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## ALL ABOUT SUPPLEMENTS

### Silibinin: The Herbal Extract that Protects the Liver

By Romy Fox

Since ancient times, the herb we call “milk thistle” has been used to treat liver and gall bladder disease, snake bites and menstrual problems. Scientifically known as *Silybum marianum*, milk thistle is a member of the same plant family as the artichoke and daisy. Milk thistle’s medicinal properties derive from an extract taken from its seeds. The “active ingredient” in milk thistle extract is silymarin.<sup>1</sup> Silymarin is not just a single substance: it’s a mixture of several flavonoids, including silydianin, silychristin and silibinin. Silibinin is the most biologically active member of the group, and is used alone in scientific studies.

Research suggests that silibinin detoxifies and protects the liver, guards against free radical damage, reduces inflammation and otherwise promotes good health.

#### Silibinin and the liver

Silibinin is perhaps best known as a guardian of the liver, protecting it against toxins and spurring the growth of new tissue to replace damaged areas. Protection from toxins is crucial, for biological poisons are continually brought to the liver for disposal. Weighing some four pounds and sitting in the right side of the upper abdomen, the liver has hundreds of other duties, including converting food particles into fats, carbohydrates and proteins and participating in the regulation and storage of glucose.

The liver is awash in blood, with two quarts rushing through it every minute. This blood contains a host of noxious substances, including bacteria, antigen-allergy complexes, free radicals, drug metabolites and pesticides. The liver filters some harmful substances right out of the blood, and attacks others with enzymes that break them apart or neutralize them.

Detoxifying harmful substances is a dangerous task, for if they are not quickly deactivated and properly routed, the liver itself could become damaged. Silibinin helps prevent this from happening in several ways:

#### Protects against harmful substances entering the liver

Silibinin guards against the liver damage caused by ethanol, carbon tetrachloride and poisonous mushrooms,<sup>2</sup> as well as chemotherapy drugs and other harmful substances. One of the ways silibinin protects the liver is by spurring production of a substance called glutathione, which detoxifies liver cells. Animal studies show that silibinin can increase glutathione levels by up to 35% in the liver, stomach and intestines.<sup>3</sup> In addition, the silychristin and silydianin in silymarin alter the outer membranes of liver cells, making it harder for toxins to enter the cells.<sup>4,5</sup>

#### Maintains bile flow

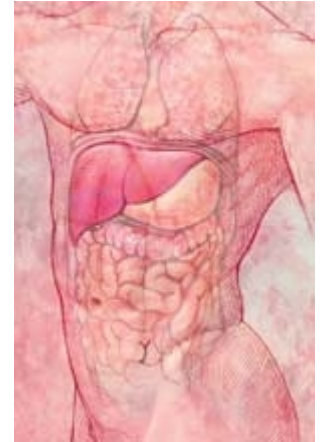
Cholestasis is an interruption or blockage of the flow of bile from the liver to the small intestines. Bile helps carry deactivated toxins out of the body via the feces. Bile blockages can lead to jaundice, with intense itching, fatty and pale stools, and other symptoms. Inflammatory substances called leukotrienes play a role in bringing on cholestasis, and silibinin helps guard against this by inhibiting their production.<sup>6</sup> Even when present in

#### Guards against damage caused by excessive alcohol and fibrosis

The liver contains fat-storing cells which, under certain conditions (such as alcoholism), can become inflamed, growing larger and turning into myofibroblasts. These cells increase the deposition of collagen and promote the replacement of normal liver tissue with fibrous connective tissue, causing the liver to malfunction. Silibinin interferes with the conversion of these fat cells into myofibroblasts and the laying down of harmful fibrous tissue.<sup>7</sup>

#### Reduces iron-induced damage

Excess iron in the body can increase free radical damage, especially in the liver. Acting as an antioxidant, silibinin helps protect against iron-induced damage to the liver tissue. It may also help “bind up” free iron in the blood, making it safe to excrete.<sup>8,9</sup>

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## **Regenerates liver tissue**

Silibinin encourages protein synthesis in liver cells, spurring the growth of new liver cells and allowing damaged liver tissue to regenerate.<sup>10</sup>

Weakening of the liver, with a resulting accumulation of poisons there and in the rest of the body, can lead to problems with the immune system and many different ailments. By helping to keep the liver strong and efficient, silibinin helps to keep the entire body healthy.

## **Silibinin reduces oxidation and quenches free radicals**

Silibinin fights the oxidation and free radical damage that can weaken and destroy cells throughout the body, eventually damaging tissues and organs. The silibinin in silymarin is a powerful free radical scavenger.<sup>8</sup> It also stimulates the activity of other antioxidants, such as superoxide dismutase and glutathione

## **Silibinin quells inflammation**

Various cells in the body produce nitric oxide and leukotrienes, two inflammatory substances that can cause severe damage to the liver and other tissues. Nitric oxide can also spur the creation of free radicals, which cause additional damage. Silibinin inhibits the formation of both nitric oxide and leukotrienes.<sup>12</sup> In high concentrations, silibinin can reduce leukotriene levels by some 70%, compared to control values. Through its anti-inflammatory properties, silibinin can help prevent tissue damage in the liver, kidneys and elsewhere in the body, as well as slow the formation of gallstones.

## **Silibinin guards against type II diabetes**

Although type II diabetes normally makes us think of the pancreas and its insulin-producing cells, the liver also plays an important role in regulating blood sugar. It converts glucose to glycogen for storage, and breaks down glycogen stores to make glucose available on demand. If the liver is significantly damaged by alcohol, excessive inflammation or any number of toxins, it will not be able to assist in regulating blood sugar, possibly resulting in type II diabetes or metabolic syndrome.

Several years ago, 60 very ill patients suffering from type II diabetes and alcohol-induced liver damage were enrolled in a study. Half were given 600 mg of silymarin every day for 12 months, the other half a placebo.<sup>13</sup> The results were impressive: silymarin drove down fasting blood glucose levels, as well as the amount of blood sugar in the urine. But it didn't push the blood glucose level down far enough to cause hypoglycemia (abnormally low blood sugar), suggesting that silymarin is "smart," stabilizing blood sugar at safe levels. Furthermore, a German cell-culture study suggests that silibinin slows or prevents the build up of fibronectin – a significant cause of organ damage – in the kidney cells of diabetics.<sup>14</sup>



## **Three-way fight against cancer**

Early findings suggest that silibinin may be useful in the battle against cancer in three different ways:

First, it may fight cancer directly. One laboratory study<sup>15</sup> showed that silibinin could stop the further development of prostate cancer cells. Silibinin didn't kill the cancer cells; it caused them to behave like normal prostate cells. This led to a large decrease in the secretion of PSA, an important marker of prostate cancer. Other researchers found that silibinin arrested the growth of drug-resistant and drug-sensitive breast and ovarian cancer cells.<sup>16</sup>

Second, silibinin may strengthen the effects of cancer drugs. In one study, silibinin worked synergistically with the chemotherapy agents cisplatin and doxorubicin.<sup>16</sup>

Finally, silibinin can help protect the kidneys from the harmful effects of cisplatin and perhaps similar anti-cancer drugs.<sup>17</sup>

## **Silibinin may lower cholesterol**

Back in 1977, laboratory research conducted by German scientists showed that silibinin could inhibit the production of cholesterol.<sup>18</sup> Newer studies have produced similar results, with one showing that taking silibinin lowers the amount of cholesterol in the liver and increases the amount of "good" HDL cholesterol. Silibinin also slows the oxidation, or transformation, of "bad" LDL cholesterol into an even more dangerous form.<sup>19-21</sup>

### How much is helpful?

Researchers have not yet identified the optimal dose of silibinin. It's felt that a supplement containing at least 250 mg per day of silibinin would be helpful for people with diabetes or Syndrome X, another disease in which disturbed glucose regulation harms the body. Doses of 150 to 300 mg per day are considered sufficient to increase the liver's production of the protective antioxidant glutathione, while 400 to 800 mg per day are needed in cases of outright liver disease.

You can purchase silibinin in vitamin stores and pharmacies. Taking silibinin is felt to be the optimal way of obtaining the benefits of silymarin, so you may want to consider an extract that contains 80% silibinin, rather than the 35% seen in many brands.

### Conclusion

The extract from the seeds of milk thistle contains silibinin, a substance with powerful liver-protection effects. In addition, silibinin can help reduce inflammation, diminish oxidation and free radical damage and enhance health in other ways. When used properly, silibinin has no known side effects, although caution should be exercised when using the herb extract in conjunction with certain medications, such as the butyrophenones or phenothiazines used as antipsychotics, and the phentolamine (Regitine®) used for elevated blood pressure. Silymarin can also interfere with the actions of the herb yohimbine.



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