

IV. Symposium of Young Researchers on Pharmaceutical Technology, Biotechnology and Regulatory Science

January 19-21, 2022 - Szeged, Hungary

DOI: <u>10.14232/syrptbrs.2022.18</u>

Spray-dried indomethacin-loaded polymeric micelles for the improvement of peroral bioavailability

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Spray-dried nanoparticle formulations are useful for the development of solid products with a number of advantages. They can be used to develop orally administered, innovative capsules, tablets or water-dispersible powders by providing the appropriate powder rheological properties of the spay-dried product. The increased water solubility due to the more hydrophilic characteristic is especially important in increasing the bioavailability of non-steroidal anti-inflammatory drugs, such as indomethacin, in order to achieve rapid drug release and increased permeability in addition to the possibility of dose reduction.

The morphology of the product was characterized by size analysis based on scanning electron microscopy, laser diffraction and dynamic light scattering. The compressibility and flowability was examined with a stampfvolumeter. During the characterization of the physicochemical properties, the polarity and the encapsulation efficiency were determined, and the drug distribution was examined by Raman spectroscopy. In vitro drug release study was performed in fasting and fed state conditions and an ex vivo permeability study was conducted on porcine intestine.

The product is spherical, suitable for encapsulation, tableting and it contains nano-sized polymeric micelles with monodisperse distribution. Increased polarity and high encapsulation efficiency contributed to the improvement of water solubility, thereby enhancement in in vitro drug release. The active substance distribution of the compressed product is homogenous. Based on ex vivo measurements, the carrier system can be characterized by increased flux and permeability.

Overall, the spray-dried nanoformulation is suitable for increasing the bioavailability of the drug through oral administration.

Acknowledgements

Supported by the ÚNKP-21-3-SZTE-260 New National Excellence Program and Project no. TKP2021-EGA-32 of the Ministry for Innovation and Technology from the source of the National Research, Development and Innovation Fund.