

Take Two Melatonin and Call Me in the Morning

How to Find the Dosage That's Right for You

by Dr. Ray Sahelian

In the last issue of H&N magazine, Dr. Sahelian wrote about melatonin research that has indicated the possibility of slowing down or even reversing some effects of the aging process with the use of this natural product. Dr. Sahelian's book *Melatonin: Nature's Sleeping Pill* (published last year), caused an explosion of interest in the media over melatonin. There have been several subsequent publications which have taken advantage of the furor started by Dr. Sahelian's book, and since the last issue of H&N, melatonin has appeared on both the cover of Newsweek magazine and on the TV show, "20-20."

In this issue, Dr. Sahelian explains how melatonin is marketed for its most common usage: as an aid in sleep disorders, its specifications, its indications, and various dosage strategies.

Melatonin supplements are currently available in 0.2 mg, 0.3 mg, 0.5 mg, 0.75 mg, 1 mg, 1.5 mg, 2 mg, 3 mg, 5 mg, 10 mg, and 20 mg tablets or capsules. Some bottles list dosages in mcg (micrograms); 1 mg (milligram) equals 1000 mcg. Lozenges, which are dissolved in the mouth, are available in 0.5 mg, 1 mg, 2 mg, 2.5 mg, 3 mg, and 5 mg. In the summer of 1995, a slow release form of melatonin became available.

Melatonin is not patented, so a number of companies manufacture and distribute it. A wide range of doses works for people. Each person has a unique physiology; hence, no blanket statements can be made. A person may also require a higher amount during nights when he or she is extremely alert, upset, preoccupied, or had a caffeinated evening drink.

Furthermore, the amount of melatonin available in pills or lozenges may vary widely between products. The length of time that a particular bottle is stored may also make a slight difference. Melatonin is a stable molecule, but its potency could slowly decrease over months. Refrigeration is not necessary, but may help melatonin's potency to last longer.

It may be best to swallow a melatonin pill on an empty stomach or with a small meal. Melatonin taken on a full stomach does not seem to be as consistently effective. This may be because the pill is not fully absorbed, or is simply absorbed too slowly. After swallowing a pill, peak levels in the blood are found in about one hour. An interesting finding in one study (Waldhauser, 1990) was that the amount of melatonin present in the bloodstream of different volunteers sometimes varied by a

factor of 300! This shows the uniqueness of each individual's absorption and metabolism.

Melatonin in the range of 0.1 mg to 5 mg is effective in inducing a natural yawn and maintaining a deep sleep in most people. We need to keep in mind that pills or lozenges may not contain exactly the amount specified on the bottle. This is true of any medicine or pill. The production process is not perfect, and not all pills will contain the same exact dose.

An article published in the May 1995 issue of *Clinical Pharmacology and Therapeutics* (57:552-8), entitled "Sleep-Inducing Effects of Low doses of Melatonin Ingested in the Evening," gives us a good idea on appropriate dosages. Drs. Zhdanova and Wurtman, both from MIT, gave volunteers 0.3 mg of melatonin and found it to be effective. This low dose was able to raise blood melatonin levels above 120 picograms per milliliter, a level present at night in children while in deep sleep (a picogram is one millionth of a milligram).

If you are planning to take melatonin for the first time, start with a dose in the range of 0.1 to 0.5 mg. Most tablets come in doses much higher than this so you may need to break them in small pieces. Capsules can be opened and a portion used. If these low doses are not effective, then you can take more the following nights.

If there is no response to pills, sublingual lozenges can be tried. Lozenges seem to be more consistently effective than pills since they are not absorbed from the stomach and metabolized by the liver -- which can greatly reduce the amount reaching the bloodstream. Instead, the melatonin dissolves in the mouth and directly enters the bloodstream. Some individuals find that a small dose from a lozenge may be as effective as a large dose from a pill.

There are some people who respond weakly even to high doses. Ted, a 23-year-old student, informs me: "I took what I believe was an overdose, two 3 mg pills and two 5 mg lozenges, a total of 16 mg. It did seem to induce in me that sleepy state right before one falls asleep, but the feeling wasn't overwhelming. In fact, I only felt sleepy when I laid on my bed; otherwise I think I could have stayed up longer."

Wayne, a 24-year-old computer programmer from Seattle, asserts: "I have severe chronic insomnia and I've used melatonin twice. Neither time did it seem to improve my sleep. (My body is amazingly good at resisting sleep.) Both were 3 mg doses taken just a few minutes before bed."

Keep in mind that melatonin is subtle compared to the effects of prescription sleeping pills. It doesn't have their knockout punch. Matthew, a 25-year-old editor, tells me: "I am impressed at the similarity between melatonin and natural sleep. As someone who has suffered periodic bouts of insomnia, melatonin provided natural sleepiness without the drowsiness of some prescription medicines that I've taken in the past." Heather, a 24-year-old massage therapist, agrees. "Sleep comes on naturally and peacefully," she adds.

Some individuals do very well with small doses. A survey respondent wrote: "I'm 57 years old and have been taking melatonin regularly for insomnia for a year. Previously, I would sleep four or five hours, then wake up and not be able to go back to sleep. With 1 mg of melatonin I sleep through the night. If I do happen to wake up, I am able to easily fall back asleep. I've had no side effects at all and wake up refreshed."

For most people melatonin is effective the very first night. However, some may take up to a week before noticing a difference. MacFarlane and colleagues also noted this. "Improved sleep is in evidence from the first treatment night, but an increased efficacy is observed with repeated treatments."

THE BEST TIME TO TAKE MELATONIN

People vary widely in their response times. Pills are effective for most people when swallowed about half an hour to two hours before bed. Lozenges dissolved in the mouth seem to work more quickly. You may take them between 20 minutes and an hour before going to bed. Most people notice a natural yawn within half an hour of dosing. I, personally, do well with 0.25 mg taken 1 hour before bedtime. When I put my head on the pillow, I'm out! I have found that a low dose is more effective when taken at least an hour or two before bed while a high dose can be taken closer to bedtime.

One of the most common mistakes people make with melatonin is taking it too close to bedtime. This is not a prescription sleeping pill and doesn't work as quickly. For the most part, a good thirty minutes to two hours is required for best results. And remember: tablets and lozenges from different manufacturers may be absorbed at different rates.

Leona, a 42-year-old social worker, tells me: "I took a 2.5 mg lozenge right before bed. I didn't feel any effects from it and had trouble falling asleep. I tried it again a few nights later right before bed. Still no effect I was almost going to give up on melatonin until you suggested I try it at least one-to-two hours before bed. This seemed to make all the difference; I went to sleep within a couple of minutes of putting my head on the pillow."

One survey respondent wrote that he has chronic insomnia and takes 10 mg of melatonin an hour before bed. He wakes up at 3 or 4 am. and takes another 10 mg. This works for him; he feels fine the next day. Another user noted that he once

woke up at 3 a.m. and couldn't fall asleep. He hadn't taken any melatonin the night before. After an hour of tossing in bed, he took two 3 mg pills at 4 a.m. and had trouble getting out of bed the next day. He felt groggy most of the morning. It's best to take melatonin to accentuate our natural sleep rhythm, avoiding the use at a late hour where it could shift our cycle to an undesired time.

One friend has found that breaking a pill in small portions and taking about 0.25 mg one hour before bed gives her a better sleep than taking it all at one time. This is a good option for some since melatonin is gradually produced by the pineal gland at night. One could therefore take small

doses maybe three hours, two, and one hour before bed. I tried this approach recently, using tiny doses, and it worked well. I must have taken a total of less than 0.3 mg.

These anecdotes indicate the importance of trial and error in finding out the best dose and the best time for your unique self. If melatonin doesn't work for you initially, don't give up. Try varying doses and times. You may also try another brand if the first is not effective. It is possible that some products may contain much less melatonin than their labels claim.

As a rule, if you have trouble falling asleep, consider sublinguals. If you have no trouble falling asleep but wake up in the middle of the night or early morning, consider taking a pill just before bed, or slow release form, which stays in your system longer. If you have difficulty in both falling asleep and staying asleep, you could combine low doses of sublinguals and the slow release.

Those who are used to taking prescription sleeping pills may require a few days or weeks before noticing the subtler effects of melatonin.

IS MELATONIN ADDICTIVE?

Since no studies in humans have yet been published specifically addressing this question, I can't make a definitive statement about melatonin's addictive potential. I can only state my own experience and the experience of my patients who feel melatonin not to be physically addictive. Those who take it for its anti-aging effects use it regularly and aren't concerned with addiction. The majority of users who take it for improved sleep only do so when they really need it. A few mentioned that they liked the improved quality of sleep so much that they wanted to use melatonin often - almost like a weak psychological addiction. It is possible that for some insomniacs melatonin can be habit forming. Stuart, a regular user for four months, is one example: "I don't have a strong urge to take it but I can tell the difference in the quality of my sleep when I do use it. At night, when its getting close to bedtime I sometimes think to myself, you know, I really want to sleep well tonight. So, often I pop a pill."

Dennis, who is 52, writes: "I have been a vegetarian and mediator for twenty years. Anything I take routinely I make

a practice of not taking for one day a week, one week per month and one month per year. With melatonin I have noticed no withdrawal, no feeling of addiction and no noticeable effect other than natural restful sleep when I take it. Honestly, it feels like something my body is missing and should have, and welcomes it when I take it I feel no 'impact' like I do with pharmaceuticals. Great stuff."

For the past year and a half I've taken about 1-5 mg of melatonin most nights. With time, I discovered that a lower dose, such as 0.25 mg, was also effective. My sleep has been extremely deep and restful. I recently stopped taking it for a week and have noticed no withdrawal symptoms. My sleep is back to what it was before having started melatonin. When not taking melatonin, I normally sleep seven hours, waking up once or twice. When I take a melatonin supplement I sleep a little longer and rarely wake up. I personally find that there is a slight habit forming tendency, and, as Stuart reported above, it is tempting to use melatonin regularly since the sleep it provides is so soothing.

John, a 44-year-old computer technician from San Francisco, does not believe melatonin is addictive. "Not at all. The inverse. Once the body has enough, it seems to be able to use the built up stores. Others at the health food store have commented the same."

Some users find that they initially sleep an hour or two longer. After a few weeks, they sleep less but more efficiently.

TOLERANCE AND WITHDRAWAL SYMPTOM

No formal studies have been done in humans regarding tolerance levels. The use of most pharmaceutical sleeping medicines is known to lead to tolerance. Higher and higher doses are often needed. Tolerance to melatonin is infrequent. Less than a tenth of my patients or survey respondents felt the need to take higher doses. Jerry, a 67-year-old chiropractor from Miami, tells me: "I've been using 1.5 mg of melatonin regularly for seven months. It seems to be as effective now as the first few nights." Tolerance is even less frequent in those who do not use melatonin every

night, but take breaks once in a while.

Personally, I have not noticed any tolerance. In fact, it seems that I need less than I used to in the past.

Abruptly stopping prescription sleep medicines after chronic use can often result in sleep disturbances for a week or two. For some it takes longer. Data from my surveys suggest that withdrawal symptoms from the abrupt discontinuation of chronic melatonin use are rare. There is little or no insomnia the night following discontinuation, and any disturbances are corrected in a few days.

A few of my patients have noticed that they sleep just as well, or even better, the night following the use of melatonin. It seems that sometimes there is a slight carry-over effect.

Steve Dyer, 38, a software engineer from Cambridge, Mass., writes: "I find that melatonin is very effective at helping me get to sleep. Originally, a single lozenge was all that I needed, but I found that four lozenges worked better. I go to bed on time, and wake up on time, refreshed.

"I used 10 mg of sublingual melatonin, four 2.5 mg lozenges, for several months. Upon stopping it, I had no rebound insomnia at all." Ž

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The author of the high-acclaimed best-seller, Melatonin: Nature's Sleeping Pill? Dr. Sahelian is recognized as a foremost authority on the clinical aspects of melatonin use. He has been featured on national television programs such as "A Current Affair," heard on over a thousand radio stations, and quoted by countless major magazines and newspapers including Newsweek, Washington Post, Miami Herald, Modern Medicine, Health, Fitness, McCall's, and others.

This article is an adapted excerpt from Melatonin: Nature's Sleeping Pill (Be Happier Press, P. O. Box 12619hn. Marina del Rey, CA. 90295, \$13.95 plus \$3.50 S&H). The book is also available from health food stores nationwide, or by calling (800) 507-BOOK1