galenIQ™ (Isomalt Ph. Eur., BP, USP-NF, JP)

The bulk filler-binder that makes medicine taste better!

Isomalt is a disaccharide alcohol derived from natural beet sucrose, that has been widely used globally for more than 30 years as a bulk sweetener in sugar-free confectionery.

A new range of pharmaceutical excipient IPEC cGMP grade isomalt called galenIQ™ was developed by Beneo Palatinit in Germany and introduced to the market in 2005.

galenIQ™ is listed in the US Food and Drug Administration's inactive ingredient database under its generic name isomalt. Marketed drug products usually contain between 0.1 and 1.8 g per dose. The excipient complies with the isomalt monographs in the current Ph. Eur., BP, USP-NF and JP and is approved for use in China.

This multifunctional excipient combines the advantages of other well-known fillers and binders. Manufactured and commercially available in 8 distinct grades, it can be used in a wide-range of solid dosage forms such as direct-compression tablets, chewables, effervescents, powder sachets and high-boiled lozenges.



1. Powder Characteristics and Flowability

As well as grades tailor-made for wet granulation (800 and 801) and for the formation of High Boiled lozenges (900), the galenIQ™ range includes agglomerated grades (720 and 721), which are excellent filler-binders for direct compression(1), (2) and powder mixture applications such as sachets and stick packs. The dry blends in these formats offer a convenient and fashionable method of drug delivery.

When developing dry blends for oral applications, bulk excipients ideally need to fulfil the following requirements:

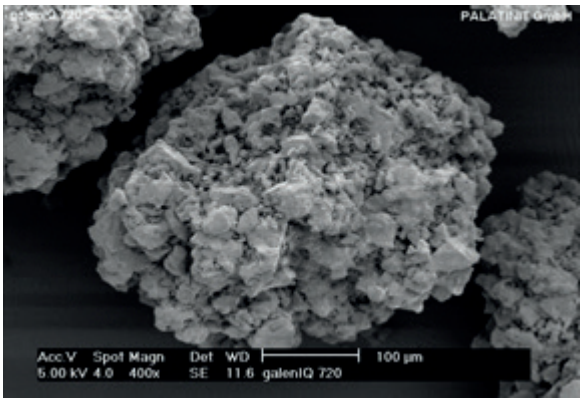
- Freely-flowing
- High physical stability during the mixing process
- High dilution potential and content uniformity
- Specific morphology to prevent segregation
- Chemical stability
- Non-hygroscopicity
- Direct compressibility
- Low lubricant sensitivity
- Pleasant organoeleptic properties
- Suitable for all patient groups
- Enable economic production

galenIQ™ agglomerate grades (720 and 721) fulfil all of these requirements!

Typical physical characteristics for the agglomerated grades are shown in Table 1.

Figure 1

galenIQ™ 720



galenIQ™ 721

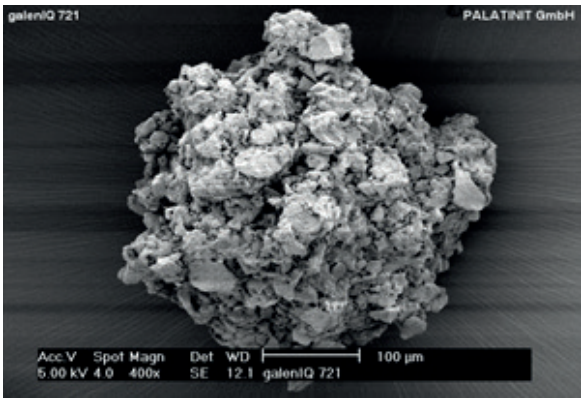


Table 1

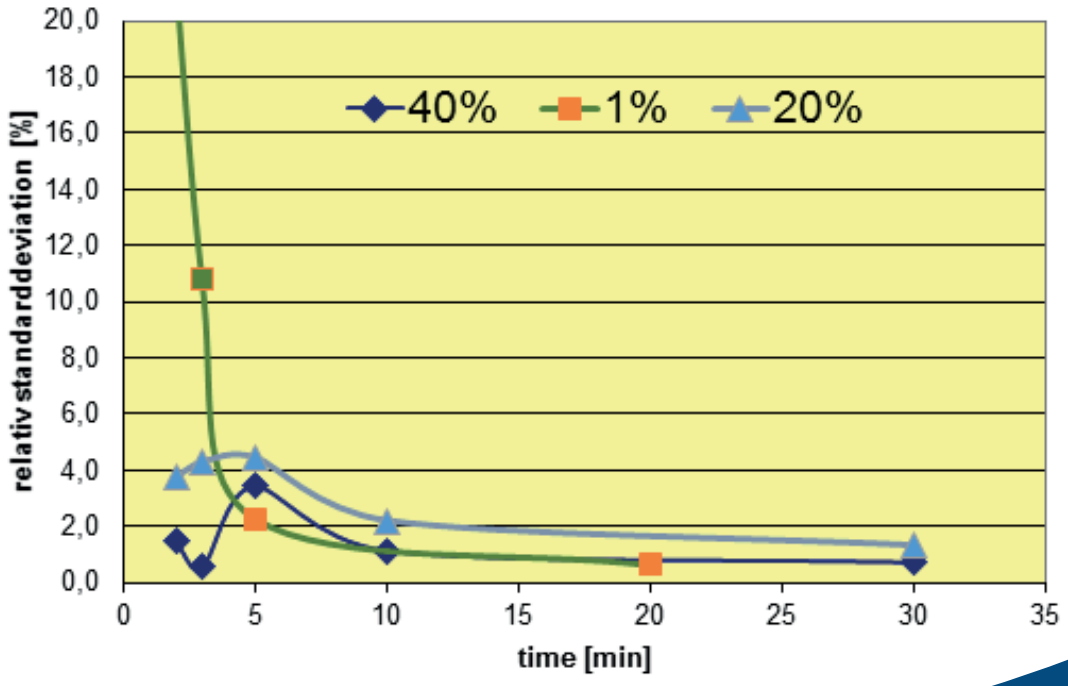
	galenIQ™ 720	galenIQ™ 721
Solubility in water at 20°C (g/100g)	25	42
Particle size distribution (µm)	d_{10} (95) d_{50} (220) d_{90} (360)	d_{10} (90) d_{50} (210) d_{90} (360)
Method	Mechanical sieve shaker	Mechanical sieve shaker
Bulk density (g/l)	410	400
Total water K.F. (%)	5.0	2.9
Loss on drying (%) (10^5 pa, 7h at 25°C)	0.21	0.12

2. High Dilution Potential and Content Uniformity

The agglomerate grades also feature a unique morphology (Figure1). The large specific surface structure of galenIQ™ 720 and 721 facilitates the formulation of powder blends with different API (active pharmaceutical ingredient) particle sizes – be it 100 mesh or 10 µm or both at the same time. To determine the blend uniformity of an API, a powder mix using galenIQ™ as a carrier was investigated. galenIQ™ 721 was blended with different concentrations of a reference substance (1, 0 and 40 % Chinolin Yellow d90 = 10 µm) for 30 minutes in a lab-scale bin blender. To measure the homogeneity of the mixture, samples were taken at specific time intervals at different sampling points, and the concentration was measured by spectral photometric analysis. Figure 2 shows the relative standard deviations (rsd) with time. Blend uniformity was reached after a short period (between 5 and 10 minutes) and remained constant throughout further mixing.

The very porous and large specific surface area of galenIQ™ agglomerates enable the incorporation of high concentrations of active ingredients without compromising the flow properties of the final mixture. In fact these surface structures prevent segregation during the blending process – even at very low dosages- thus ensuring the homogeneity of the mixture and subsequently the required content uniformity. These results have been adopted successfully into formulations with APIs of various particle sizes such as theophylline monohydrate and glibenclamide (low dose) and with Ibuprofen, paracetamol and ascorbic acid (high dose).

Figure 2



3. High Physical Stability

Both galenIQ™ agglomerate grades (720 and 721) exhibit high agglomerate stability, even if a high shear blending process is used. Table 2 shows that there is no significant change in particle size of agglomerated galenIQ™ grades during blending and flowability is not affected.

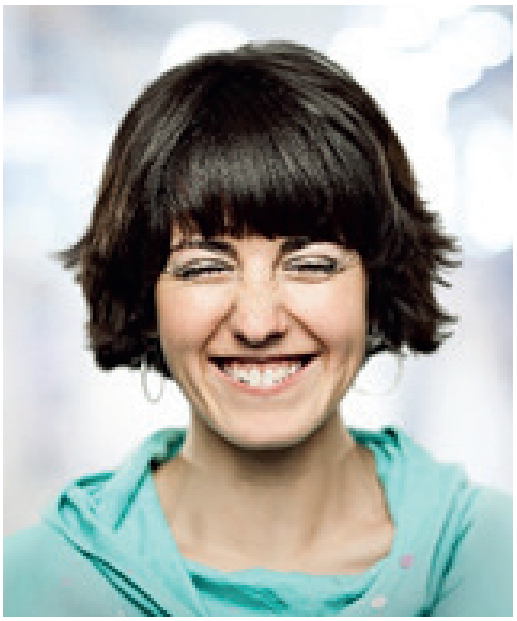
Table 2

	prior	after 2 min	after 15 min
d_5	63 µm	43 µm	35 µm
d_{50}	239 µm	224 µm	217 µm
d_{95}	513 µm	501 µm	491 µm

4. Chemical stability and Low Hygroscopicity

Beyond the mechanical, the chemical stability of galenIQ™ also plays an important role in formulations. Being a disaccharide alcohol it does not react with other components, such as amino acids, for example to form Maillard reaction products,. Moreover being non-hygroscopic under usual climatic conditions, galenIQ™ is an effective carrier even for moisture-sensitive APIs.

5. Makes Medicine taste better!



Being derived from pure beet sucrose, galenIQ™ has a well-balanced, sugar-like sweet taste. In addition, it has certain capabilities to reduce the bitter impact of other ingredients and therefore can improve the palatability of a medicine(3). Combined with the right flavours and other masking components, galenIQ™ provides an excellent sweet taste platform. Moreover, galenIQ™ elicits a very low glycaemic response, making it a highly suitable excipient for use in formulations for a variety of patient groups. Last, but not least galenIQ™ is non-cariogenic. Therefore together with its organoleptic and low glycaemic properties it has distinct advantages as an excipient for oral solid dosage forms and is widely accepted by consumers.

6. Summary

galenIQ™ agglomerated grades (720 and 721) fulfil the requirements of a multifunctional filler-binder being used in oral application dry blends for direct compression tablets and for sachets and stick packs. Furthermore galenIQ™ is water-soluble and has a pleasant sweet taste and a smooth mouthfeel and therefore makes medicine taste better!

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Key Words

- galenIQ™
- Isomalt
- Filler-binder
- multifunctional excipient
- Direct Compression
- Palatability
- Powder Sachet

Further Information

Please contact Dr. Michael Black, Head of Sales Pharma, BENEO-Palatinit GmbH
Tel.: 0049 621 421 138
e-mail: Michael.black@beneo.com

for formulation examples, product samples and further information.