MUNI
MED

Learning unit: Cytostatics and targeted anticancer drugs

Important terms

anticancer drugs

- cytostatic agents
  - adverse effects of cytostatics and their pharmacological management
    - myelosuppression (bone marrow toxicity)
      - haemopoietic growth factors
    - nausea and vomiting
    - mucosal toxicity (mucositis, stomatitis, gastrointestinal ulceration)
    - cardiotoxicity
    - nephrotoxicity and urotoxicity
      - mesna
      - hydration and urinary alkalisation
      - diuretics
    - neurotoxicity
    - other side effects

  - classification of cytostatic agents according to their mechanisms of action
    - drugs with direct effects on the nucleic acid structure
      - alkylating agents
        - cyclophosphamide
        - melphalan
        - busulfan
        - temozolomide
        - nitrosourea derivatives
        - platinum compounds
          - cis**platin**
          - oxali**platin**
          - carbo**platin**
      - intercalating agents
        - anthracyclines
          - doxo**rubicin**
          - epi**rubicin**
    - drugs influencing nucleic acid metabolism
      - antimetabolites
        - interfering with nucleic acid function
        - methotrexate
        - 6-mercaptopurine
        - 5-fluorouracil
      - other cytostatics interfering with metabolism
        - asparaginase
    - topoisomerase inhibitors
      - topoisomerase I inhibitors
        - irino**tecan**
      - topoisomerase II inhibitors
        - eto**poside**
    - drugs influencing microtubule assembly and function

        - vinca alkaloids
            - **vin**orelbine
            - **vin**blastine
        - taxanes
            - pacli**taxel**
            - doce**taxel**
    - other agents
        - bleomycin
        - hydroxyurea (hydroxycarbamide)

- hormonal anticancer therapy
    - progestogens
    - antioestrogens
        - oestrogen receptor antagonists
            - fulvestrant
        - tissue selective dualists
            - tam**oxifen**
        - peripheral aromatase inhibitors
            - anas**trozole**
            - le**trozole**
            - exemestane
    - antiandrogens
        - androgen receptor antagonists
            - cyproterone acetate
            - f**lutamide**
            - bica**lutamide**
    - GnRH antagonists/gonadoliberin analogs
        - gose**relin**
    - glucocorticoids

- targeted anticancer drugs
    - monoclonal antibodies (-mab) – including immune checkpoint inhibitors
    - tyrosine kinase inhibitors (-tinib)
    - representatives
        - anti-CD20
            - rituxi**mab**
        - anti-BCR-ABL
            - ima**tinib**
        - anti-HER2
            - trastuzu**mab**
        - anti-EGFR
            - erlo**tinib**
        - anti-VEGF
            - bevacizu**mab**
            - suni**tinib**
        - T-cells activity modulators
            - anti-PD-1
                - nivolu**mab**
            - anti-CTLA-4
                - ipilimu**mab**

complementary anticancer therapy (other pharmacological interventions)

- drugs used for the management of cancer pain
    - opioid analgesics
- psychotropic drugs in the therapy of cancer pain
    - tricyclic antidepressants
    - anticonvulsants
- bisphosphonates
        - zoledronate
        - ibandronate
        - clodronate
- denosumab

drugs used to decrease toxicity of anticancer drugs

- mesna
- leucovorin (calcium folinate)

specificity of cytostatics related to the cell cycle phases

pharmacotherapy of cancer diseases

- adjuvant, neoadjuvant pharmacotherapy
- curative / supportive therapy and palliative care
- induction / consolidation therapy

Learning outcomes

Student defines the cytostatic and chemotherapeutic agents.

Student knows basic pharmacological profile (mechanism of action, adverse effects, indications, contraindications) of the particular groups of anticancer drugs and other drugs used in oncology (e.g., drugs used to mitigate some side effects of cytostatic drugs).

Student gives examples of drugs decreasing toxicity of cytostatics and explain their mechanisms of action.

Recommended study materials

Rang & Dale's Pharmacology E – Book, Humphrey Rang, 9th edition, 2020 (chapter 57; additional text - chapter 37)

Study materials of the course aVLFA0822c and aVLFA0822p.

Exam questions

Special pharmacology: 45. Alkylating cytostatics and other drugs aiming on DNA in oncology; 46. Targeted treatment in oncology; 47. Antimetabolites + hormonal therapy in oncology

Essential drugs: 1. 5-fluorouracil, 16. cisplatin, 17. cyclophosphamide, 26. doxorubicin, 45. imatinib, 48. interferons, 76. methotrexate, 82. nivolumab, 88. paclitaxel, 104. tamoxifen, 108. trastuzumab