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MEETING ABSTRACT

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Physico-chemical characterization of polyprenol-loaded liposomes

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Background: Polyprenols (PPs) are natural long-chain isoprenoid alcohols of the general formula H-$(C_5H_8)_n$-OH where *n* is the number of isoprene units. Conifer-tree needles are one of the richest sources of polyprenols. PPs are interesting with their wide range of biological activity and low toxicity; therefore, PP pharmaceutical formulations are to be investigated. It is proposed that liposomes could be appropriate vehicles for administration of PPs, since they are universal drug carriers that can accommodate both hydrophilic and hydrophobic compounds and are especially suitable for lipophilic substances [1].

Objectives: The objective of the study was to characterize novel conifer PP liposomes by their physico-chemical properties.

Methods: *Abies sibirica* PPs with a purity of ~80% and the phospholipid mixture Phosal 40 IP (contains at least 25–75% of phosphatidylcholine) were used to prepare liposomes according to a modified method originally from Zompero *et al.* [2]. Solubility of PPs was studied using the HPLC method. The incorporation efficiency of PPs was determined using a modified Stewart assay for phospholipid content and the HPLC method for PP content. Liposome size was detected at 25 °C by volume weighting and PDI index using Zetasizer Nano ZS. Liposome visualization was realized via light microscopy (Nikon Eclipse 90i with Nomarski contrast); microstructure and morphology imaging was acquired via TEM (Tesla BS 540 JEOL 100) using both positive and negative staining methods.

Results: A positive correlation was found between the phospholipid mixture concentration and the solubility of PPs with unlimited solubility of 80% PPs being reached at 25% of Lipoid P75 mixture in 96% ethanol at 24 °C. A negative correlation was found between the incorporation efficiency of 80% PPs and their dissolved ratio in the Phosal mixtures with highest efficiency being reached at ratio 1:40 for both PP/Phosal 40 IP and PP/Phosal 75 SA mixtures. Liposome size was discovered to be polymodal with the main peak at about 1,360 nm (90% of the volume) and 2 smaller populations at size 307 nm (~5%) and 62 nm (~5%). The visual assessment by microscopy showed liposomes to be multilamellar (MLVs) with varying shapes and sizes, and confirmed the Zetasizer findings.

Conclusions: The physico-chemical characterization of PP MLV liposomes confirms the development of a new PP pharmaceutical formulation.

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