

Folinic Acid



Excipients

Glycine, silica colloidal anhydrous, L-leucine, vegetable capsules

Pack size

120 capsules

Dosage

1 capsule per day, or as prescribed

Indications

Folinic acid is a metabolically active form of folic acid

- May help to maintain normal homocysteine levels.
- May act as a methyl donor in the body.

Interactions

Dosages of supplemental folic acid above 500mcg per day may mask underlying vitamin B12 deficiencies.

Contraindications

Patients with epilepsy should not take high dosages of supplemental folic acid, as seizure activity may increase.

It is recommended to monitor or supplement B12 levels with increased dosages of folic acid.

Formulations

Folinic acid (as calcium folinate) 500 mcg

Technical Information

Folate

Folic acid occurs naturally as a complex of related substances called folates which are found in foods such as sprouts, beans, eggs, lentils, Brewer's yeast, organ meats and green leafy vegetables ^[1]. However, food preparation and processing can destroy almost all of the naturally occurring folate, as it is highly sensitive to heat, air and light ^[2]. Oral folates are generally available in two supplemental forms: folic and folinic acid. Although the most common supplemental form of the folates is folic acid, it only makes up 10% or less of dietary folates. The majority of folates in the diet consist of reduced folates and methyltetrahydrofolates. Although folic acid is generally well absorbed, evidence suggests that reduced folates and methyltetrahydrofolates are absorbed differently ^[3].

Folinic Acid

Administration of folinic acid bypasses the deconjugation and reduction steps required for folic acid. Folinic acid has been deemed to be a more metabolically active form of folate, which is capable of boosting levels of the coenzyme forms of the vitamin in circumstances where folic acid has little to no effect. It is also suggested that folinic acid is more readily transported through the blood brain barrier into the central nervous system and has a longer half-life in the body than folic acid ^[3]. Human absorption kinetic studies of orally administered folinic acid have demonstrated a bioavailability of 92% ^[4]. Following an oral dose of folinic acid, the majority of folates are metabolized to 5-MTHF directly during absorption in the intestine, bypassing the need for deconjugation and subsequent reduction in the liver ^[3].

Blood Brain Barrier

Cerebral folate deficiency is associated with developmental delay, seizures and autism ^[5] and also psychomotor retardation, spastic paraplegia, cerebellar ataxia and dyskinesia ^[6]. Despite normal folate levels in serum and red blood cells, analysis of CSF reveals extremely low levels of 5-MTHF, indicating disturbed or defective folate transport across the blood-brain barrier. Oral folinic acid supplementation has shown great success in correcting CSF abnormalities leading to clinical improvements in its associated manifestations. Folinic acid may directly cross the blood brain barrier since reduced folates are actively transported into the brain, whereas folic acid is poorly transported to the brain and rapidly cleared from the CNS ^[7].

Autism

A recent study attempting to improve baseline plasma methionine/cysteine/glutathione levels and increase antioxidant and methylation capacity, gave 20 autistic children supplements of 800 mg of folic acid b.i.d. and 1000 mg betaine (trimethylglycine) b.i.d. for a period of 3 weeks. Folic acid is converted to 5, 10-methyleneTHF which supports purine and thymidylate synthesis and also methionine synthesis and betaine provides a folate-independent pathway for methionine regeneration. After only 3 weeks, a highly significant increase in plasma methionine, cysteine, and glutathione levels were associated with almost 2-fold increase in the ratio of reduced to oxidized glutathione (GSH/GSSG). These results would suggest that supplementation with folic acid and betaine had a strong positive impact on antioxidant capacity in the autistic children ^[8].

Depression

Patients with major depressive disorder often demonstrate lower serum and red blood cell folate concentrations. Lower serum folate concentrations have been closely associated with greater severity of depression ^[3]. Some evidence also suggests that low folate levels can result in a poorer response to selective serotonin reuptake inhibitors (SSRIs) ^[9]. It has been reported that the efficacy of conventional antidepressants such as fluoxetine (Prozac) is significantly enhanced by the addition of daily folate ^[10, 11].

Other uses

Therapeutically, folic acid can reduce homocysteine levels and the occurrence of neural tube defects, may play a role in cervical dysplasia and protecting against neoplasia in ulcerative colitis. Folic acid deficiency is considered to be one of the most common nutritional deficiencies ^[3]. Folic acid has largely been reserved for the use of methotrexate rescue and as an adjunct to some chemotherapy drugs.

Folic acid has several advantages over folic acid which might, under some circumstances, offer a therapeutic advantage. It bypasses several steps in the conversion of folic acid to 5-MTHF; is more readily transported into the CNS than folic acid; has a longer half-life in the body; and it appears to be a more metabolically active form of folate, capable of boosting levels of the coenzyme forms of the vitamin in circumstances where folic acid has little or no effect ^[3].

References

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