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Use of a novel calix [4] resorcinarene for drug solubilization

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Calix [4] resorcinarenes (CXRs) are cyclic oligomers commonly used as starting materials or building blocks in the design of supramolecular systems but a recent review has detailed their potential for drug delivery. They have well-defined upper and lower rims and possess a central hydrophobic cavity for host guest interaction with organic molecules. CXRs enhance the solubility of hydrophobic drugs via hydrophobic interaction. However, studies have suggested that the defined interior of the calixarene structure is only suitable to solubilize drugs of certain architecture. This work focused on the solubilization potential of a sulfonated CXR using propofol as a model hydrophobic drug. Propofol is a commonly used short acting anesthetic agent currently formulated as oil in water emulsion due to its poor aqueous solubility. This viscous solution often proves painful to patients resulting in the need for pain relief. In this study a sulfonated CXR derived from octanal was fabricated and characterized by 1H NMR and FTIR. The CXRs formed were 92 nm at low concentration (0.01mgmL-1), however at increased concentration (5mgmL-1) the size increased to 363 nm. The drug loading studies showed an increase in propofol solubilization up to 9 mgmL-1, which, when combined with the particle size measurements suggests that supra-molecular species are formed in which drug molecules are surrounded by the CXRs rather than an individual drug molecule being hosted within the hydrophobic cavity of the CXR. This study highlights the potential of sulfonated CXRs as drug solubilizing agents.

Biography

Anthony D M Curtis completed his PhD from Manchester University and Post-doctoral studies from Boston College, Massachussetts. He joined Keele University in 1993 and is currently Senior Lecturer in Organic and Medicinal Chemistry in the School of Pharmacy. He carries out his research into synthetic chemistry and drug discovery and delivery as part of Keele Nanopharmaceutics Research Group. He is a Fellow of the Royal Society of Chemistry and member of the American Chemical Society and the United Kingdom and Ireland Controlled Release Society.

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