



Alpha-lipoic acid ( ALA ), also known as thioctic acid is a very important cofactor in the body's metabolism for converting carbohydrates into energy. ALA scavenges hydroxyl radicals, singlet oxygen and can remove heavy metals by chelation. It also helps regenerate other antioxidants like glutathione, vitamin C, coenzyme Q10 and indirectly, vitamin E <sup>(1)</sup>.

There is already substantial experimental and clinical evidence to show that ALA may be useful in the prevention and treatment of such diverse conditions as diabetes, heart attack, stroke, HIV infection, AIDS, neurodegenerative diseases, heavy metal poisoning and radiation exposure. The recommended daily maintenance dose is 20 to 50 milligrams, but much higher doses are needed in the treatment of diseases such as diabetes and AIDS <sup>(2)</sup>.

To deliver ALA as high quality directly compressed tablets is a big challenge due to its hygroscopicity and stickiness at room temperatures (melting point - 60°C) . Furthermore, the recommended daily dosage of approximately 300-600 mg per day makes it difficult to make suitable tablet preparations with high ALA load. In this newsletter, we present a successful formula for preparing high quality tablets of ALA with our multifunctional excipient **Neusilin®**.

Pale yellow crystalline powder of ALA 10.0, 29.2 and 44.4 g were mixed well with 20.0 g of **Neusilin®** US2 and other excipients as shown in table below. The mixture, after sieving through a 30 mesh screen, was compressed into 250 mg tablets on a single punch rotary tableting machine (Sankyo Piotech). The ALA- **Neusilin®** mix did not show any stickiness or problems with flowability during the tablet manufacturing process. Disintegration test was carried as per JP in water at 37°C and the tablets showed fast disintegration with increased load of ALA.

**Formulation summary of alpha lipoic acid tablets with Neusilin® and other excipients**

Composition	1	2	3
α-lipoic acid (g)	10.0	29.2	44.4
<b>Neusilin®</b> US2 (g)	20.0	20.0	20.0
Cornstarch (g)	20.0	20.0	20.0
Multitol (g)	45.5	26.3	11.0
Aspartame (g)	0.5	0.5	0.6

Orange flavor (g)	2.0	2.0	2.0
Calcium-Stearate (g)	2.0	2.0	2.0
Compression Pressure (kN)	5.0-5.4	5.6-5.8	6.0-6.4
Stickiness	nil	nil	nil
flowability	good	good	good
Tablet characteristics (250 mg tablets, Ø9R)*			
Thickness(mm)	4.591	4.512	4.479
Weight(mg)	250.1	249.9	250.1
Hardness(N)	70.9	63.4	57.1
<b>Disintegration time (JP) (min)</b>	<b>1.87</b>	<b>0.37</b>	<b>0.23</b>

\*Tablets manufactured on a Rotary tableting machine.



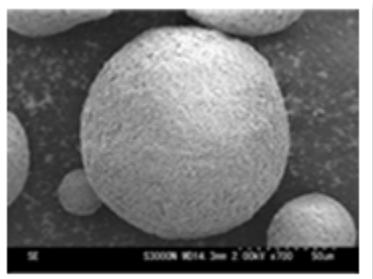
Fig 1. Free flowing powder and tablets of ALA



Fig 2. Close-up of high quality tablets of ALA

## Conclusion

High quality directly compressed tablets of alpha lipoic acid with a load of up to 45% was possible when **Neusilin® US2** was used as excipient. ALA supplementation between 300 and 600 mg is recommended for the treatment of diabetic neuropathy and other age related neurodegenerative diseases. This dosage can be achieved by daily intake of three to six ALA tablets with **Neusilin® US2**.



**Neusilin® US2 (X700)**

Chemical formula:  $Al_2O_3 \cdot MgO \cdot 1.7SiO_2 \cdot xH_2O$

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

U.S. Drug Master File (DMF) filed

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#### References

1. Cadenas, Enrique and Packer, Lester, eds. Handbook of Antioxidants, NY, Marcel Dekker, Inc., 1996, pp. 545-91
  2. Murray, Michael T. Encyclopedia of Nutritional Supplements, Rocklin, CA, Prim Publishing, 1996, pp. 343-46
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