**Chapter 1: Some Basic Pharmacology**

***Chapter Overview***

In this introductory chapter of *Drugs and Behavior*, students are presented with basic information about the naming of drugs and the process of pharmaceutical drug development. Additionally, key pharmacological concepts are introduced to promote student understanding of the myriad of drug effects that are presented in subsequent chapters. Examples of such concepts include dose–response curves; comparisons of drug potency, efficacy, and safety; types of drug interactions; routes of drug administration and their relationship to drug pharmacokinetics; factors that influence drug distribution and bioavailability; and factors that alter drug metabolism. The information in Chapter 1 is written for students who may be new to the field of pharmacology, yet in enough detail so as to build a base of understanding for future learning.

***Chapter Outline/Notes***

* A *drug* is any substance that alters the physiology of the body but is not a food or a nutrient. Devising a perfect definition of the term *drug* is complicated by the need to understand the intentions of the substance user.
* A single drug substance may be known by many names, including a *chemical name*, a *generic name*, one or more *trade name*s, and multiple *street name*s.
* Before they can gain approval for sale as pharmaceuticals, newly discovered or synthesized chemical entities must be extensively tested. This process involves a series of stages, including *preclinical testing* and multiple phases of *clinical testing*.
* Drug doses are most often described in terms of their concentration in the body, reported as milligrams of drug per kilogram of body weight (*mg/kg*).
* *Dose–response curves* are graphed to illustrate the relationship between the dose of a drug and its physiological or behavioral effects on an animal.
* The *ED50* (*median effective dose*) of a drug is the dose at which 50% of individuals tested demonstrate the drug effect being measured. It may also refer to the dose at which a single individual exhibits an effect equivalent to 50% that of the maximum effect the drug will produce at any dose.
* The *LD50* (*median lethal dose*) of a drug is the dose at which the drug proves lethal in 50% of individuals tested.
* A drug’s safety profile can be described by the *therapeutic index* (*TI*), calculated by the formula LD50 ÷ ED50. When comparing two drugs, the one with the higher TI is the safer drug.
* When comparing two drugs that produce the same effect, the drug with the lower ED50 is the more *potent* drug. The drug with the greater maximum effect is the more *effective* drug.
* When drugs are co-administered, their effects can interact in several ways. If one drug diminishes the effect of another, the interaction is called *antagonism*. If one drug increases the effect of another, the interaction is *additive* or perhaps *superadditive*.
* Of the many behavioral and physiological effects a single drug may have on an individual, the one for which the drug was taken is called the *primary effect* or *main effect* and all others, harmful or otherwise, are *side effects*.
* *Pharmacokinetics* is the study of how a drug moves around the body. It involves the processes of *absorption*, *distribution*, and *elimination*.
* Drugs may be administered via various routes. *Parenteral* routes of administration involve injection of a drug through the skin. These routes include *subcutaneous*, *intramuscular*, *intraperitoneal*, *intravenous*, *intrathecal*, *intracerebroventricular*, and *intracerebral* injections. Drugs may also be administered by *inhalation*, taken *orally*, or administered *transdermally*. The route of administration will influence the pharmacokinetic profile of the drug.
* The *lipid solubility* of a drug is greatly reduced when its molecules are *ionized* (i.e., when they carry an electric charge). Because ionization prevents drug molecules from diffusing across cell membranes, they are poorly absorbed from the digestive tract when the drug is orally administered and are slower to pass through the *blood-brain barrier*.
* The percentage of ionized drug molecules in solution depends on: whether the drug is an acid or a base, whether it is dissolved in an acid or a base, and the *pKa* of the drug.
* The *pKa* of a drug is the pH at which half its molecules are ionized.
* Factors that affect the distribution of a drug throughout the body include: the drug’s lipid solubility, the trapping of ionized drug molecules on one side of a membrane, the presence of the blood-brain or placental barrier, the functioning of passive and active transport mechanisms, and the binding of drug molecules to large proteins.
* The liver functions as a chemical factory, producing *enzymes* that participate in the biotransformation of drug molecules to yield *metabolites* that are subsequently excreted from the body.
* Drugs that are administered orally are subject to *first-pass metabolism*, the transformation of drug molecules by digestive-system enzymes.
* In the kidney, most of the fluid in the blood is released into one end of the *nephron*. As the fluid passes through, water and nutrients are reabsorbed. Ionized drug molecules and many metabolites are not reabsorbed. They pass through the length of the nephron and are excreted from the body in urine.
* The *half-life* of a drug is a measure of the amount of time required for the body to eliminate half of a given blood level of the drug.
* Factors that alter drug metabolism include the stimulation or depression of enzyme systems responsible for the biotransformation of drug molecules, as well as an individual’s age, sex, and species.
* St. John’s wort stimulates the production of *CYP3A4*, a member of the *cytochrome P450* superfamily of enzymes responsible for the metabolism of many pharmaceutical drugs.
* When given for therapeutic purposes, the aim is to administer a drug so that blood levels are maintained within the *therapeutic window*: the range in which the drug level is high enough to produce a therapeutic effect but low enough so as to diminish undesirable side effects.

***Multiple Choice Questions***

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| 1-1. Which of the following greatly contributes to the difficulty in devising a precise definition of a *drug*? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** What is a Drug?  ***Difficulty:*** Moderate  ***Bloom’s level:*** |
| 1. Some drugs do not alter the physiology of the body. |
| 1. Some substances are used both as recreational and as pharmaceutical drugs. |
| 1. The intentions of the person using the substance must be taken into consideration. |
| 1. Environmental toxins can act like drugs. |
| 1. Certain foods can act like drugs. |

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| 1-2. Which of the following represents a chemical name of a drug? | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:*** Moderate  ***Bloom’s level:*** |
| 1. SKF 10,047 |
| 1. phenobarbital |
| 1. JWH-018 |
| 1. 1-phenylpropan-2-amine |
| 1. MDMA |

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| 1-3. Which of the following types of drug names is devised systematically using a series of stems, such as -*caine*, that indicate the class or function of the drug? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Trade name |
| 1. Chemical name |
| 1. Generic name |
| 1. Street name |
| 1. Proprietary name |

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| 1-4. When a drug name such as *SKF 10,047* is used, the letters refer to | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the medical condition for which the drug is prescribed. |
| 1. the chemical formula of the drug’s active ingredient. |
| 1. the government classification of the drug. |
| 1. the name of the drug company manufacturing the drug. |
| 1. the abbreviated trade name of the drug. |

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| 1-5. Which of the following is a type of drug name that can be patented? | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:*** Easy  ***Bloom’s level:*** |
| 1. Trade name |
| 1. Chemical name |
| 1. Generic name |
| 1. Street name |
| 1. Nonproprietary name |

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| 1-6. Strictly speaking, a drug’s trade name refers to | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the active ingredient contained in the drug. |
| 1. the drug’s formulation. |
| 1. the excipients contained in the drug. |
| 1. the company that manufactures the drug. |
| 1. the medical classification of the drug. |

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| 1-7. A drug’s *formulation* refers to | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Answer:*** D |
| 1. the form in which a medication is marketed, such as a pill, liquid, or aerosol spray. |
| 1. the active ingredient contained in a medication. |
| 1. the recommended dose of a medication. |
| 1. the combination of excipients and active ingredients contained in a medication. |
| 1. the commonly experienced side effects of a medication. |

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| 1-8. Which of the following is an *excipient*? | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Fillers |
| 1. Coloring and binding agents |
| 1. Flavors and preservatives |
| 1. Coatings |
| 1. All of the above are excipients |

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| 1-9. Which of the following types of drug names is most likely to vary significantly across place and time? | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Naming Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Trade name |
| 1. Chemical name |
| 1. Generic name |
| 1. Street name |
| 1. Nonproprietary name |

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| 1-10. During which of the following stages of pharmaceutical drug development would investigators employ both *in vitro* and *in vivo* research techniques? | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Box 1-1. The Process of Pharmaceutical Drug Development  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Preclinical testing |
| 1. Phase I of clinical testing |
| 1. Phase II of clinical testing |
| 1. Phase III of clinical testing |
| 1. Phase IV of clinical testing |

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| 1-11. During which of the following stages of pharmaceutical drug development would investigators focus on assessing the investigational drug’s pharmacokinetic profile? | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Box 1-1. The Process of Pharmaceutical Drug Development  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Preclinical testing |
| 1. Phase I of clinical testing |
| 1. Phase II of clinical testing |
| 1. Phase III of clinical testing |
| 1. Phase IV of clinical testing |

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| 1-12. What is meant by the term “off-label use” of a drug? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Box 1-1. The Process of Pharmaceutical Drug Development  ***Difficulty:***  ***Bloom’s level:*** |
| 1. The drug is taken at higher-than-recommended doses. |
| 1. The drug is taken more frequently than outlined in the dosing schedule. |
| 1. The drug is prescribed to treat a condition for which it has not been approved by the licensing authority. |
| 1. The drug is sold on the street for recreational use. |
| 1. The drug’s label is adhered to a different prescription-drug bottle. |

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| 1-13. In research papers, the administered dose of a drug is nearly always stated in | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. milligrams of drug per kilogram of body weight (mg/kg). |
| 1. milligrams of drug per pound of body weight (mg/lb). |
| 1. micrograms of drug per kilogram of body weight (μg/kg). |
| 1. micrograms of drug per pound of body weight (μg/lb). |
| 1. milligrams of drug per metabolic rate (mg/mr). |

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| 1-14. A researcher is interested in making a cross-species comparison of the effect of a stimulant drug on motor activity. She plans to administer the drug to both rats and humans and to track the total distance traveled during the 60 minutes following the injection. In conducting this experiment, what is the researcher most likely to do? | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. She will likely administer a higher dose of the drug to the humans. |
| 1. She will likely perform mathematical calculations to determine the amount of drug required in order to reach similar bodily concentrations in the rats as in the humans. |
| 1. She will likely consider differences in metabolic rate and body composition between rats and humans. |
| 1. She will likely account for differences in body size (and leg length) between rats and humans. |
| 1. She will likely do all of the above. |

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| 1-15. The behavioral and physiological effects of a drug are most directly related to | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the concentration of the drug in the body. |
| 1. the dose of the drug. |
| 1. the number of pills consumed. |
| 1. the size of the tablet containing the active ingredient. |
| 1. the concentration of the vehicle. |

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| 1-16. Which of the following is *false*, when it comes to dose–response curves? | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. The range of drug doses plotted on a dose–response curve graph should include one so low that there is no detectable effect. |
| 1. The range of drug doses plotted on a dose–response curve graph should include one so high that further increases in dose have no further effect. |
| 1. The range of drug doses is indicated along the horizontal (x) axis of the graph, while the drug effect is indicated along the vertical (y) axis. |
| 1. Generally, a small change in a large drug dose can exert a big effect, whereas a small change in a low drug dose rarely exerts any measurable effect. |
| 1. Drug doses are most often plotted along a scale that is graduated logarithmically. |

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| 1-17. The dose scale on a dose–response curve is usually expressed in | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. log units. |
| 1. exponents of drug dose. |
| 1. whole numbers. |
| 1. multiples of 10. |
| 1. percentages of drug dose. |

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| 1-18. Dose–response curves are often plotted on a log scale because | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. log scales are least sensitive to fluctuations in dose. |
| 1. many physiological effects of a drug appear as a straight line when plotted on a log scale. |
| 1. plotting on a log scale permits greater precision at the high end of the dosage range. |
| 1. plotting on a log scale permits greater precision at the low end of the dosage range. |
| 1. both b. and d. are correct. |

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| 1-19. The ED50 is the | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. median lethal dose of a drug. |
| 1. median effective dose of a drug. |
| 1. mean lethal dose of a drug. |
| 1. mean effective dose of a drug. |
| 1. dose of a drug used to treat erectile dysfunction in men over the age of 50. |

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| 1-20. The LD1 is the | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. dose of a drug that will kill 99% of experimental subjects. |
| 1. dose of a drug that will kill 1% of experimental subjects. |
| 1. dose of a drug that will be effective in 99% of experimental subjects. |
| 1. dose of a drug that will be effective in 1% of experimental subjects. |
| 1. dose of a drug that will lower diagnostic rates of a particular condition by 1%. |

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| 1-21. The dose of a drug that kills 50% of the experimental subjects tested is called the | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. semi-lethal dose. |
| 1. mean lethal dose. |
| 1. median lethal dose. |
| 1. median effective dose. |
| 1. mean effective dose. |

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| 1-22. If a particular drug has an ED50 of 36 mg/kg and an LD50 of 360 mg/kg, the TI of the drug is | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. 0.1. |
| 1. 1.0. |
| 1. 10.0. |
| 1. 100.0. |
| 1. none of the above. The TI cannot be calculated using the numbers provided. |

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| 1-23. When comparing the TI of two drugs, | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Describing Dosages  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the drug with the lower TI is safer. |
| 1. the drug with the higher TI is safer. |
| 1. the drug with the lower TI is the most therapeutically useful. |
| 1. the drug with the higher TI is the most therapeutically useful. |
| 1. the drug with the higher TI is more potent. |

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| 1-24. Drug A and Drug B both suppress appetite to the same extent, but Drug A has an ED50 of 115 mg/kg whereas Drug B has an ED50 of 50 mg/kg. We can conclude, therefore, that | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Potency and Effectiveness  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Drug A is more potent than Drug B. |
| 1. Drug A is more effective than Drug B. |
| 1. Drug A is less potent than Drug B. |
| 1. Drug A is less effective than Drug B. |
| 1. these drugs are not directly comparable because of their different ED50 values. |

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| 1-25. Drug A and Drug B are appetite suppressants that share the same ED50. At their most effective dose, Drug A will cause rats to reduce food consumption by 50% whereas Drug B will cause rats to reduce food consumption by 30%. We can conclude, therefore, that | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Potency and Effectiveness  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Drug A is more potent than Drug B. |
| 1. Drug A is more effective than Drug B. |
| 1. Drug A is less potent than Drug B. |
| 1. Drug A is less effective than Drug B. |
| 1. these drugs are not directly comparable because they reduce food intake by differing amounts. |

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| 1-26. When taken alone, the drug Nilatall has no effect whatsoever on heartrate. However, when taken in combination with the drug Somatall, Nilatall greatly amplifies Somatall’s ability to increase heartrate. In this instance, what type of drug interaction is occurring? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Drug Interactions  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Antagonism |
| 1. An additive effect |
| 1. A superadditive effect |
| 1. Blockade |
| 1. There is no drug interaction taking place in this instance |

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| 1-27. A researcher analyzes the interaction between two investigational drugs. He begins by plotting the dose–response curve for the first drug, administered alone. He then administers both drugs in combination and plots a second dose–response curve. When the two drugs are co-administered, the dose–response curve is shifted significantly to the right. He concludes, therefore, that the interaction between the two drugs is | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Drug Interactions  ***Difficulty:***  ***Bloom’s level:*** |
| 1. antagonistic. |
| 1. agonistic. |
| 1. additive. |
| 1. superadditive. |
| 1. potentiated. |

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| 1-28. Jane has contracted influenza and takes 325 mg of Aspirin to bring down a high fever. An hour later, not only has her fever been reduced, but her headache is gone and her throat feels less sore. Which of the following is true? | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Primary Effects and Side Effects  ***Difficulty:***  ***Bloom’s level:*** |
| 1. The reduction in headache is a primary effect. |
| 1. The reduction in fever is a primary effect. |
| 1. The reduction in throat pain is a primary effect. |
| 1. The reductions in headache, fever, and throat pain are all primary effects. |
| 1. The reductions in headache, fever, and throat pain are all side effects, whereas decreased inflammation is a primary effect. |

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| 1-29. *Pharmacokinetics* is the study of | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Pharmacokinetics  ***Difficulty:***  ***Bloom’s level:*** |
| 1. how a drug gets into the bloodstream. |
| 1. where in the body a drug goes after it is administered. |
| 1. how a drug gets broken down in the body. |
| 1. how a drug leaves the body. |
| 1. how a drug moves around the body, which involves all of the processes listed above. |

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| 1-30. Drugs affect the operation of the body | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Pharmacokinetics  ***Difficulty:***  ***Bloom’s level:*** |
| 1. at all tissues with which the molecules come into contact. |
| 1. by altering the functioning of bodily organs. |
| 1. only at specific places in the body, called *sites of action*. |
| 1. only around the area of the body in which they were administered. |
| 1. only if administered directly, at the *site of action*. |

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| 1-31. A *vehicle* is | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the liquid in which a drug is dissolved or suspended so that it can be injected. |
| 1. the container used to transport a drug. |
| 1. the container used to store an unstable drug. |
| 1. a term used to refer to a needle and syringe. |
| 1. a transport mechanism that brings drug molecules across a cell membrane. |

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| 1-32. The high concentration of drug at the site of administration is called | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. a bolus. |
| 1. a concentration bubble. |
| 1. a diffusion gradient. |
| 1. the source of absorption (SOA). |
| 1. the point of maximum concentration (PMC). |

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| 1-33. Which of the following is not a *parenteral* route of drug administration? | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Intravenous |
| 1. Intramuscular |
| 1. Intraperitoneal |
| 1. Transdermal |
| 1. Subcutaneous |

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| 1-34. *Intraperitoneal* injections are more commonly given in | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. pigeons. |
| 1. humans. |
| 1. non-human primates. |
| 1. rodents. |
| 1. none of the above. Intraperitoneal injections are no longer commonly given in any species. |

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| 1-35. *Intrathecal*, *intracerebroventricular*, and *intracerebral* injections | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. are common routes of administration in recreational drug use. |
| 1. allow a drug to be administered directly into the central nervous system. |
| 1. tend to result in low drug bioavailability, due to the effects of first-pass metabolism. |
| 1. are not considered parenteral routes of drug administration. |
| 1. are common routes of administration in pharmaceutical drug use. |

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| 1-36. Which of the following routes of administration will likely result in the fastest rate of absorption of drug molecules into the bloodstream? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Subcutaneous |
| 1. Transdermal |
| 1. Intraperitoneal |
| 1. Intramuscular |
| 1. The rate of drug absorption will be similar across all of the above routes of administration. |

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| 1-37. One reason why gasses are used as general anesthetics is because | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. they are easily tolerated. |
| 1. they tend not to become concentrated in the liver, which lowers the risk of cirrhosis. |
| 1. they are prone to significant first-pass metabolism, which helps rid them from the body. |
| 1. their blood levels are easy to control because they can be exhaled. |
| 1. they have a safer therapeutic index. |

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| 1-38. When a drug is taken orally, the rate at which its molecules are absorbed from the digestive tract into the bloodstream is likely to be affected by | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. the pH of the drug. |
| 1. the pH of the contents of the digestive tract. |
| 1. the presence of food in the stomach. |
| 1. how quickly the drug moves out of the stomach and into the intestine. |
| 1. all of the above factors affect the rate of drug absorption. |

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| 1-39. The *olive oil partition coefficient* is a measure of a drug’s | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. pH level. |
| 1. pKa. |
| 1. bioavailability. |
| 1. lipid solubility. |
| 1. potency. |

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| 1-40. The *pKa* of a drug is the pH at which | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. half of its molecules are ionized. |
| 1. all of its molecules are ionized. |
| 1. its molecules dissolve in water. |
| 1. its molecules become basic. |
| 1. its molecules dissolve in olive oil. |

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| 1-41. If a drug is 50% ionized in the digestive tract, what percentage of its molecules will be absorbed, given enough time? | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Routes of Administration  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Nearly 0% |
| 1. 25% |
| 1. 50% |
| 1. 75% |
| 1. Nearly 100% |

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| 1-42. Drugs that are weak acids | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Distribution of Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. tend to become concentrated in the fluid on the more acidic side of a membrane. |
| 1. tend to become concentrated in the fluid on the more basic side of a membrane. |
| 1. may be strong enough to dissolve a cell membrane. |
| 1. cannot cross the blood-brain barrier. |
| 1. are very poorly absorbed from the digestive tract. |

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| 1-43. Which of the following statements is *false*, with regard to the transport of non-lipid-soluble substances across cell membranes? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Distribution of Drugs  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Both active and passive transport mechanisms exist to move non-lipid-soluble substances across membranes. |
| 1. Diffusion of substances across the cell membrane, from an area of high concentration to an area of low concentration, is considered a passive transport mechanism. |
| 1. Attachment of a non-lipid-soluble molecule to a carrier protein is considered an active transport mechanism. |
| 1. Active transport mechanisms involve energy expenditure on the part of the cell. |
| 1. The sodium-potassium transporter protein is an example of an active transport mechanism. |

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| 1-44. What principal role does the liver play in drug pharmacokinetics? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Elimination  ***Difficulty:***  ***Bloom’s level:*** |
| 1. The liver helps speed the rate of drug absorption into the bloodstream. |
| 1. The liver produces proteins that act as transport mechanisms, distributing drug molecules widely throughout the body. |
| 1. The liver produces enzymes that are vital in the process of drug biotransformation and elimination from the body. |
| 1. The liver filters drug molecules out of the blood and eliminates them from the body in urine. |
| 1. The liver stores drug molecules that are later released into the bloodstream as blood concentrations fall. |

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| 1-45. Which of the following routes of administration will subject drug molecules to significant *first-pass metabolism*? | ***Answer:*** E  ***Objective:***  ***Topic/Section:*** Elimination  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Intranasal |
| 1. Inhalation |
| 1. Intravenous |
| 1. Intrarectal |
| 1. Oral |

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| 1-46. A *nephron*, the functional unit of the kidney, works by | ***Answer:*** B  ***Objective:***  ***Topic/Section:*** Elimination  ***Difficulty:***  ***Bloom’s level:*** |
| 1. filtering impurities out of the blood. |
| 1. filtering everything out of the blood, and then reabsorbing what is required by the body. |
| 1. metabolizing impurities and toxins in the blood. |
| 1. transforming the chemical structure of drug molecules so that they are less lipid soluble. |
| 1. transforming the chemical structure of drug molecules so that they are more lipid soluble. |

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| 1-47. Jesse has ingested an unknown drug. When the drug’s elimination from Jesse’s body is plotted across time, its excretion curve follows a straight line. Which of the following is *true*, with regard to the unknown drug? | ***Answer:*** A  ***Objective:***  ***Topic/Section:*** Elimination  ***Difficulty:***  ***Bloom’s level:*** |
| 1. The drug is showing zero-order elimination kinetics |
| 1. The drug is showing first-order elimination kinetics. |
| 1. The drug is showing second-order elimination kinetics |
| 1. Jesse likely ingested crystal meth. |
| 1. Both b. and d. are true. |

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| 1-48. Anne has been experiencing mild depression for the past couple of months. A friend suggests she try taking St. John’s wort. What concerns should Anne have, regarding her friend’s suggestion? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Factors that Alter Drug Metabolism  ***Difficulty:***  ***Bloom’s level:*** |
| 1. St. John’s wort has not been proven effective against symptoms of mild depression. |
| 1. St. John’s wort has no biologically active ingredients. |
| 1. Taking St. John’s wort could reduce the effectiveness of the oral contraceptive that Anne is taking. |
| 1. St. John’s wort has more debilitating sleep, sexual, and cognitive side effects, compared to pharmaceutical antidepressants. |
| 1. Anne shouldn’t be concerned about any of the above and should take St. John’s wort, unreservedly. |

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| 1-49. Which of the following foods can block the metabolism of some drugs, including certain antianxiety and cholesterol-lowering medications? | ***Answer:*** C  ***Objective:***  ***Topic/Section:*** Factors that Alter Drug Metabolism  ***Difficulty:***  ***Bloom’s level:*** |
| 1. Aged cheese |
| 1. Chocolate |
| 1. Grapefruit |
| 1. Chocolate |
| 1. Eggs |

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| 1-50. Medications should be administered in such a way that the drug concentration in the blood is maintained between a level that is too low to be effective and one that is so high that it will have toxic effects. This range is called the | ***Answer:*** D  ***Objective:***  ***Topic/Section:*** The Therapeutic Window  ***Difficulty:***  ***Bloom’s level:*** |
| 1. therapeutic range. |
| 1. median effective dose. |
| 1. therapeutic index. |
| 1. therapeutic window. |
| 1. mean effective dose. |

***Short Answer Questions***

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| 1-1. What is the definition of a *drug*? Why is it surprisingly difficult to construct a precise and widely accepted definition of this term? | ***Topic/Section Containing Answer:***  What is a Drug? |

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| 1-2. Briefly outline the process of pharmaceutical drug development. | ***Topic/Section Containing Answer:***  Naming of Drugs—Box 1-1 The Process of Pharmaceutical Drug Development |

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| 1-3. Why are drug doses nearly always stated in terms of milligrams of drug per kilogram of body weight (mg/kg)? What advantages does this type of reporting offer? | ***Topic/Section Containing Answer:***  Describing Dosages |

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| 1-4. Define the terms ED50 and LD50, and explain why it’s important to know their values in relation to a particular drug. | ***Topic/Section Containing Answer:***  Describing Dosages |

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| 1-5. Many different types of analgesic (pain-relieving) medications exist. Some, such as ibuprofen (Advil), are available for purchase over-the-counter and used to treat mild pain, such as headache. Others, such as morphine, are used more often in hospitals to treat moderate or severe pain. Considering what you know about various routes of drug administration, as well as the potency and effectiveness of these analgesics, compare the expected outcome of orally administering 400 mg of ibuprofen to that of intravenously administering 10 mg of morphine in a person with moderate pain. | ***Topic/Section Containing Answer:***  Potency and Effectiveness/Routes of Administration |

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| 1-6. Researchers are investigating the effect of cognitive-enhancing drugs on rats’ ability to solve a maze in 60 seconds or less. With this experiment in mind, draw three plausible dose–response curves: one illustrating the percentage of animals that successfully completed the task when administered a Smart Pill; a second illustrating the antagonistic effect of co-administering a Duh Pill; and a third illustrating the additive effect of co-administering a Genius Pill. Label the graph appropriately, and indicate the ED50 for each dose–response curve. | ***Topic/Section Containing Answer:***  Describing Dosages/Drug Interactions |

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| 1-7. A forensic pathologist concluded that the 1970 death of rock star Jimi Hendrix was the result of ingestion of barbiturates in combination with other drugs, including alcohol. Why is it dangerous to consume these drugs concurrently? | ***Topic/Section Containing Answer:***  Drug Interactions |

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| 1-8. Compare and contrast 5 different routes of drug administration, describing one advantage and one disadvantage of each. | ***Topic/Section Containing Answer:***  Routes of Administration |

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| 1-9. What factors affect the lipid solubility of a drug? Why is a drug’s lipid solubility of any relevance to pharmacologists? | ***Topic/Section Containing Answer:***  Routes of Administration—Lipid Solubility/Distribution of Drugs—Lipid Solubility |

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| 1-10. Compare and contrast active and passive transport mechanisms that exist in the body to move non-lipid-soluble substances across cell membranes. | ***Topic/Section Containing Answer:***  Distribution of Drugs—Active and Passive Transport Across Membranes |

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| 1-11. Which two organs make up the “dynamic duo” of drug excretion? What major role does each of these organs play in eliminating drug molecules from the body, and how is this task accomplished? | ***Topic/Section Containing Answer:***  Elimination |

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| 1-12. What is *first-pass metabolism*? How does it affect a drug’s bioavailability? How can its effects be avoided? | ***Topic/Section Containing Answer:***  Elimination—First-pass Metabolism |

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| 1-13. Why would an individual who has overdosed on barbiturates benefit from being administered another drug that makes the urine more basic? | ***Topic/Section Containing Answer:***  Elimination—The Kidneys |

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| 1-14. Define the term *half-life* and explain the biological principle that underlies this phenomenon. | ***Topic/Section Containing Answer:***  Elimination—Rate of Elimination |

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| 1-15. Briefly describe 3 factors that can influence the rate of drug metabolism. | ***Topic/Section Containing Answer:***  Factors that Alter Drug Metabolism |

***Essay Questions***

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| 1-1. In William Shakespeare’s play *Romeo and Juliet*, Juliet proclaims “That which we call a rose By any other name would smell as sweet.” (II, ii, 1-2). How does this line relate to the naming of drugs? | ***Topic/Section Containing Answer:***  Naming Drugs |

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| 1-2. Describe the *preclinical testing* phase of pharmaceutical drug development. What important pieces of information about a drug are gathered during this phase of the process? Describe why gathering these pieces of information is so important. | ***Topic/Section Containing Answer:***  Box 1-1 The Process of Pharmaceutical Drug Development/sections throughout the chapter describing drug safety and pharmacokinetics |

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| 1-3. Describe 4 factors that influence the distribution of a drug throughout the body. | ***Topic/Section Containing Answer:***  Distribution of Drugs |

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| 1-4. Why should you be cautious about taking certain herbal supplements if you are also taking a prescription medication? What possible consequences might there be? Provide a concrete example of an interaction between an herbal supplement and a pharmaceutical drug. | ***Topic/Section Containing Answer:***  Factors that Affect Drug Metabolism/Box 1-2 Enzyme Induction Caused By St. John’s Wort |

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| 1-5. You read an advertisement promoting the metabolism-boosting properties of grapefruit juice and its potential as a weight-loss aid. The ad claims that drinking grapefruit juice is particularly beneficial for overweight patients with cardiovascular disease. How would react to such an advertisement? | ***Topic/Section Containing Answer:***  Factors that Alter Drug Metabolism—Depression of Enzyme Systems |