Resveratrol is a naturally occurring anticancer compound present in grapes and wine that undergoes pronounced metabolism in human intestine and liver. In order to determine whether resveratrol is also biotransformed in human breast carcinoma, metabolism experiments were conducted in breast tumor and adjacent non-tumorous specimens from 13 patients. Resveratrol was metabolized in cytosolic tissue fractions to resveratrol-3-O-sulfate: the formation rates were up to 33.5-fold higher in cancer samples than in peritumoral tissue.

Further quantitative real-time RT-PCR analysis revealed similar expression of SULT1A2, 1A3, and 1E1 in the paired control and tumor tissues. SULT1A1 expression was below the detection limit in all samples. Interestingly, mRNA expression of steroid sulfatase STS, but not of arylsulfatases ARS-A and ARS-B, was significantly higher (p < 0.0017) in non-malignant specimens than in tumor tissue samples, which might explain the higher resveratrol-3-O-sulfate concentrations in breast cancer specimens. In conclusion, our data elucidate the metabolism of resveratrol in malignant and non-malignant breast tissue, which must be considered in humans after oral uptake of dietary resveratrol as a chemopreventive agent.