Technology Opportunity

New oral formulations of hydrophobic actives, such as curcumin

Successful optimization of oral formulations of hydrophobic actives still remain challenging in the pharmaceutical field but also more recently in the area of functional foods, nutraceuticals, and food supplement sectors. Instability in the gastrointestinal (GI) tract, poor dissolution rate and low permeability throughout the gastro-intestinal barrier are the main reasons leading to their poor biological efficiency.

If an increase in dissolution rate of hydrophobic drugs can be enhanced by the incorporation of surfactants or compounds with interfacial agents able to molecular disperse them, this approach raises safety issues regarding the maintenance of the intestinal barrier integrity amongst other.

According to our invention, we have optimized a formulation procedure which allows to physically entrap hydrophobic actives, such as curcumin, up to at least 30 to 50 % loading (Figure 1). The one-step formulation procedure is using only food or pharmaceutical grade excipients. In spite of the high drug loading, the procedure and the composition prevent any crystallization of the active in the final formulation. Dissolution rate of curcumin, a practically insoluble compound in water, can be readily redispersed in an aqueous medium to a achieve concentration range of interest to promote its pharmacological activity on human being (Figures 2 and 3).

Features

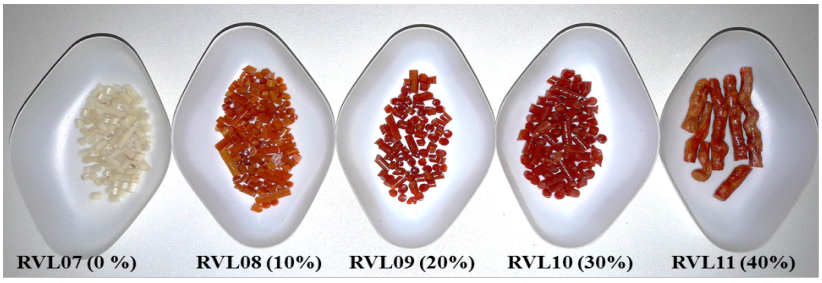

Figure 1. Macroscopic aspect of curcumin formulations with a drug loading ranging from 0 to 40 wt %

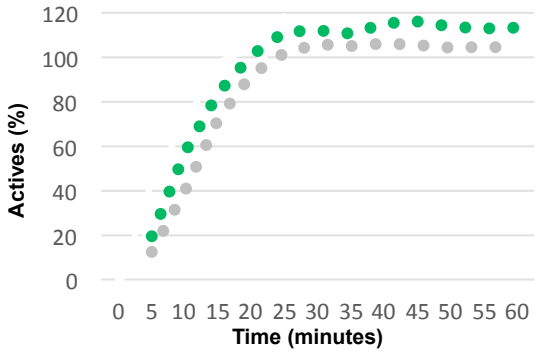

Figure 2. *Gastric dissolution profile of formulation containing 10% of curcumin (grey curve) and 30% of cinnamon extract (green curve)*

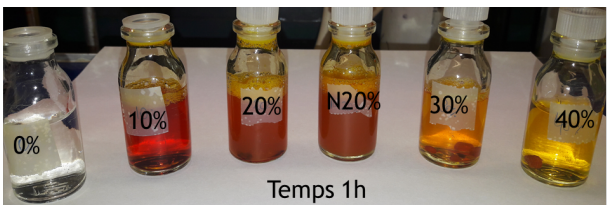

Figure 3. Macroscopic aspect of the solutions obtained 1 h after redispersion of curcumin formulations in a phosphate buffer saline (pH 7.4) at 37°C.

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Advantages

The processing method is easy to scale-up. Today it is applied in the food and medical device area ‘s and also more recently in the pharmaceutical domain.

Compared to other classical blending / mixing technologies our technology allow to release in a very short duration (some minutes) a thermomechanical energy facilitating the molecular dispersion of the active within thermoplastic polymer(s). The process can be applied on a large variety of organic compounds.

Any possible demixing between active(s) and polymer(s) is prevented by a rapid solidification which prevent phase separation.

The formulation composition needs to be optimized in view to avoid any thermal degradation, to promote active – polymer mixing and to satisfy to the regulatory requirements, in particular in terms of material selection.
The selection of the polymer and any other possible additive(s) is also crucial to promote the rapid dissolution of the formulation in an aqueous medium.

Potential Applications

The optimized process allows to produce on a reproducible way, at an industrial scale and at a very low cost a wide variety of formulations which could contain actives of interest for the pharmaceutical field but also more in the area of functional foods, nutraceuticals, and food supplement sectors.
Compared to actual curucumine formulations available on the market, our technology does not incorporate surfactants which could raise safety concern. Higher curcumin loading and water redispersability should promote its pharmacological activity, amongst other for antiinflammatory purpose.

Opportunities

HEPL and University of Liège are looking for companies interested in research collaboration and/ or license agreement.

Patent Status

E-depot 2017

Research Teams

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ITF file n° 2018-??

Titre de la fiche

Technology Opportunity

- ❑ I am interested in learning more about the general aspects of this technology. Please contact me.

The best time to reach me is: _____

- ❑ I would like an electronic version of this technology.
- ❑ I would like to learn more about the specifics of this technology and would be wiling to complete a Confidential Disclosure Form in order to discuss it further.
- ❑ I am not interested in this technology because: _____

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- ❑ I am not interested in this technology but would be interested in learning more about (please specify): _____

_____

Name: _____

Title: _____

Company: _____

Address: _____

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Phone: _____ Fax: _____

E-mail: ___ _____

Please send it back to Gesval

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