U.S. National Library of Medicine
National Center for Biotechnology Information

NLM Citation: LiverTox: Clinical and Research Information on Drug-Induced Liver Injury [Internet]. Bethesda (MD): National Institute of Diabetes and Digestive and Kidney Diseases; 2012-. Telmisartan. [Updated 2017 Jan 13].
Bookshelf URL: https://www.ncbi.nlm.nih.gov/books/

Telmisartan

Updated: January 13, 2017.

OVERVIEW

Introduction

Telmisartan is an angiotensin II receptor blocker used in the therapy of hypertension. Telmisartan is associated with a low rate of transient serum aminotransferase elevations, but has yet to be linked to instances of acute liver injury.

Background

Telmisartan (tel" mi sar' tan) is an angiotensin II receptor blocker (ARB) used alone or in combination with other agents for therapy of hypertension. Telmisartan inhibits the renin-angiotensin system by blocking the angiotensin II type 1 receptor (AT1), which prevents the vasoconstriction and volume expansion induced by circulating angiotensin II which accounts for its potent antihypertensive activity. Telmisartan was approved for use in the United States for treatment of hypertension in 1998 and indications were subsequently broadened to include reduction in risk of cardiovascular events in patients unable to take ACE inhibitors for this use. Telmisartan is available in 20, 40 and 80 mg tablets in generic forms and under the trade name Micardis. The typical dose of telmisartan in adults is 40 to 80 mg once daily, and it is used long term. Telmisartan is also available in fixed combinations with hydrochlorothiazide (Micardis HCT) and amlodipine (Twynsta). Side effects are uncommon, but may include headache, dizziness, fatigue, cough, gastrointestinal upset and fetal toxicity. Many ARBs including telmisartan have been linked to rare cases of severe sprue-like enteropathy. The syndrome presents with severe diarrhea, weight loss and abdominal discomfort months to years after starting telmisartan. Intestinal biopsy shows villous flattening and atrophy similar to celiac disease. However, the diarrhea and symptoms do not improve with a gluten-free diet, but do resolve rapidly with stopping the angiotensin receptor blocker.

Hepatotoxicity

Telmisartan has been associated with a low rate of serum aminotransferase elevations (<2%) that in controlled trials was no higher than with placebo therapy. These elevations were transient and rarely required dose modification. No specific instances of clinically apparent acute liver injury have been reported in association with telmisartan therapy. However, most other ARBs have been implicated in rare instances of symptomatic hepatotoxicity. Typically, the onset of liver injury is within 1 to 8 weeks of starting therapy, and the serum enzyme pattern is hepatocellular with an acute hepatitis-like clinical syndrome. In some instances, cholestasis has developed which can be prolonged and relapsing, but ARB therapy has not been associated with vanishing bile duct syndrome or chronic liver injury. Immunoallergic manifestations (rash, fever, eosinophilia) are not common, nor is autoantibody formation. In addition, serum aminotransferase elevations may accompany the

enteropathy associated with ARB use, probably due to fatty liver disease caused by the diarrhea and malnutrition.

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

Mechanism of Injury

The cause of the minor serum aminotransferase elevations with telmisartan is not known. Telmisartan is metabolized in the liver to a glucuronide which is excreted in the urine. Telmisartan does not appear to be metabolized by the cytochrome P450 system and has minimal drug-drug interactions.

Outcome and Management

The instances of acute liver injury reported with ARB use have been self limited and have not resulted in acute liver failure or chronic liver injury. While corticosteroids have been used in cases of severe cholestasis due to ARBs, their efficacy has not been shown and their use is best avoided. Patients with telmisartan induced liver injury should probably avoid use of other ARBs, although cross sensitivity to liver injury among the members of this class of agents has not been shown.

References on the safety and potential hepatotoxicity of telmisartan are given in the Overview section on the angiotensin II receptor antagonists.

Drug Class: Antihypertensive Agents, Angiotensin II Receptor Antagonists

Other Drugs in the Subclass, Angiotensin II Receptor Antagonists: Azilsartan, Candesartan, Eprosartan, Irbesartan, Losartan, Olmesartan, Valsartan

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Telmisartan – Micardis®

DRUG CLASS

Angiotensin II Receptor Antagonists

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULA AND STRUCTURE

DRUG	CAS REGISTRY NUMBER	MOLECULAR FORMULA	STRUCTURE
Telmisartan	144701-48-4	C33-H30-N4-O2