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Tamsulosin

Updated: January 8, 2018.

OVERVIEW

Introduction

Tamsulosin is a selective alpha-1a adrenergic antagonist used in the therapy of benign prostatic hypertrophy. Tamsulosin therapy is associated with a low rate of serum aminotransferase elevations, but clinically apparent acute liver injury due to tamsulosin is very rare.

Background

Tamsulosin is a selective alpha-1a adrenergic antagonist which is used to treat signs and symptoms of benign prostatic hypertrophy. Tamsulosin inhibits alpha adrenergic receptors present on smooth muscle in the bladder neck and prostate (alpha-1a adrenergic receptors). It has minimal effects on alpha-1b adrenergic receptors present in arterioles which are responsible for the antihypertensive effects of the nonspecific alpha-1 adrenergic blockers. The effects of the agent on smooth muscle of the bladder neck and prostate cause improvement in urine flow in men with partial obstruction due to benign prostatic hypertrophy. Tamsulosin was approved for use in the United States in 2007 for treatment of symptoms of urinary hesitancy due to benign prostatic hypertrophy. Tamsulosin is available in capsules of 0.4 and 0.8 mg in several generic forms and under the trade name Flomax. The recommended dose is 0.4 to 0.8 mg once daily. Side effects include retrograde ejaculation, orthostatic hypotension, dizziness, diarrhea, thirst, nasal stuffiness and headache. Rare, but potentially severe adverse reactions include othrostatic hypotension, priapism and intraoperative floppy lens syndrome.

Hepatotoxicity

Tamsulosin has been associated with a low rate of serum aminotransferase elevations (<2%) that in controlled trials was no higher than with placebo or comparative agent therapy. These elevations were transient and did not require dose modification. A single case report of clinically apparent acute liver injury due to tamsulosin has been published. The onset of injury was 11 days after starting the medication, and the clinical picture was similar to acute cholecystitis with jaundice, abdominal pain and fever, but a hepatocellular pattern of serum enzyme elevations. No evidence of biliary disease was identified, and the patient recovered rapidly when tamsulosin was withheld. Allergic and autoimmune features were not present. Among the alpha adrenergic receptor antagonists, the most frequently implicated agent in causing liver injury has been alfuzosin with only single, and not well documented cases linked to other alpha blockers. Thus, acute symptomatic liver injury due to tamsulosin is rare and it seems to resemble the mild and self-limited forms of liver injury linked to other alpha-1 adrenergic blockers.

Likelihood score: D (possible rare cause of clinically apparent liver injury).

Mechanism of Injury

The cause of the serum aminotransferase elevations and rare instances of acute liver injury associated with tamsulosin is not known. Tamsulosin is extensively metabolized by the liver by the cytochrome P450 enzymes (predominantly CYP 3A4 and 2D6) and generation of a mildly toxic intermediate is a possible explanation.

Outcome and Management

No instances of acute liver failure or chronic liver injury have been reported in association with tamsulosin or other alpha adrenergic blockers. There is no information on cross reactivity of the liver injury among the various adrenergic receptor antagonists such as alfuzosin, silodosin, doxazosin and terazosin, but similarity of chemical structure suggests that cross sensitivity may be present.

References to the safety and potential hepatotoxicity of tamsulosin are given in the Overview on the Alpha-1 Adrenergic Receptor Antagonists.

Drug Class: Benign Prostatic Hypertrophy Agents

Other Drugs in the Class:

- Alpha-1 Adrenergic Receptor Antagonists
  - Alfuzosin, Doxazosin, Silodosin, Terazosin
- 5-Alpha Reductase Inhibitors
  - Dutasteride, Finasteride

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Tamsulosin – Generic, Flomax®

DRUG CLASS

Benign Prostatic Hypertrophy Agents

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULA AND STRUCTURE

DRUG	CAS REGISTRY NUMBER	MOLECULAR FORMULA	STRUCTURE
Tamsulosin	106133-20-4	C20-H28-N2-O5-S