

P450 Inhibitors

Cytochrome P450 is a superfamily of enzymes involved in drug metabolism and bioactivation. Human cytochrome P450s are primarily membrane associated proteins that are present in most tissues of the body and play important roles in hormone synthesis and breakdown, cholesterol synthesis, and vitamin D metabolism. P450 inhibitors are drugs that decrease the activity of the enzyme causing drugs that are also metabolized by the P450 system to be metabolized at a decreased rate. Commonly tested P450 inhibitors include cimetidine, ketoconazole, erythromycin, ciprofloxacin, indinavir, acute alcohol use, isoniazid, grapefruit juice, quinidine and sulfonamides.



PLAY PICMONIC

Quinidine

[Queen-dine](#)

Quinidine is a class I antiarrhythmic agent that acts on fast inward sodium currents. Quinidine is notable for causing cinchonism and lupus-like syndrome.

Ciprofloxacin

[Sippy-cup-rope](#)

Ciprofloxacin is a fluoroquinolone antibiotic that is commonly used for gram negative rod infections of the urinary and GI tracts. It works by interfering with topoisomerase II.

Isoniazid

[Ice-knight-zit](#)

Isoniazid decreases the synthesis of mycolic acids, which are key components of the cell wall of Mycobacterium tuberculosis. It is the only agent used for monotherapy prophylaxis against TB.

Grapefruit Juice

[Grapefruit Juice](#)

Grapefruit juice is a well known substance that causes decreased activity of the P450 system leading to toxicities caused by slow metabolism of other P450 drugs.

Acute Alcohol Use

[Acute-angle Alcohol](#)

Acute alcohol use causes inhibition of the P450 system because the P450 enzymes are saturated by the toxic metabolites of acute ingestion.

Erythromycin (Macrolide)

[Earth-throw-mice](#)

Erythromycin is a macrolide antibiotic that is commonly used for Bordetella pertussis, Legionella pneumophila and Mycoplasma pneumoniae. It inhibits protein synthesis by binding to the 23s RNA of the 50s ribosomal subunit, thereby blocking translocation.

Indinavir

[In-the-Navy-gear](#)

Indinavir is an HIV protease inhibitor that is used as a component of antiretroviral therapy in the treatment of HIV and AIDS.

Cimetidine

[Cement-teddy](#)

Cimetidine is a histamine H2 receptor antagonist that is commonly used in the treatment of heartburn by decreasing stomach acid production.

Sulfonamides

[Sulfur-match-fondue](#)

Sulfonamides are a class of drugs containing the sulfonamide group. Also called sulfa drugs, these medications often interact with the P450 system. Common sulfonamides are antibiotics, diuretics and sulfonylureas.

Ketoconazole

Key-in-A-hole

Ketoconazole is commonly used for systemic mycoses. It acts by inhibiting fungal ergol sterol synthesis.

Amiodarone

Army-odor

Amiodarone is an antiarrhythmic medication which is a potent inhibitor of a number of cytochrome P450 enzymes.