Physicochemical Characterization of Orally-Active Meglumine Antimoniate/Beta-Cyclodextrin Nanoassemblies: Non-Inclusion Interactions and Sustained Drug Release Properties

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b-cyclodextrin (b-CD) is widely used as a component of pharmaceutical formulations, classically to improve the solubility and oral bioavailability of poorly water-soluble drugs through formation of drug/b-CD inclusion complexes. Unexpectedly, the association of the highly water-soluble drug meglumine antimoniate (MA) with b-CD turned this antimonial compound orally-active in a murine model of leishmaniasis. To get insight into the

mechanisms responsible for the enhanced oral efficacy of MA, the MA/b-CD composition was characterized physicochemically, using thermogravimetry, circular dichroism, mass spectrometry (ESI-MS), osmometry and photon correlation spectroscopy. The freeze-dried MA/b-CD was found to form nanoassemblies in water, as a result of multiple non-inclusion interactions between MA and b-CD, which behave as a sustained release system of the MA drug.

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