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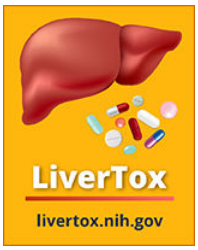

Vardenafil

Updated: August 2, 2017.

OVERVIEW

Introduction

Vardenafil is a selective inhibitor of phosphodiesterase type 5 (PDE5) and is used as therapy of erectile dysfunction. Vardenafil has not been associated with serum aminotransferase elevations nor with clinically apparent liver injury.

Background

Vardenafil (var den' a fil) is a selective inhibitor of phosphodiesterase type 5 (PDE5), an intracellular enzyme that mediates the breakdown of cyclic guanosine monophosphate (cGMP) inducing smooth muscle relaxation in the corpus cavernosum of the penis and in the pulmonary vasculature where this specific phosphodiesterase is found. Vardenafil is effective in prolonging erection and was approved for use in the United States in 2003. Vardenafil is available in tablets of 2.5, 5, 10 and 20 mg under the brand name of Levitra and as 10 mg oral disintegrating tablets under the brand name of Staxyn. The recommended dose is 10 mg as a single dose as needed one hour before sexual activity. The dose of the standard oral tablet can be increased or decreased based upon effect and tolerance, with a recommended maximum frequency of once daily and maximum dosage of 20 mg. Common side effects include dizziness, headache, flushing, hypotension, rhinitis and dyspepsia. Rare, but potentially serious adverse events include vision and hearing loss, hypotension, cardiovascular events and priapism.

Hepatotoxicity

Despite fairly extensive use, vardenafil has not been associated with clinically apparent cases of liver injury and serum enzyme elevations during therapy are rare. The related PDE5 inhibitors, sildenafil and tadalafil have been linked to isolated, rare instances of acute liver injury and jaundice. The latency to onset ranged from a few days to 3 months and the pattern of injury was usually cholestatic. Autoimmune and immunoallergic features were not observed and all cases were self-limited without residual injury or acute liver failure. Whether vardenafil can cause a similar form of acute liver injury is unknown.

Likelihood score: E* (unproven but suspected rare cause of clinically apparent liver injury).

Mechanism of Injury

While vardenafil has not been associated with hepatotoxicity, its potential for causing hypotension and use in patients with cardiac disease may lead to instances of acute ischemic liver injury. Vardenafil, like the other PDE5 inhibitors, is metabolized in the liver via the cytochrome P450 system (CYP 3A4).

Outcome and Management

While sildenafil and tadalafil have been linked to rare instances of clinically apparent acute liver injury, vardenafil has not. There is no known cross sensitivity in idiosyncratic adverse effects between vardenafil and the other PDE5 inhibitors currently in use in the United States. However, switching to another PDE5 inhibitor after an episode of clinically apparent liver injury should be done with caution.

References to vardenafil induced liver injury are provided in the Overview section on PDE5 Inhibitors.

Drug Class: PDE5 Inhibitors

Other Drugs in the Class: Avanafil, Sildenafil, Tadalafil

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Vardenafil – Levitra®

DRUG CLASS

PDE5 Inhibitors

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULA AND STRUCTURE

DRUG	CAS REGISTRY NO	MOLECULAR FORMULA	STRUCTURE
Vardenafil	224785-90-4	**C23-H32-N6-O4-S**