Multifunctional Excipients for the Pharmaceutical Industry

Syloid® FP and Syloid® XDP silicas are efficient in many pharmaceutical applications due to its unique morphology. It has a highly developed network of meso-pores that provide access to the large surface area that defines its performance.

The result is a product that is:

- Easy to incorporate, providing more uniform dispersion of actives and improved content uniformity
- High in adsorptive capacity - both for hydrophilic and hydrophobic compounds

Applications:

- Glidant
- Thickening, Gelation and Suspension
- Tableting Aid
- Moisture Scavenger
- Carrier for Active Ingredients
- Disintegrant Aid
- Carrier for Liquisolids/SEDDS

Syloid® FP and Syloid® XDP Silicas - Product Specifications*

<table>
<thead>
<tr>
<th>Property</th>
<th>63FP/AL-1</th>
<th>72FP</th>
<th>244FP</th>
<th>XDP 3050</th>
<th>XDP 3150</th>
</tr>
</thead>
<tbody>
<tr>
<td>SiO&lt;sub&gt;2&lt;/sub&gt; (dried basis) (%)</td>
<td>99.6</td>
<td>99.6</td>
<td>99.6</td>
<td>99.6</td>
<td>99.6</td>
</tr>
<tr>
<td>Average particle size (via Malvern) (µm)</td>
<td>7.5</td>
<td>6.0</td>
<td>3.5</td>
<td>50</td>
<td>150</td>
</tr>
<tr>
<td>Oil adsorption (lbs/100lbs)</td>
<td>80</td>
<td>220</td>
<td>300</td>
<td>300</td>
<td>300</td>
</tr>
<tr>
<td>Bulk density (g/l)</td>
<td>566</td>
<td>112</td>
<td>70</td>
<td>275</td>
<td>275</td>
</tr>
<tr>
<td>Average Pore Volume (cc/gm)</td>
<td>0.4</td>
<td>1.2</td>
<td>1.6</td>
<td>1.7</td>
<td>1.7</td>
</tr>
</tbody>
</table>

* These specifications are provided for informational purposes only. Not to be used as sales, product, or in-process specifications. Note: Certificate of Analysis is supplied with each Syloid® FP and Syloid® XDP silica shipment.

Excipients

Syloid® excipients are micronized synthetic amorphous silica gels of high purity that are widely formulated into many pharmaceutical products. In therapeutic categories, i.e. Anti-infectives, Central Nervous System and Cardiovascular, they can effectively contribute to the processability, stability and release of active pharmaceutical ingredients (API) and the shelf life of their finished dosage forms.

Multifunctional

Syloid® FP and Syloid® XDP silica’s unique combination of adsorptive capacity, meso-porosity, particle size and surface morphology allows it to promote the consistent release of the drug and protect it from degradation.

Syloid® Silica Excipients Advantages

- Effective desiccant to increase the stability of moisture-sensitive APIs
- Efficient conditioner for powder formulations used in suspensions
- Capillary wetting agent for better release and disintegration
- Contribution to the release of active pharmaceutical ingredients (API)
- Low dusting and easy to handle
Applications

Glidant
Syloid\textsuperscript{®} 244FP silica as a glidant should be incorporated in your formulation at levels from 0.25 - 2.0% to achieve a free flowing powder that will resist sticking to the walls of your transfer system, tableting and other equipment. Uniform powder flow is critical to achieving a consistent product dosage, whether the product is a capsule, tablet or other solid dosage form. The adsorptive properties of Syloid\textsuperscript{®} FP silica, along with its ease of incorporation, make it a highly effective glidant for pharmaceutical use.*

Carrier for Liquids, Oils and Active Ingredients
The high porosity of Syloid\textsuperscript{®} XDP silicas enables them to absorb up to 300g of liquid per 100g of silica. Therefore, liquid ingredients can be easily turned into free flowing powders with optimum density. This is an advantage for liquisolids, solid SEDDS, oily API and powders used in oral suspension dosage forms and tablets to be developed for higher API potency.

Tableting
Good powder flow is required for the successful manufacturing of solid dosage forms. Syloid\textsuperscript{®} FP silica incorporated in your powder during the granulation process or direct compression means uniform flow through your equipment. This can boost consistency during the tableting process and provide improvement in the following parameters:

- Reduced friability and improved hardness
- Content uniformity
- Structural stability
- Quicker tableting because of unique moisture adsorption
- High resistance to capping, lamination and sticking

During the compression cycle of the tableting process, liquid ingredients can be forced to the surface or even caused to exude from the tablet. The large internal porosity of Syloid\textsuperscript{®} FP and Syloid\textsuperscript{®} XDP silica provides greater capacity for any liquid ingredients that may be included in your formulation, which can prevent the occurrence of the above problems.

Moisture Scavenger / Protector
Syloid\textsuperscript{®} FP silica can act as an extremely efficient dehydrating agent, even at a very low moisture content. This can help to maximise product shelf life. Trace quantities of moisture can affect a formulation in many ways. It can degrade your API, it can cause reactions between your ingredients and can decrease shelf life. By adsorbing moisture from the atmosphere or by binding the water in our formulation, Syloid\textsuperscript{®} FP silica can help protect the bulk powder from these effects.*

Coating
- Improvement of suspension stability
- Protection from light and moisture
- Taste masking
- Wetting of tablets by reducing hydrophobicity
- Matting agent
- Modified drug release

Moisture Adsorption Capacity

The moisture adsorption capacities of the different grades of Syloid\textsuperscript{®} FP silicas are compared to fumed silica. It can be seen that for any relative humidity, a Syloid\textsuperscript{®} FP silica can be chosen which will give the highest moisture adsorption.

Syloid\textsuperscript{®} FP and Syloid\textsuperscript{®} XDP Silicas Can Bring

- Superior, strong absorptive capacity for both oil and water
- High capability for improving flow and reducing caking of many APIs during their processing and in their final dosage forms
- Enhanced compactibility for direct compression in combination with other excipients
- Reduced risk of cross-contamination by minimizing “dusting problems”
Ease of Handling and Dispersion

The higher density of Syloid® silicas, when compared to many fumed (colloidal) silicas, makes it easier to handle, results in less dust for a cleaner production environment and eliminates the need for sieving prior to usage.

Compliance

Syloid® silica grades are manufactured and certified to meet the specific test requirements as published in the latest editions of the United States Pharmacopoeia-National Formulary (USP-NF) for Silicon Dioxide, Japanese Pharmaceutical Excipients (JPE) for Hydrated Silicon Dioxide and the European Pharmacopoeia (EP) for Silica, Colloidal Hydrated.

Your Partner from Discovery to Delivery

Grace has a strong commitment to innovation.

The R&D group is staffed by a team of research scientists who continually strive to improve the quality of our products and respond to customers needs.

New compounds in development by the pharmaceutical and biotech industries hold the promise for future medical treatments and cures.

We pride ourselves in the contribution we make to the process using our silica as a vehicle in the development of new formulation strategies and drug delivery platforms that facilitate these discoveries, and ultimately lead to the creation of better drugs.

<table>
<thead>
<tr>
<th>Property</th>
<th>Benefit</th>
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<tbody>
<tr>
<td>High internal MESO porosity and surface area</td>
<td>High efficiency for lower costs</td>
</tr>
<tr>
<td></td>
<td>Controlled release of active pharmaceutical ingredients.</td>
</tr>
<tr>
<td>High adsorptive capacity</td>
<td>Carry higher level of liquids/actives</td>
</tr>
<tr>
<td>High bulk density for lower dust</td>
<td>Cleaner work areas and less chance of cross contamination</td>
</tr>
<tr>
<td>Controlled particle size distribution</td>
<td>More uniform blending resulting in improved content uniformity</td>
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</tbody>
</table>

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