SAFC®

Pharma/Biopharma Raw Materials

PARTECK® MXP

MIX. MELT. PERFORM.

Enhance API solubility. Achieve stable, high drug loads.

Parteck® MXP is a new excipient for hot melt extrusion to increase solubility and allow for stable and high drug loads for a broad range of APIs.

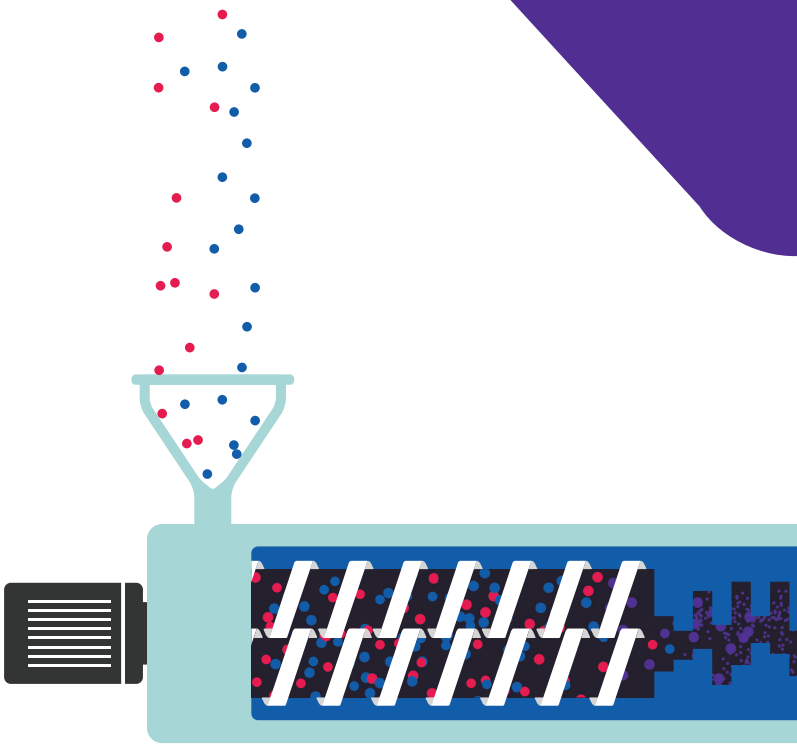
MERCK

Parteck® MXP

The hot melt extrusion difference.

Poor solubility of APIs is a critical challenge in drug development. One formulation technique to increase solubility and, consequently, improve bioavailability of drugs is hot melt extrusion (HME). With this technique the API is dispersed, often down to the molecular level, into a polymer matrix to form an amorphous solid dispersion. It is a solvent-free process that is applicable to a broad range of poorly soluble APIs, making it suitable for various solid dosage formulations.

Our new Parteck® MXP is specifically designed for application in HME. The polyvinyl alcohol-based excipient enhances the solubility of a wide range of APIs with low solubility. The polymer used in Parteck® MXP has a long safety record related to its usage in drugs and is generally recognized as safe (GRAS) by the U.S. Food and Drug Administration. Parteck® MXP complies with United States Pharmacopeia (USP), European Pharmacopeia (Ph Eur), and Japanese Pharmacopeia for excipient (JPE) monographs.



PARTECK® MXP PROVIDES:

Enhanced solubility.

100 % (eight of eight) model APIs assessed for Parteck® MXP indicate significant solubility increases.

Stable, high drug load.

75 % (six of eight) assessed model APIs achieve a 30 % minimum drug load that is stable under various conditions.

High thermostability and broad API range.

Maintains stability at temperatures above 200 °C, making it well-suited to broaden the API application range for hot melt extrusion.

Flexible release kinetics.

A variety of final oral dosage forms demonstrate immediate or sustained release formulations using the same extrudates.

Ease of use.

For all assessed APIs, physical blends and extrudates of the API and polymer were homogeneous.

Fast dissolution. High solubility. Long stability.

Using a fixed API load of 30 %, a dissolution of extrudated Parteck® MXP and other polymers for HME was assessed in a performance study of itraconazole extrudates. Parteck® MXP demonstrated a faster dissolution time and a higher maximal solubility value when compared to marketed polymers under the same conditions (Figure 1).

Long-term stability of extrudates at a higher API load can be a major concern for the stability of the drug product. Milled itraconazole:Parteck® MXP extrudates were stored under various conditions for six months. Stability was assessed using HPLC (API chemical stability), DSC (assessment of recrystallization from amorphous state), and repeat dissolution. As seen in Figure 2, the extrudate was stable under all conditions.

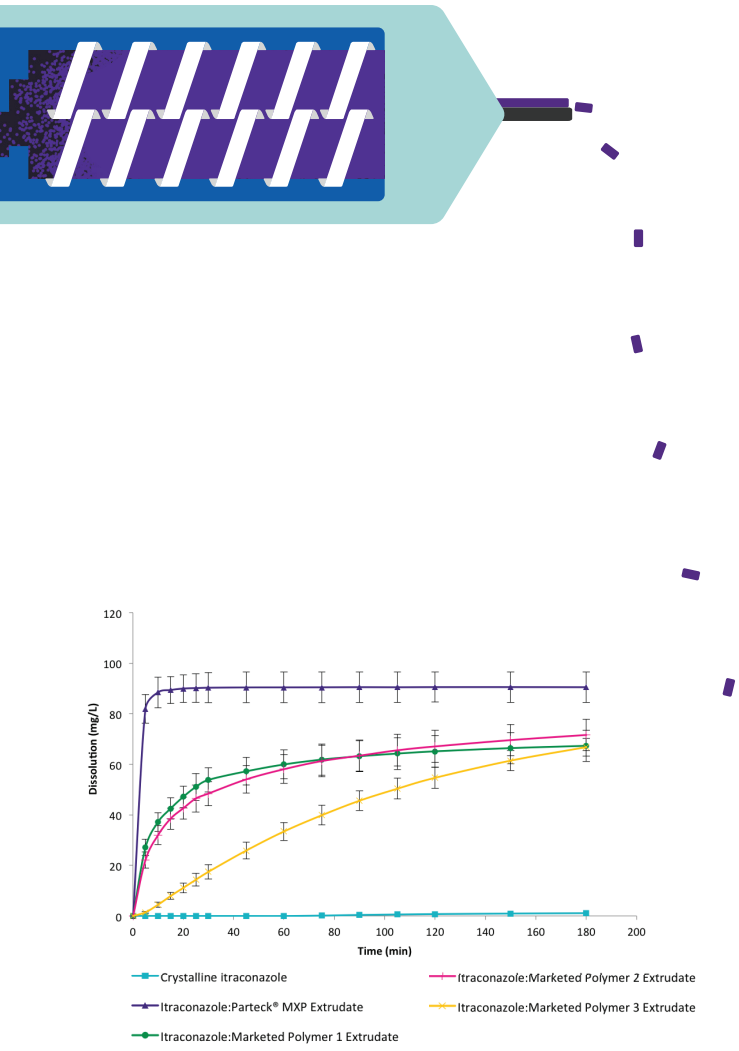


Fig. 1: Dissolution performance of itraconazole extrudates (milled).

Conditions: FDA-recommended conditions for itraconazole, 900 mL SGF, 37 °C, 100 rpm, 100 mg itraconazole, 30 % drug load, N=3

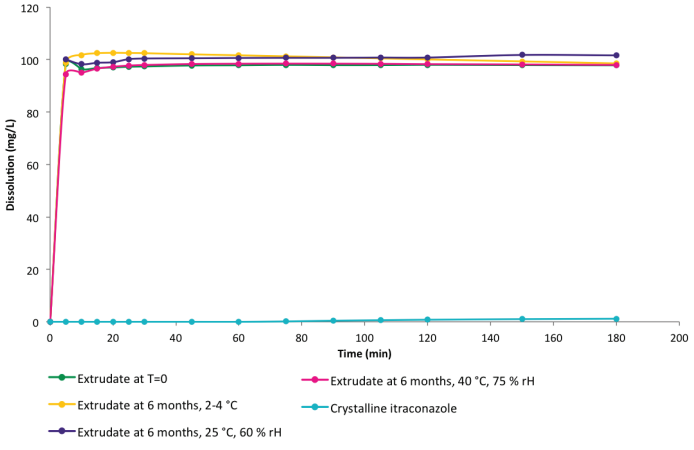


Fig. 2: Repeat dissolution performance of itraconazole:Parteck® MXP extrudates (milled).

Conditions: FDA-recommended conditions for itraconazole, 900 mL SGF, 37 °C, 100 rpm, 100 mg itraconazole, 30 % drug load, N=3

Enhanced solubility. High API load. Broad range of APIs.

For the APIs assessed in our studies, using Parteck® MXP significantly enhanced solubility with no detectable degradation of the API.

Parteck® MXP has excellent thermocapacity which can lead to increased solubilization of the API. Due to its high degradation temperature, extrusion above 200 °C shows no degradation of the polymer thereby making it applicable to APIs across a wide range of melting temperatures (T_m). Parteck® MXP can achieve a minimum API load of 30 % in the majority of APIs that were tested.

API	T_m of API (°C)	Loading (%)	Solubility Enhancement (max.)
Ibuprofen*	78	min. 30	2-fold
Cinnarizine	118 – 122	< 20	10-fold
Indomethacin	151	min. 30	3-fold
Ketoconazole	146	min. 30	17-fold
Naproxen	152	min. 30	4-fold
Atorvastatin	159 – 160	min. 30	154-fold
Itraconazole	166.5	min. 30	80-fold
Telmisartan*	260	min. 15	35-fold

Table 1. Case studies of eight model APIs from BCS class II.

Dissolution studies were performed using recommended conditions from the FDA.
*Plasticizer is required to make the extrusion feasible or easier.

Flexible release kinetics. Variety of dosage forms.

Once the challenges of solubility enhancement have been overcome, difficulties in the final oral formulation can arise. These may vary based on the intended final release kinetics, final dosage form, and inherent properties of the polymer itself (e. g., poor aqueous solubility).

Using the same extrudate, Parteck® MXP can be easily formulated into a variety of final oral dosage forms with immediate or sustained release kinetics, without the need to fine-tune the polymer.

Furthermore, the different dosage forms assessed in our studies have little (< 15 %) to no additional excipients added to optimize the formulation and feature a high API load. Tablets (direct-shaped or compressed) are very strong (> 200 N) and are resistant to alcohol, thus leading to reduced dose dumping and side effects.

Click. Explore. Learn more.

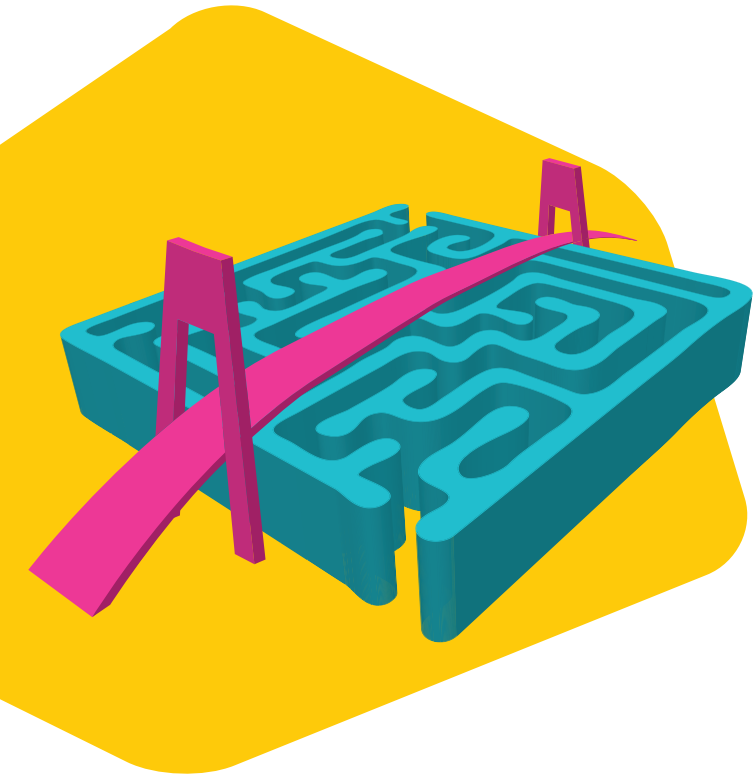
There is more to learn about Parteck® MXP.
Discover the performance and technical details in our interactive tool.

www.merckmillipore.com/parteckmxp

Ordering information

Parteck® MXP is available in 1 kg and 25 kg pack sizes.

Cat. No.	Product	Pack size
1.41464.1000	Parteck® MXP (Polyvinyl alcohol) EMPROVE® ESSENTIAL Ph Eur, JPE, USP	1 kg
1.41464.9025	Parteck® MXP (Polyvinyl alcohol) EMPROVE® ESSENTIAL Ph Eur, JPE, USP	25 kg



THE EMPROVE® PROGRAM

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.

In order to facilitate and accelerate this process, we developed our Emprove® program. It includes 400 pharma raw and starting materials and a selection of filtration and single-use products. Each product in the portfolio is complemented with three different types of dossiers supporting you throughout the different stages of your operations: qualification, risk assessment, and process optimization – all designed to help you speed your way through the regulatory maze.

Find out more at: **www.merckmillipore.com/emprove**

THE PARTECK® PRODUCT FAMILY

Intelligent formulation made easy.

Parteck® offers a broad range of superior excipients created specifically for solid dosage forms. The Parteck® excipient portfolio features unique particle properties and outstanding individual functionalities such as solubility enhancement or controlled release, among others. Formulators benefit from excellent tableting behavior and simplified formulation design.

For more information about our Parteck® range, visit **www.merckmillipore.com/parteck**

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: www.merckmillipore.com

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