Development of liposome formulations for the delivery across the Blood-Brain Barrier

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Nowadays one of the biggest challenges is the development of new neuropharmaceuticals for the treatment of central nervous system (CNS) diseases, a still unmet and urgent medical need. Despite the efforts to realize novel therapeutic approaches, the advancement of new drugs for CNS is severely hampered due to the presence of the blood-brain barrier (BBB) that prevents almost the totality of the drug candidates from reaching CNS at a therapeutic concentration (>98% of low-molecular-weight drugs and almost 100% of large therapeutics do not cross the BBB).

Being the delivery of the drugs rather than their efficacy the crucial problem in the treatment of CNS diseases, the development of suitable nanocarriers able to cross BBB and release the drug to the target districts is a primary objective.

In this context, nanosystems such as liposomes, thanks to their self-assembled structure, biocompatibility and biodegradability, can represent the ideal drug delivery systems.

In this work, we designed novel cationic liposomes and evaluated their ability in interacting and crossing BBB. The investigated cationic liposomes were composed of a natural phospholipid (DPPC or POPC) and cholesterol (CHOL) in mixture with synthetic *gemini* amphiphiles (SS or RR or MESO) and /or *glycosylated* amphiphiles (MAN1 or GLT1).[2] Both the gemini and the glycosylated amphiphile were added to promote the interaction with BBB and favor the crossing (Figure 1). The new formulations were characterized in terms of size, polidispersity, and stability over time. The formulations showing appropriate size and stability were investigated for their ability to interact with culture cells of brain tissues and of BBB. Permeability experiments were also performed on an *in vitro* BBB model.

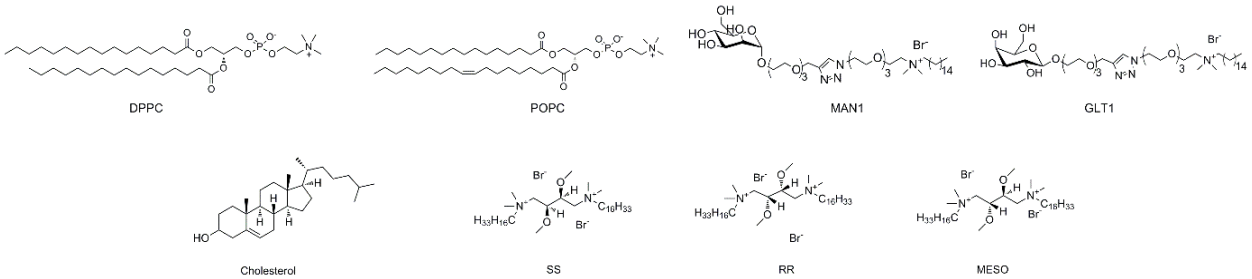

Figure 1. Compositions of liposome formulations in HBSS/HEPES buffer

References

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