OptiSil™

Increasing the solubility of poorly water soluble APIs

Current industry trends and dynamics

In the field of Pharmaceuticals, poorly soluble APIs represent a significant challenge to the industry. It is estimated that approx 40% of the drugs currently on the market suffer from the problem of low solubility in the human body and as a result are not as effective as they are intended. In addition, there is the potential that new drugs are not being considered for patient use, due to the very same reason. It is estimated that almost 90% of the new drugs being developed suffer from this issue. Increasing the solubility of these drugs can increase bioavailability, reduce side effects and variability, and improve effectiveness. This in turn can have a significant effect on the economics of drug candidate selection.

The use of silica/API conjugates to enhance the performance of pharmaceuticals is currently an area of intense research for both small molecules and biological therapeutics. Glantreo has developed the OptiSil™ technology to address important challenges in drug development in particular poorly water soluble drug molecules, an approach which is now gaining favour in the industry.

OptiSil™ solution

Glantreo and its associate have developed a technology based on the adsorption of poorly water soluble drug entites into and onto the surface of mesoporous silica carriers. Because the API is confined within the tubular ordered pores of the silica the API is prevented from recrystallizing. Desorption of the API from the silica surface upon contact with the gastrointestinal fluids is associated with the generation of API concentrations that are not achievable by dissolution of the crystalline form, thereby creating a driving force for absorption. The hydrophilic nature of the silica combined with its high surface area also acts as a driving force for dissolution.

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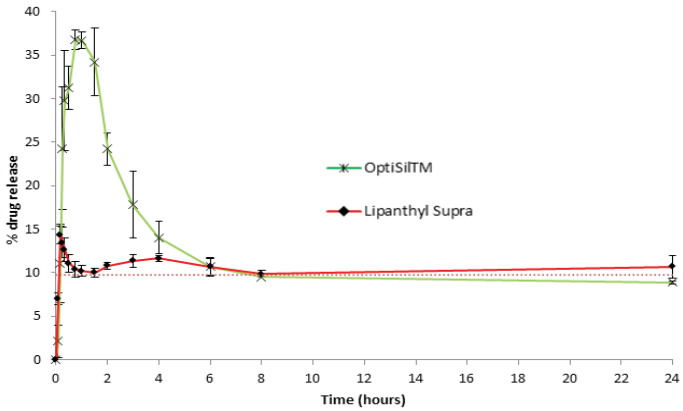
Key features and benefits of OptiSil™

- Technology to increase the solubility of poorly water soluble APIs
- Demonstrated results both *'in-vitro'* and *'in-vivo'*
- Platform technology that can be utilised for a range of drug compounds
- Commercial grade silicas utilised
- Amphorous drug form is present
- Technology as a Service (TaaS) Model available in licensing

Technical data

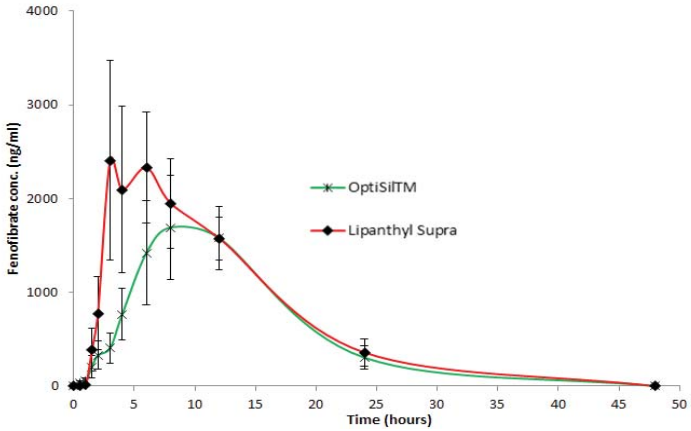


In-Vitro Experiments

Dissolution profiles comparing fenofibrate release from OptiSil™ and Lipanthyl Supra™.

Dissolution medium B: FASSIF.

Dashed red line indicates saturated solubility of fenofibrate in FASSIF.



In-Vivo Experiments (Pigs)

Dissolution profiles comparing fenofibrate release from OptiSil™ and Lipanthyl Supra™.

Lipanthyl Supra™ tablet: tablet was crushed and encapsulated in gelatin capsule, 67 mg Fenofibrate;
OptiSil™: Fenofibrate/Silica encapsulated in gelatin capsule, 67 mg Fenofibrate.

Cross-over study, 6 pigs.

Licensing opportunites

For further details on OptiSil™ or for details of evaluation/licensing opportunites please see contact details below.

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