Jungbunzlauer

From nature to ingredients®

facts

ERYLITE® Erythritol in compressed tablets

A milestone was set in pharmacy in the 19th century when active ingredients were first compressed into tablet form. Later, in the second half of the 20th century, the development of drugs with protracted action, i.e. sustained-release or depot forms, was intensified. Research subsequently resulted in the widespread availability of duplex, multi-layer and coated tablets, not only as therapeutic options but also as OTC products, supplements and candies.

The main excipients in tablets are usually sugars such as lactose, and starches, celluloses, maltodextrins and polyols. The primary factors for the selection of the filler material are physical compressibility, resistance and chemical inertia. Nowadays, however, nutritional, dietary and non-allergenic properties are also increasingly expected. And what could better meet these expectations than a sugar substitute that can be obtained naturally?

ERYLITE® Erythritol – made by biofermentation

Unlike other sugar alcohols, erythritol is a naturally occurring sugar alcohol (polyol) that is present in many foods such as wine, soy sauce and a variety of fruits. Erythritol is approximately 60% as sweet as sugar although this varies by application. It is manufactured from glucose using a natural fermentation process. This makes it a great alternative to other polyols and bulk sweeteners: it is non-caloric, has a high digestive tolerance of around 0.8 g/kg bodyweight, and low hygroscopicity. Systemic effect studies demonstrate that erythritol is up to 90% readily absorbed, not metabolised, and excreted via the kidneys. Thanks to this metabolic profile, it is non-glycaemic, non-insulinaemic, and more readily tolerated without gastrointestinal side effects. Moreover, its allergenic potential is very low.

Erythritol in tablets

Tablets have long been the most frequently used single dosage form for pharmaceutical products. But there is now also an increased demand for tablets as food supplements and for personal and oral care.
Unpackaged, tablet-form food supplements, sweetener tabs and dental tabs are widely available on the market. These require a high degree of stability, which poses great challenges for the excipients used as carriers and fillers.

The large range of compressed tablets on the market contain many different fillers, carriers and other excipients, some of which have undesirable properties. Lactose, for example, has a long history in pharmaceutical and OTC products, but is currently less popular as it can be harmful for people with lactose intolerance. Cellulose gums are low in solubility, as are some dextrins. Other polyols, like xylitol or sorbitol, and isomalt can have unpleasant laxative effects. They also have a calorie value and a considerable glycaemic index.

In contrast, erythritol can play a useful role in the pharmaceutical and OTC market as a multifunctional excipient with minimal laxative effects. In chewable tablets or “Mints”, for instance, it provides an excellent alternative to other fillers due to its good solubility in water (32 g / 100 g at ambient temperature).

In its pure form erythritol is not easy to compress into stable tablets. However, this report will explain how its compressibility is considerably improved by coating and it is thus possible to obtain a compressed tablet with very high levels of stability.

Legal information

ERYLITE® F8030 is Jungbunzlauer’s branded erythritol and is specified to meet the requirements of the latest edition of the Food Chemical Codex (FCC) and of Commission Regulation (EU) No 231/2012. The US Food and Drug Administration (FDA) has affirmed erythritol as GRAS (generally recognised as safe) and permitted its use in food according to current GMP, with upper limits for specific applications.

Table 1: Regulatory information

IUPAC name	(2*S*,3*R*)-Butane-1,2,3,4-tetrol
Chemical formula	$C_4H_{10}O_4$
EC No	205-737-3
E-No.	E968
CAS No	149-32-6

General properties of ERYLITE® Erythritol

Table 2: General properties of ERYLITE® F8030 (typical values)

Mean particle size	300–800 µm
pH (10%)	5–7
Solubility	Soluble in water
Water content	<0.2%
Bulk density	ca. 0.81 g/ml
Melting range	119–123°C

Compressing technology

The fillers and carriers in tablets need to demonstrate several beneficial properties in order to meet industry requirements. These include good flowability, being dust-free and having good binding properties, as well as ideally being calorie-free, sugar-free and non-allergenic. Erythritol meets most of these requirements very well. Produced by natural fermentation it has some important advantages and properties such as a sugar-like taste profile, white colouring and a crystalline structure. It is also odourless. However, to optimise its potential as an excipient for tablets, the compressibility of the pure substance needs improvement.

Tableting is a very complex process that requires excellent material and process knowledge to achieve optimum throughput and quality. The basic operations in a tablet press are die filling, rearrangement of the particles and the binding of particles during compression. In the last step, the finished tablet is discharged and the process begins again. During the compression process the powder material exceeds its elastic limit, which leads to plastic and brittle deformation and affects the final properties of the tablets. Inadequate material properties like poor flow behaviour, low binding levels and high dust formation may result in problems with die filling, air inclusion and low tablet strength. Reduced throughput and poor tablet quality are the consequence.

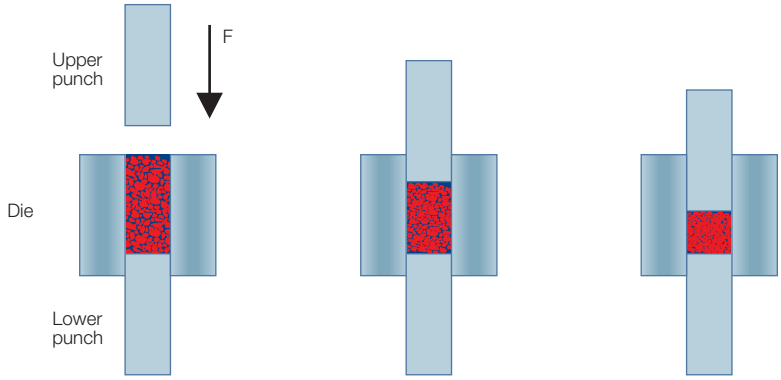

Figure 1: Compressing technology, tableting steps

The application technology department at Jungbunzlauer carried out tests with a single punch tablet press from Röltgen (Flexitab XL). This device enables tests for optimised compressibility of fillers and their combinations. Figure 2 shows the poor results of compressing pure erythritol; the tablet has very limited stability and reveals cracks and capping.

Figure 2: Tablets of pure erythritol with limited stability

Coating technology used with ERYLITE® Erythritol

ERYLITE® erythritol for compression is manufactured using a process that creates the special surface structure which is responsible for its improved tableting characteristics – making it the ideal choice for a compression excipient.

Figure 3: A vitamin tablet prototype

The process for coating erythritol with a polyol or starch-based binder has demonstrated very promising results. When compressed, the coated erythritol produced tablets of enormously increased hardness. A very stable vitamin tablet formulation using starch-coated erythritol was developed, tableted and compared to a market benchmark.

Table 3: Reference formulation

Ingredients	%
Vitamin Premix (DSM)	49.25
Citric Acid F5020 (Jungbunzlauer)	0.99
Sucralose (Buxtrade)	0.34
MCC 102 (DFE Pharma)	1.97
ERYLITE® F8030 (Jungbunzlauer) + 5.8% starch binder	45.95
PEG 4000 (Merck)	1.50
Total	100.00

Achieving high tensile strength with coated ERYLITE® Erythritol

Tensile strength is a parameter to characterise the mechanical strength of a tablet while a destruction process is initiated with increasing force. Figure 4 shows the substantial increase in tablet hardness (tensile strength) for the coated Jungbunzlauer product. Tablets of polyol or starch coated erythritol are more than six times harder than tablets with uncoated erythritol applying only half of the pressing force.

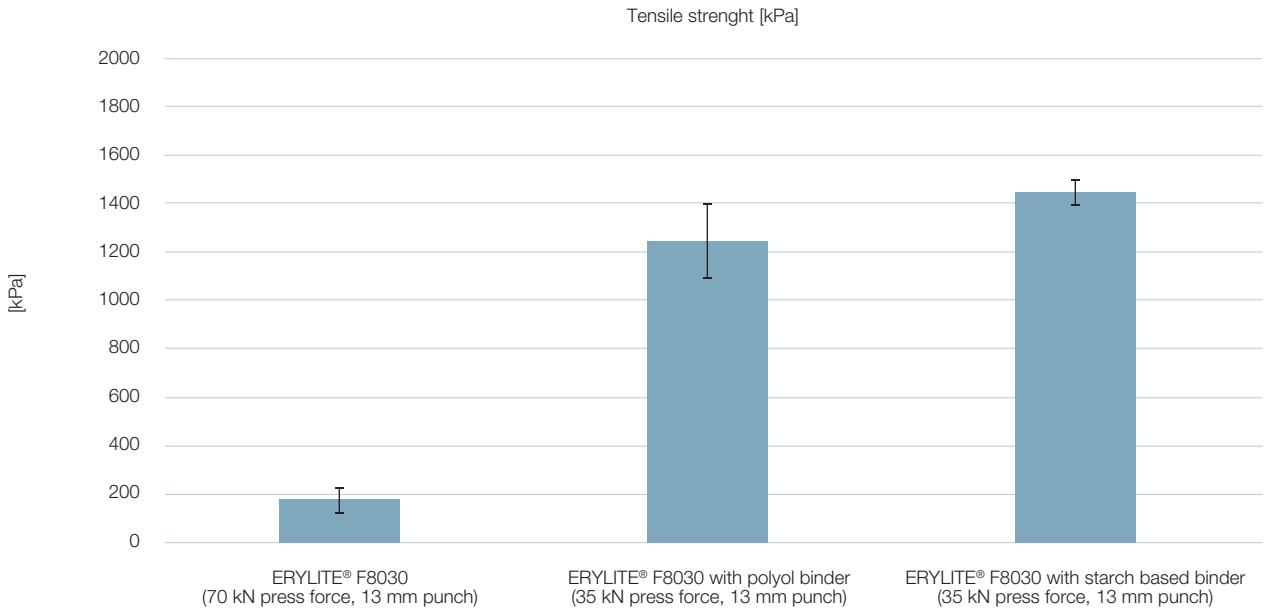

Figure 4: Tensile strength of pure erythritol tablets and coated erythritol with a polyol or a starch binder

This level of tablet stability can only be achieved using coated erythritol instead of a blend of the raw materials. Further tests were conducted to compare the hardness of the tablet using coated erythritol to one with a dry mix. Figure 5 shows that tablet hardness (tensile strength) increased about twofold when using coated erythritol, as compared with only blended erythritol in a vitamin tablet.

These improved properties of coated erythritol enables tablet manufacturers to produce tablets with greater stability and to achieve higher throughput because the compression step can be shortened and compression force reduced.

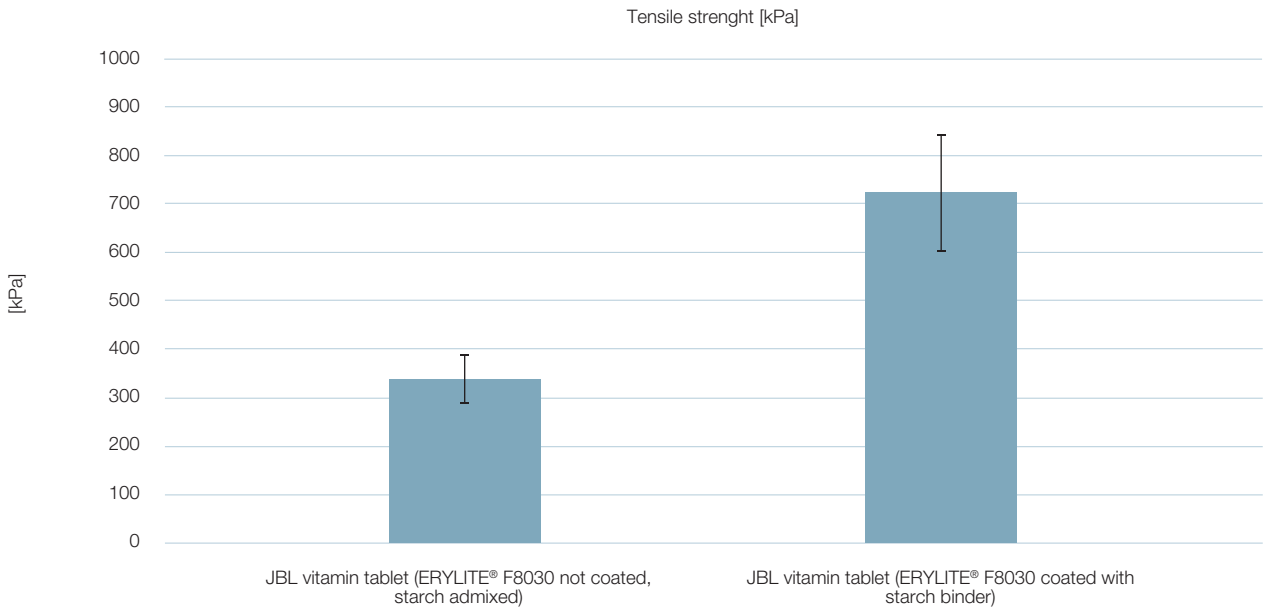

Figure 5: Tensile strength of vitamin tablets with uncoated and coated ERYLITE® erythritol (35 kN press force, 13 mm punch)

Particle size distribution

Particle size distribution (PSD) is a very important parameter for the tableting process. The PSD represents the particle size, the distribution and fine share of the material. Figure 6 shows the frequency distribution of the tested ERYLITE® erythritol coated with the starch based binder. The erythritol was sieved through a 600 µm sieve before being compressed in this pilot plant (Röltgen Flexitab XL).

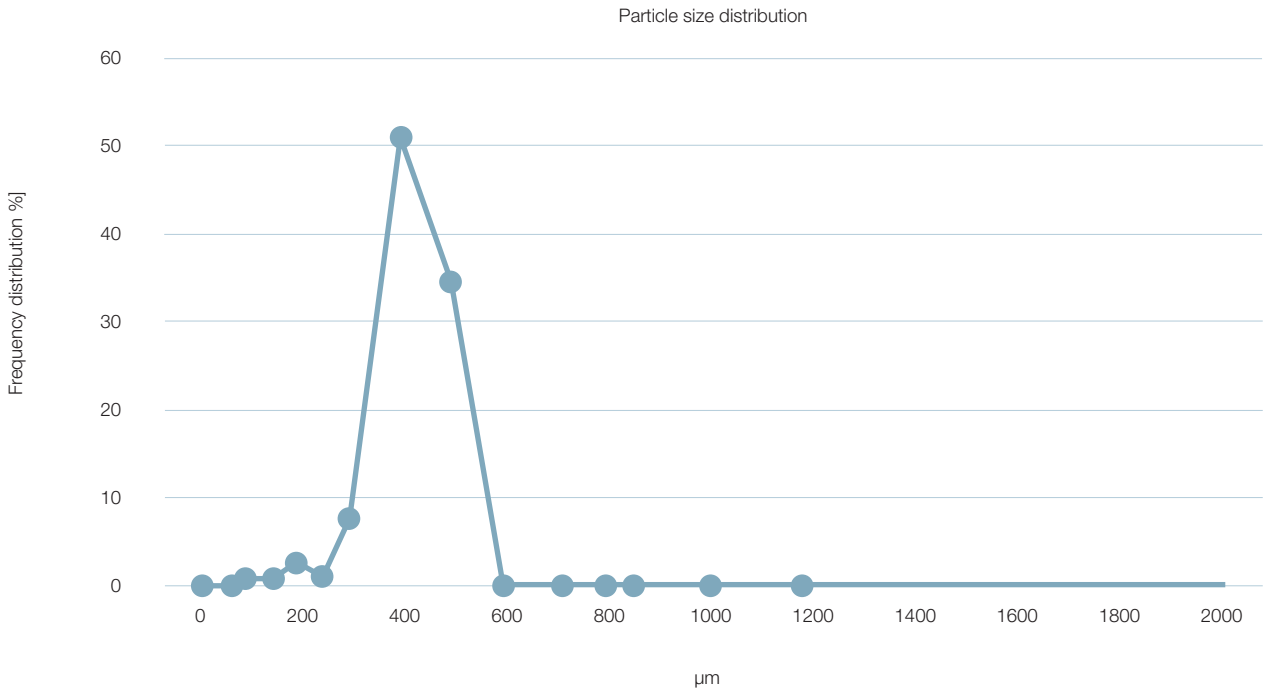

Figure 6: Particle size distribution of coated ERYLITE® erythritol

Summary

Excipients such as carriers and fillers are required for the production of functional tablets. It was demonstrated that ERYLITE® erythritol coated with a polyol or starch-based binder increases tablet stability and hardness significantly. Even low concentrations (< 10%) of coating material are sufficient to improve the compressibility of ERYLITE® erythritol. Thus, this technology provides new options for product developers to extend the use of ERYLITE® erythritol in tablets.

About Jungbunzlauer

Jungbunzlauer is one of the world's leading producers of biodegradable ingredients of natural origin. We enable our customers to manufacture healthier, safer, tastier and more sustainable products. Thanks to continuous investment, state-of-the-art manufacturing processes and comprehensive quality management, we are able to provide outstanding product quality.

Our mission "From nature to ingredients®" commits us to protecting people and their environment.

Jungbunzlauer ingredients that can be used for tablet compression are produced by fermentation of natural, renewable resources and are therefore a good alternative to other fillers and excipients used in tablet applications. Jungbunzlauer ERYLITE® erythritol is provided in dry form fully compatible with most other components in common formulations.

The Authors

Thomas Bernsmeier – Technical Service Manager, Jungbunzlauer International AG
thomas.bernsmeier@jungbunzlauer.com

Bernhard Baier – Project Manager Application Technology Food – AGC, Jungbunzlauer Ladenburg GmbH
bernhard.baier@jungbunzlauer.com

Discover more on
www.jungbunzlauer.com

Headquarters **Jungbunzlauer Suisse AG**
4002 Basel · Switzerland · Phone +41 61 295 51 00 · headquarters@jungbunzlauer.com · www.jungbunzlauer.com