Vascular cholesterol inhibitors

CSIC has developed a family of novel vascular cholesterol inhibitors targeting LRP1 receptor which have been shown to efficiently decrease vascular cholesterol accumulation, prevent LDL aggregation and VSMC-foam cell formation. These properties make these compounds candidates for use in the therapy of atherosclerosis and all the atherosclerotic cardiovascular diseases as well as for hypercholesterolemic conditions and other abnormalities in lipoprotein metabolism.

Industrial partners from the pharmaceutical industry are being sought to collaborate through a patent licence agreement.

An offer for Patent Licensing

First cholesterol inhibitors targeting smooth muscle cells

Cardiovascular diseases are the leading cause of mortality worldwide. Among all modifiable risk factors, abnormal lipid levels have been associated with the highest risk for the occurrence of myocardial infarction. It has also been shown that cardiovascular risk positively correlates with blood levels of LDL-cholesterol and inversely with HDL-cholesterol.

To date, the prevention of atherosclerosis is based mainly on lipid-lowering drugs that reduce blood cholesterol levels. Although this reduction in plasma cholesterol levels has an impact on the amount of cholesterol retained and accumulated in the vascular wall of the coronary vessels, it is not sufficient to prevent plaque vulnerability and clinical events.

These compounds are the first inhibitors targeting the smooth muscle cell (slowing the transformation of smooth muscle cells into foam cells) and its pro-inflammatory and pro-thrombotic transformation. Immunization with these compounds not only blocks the vascular cholesteryl ester accumulation but also the inflammatory component of the plaque.

Main innovations and advantages

- These compounds follow an innovative strategy since they attempt to prevent atherosclerosis by specifically controlling lipid accumulation in the vascular wall, based on the direct relationship between the lipid composition of the atherosclerotic plaque and the tendency of the plaque to rupture and trigger the clinical event.
- Elude adverse events associated with therapies based on lipid-lowering agents (e.g. intolerance, myalgia, myopathy, rhabdomyolysis, and diabetes mellitus, among others).
- These compounds used as immunization strategy also block intramyocardial cholesteryl ester accumulation blocking cardiac insulin resistance in a rabbit model of hypercholesterolemia.

Patent Status

European and US patent applications filed

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