



Eprosartan

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OVERVIEW

Introduction

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

Background

Eprosartan (ep" roe sar' tan) is an angiotensin II receptor blocker (ARB) used alone or in combination with other agents for therapy of hypertension. Eprosartan 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 thus accounting for its antihypertensive activity. Eprosartan was approved for use in the United States in 1997 for the treatment of hypertension. Eprosartan is available in 400 and 600 mg tablets generically and under the trade name Teveten. The typical dose in adults is 400 to 800 mg daily in one or two divided doses, and it is used long term. Eprosartan is also available in fixed combinations with hydrochlorothiazide (Teveten HCT). Side effects are uncommon, but can include headache, dizziness, fatigue, edema, cough, gastrointestinal upset, and fetal toxicity. Many ARBs including eprosartan have been linked to rare instances of a severe sprue-like enteropathy that presents with chronic diarrhea and weight loss and villous flattening and atrophy on intestinal biopsy. The diarrhea usually arises after 6 months or more of therapy and does not respond to corticosteroids or a gluten-free diet, but does resolve promptly with stopping eprosartan. This adverse side effect is most common with olmesartan.

Hepatotoxicity

Eprosartan 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 eprosartan therapy, but like other ARBs, it may be associated with rare instances of symptomatic hepatotoxicity. The typical onset of ARB-associated liver injury is within 1 to 8 weeks of starting therapy and the serum enzyme pattern is typically 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, some patients with ARB-related enteropathy also develop fatty liver and steatohepatitis which can be associated with serum aminotransferase elevations.

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 eprosartan is not known. Eprosartan is metabolized in the liver to a glucuronide which is excreted in the urine. Eprosartan 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 eprosartan 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 eprosartan 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](#), [Irbesartan](#), [Losartan](#), [Olmesartan](#), [Telmisartan](#), [Valsartan](#)

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Eprosartan – Teveten®

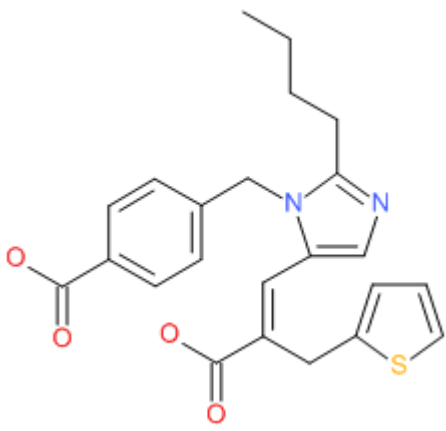
DRUG CLASS

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
Eprosartan	133040-01-4	C ₂₃ -H ₂₄ -N ₂ -O ₄ -S	 <p>The chemical structure of Eprosartan is a complex organic molecule. It features a central imidazole ring (blue nitrogen atoms) substituted with a propyl group (three carbon chain) and a (4-(4-oxo-4-phenylbut-1-en-1-yl)thiophen-2-yl)methyl group. The thiophene ring (yellow sulfur atom) is part of a side chain that also includes a second carboxylic acid group (red oxygen atoms) and a double bond. The phenyl ring is also substituted with a carboxylic acid group (red oxygen atoms).</p>