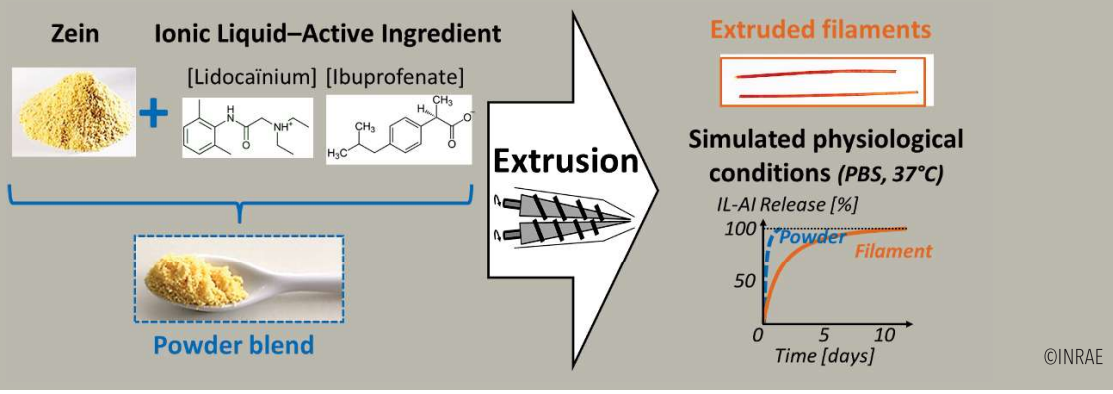

An extrudate to deliver a dual-active pharmaceutical ionic liquid

Read more

Chaunier L *et al.*

A drug delivery system obtained by hot-melt processing of zein plasticized by a pharmaceutically active ionic liquid.

Journal of Materials Chemistry-B . 2020 - https://doi.org/10.1039/D0TB00326C

Partnerships

This study was conducted in partnership with Lydie Viau from the UTINAM Institute (CNRS 6213 in Besançon) and Eric Leroy of GEPEA–Environmental Engineering (CNRS 6144 in Saint-Nazaire).

Contact

Laurent Chaunier
UR BIA
laurent.chaunier@inrae.fr

Context

Biopolymers are gaining increasing attraction as an avenue for developing absorbable oral matrices for pharmaceuticals. Zein, the main storage protein in corn, has huge potential, particularly because it is hot-melt-processable and can interact with therapeutically-active compounds. In parallel, dual active pharmaceutical ingredient–ionic liquids (API-IL) hold huge promise for future drugs, as they can be easily dosed and processed to prepare stable pharmaceuticals that can quickly cross through cell walls. Including an API-IL in a biopolymer matrix, such as plasticized zein, not only facilitates shaping into form but also helps ensure targeted delivery and controlled release. The [Lidocaine][Ibuprofenate] API-IL–called LidIbu–even has a triple action: (i) a plasticizing effect on biopolymers, and dual-active therapeutic roles, with (ii) the cation, Lidocaine, as local anaesthetic and (iii) the anion, Ibuprofenate, as an anti-inflammatory drug.
The purpose of this study was to engineer a resorbable material from zein and assess its ability to release LidIbu as a dual API-IL.

Results

Intensive characterization of thermomechanical properties demonstrated that LidIbu makes an effective plasticizer. We then managed to obtain calibrated filaments that remain rigid at ambient temperature by extruding the zein + 20 % LidIbu blend at 130 °C.
The integrity of the pharmaceutically active ingredient was verified by thermogravimetric analysis together with in-depth structural characterization by NMR and X-ray scattering analysis. API-IL release was time–coursed under simulated physiological conditions and assayed by UV-spectroscopy. Under these conditions, it tool one week in immersion to release 85 % of the initial amount of LidIbu. This result is explained by a high affinity between the zein matrix and the pharmaceutically active ingredient, as evidenced by solid-state NMR. This tight affinity is promoted by the extrusion process and delivers a slow progressive release of this type of pharmaceutically active ingredient. Our study confirms that this new drug delivery-system material is ideally geared to target applications in the pharmaceutical or biomedical science.

Future outlook

Moving forward, future research will focus on engineering 3D-printable dosage forms to support targeted personalized drug delivery.