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Innovative bimodal porous silica for solubility enhancement of low soluble drugs

Steffen Denzinger and Leonhard Ohrem
Merck KGaA, Germany

Purpose: The number of APIs with low solubility is rising over the last decades, leading to an increasing demand for solubility enhancement technologies.

Several techniques for the solubility enhancement of poorly water-soluble drugs (APIs) are available on the market. Nanosizing as well as microemulsions will also need surfactants and stabilizers which show acute toxicity. Also the nano-risk is described. Cyclodextrins are offered as well and have irritating effects reported. For hot-melt extrusion, high temperatures applied and polymers as novel excipients with high regulatory hurdle give difficulties.

Amorphous drug loading on silica as carrier has been described in literature but has not yet been available commercially as a GMP conforming excipient product.

Methods: Bimodal porous silica has been loaded with low soluble model drugs (Fenofibrate, Itroconazole and others) using a solvent impregnation method. The drug dissolution was studied in vitro by standard dissolution methods and *in vivo* pk-studies in rats.

Results: Dissolution was greatly improved in comparison to the pure micronized drug. The dissolution performance was equal or superior to the marketed drug products which contain other means of solubility enhancement (e.g. surfactants). The promising in vitro results have been confirmed *in vivo.*

Conclusion: Drug loading on silica for solubility enhancement has never been pursued with bimodal silica before. The twofold pore structure delivers a large surface area as well as good transport properties. This structure shows benefits over other types of silica. Silica as such is a very inert material with a long history of pharmaceutical use with no issues about toxicology or API stability.

steffen.denzinger@merckgroup.com