

# Don't overlook niacin for treating cholesterol problems

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If you can conquer or cope with the "niacin flush," this B vitamin can do wonders for cholesterol.

If a drug company wanted to create the ideal cholesterol-lowering medication, here's what it would make: a safe, inexpensive pill that lowered levels of LDL (bad) cholesterol and triglycerides, raised HDL (good) cholesterol, and changed the sizes of cholesterol particles to make them less harmful or more beneficial. Of the current cholesterol-lowering drugs on the market, niacin comes closest to this.

For many people with cholesterol problems, niacin is a good choice, either alone or with another drug. It is the oldest cholesterol-lowering drug, one of the most carefully studied, and it can cost just 25 cents a day. So why does it play second or third fiddle to the statins?

Blame it on flushing, an aggravating but manageable side effect; confusion over the different types of niacin now on the market (see *Comparing niacin types*); and the fact that it's sold both as an over-the-counter dietary supplement and as a drug. A prescription form of the drug, though more expensive than over-the-counter types, makes niacin easier to use and thus more appealing to a larger group of people who need help controlling cholesterol.

## Comparing niacin types

Type	Advantages	Disadvantages	Monthly cost*
Immediate-release (fast-acting, crystalline)	Used safely for 50 years, shown to prevent heart attacks and premature heart-related death	Causes flushing and may upset the stomach; amount of free niacin differs by brand	\$2 to \$34
Intermediate-release (Niaspan, by prescription only)	Once-a-day, bedtime dosing lets flushing occur during sleep; FDA approved	Hides and minimizes flushing but doesn't eliminate it	\$100
Sustained-release (timed, slow, and extended-release)	Less flushing than with immediate-release niacin	Increased risk of liver damage	\$4 to \$18
No-flush	As the name implies, no flushing	Delivers little free niacin; little or no evidence about safety; not effective	\$13 to \$31

\* For 2,000 mg per day. Information from *Annals of Internal Medicine* 2003; 139:996-1002

## **Vitamin and drug**

Niacin didn't start out as a cholesterol-lowering drug. Back in 1937, nutrition researchers discovered that two substances related to nicotine - nicotinic acid and nicotinamide - prevented pellagra. This was a common disease characterized by scaly skin sores, diarrhea, and sometimes mental confusion and delusions. Originally called the pellagra-preventing vitamins, nicotinic acid and nicotinamide are now known as vitamin B3. The term niacin (from *nicotinic acid vitamin*) was coined to avoid any confusion with nicotine from tobacco. Adults need about 12-18 milligrams (mg) a day.

Researchers discovered that at doses more than 100 times higher than that, nicotinic acid - but not nicotinamide - improves cholesterol levels. By 1956, doctors were using niacin as the first effective treatment for high cholesterol. Its action not only improves cholesterol levels but has been shown to prevent heart attacks and save lives.

Niacin is a good option for people with low HDL, as it can boost HDL levels better than other cholesterol-related drugs. It's good for people with high triglycerides and those with high levels of lipoprotein(a). And it may be particularly helpful for people with type 2 diabetes or metabolic syndrome (see *Harvard Heart Letter*, May 2002).

### **Side effects can be a problem**

The original niacin preparations used for lowering cholesterol were pure crystalline nicotinic acid. Because it enters the bloodstream quickly, it is called fast-acting or immediate-release niacin.

The quick spike in niacin triggers a "niacin flush" in almost everyone who isn't used to this drug. It's an uncomfortable feeling of heat, itching, tingling, or redness in the skin. Flushing happens mostly in the upper body, though it can occur on the legs. The sensation starts within a few minutes of taking niacin and subsides within an hour or so.

Less common side effects are gastrointestinal upset (such as queasiness, heartburn, or gas) and dizziness or lightheadedness, especially when rising from bed or a chair.

To minimize flushing and other side effects, several companies have developed extended-release formulations of niacin. Like timed-release cold capsules, these deliver a steady stream of niacin over several hours. By avoiding a surge in nicotinic acid in the bloodstream, these lessen - but don't eliminate - flushing. There's a downside, though. Because blood levels of niacin stay high all day long, the liver never gets a break from processing niacin, as it does with immediate-release niacin that quickly leaves the body. This can overwhelm the liver and has led to numerous cases of liver problems, including liver failure requiring a transplant.

An intermediate-release form that's available only by prescription seems to offer the best of both worlds. This drug, sold as Niaspan, delivers niacin slower than the original fast-acting types but faster than the extended-release versions. This, and the fact that it's taken once a day at bedtime,

helps minimize flushing, or at least the experience of it. Because it is washed out of the body in a few hours, it is easier on the liver than extended-release niacin.

Several brands of "no-flush" niacin are also on the market. These contain inositol hexanicotinate - six molecules of nicotinic acid linked to inositol, a simple sugar. In theory, inositol hexanicotinate is absorbed into the bloodstream and its niacin components are gradually released. In reality, it barely elevates niacin levels in the blood and barely changes cholesterol levels.

## **Tips for using niacin**

If you don't mind being patient and experimental, it's worth trying immediate-release niacin, especially if you have to pay for your medications yourself. Otherwise, Niaspan is a good, though expensive, alternative. We recommend staying away from no-flush niacin because it doesn't elevate niacin levels in the blood enough to have an effect on cholesterol.

*Start slowly.* When beginning immediate-release niacin therapy, take 100 mg right after dinner for a week. The next week, take 100 mg after breakfast and dinner. The following week add 100 mg after lunch. Each week after that, double the dose at one meal until you reach your daily target.

*Aspirin helps.* If you can take low-dose aspirin, or already do, take it each day about 30 minutes before your first dose of niacin.

*So does food.* Taking niacin with or soon after a meal helps prevent digestive irritation. If you plan on having something spicy, take your niacin later, with a less fiery snack.

*Experiment.* For some people, a hot shower just before or after taking niacin aggravates flushing. Others find that showering in this window can ease or cool the flush.

Niacin do's and don'ts

Here are seven tips for safely taking niacin:

*DO* start at a low dose and gradually work your way to your target.

*DO* have your liver function checked every so often, especially one month after starting and any time you increase the dose.

*DO* pay extra attention to your blood sugar if you have diabetes.

*DO* stop taking the drug if you have the flu or other illness that can tax the liver. Once you are well, start up again at a lower dose than you had been taking.

*DON'T* take any kind of high-dose niacin, even those sold over the counter as dietary

supplements, without talking with your doctor.

*DON'T* increase the dose you're taking unless your doctor okays it.

*DON'T* switch from immediate-release niacin to extended-release, or vice versa, without talking with your doctor. Such a switch requires changing the daily dose. Merely changing types can lead to hepatitis or liver failure.



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