INVESTIGATION OF THE TECHNOLOGICAL PROPERTIES OF THE ACTIVE PHARMACEUTICAL INGREDIENTS AND EXCIPIENTS FOR CREATING ANTACID ACTION TABLETS

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Introduction. The current pharmaceutical market offers a number of drugs that have pharmacological action aimed at eliminating the discomfort of heartburn and epigastric body that can be raised in the area of the esophagus. Each pharmacy has a number of antacids to deal with this disease. According to opinion of people suffering from this problem, not all of antacid formulations available in the pharmacy range suitable for use.

Aim. The aim of this study is to investigate the technological properties of the active pharmaceutical ingredients and excipients for creating antacid action tablets.

Materials and methods. A study of antacid drugs that are on the pharmaceutical market of Ukraine was conducted and active substances and excipients of these drugs were compared. Based on this study active pharmaceutical ingredients and excipients were chosen to create antacid drug action. Next step of this study was to investigate the technological properties of the active pharmaceutical ingredients and excipients by commonly known metods: flowavailability, bulk density, tapped density, particle size distribution by sieve analyses, moisture content.

Results and discussion. There are a number of antacid drugs that are absorbed from the gastrointestinal tract on the pharmaceutical market of Ukraine such as Alumag (tablets), Rennie (tablets), Remmax-KV (tablets), Secrepat forte (oral suspension, tablets). These medicines contain aluminum hydroxide, magnesium hydroxide, calcium carbonate, magnesium carbonate, magnesium trysylikat as active pharmaceutical ingredients. Potato starch, gelatin, sorbitol, mannitol, magnesium stearate, milk powder, sucrose, lactose monohydrate, talc are the most commonly used excipients. Peppermint oil, vanilla flavor, lemon flavor, flavor “orange flavor”, saccharin sodium, flavor “raspberry taste”, flavor of anise, sodium cyclamate are used to improve the taste and smell.

There are a number of antacid drugs that are not absorbed from the gastrointestinal tract on the pharmaceutical market of Ukraine such as Agiflux (oral suspension, tablets), Almagel, Almagel-A, Almagel-Neo (oral suspension), Almagel-T (tablets), Gaviscon (oral suspension, tablets), Gastal (oral dispersed tablets), Manti (oral dispersed tablets), Phosphalugel (oral gel). These medicines contain magnesium hydroxide, aluminum hydroxide, benzocaine, simethicone emulsion, sodium alginate, sodium bicarbonate, calcium carbonate, aluminum hydroxide-magnesium carbonate

gel dried, the aluminum phosphate as active pharmaceutical ingredients. Carmellose sodium, sorbitol solution, sorbitol, hydroxyethyl, propylene glycol, macrogol 400, mannitol, microcrystalline cellulose, kopovidon, carbomer, lactose, pregelatinized starch, colloidal anhydrous silica, croscarmellose sodium, magnesium stearate, agar, pectin and others are the most commonly used excipients. Menthol, sodium citrate, sodium saccharin, oil of peppermint, oil of lemon, orange flavor, acesulfame, lemon flavor, aspartame, mint flavor are used to improve the taste and smell.

Among the existing dosage forms of antacid medications the most comfortable are tablets. Tablets provide stability of active ingredients for long term storage. They do not need introduction of preservatives unlike suspensions for oral use. Tablets are convenient to carry around. The composition of the tablets may allow to use them without of drinking water by using of chewable tablets or oral rapidly dispersed tablets in a small amount of saliva.

Sodium alginate has advantages over other active ingredients. In the acidic environment it turns into an insoluble film that protects the mucous membranes from damage acid. We also chose sodium bicarbonate to neutralize the acid, mannitol and sorbitol as excipients.

In the next step we have identified technological options of these substances. Flowavailability of sodium alginate – 1.8 g/s; sodium bicarbonate – 4.2 g/s; mannitol – 7.0 g/s; sorbitol – 6.8 g/s. Bulk density of sodium alginate – 0.98 g/ml; sodium bicarbonate – 0.71 g/ml; mannitol – 0.65 g/ml; sorbitol – 0.67 g/ml. Tapped density of sodium alginate – 1.2 g/ml; sodium bicarbonate – 0.95 g/ml; mannitol – 0.78 g/ml; sorbitol – 0.74 g/ml. Particle size distribution (<0.09 mm; 0.09-0.18 mm; 0.18-0.25 mm; 0.25-0,355 mm; >0.355 mm) is for sodium alginate – 14%; 69.1 %; 11.5 %; 0.8 %; 0.05 %; for sodium bicarbonate – 17 %; 69 %; 9.85 %; 1.25 %; 0.5 %; for mannitol – 0.5 %; 25 %; 30 %; 21.5 %; 22.5 %; for sorbitol – 2.25 %; 11.5 %; 15.5 %; 24.5 %; 45.5 %. Moisture content of substances is sodium alginate – 12.23%; sodium bicarbonate – 0.83%; mannitol – 0.33%; sorbitol – 1.19%.

Flowavailability of sodium bicarbonate, mannitol, sorbitol is excellent. Flowavailability of sodium alginate needs to be increased by adding glidants or will increase in mixture with excipients (mannitol, sorbitol). The bulk density of the substances is almost identical, which will facilitate their mixing and will prevent their segregation. Similar values of particle size distribution facilitate the formation of a stable mixture. The results indicate the potential for the production of the antacid action tablets by direct compression, if the substance will have a compressibility. High humidity value can affect the adhesion of tablets to the punches.

Conclusions. The obtained technological parameters will be used in the development of the formulation and technology of antacid tablets.