SYLOID® XDP Silica Pharmaceutical Excipients

A Carrier for Liquid Drug Solubilizers in Solid Dosage Form

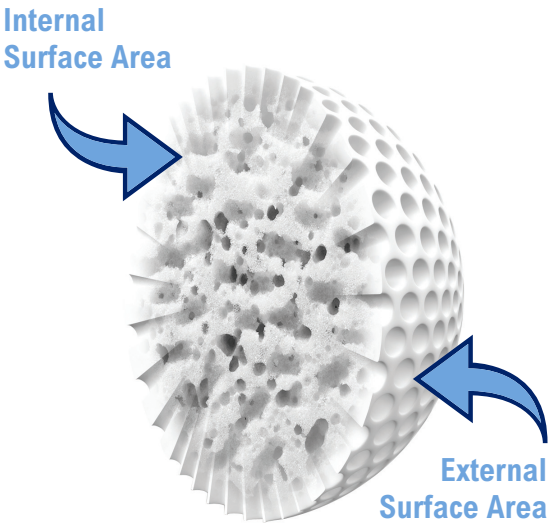
The solubility of poorly soluble drugs can be increased by using solubilizers such as polyethylene glycols (PEG), Tween 80 etc. These solublizers can be used to increase oral bioavailability of Class II and Class IV drugs. Often, these solubilizers are liquid in nature and can be challenging to formulate into solid dosage forms such as tablets and capsules. To address this, soft gelatin capsules have been used to deliver liquids. However, higher manufacturing time, poor yields, and more required resources make soft gelatin capsules very costly.

SYLOID® XDP silicas are mesoporous, amorphous, silica gels with a unique morphology that create an excellent solid porous carrier for pharmaceutical formulations[1,2]. The combined adsorption capacity, porosity, particle size, and density provide a tool to convert liquid ingredients into free flowing powder.

The obtained liquid loaded SYLOID® XDP silica creates formulations that are easy to manufacture and help improve efficacy of the final dosage form. In this application note, the role of SYLOID® XDP silica as an adsorbent carrier is evaluated for delivery of PEG 400-drug solution in solid dosage forms.

Significant Improvement in Solubility

Nifedipine was used as model poorly soluble drug. The SYLOID® XDP 3050 silica used was from W. R. Grace & Co. All other excipients and chemicals used were pharma grade and from leading manufacturers. The saturated solution of Nifedipine was prepared in PEG 400. The obtained solution (1.5ml) was adsorbed on 1gm of SYLOID® XDP silica in a beaker with simultaneous mixing. On a larger scale, the solution can be sprayed on SYLOID® XDP silica to ensure uniform adsorption. The obtained powder was evaluated by dissolution study using USP apparatus II.



The dissolution study of obtained Nifedipine+PEG loaded on SYLOID® XDP silica shows significant improvement in solubility of Nifedipine as compared to the drug alone. This indicates that, PEG 400 helps to increase solubility of Nifedipine. The obtained drug solution can be converted into a free flowing powder using SYLOID® XDP silica. The maximum achieved dissolution of 100% within 5 minutes indicates that drug release is not altered using SYLOID® XDP silica, rather it converts liquid solublizers such as PEG400 into free flowing powders that can easily be processed into capsules or tablet dosage forms.

Benefits of SYLOID® XDP Silica

- Solid carrier for PEG-based drug delivery systems
- Transform liquids to easy to handle solids
- Increase liquid loading in solid dosage forms
- Release API completely from solid dosage forms

[1] Comparative evaluation of porous silica based carriers for lipids and liquid drug formulations; Yogesh Choudhari, Upendra Reddy, Fred Monsuur, Thomas Pauly, Hans Hoefer, William McCarthy; Mesoporous Biomaterials. Volume 1, Issue 1, December 2014.

[2] Mesoporous Silica Drug Delivery Systems; Yogesh Choudhari, Hans Hoefer, Cristian Libanati, Fred Monsuur, William McCarthy; Book: Amorphous solid dispersions, pp 665-693, ISBN:978-1-4939-1598-9, Nov. 2014.

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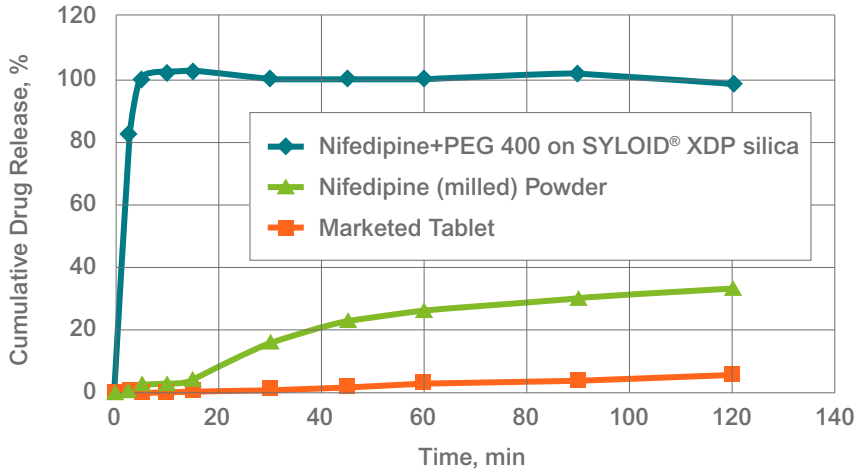
Dissolution of Nifedipine from PEG 400+ SYLOID® XDP 3050 silica



Dissolution study of Nifedipine+PEG 400 loaded on SYLOID® XDP and comparison with marketed tablet.

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For more information about SYLOID® FP silica, visit: SYLOIDFP.com

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