

MERCK

Parteck® SLC Excipient

Drug substance, Meet DRUG PRODUCT

**A platform approach to manage
particle and polymorph variation**

Parteck® SLC can stabilize variable and unstable polymorphs and homogenize particle properties across diverse sets of APIs, unlocking lean and reproducible manufacturing processes.

The life science business
of Merck operates as
MilliporeSigma in the
U.S. and Canada.

SAFC®

Pharma & Biopharma Raw
Material Solutions

Parteck® SLC Excipient

Polymorph Variation: An omnipresent risk in formulation development

Variation in crystal structure – polymorphism – is a critical issue in pharmaceutical development. The crystal structure of a drug is related to a wide range of important properties including, but not limited to: biopharmaceutical performance, processability, galenical properties, safety, intellectual property and regulatory filings. Therefore, if polymorph variation is encountered during a development regime, the compound is at increased risk of attrition. This problem becomes especially acute if the manufacturing route involves continuous processing, where particle properties are critical to understand process scale-up.

Parteck® SLC mesoporous silica is a solubility enhancement excipient, that stabilizes the amorphous form of a compound in nanosized pores. This stabilization has been shown to be reliable and consistent, providing the same solid-state profile with a range of APIs (Table 1). This unparalleled stabilization of the amorphous solid state can be leveraged to address unstable polymorphism in drug development. Parteck® SLC can be used as a platform technology in which any API can be loaded into the pores of the mesoporous silica, providing a consistent and reliable solid-state profile every single time.

PARTECK® SLC PROVIDES:



Unparalleled stabilization of the amorphous solid state – every single time



Improved particle profile after loading, with enhanced flowability



Homogenization of particle properties for a broad range of APIs



Compatibility with continuous processes, including impregnation, drying and tableting

| | Solid-state | Drug Load (%) | LogP | MWt | pKa | BCS Class |
|--------------------|-------------|---------------|------|-----|--------------|-----------|
| Atenolol (ATN) | | 26 | 0.16 | 266 | 9.6 (basic) | III |
| Cinnarizine (CIN) | | 27 | 5.77 | 378 | 7.4 (basic) | II |
| Fenofibrate (FFB) | | 29 | 5.2 | 361 | – (neutral) | II |
| Paracetamol (PAR) | | 29 | 0.91 | 151 | 9.5 (acidic) | I |
| Posaconazole (POS) | Amorphous | 28 | 5.5 | 701 | 3.7 (basic) | II |
| Ibuprofen | | 30 | 3.97 | 207 | 5.3 (acidic) | II |
| Carbamazepine | | 29 | 2.77 | 236 | – (neutral) | II |
| Haloperidol | | 30 | 4.3 | 376 | 8.3 (basic) | II |
| Indomethacin | | 28 | 4.27 | 358 | 4.5 (acidic) | II |

Table 1: Stabilization of the amorphous form on Parteck® SLC particles is robust and reliable for a range of different APIs.
More data available on request.

Improved Galenical Properties

In the development of orally delivered dosage forms, powder flowability and compressibility are crucial factors to consider. In addition to reducing the risk of unstable polymorphism, we have demonstrated how Parateck® SLC excipient can be used to improve these two crucial properties for a range of APIs with diverse physicochemical properties. Furthermore, for APIs with already good powder behavior, the properties are retained after loading. Ultimately, loading of challenging APIs onto Parateck® SLC particles can unlock more efficient tableting processes such as direct compression or even continuous manufacturing (Figure 2).

Homogenized Particle Properties

APIs come in all shapes and sizes, which can provide challenges in developing lean and efficient manufacturing process. When APIs are loaded into the unique porous structure of Parateck® SLC, particle properties trend towards average values (Figure 3). Put simply, Parateck® SLC excipient “masks” the original particle properties of the API, and so reliable and templated formulation processes can be developed. With this approach, Parateck® SLC can be used as a platform excipient to load a multitude of APIs, with very distinct particle properties, while avoiding major changes in the manufacturing process. This is especially attractive in continuous manufacturing, where processes need to be defined and validated as early as possible.

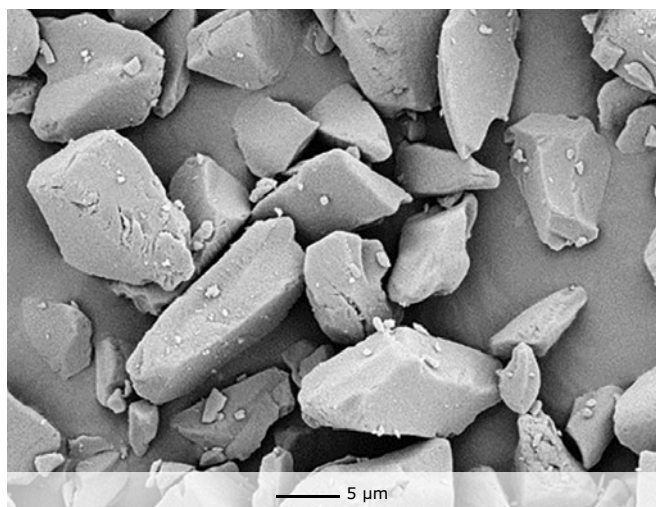


Fig. 1: SEM picture of Parateck® SLC particles.

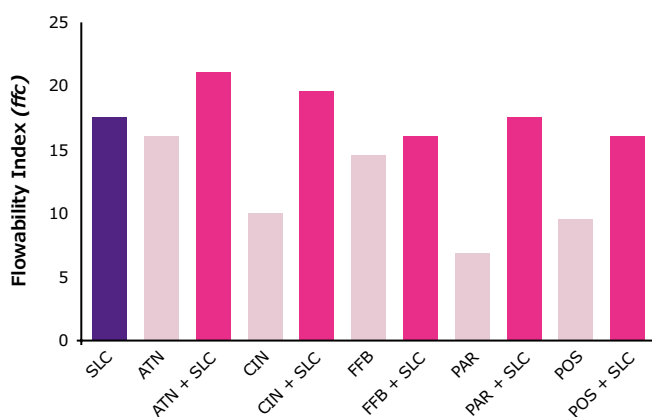


Fig. 2: A range of APIs were loaded onto Parateck SLC, with an improved particle flowability in all cases as demonstrated by an increasing ffc.

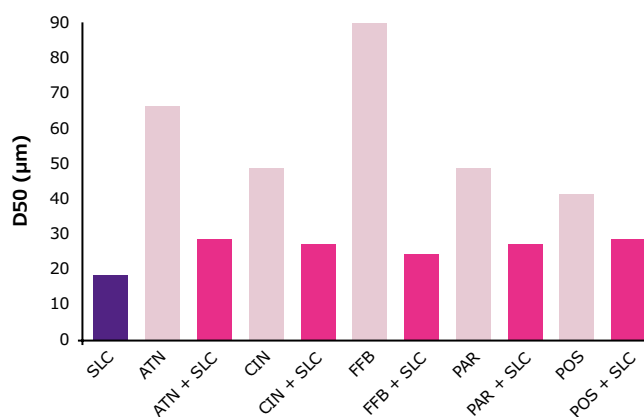


Fig. 3: Homogenization of particle size for a diverse set of APIs after loading onto Parateck® SLC

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Your fast track through regulatory challenges.

Ensuring the compliance of your pharma and biopharma products involves the compilation of a vast amount of data, which can be time and resource intensive. Our Emprove® Program helps you meet the latest regulatory requirements for risk assessment and offers assistance in developing more robust processes.

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devices and components. It not only covers the latest regulatory requirements, but also anticipates industry expectations not yet covered by regulation. The Emprove® Program is organized into three different types of dossiers. Every dossier supports you throughout different stages of your operations: qualification, risk assessment, and optimization – so you can speed your way through the regulatory maze.

Find out more at:
www.MerckMillipore.com/emprove

Ordering information

| Cat. No. | Product | Pack size |
|--------------|------------------------------|-----------|
| 1.20091.0300 | Parteck® SLC 500 USP, Ph Eur | 300 g |
| 1.20091.1000 | Parteck® SLC 500 USP, Ph Eur | 1 kg |
| 1.20091.9025 | Parteck® SLC 500 USP, Ph Eur | 25 kg |

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Parteck® Product Portfolio

Excipients for oral solid dosage forms featuring unique particle properties and outstanding individual functionalities such as suitability for direct compression or controlled release.

For more information, visit:
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Formulation Product Finder App

Find the right product for your application with our Formulation Product Finder App at:

MerckMillipore.com/formulationapp

The typical technical data above serve to generally characterize the excipient. These values are not meant as specifications and they do not have binding character. The product specification is available separately at: MerckMillipore.com

We provide information and advice to our customers on application technologies and regulatory matters to the best of our knowledge and ability, but without obligation or liability. Existing laws and regulations are to be observed in all cases by our customers. This also applies in respect to any rights of third parties. Our information and advice do not relieve our customers of their own responsibility for checking the suitability of our products for the envisaged purpose.

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