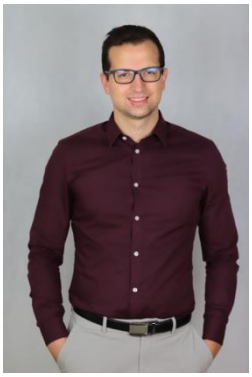
OP-3

DOI: 10.14232/syrptbrs.2021.op3



Modifying the release of an antiparkinsonian drug by using mesoporous carrier

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The mesoporous silica-based materials are utilized in different field of pharmaceutical technology. One of the remarkable applications is based on the incorporation of active pharmaceutical ingredients (APIs) molecules into the mesopores. If the drug release rate is limited, it can be accelerated after loading drug into the mesoporous silica thanks to its stabilized amorphous state, the increased contact surface and the improved aqueous wetting ability of the excipient. The deceleration of a highly soluble API dissolution by mesoporous silica is a less investigated area.

Our aim was to explore the possibilities of per os administered drug release regulation by using hydrophobized mesoporous silica. The highly soluble model API was the levodopa methyl ester hydrochloride (LDME) which was loaded into the pores of SYLOID 3050 XDP and its sylilated derivatives. The sylilation was executed with trimethylchlorosilane (TMCS). The reaction resulted in products with lower aqueous wetting ability. The hydrophobization reaction was reproducible after standardizing the adsorbed water content of silica, the occurence of the process was proven with FT-IR, charge titration and contact angle measurements.

The LDME was loaded into the pores of silica with different hydrophobization extent in the range of 5-20 w/w% API. The drug was stable amorphous during the 1-month investigation period at 40 °C and 70% relative humidity (ICH Q1A (R2) guideline). The API was homogenously distributed in the products. The drug release could be regulated by controlling the wetting ability of silica. The hydrophobization extent effect was more remarkable when the loading degree was lower.

Acknowledgement: Ministry of Human Capacities, Hungary grant, TKP-2020

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