

TELTAN

Telmisartan 20/40/80 mg Tablets

GENERIC NAME: Telmisartan

PHARMACOLOGICAL CLASS: Angiotensin Receptor Blockers (ARBs)

THERAPEUTIC CLASS: Antihypertensive

COMPOSITION AND PRESENTATION:

TELTAN 20

Composition

Each tablet contain Telmisartan USP 20 mg

Presentation

10 Tablets x 10 Blisters

TELTAN 40

Composition

Each tablet contain Telmisartan USP 40 mg

Presentation

10 Tablets x 10 Blisters

TELTAN 80

Composition

Each tablet contain Telmisartan USP 80 mg

Presentation

10 Tablets x 10 Blisters

MOLECULAR INTRODUCTION

- Telmisartan is an Angiotensin Receptor Blocker (ARBs) used in the management of hypertension as an alternative to Angiotensin Converting Enzyme (ACE) inhibitors.
- Its pharmacologic effects is similar to that of ACE inhibitors in that they produce arteriolar and venous dilation and blocks aldosterone secretions thus lowering blood pressure and decreasing salt and water retention.
- Telmisartan decreases the nephrotoxicity of diabetes making it an attractive therapy in hypertensive diabetics.

MECHANISM OF ACTION

Angiotensin II is the principle pressor agent of the renin-angiotensin system, with effects that include vasoconstrictor, stimulation of synthesis and release of aldosterone, cardiac stimulation, and renal reabsorption of sodium. Telmisartan blocks the vasoconstrictor and aldosterone secreting effects of angiotensin II by selectively blocking the binding of angiotensin II to the AT1 receptor in many tissues, such as vascular smooth muscle and the adrenal gland.

INDICATIONS:

- Mainly indicated in the treatment of essential hypertension.
- Used for treating congestive heart failure.
- Used for preventing diabetes or high blood pressure-related kidney failure, and reducing the risk of stroke in patients with hypertension and an enlarged heart.
- Also it prevents the recurrence of atrial fibrillation.
- It is often used when ACE inhibitors including Enalapril, Lisinopril are not tolerated by patients because of the side effects.

DOSAGE:

- The usually effective dose of telmisartan is (20–)40–80 mg once daily.
- Some patients may already benefit at a daily dose of 20 mg.
- In cases where the target blood pressure is not achieved, telmisartan dose can be increased to a maximum of 80 mg once daily

PHARMACOKINETICS:

Absorption:

Following oral administration, peak concentrations (C_{max}) of telmisartan are reached in 0.5-1 hour after dosing. Food slightly reduces the bioavailability of telmisartan, with a reduction in the area under the plasma concentration-time curve (AUC) of about 6% with the 40 mg tablet and about 20% after a 160 mg dose. The absolute bioavailability of telmisartan is dose dependent. At 40 and 160 mg the bioavailability was 42% and 58%, respectively

Distribution

Telmisartan is widely distributed and has high steady state volume of distribution. Telmisartan is highly bound to plasma proteins (>99.5%), mainly albumin and α_1 - acid glycoprotein. Plasma protein binding is constant over the concentration range achieved with recommended doses. The volume of distribution for telmisartan is approximately 500 liters indicating additional tissue binding.

Metabolism

Telmisartan is metabolized by conjugation to form a pharmacologically inactive acylglucuronide; the glucuronide of the parent compound is the only metabolite that has been identified in human plasma and urine. After a single dose, the glucuronide represents approximately 11% of the measured radioactivity in plasma. The cytochrome P450 isoenzymes are not involved in the metabolism of telmisartan.

Excretion:

Most of the administered dose (>97%) is eliminated unchanged in feces via biliary excretion; only minute amounts are found in the urine (0.91% and 0.49% of total radioactivity, respectively).

Adverse effects:

- Bradycardia
- Tachycardia
- Hypotension
- Sinusitis
- Hyperkalemia
- Angioedema
- Cough as it increases the bradykinin level

PRECAUTIONS:

- Telmisartan should be taken at the same time each day to maintain an even level in your blood.
- Patients with depletion of intravascular volume should have the condition corrected or telmisartan tablets should be initiated under close medical supervision.
- Patients with biliary obstructive disorders or hepatic insufficiency should have treatment started under close medical supervision.

CONTRAINDICATIONS:

- Telmisartan is fetotoxic and can cause birth defects, stillbirths and neonatal deaths so it is contraindicated during pregnancy
- Individuals with stenosis(narrowing) of both arteries supplying the kidneys may experience worsening of kidney function and is hence contraindicated

DRUG INTERACTIONS:

- *Digoxin* : telmisartan when co-administered with digoxin, median increases in digoxin peak plasma concentration (49%) and in trough concentration (20%) were observed. It is, therefore, recommended that digoxin levels be monitored when initiating, adjusting, and discontinuing telmisartan to avoid possible over- or under-digitalization.
- Concomitant use of angiotensin II receptor blockers (ARBs) and potassium-sparing diuretics may increase the risk of hyperkalemia. Inhibition of angiotensin II results in decreased aldosterone secretion, which can lead to increases in serum potassium that may be additive with that induced by potassium-sparing diuretics

For further information, please contact:

Market Planning Department



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