AN INVESTIGATION INTO THE INFLUENCE OF SUPERDISINTEGRANT TYPE ON PROPERTIES OF LIQUISOLID SYSTEMS WITH DIFFERENT CARRIERS

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Tablet disintegration in gastrointestinal fluids and the resulting increase in surface area available for drug dissolution is one of the preconditions for achieving acceptable bioavailability (1). Disintegrant addition shortens the disintegration time, but it can also affect flowability and mechanical properties of liquisolid systems (2). The aim of this study was to examine the influence of superdisintegrant type and concentration on flowability, tensile strength and disintegration time of liquisolid systems prepared using three different carriers.

The admixtures were prepared in a fluid bed processor. Syloid® XDP3050, Neusilin® US2 and Fujicalin® were used as carriers, with liquid phase (macrogol 400) content of 40.4%, 54.8% and 14.8%, respectively, and carrier to coating material (colloidal silicon dioxide) ratio R=30. Superdisintegrant (croscarmellose sodium, crospovidone, sodium starch glycolate) concentration ranged from 2 to 5%. Admixtures' flowability and compacts' tensile strength and disintegration time were determined. Admixtures with Fujicalin® showed the best flowability. Superdisintegrant addition decreased flowability, except for Syloid® XDP3050 admixtures where flowability improved with increase in disintegrant concentration. Sodium starch glycolate had the most pronounced influence on the compact tensile strength. Regardless of the carrier used, the increase in its concentration led to decrease in tensile strength. Notable differences in the disintegration time were observed, depending on the type of carrier and superdisintegrant. Compacts with Fujicalin® disintegrated within the required time without the superdisintegrant addition. The shortest disintegration time, for compacts with Syloid® XDP3050 and Neusilin® US2, as well as the highest tensile strength, for compacts with Syloid® XDP3050, were achieved with croscarmellose sodium.

References

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Acknowledgements

This research was funded by the Ministry of Education, Science and Technological Development, Republic of Serbia through Grant Agreement with University of Belgrade – Faculty of Pharmacy No: 451-03-68/2022-14/200161.

ISPITIVANJE UTICAJA VRSTE SUPERDEZINTEGRATORA NA SVOJSTVA TEČNO-ČVRSTIH SISTEMA SA RAZLIČITIM NOSAČIMA

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Raspadanje tablete u kontaktu sa gastrointestinalnim tečnostima i posledično povećanje površine dostupne za rastvaranje lekovite supstance jedan je od preduslova za postizanje željene biološke raspoloživosti (1). Dodatak dezintegratora u tečno-čvrste formulacije skraćuje vreme raspadanja, ali može uticati na protočna i mehanička svojstva pripremljenih smeša, odnosno tableta (2). Cilj ovog istraživanja bio je ispitati uticaj vrste i koncentracije tri tipa superdezintegratora na protočnost, zateznu čvrstinu i raspadljivost tečno-čvrstih sistema pripremljenih upotrebom tri različita nosača. Smeše su pripremljene u uređaju tipa fluidizirajućeg sistema. *Syloid® XDP3050*, *Neusilin® US2* i *Fujicalin®* su korišćeni kao nosači, uz udeo tečne faze (makrogol 400) od 40,4%, 54,8% i 14,8%, redom, pri odnosu nosača i sredstva za oblaganje (koloidni silicijum-dioksid) R=30. Udeo superdezintegratora (kroskarmeloza-natrijum, krospovidon, natrijum-skrobglikolat) variran je u opsegu 2-5%. Ispitana je protočnost, a nakon komprimovanja na ekscentar tablet mašini, kompaktima određene zatezna čvrstina i raspadljivost. Najbolja protočnost primećena je kod smeša sa *Fujicalin®*-om. Dodatak superdezintegratora je snižavao protočnost, osim kod smeša sa *Syloid® XDP3050* gde se povećanjem koncentracije superdezintegratora protočnost poboljšavala. Najizraženiji uticaj na zateznu čvrstinu kompakata, bez obzira na vrstu nosača, pokazao je natrijum-skrobglikolat. Povećanje udela ovog superdezintegratora dovelo je do sniženja zatezne čvrstine kod ispitivanih kompakata. Uočene su znatne razlike u vremenu raspadanja kompakata u zavisnosti od vrste nosača i superdezintegratora, a kompakti sa *Fujicalin®*-om su se raspadali za predviđeno vreme i bez dodatka superdezintegratora. Kroskarmeloza-natrijum je superdezintegrator sa kojim je postignuto najkraće vreme raspadanja tečno-čvrstih kompakata sa nosačima *Syloid® XDP3050* i *Neusilin® US2*, kao i najveća zatezna čvrstina za kompakte sa *Syloid® XDP3050.*

Literatura

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Zahvalnica

Ovo istraživanje finansirano je od strane Ministarstva prosvete, nauke i tehnološkog razvoja Republike Srbije kroz Ugovor sa Univerzitetom u Beogradu – Farmaceutskim fakultetom broj: 451-03-68/2022-14/200161