

Helping the anti-parasitic medicine go down

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Scientists have developed a new way to deliver anti-parasitic medicines more efficiently.

An international team, led by Professor Francisco Goycoolea from the University of Leeds and Dr. Claudio Salomon from the Universidad Nacional de Rosario, Argentina, and in collaboration with colleagues at the University of Münster, Germany, have developed a novel pharmaceutical formulation to administer triclabendazole—an anti-parasitic drug used to treat a type of flatworm infection—in billions of tiny capsules.

The World Health Organisation estimates that 2.4 million people are infected with fascioliasis, the disease caused by flatworms and treated with triclabendazole.

Anti-parasitic drugs do not become effective until they dissolve and are absorbed. Traditionally, these medicines are highly insoluble and this limits their therapeutic effect.

In a bid to overcome this limitation and accomplish the new formulation, the team used "soft" nanotechnology and [nanomedicine](#) approaches, which utilises the self-assembly properties of organic nanostructures and uses techniques in which components, such as polymers and surfactants in solution, play key roles.

Their formulation produces capsules that are less than one micron in size—the diameter of a human hair is roughly 75 microns. These tiny

capsules are loaded with triclabendazole and then bundled together to deliver the required dose.

The team used chitosan, a naturally-occurring sugar polymer found in the exoskeleton of shellfish and the cell walls of certain fungi, to coat the oil-core of capsules and bind the drug together, while stabilising the [capsule](#) and helping to preserve it.

In its nanocapsule form, the drug would be 100 times more soluble than its current tablet form.

Professor Goycoolea, from the School of Food Science and Nutrition at Leeds, said: "Solubility is critical challenge for effective anti-parasite medicine. We looked to tackle this problem at the particle level. Triclabendazole taken as a dose made up of billions of tiny capsules would mean the medicine would be more efficiently and quickly absorbed

"Through the use of nanocapsules and nanoemulsions, drug efficiency can be enhanced and new solutions can be considered for the best ways to target medicine delivery."

Dr. Salomon said: "To date, this is the first report on triclabendazole nanoencapsulation and we believe this type of formulation could be applied to other anti-parasitic drugs as well. But more research is needed to ensure this new pharmaceutical formulation of the [drug](#) does not diminish the anti-parasitic effect. Our ongoing research is working to answer this very question."

Although there have been cases of fascioliasis in more than 70 countries worldwide, with increasing reports from Europe and the Americas, it is considered a neglected disease, as it does not receive much attention and often goes untreated.

Symptoms of the disease when it reaches the chronic phase include intermittent pain, jaundice and anaemia. Patients can also experience hardening of the liver in the case of long-term inflammation.

Because of the highly insoluble nature of anti-parasitic drugs, they need to be administered in very high dosages to ensure enough of the active ingredient is absorbed. This is particularly problematic when treating children for parasites. Tablets need to be divided into smaller pieces to adjust the dosage and make swallowing easier, but this can cause side effects due to incorrect dosage.

The team's technique to formulate triclabendazole into nanocapsules, published today in the journal *PLOS ONE*, would also allow for lower doses to be administered.

The paper, "Chitosan-based nanodelivery systems applied to the development of novel triclabendazole formulations", is published in *PLOS ONE* 12 December 2018.

More information: Daniel Real et al. Chitosan-based nanodelivery systems applied to the development of novel triclabendazole formulations, *PLOS ONE* (2018). [DOI: 10.1371/journal.pone.0207625](https://doi.org/10.1371/journal.pone.0207625)

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