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Novel extended-released polymeric matrix for Monascus fermented rice extract (RYR) delivery

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Monascus fermented red rice (RYR) has been demonstrated to lower cholesterol in blood and sold over-the-counter as an alternative to cholesterol-lowering statin drugs, especially for who stopped statin drugs due to their side effects. The goal of this work is to develop an extended-release formulation, able to maintain the activity effect against the cholesterol, obtaining a constant release of statins present in RYR throughout the staying of the tablets inside the intestine. This study focus on the analysis of different carriers for controlled release systems composed by polysaccharide-based matrices by two different formulations based on K-Carrageenan and Gellan gum (ranging from 10-90% in weight). Samples as cylindrical tablets have been physicochemical characterized by FTIR, DSC, TGA, Rheometer and TOF-SIMS, water uptake, water bond, water diffusion and mesoporosity. The Monacolin K release has been monitored until 48 hours in simulated intestinal fluid SIF. HMG-CoA reductase activity has been measured to determine the formulation influence on statin activities against the Lovastatin activity used as control. The selected formulation enhances the statins release respect to the RYR matrix alone and in addition, the preliminary biological results suggest that the activity of these samples is associated with the inhibition of HMG-CoA reductase. Release tests pointed out that formulations obtained combining polymers in a ratio close to 1 (i.e., 40/60 and 50/50) guaranteed a potentiated release of Lovastatin from RYR inducing also a superior hypocholesterolemizing action both in terms of hepatocytes cholesterol production and inhibitory activity towards 3-hydroxy-3-methylglutaryl-coenzyme A reductase (HMG-CoA). The most effective one, in hypocholesterolemizing activity, in terms of inhibitory activity versus HMG-CoA reductase and hepatocytes cholesterol production, was the formulation obtained combining 40% of K-Carrageenan and 60% of Gellan gum.

Biography

Marco Consumi is a Research Scientist at Department of Biotechnology, Chemistry and Pharmacy in University of Siena, Italy. He has received his PhD in Biomaterials from University of Trento and studied polymers and polymer based materials for controlled release of active substances in pharmaceutical and nutraceutical field. As a Postdoctoral Fellow, he was focused on understanding the correlation between the chemical composition of materials and their biological activity. He has broad expertise in synthesis modification and characterization of polymers (naturals and synthetics) and materials for biomedical applications. Actually, he is involved in 2 EU ITN projects in bacterial infection topic to fundamentally better understand the biology, chemistry and physical properties of biofilms and 2 Toscany Region funded projects on nutraceutical filed.

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