.1

- Methotrexate: S-phase (antifolate, blocks nucleotide synthesis) ✓.
- Vinca: M-phase – spindle assembly fails ✓.
- Alkylator: any phase ✓.
- Fractionation: cells arrive in S over time; spread dosing meets more of them ✓.
- Tissues that pay: marrow, gut mucosa, hair follicles ✓.

3.2

- β -lactamase hydrolyses the ring before the target ✓.
- PBP2a: the target reshaped, binding lost ✓.
- Combinations: two independent mutations multiply to $\sim 10^{-14}$ – 10^{-18} – effectively none in the culture ✓.