Enhanced Formulations

CASE STUDY

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Enhanced Formulations

NucleLoad™

The most challenging compounds to formulate require bespoke solutions. One solution at CoreRx is NucleLoad.

- Spray drying is often used to enhance drug delivery characteristics via solubility and bioavailability enhancement.
- However, not every compound is suitable for spray drying.
- NucleLoad is an alternative to spray drying for APIs that deliquesce, have low melting points, or low glass transition temperature.

ADVANTAGES AND DISADVANTAGES

Spray Drying and Fluid Bed Technology versus NucleLoad

SPRAY DRYING AND FLUID BED TECHNOLOGY	ADVANTAGES	DISADVANTAGES
	Can convert crystalline products into amorphous based products. **Produces particles with:** - Precisely defined properties - Consistent particle size distribution Can control the shape, flow properties & porosity of the solid particles. Can be used for the encapsulation of hydrophilic and hydrophobic compounds. Particles can be further processed, e.g., for coating or layering.	Additional equipment is needed if coating or layering is required after spray drying. Void volume can be up to 70%, active loading as low as 30%, can result in tablet defects. Challenging with some APIs : - Low glass transition temperatures - Deliquescent - Low melting points

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ADVANTAGES AND DISADVANTAGES

Spray Drying and Fluid Bed Technology versus NucleLoad

NUCLELOAD™	ADVANTAGES	DISADVANTAGES
	Produces highly homogeneous solid dispersions without the need for spray drying equipment.	
	Produces fully amorphous, or substantially amorphous or fully crystalline or partially crystalline product.	
	Advantageous for the manufacture of solid oral dosage forms that could not be otherwise produced.	
	Improved yield.	
	More uniform loading	
	More efficient loading – up to 95% w/w.	
	No need for additional processing equipment to coat or layer.	

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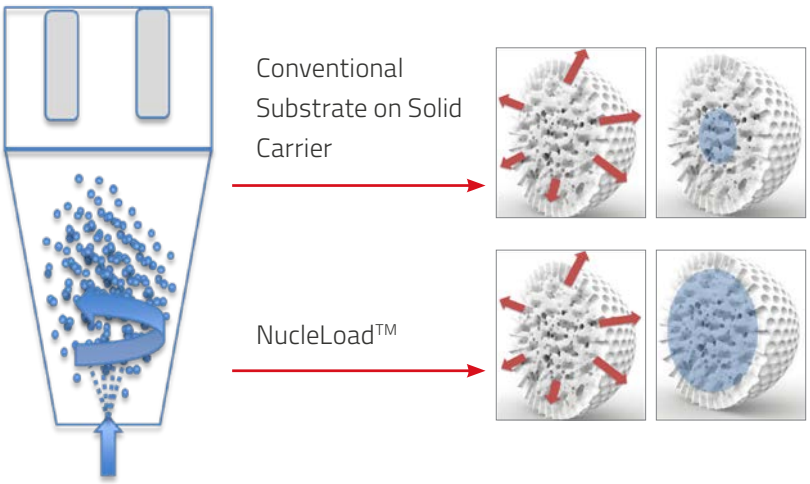
CONVENTIONAL FLUID BED PROCESS VERSUS NUCLELOAD™

NucleLoad uses conventional fluid bed processing technology to apply the drug onto a silica substrate that results in a flowable material. The flowable granules are then compressed into tablets.

API + SOLVENT + CARRIER IN FLUID BED PROCESS

Simultaneous drug loading and solvent drying



- High void fraction from solvent
- 10-20% w/w loading capacity

- Reduced void fraction
- 65-70% w/w loading capacity

PROVEN SUCCESS

NUCLELOAD RESCUED SEVERAL CLIENT COMPOUNDS THAT WERE HYGROSCOPIC AND DELIQUESCED

- Preclinical studies demonstrated an increase in bioavailability from 20% for the crystalline API to 100% for the NucleLoad product.
- The process successfully tech transferred and scaled up.

EXAMPLE #1

- NucleLoad rescued an oral, small molecule, dual-selective inhibitor of vβ6 and vβ1 being developed for the treatment of idiopathic pulmonary fibrosis (IPF) and primary sclerosing cholangitis (PSC).
- This compound had hygroscopic issues.
- NucleLoad was used to make a compressible powder for tablets in a Phase II studies.

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