製備標靶性奈米載體且可包覆抗生素於標的胃幽門螺旋桿菌之應用
Application of amoxicillin−loaded in targeting nanoparticles for anti-*Helicobacter pylori*

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Gastric ulcer disease affects large numbers of people worldwide. There are many evidences to know that *Helicobacter pylori* infection with chronic gastritis, peptic ulcers, and gastric adenocarcinoma. The most widely recommended regimen includes a triple therapy which combines various antibiotics (amoxicillin, clarithromycin, and metronidazole) and a proton pump inhibitor administered over a 7- to 14-day period. But the gastric ulcer therapy is not always successful, and its associated with unpleasant side effects. Because, the bacterium *H. pylori* produce the enzyme urease, which is able to hydrolyze urea to ammonia and bicarbonate in order to neutralize the acidic pH of stomach environment to pH 4.5–7.0. Meanwhile, *H. pylori* can adhere to epithelial cell surface and colonizes the mucus layer of stomach and duodenum. Therefore, for eradicating *H. pylori* purpose, anti−*H.pylori* drug should penetrate the mucus layer and targeting the *H. pylori* infection situation.

In addition, it was known that the *H. pylori* had carbohydrate receptors on its surface, and the most typical one is fucose receptor. Therefore, we could prepared the fucose-chitosan/heparin nanoparticles to encapsulate antibiotic (amoxicillin), which nanoparticles could targeting *H. pylori* immediately, then amoxicillin releasing from nanoparticle and acting locally on *H. pylori* at a bactericidal concentration. In our prepared nanoparticles was stability at different pH values (pH 1.2−7.0) and their particles size was 200–300nm with a positive surface charge. The *in vitro* analysis of drug release from the nanoparticle indicated that the system is able to control amoxicillin release in the simulated gastrointestinal dissolution medium, and that the amoxicillin was able to localize specifically to intercellular spaces or in the cell cytoplasm, the site of *H. pylori* infection. For this reason, our prepared fucose-chitosan/heparin nanoparticles could target *H. pylori* and interacted locally with *H. pylori* infection sites in the intercellular spaces.

Keywords: *Helicobacter pylori*, fucose−chitosan/heparin nanoparticles, amoxicillin, intercellular spaces