

ABSTRACT

PARTICULATE DELIVERY SYSTEM OF CHITOSAN WITH DITERPENE LACTONE FRACTION AS NATURAL PRODUCT MODEL

Retno Sari

Chitosan is a hydrophilic polymer that has a primary amine group on its main backbone structure. This structure causes chitosan to have positive charge. Hence, the particulate system of chitosan can be prepared by ionic gelation method through crosslinking reaction with the polyanionic substance tripolyphosphate (TPP). Diterpene lactone of sambiloto is a natural product obtained from plant and it is poorly soluble in water. The entrapment of this poorly water-soluble plant compound in a hydrophilic polymer, such as chitosan, could improve its dissolution and further, increases its bioavailability and effectiveness. In this study, the particulate system of diterpene lactone fraction of sambiloto (FDTL)-chitosan is prepared by ionic gelation – spray drying method. Following this, the interaction between the polymer and cross linker, thermodynamics, solid state, morphology, drug content, in vitro drug release and antimalarial activity of the formed chitosan particulate system are investigated. The results of FTIR, DTA and XRD analysis of unloaded chitosan particles are in accordance with the results of morphology evaluation by SEM, which proof that chitosan-TPP ratio 10:8 can produce chitosan particles with spherical, smooth surface and good physical characteristics. Particulate systems with chitosan-TPP-FDTL ratio = 100:80:40 show better characteristics compared to the other ratios, with entrapment efficiency of 33.82 %. The dissolution efficiency of FDTL-chitosan particulate system is found to be 1.5 times higher than the FDTL substance. FDTL-chitosan particulate systems are able to enhance parasitaemia growth inhibition in mice infected with Plasmodium berghei and to suppress liver cells as well as spleen cells degeneration compared to the FDTL substance. Furthermore, the results obtained in this study can be used as a guidance to develop particulate system of chitosan and for developing other particulate system of polymers for poorly soluble plant compounds and synthetic drugs.

Keywords: chitosan, tripolyphosphate, diterpene lactone fraction sambiloto, particulate systems, ionic gelation, dissolution efficiency, antimalarial activity