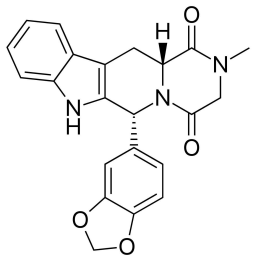
Tadalafil

Tadalafil Tablet, USP



DIN 06298213
20 mg
30 Capsule, Square container

Systematic name: (6R-trans)-6-(1,3-benzodioxol-5-yl)- 2,3,6,7,12,12a-hexahydro-2-methyl-pyrazino [1', 2':1,6] pyrido[3,4-b]indole-1,4-dione

DESCRIPTION
Tadalafil, also known under the name of Cialis, is an oral drug that is used for treating impotence (the inability to attain or maintain a penile erection) and benign prostatic hyperplasia (BPH).

COMPOSITION
Each capsule contains:Medicinal ingredients. Taladafil, 20 mg. Non-medicinal ingredients. Dextrose, microcrystalline cellulose.

CLINICAL PHARMACOLOGY
Tadalafil relaxes the smooth muscle and increases blood flow into the corpus cavernosum, causing penile erection. The way tadalafil relieves symptoms of BPH is not yet understood. PDE5 is also present in the smooth muscle of the prostate and the bladder wall. Inhibiting PDE5 may increase CGMP concentrations, leading to the relaxation of the smooth muscle in the prostate and the bladder. Smooth muscle relaxation may improve blood flow in the urinary tract and widen the opening of the bladder neck, resulting in an improved voiding.

INDICATIONS
Tadalafil is used to treat erectile dysfunction (impotence) and symptoms of benign prostatic hypertrophy (enlarged prostate) as well as to improve exercise capacity.

CONTRAINDICATIONS
Administration of tadalafil to patients who are using any form of organic nitrate, either regularly and/or intermittently, is contraindicated.

ADVERSE REACTIONS
The most common side effects when using tadalafil are headache, stomach discomfort or pain, indigestion, burping, acid reflux, back pain, muscle aches, flushing, and stuffy or runny nose. These side effects reflect the ability of PDE5 inhibition

to cause vasodilation (to cause blood vessels to widen) and go away after a few hours. Back pain and muscle aches can occur 12 to 24 hours after taking the drug, and the symptoms usually disappear after 48 hours.

MECHANISM OF ACTION

Tadalafil inhibits the cGMP specific phosphodiesterase type 5 (PDE5), which is responsible for degradation of cGMP in the corpus cavernosum located around the penis. Penile erection during sexual stimulation is caused by an increased penile blood flow resulting from the relaxation of penile arteries and the corpus cavernosal smooth muscle. This response is mediated by the release of nitric oxide (NO) from nerve terminals and endothelial cells, which stimulates the synthesis of cGMP in smooth muscle cells. Cyclic GMP relaxes the smooth muscle and increases blood flow into the corpus cavernosum. The inhibition of phosphodiesterase type 5 (PDE5) by tadalafil enhances erectile function by increasing the amount of cGMP.

ABSORPTION

After a single oral-dose administration, the maximum observed plasma concentration (Cmax) of tadalafil is achieved between 30 minutes and 6 hours (median time of 2 hours). Absolute bioavailability of tadalafil following oral dosing has not been determined.

METABOLISM

Tadalafil is predominantly metabolized by CYP3A4 to a catechol metabolite. The catechol metabolite undergoes extensive methylation and glucuronidation to form the methylcatechol and the methylcatechol glucuronide conjugate, respectively. In vitro, data suggests the metabolites are not expected to be pharmacologically active at observed metabolite concentrations.

Route of elimination

Tadalafil is excreted predominantly as metabolites, mainly in the feces (approximately 61% of the dose) and to a lesser extent in the urine (approximately 36% of the dose).

Cardiovascular status of patients should be considered since there is a degree of risk associated with sexual activity; treatments for erectile dysfunction, including tadalafil, should not be used by men for whom sexual activity is inadvisable as a result of their underlying cardiac status.

STORAGE INSTRUCTIONS

Store tadalafil between 15-30 degrees Celsius (59° to 86° F) in a tightly closed, light-resistant container. Keep out of reach of children.