Lactose Monohydrate

1 Nonproprietary Names

BP: Lactose Monohydrate

JP: Lactose Hydrate

PhEur: Lactose Monohydrate

USP–NF: Lactose Monohydrate

2 Synonyms

CapsuLac; *Foremost*; *GranuLac*; *Lactochem*; lactosum monohydricum; *Monohydrate*; *Pharmatose*; *Lactopress Granulated*; *PrismaLac*; *SacheLac*; *SorboLac*; *SpheroLac*; *SuperTab 30GR*; *Tablettose*.

For grades, *see* Tables II and III in Section 10.

3 Chemical Name and CAS Registry Number

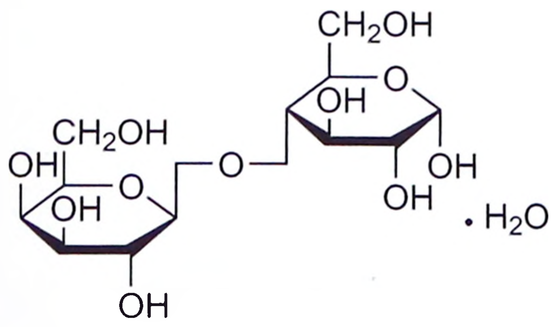
O-β-D-Galactopyranosyl-(1→4)-α-D-glucopyranose monohydrate

CAS Registry numbers for lactose monohydrate include [5989-81-1] (lactose monohydrate), [10039-26-6] (lactose monohydrate, cyclic), and [64044-51-5] (lactose monohydrate, open form).

4 Empirical Formula and Molecular Weight

$C_{12}H_{22}O_{11}\cdot H_2O$ 360.31

5 Structural Formula



α-Lactose monohydrate

The USP 40–NF 35 S1, PhEur 9.2 and JP XVII describe lactose monohydrate as the monohydrate of O-β-D-galactopyranosyl-(1→4)-α-D-glucopyranose. It is stated in the USP 40–NF 35 S1 that the physical characteristics of lactose monohydrate may be modified and may contain varying proportions of amorphous lactose.

6 Functional Category

Dry powder inhaler carrier; lyophilization aid; tablet and capsule binder; tablet and capsule diluent.

7 Applications in Pharmaceutical Formulation or Technology

Lactose is widely used as a filler and diluent in tablets and capsules, and to a more limited extent in lyophilized products and infant formulas.[1–9] Lactose is also used as a diluent in dry-powder inhalation drug delivery; *see* Lactose, Inhalation. Various lactose grades are commercially available that have different physical properties such as median particle size and particle size distribution, flow characteristics, and physical form (sieved, milled, or agglomerated). This permits the selection of the most suitable material for a particular application; for example, the particle size range selected for capsule formulation is often dependent on the type of encapsulating machine used. Fine or milled lactose grades are typically used for tablets prepared by the wet-granulation processes or when milling during manufacture is performed, since the smaller particle size allows better mixing with other formulation ingredients and utilizes the binder more efficiently.

Other applications of lactose include use in lyophilized products, where lactose is added to freeze-dried solutions to increase plug size and aid cohesion. Lactose is also used in combination with sucrose (approximately 1 : 3) to prepare sugar-coating solutions. It may also be used in intravenous injections. Lactose is also used in dry powder formulation manufacture and aqueous film-coating solutions or suspensions.

Direct-compression grades of lactose monohydrate are available as granulated/agglomerated α-lactose monohydrate, which may contain low levels of anhydrous lactose.

Direct-compression grades are often used to carry lower drug quantities, permitting tablets to be made without granulation processing.

Other directly compressible lactose grades include spray-dried lactose and anhydrous lactose; *see* Lactose, Spray-Dried and Lactose, Anhydrous.

8 Description

In the solid state, lactose appears as various isomeric forms, depending on crystallization and drying conditions, i.e. α-lactose monohydrate, β-lactose anhydrous, and α-lactose anhydrous. Stable lactose crystalline forms include α-lactose monohydrate, β-lactose anhydrous, and α-lactose anhydrous.

Lactose occurs as white to nearly white crystalline particles or powder. Lactose is odorless and slightly sweet-tasting; α-lactose is approximately 20% as sweet as sucrose, while β-lactose is 40% as sweet.

9 Pharmacopeial Specifications

The pharmacopeial specifications for lactose monohydrate have undergone harmonization of many attributes for JP, PhEur, and USP-NF. *See* Table I. *See also* Section 18.

10 Typical Properties

Density (true) 1.545 g/cm^3 (for α-lactose monohydrate)
Density (bulk) *see* Table II.

SEM 1: Excipient: *GranuLac 200*; manufacturer: Meggle; magnification: 100×; voltage: 1.5 kV.