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Overview on telmisartan therapy

Feryal Hashim Rada *

Department of Clinical Chemistry, College of Pharmacy, Al-Nahrain University, Iraq.

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Abstract

Telmisartan is an antihypertensive drug belong to angiotensin II type 1 receptor blockers class. This review is focuses on pharmacokinetic and pharmacological effect of Telmisartan. Many scientific and important sites in the internet such as Scopus, Clarivate, Pubmed and others are used to collect publicized data and information to assess and organize this review. Moreover, Old articles and articles with abstract only were excluded. Telmisartan act by a manner differing from that of angiotensin-converting enzyme inhibitors. In addition to lowering blood pressure, Telmisartan has pleotropic effects on attenuation of many features such as inflammatory reactions, hypertrophy of left ventricle, and fibrillation of atrium. As well, amelioration of vascular activity, and renal functions will obtain. Telmisartan has many features that differentiate it from other drugs of angiotensin II type 1 receptor blockers and give its specific effect such as high lipophilic characters, extended half-life in plasma, and high affinity of binding with its receptor.

Keywords: Angiotensin II; Diabetes; Hypertension; Vascular risk

1. Introduction

Renin-angiotensin system (RAS) has important role inside the body in managing blood pressure, fluid and electrolyte imbalance, and cardiovascular complains. When the level of Angiotensin II increased over its physiological limit with the elevation of aldosterone, many pathological condition may precipitate and associate with the cardiovascular abnormalities such as elevation of blood pressure, inflammation of vascular and endothelium leading to atherosclerosis that may be extended to left ventricular hypertrophy (LVH), myocardial infarction (MI), stroke, and ultimately heart failure. Inflammation of vascular and endothelium may be caused by other factors such as hyperlipidemia, which can be progresses to atherosclerosis and coronary artery disease [1-4].

Many drugs can discontinued RAS by different mechanisms such as inhibition of renin, inhibition of angiotensin-converting enzyme (ACE), and blockage of angiotensin II receptor (ARBs) at type 1 (AT1) receptor only without effect on the activity of other receptor (AT2 receptor) . The drugs that inhibit ACE elevated the level of bradykinin and maintained vasodilation, but conversely enhance the incidence of cough and angioneurotic edema (see Figure 1) [5].

The AT2 receptor is G protein-coupled receptor present in the tissue of fetus and in specific tissue of adult such as blood vessels and certain area of the brain that associated with the sensory and motor functions [6]. The aim of this review is to focusing on pharmacokinetic and pharmacological effect of Telmisartan.

2. Pharmacokinetic of telmisartan

Of many drugs included in ARB class, Telmisartan possesses high lipid solubility, and high capability to attach with its receptor AT1. Its action achieved within short time, half to one hour, and extended to one day, prolong half-life [7].

* Corresponding author: Feryal Hashim Rada

Telmisartan may administer cautiously with digoxin because it increases blood level of digoxin and may initiate digoxin toxicity [8].

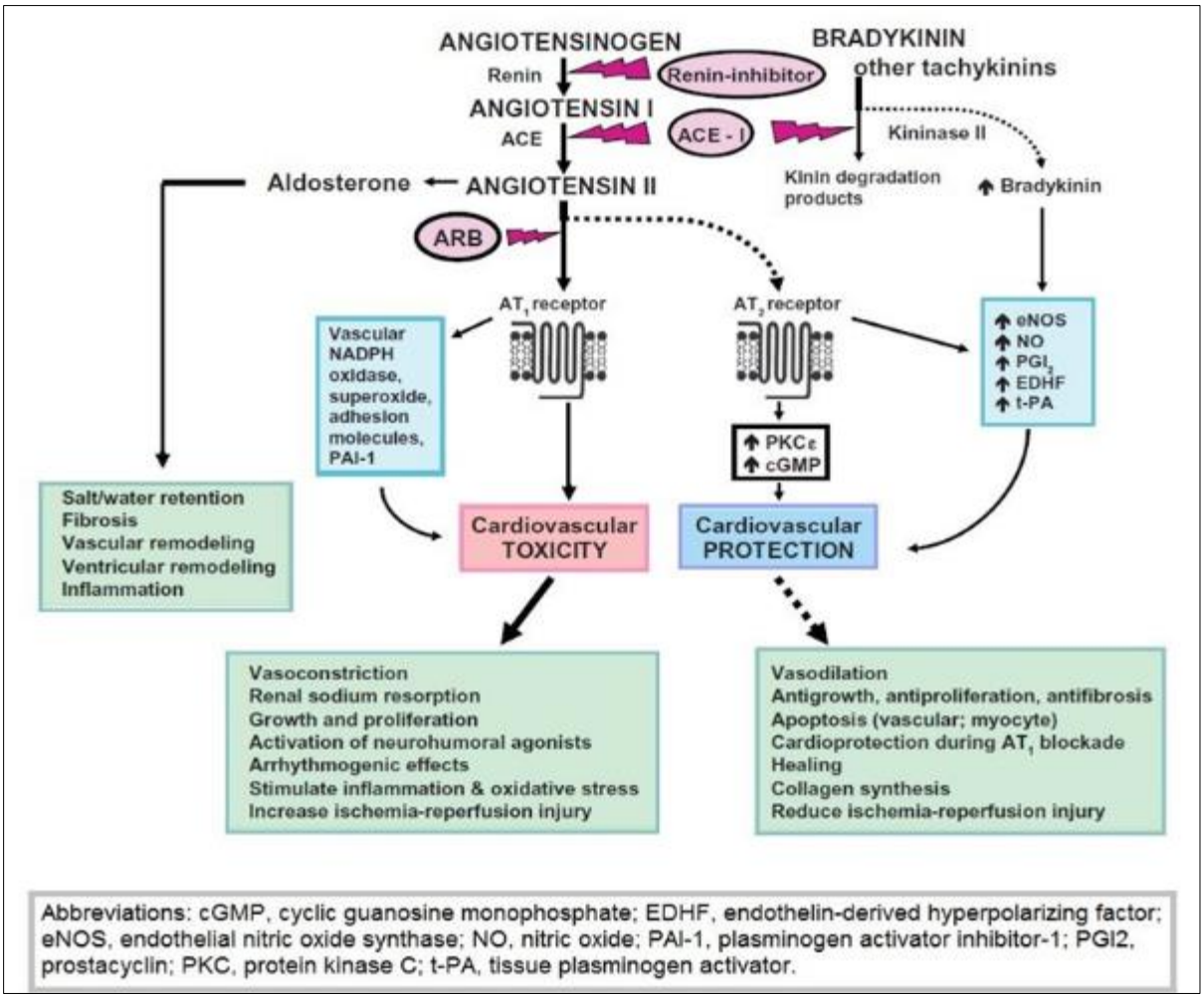

Figure 1 Pathways of cardiovascular protection induced by ACE inhibition and ARBs [5]

3. Effect of telmisartan on cardiovascular system

Many studies found that Telmisartan has a role in decreasing the rate of morbidity in patients with atherothrombotic cardiovascular diseases that included coronary and peripheral arteries diseases and stroke or with diabetes [9] . The increases level of angiotensin II over physiological limit can lead or associated with many pathological variations occur in the blood vessels for instance abnormal function of endothelium, rigidity, vascular expansion , remodeling, stroke, and aneurysms. The treatment with Telmisartan achieved a state of minimizing or avoiding these complications. As Telmisartan decreases the activity of NADPH oxidase, therefore its treatment caused lowering of remodeling blood vessels more than the treatment with losartan [10].

Hypertension with the increased level of angiotensin II can progress to LVH that enhance the occurrence of morbidity and mortality. The blockade of fibrotic and trophic effects of angiotensin II that achieved by the treatment with ARBs and ACEI can minimize the incidence of LVH . Telmisartan treatment like other RAS blockers can decrease the incidence of LVH as found in the study that compare its effect to Enalapril [11]. The treatment with Telmisartan can lower the occurrence of other cardiac remodeling features that is the atrial fibrillation as documented by the study that compare its effect with the Ramipril [12].

4. Effect of telmisartan on inflammatory and insulin sensitivity

Inflammation can be prompted by Angiotensin II by escalation of reactive species of oxygen, adhesion proteins and cytokines of inflammation. Thereby, the blockade of Angiotensin II is the goal of many drugs therapy such as Olmesartan and Candesartan that reported to reduce the level of leptin and chemerine in addition to lowering blood pressure [13,14] .

Moreover, Telmisartan treatment can diminish the levels of interleukins and TNFα and thereby decrease the incidence of atherosclerosis and stenosis [15,16]. The effect of Telmisartan on renal system manifested by decreasing microalbuminuria, oxidative stress and inflammation and achieved by activation of angiotensin II type 2 receptor and augmentation of superoxide dismutase enzyme .This features can lead to lower the incidence of proteinuria and nephropathy and ameliorate the function of renal endothelium [17].

The blockade of angiotensin II lead to expand blood vessels and hence amend blood supply to all tissues. As glucose reached to all cells and signaling system activated, insulin sensitivity and secretion by pancreas and metabolic activity will improve [18]. Moreover, Telmisartan has been found to be more effective than other ARBs (Losartan, Valsartan, Irbesartan, and Olmesartan) on glucose sensitivity and metabolism in patients complain from hypertension, dyslipidemia, metabolic syndrome [19], or hypertensive patient with corpulence. Additionally, Telmisartan ameliorate the level of adiponectin in patients with elevated blood pressure and intolerant to glucose [20].

Interestingly, Telmisartan can escalate the activity of peroxisome proliferator-activated receptor gamma (PPARγ) by acting as partial agonist to its nuclear receptor. The high lipophilic activity of Telmisartan may enhanced this feature. As documented, PPARγ has many role in enhancing glucose and fat metabolism. Thereby prevent fat accumulation and atherosclerosis and decrease the incidence of cardiovascular diseases. These features may obtain with Telmisartan treatment more than other drugs of ARBs [21,22].

5. Conclusion

Telmisartan is a drug belong to angiotensin II receptor blockers class and is used to lower blood pressure in addition to other effects on cardiovascular system for instance diminishing the risk of LVH, and AF. Moreover, Telmisartan has a role in lessening oxidative stress, inflammation, and albuminuria and kidney dysfunction. These effects came from its characteristics on blockade of angiotensin II and on regulation of PPARγ.

Compliance with ethical standards

Statement of ethical approval

The protocol of this study accepted by Al-Nahrain University /College of Pharmacy ethics committee.

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