FUJI CHEMICAL INDUSTRY CO., LTD.

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Neusilin®

US2- Problem solver excipient for oily API's

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 API's 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 oily nature of API's when compared to other common excipients.

Formulation examples

Neusilin® vs Colloidal silicon dioxide and Micronized silicon dioxide

30 g of boiled linseed oil was diluted with 60 g of ethanol and mixed well before loading on to 66 g of **Neusilin®** US2 , Colloidal silicon dioxide and Micronized silicon dioxide (30% oil load). In another set, 40 g of boiled linseed oil was diluted with 80 g of ethanol before loading on to 56 g of **Neusilin®** US2 (40% oil load). The mixture was dried in an oven at 50°C overnight to remove ethanol.

Neusilin® US2, Colloidal silicon dioxide and Micronized silicon dioxide did adsorb 30% boiled linseed oil diluted with ethanol. However, when compared to **Neusilin®** US2, both Colloidal silicon dioxide and Micronized silicon dioxide grades were very difficult to handle due to its low bulk density. Blending of linseed oil with both Colloidal silicon dioxide and Micronized silicon dioxide grades resulted in generation of electro static 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 (Fig 1)

Fig. 1. Characteristics of **Neusilin®** US2 (A); Colloidal silicon dioxide(B) and 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. Also, please note the electrostatic charges generated with Colloidal silicon dioxide and Micronized silicon dioxide grades.

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
Ac-di-sol (g)	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 sample. Tabletting of Micronized silicon dioxide grade was not attempted due to charring. 3 g Ac di sol (Croscarmellose sodium) as disintegrant and 1 g Mg-stearate (lubricant) was added to the formulation and the mixture was sieved through a 30 mesh screen. 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 when compared to **Neusilin®** linseed oil tablets.

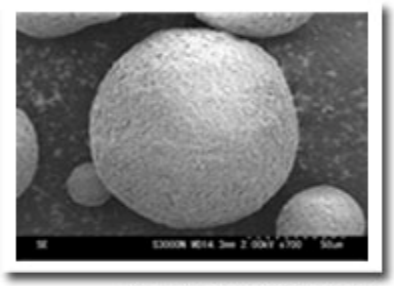
Tablet Characteristics: Tablet weight: 300mg, diameter: 11.3mm

Compression Pressure	Tablet Hardness	
	Neusilin® US2	Colloidal silicon dioxide
500kg/cm2	125N	42N
1000kg/cm2	163N	50-60N *

*when capping does not occur

Conclusions:

Neusilin® US2 showed superior qualities like better oil adsorption, hard tablets at low compression force and easy handling when compared to 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® US2 (X700)

Chemical formula: $Al_20_3.MgO.1.7SiO_2.xH_2O$

Chemical Abstract Service (CAS) Number:12511-31-8

U.S. Drug Master File (DMF) filed

Typical Properties

PROPERTY	Grade US2
FORM	granule
Loss on Drying (%)	1.4
Bulk Density - Loose (g/ml)	0.15
Bulk Density - Tapped (g/ml)	0.19
True Specific Gravity (g/ml)	2.2
BET Specific Surface Area (m2/g)	300
Mean Particle Size (μm) (Agglomerate)	100
Angle of Repose (Degrees)	30
Oil Adsorbing Capacity (ml/g)	3.2
pH of 5% Slurry	7.4
Packaging (Kg)	10

Dosage and Safety

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

Neusilin® is available in various grades to meet the diverse requirements of complex actives that can be converted to oral solid-dosage forms.

To obtain a sample or to find your local distributor, please contact us at pharma@fujichemical.co.jp. For more technical information, please visit www.fujichemical.co.jp/english/neusilin.html