

Solving Puzzles since 1946.

Creativity and Contribution



TECHNICAL NEWSLETTER

**SPECIAL
ISSUE**

**Neusilin[®] REDEFINING SILICA'S POTENTIAL
IN SOLID ORAL DOSAGE FORMS***

Neusilin®

WHAT IS IT?

Neusilin® UFL2 is an ultra fine powder of magnesium aluminometasilicate and is widely accepted as a problem solving excipient for oral solid dosage forms.



Make harder tablets and protect it from humidity by adding 0.5-5% Neusilin® UFL2 to a lactose or mannitol formulation.

In this newsletter, we introduce you to a new study where 2% Neusilin® UFL2 is used as excipient to improve hardness of acetaminophen tablets and to protect the tablets from deterioration by moisture. Tablet hardness does not decrease significantly even under humid conditions.



WHY IS IT BENEFICIAL?



Improve Tablet Strength

Adding 2% Neusilin® UFL2 to tablet formulations can enhance tablet hardness and maintain it even under humid conditions.



Satisfactory Dissolution Rates

Tablets with Neusilin® UFL2 exhibited satisfactory dissolution rates, ensuring effective drug release.



Protection from Moisture

Neusilin® UFL2 acts as a protective agent, preventing tablet deterioration caused by moisture.



Improved Stability

Formulations using Neusilin® UFL2 with different excipients showed better hardness retention compared to formulations without Neusilin® UFL2.



Reduced tablet weight variation

Addition of Neusilin® UFL2 reduced the coefficient of variation (CV) of tablet weight.



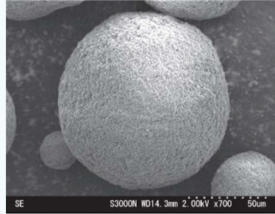
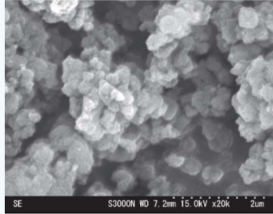


Excellent Flow Aid

Neusilin® UFL2 helps improve flow even at concentrations as low as 0.5%.

Neusilin®

CHARACTERISTICS AND SPECIFICATIONS

Neusilin® S1	Neusilin® S2	Neusilin® US2	Neusilin® UFL2
			
Appearance: White Granule	Appearance: White Granule	Appearance: White Granule	Appearance: White Powder
pH 8.5-10	pH 8.5-10	pH 6-8	pH 6-8
Nature: Alkaline	Nature: Alkaline	Nature: Neutral	Nature: Neutral
Water Adsorbing Capacity 1.0 (ml/g)	Water Adsorbing Capacity 1.2 (ml/g)	Water Adsorbing Capacity 2.4 - 3.1 (ml/g)	Water Adsorbing Capacity 2.4 - 3.1 (ml/g)



Grade	Neusilin® S1	Neusilin® S2	Neusilin® US2	Neusilin® UFL2
Average Particle Size (µm)	112	115	106	3.1
Specific Surface Area (m ² /g) *as per Nitrogen adsorption method	110	110	300	300
Tablet-binder, Disintegrator, Increase Hardness (%)	5-20	5-20	1-10	1-10
Adsorption Agent (%)	5-20	-	5-20	2-10
Increase Flowability (%)	-	-	-	0.5-5
Stabilization of Deliquescent API (%)	-	-	5-15	5-15
Conversion of Oil to Powder (%)	-	-	-	30-50
Hot Melt Extrusion, SMEDDS (%)	-	-	20-50	20-50

The **US2** and **UFL2** grades of **Neusilin®** have a large specific surface area, allowing them to adsorb oils up to three times their own weight. This property makes them effective in tablet production. These grades also provide better flowability and result in harder tablets at low compression force. Unlike traditional Magnesium Aluminum Silicates, which have an alkaline pH, **US2** and **UFL2** have a neutral pH, making them compatible with a broader range of active pharmaceutical ingredients (APIs).

Neusilin[®]

INVESTIGATING THE IMPACT OF NEUSILIN[®] ON TABLET STABILITY

Acetaminophen tablets of varying compositions with and without Neusilin[®] UFL2 were prepared by DC process and evaluated for hardness and dissolution at different conditions of stability.



Process:

The batch size of formulation was set at 1000 tablets(150 g). The materials were placed in a polyethylene bag and mixed thoroughly. Tablets were produced by single punch tableting equipment (N-30EX model, Okada Seiko Co., Ltd.; tablet diameter: 7.0 mm, compression force: 700 kgf). Tablet properties including weight, thickness and hardness were measured using 10, 5, and 5 tablets respectively. The dissolution test was carried out by the paddle method (50 rpm), using 3 tablets in 900 ml of water. The dissolution rate was measured spectrophotometrically at wavelength of 244 nm.

Component	Role	Lot				
		#1	#2	#3	#4	#5
Acetaminophen (mg)	API	15	15	15	15	15
Lactose (Super-Tab) (mg)	Diluent	103.5	100.5	93	-	-
Mannitol (Parateck M200) (mg)	Diluent	-	-	-	96	93
MCC (Ceolus UF-711) (mg)	Molding agent	30	30	30	30	30
Hydroxypropyl Cellulose (L-HPC LH-B1) (mg)	Disintegrant	-	-	7.5	7.5	7.5
Neusilin [®] UFL2 (mg)	Stabilier/ Glidant	-	3	3	-	3
Magnesium Stearate	Lubricant	1.5	1.5	1.5	1.5	1.5
TOTAL (mg)		150	150	150	150	150
Parameters of Evaluation						
Tablet weight (mg) (%CV)		149.6 (1.34%)	148.3 (0.78%)	152.9 (0.9%)	148.0 (1.1%)	148.7 (1.1%)
Thickness (mm)		3.8	3.81	3.83	3.77	3.73
Hardness (N)		77.9	100.2	108.5	139.3	151.8
Dissolution Rate (% Value at 15 min.)		90.8	86	102.2	95.3	94.6

Results:

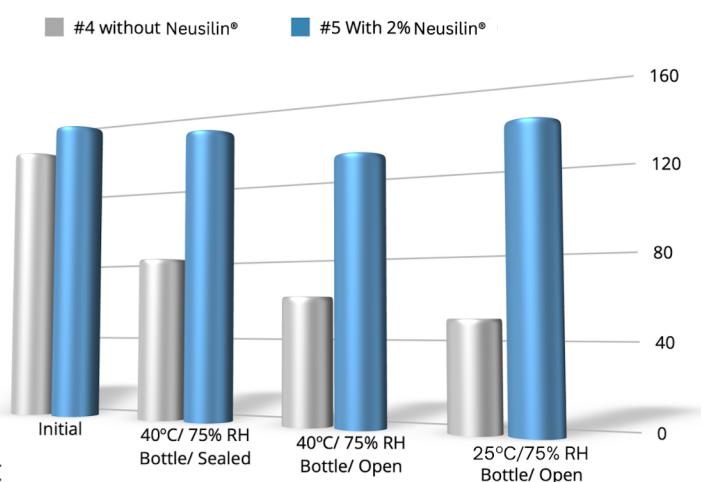
Addition of 2% Neusilin[®] UFL2 increased the tablet hardness irrespective of the filler-binder excipients used (formulations #2, #3 and #5). Furthermore, with Super-tab as excipient, Neusilin[®] UFL2 reduced the coefficient of variation (CV) of tabletweight from 1.34% to 0.78% and 0.9% (formulations #1-#3). The dissolution rate met a criterion of 85% or more in 15 minutes in all formulations.

Results:

Accelerated stability tests and stability test at room temperature were performed for a period of one month with 30 tablets in high-density polyethylene (HDPE) bottles (sealed or open). The hardness and dissolution profiles of tablets are shown in the following Table.

	Formulation	Measurement Item	Initial Value	40°C/ 75% RH Bottle/ Sealed	40°C/ 75% RH Bottle/ Open	25°C/ 75% RH Bottle/ Open	Note
-Disintegrant	#1 Without Neusilin®	Hardness (N)	77.9	72.4	40.1	53.2	Not good
		Dissolution Rate (% value at 15 min.)	90.8	57.9	52.3	48.9	
	#2 With 2% Neusilin®	Hardness (N)	100.2	112.0	80.2	113.0	Poor Dissolution Rate
		Dissolution Rate (% value at 15 min.)	86.0	49.6	30.8	36.6	
+Disintegrant	#3 With 2% Neusilin®	Hardness (N)	108.5	110.3	87.3	105.4	Good Hardness and Dissolution Rate
		Dissolution Rate (% value at 15 min.)	102.2	100.2	98.4	101.3	
	#4 Without Neusilin®	Hardness (N)	139.3	80.3	60.7	50.3	Drop in Hardness
		Dissolution Rate (% value at 15 min.)	95.3	98.3	92.1	93.3	
	#5 With 2% Neusilin®	Hardness (N)	151.8	143.2	126.4	135.7	Hardness is Maintained.
		Dissolution Rate (% value at 15 min.)	94.6	95.5	93.1	93.4	

In formulations #1 and #4 in which **Neusilin® UFL2** was not added, the hardness decreased significantly under accelerated stability test (bottle/open, bottle/sealed) and in stability test at room temperature (bottle/open) conditions. The formulations without **Neusilin®** showed a stark drop in hardness as opposed to those containing **Neusilin® UFL2**. It was noteworthy to look at formulation #5 where **Neusilin® UFL2** was added with Parteck M200 as excipient and the hardness remained above 100 N at all stability test conditions.

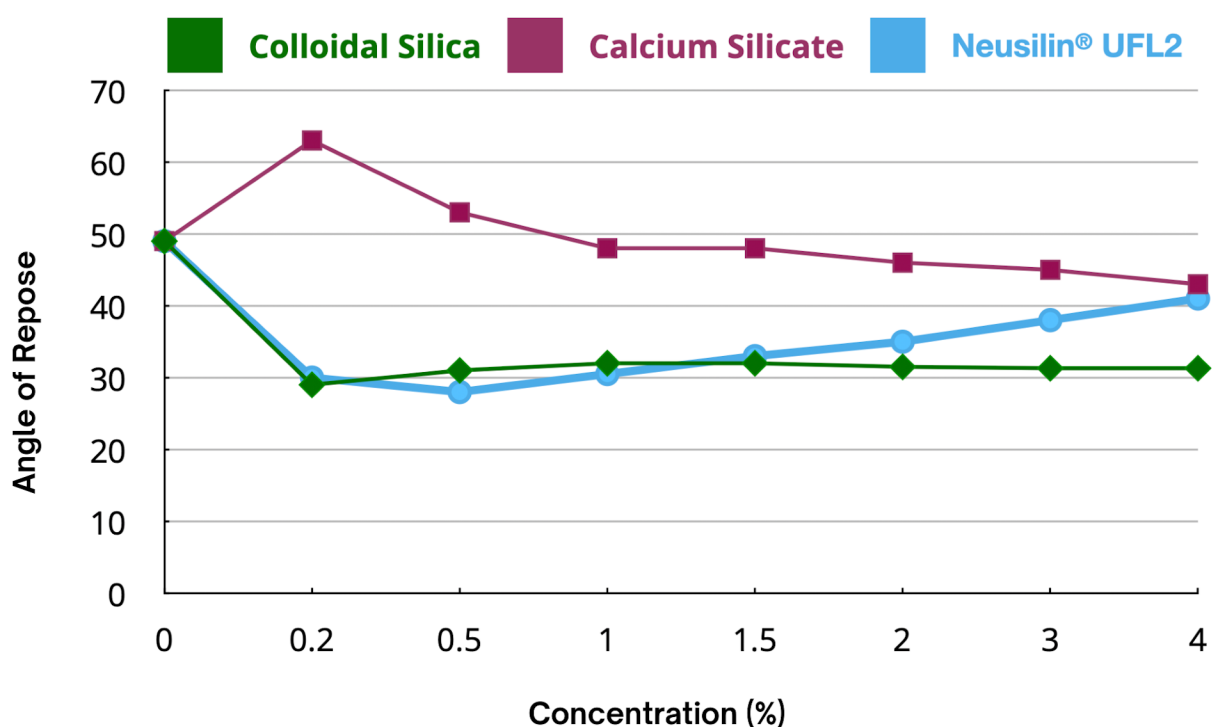


Neusilin®

A POTENTIAL REPLACEMENT FOR SILICA?

The properties of **Neusilin®** sure make it well suited for serving multiple functions in a tablet formulation and provide a “one-stop solution” for troubleshooting hardness challenges, moisture ingress, flow issues and tablet stabilization at large. With this perspective, it would be worthwhile to compare a few interesting properties of **Neusilin®** with more commonly used excipients such as silica.

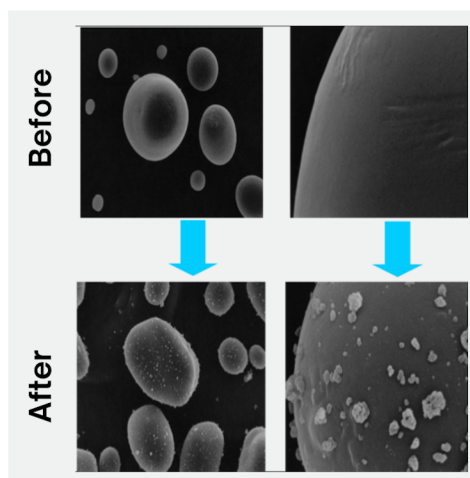
Improving angle of repose of potato starch:



Comparison with **Neusilin® UFL2**, colloidal silica and calcium silicate. 0.5% **Neusilin® UFL2** gives the greatest improvement of angle of repose with potato starch.

Neusilin® UFL2 is a compression enhancer for tablets made of cornstarch and lactose. When compared to colloidal silica or calcium silicate, **Neusilin® UFL2** generally results in harder tablets with magnesium stearate added as a lubricant prior to tableting.

A fabulous combination of flow and compaction is possible with **Neusilin®** which makes it a suitable alternative to silica!



Neusilin[®]



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