

Technical Data Sheet

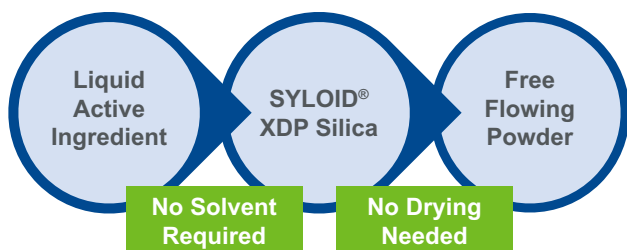
SYLOID® XDP Silica Solution For Liquisolid Formulations



Introduction

Effective pharmaceutical and nutraceutical products in user-friendly forms are becoming increasingly important to people's health and wellbeing. Solid dosage forms such as tablets, capsules, sachets and stick packs are the most popular due to their many advantages including convenience, stability, and cost-effectiveness. However, many pharmaceutical and nutraceutical active ingredients are either in liquid form or need liquid solubilizers to improve their bioavailability. To achieve a solid dosage form with liquid ingredients, a porous carrier is needed that can absorb the liquids and be conveniently processed into desirable solid forms, known as liquisolid formulation.

In addressing this demand, Grace has developed and introduced a patented silica-based carrier, SYLOID® XDP silica, to directly convert liquid ingredients into solid forms [1].



Typical Properties of SYLOID® XDP Silica

Mesoporosity, ml/g	High
Average Particle Size, µm	50 and 150
Bulk Density, g/ml	0.24
Tapped Density, g/ml	0.28
Compressibility Index, %	16.2
Hausner Ratio	1.19

SYLOID® XDP Silica Features

The distinguished performance of SYLOID® XDP silica as a carrier in liquisolid formulations is achieved through its unique features:

- A combination of exceptionally high pore volume and high bulk density: produced by a proprietary process, SYLOID® XDP silica presents these two desirable features for carrier materials simultaneously.
- Tightly controlled particle size: the production process is designed and carefully controlled to deliver the desired particle size with a narrow distribution.
- High purity silica gel: the product consists of over 99% SiO₂, and it meets ICH guidelines on elemental impurities, the test requirements of U.S. Pharmacopoeia-National Formulary for Silicon Dioxide and European Pharmacopoeia for Silica, Colloidal Hydrated.
- Strong particle integrity: each particle is composed of a continuous network of highly stable Si-O covalent bonds.

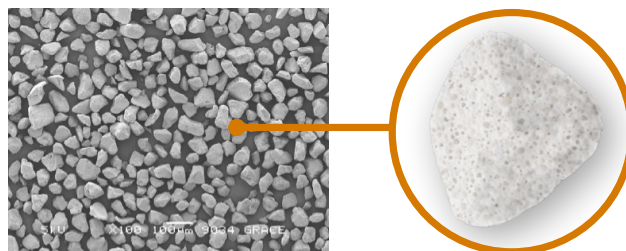


Figure 1. Electronic microscopic image and illustration of SYLOID® XDP silica with high pore volume and uniform particle size.

Optimum Application Performance of SYLOID® XDP Silica

SYLOID® XDP silica carrier is designed to provide the optimum performance in liquid-solid formulations:

High Loading Capacity and Packing Density

- The loading capacity is defined as the maximum amount of liquid that can be loaded into the carrier while maintaining free flow of the oil loaded carrier powder. SYLOID® XDP silica is engineered with a pore structure and particle size to deliver high loading capacity and to facilitate dense powder packing. Together, these features maximize the amount of active ingredient in tablets or capsules.

SYLOID® XDP Silica Features

- High Pore Volume
- Extraordinary Bulk Density
- High Purity Silica Gel
- Narrow Particle Size Distribution
- Strong Particle Integrity

Performance Benefits

- Maximum Loading Capacity and Filling Amount
- Regulatory Compliance
- Excellent Release and Bioavailability
- Superior Stability
- Ease of Processing

Excellent Release and Bioavailability

- Complete release of the active ingredient is essential to its bioavailability. SYLOID® XDP silica provides a silica surface chemistry that promotes release of the active ingredient and improves its bioavailability.

Superior Stability

- The silica surface chemistry of SYLOID® XDP silica also promotes stability of the active ingredient, resulting in a longer product shelf life.

Ease of Processing

- The liquid ingredient can be conveniently loaded into SYLOID® XDP silica using conventional mixing equipment such as a rapid mixer granulator. Free powder flow of SYLOID® XDP silica during liquid loading ensures the uniformity of the loading and easy handling of the material. The tight particle size distribution reduces dust formation and lowers logistics costs. The strong particle integrity of SYLOID® XDP silica makes it robust during processing, thereby improving product consistency. Finally, after loaded, SYLOID® XDP silica maintains good powder flow property and can be converted into capsules, sachets, stick packs or processed into tablets of varying sizes.

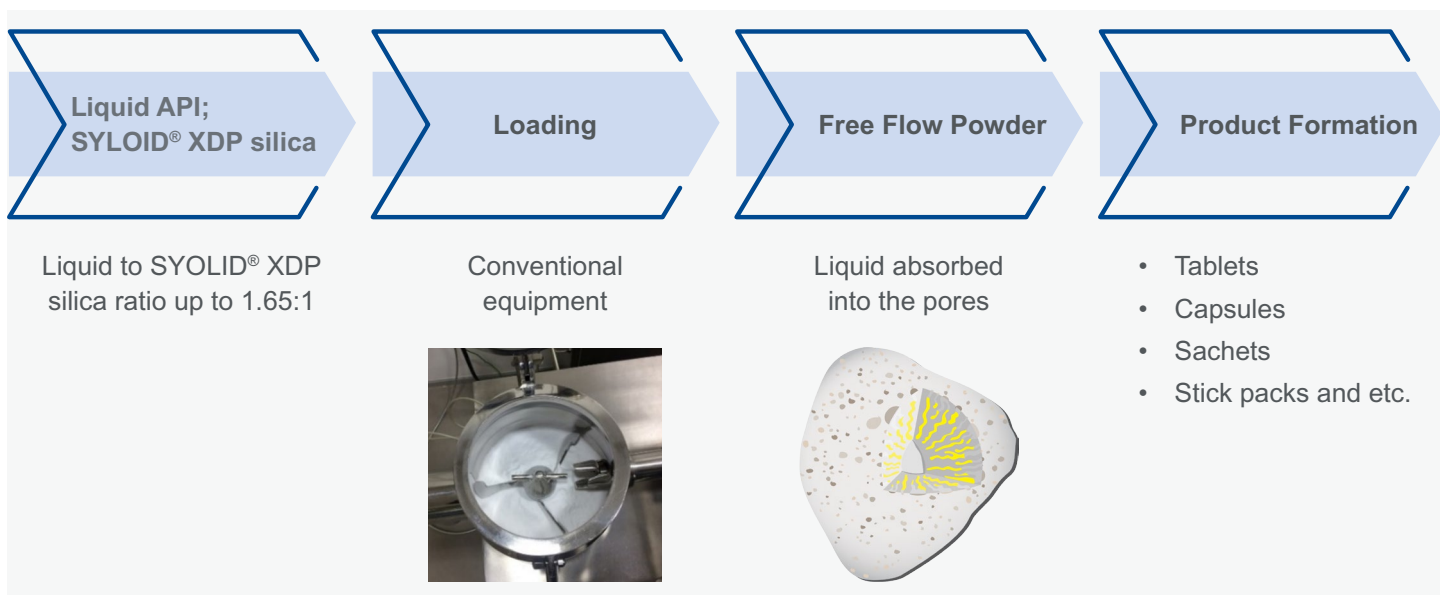


Figure 2. Loading with SYLOID® XDP silica using a conventional equipment such as rapid mixer granulator.

Pharmaceutical Example

The active pharmaceutical ingredient nifedipine, solubilized in a solvent, can be conveniently formulated into capsules and tablets with SYLOID® XDP silica. Tablets of a wide range of sizes and loading levels can be prepared with SYLOID® XDP silica. A detailed study can be found in the published application note [2].

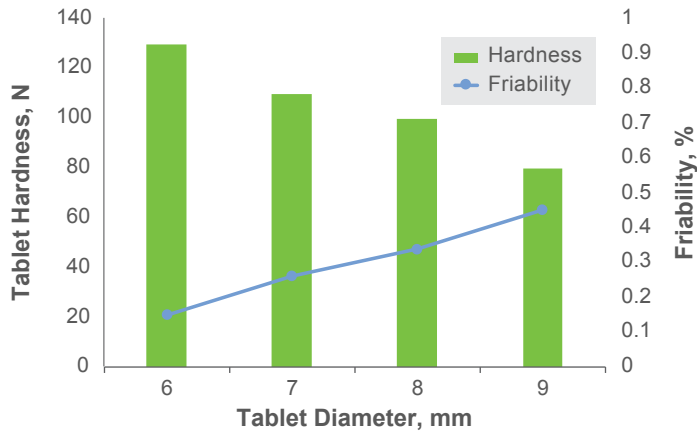


Figure 3. Tablets of varying sizes with SYLOID® XDP silica loaded with nifedipine and solubilizer.

In both capsule and tablet liquid formulations, SYLOID® XDP silica provides complete release of the active pharmaceutical ingredient [2]-[3]. Liquid formation with SYLOID® XDP silica is also stable over a six-month accelerated stability evaluation (40°C/75%RH).

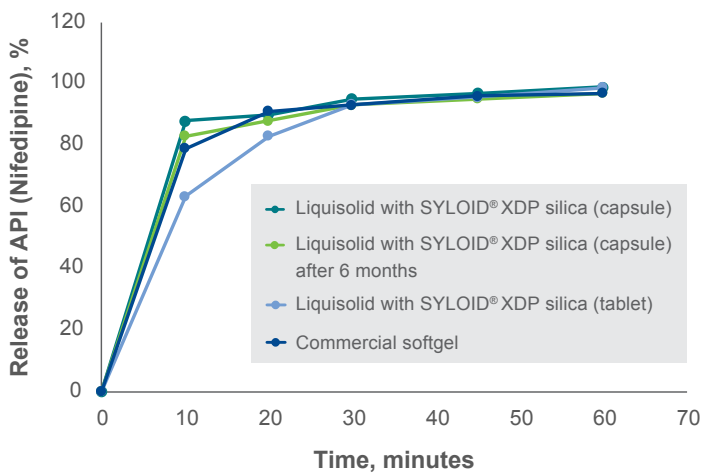


Figure 4. Complete nifedipine release of liquid formulations with SYLOID® XDP silica.

Nutraceutical Example

A liquid nutraceutical ingredient such as vitamin E oil is transformed into solid powder with SYLOID® XDP silica. The combined high pore volume and high bulk density allows SYLOID® XDP silica to deliver maximum amount of vitamin E oil in a capsule.

Size '0' Capsule • SYLOID® XDP Silica - 1 part • Vitamin E oil - 1.5 parts

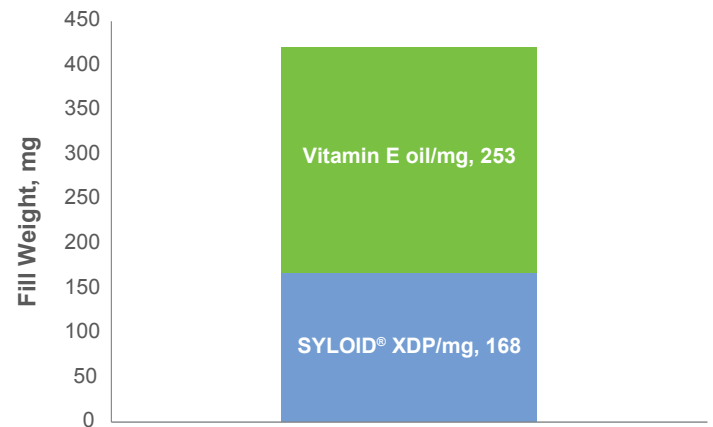


Figure 5. High filling amount with SYLOID® XDP silica.

SYLOID® XDP silica provides excellent release of the vitamin E oil, ensuring that the formulation provides optimum bioavailability.

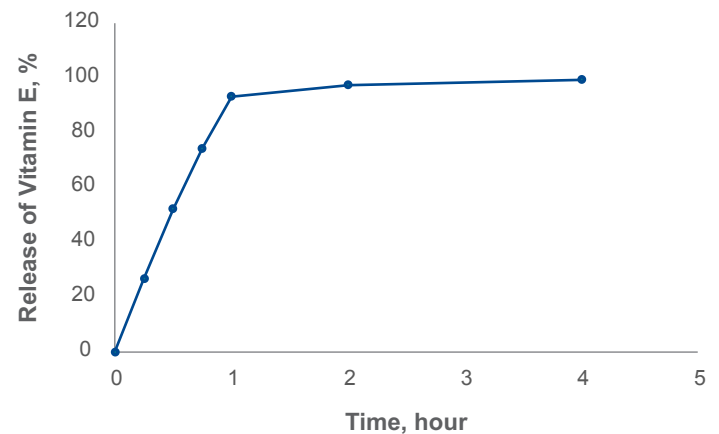


Figure 6. Vitamin E release of liquid formulation with SYLOID® XDP silica.

References

[1] Fred H. Monsuur, "Porous Silica Gel as a Carrier for Liquid Technologies" U. S. Patent US 10,660,856, issued May 26, 2020

[2] Tirumalesh N and Upendra Reddy, "SYLOID® XDP Silica Tableability of Oil Loaded Silica Powders", Application Note, W. R. Grace, Columbia, MD, 2020

[3] Tirumalesh N and Upendra Reddy, "Conversion of Soft Gel Capsule Formulations to Hard Gel Capsule Formulations Using SYLOID® XDP Mesoporous Silica", Application Note, W. R. Grace, Columbia, MD, 2020

For more information about SYLOID® XDP silica, visit grace.com/pharma

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