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**COURSE TITLE: INTRODUCTION TO PHARMACOLOGY AND TOXICOLOGY II**

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A protein synthesis inhibitor is a substance that stops or slows the growth or proliferation of cells by disrupting the processes that lead directly to the generation of new proteins. A ribosome is a biological machine that utilizes protein dynamics on nanoscales to translate RNA into proteins While a broad interpretation of this definition could be used to describe nearly any antibiotic, in practice, it usually refers to substances that act at the ribosome level (either the ribosome itself or the translation factor), taking advantages of the major differences between [prokaryotic](https://en.wikipedia.org/wiki/Prokaryotic) and [eukaryotic](https://en.wikipedia.org/wiki/Eukaryotic) ribosome structures.

 Bacterial Protein Synthesis

Several classes of antibiotics act by selectively blocking one or more steps in the protein synthesis of bacteria, which are prokaryotes, while having relatively little effect on the protein synthesis of mammals and other eukaryotes. The selectivity for bacterial protein synthesis is a result of differences in the structure and function of ribosomes in prokaryotic versus eukaryotic cells.

Each ribosome has two subunits. The ribosome in prokaryotes is composed of a 30S subunit and a 50S subunit (with S denoting the Svedberg unit of flotation, which forms the basis for the separation and isolation of ribosomal subunits from cell homogenates). In contrast, the ribosome in eukaryotes is composed of a 40S and a 60S subunit, and the proteins that initiate and carry out translation of messenger RNA (mRNA) in eukaryotic systems are more complex and function differently than the proteins of bacterial systems.

The basic steps in bacterial protein synthesis includes the binding of Aminoacyl transfer RNA (tRNA) to the ribosome, the formation of a peptide bond, and translocation. Aminoacyl tRNA binds to the 30S ribosomal subunit, whereas peptide bond formation and translocation involve components of the 50S ribosomal subunit.

**Linezolid:**

Linezolid is an antibiotic used for the treatment of infections caused by Gram-positive bacteria that are resistant to other antibiotics. Linezolid is active against most Gram-positive bacteria that cause disease, including streptococci, vancomycin-resistant enterococci, and methicillin-resistant *Staphylococcus aureus*. The main uses are infections of the skin and pneumonia although it may be used for a variety of other infections including drug-resistant tuberculosis.

Linezolid is the first member of a new synthetic class of antimicrobials known as oxazolidinone with activity against many important pathogens.

Linezolid is an [antibiotic](https://www.drugs.com/article/antibiotics.html) that fights bacteria in the body.

Linezolid is also an MAO (monoamine oxidase) inhibitor.

Linezolid is used to treat different types of bacterial infections, such as [pneumonia](https://www.drugs.com/cg/pneumonia.html), skin infections, and infections that are resistant to other [antibiotics](https://www.drugs.com/article/antibiotics.html).

**MECHANISM OF ACTION:**

As a [protein synthesis inhibitor](https://en.wikipedia.org/wiki/Protein_synthesis_inhibitor),

* Linezolid works by suppressing [bacterial protein production](https://en.wikipedia.org/wiki/Prokaryotic_translation). This either [stops growth](https://en.wikipedia.org/wiki/Bacteriostatic) or results in [bacterial death](https://en.wikipedia.org/wiki/Bactericidal).
* Linezolid binds to the 50S ribosome and prevents formation of the initiation complex for protein synthesis. This is a unique mechanism, because other protein synthesis inhibitors interfere with polypeptide extension.
* Although many antibiotics work this way, the exact [mechanism of action](https://en.wikipedia.org/wiki/Mechanism_of_action) of linezolid appears to be unique in that it blocks the initiation of protein production, rather than one of the later steps.
* As of 2014, [bacterial resistance](https://en.wikipedia.org/wiki/Bacterial_resistance) to linezolid has remained low. Linezolid is a member of the [oxazolidinone](https://en.wikipedia.org/wiki/2-Oxazolidone) class of medications.

**INDICATION OF USE:**

It can be taken orally or intravenously.

* Linezolid tablets or liquid can be taken with or without food.
* Linezolid injection is given as an infusion into a vein.

**TOXICITY:**

* [lactic acidosis](https://www.drugs.com/cg/lactic-acidosis.html)--unusual muscle pain, trouble breathing, stomach pain, vomiting, irregular heart rate, [dizziness](https://www.drugs.com/cg/vertigo.html), feeling cold, or feeling very weak or tired.
* low blood cell counts--fever, chills, tiredness, weakness, confusion, mouth sores, skin sores, easy bruising, unusual bleeding, pale skin, [cold hands](https://www.drugs.com/mcs/cold-hands) and feet, feeling light-headed or short of breath.
* high levels of serotonin in the body--[agitation](https://www.drugs.com/condition/agitation.html), hallucinations, fever, sweating, shivering, [fast heart rate](https://www.drugs.com/cg/tachycardia.html), muscle stiffness, twitching, loss of coordination, [nausea](https://www.drugs.com/health-guide/nausea.html)

**ADVERSE EFFECTS:**

* vision problems, changes in color vision
* severe stomach pain, diarrhea that is watery or bloody
* seizure
* insomnia
* sweating, feeling anxious or shaky (may be signs of [low blood sugar](https://www.drugs.com/cg/hypoglycemia-in-a-person-with-diabetes.html))
* nausea, vomiting
* mild skin rash
* anemia (low red blood cells)
* [Headache](https://www.drugs.com/cg/acute-headache.html), dizziness.
* Discolored tongue
* Vaginal itching/ discharge
* Yeast infection in the mouth (oral thrush).