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Lipid Nanoparticles for the Delivery of Phenobarbital: Design, Optimization, Characterization and *In vivo* Evaluation †

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Abstract: Epilepsy is one of the most common neurological disorders, affecting around 50 million people worldwide. Due to restrictions imposed by the blood-brain barrier, high doses of antiepileptic drugs are generally needed to achieve therapeutic effects. Lipid nanoparticles (LNs) are promising systems aimed at surpassing this limitation. LNs of myristyl myristate containing phenobarbital were designed and optimized in terms of size and charge by a QbD approach. The formulation with the highest desirability was then prepared by ultrasonication and characterized using entrapment efficiency (EE), particle size, polydispersity, and z-potential. Thermal properties were analyzed by DSC and TGA, and morphology and crystal properties by AFM and XRD. Drug localization was evaluated using FTIR. *In vitro* release and *in vivo* anticonvulsant activity in an animal model of acute seizures was studied. The optimized LNs showed spherical particles with particle size ca. 178 nm and 98.2% of EE. It was thermally stable, and the drug was homogeneously distributed within the lipid matrix. Sustained release kinetics of the drug was observed *in vitro*, and the *in vivo* assay confirmed the anticonvulsant activity of the LNs. These are very promising results that position these systems as a possible alternative to traditional epilepsy treatments.

Keywords: solid lipid nanoparticles; epilepsy; refractory epilepsy; phenobarbital; quality by design.

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Conflicts of Interest

The authors declare no conflict of interest.