

Relationship of Intestinal Bacterial Biotransformation and Active Components of Traditional Chinese Medicine

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Editorial

Traditional Chinese Medicine (TCM) has a long history in China. Its effect on many diseases has been recognized and has made great contribution to human health. As the further exploration of people for microorganism and development of medical microbiology in the 21st century, the effect of microbes for TCM has attracted people's attention, especially the biotransformation by microorganisms in gut.

The effective components of TCM are the basis of treating many diseases. After taking traditional Chinese medicine, the action of drug is attenuated or enhanced with the biotransformation by microorganisms in gut. Therefore, the effective components of TCM have a close relationship with the biotransformation. One unignorable way to explain the mechanism of Chinese materia medica is to research the relation between the biotransformation of active constituents from Chinese materia medica by microorganisms and microeubiosis in gut.

The chemical composition of Chinese medicine is not equivalent to the active components; a newly formed substance is an active component of medicine after biotransformation. There are many ways that chemical components form into effective component after biotransformation, including oxidation, reduction and hydrolysis, and the most common reaction of which is hydrolysis. Some active compounds play roles as parent compounds, and others play roles as metabolites. Anthraquinones in Rhubarb Radix could cause diarrhea after the effect of intestinal bacteria. For example, Aloe-emodin, a glucoside owning an anthrone skeleton isolated from aloe has no activity itself, but it can be metabolized to aloe rhein by microorganisms in gut and this compound has purgative activity [1]. Dreessen et al. [2] found that intravenous injection of sennoside to mice wouldn't cause diarrhea but oral administration has this activity. Further studies had shown that a strong effect of diarrhea was caused by its metabolite rhein anthrone. Those results illustrated that intestinal bacteria may play a more important role between the two methods [2].

Trinh et al. found that baicalin and wogonoside were transformed into baicalein and wogonin respectively *in vitro*, and the action of the latter was stronger than the former [3]. A metabolic research of ginsenoside (Rg1) by microorganisms in gut revealed that Rg1 and its metabolite both have immunomodulatory activity. Furthermore, the metabolite also shows anticancer activity [4]. Glycyrrhizin is difficult to be absorbed, however, but its metabolite can be absorbed well. These examples illustrate that the biotransformation is vital for understanding action mechanism and approach of drugs. Biotransformation plays an important role when active components of TCM treating diseases and it's also a worth thinking way for development of new drugs and design of prodrugs.

In addition, effect of drugs could be attenuated or toxicity be reduced by microorganisms in gut. The toad cake mainly contains cinobufagin which could be metabolized by intestinal bacteria. Cinobufagin and cinobufotalin were incubated with crude enzymes of human intestinal bacteria *in vitro* to study the metabolism of cinobufagin and cinobufotalin. The results showed the cinobufagin and cinobufotalin converted into deacetylcinobufagin and deacetylcinobufotalin,

respectively. Compared with the parent compounds, metabolites almost lost its biological activity of inhibiting tumor cell's growth [5].

There are many complex bacteria and a variety of enzymes in gut, the influence of TCM metabolism can't be ignored. Biotransformation could affect the absorption of the active component, enhance efficacy, and also reduce toxicity or activity, which is helpful for drug's design, pharmacokinetic studies, and the possibility for the discovery of new pharmacological active substances. Study on the biotransformation by microorganisms in gut is beneficial for discovering the action pathway of TCM, further to explain the action mechanism, and promoting the process of modernization of Chinese medicine. However, the biotransformation of intestinal bacteria is influenced by a lot of factors, such as pH, species variation of intestinal bacteria; some results are likely to be different. So the establishment of conversion model of intestinal bacteria is a long-term process, and needs to continue to explore.

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