Enteric coatings with EUDRAGIT® L/S from aqueous dispersions
Tablets coated with redispersed EUDRAGIT® L 100-55

Spraying process for the manufacture of taste- and odour-masking, clear-transparent enteric sealing coats with EUDRAGIT® L 100-55 on tablets finished in a pellegrini unit.

Operating method

The coating suspension is sprayed onto the rotating cores, which are prewarmed to about 30 °C, by means of an air spray gun. Spray rate, inlet air quantity and inlet air temperature are adjusted in such a way that spraying can be performed continuously. During the process, the tablets should be maintained at a temperature of approx. 25 to 35 °C.
Moisture-sensitive tablets are initially sealed at a reduced spray rate. It takes about 30 minutes to apply a thin sealing coat. Thereafter processing may be continued as usual.

Twinning, i.e. sticking together of tablets, can be avoided by adding suitable glidants (talc, magnesium stearate, kaolin) to the EUDRAGIT® L 100-55 spray suspension.
If twinning does occur, spraying must be interrupted until the tablets are dry and once more able to tumble freely. Subsequently, processing may be continued at a reduced spray rate.
The following polymers, dissolved in organic solvents, are recommended for subcoating of extremely water-sensitive active ingredients:

EUDRAGIT® E 12,5, **EUDRAGIT® E 100 ;** **EUDRAGIT® RL/RS 12,5 ;**
EUDRAGIT® RL/RS 100 ; **EUDRAGIT® L/S 12,5 ;** **EUDRAGIT® L/S 100 ;**
EUDRAGIT® L 100-55

Similarly to colloidal systems, aqueous dispersions are adversely affected by various factors. Coagulation may occur in the presence of electrolytes, organic solvents or finely dispersed pigments, due to changes in pH, foam formation, heat or frost, or high shear in high-speed mixers and mills.
Finely dispersed pigments in polymer dispersions may cause speckling. Added emulsifiers (polysorbate, polyethylene glycol, polyvinyl pyrrolidone, Na carboxymethylcellulose, etc.) have a stabilizing effect. When speckling leads to coagulation, these dispersions cannot be redispersed and must be discarded. Therefore, you are advised to follow our instructions as far as work steps and excipient ratios are concerned.

EUDRAGIT® L 100-55 dispersions are incompatible with magnesium stearate (thickening or coagulation), but magnesium stearate contained in tablets affects neither the spray suspension nor the film properties.

Redispersion

EUDRAGIT® L 100-55 is a copolymer of anionic character based on methacrylic acid and ethyl acrylate. It is the solid substance of the aqueous acrylic dispersion EUDRAGIT® L 30 D-55.
This polymer powder can be redispersed in water with ease, thus providing the original latex with a particle size of approx. 100 nm. The pH is adjusted to a value around 5 by adding small amounts of alkali or organic base. In doing so, approximately 6 mole% of the carboxylic groups contained in the copolymer are neutralized.

The film properties and gastroresistance of the redispersed product are in no way inferior to those of the original dispersion (latex) EUDRAGIT® L 30 D-55, and it can be handled and processed in exactly the same way.

Typical formulations

The formulations shown on the right give the polymer and excipients quantities required for coating 20 kg of medium-sized tablets ∅ 11.6 mm, weight 643 mg) at a polymer weight of 5 mg/cm².

1,390 g water are filled into a 3 l vessel, and 680 g EUDRAGIT® L 100-55 are added in portions with stirring. In doing so, it must be ensured that the powder is rapidly wetted and dispersed without lump formation. After stirring for 5 to 10 minutes, 230 g of 1 N NaOH are added dropwise within 5 minutes, and stirring is then continued for another 30 minutes. In the case of pronounced foam formation, 2 to 3 g simethicone emulsion may be added 5 to 10 minutes before stirring is discontinued.

At the end of this period, a fine latex-like dispersion is obtained. This shows in the gradual disappearance of the particles initially present at the periphery of the suspension, giving way to the formation of a milky-white, low-viscosity liquid.

This latex is then passed through a 0.25mm sieve to remove possible sediment or lumps, whereupon it is ready for further processing.

Triethyl citrate is added to the remaining water together with talc and antifoam (silicone) emulsion. This suspension is homogenized in suitable equipment (Ultra-Turrax, geared colloid mill, ball mill) and stirred into the EUDRAGIT® L 100-55 dispersion (formulation a) just before use. After filtration through a 0.25 mm sieve, the suspension should be gently stirred throughout the spraying operation.

Typical formulation

Enteric film coating with EUDRAGIT® L 100-55

(a) Dispersion	Parts by weight
EUDRAGIT® L 100-55	680 g
1 N NaOH	230 g
	= 9.2 NaOH
Water	1,390 g
	å **2,300g**

Content in dry polymer substance: 29.6%
Content in total dry substance: 30.0%
Degree of neutralization: 6 mole-%

Enteric film coating with EUDRAGIT® L 100-55

(a) Spray suspension	Parts by weight
Dispersion (a)	2,300 g
Talc	680 g
Triethyl citrate	68 g
Antifoam emulsion (silicone-based)	7 g
Water	2,725 g
	å **5,780 g**

Solids content: 25.0%
Content in dry polymer substance: 11.8%

This gives a polymer (680 g from 2,300 g EUDRAGIT® L 100-55) weight of L = 5 mg/cm² by a tablets surface of S = 433 mm².

Operating data

Example	**EUDRAGIT® L 100-55**
Technical data	
Coating unit	Pellegrini unit MC 25 (horizontal pan) with fast-dry coater (controlled air inlet and outlet)
Feed pump	peristaltic pump with silicone tube, internal ∅ 4 mm
Spray system	Graco air spray gun, nozzle dia. 1.4 mm (50% flat spray)
Distance nozzle/tablets	150 mm
Coating data	
Tablets	tablets containing a methionine derivative, ∅ 11.6 mm, 6.1 mm in height, 643 g in weight; hardness 150 N, disintegration in demin. water and simulated gastric fluid max. 11 min,
Batch size	20 kg
Spray suspension	5,780 g, corresponding to 1,444.2 g solids or 7.2 wt.-% on tablet quantity, 680 g polymer equivalent to 3.4 wt.-% on tablet quantity, ratio 1:1.2 to other excipients

Process data	**Preheating**	**Spraying**	**Drying**
Duration	3 min	118 min	5 min
Inlet air quantity	7 m³/min	7 m³/min	7 m³/min
Inlet air temperature	55 °C	55 °C	55 °C
Outlet air temperature	---	36 °C	40 °C
Tablet temperature	30 °C	37 °C	40 °C
Pan speed	5 rpm	12 rpm	5 rpm
Atomizing air pressure	---	1.5 bar	---
Spray rate	---	49 g/min	---
Pump speed	---	55 rpm	---

Other process data	
Spray rate	2.45 g suspension/min/kg product = 0.61 g solids/min/kg product
Evaporation rate	1.84 g/min/kg product
Polymer quantity	5 mg/cm²
Spraying process	continuous
Total process time	2 hrs 6 min
Post-drying	2 hrs in airflow oven at 40 °C, alternatively on trays at room temperature overnight

Results	
Appearance	uniform coating of subdued gloss
Gastroresistance	for 2 hrs (BP. pH 1.0), then disintegration in intestinal fluid (BP, pH 6.8) within max 6 min (coating), total disintegration after 23 minutes
Shelf life	no change in gastroresistance or disintegration times after storage at temperatures of up to 45 °C for 12 months
Other	hardness 280 N, final tablet weight 690 mg
Recommendations	see our sheets for scale-up instructions in process technology