# Medicinal Chemistry 562 -Midterm 2-

**November 13, 2019** 

Key

Student name:

#### 1. Bacillus Calmette Guerin (BCG) is a vaccine. As discussed in class, what is true about BCG?

#### A. It is useful for treating superficial bladder cancer

- B. It specifically kills cancer cells
- C. It is administered IV
- D. A and B
- E. A and C

### 2. As discussed in class, polymorphic metabolism is an important aspect of the metabolism of irinotecan. What enzyme is involved in this polymorphism?

- A. Thiopurine methyltransferase (TPMT)
- B. Thymidylate synthetase (TS)

#### C. Uridine glucuronyltransferase (UGT1A1)

- D. Dihydropyrmidine dehydrogenase (DPD)
- E. Topoisomerase I (Topo I)

#### 3. CAR-T cell therapy involves some special characteristics. Which of the following are true?

- A. Its use requires extra data collection called Risk Evaluation and Mitigation Strategy (REMS)
- B. Its use requires lymphodepletion prior to reinfusion of the modified T cells
- C. Its use is presently limited to use of autologous T cells
- D. A and B
- E. A, B and C

### 4. Extravasation injury can occur with some anticancer agents. As discussed in class, what is true about this type of injury?

A. It can be caused by agents such as the anthracyclines and steroid hormone drugs

#### B. It is caused by leakage of drug out of the vein and into the tissues at or near the infusion site

- C. It can be treated with the protective agent mercaptoethane sulfonate (MesNa)
- D. A and B
- E. A and C

### 5. Etoposide is an older but useful anti-cancer agent and the structure is below. What is true about etoposide?

A. It interferes with the mitotic spindle (the microtubules)

#### **B. It intercalates into DNA**

C. It causes cardiotoxicity due to formation of reactive oxygen species (ROS)

- D. A and B
- F. B and C

6. As discussed in class Dactinomycin is an antibiotic type of anticancer agent and its structure is below. What is true about Dactinomycin?

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- A. It can intercalate into DNA
- B. It is very potent
- C. It can form reactive oxygen species (ROS)
- D. A and B
- E. A, B and C
- 7. This supportive agent is polar and is specifically used to protect against bladder cancer caused by agents such as ifosfamide or cyclophosphamide.
- A. Amifostine (Ethyol)
- B. Mercaptoethyl sulfonate (MesNa)
- C. N-acetylcysteine (NAC)
- D. Glucarpidase (Voraxaze)
- E. None of the above
- 8. Bevacizumab (Avastin) inhibits vascularization of tumors. Which of the following are not true?
- A. Bevacizumab causes QT prolongation
- B. Bevacizumab binds the ligand VEGF as its mechanism of action
- C. Bevacizumab can cause hemorrhaging and stroke
- D. A and C
- E. B and C
- 9. Aromatase (CYP19) is an important enzyme target in oncology. What is true about this enzyme?
- A. It is expressed highly in the testes of men and the ovaries of premenopausal women
- B. It metabolizes androgens into estrogens
- C. It's not a real P450 enzyme
- D. A and B
- E. B and C
- 10. The "setron" antiemetic agents are useful for reducing nausea and vomiting during cancer chemotherapy. What is true about these agents?
- A. They all act as serotonin antagonists
- B. They tend not to be metabolized by hepatic P450 enzymes
- C. They all have high potential to cause QT prolongation
- D. A and B
- E. A and C

#### 11. Epoetin (Procrit) is a type of supportive agent. Which of the following are true for this agent?

- A. It can be used for treating anemia
- B. Its use is associated with a higher incidence of death in cancer patients
- C. It can be used for any type of cancer
- D. A and B
- E. A, B, and C
- 12. Lapatinib (Tykerb) causes hepatotoxicity, and Erlotinib (Tarceva) causes lung toxicity. Even though these are different organs, the mechanism of toxicity is believed to be highly similar. As discussed in class, how are these drugs believed to cause toxicity in those organs?
- A. They can be metabolized into reactive metabolites (e.g. quinones or quinone imines)
- B. They can form reactive oxygen species (ROS)
- C. They can cause extravasation injury
- D. A and B
- E. A, B, and C
- 13. Sorafenib (Nexavar) is a useful anticancer agent and its structure is below. Administration of sorafenib can result in many kinds of toxicities including rare cases of cardiac ischemia, hemorrhage, and hypertension. Which of the following are possible reasons why these rare toxicities occur?

- A. Sorafenib must be taken while fasting
- B. Sorafenib makes reactive metabolites
- C. Sorafenib inhibits several tyrosine kinases
- D. A and C
- E. None of the above
- 14. Topotecan is a useful oncology agent. What is true about this agent?
- A. It is a prodrug
- B. It can inhibit topoisomerase I
- C. It can form reactive oxygen species
- D. A and B
- E. B and C
- 15. The bisphosphonates are a useful set of agents. As discussed in class, what is true about bisphosphonates?
- A. They mimic the endogenous molecule ATP
- B. They make bones harder and resistant to fracture
- C. They might prevent or at least reduce metastases in some types of cancer
- D. A and B
- E. B and C

### 16. Everolimus (Afinitor, Zortress) can cause immunosuppression and sometimes is used in organ transplantation. Which kinase does it inhibit that is responsible for immune suppression?

- A. C-kit
- B. EGFR1
- C. PTEN

#### D. mTOR

E. Bcr-Abl

#### 17. G-CSF and GM-CSF are useful agents in the oncology setting. What is true about these agents?

- A. Both are colony stimulating factors but G-CSF is more specific for neutrophil stimulation
- B. Both should be used with caution when other myeloproliferative agents are administered
- C. Both are proteins but can be administered IV and PO
- D. A and B
- E. A, B, and C

### 18. Tamoxifen is an old antiestrogen drug that is useful for treating some types of breast cancer. Which of the following are true about tamoxifen?

- A. It is useful for ER+ breast cancer
- B. It is subject to polymorphic metabolism by CYP2D6
- C. It is converted into an active metabolite called endoxifen
- D. A and C
- E. A, B, and C

### 19. Denosumab (Xgeva) is an agent that is approved for use of reducing skeletal events (bone fractures) related to bone metastases. As discussed in class, what is true about this agent?

- A. It's an example of a chimeric antibody
- B. It binds to the RANK ligand (RANKL) which is involved in bone resorption by osteoclasts
- C. It is relatively well tolerated and will not cause ONJ (osteonecrosis of the jaw)
- D. A and B
- E. A, B, and C

#### 20. Cetuximab (Erbitux) and panitumumab (Vectibix) are antibodies that target EGFR-1. Which of the following statements are true about these agents?

#### A. They bind the extracellular domain of EGFR-1

- B. They prevent phosphorylation of EGFR-1 by blocking access of ATP to the kinase domain
- C. KRas mutations do not alter the efficacy of these agents
- D. B and C
- E. A and C

### 21. Brentuximab vedotin is a useful agent for treating certain B cell lymphomas. As discussed in class, what is true about this agent?

- A. It targets the CD30 antigen on B cells
- B. It is conjugated to a radioisotope
- C. Its use is associated with rare cases of PML (due to the JC virus)
- D. A and B
- E. A and C

### 22. The structure of pomalidomide is shown below and it is a useful agent for treating multiple myeloma. As discussed in class, what is true about this agent?

$$NH_2$$
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- A. It can form reactive oxygen species (ROS)
- B. It can intercalate into DNA
- C. It can be assumed to be teratogenic (cause birth defects)
- D. A and E
- E. B and C

#### 23. Which of the following proteins are targets of immune checkpoint therapy?

- A. PD-1
- B. CD20
- C. CTLA-4
- D. A and B
- E. A and C

## 24. Trastuzumab Emtansine (Kadcyla) is a useful anticancer agent and its labeled use is as follows: For use in HER2+ breast cancer, following progression after treatment with trastuzumab + chemotherapy. As discussed in class, what is true about Kadcyla?

- A. It can be used first or second line
- B. It can cause cardiotoxicity (heart toxicity)
- C. HER2 testing is required before its use
- D. A and B
- E. B and C

### 25. Ibritumomab (Zevalin) and Rituximab (Rituxan) both target CD20. Which of the following are true about these agents?

- A. Both are used for B-cell non-Hodgkin's lymphoma
- B. Ibritumomab is less likely to cause a human anti-murine antibody (HAMA) response
- C. Ibritumomab is an antibody drug conjugate
- D. A and B
- E. A and C

### 26. CAR-T cell therapy is an emerging new type of anticancer therapy. As discussed in class, what is true about CAR-T cell therapy?

- A. It involves the isolation, modification, and reinfusion of a patient's T cells
- B. It can be associated with serious cytokine release syndrome
- C. It is presently used for both early and relapsed or refractory disease
- D. A and B
- E. A, B and C

### 27. Some drugs cause QT prolongation which can lead to heart arrhythmia (also called Torsade de Pointes). What is true about QT prolongation?

- A. Antiestrogen type drugs never cause QT prolongation
- B. Women are a little more susceptible to QT prolongation than men
- C. QT prolongation can be fatal
- D. A and B
- E. B and C
- 28. The structure of Doxorubicin is shown below. As discussed in class, this agent has more than one mechanism of action. These mechanisms include the following:

- A. It can intercalate into DNA
- B. It can inhibit thymidine formation
- C. It can form reactive oxygen species (ROS)
- D. A and B
- E. A and C

### 29. Bicalutamide (Casodex) is a useful anticancer agent of the hormonal class. What is true about this agent?

- A. It can bind the androgen receptor (AR) in an antagonistic or non-productive manner
- B. It can cause reduction in the level of the AR
- C. It can inhibit CYP17
- D. A and B
- E. A, B and C
- 30. The glucocorticoids (prednisone, prednisolone, and dexamethasone) are often used in oncology. As discussed in class, what is true about these agents?
- A. They don't really kill or inhibit cancer cells
- B. They are used only in the setting of oncology
- C. The most potent is dexamethasone
- D. A and B
- E. A and C

31. Abiraterone acetate is a useful anticancer agent and its structure is below. What is true about this agent?

A. It can directly inhibit CYP19 (estrogen biosynthesis)

B. It can directly inhibit CYP17 (androgen biosynthesis)

C. It can cause reduction in the level of androgen receptor (AR)

D. A and B

E. B and C

32. Gardisil 9 is a useful vaccine. As discussed in class, what is true about this agent?

A. It is targeted against HPV 16 and 18 which can cause cervical and/or oral cancers

B. It is also targeted against HPV 6 and 11 which can cause genital warts

C. It is given as a single injection

D. A and B

E. A, B, and C

33. Imatinib (Gleevec) is an older anticancer agent. Its structure is below and caution is stated about its use in combination with agents like ketoconazole, erythromycin, and grapefruit juice. What is true about this agent?

A. It inhibits the kinase Bcr-Abl

B. It will be charged (negative) at pH 7

C. It is metabolized by P450 enzymes

D. A and B

E. A and C

34. As discussed in class, the best (most reliable) data about cancer drugs is obtained through the conduct of clinical trials. True (A) or False (B)?

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