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Creativity and Contribution



TECHNICAL NEWSLETTER

ISSUE: 07 **Unveiling Neusilin® US2's Prowess: Resolving Oily API**
June 2023 **Challenges in Contrast to Other Common Excipients.**

Neusilin®

Neusilin® US2 is a synthetic, amorphous form of Magnesium Aluminometasilicate with a neutral pH that can be used in both direct compression and wet granulation of solid dosage forms.

Oily APIs affect flowability, compressibility, and disintegration times, thereby posing problems to formulators.

Due to its large surface area and porous nature, **Neusilin® US2** adsorbs high loads of oil and can be compacted into high-quality tablets. In this newsletter, we demonstrate the prowess of **Neusilin® US2** in solving the problems related to the oily nature of APIs when compared to other common excipients.



Neusilin® vs Colloidal Silicon Dioxide and Micronized Silicon Dioxide

FORMULATION EXAMPLE:

30g of boiled linseed oil was diluted with 60g of ethanol and mixed well before loading onto 66g of **Neusilin® US2**, Colloidal silicon dioxide, and Micronized silicon dioxide (30% oil load). In another set, 40g of boiled linseed oil was diluted with 80g of ethanol before loading onto 56g of **Neusilin® US2** (40% oil load). The mixture was dried in an oven at 50°C overnight to remove ethanol. The browning observed with both the silica grades is thought to result from the oxidation of the boiled linseed oil due to surface acidity of silica. The neutral surface of **Neusilin®**, on the other hand, helped suppress this reaction, and no dark coloration was thus seen with **Neusilin®**.



Characteristics of **Neusilin® US2** (A) Colloidal silicon dioxide (B) Micronized silicon dioxide (C) grades after loading 30% oil diluted in alcohol and drying at 50°C overnight.

Neusilin® showed excellent flow properties and did not show any browning or charring when compared to Colloidal silicon dioxide and Micronized silicon dioxide grades. (The electrostatic charges are generated with Colloidal silicon dioxide and Micronized silicon dioxide grades).

Neusilin® US2, Colloidal silicon dioxide, and Micronized silicon dioxide did adsorb 30% boiled linseed oil diluted with ethanol.

However, compared to **Neusilin® US2**, both Colloidal silicon dioxide and Micronized silicon dioxide grades were very difficult to handle due to their low bulk density. The blending of linseed oil with both Colloidal silicon dioxide and Micronized silicon dioxide grades resulted in generation of electrostatic charges leading to poor flow characteristics and uneven mixing.

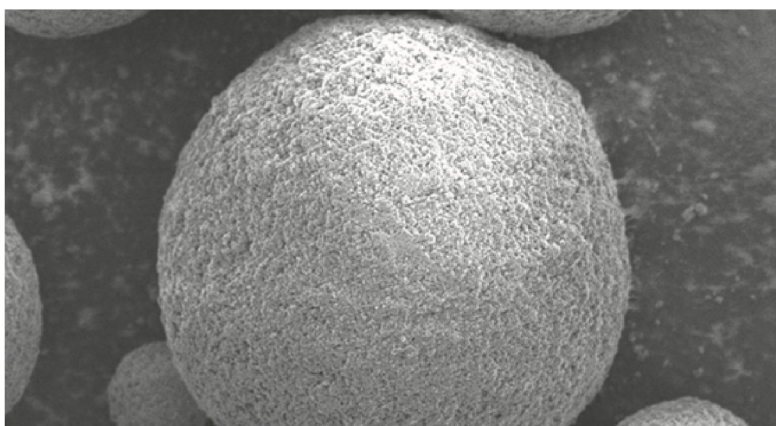
The most distinct characteristic was that Colloidal silicon dioxide and Micronized silicon dioxide grades on drying at 50°C after oil loading resulted in browning or charring, while **Neusilin® US2** remained stable and flowable even at 40% oil load.



FORMULATION SUMMARY:

| | i | ii | iii | iv |
|--------------------------------|-----------|-----------|-----|----|
| Boiled linseed oil (g) | 30 | 40 | 30 | 30 |
| Neusilin® US2 (g) | 66 | 56 | - | - |
| Colloidal silicon dioxide (g) | - | - | 66 | - |
| Micronized silicon dioxide (g) | - | - | - | 66 |
| Croscarmellose Sodium | 3 | 3 | 3 | - |
| Mg-St (g) | 1 | 1 | 1 | - |

Tabletting was carried out for **Neusilin®** as well as Colloidal silicon dioxide samples and not for Micronized silicon dioxide samples. Tabletting of Micronized silicon dioxide grade was not attempted due to charring. 3g Croscarmellose sodium as a disintegrant and 1g Mg-stearate as lubricant were added to the formulation, and the mixture was sieved through a 30-mesh screen.



Electron Micrographs of US2 X700

Tabletting was carried out in a single punch tabletting machine (Sankyo Piotech) at approximately 5 and 10 kN. Colloidal silicon dioxide-linseed oil tablets showed lower hardness and capping at 10kN compared to **Neusilin®** linseed oil tablets.



Neusilin®

Tablet Characteristics: Tablet weight: 300mg, diameter: 11.3mm

| Compression Pressure | Tablet Hardness | |
|---------------------------|-----------------|---------------------------|
| | Neusilin® US2 | Colloidal silicon dioxide |
| 500 kg / cm ² | 125N | 42N |
| 1000 kg / cm ² | 163N | 50-60N * |

*when capping does not occur

Neusilin® US2 showed superior qualities such as better oil adsorption, hard tablets at low compression force, and easier handling than Colloidal silicon dioxide. Due to the porous nature of US2, the oil-

adsorption was very good, and no extrusion was visible on drying, unlike Colloidal silicon dioxide or Micronized silicon dioxide.



Neusilin® CHEMICAL FORMULA

$$\text{Al}_2\text{O}_3 \cdot \text{MgO} \cdot 1.7\text{SiO}_2 \cdot x\text{H}_2\text{O}$$

Typical Property

| Grades | Alkaline | Alkaline | Neutral | Neutral |
|---|----------|----------|---------|---------|
| Property | S1 | S2 | US2 | UFL2 |
| pH of 5% Slurry | 9.4 | 9.4 | 7.4 | 7.4 |
| Form | Granule | Granule | Granule | Powder |
| Oil Absorbing Capacity (ml/g) | 1.3 | 1.4 | 3.2 | 3.2 |
| Particle Size Distribution (µm) | 70-110 | 70-110 | 60-120 | 2-8 * |
| Residue 330 Mesh sieve (%) | - | - | - | < 0.5 |
| Specific Surface Area (m ² /g) | 110 | 110 | 300 | 300 |
| Angle of Repose (°) | 30 | 30 | 30 | 45 |
| Bulk Density (g/ml) (Loose) | 0.33 | 0.33 | 0.15 | 0.08 |
| Bulk Density (Tapped) | 0.40 | 0.38 | 0.19 | 0.13 |
| Loss on Drying (%) | 16 | < 5 | 1.4 | 1.8 |

Dosage and Safety

Neusilin® is extremely safe with no reports of adverse reactions and is an accepted ingredient as listed in the US Pharmacopeia / National Formulary, European Pharmacopeia, and Japanese Pharmaceutical Codex. Please consult your local Fuji Technical sales team for your specifications.

Neusilin[®]



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