

# MicroActive<sup>®</sup> Resveratrol Overview

A highly bioavailable sustained release matrix providing 30% Resveratrol concentration.

## Resveratrol (*Polygonum cuspidatum*)

Resveratrol, a polyphenol present in several plants including grapes and peanuts has been shown to have a number of physiological properties that could be useful in human medicine. Several in vitro studies have shown that Resveratrol may have cardioprotective, antioxidant, anti-inflammatory, neuroprotective and anti-aging properties.

Resveratrol has high oral absorption but undergoes rapid and extensive metabolism. This results in only trace amounts of unchanged Resveratrol in circulation, which has raised concerns regarding its systemic efficacy. After oral administration, the amount of free Resveratrol in plasma accounts for less than 2% of total Resveratrol. In some instances, it isn't even detected.

**MicroActive<sup>®</sup> Resveratrol improves on standard Resveratrol through the following:**

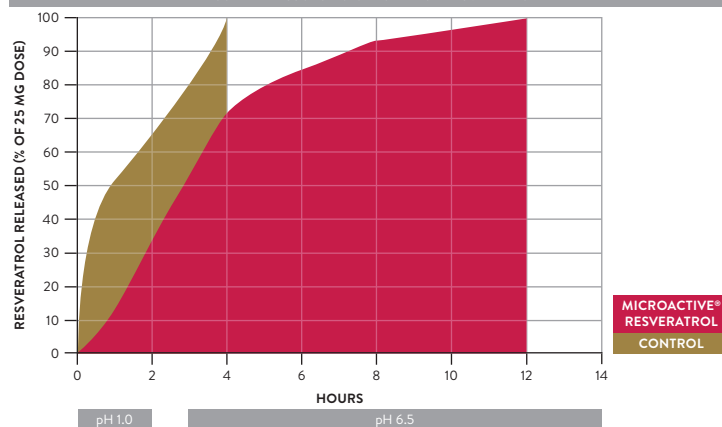
- Sustained release
- Increased systemic exposure
- High bioavailability

## Dissolution Studies

MicroActive<sup>®</sup> Resveratrol was compared to 99% Resveratrol powder in a dissolution study that approximated transport through both the gastric and intestinal environments. The study was performed using the USP method in a dissolution tester. Samples equivalent to 25 mg Resveratrol in hard gelatin capsules were introduced to 750 mL of 0.1N hydrochloric acid (similar to gastric fluid minus the enzymes). At the end of 2 hours, the pH of the medium was adjusted to 6.5 (similar to intestinal fluid minus the enzymes). Samples were withdrawn at known intervals and analyzed for Resveratrol content.

Compared to Resveratrol powder, MicroActive<sup>®</sup> Resveratrol dissolved slowly and demonstrated sustained release over a longer period. The Resveratrol powder showed complete dissolution (100%) in 4 hours (Figure 1). The MicroActive<sup>®</sup> formula showed sustained release over 12hrs, with 97% of the dose released.

FIGURE 1 - DISSOLUTION PROFILE OF RESVERATROL



## Human Data

In a pilot study, healthy subjects were administered MicroActive<sup>®</sup> Resveratrol capsules after breakfast and blood samples were drawn at 1, 2, 4, 7, 9, and 24 hours post-dose. After a one-week washout period the same process was repeated with 98% Resveratrol powder. Plasma total Resveratrol (Resveratrol conjugates and free Resveratrol) and free Resveratrol were determined.

Resveratrol powder showed a rapid absorption with a sharp peak at  $T_{max}$  of 2 hours post-dose. After 2 hours, the plasma levels of total Resveratrol declined rapidly with a small increase at 9 hours. MicroActive<sup>®</sup> Resveratrol also showed a  $T_{max}$  at 2 hours and lower  $C_{max}$  (max. concentration at  $T_{max}$ ) with a broader peak and higher plasma levels compared to the control. Sustained release continued for >9 hours (Figure 2). This implies that while 98% Resveratrol powder is rapidly absorbed and excreted, MicroActive<sup>®</sup> Resveratrol continues to remain in circulation for a long time.

FIGURE 2 - TOTAL RESVERATROL PROFILE IN VIVO

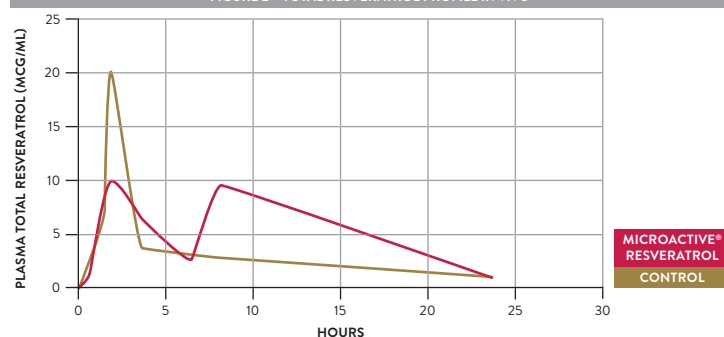
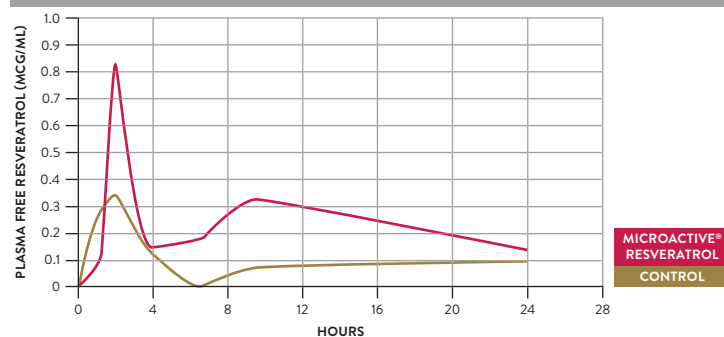


FIGURE 3 - FREE RESVERATROL PROFILE IN VIVO



## MicroActive<sup>®</sup> Resveratrol Product Specifications:

- 30% Resveratrol concentration
- Resveratrol in a sustained-release matrix
- Off-white granular powder
- Storage between 4–10°C, protected from light, heat, and moisture
- Shelf life of 4 years
- Suitable for capsules, powders, tablets, liquids (water dispersible), softgel capsules