

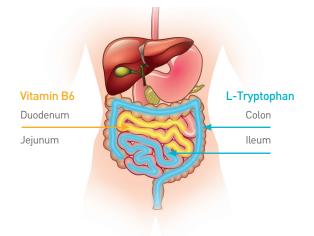
Targeted delivery supplements

Oral delivery has become a widely accepted route of administration of supplements. The gastrointestinal tract presents several formidable barriers to the delivery of nutrients. Colonic delivery as a part of targeted drug delivery systems has gained increased importance not only for the delivery of drugs for the treatment of local diseases associated with the colon but also for its potential for the delivery of supplements and nutrients such as probiotics, proteins, peptides, amino acids, herbal extracts, essential oils, and other active components.

To achieve successful colonic delivery, probiotics or active ingredients have to be protected from absorption and/or the environment of the upper gastrointestinal tract and then be abruptly released into the proximal colon, which is considered as the optimum site for colon-targeted delivery.

Our strategy includes the addition of some natural polymers (e.g. pectin, guar gum, hypromellose) to the tablet core that remains unaffected in the presence of gastrointestinal enzymes and final coating of tablets by mixture of synthetic and natural polymers to prevent release of active ingredients during 4-6 hours after leaving the stomach.

The advantages of targeted delivery supplements specifically to the diseased colon are reduced incidence of systemic side effects and lower dose of active components. In case of probiotics and amino acids (Tryptophan, Tyrosine) it means incredibly efficient support to suffering people (for e.g. autoimmune disease, depression).

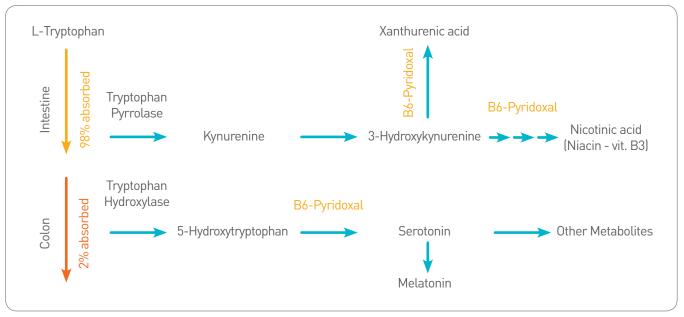


Picture 1 - Targets of L-Tryptophan and vitamin B6 in the digestive tract.



Targeted delivery system – L-Tryptophan/Pyridoxal (Trp – targeted for Ileum/colon region, vitamin B6/P5P – targeted for duodenum/jejunum)

L-Tryptophan is an essential amino acid that must be obtained from the diet. Approximately 98 - 99% of dietary L-Tryptophan is metabolized into nicotinic acid, and other metabolites. Only very small amount is metabolized into SEROTONIN, which is present at highest concentrations in platelets and in the gastrointestinal tract. Lesser amounts are found in the brain and the retina. Melatonin is derived from serotonin within the pineal gland and the retina. A small amount of L-Tryptophan is used by our microflora.



Picture 2 - The metabolism of L-Tryptophan in the digestive tract.

L-Tryptophan and Pyridoxine in Clinical Practice

L-Tryptophan competes with the other large, neutral amino acids (Tyrosine, Phenylalanine, Valine, Leucine, Isoleucine) for transport across the blood-brain barrier. When L-Tryptophan is administered as TDS, it needs vitamin B6, apart from meals (the best form is biologically active form - P5P). That 's the reason, why add vitamin B6 into the coating layer of TDS tablets. Vitamin B6 is absorbed in duodenum/jejunum region.

Relative to other amino acids, small amounts are needed to have a beneficial effect.

When L-Tryptophan TDS is used within the context of integrative clinical management, the dosage will typically start low [140 mg] and increase gradually as needed [280 mg = 100% RDI]. Clinical response will often require minimum 30 to 60 days to demonstrate full benefits.

Technological HITECH

Tablet core containing L-Tryptophan is coated by blend of polymers to target Ileum/colon region of patients suffering from chronic irritable syndrome or other disorders. In the second coating layer, there is vitamin B6 fixed on polymers. The final layer function is for protection and shiny tablet look.



Picture 3 - The appearance of TDS tablet after cutting.

Possible use

CNS: Bipolar Disorder, Dementia, Depression, Mania, Insomnia, Parkinsonism, Psychosis

Other: IBD, Crohn disease, Ulcerative colitis, Celiac disease, Phenylketonuria, Menopausal symptoms

Other recommendation: restriction of meat / eggs / nuts / chocolate / oat / banana is very recommended (food rich in L-Tryptophan)

