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Perspective

Polymeric Matrix Formulations for Drug Release of Valsartan

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DESCRIPTION

Modifying existing pharmaceutical forms is a common strategy in the pharmaceutical industry to optimize the performance of drugs. In this work, a blend of sericin and alginate was proposed as a polymeric matrix for the controlled release of valsartan, aiming to prolong its release and maintain a more consistent concentration in the body, thereby reducing the likelihood of side effects. A full-factorial design was used to evaluate the involved variables and optimize the formulation process. The results indicated that formulations with lower drug content had less satisfactory incorporation efficiency, but these formulations exhibited longer in vitro release profiles.

Characterization techniques confirmed the successful incorporation of valsartan into the matrix and thermal stability analysis showed an increase in the drug's stability after incorporation. Accelerated stability tests demonstrated that the pharmaceutical form remained stable over a six-month period. Modifying drug release has become a prominent approach for the pharmaceutical industry, offering advantages such as reduced side effects due to more consistent drug concentration levels in the bloodstream. By changing the pharmaceutical form, it is possible to control where the drug dissolves, how long it stays in the body and improve overall comfort for the patient.

Natural materials like proteins and polysaccharides are increasingly being used for this purpose, as they have reactive groups that facilitate the incorporation of bioactive molecules. Sericin, a natural polymer extracted from the cocoon of silkworms, is one such material that has gained attention. Sericin is resistant to oxidation and exhibits antibacterial properties. Its use in modified release forms has been shown to improve the incorporation efficiency of several drugs. However, sericin alone lacks sufficient structural strength, which can be improved by combining it with other polysaccharides like alginate. Alginate, a material derived from brown algae, is known for its gelling properties when it comes into contact with multivalent cations, like calcium ions, forming a stable "egg-box" structure.

The combination of sericin and alginate has already been successfully used in the encapsulation of various drugs, including anti-inflammatory agents and painkillers. Similarly, valsartan, a drug used to treat hypertension and heart failure, was identified as a good candidate for this modified release approach. Valsartan has a relatively short half-life of about 6 hours and its side effects, including dizziness, headaches and low blood pressure, can be minimized by modifying its release profile. Therefore, creating a formulation that prolongs valsartan's release could improve its efficacy and patient compliance.

A variety of methods have been published for modifying valsartan's pharmaceutical form, such as microcapsules, particles formed with different polysaccharides and microspheres using various polymers. However, a prolonged release version of valsartan is not yet commercially available, with only a few patents in progress aiming to develop extended-release formulations.

This work focuses on incorporating valsartan into a sericin or alginate matrix to prolong its release compared to conventional forms. A factorial experimental design was used to optimize the formulations. After preparation, the formulations were analyzed using optical microscopy, scanning electron microscopy, Fourier transform infrared spectroscopy and thermogravimetric analysis. The most effective formulation, which demonstrated the best results in terms of valsartan incorporation efficiency, drug loading and in vitro release, was further characterized using X-ray diffraction, differential scanning calorimetry and hot-stage microscopy.

The selected formulation was subjected to an accelerated stability test for six months under controlled temperature and humidity conditions. This testing assessed the safety, quality and effectiveness of the pharmaceutical form over time. The results confirmed that the formulation maintained its stability throughout the duration of the test, highlighting its potential as a reliable and viable modified release system for valsartan, ensuring both the drug's performance and its suitability for long-term use.

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CONCLUSION

In conclusion, this work evaluated the use of a sericin or alginate matrix to modify the release profile of valsartan. By optimizing the formulation and incorporating the drug into a natural polymeric matrix, the goal was to improve the drug's stability, prolong its release and reduce side effects. The favorable results from the formulation optimization and stability tests suggest that this approach could be an effective strategy for enhancing valsartan's therapeutic performance and improving patient outcomes.