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Ordered Mesoporous Carbons of Different Morphology for Loading and Controlled Release of Active Pharmaceutical Ingredients

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Abstract : Smart porous carriers with defined structure and physicochemical properties are required for releasing the therapeutic drug with precise control of delivery time and location in the body. Due to their non-toxicity, ordered structure, chemical, and thermal stability, mesoporous carbons can be considered as modern carriers for active pharmaceutical ingredients (APIs) whose effectiveness needs frequent dosing algorithms. Such an API-carrier system, if programmed precisely, may stabilize the pharmaceutical and increase its dissolution leading to enhanced bioavailability. The substance conjugated with the material, through its prior adsorption, can later be successfully applied internally to the organism, as well as externally if the API release is feasible under these conditions. In the present study, ordered mesoporous carbons of different morphologies and structures, prepared by hard template method, were applied as carriers in the adsorption and controlled release of active pharmaceutical ingredients. In the first stage, the carbon materials were synthesized and functionalized with carboxylic groups by chemical oxidation using ammonium persulfate solution and then with amine groups. Materials obtained were thoroughly characterized with respect to morphology (scanning electron microscopy), structure (X-ray diffraction, transmission electron microscopy), characteristic functional groups (FT-IR spectroscopy), acid-base nature of surface groups (Boehm titration), parameters of the porous structure (low-temperature nitrogen adsorption) and thermal stability (TG analysis). This was followed by a series of tests of adsorption and release of paracetamol, benzocaine, and losartan potassium. Drug release experiments were performed in the simulated gastric fluid of pH 1.2 and phosphate buffer of pH 7.2 or 6.8 at 37.0 °C. The XRD patterns in the small-angle range and TEM images revealed that functionalization of mesoporous carbons with carboxylic or amine groups leads to the decreased ordering of their structure. Moreover, the modification caused a considerable reduction of the carbon-specific surface area and pore volume, but it simultaneously resulted in changing their acid-base properties. Mesoporous carbon materials exhibit different morphologies, which affect the host-guest interactions during the adsorption process of active pharmaceutical ingredients. All mesoporous carbons show high adsorption capacity towards drugs. The sorption capacity of materials is mainly affected by BET surface area and the structure/size matching between adsorbent and adsorbate. Selected APIs are linked to the surface of carbon materials mainly by hydrogen bonds, van der Waals forces, and electrostatic interactions. The release behavior of API is highly dependent on the physicochemical properties of mesoporous carbons. The release rate of APIs could be regulated by the introduction of functional groups and by changing the pH of the receptor medium. Acknowledgments—This research was supported by the National Science Centre, Poland (project SONATA-12 no: 2016/23/D/NZ7/01347).

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