high yeild pharmacology L9

type of antagonist

Chemical Antagonists:One drug may antagonize a second by binding to and inactivating the second drug e.g. **protamine** (a positively charged protein at physiologic pH) binds **heparin** (a negatively charged anticoagulant) making it non-functioning

Kinetic or dispositional antagonists.

Example: One drug (e.g. **cholestyramine**) may inhibit the absorption of other drug (e.g. **digoxin**).

Physiological Antagonists:

The action of a drug act in the **opposite physiological direction** of a second drug.
Example:Glucagon and insulin

Pharmacological Antagonists (receptor antagonists):

Drugs that bind to same receptors to which **agonists bind but has no intrinsic activity.**
These antagonists may block the ability of agonists to bind to the receptor by **competing for the same receptor site** or may bind to **another site on the receptor** that blocks the action of the agonist.

COMPETITIVE ANTAGONIST (REVERSIBLE BINDING)
THE AGONIST AND ITS COMPETITIVE ANTAGONIST BIND REVERSIBLY TO THE SAME RECEPTOR SITE.
OVERCOME BY USING AN EXCESS OF AGONIST.

NON-COMPETITIVE ANTAGONIST
IRREVERSIBLE BINDING IN MOST CASES
OR ALLOSTERIC BINDING IN SOME CASES:
WHEN AN ANTAGONIST BINDS IRREVERSIBLY TO A RECEPTOR (E.G. BY COVALENT BOND)
ITS EFFECT IS NOT REVERSED BY EXCESS AGONIST

SPARE RECEPTORS

RECEPTORS MAY BE CONSIDERED SPARE WHEN THE MAXIMAL RESPONSE IS ELICITED BY AN AGONIST AT A CONCENTRATION THAT DOES NOT PRODUCE FULL OCCUPANCY OF THE AVAILABLE RECEPTORS.

DRUG INTERACTIONS

When two or more drugs are given concomitantly, the concentration and/or effects of these drugs can change

BENEFICIAL DRUG INTERACTIONS:

Drug interactions could be beneficial when the therapeutic results of the combination is additive or synergistic (e.g.: aminoglycosides and beta lactam antibiotic) or when one drug prevents the adverse effect

- ADDITIVE EFFECT 1+1=2
- SYNERGISM 1+1>2
- POTENTIATION 0+1>1

high yeild pharmacology L9

HARMFUL DRUG INTERACTIONS:
IN OTHER CASES DRUG INTERACTIONS COULD BE HARMFUL: IF ONE DRUG AFFECTS THE CONCENTRATION OF THE OTHER (INCREASED CONC. OF ONE DRUG CAN CAUSE TOXICITY AND DECREASED CONC. CAN CAUSE THERAPEUTIC FAILURE) OR IF ONE DRUG AUGMENTS THE SIDE EFFECT OF THE OTHER (E.G. TWO CNS OR CARDIAC DEPRESSANT DRUGS GIVEN CONCURRENTLY)

TYPES AND MECHANISMS OF DRUG INTERACTIONS:

1- PHARMACODYNAMIC:

It occurs when a drug affects the pharmacodynamic mechanism of another drug by altering its action at receptor sites. Example is Morphine and naloxone: which compete with each other at receptor site and naloxone is used to treat morphine poisoning.

2- PHARMACOKINETIC.

Absorption
Distribution
Metabolism
Excretion

Factors Affecting the Dose and Action of Drugs

Age: In general, children require smaller doses than adults.

Sex: This is particularly important in the case of treatment with sex hormones. Female adults generally require smaller doses than males due to the presence of more body fat.

Body weight: The usual doses for drugs are mentioned generally for 70 kg adult.

Severity of disease: dull headache may be relieved by a single tablet of aspirin , severe headache may necessitate administration of 2-3 tablets of the same drug.

Health and nutrition: Debilitated and anemic patients are, in general, more sensitive to the toxic effects of drugs and hence they are given smaller doses.

Pathological state: For example, phenobarbitone (mainly excreted by the kidneys) should be given in smaller dose in renal failure. morphine should be given in smaller dose for heaptic patients (morphine is mainly inactivated in liver).

high yeild pharmacology L9

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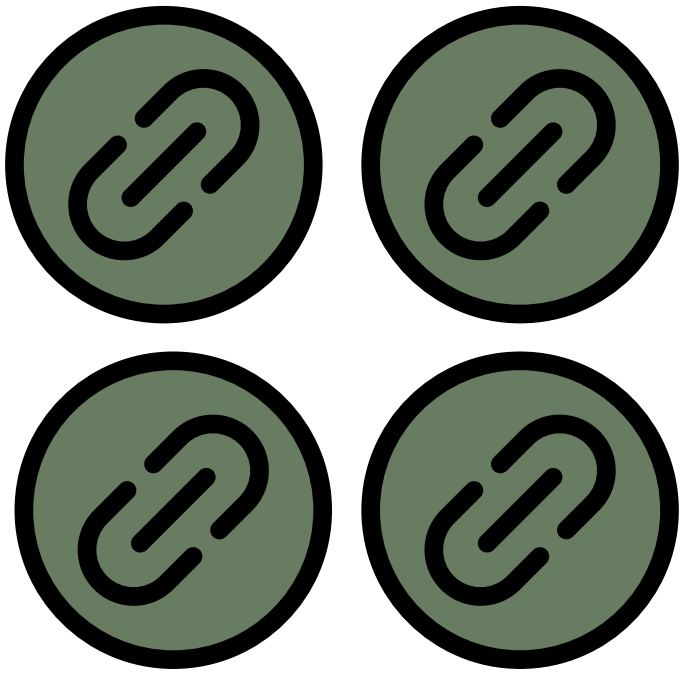
Tolerance

Simultaneous administration of two or more drugs: May results in addition, synergism or antagonism.

Route of administration: In general, the rapidity of absorption of a drug decreases with route of administration in the following order: Intravenous Intramuscular Subcutaneous > Oral.

Maternal, pediatrie and geriatric considerations. Genetic factors: (pharmacogenetic/genomics) which can affect both pharmacokinetics and pharmacodynamics of the drugs.



من كانت الآخرةُ همَّه جعل الله غِناه في قلبه، وجمع له شمله، وأتته الدّنيا وهي رَاغِمَة، ومن كانت الدّنيا همَّه جعل الله فقرَه بين عينيه، وفرّقَ عليه شملَه، ولم يَأتِه من الدّنيا إلّا ما قُدّرَ له!