Development of Dual–release Pellets of the non-Steroidal Anti–inflammatory Drug Celecoxib

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Abstract

The aim of this work was to develop dual-release pellets containing 200 mg of the selective non-steroidal anti-inflammatory; celecoxib, used in pain management of arthritis. In these pellets, a 100 mg celecoxib, located in the outer layer of pellets, which releases the drug within 60 min. Whereas the remaining 100 mg celecoxib located in the inner layer of celecoxib, which releases the drug over an sustained-release pattern. The developed pellets were subjected to four coating- and loading-stages using spray-drying technique: seal-coating, drug-loading, SR-coating, and IR-loading. In the seal-coating stage, pellets were coated with 10% *w/w* of the hydrophilic polymer Povidone®. In the drug-loading stage, pellets were loaded with 100 mg celecoxib which acts as a drug reservoir. In the SR-coating stage, pellets were coated with a combination of two viscosity grades of the hydrophobic polymer ethylcellulose 7 and 22 cps at a ratio of 5.0:2.5% *w/w*, respectively. In the IR-coating stage, pellets were finally loaded with 100 mg celecoxib. Dissolution studies were carried out using media of a pH range 1.2-12.0. The IR-stage showed a rapid dissolution rate, where 47.0% of celecoxib was released after 60 min. Whereas the SR-stage showed a prolonged-release pattern with a complete release after

16 h. The release of celecoxib dual-release pellets followed a zero-order mechanism. The solid-dispersion and spray-drying successfully changed the solid-state of celecoxib from crystalline-form to amorphous-form as corroborated by the DSC charts of celecoxib active ingredient and celecoxib dual-release pellets. This in turn improves the aqueous solubility and hence the bioavailability of celecoxib. The pellets were passed the storage conditions of 30°C + 65% RH and 40°C +75% RH after 6 M without significant decline in assay and dissolution rate. Therefore, a novel oral formulation of dual-release pellets of celecoxib were successfully developed.