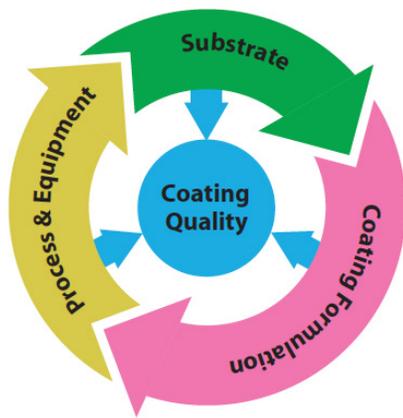


Taste-Masking: Impact of Substrate Morphology

Surelease® aqueous ethylcellulose dispersion is a pH-independent barrier membrane traditionally used in sustained release formulations.

For taste-masking applications, Surelease and Opadry® work together to create a release profile with slight delay in the initial release, while maintaining the criteria for an immediate release dosage form¹. This combination has been proven to provide effective taste-masking of marketed products for more than two decades².

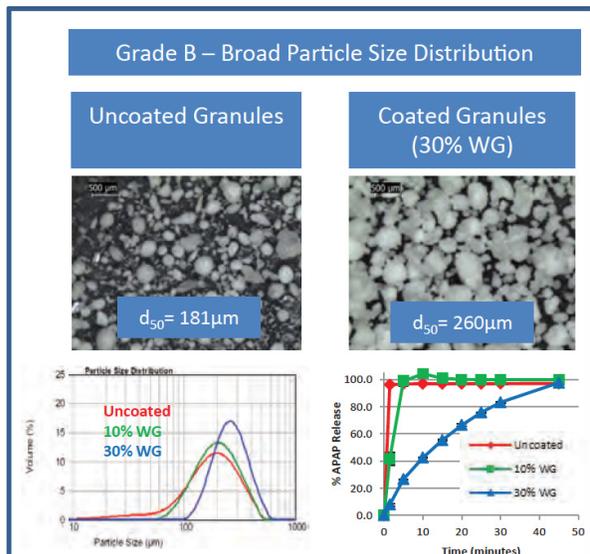
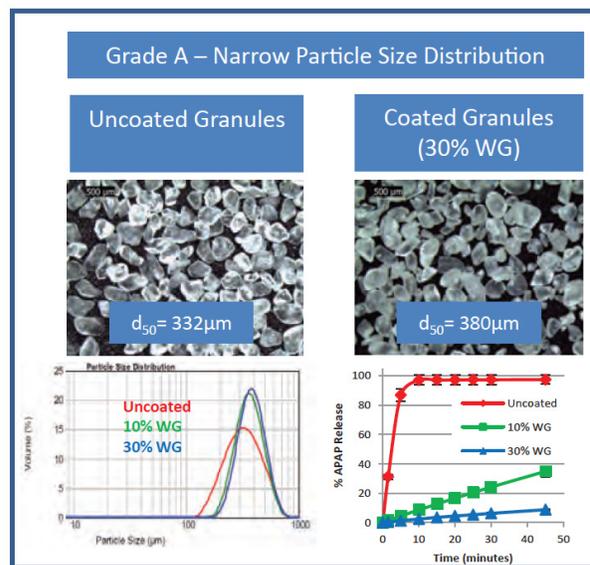
Substrate Properties Directly Impact Coating



- Morphology and particle size distribution (PSD) of the drug particle affect the coating quality, process efficiency, and taste-masking efficacy.
- Spherical shape is optimal to allow uniform coating of substrate surface³
- Irregularly shaped particles require greater overall coating, and result in thicker and thinner areas on the surface
- Average particle size should not exceed 400 µm to provide a smooth mouth feel⁴
- Fine particles (<100 µm) are prone to agglomeration and pose process challenges, produce lower yield and require higher coating weight gains
- Broad PSD and presence of excess fines leads to some granulation & coating, with overall non-uniformity of the coating

Coating a Model Drug for Taste-Masking

Two different grades of acetaminophen (APAP) were used, as supplied, and coated in a Glatt GPCG-2 top spray fluid bed using Surelease:Opadry (85:15) to 10% and 30% weight gain (WG).

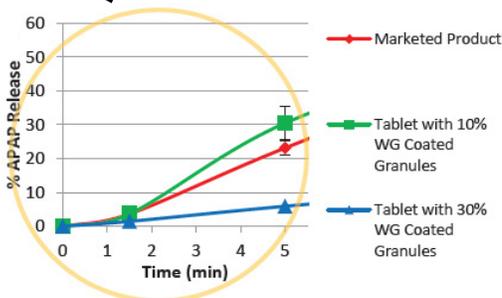
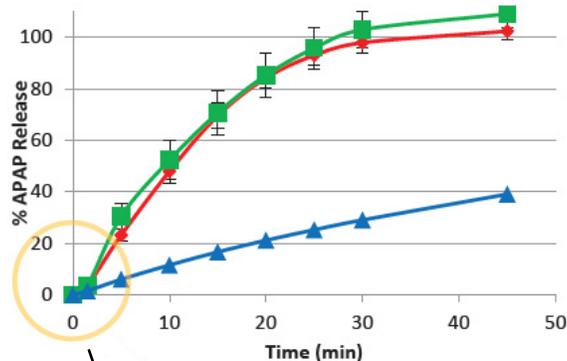


Matching Performance of a Chewable Tablet Formulation

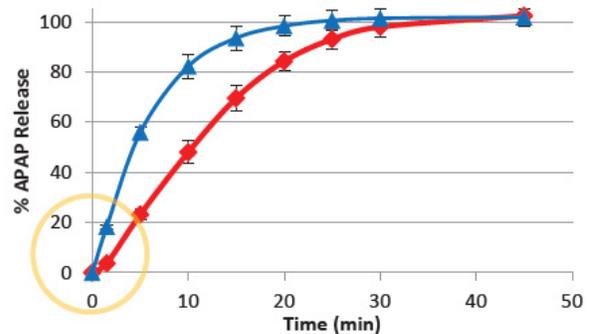
Granules coated with Surelease:Opadry (85:15) were incorporated into chewable tablet formulations. Tablet hardness and friability were comparable to marketed product.

- Matched marketed product dissolution by coating Grade A granules with 10% WG Surelease:Opadry (85:15)
- Suppressed early drug release while meeting monograph requirements for immediate release (NLT 75% released in 45 min)
- Even at 30% WG, the coated Grade B granules did not match the release profile of the marketed product

Coated Grade A Granules in Compressed Chewable Tablets



Coated Grade B Granules in Compressed Chewable Tablets



Surelease: The Confident Choice for Taste-Masking

- Proven market success in various applications, utilized in >20 NDAs and >30 ANDAs
- Precedence of use (in certain markets) in taste-masked products for children 2 years and older
- Approved for use in Pharmaceutical and Dietary Supplement markets
- Formulation development and application expertise with Colorcon Technical Services

References

1. D. To, J. Teckoe, and A. Rajabi-Siahboomi, "Investigation of Taste Masking Performance of an Aqueous Ethylcellulose Dispersion (Surelease®) on Acetaminophen Granules," American Association of Pharmaceutical Scientists, San Antonio, TX, 2013.
2. C. Diimmler, et al., "Taste Masked Formulations of Raltegravir," US Patent WO2012145446 A1, October 25, 2012
3. A. Mehta. "Taste Masked Pharmaceutical Compositions." Patent 5,084,278. 2 June 1989.
4. J. Lai, K. Qian, and G. Venkatesh. "Taste-Masked Pharmaceutical Compositions Prepared by Coacervation." U.S. Patent 20060105038 A1, May 18, 2006.

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