SUPPLEMENTARY INFORMATION

Amino-functionalized Mesoporous Silica Particles for Ocular Delivery of Brimonidine

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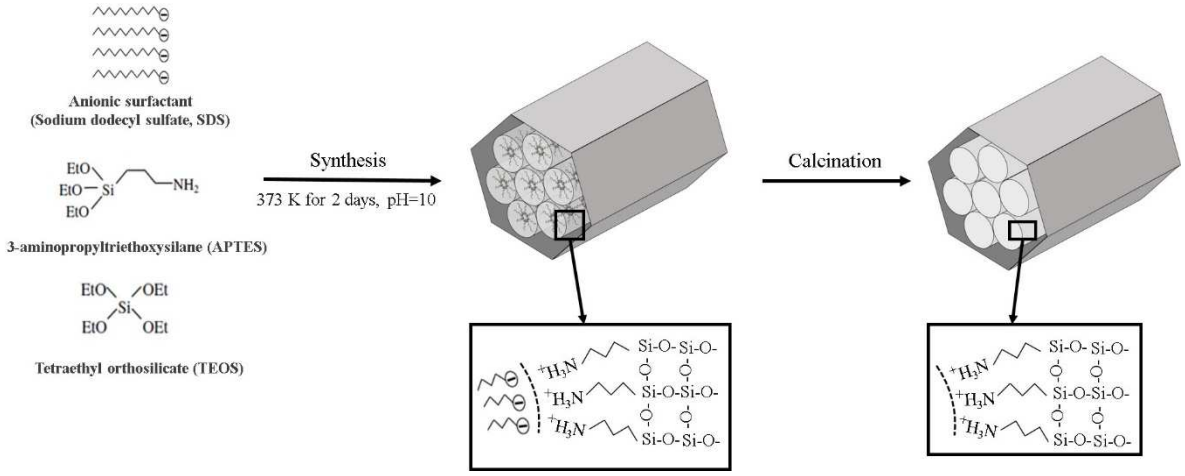

Scheme S1. Schematic procedure for synthesis of amino-functionalized mesoporous silica (AMS)

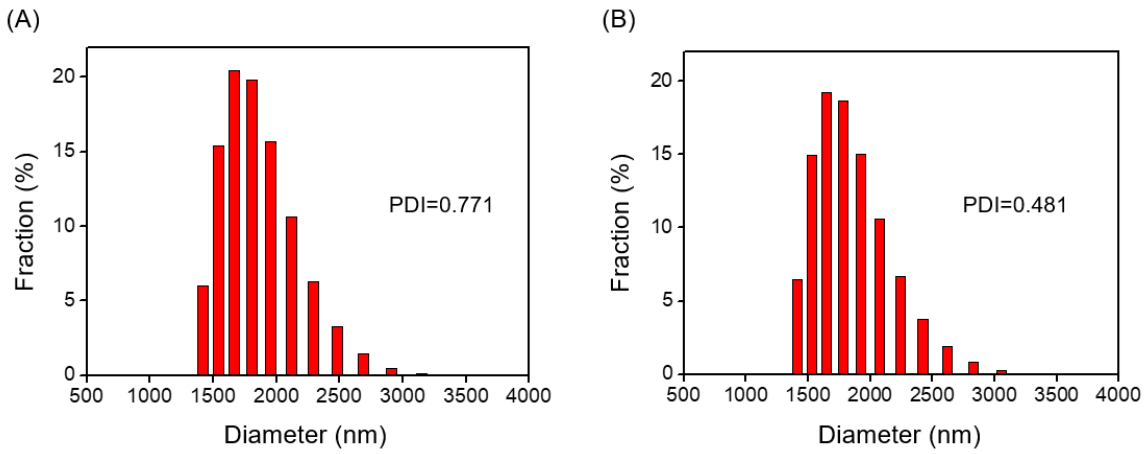

Figure S1. Particle size distribution of the BMD-AMS measured by the DLS method. (A) The particles were suspended in deionized water at a concentration of 100 ppb. (B) The particles were suspended at the same concentration used for in vivo experiments (36 mg/ml) and incubated for 30 min before measurement, where the particle size did not appear to change considerably and no particles larger than 10 μm were observed.

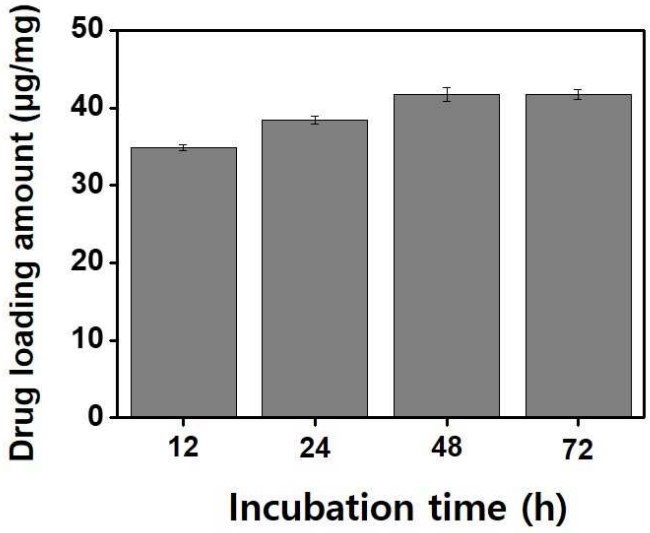

Figure S2. Drug loading amounts in the AMS with varied times of particle immersion in a brimonidine solution. Error bars represent standard deviations.

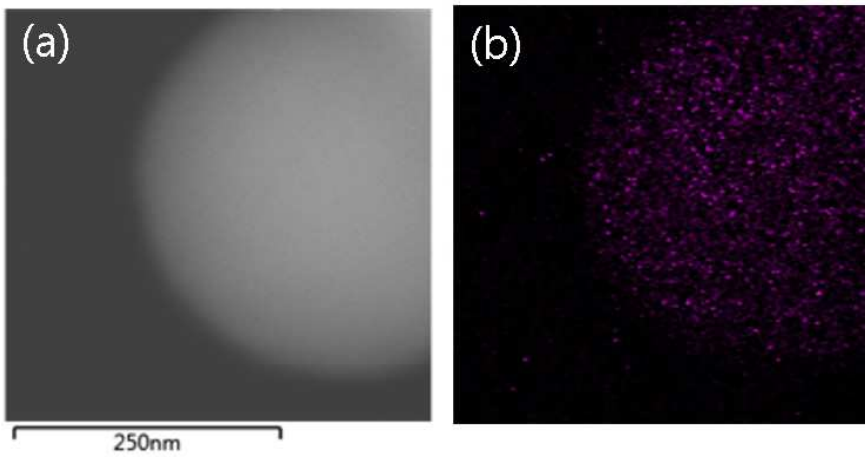

Figure S3. (a) TEM image and (b) EDS map of N for the AMS without brimonidine. The N map implied the homogenous distribution of the amino groups in the AMS.

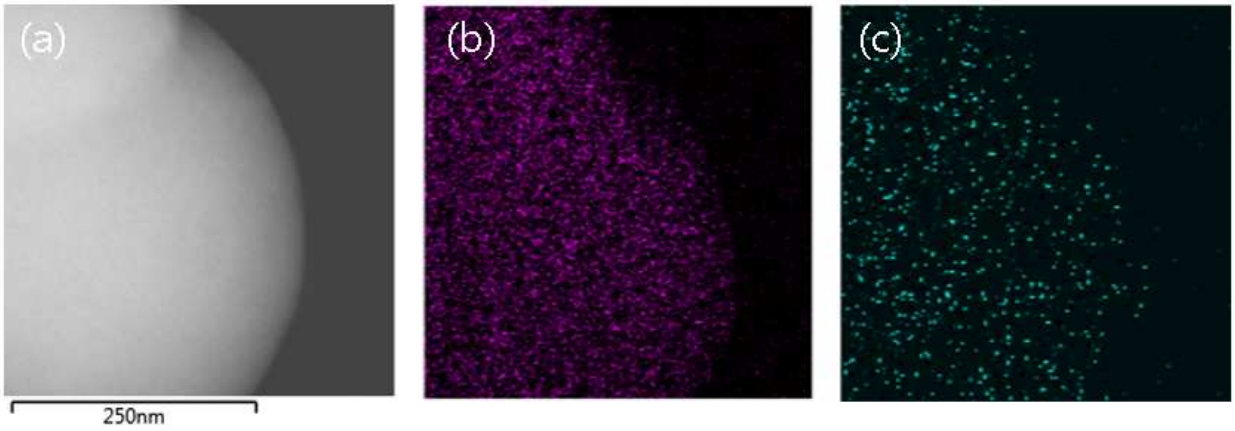

Figure S4. (a) TEM image and EDS maps of (b) N and (c) Br for the BMD-AMS. The N map could be ascribed to the the amino groups in the AMS, as well as the N ions in the brimonidine molecules. The Br map showed the distribution of brimonidine only in the BMD-AMS.

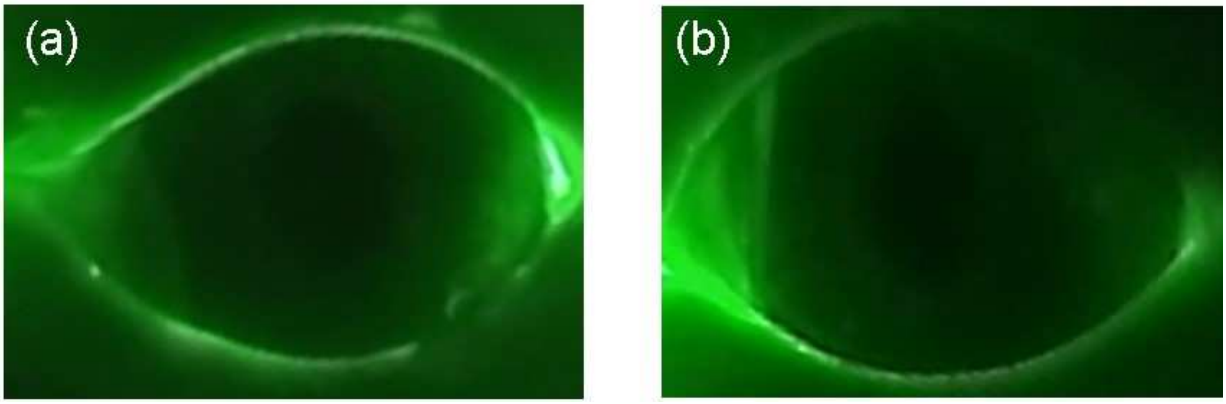

Figure S5. Fluorescent images of rabbit eyes after staining with a fluorescein solution. (a) Untreated control eye. (b) Eye after administration of the BMD-AMS. The experiment was performed, following the previously reported protocol with modifications [1]. Briefly, at 15 min after topical administration of the BMD-AMS suspension, a 5 µl drop of an aqueous solution of fluorescein sodium (0.25% w/v) was applied topically to the eye. After 5 min, the eye was washed with normal saline (0.9% NaCl) and examined.

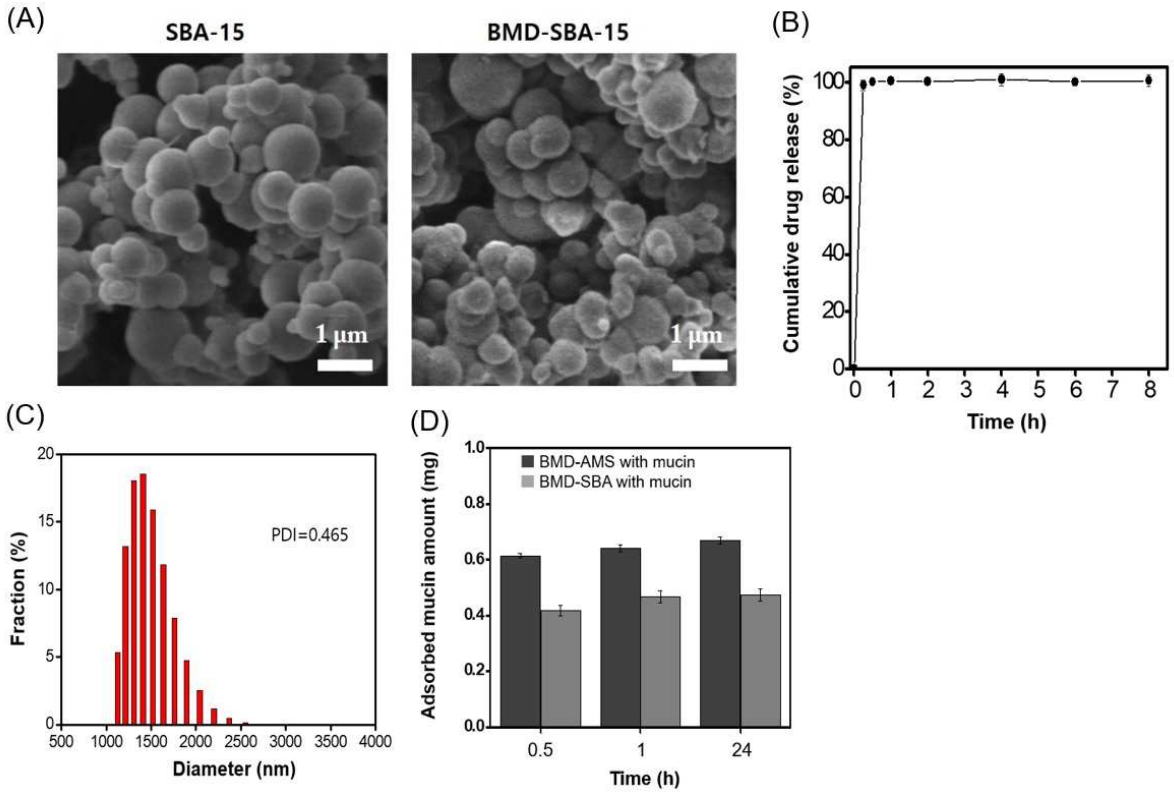

Figure S6. (A) SEM images of SBA-15 and the drug-loaded SBA-15 (i.e., BMD-SBA-15). (B) *In vitro* release profile of brimonidine with BMD-SBA-15, which showed almost 100% release within 30 min. (C) Particle size distribution of the BMD-SBA-15 measured by the DLS method, which was similar to that of the BMD-AMS. (D) Amounts of mucin adsorbed onto the BMD-AMS and BMD-SBA-15. Error bars represent standard deviation. The experiments were performed under the same conditions employed with the BMD-AMS. The SBA-15 particles were synthesized, following the previous protocol with slight modifications [2].

References

[1] Korb, D.R.; Herman, J.P.; Finnemore, V.M.; Exford, J.M.; Blackie, C.A. An evaluation of the efficacy of fluorescein, rose bengal, lissamine green, and a new dye mixture for ocular surface staining. *Eye & contact lens*, **2008**, 34, 61-64.

[2] Yasmin, T.; Müller, K. Synthesis and characterization of surface modified SBA-15 silica materials and their application in chromatography. *J. Chromatogr. A* **2011**, 1218, 6464-6475.