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クルクミンの活性は、 最初に分散・溶解させる溶媒によって変化する

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Activity of curcumin depends on initial dispersion solvent

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Abstract

Curcumin (Cur) is the main component of turmeric. Cur performs various physiological actions; however, it is poorly soluble in water. Because Cur is barely absorbed by the body, its activity is minimal. We have developed an amorphous formulation of Cur that displays improved water solubility and bioavailability. In this study, we analyzed cancer cell cytotoxicity of amorphous Cur and the conventional formulation (unformulated powders of Cur and commercial formulation of Cur) dispersed in water, to compare the functional expression of Cur. In the cytotoxicity assay against HepG2 cancer cells, amorphous Cur exhibited high cytotoxicity, while the unformulated and commercial Cur exhibited almost no cytotoxicity. These findings contradicted previous reports describing the cancer cell cytotoxicity of commercial Cur. This variation may be due to poorly water-soluble reagents, such as inhibitors, being dissolved in dimethyl sulfoxide (DMSO) prior to dilution with the medium. Thus, we hypothesized that the functional expression of Cur depended on the solvent used for initial dispersion. We evaluated the dispersibility of each Cur formulation in water or DMSO. Visual and microscopic observations revealed that only the amorphous Cur was water-soluble. This likely reflects the presence of crystal particles in unformulated and commercial Cur, which restricted their solubility in water. Conversely, the Cur formulation completely dissolved when dispersed in DMSO. To evaluate the cytotoxicity of each Cur formulation in water or DMSO, HepG2 cancer cells were treated with each formulation. In case of the Cur formulation dissolved in water, only the amorphous Cur showed cytotoxicity; in contrast, all Cur formulations dispersed in DMSO showed cytotoxicity. The collective data demonstrate that the cytotoxicity of Cur against HepG2 cancer cells depends on the solvent used for the initial dispersion. These findings suggest the importance of designing an experimental method according to the purpose of the research.

Keywords: クルクミン、溶媒、分散性、生理活性

curcumin, solvent, dispersibility, physiological activity