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Formulation and Characterization of the Improved Solubility, In Vivo Bioavailability and Antioxidant Activity of Apigenin-Phospholipid Complex (APLC)

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Abstract

In the present study a phospholipid based complex of apigenin (APLC) was prepared with a goal of improving its aqueous solubility, dissolution, in vivobioavailability, and antioxidant activity.

Disciplines

Pharmacy and Pharmaceutical Sciences

Comments

Poster presented at the American Association of Pharmaceutical Scientists (AAPS) Annual Meeting and Exposition in Denver, Colorado, November 2016.

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Formulation and Characterization of the Improved Solubility, *In Vivo* Bioavailability and Antioxidant Activity of Apigenin-Phospholipid Complex (APLC)

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Purpose:

In the present study a phospholipid based complex of apigenin (APLC) was prepared with a goal of improving its aqueous solubility, dissolution, *in vivo* bioavailability, and antioxidant activity.

Methods:

Formulation

- Apigenin : Phospholipid complex

Full Factorial Design (3²)

- Design variables
 - Apigenin : Phospholipid ratio
 - Reaction temperature
- Response variable
 - Extent of complex formation (% Yield)

Physical-chemical characterization

- Particle size analysis and zeta potential
- Thermal analysis (DSC)
- Fourier transform infrared spectroscopy (FTIR)
- Proton nuclear magnetic resonance (¹H-NMR)
- Powder x-ray diffractometry (PXRD)
- Solubility analysis

Functional characterization

- In vitro dissolution
- In vivo antioxidant activity
- Pharmacokinetic analysis

Results:

Figure 1. The response surface plot and contour plots of entrapment efficiency (Y, %) as a function of the ratio of apigenin and Phospholipon® 90H (X₁, w:w), and the reaction temperature (X₂, °C).

Table 1. Solubility analysis of pure apigenin, the physical mixture (1:1) of apigenin and Phospholipon® 90H (PM), and apigenin- Phospholipon® 90H complex (APLC).

Sample	Aqueous solubility (µg/mL)*	n-octanol solubility (µg/mL)*
Apigenin	0.62 ± 0.88	603.02 ± 0.72
PM	6.13 ± 1.13	634.77 ± 1.25
APLC	22.80 ± 1.40	680.24 ± 1.21

*Data expressed as mean ± Std. Dev.; n = 3

Figure 2. The in-vitro dissolution profiles of apigenin release from apigenin suspension, and APLC. Values are mean ± Std. Dev. (n = 3). **p* < 0.05, ***p* < 0.01, ****p* < 0.001 (significant with respect to pure apigenin).

Results:

Figure 3. Influence of pure apigenin and APLC on rat liver antioxidant marker enzymes, i.e. glutathione reductase (GSH) (nmoles/mg of protein), superoxide dismutase (SOD) (units/mg protein), catalase (CAT) (units/mg protein), and lipid peroxidase (LPO) (nmoles of MDA released /g tissue). Values are Mean ± Std. Error of Mean (n = 6). **p* < 0.05, ***p* < 0.01 (significant with respect to control: CCl₄-only treated groups).

Figure 4. Mean plasma concentration-time profile after oral administration of pure apigenin (100 mg/kg, p.o.) or APLC (~100 mg/kg apigenin, p.o.). Values are mean ± Std. Dev. (n = 6). **p* < 0.05; ***p* < 0.01, and ****p* < 0.001 (significant wrt pure apigenin treated group).

Conclusions

- The prepared APLC demonstrated superior aqueous solubility, bioavailability, and antioxidant properties when compared to apigenin alone.
- A promising strategy for improved delivery of drugs with poor aqueous solubility.