Archives of Pharmacy Practice
Abstract Conference Proceedings
2nd Asia Pacific Pharmacy Education (PharmED) Workshop
ISSN 2045-080X Vol. 3, Issue 1, 2012

AP-001

A NOVEL PACLITAXEL-LOADED AMPHIPHILIC AMINOCALIXARENE NANOPARTICLE DELIVERY SYSTEM FOR ANTICANCER CHEMOTHERAPY

Lee Yong Lim, Clare Weeden and Karel J Hartlieb
Laboratory for Drug Delivery, Pharmacy, University of Western Australia, 35 Stirling Hwy, Crawley, 6009, Australia
E-mail: lee.lim@uwa.edu.au

ABSTRACT

The calixarenes are a class of macrocycles comprising phenol units bridged by methylene linkers, and they can be readily functionalized at both the upper and lower rims to impart desired properties, e.g. water solubility. Calixarenes with the appropriate functional groups can spontaneously self-assemble into stable nanostructures in aqueous media. This paper describes the development and optimization of a nanoparticle delivery platform for the anticancer agent, paclitaxel, using a novel amphiphilic carrier, tetrahexyloxy-tetra-*p*-aminocalix[4]arene (A4C6). Nanoparticles were successfully prepared at pH 4 by a modified emulsion evaporation method whereby an organic phase containing paclitaxel:A4C6 in the molar ratio of 1:10 was dispersed by probe sonication into an aqueous phase containing 0.5 % w/v polyvinyl alcohol as stabilizer. The drug-loaded nanoparticles had small mean size of 78.7 ± 20.7 nm and positive surface potential of 38.3 ± 7.67 mV. Transmission electron micrographs showed discrete particles with no evidence of agglomeration, even upon PVA removal. The paclitaxel loading and encapsulation efficiencies were 69.1 ± 5.3 µg drug per mg carrier and 50.4 ± 3.2 %, respectively. Complete drug release was dependent on NP disruption, as only up to 35% of the drug load was released in 120h upon dilution with phosphate buffered saline. This is the first report on the use of self-assembling calixarenes for the encapsulation of anticancer agents. The nanoparticles produced were significantly smaller than, but had comparable drug loads to, the Abraxane® nanoparticles, and have the potential to achieve targeted delivery of paclitaxel to tumour tissues.