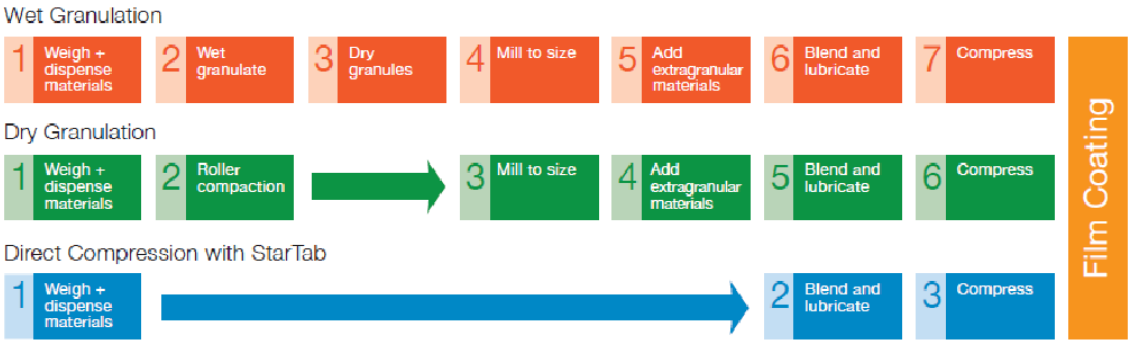
Overcoming Dietary Supplement Challenges – Caffeine

Formulation of Dietary Supplements

Direct compression of dietary supplements may be challenging due to their high concentration of active ingredients and poor physicochemical properties of the ingredients. Typical challenges include:

- Sensitivity to environmental humidity, heat and light
- Poor flow and compressibility
- Possible interactions between active ingredients in a single dosage form
- Strong odor and/or bitter taste

Therefore, formulators must understand the properties of the active ingredients and choose the right excipients that will improve the formulation properties for successful manufacture and shelf-life stability of the finished dosage form.



The Challenge

In dietary supplements, caffeine is used as a stimulant to promote alertness and help manage drowsiness[1]. This ingredient provides significant formulation hurdles due to its poor flow and compressibility as well as having a bitter taste. This study demonstrates the use of StarTab®, Directly Compressible Starch, in simplifying the formulation and manufacturing process leading to stable film coated caffeine tablets.

Materials and Methods

Robust film coated immediate release caffeine tablets (100 mg dose) were developed (Table 1) in this study. StarTab and microcrystalline cellulose (Avicel 102, Dupont) were used in a 1:1 ratio as fillers to help improve caffeine compressibility and flow.

Caffeine was first de-clumped using a 12-mesh screen and then co-screened with StarTab through a 40-mesh screen. A 1 kg batch of the formulation (Table 1) was prepared by mixing the main ingredients for 10 minutes, adding lubricant (pre-screened with a 60-mesh screen) and mixing for a further 3 minutes.

Tablets were compressed using 13/32" (10.3 mm) standard round concave B-tooling, with 0.5 kN pre-compression followed by 15 kN main compression force. Final tablets were coated with white pigmented Nutrafinish®, High Performance Coating, to 3% weight gain (w/w), in a perforated coating pan (O'Hara Labcoat II). Coating parameters are shown in Table 2.

Table 1: Composition of Immediate Release Caffeine Tablets

Core Tablet Ingredients	% w/w	mg / tablet
Caffeine	25.00	100.00
StarTab	37.25	149.00
Microcrystalline Cellulose (90µm) / Avicel 102	37.25	149.00
Magnesium stearate	0.50	2.00
Final Core Tablet Weight	***100.00***	***400.00***

Table 2: Film Coating Process Parameters

Coating System	Nutrafinish® White
Dispersion Solid Content (% w/w)	25
Pan Speed (rpm)	18
Air Volume (CFM)	130
Atomizing Air Pressure (psi)	20
Pattern Air Pressure (psi)	20
Spray Rate (g/min)	8-9
Inlet Temperature (° C)	50
Exhaust Temperature (° C)	37-41
Product Temperature (° C)	38-46

Results

Caffeine has extremely poor flow properties due to its fine particle size. StarTab improved the formulation powder flow and provided consistent blend uniformity (Table 3). The formulation was compressed without any issues and yielded defect-free tablets with good physical properties, suitable for filming coating. Table 4 shows tablet hardness and disintegration times of the caffeine tablets. Tablets were successfully coated (Figure 1) leading to additional benefits such as improving tablet hardness (Table 4) and providing a barrier to the bitter caffeine taste. Coated caffeine tablets provided pH-independent immediate drug release of more than 80% in less than 10 minutes (Figure 2).

Table 3: Summary of Powder Properties

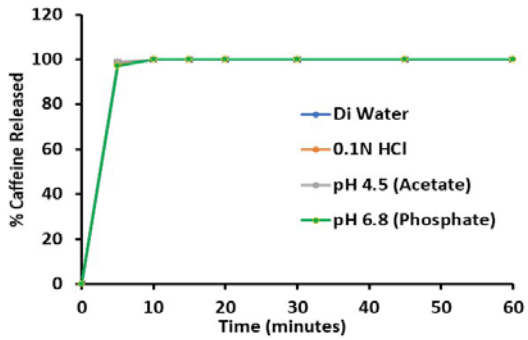
Property	Caffeine	Formulation Blend
Bulk density (g/mL)	0.32	0.48
Compressibility index (%)	30.00	25.70
Particle size d (0,5) (µm)	8.27	85.70
Overall Flow	Very poor	Flowable

Table 4: Properties of Caffeine Immediate Release Tablets

Property	Uncoated Tablets	Coated Tablets
Weight (mg)	399.3 ± 5.4	406.1 ± 5.6
Thickness (mm)	4.65 ± 0.02	4.69 ± 0.02
Hardness (kP)	22.5 ± 2.9	24.1 ± 2.1
Friability (%)	0.0 ± 0.0	0.0 ± 0.0
Disintegration time (minutes)	0.68 ± 0.20	1.24 ± 0.37

Figure 1: Film Coated Caffeine Tablets

Figure 2: pH-Independent Drug Release of Coated Caffeine tablets



Conclusion

The use of StarTab, directly compressible starch, in the formulation of immediate release caffeine tablets, shows the simplicity of the formulation and process. StarTab improved the formulation powder flow and compressibility. Tablets developed were robust and easily coated with Nutrafinish, High Performance Coating for a perfect finish.

Think Direct Compression, Think StarTab.

- Elimination of glidant and superdisintegrant in tablet formulation
- Excellent powder flow, blend uniformity and tablet weight uniformity
- Superior compressibility with fast disintegration
- Simplified formulation and process

References

1. Center for Food Safety and Applied Nutrition. (2017). What You Need to Know About Dietary Supplements. https://www.fda.gov/food/buy-store-serve-safe-food/what-you-need-know-about-dietary-supplements
2. Leonard, Jayne. (2019). What to Know About Caffeine Pills. https://www.medicalnewstoday.com/articles/326822#side-effects-and-risks

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