

A middle-aged man with glasses and a mustache, wearing a light blue shirt and a brown blazer, is smiling broadly while standing in a doorway. He is holding onto the wooden door frame with both hands. The background is a blurred office setting.

Soluplus® – The Solid Solution Opening New Doors in Solubilization.

Dr. Shaukat Ali,
an enabler in excipients

 **BASF**
The Chemical Company

Pharma Ingredients & Services. Welcome to more opportunities.
Custom Synthesis | Excipients | Active Ingredients

A new dimension of solubility and bioavailability enhancement.



Soluplus extrudate

“Soluplus® offers an outstanding solution to the problem of poorly soluble active ingredients”...

... says Dr. Shaukat Ali, an expert in BASF Solubilizers. And formulators in the pharmaceutical industry know what he’s talking about. In the past, many APIs failed in development because of their poor water solubility. Now, leveraging their extensive experience in polymer chemistry, Dr. Ali and his colleagues have found a pioneering solution to this problem: Soluplus has outstanding solubilization properties, delivers excellent results in hot melt extrusion and other manufacturing processes, and enables high levels of bioavailability. As a result, the polymer is opening new doors in the research and development of innovative pharmaceuticals.

Soluplus[®] is designed to
solubilize poorly soluble APIs
Excellent capability to form
solid solutions
Ideal for hot melt extrusion
High extrudability and easy
processing

Winner of
CPhI Silver Innovation Award
2010





Soluplus

What makes Soluplus® special.

Soluplus is an innovative excipient that enables new levels of solubility and bioavailability for poorly soluble active ingredients. Developed specifically for solid solutions, Soluplus is unique in many ways. Thanks to its high flowability

and excellent extrudability, Soluplus shows superior performance in forming solid solutions, especially in hot melt extrusion processes. This solid solution makes the active pharmaceutical ingredient (API) available in a dissolved state, resulting in

improved bioavailability once in the body. But that's not all. The safety of the product is documented by a comprehensive range of toxicological data.

Hot melt extrusion.

Hot melt extrusion technology has become increasingly popular in recent years. Although this technique has been used in the plastics and food industries for decades, it is relatively new in the pharmaceutical industry and only a few drug products manufactured this way are currently available on the market.

Hot melt extrusion technology shows numerous benefits over traditional methods, including shorter processing times, environmental advantages due to the elimination of solvents and the more efficient delivery of drugs to patients.



Extrusion process with Soluplus

Designed specifically for poorly soluble APIs.

For example, its solubilization capacity for the poorly soluble carbamazepine, ketoconazole and danazol is much higher than that of well-known surfactants such as Solutol HS 15 and Cremophor RH 40 in a saturated solution in phosphate buffer (pH 7.0).

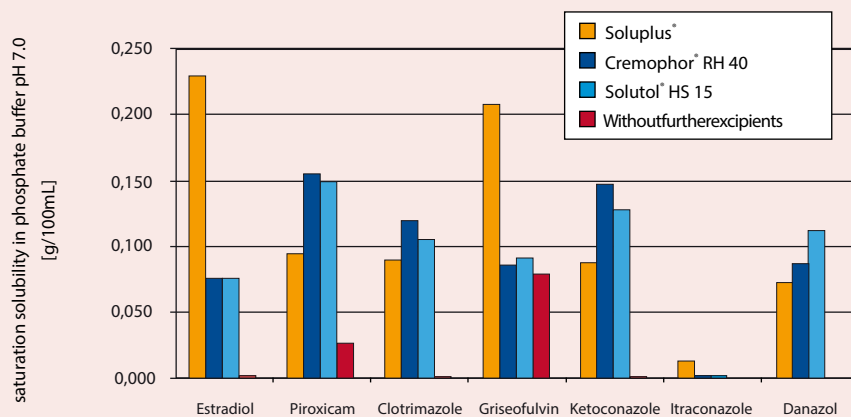


FIGURE 1 Saturation solubility of different poorly water-soluble drugs

Great results in hot melt extrusion.

The high flowability and excellent extrudability of Soluplus make for first-class results in hot melt extrusion. Soluplus was specifically designed to solubilize poorly soluble APIs in this innovative production process. Its outstanding ability to form solid solutions and excellent solubilization capabilities, combined in one molecule,

distinguish Soluplus from other molecules that are used to form solid solutions or deployed in hot melt extrusion. However, Soluplus is not limited to solid solutions formed via hot melt-extrusion, but can also be used as a matrix former in spray drying processes. What's more, it can be deployed as a binder in wet or

dry granulation and in drug layering. These possibilities, and many others, extend the potential scope of Soluplus. Formulators can use the new polymer in many different ways to significantly improve solubility and bioavailability.

Significant improvement in API release.

Results from dissolution tests showed a faster release of the poorly soluble API itraconazole in solid solutions prepared with Soluplus. Compared to other polymeric matrices, the fastest release was achieved with Soluplus.

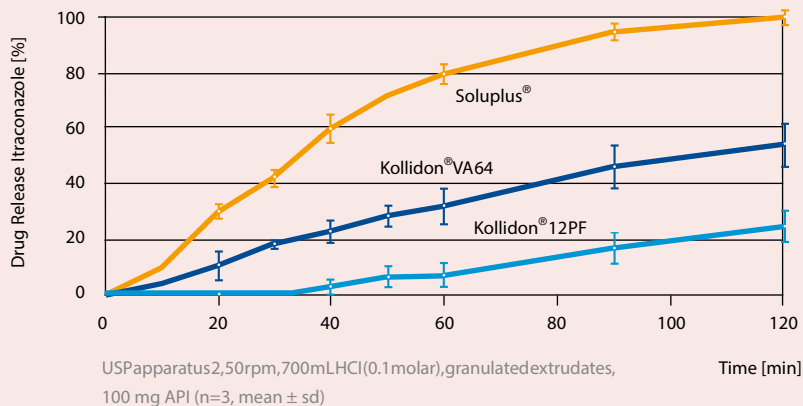


FIGURE 2 Performance of Soluplus in comparison to other polymeric matr

Significant improvement in bioavailability.

Results showed a considerable improvement in the bioavailability of the poorly soluble API Itraconazole in solid solutions prepared with Soluplus. The bioavailability study was conducted in beagle dogs.

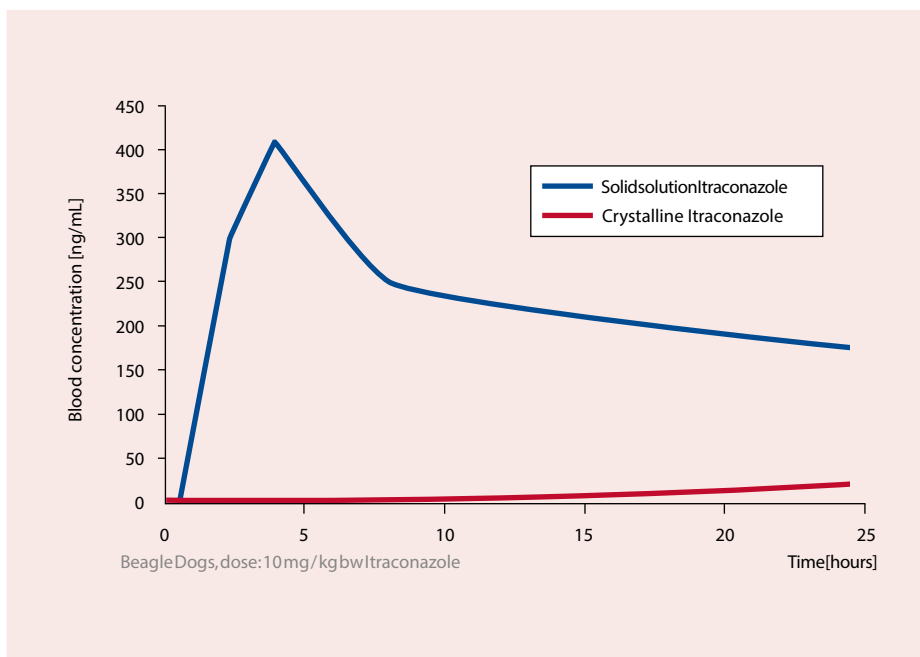
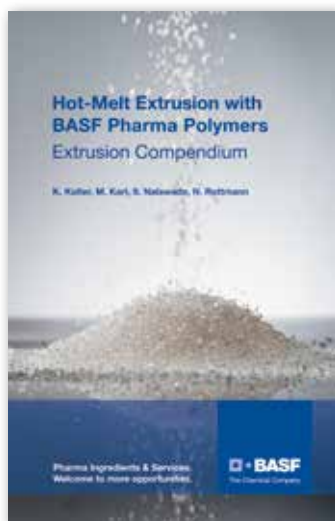


FIGURE 3 Blood concentration of itraconazole after administration of solid solution of Soluplus® and crystalline itraconazole

Product safety demonstrated by comprehensive toxicological data.

A wide range of toxicological studies have been performed on Soluplus, and the safety of the product has been documented in comprehensive studies. Toxicological data can be provided upon request.



Free hot melt extrusion compendium.

BASF's groundbreaking pharmaceutical hot melt extrusion compendium is now available. The must-have resource includes everything you need to know to achieve your required release profiles under robust processing conditions. Download your free copy at: www.innovate-excipients.basf.com

Pharma Ingredients & Services. Welcome to more opportunities.

Custom Synthesis | Excipients | Active Ingredients

Asia

BASF South East Asia Pte. Ltd.

Satish Moorkath

Phone: +65 6398 5101

Satish.Moorkath@basf.com

Europe

BASF SE

Peter Hoffmann

Phone: +49 621 60 76928

Peter.Wolfgang.Hoffmann@basf.com

Global

BASF SE

Andreas Gryczke

Phone: +49 621 60 40599

Andreas.Gryczke@basf.com

North America

BASF Corporation

Dr. Shaukat Ali

Phone: +1 973 448 5311

Shaukat.Ali@basf.com

South America

BASF S.A.

Fernanda Furlan

Phone: +55 11 3043 3658

Fernanda.Furlan@basf.com

- Soluplus is designed to solubilize poorly soluble APIs
- Excellent capability to form solid solutions
- Ideal for hot melt extrusion
- High extrudability and easy processing

What Soluplus® – the solid solution – can do for you.

If you face the challenge of formulating poorly soluble APIs, why not try Soluplus? Developed especially for solid solutions, the polymer produces particularly excellent results in hot melt extrusion. And thanks to its outstanding solubilization properties, Soluplus is opening new doors in product research and development.

Contact us to find out what Dr. Shaukat Ali and his colleagues across the globe can do for you with the help of solubilizers such as Soluplus.

www.soluplus.com



The Chemical Company

With access to over 8,900 research and development employees worldwide and its dedication to creating innovative excipients, BASF has been adding value to pharmaceutical products for many decades.

Every day, BASF technical experts and regulatory teams across the globe help pharma customers master formulation and compliance challenges, and to be more successful.