Spray-dried lactose

Spray-dried lactose was developed as the first excipient for direct compression in the 1950s. It is prepared by spray-drying of a suspension of α-lactose monohydrate in a saturated aqueous solution. The final product is composed of spherical particles and contains 80-85% of crystals of α-lactose monohydrate and 15-20% of amorphous lactose. The content of the amorphous share of lactose in the material exerts influence on tablet strength, solubility, and other parameters of the preparation and later behaviour of tablets. Amorphous lactose exerts a bad influence on the disintegration of compacts. An explanation can be provided by the behaviour of amorphous particles. Initial solubility of the substance is good, but when it is exposed to humidity for a very long time, there develops a gel layer on the surface of particles and solubility is thus decreased. It has been found that the mechanism of disintegration depends on compression pressure. Mechanism of disintegration gradually changes from disintegration of tablets containing spray-dried lactose compressed at low pressures into dissolution in these tablets which were prepared under higher pressures. Under a low pressure, tablets containing amorphous lactose disintegrate prior to the formation of a gel layer or a precipitate from amorphous particles resulting in blockage of pores and thus decreased total solubility. Amorphous part of lactose is also responsible for better binding properties and plastic deformation. Tablets containing spray-dried lactose exert higher strength with a decrease in particle size. Spray-dried lactose exerts excellent flowability, but compressibility and dilution potential are worse than in microcrystalline cellulose.

A comparison of individual forms of lactose is of interest. Tableting characteristics of crystalline and spray-dried lactose were examined together with regard to the size, shape, and storage of particles in one of many studies. The variables under examination also include flow density and particularly the behaviour of the substance prior to the process of compression. Crystalline lactose which was stored before use exerts after sieving lower flow density than in the freshly sieved one. This is due to the fact during storage stored lactose produces agglomerates which are not removed even by sieving prior to compression. The proof of agglomeration was demonstrated by a higher angle of repose of the stored material in comparison with the

freshly sieved one. Lactose prepared by spray-drying possesses lower friction than that demonstrated in freshly sieved lactose, and friction is also lower when a stored substance is used. These observations can be explained by varying shapes of particles. Spray-dried lactose contains nearly spherical particles which then show a small area for the contact of particles with the surrounding surface. It is the very cause of low friction resistance.

Spray-dried lactose is compressed by fragmentation of particles, but also plastically. Fragmentation takes place above the particle size of 45µm, smaller particles are compressed plastically identically as the amorphous share in the product. A possibility of improving compression properties is a combination with another substance in the compression mixture. One of the variants is the use of microcrystalline cellulose, which increases compressibility. Strength of tablets made of these two components then depends on the share of cellulose in the mixture. A combination of lactose and microcrystalline cellulose in the concentration of 25 % is widely used. The ratio of lactose and MCC 3:1 was found as optimal and it is employed to form essentially mixed dry binders, such as, e.g. MicroceLac® 100 and Disintequik™ MCC 25.

Commercially available spray-dried lactoses are Lactose-316 Fast Flo®, SuperTab® 11SD, and SuperTab® 14SD (the former trade name was Pharmatose® DCL 11 and DCL 14), FlowLac® 90 and FlowLac® 100, and Lactopress® Spray-dried.
Information on the product Flowlac® 90:
https://www.meggle-pharma.com/en/lactose/9-flowlac-90.html

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