Atorvastatin As an Antihyperlipidemic Drug: A Comprehensive Review

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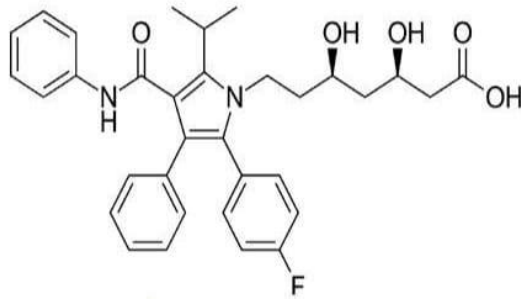
Abstract – Atorvastatin belongs to class of medications called HMG-CoA reductase inhibitors or statins. Atorvastatin is used as a first line drug for hyperlipidemia, a condition characterized by elevated levels of cholesterol in the blood. It works by inhibiting HMG-CoA reductase, an enzyme which is present in liver tissue that plays a key role in the production of cholesterol in the body. This review provides a good understanding of atorvastatin as an antihyperlipidemic drug.

Indexed Terms - Atorvastatin, Cholesterol, Lipoprotein, Triglycerides.

I. INTRODUCTION

Atorvastatin is an HMG-CoA reductase inhibitor used to lower lipid levels and reduce the risk of cardiovascular diseases including myocardial infarction and stroke. It was first synthesized in the year 1985 by Dr. Bruce Roth and approved by the FDA in 1996. It is also available as generic medicine. The generic name of the drug atorvastatin is atorvastatin calcium. Atorvastatin is usually prescribed in combination with a healthy diet and lifestyle changes, such as exercise and weight loss.



(Chemical Structure of Atorvastatin)

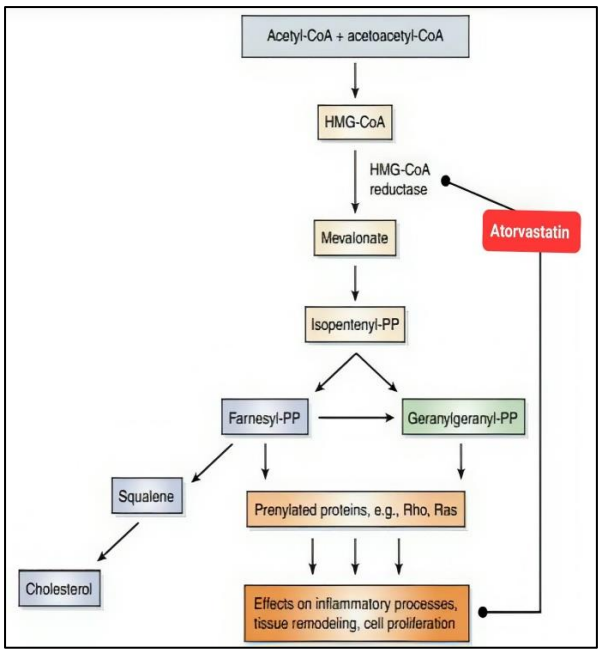
II. MECHANISM OF ACTION OF ATORVASTATIN

Atorvastatin works by inhibiting an enzyme called 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase, which is responsible for producing cholesterol in the liver. Cholesterol is an important component of cell membranes and is also a precursor for the synthesis of bile acids, steroid hormones, and vitamin D. The liver produces the majority of cholesterol required by the body, with the remaining cholesterol coming from dietary sources.

HMG-CoA reductase is the rate-limiting enzyme in the synthesis of cholesterol, which means that it controls the rate at which cholesterol is produced. Atorvastatin blocks the activity of this enzyme, which in turn decreases the production of cholesterol in the liver.

As a result of the inhibition of HMG-CoA reductase, the liver increases the number of LDL receptors on the cell surface, which results in increased uptake and catabolism of LDL-cholesterol. Atorvastatin also causes a decrease in the production of VLDL or Very-low-density lipoprotein, which leads to a decrease in triglycerides.

The decreased production of cholesterol and the increased uptake and catabolism of LDL-cholesterol result in a decrease in the levels of LDL-cholesterol in the blood, hence it helps to lower cholesterol levels and ultimately reducing the risk of cardiovascular disease.



III. ADVERSE EFFECTS OF ATORVASTATIN

a. Fever and dizziness
b. Fast heartbeat
c. Cough
d. Muscle cramps, pain
e. Puffiness of the eyelids
f. Skin rash
g. Unusual tiredness or weakness
h. Insomnia
i. Nausea and diarrhea

IV. CONTRAINDICATIONS

a) Contraindicated in patients hypersensitive to atorvastatin.
b) Contraindicated in pregnancy, in females who are planning for pregnancy and in nursing females.
c) It is contraindicated in patient with active liver disease.

V. CLINICAL USES OF ATORVASTATIN

i. Atorvastatin is used as first line treatment option for dyslipidemia.
ii. It is used to reduce risk of myocardial infarction and angina in patients with type 2 diabetes.
iii. Atorvastatin is used to reduce risk of fatal and non-fatal stroke.
iv. Atorvastatin is used for treatment of hypercholesterolemia and hypertriglyceridemia.
v. Atorvastatin is used to treat Homozygous familial hypercholesterolemia in children.

CONCLUSION

Atorvastatin is an antihyperlipidemic drug which is primarily used in the treatment and prevention of various cardiovascular diseases. It acts by inhibiting the HMG-CoA reductase which leads to inhibition of hepatic cholesterol biosynthesis followed by decreasing the levels of triglycerides and slightly increases the levels of HDL-cholesterol.

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