Formulation and anti-neurotoxic activity of baicalein-incorporating neutral nanoliposome

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ABSTRACT

Despite extensive studies of the effects of herbal-derived small molecules in the biopharmaceutical and biomedical sciences, their low solubility and stability remain a challenge. Here we focus on baicalin, a small molecule showing potential against neurodegenerative diseases such as Parkinson’s and Alzheimer’s. However, therapeutic usage in vivo is challenged by low solubility and stability. To address this we have applied neutrally-charged nanoliposome (NLP) as carrier for baicalin. Baicalin was incorporated into NLP to form NLP-Ba at molar baicalin/lipid ratio of up to 1:3, giving a drug entrapment efficiency of 96.71%, slow release of approximately 22% after a week and increased baicalin stability up to 27%. Ascorbic acid increased baicalin’s stability further, particularly when incorporated in NLP where baicalin stability intensified by 53% in NLP-Ba. Moreover, NLP-Ba did not show significant cytotoxic effects against neurons; rather, showed considerable protective effect against reactive oxygen species. In addition, NLP promoted internalization of baicalin into cells, showing good biocompatibility. We conclude that NLP-Ba can enhance baicalin’s therapeutic potential in neurodegenerative diseases.

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1. Introduction

Numerous small molecules with therapeutic promise are poorly soluble in water and unstable under physiological conditions, which is a challenge for their transport and efficacy in biological systems. Low solubility may be compensated by larger doses and higher frequency of consumption, but this may impact on non-target tissues. Chemical modifications which improve solubility often have undesired side effects [1]. Moreover, rapid drug metabolism and excretion may reduce the circulation time and therapeutic levels of the drug. An attractive solution is to identify and optimize suitable nanocarriers with dual hydrophilic and hydrophobic properties that can improve the efficiency of drug delivery.