

Melatonin

Multifunctional hormone in 3 mg and 6 mg doses

By Cristiana Paul, MS

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Melatonin is a hormone with many functions. Most importantly it is involved in the control of the circadian (day/night) biological rhythms. Melatonin mediates the body's response to variations of natural light availability from indoor/outdoor exposure or due to seasons (winter/summer). Darkness into the eye tells the brain to make melatonin so the body can prepare for sleep mode. Its production should peak at night.

This important hormone also regulates immunity, the stress response, and some aspects of the aging process, including growth hormone production. In addition, melatonin is a very potent antioxidant that easily penetrates the cell membranes and even binds and protects the nuclear DNA.¹

This necessary hormone is synthesized in the human body, mostly in the brain, by the pineal gland from precursors as shown below:

Tryptophan → 5-HTP → Serotonin → Melatonin

The synthesis steps depicted above require cofactors such as vitamin B6, SAME, iron and THB (tetrahydrobiopterin), a folate-derived compound. Other cells in the body, such as immune cells, synthesize small amounts of melatonin as well. Melatonin production declines significantly with age, due to various causes such as pineal calcification, possibly inadequate brain tissue function and sensitivity, and other unknown factors.²

Human, animal and mechanistic research suggests melatonin may help to:*

- Increase life span and survival in animal studies¹
- Improve sleep quality, especially during aging^{3,4}
- Reduce jet lag or help adjust sleep times for shift workers⁵⁻⁸
- Help relieve certain types of depression such as SAD (seasonal affective disorder)⁹⁻¹¹
- Stimulate the immune system^{13,14}
- Increase antioxidant defenses^{15,16}
- Protect the brain, support healthy neurological and psychiatric function, and reduce risk and improve recovery from stroke and cerebrovascular or central nervous system injury or disease¹⁷⁻²⁴
- Reduce migraine frequency, severity and duration²⁵⁻²⁷
- Reduce initiation, progression and metastasis of cancer and augment the efficacy of chemotherapy while reducing chemotherapy side-effects²⁸⁻³²
- Protect the liver against toxic injuries³³⁻³⁵

Factors that may reduce melatonin production at any age include:

Lifestyle

- Poor sleeping habits: going to bed too late, sleeping during the day
- Inadequate darkness for the duration of the night (night lamps, clocks with light, outside street lighting, curtains that allow light through)
- Insufficient exposure to natural light during daytime, such as during winter at certain latitudes, and too much time spent indoors
- Excessive mental stress, high adrenaline and/or cortisol at night
- High caffeine or alcohol consumption too close to bedtime

Diet and Supplements

- Inadequate brain availability of precursors such as tryptophan or serotonin, which may occur during stressful states or high protein/low carbohydrate diets
- Inadequate availability of cofactors necessary for serotonin and melatonin synthesis: vitamin B6, SAME, iron and folate

Medications

- Benzodiazepines, beta-blockers, loop diuretics, aspirin

Melatonin deficiency may be defined based on quality of sleep and difficulty falling asleep, as well as specific urinary metabolites. By age 60, the body's production may fall to close to 50% of youthful levels. Due to its effects on sleep and many other metabolic functions, it is hypothesized that replacement that restores melatonin to youthful levels may have a multitude of benefits.

Melatonin and Sleep

Supplemental melatonin was shown in studies to help with falling asleep when taken about 20 minutes before the desired sleep time. It has a plasma half life of 30-60 minutes from the time it is absorbed in the bloodstream. 5-HTP can be used in conjunction with melatonin, as a precursor to serotonin, which can support further endogenous melatonin production during the night to help with staying asleep.

When using melatonin for sleep enhancement, additional synergistic nutrients may be beneficial to counteract excessive stress: Taurine and GABA reduce the effects of the stress hormones, such as adrenaline, while omega-3 fatty acids (EPA/DHA) reduce the production of the hormones cortisol and adrenaline in response to mental stress. Magnesium also has been shown to reduce certain age-related changes in sleep patterns.

Research on Melatonin's Supportive Role in Cancer and Chemotherapy

"Melatonin (MLT) is the main hormone released from the pineal gland and has proved to have physiological antitumor activity. MLT has been shown to exert anticancer activity through several biological mechanisms: antiproliferative action, stimulation of anticancer immunity, modulation of oncogene expression, and anti-inflammatory, anti-oxidant and anti-angiogenic effects. In some studies, MLT was given orally at 20 mg/day during the dark period of the day. Moreover, the percentage of patients with disease stabilization and the percentage 1-year survival were both significantly higher in patients concomitantly treated with MLT than in those treated with supportive care alone. The objective tumor response rate was significantly higher in patients treated with chemotherapy plus MLT than in those treated with chemotherapy alone. Moreover, MLT induced a significant decline in the frequency of chemotherapy-induced asthenia, thrombocytopenia, stomatitis, cardiotoxicity and neurotoxicity. These clinical results demonstrate that the pineal hormone MLT may be successfully administered in medical oncology in the supportive care of untreatable advanced cancer patients and for the prevention of chemotherapy-induced toxicity."²⁸

Conditions For Which Melatonin is Not Recommended

- Autoimmune conditions such as lupus or arthritis, because the immune stimulatory effect of melatonin may exacerbate the action of certain types of lymphocytes or B-cells involved in the pathogenic course of these diseases
- Immune-related cancers such as lymphoma and leukemia
- Pregnancy, lactation or during the time where fertility is desired

Interactions With Drug Therapy

Melatonin may not be suitable to administer along with MAO inhibitors and corticosteroid therapy.

Available in 3 mg Capsules & 6 mg Sustained Release Tablets

Designs for Health offers melatonin in 3 mg capsules and 6 mg sustained release tablets. Due to melatonin's relatively short half-life in the body, a sustained release formula may be more effective for those who experience difficulty staying asleep through the night. Sustained release formulas have been shown to decrease the time to sleep onset and substantially improve sleep quality compared to placebo, particularly in people age 55 and older.^{36,37} The improvement in sleep quality may also positively impact the mood disturbances and sleep irregularities associated with depression.³⁸ No significant adverse side-effects have been observed with melatonin at 6 mg doses, and studies determined that there were no withdrawal effects or rebound insomnia upon stopping supplementation.

For a list of references cited in this document, please visit:

<https://www.designsforhealth.com/techsheet-references/melatonin-references.pdf>

Dosing recommendations are given for typical use based on an average 150 pound healthy adult. Health care practitioners are encouraged to use clinical judgement with case-specific dosing based on intended goals, subject body weight, medical history, and concomitant medication and supplement usage.

* These statements have not been evaluated by the Food and Drug Administration. This product is not intended to diagnose, treat, cure, or prevent any disease.

To contact Designs for Health, please call us at (860) 623-6314, or visit us on the web at www.designsforhealth.com.

Melatonin 3 mg Capsules

Supplement Facts

Serving Size 1 capsule

Amount Per Serving	% Daily Value
Melatonin	3 mg *

*Daily Value not established.

Other Ingredients: Microcrystalline cellulose, cellulose (capsule), L-leucine.

Melatonin SRT™ 6 mg Sustained Release Tablets

Supplement Facts

Serving Size 1 tablet

Amount Per Serving	% Daily Value
Melatonin	6 mg *

*Daily Value not established.

Other Ingredients: Dicalcium phosphate, cellulose gum, stearates (vegetable source), silicon dioxide, ethylcellulose.

