Ginsenosides are representative pharmaceutical compounds found in various forms in Panax ginseng, a traditional medicinal plant. There have been many reports describing the biological activities, including anti-inflammatory, anti-tumor, and anti-dementia effects, of several ginsenosides. The biological actions of these ginsenosides have been closely related to their biotransformations by intestinal microbiome. They are converted to their metabolites Rg2, Rg3, compound K, and others by human intestinal microflora following ingestion. The main functional component detected in mammalian blood or organs after oral administration of ginseng or ginsenosides is compound K. Compound K has been reported to exhibit diverse biological functions, including antitumor, antidiabetic, antiallergic, and anti-inflammatory effects in vitro and in vivo. Recently, antiaging effects of ginsenosides in human skin have been reported from clinical trial and in vitro model data. Ginsenosides have hence been proposed as promising natural cosmeceutical agents. We reviewed the biotransformation and delivery of compound K. Also biological effects of ginsenosides, especially compound K, on skin health and its potential use as cosmeceutical agents was studied.