Pharmacokinetics of Natural Products: The Missing Puzzle Piece in the Efficacy of Phytotherapeutics?

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Abstract

In recent years the number of studies investigating the pharmacodynamic effects of botanicals has increased exponentially, often reporting pharmacological effects of botanical extracts with insignificant bioactivities obtained in irrelevant in vitro bioassays. The data interpretation from these in vitro assays for their efficacy in animals and humans is based on the assumption that a sufficient concentration of active constituents can reach the target sites of action in the body. This interpretation can be misleading since the pharmacokinetic properties of a compound are completely ignored. Although important, there is still limited information available regarding herbal pharmacokinetics. This might be due to the following reasons: (i) the active constituents are not known; (ii) the study of herbal pharmacokinetics is extraordinarily complex because extracts are multicomponent mixtures which contain several chemical constituents. Therefore concentrations of single compounds in the final product are in the lower mg range per dose. (iii) The resulting plasma concentrations are often in the μg to pg per liter range. As a consequence analytical methods determining bioavailability and pharmacokinetics of natural compounds have to be sufficiently sensitive. Advanced techniques such as GC-MS/MS or HPLC-MS/MS can be used nowadays to accomplish these goals. A better understanding of the pharmacokinetics and bioavailability of natural compounds can help in designing rational dosage regimen; and it can further help to link data from pharmacological assays with clinical effects. In this presentation, pharmacokinetic studies will be discussed that have been conducted for some of the top-selling botanicals worldwide, including artichoke, mangosteen and valerian.

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