Electronic Supplementary Material (ESI) for Biomaterials Science.

Efficient loading of ophthalmic drugs with poor loadability into contact lenses using functional comonomers

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Electronic Supplementary Information (ESI)

Synthesis of contact lenses

We prepared a prepolymer solution by mixing backbone monomer (HEMA), comonomer mixture (EGD, GMA, and NVP), and initiator (AIBN). For a normal pHEMA-lens preparation, the prepoymer was applied to lens mold and subjected to heating for polymerization. In case of pHEMA lens containing functional comonomers, functional comonomer (MAA, AA, or MPA) was added to the prepolymer solution prior to application to mold followed by heating. The scheme showing lens preparation is shown in Figure S1 and the detailed lens composition is shown in Table S1.

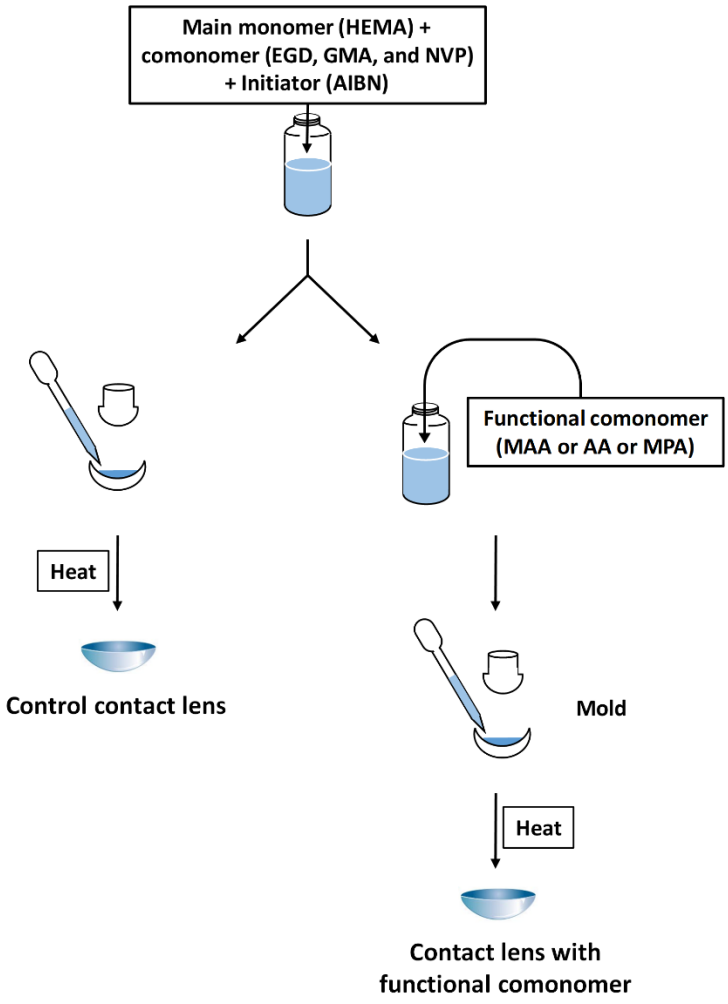

Figure S1. Scheme showing lens preparation

Table S1. Detailed composition of contact lenses used in the release test

Type of comonomer	Composition (mol%)		
	Functional comonomer	Backbone monomer (HEMA)	Comonomers (Mixture of EGD, GMA, and NVP) and initiator (AIBN)
None	None	92	8
MAA or AA	1.0	91.1	7.9
MAA	2.0	90.2	7.8
MAA, AA, or MPA	2.5	89.7	7.8
MAA, AA, or MPA	5.0	87.4	7.6
MAA, AA, or MPA	7.5	85.1	7.4

Determination of OFX partitioning

We measured the amount of OFX in each step during loading and release. 500 μg of OFX was used in loading solution. After loading of OFX into a single lens, the amount of OFX left in the solution, lost during washing, and released from the lens were measured and shown in the Table S2. Similar to the results shown in the release tests of the main text, 70 % of OFX was partitioned into a single lens containing 5.0 % MAA or 2.5 % AA, whereas only 5 % of OFX was taken up by a pHEMA lens. Some OFX was lost during washing steps. Sum of the amounts of OFX left in the loading solution, lost during washing steps, and released was comparable to that used in the loading solution. All experiments were performed in triplicate.

Table S2. The amount of OFX in loading solution and left in the solution after loading, lost during washing, and released from a single contact lens.

Lens type	OFX in loading solution (μg)	OFX (μg)			
		Left in solution after loading	Lost during washing	Released	Total
HEMA only	504.7 ± 21.5	448.5 ± 12.8	16.9 ± 1.0	26.3 ± 0.8	491.7
MAA 5.0%		89.1 ± 7.6	42.0 ± 0.1	349.9 ± 16.0	480.8
AA 2.5%		111.0 ±1.9	35.8 ± 0.1	347.8 ± 12.1	494.6

Diffusion coefficients of OFX in lenses

A lens was soaked in 1.5 mL aqueous solution containing 0.5 mg OFX for a week. The OFX-loaded lens was placed in a clean vial containing 3 mL of deionized water and shaken continuously at room temperature. The concentration of released drug was measured with a Synergy H1 four multimode microplate reader (BioTek, Winooski, VT, USA). 200 μL of solution was collected every 2 minutes and placed back to the release solution after measurement. An initial release rate was recorded within 20 minutes, and the diffusion coefficient (D) was calculated by Fick's second law as below (Andreia S. G. et al., J Chem. Eng. Data, 2013.)

$$M_t/M_\infty = 2(Dt/\pi d^2)^{1/2}$$

where M_t and M_∞ denote the total amount of drug released during the period of time t and after infinite time, respectively; d represents half of the geometry thickness.

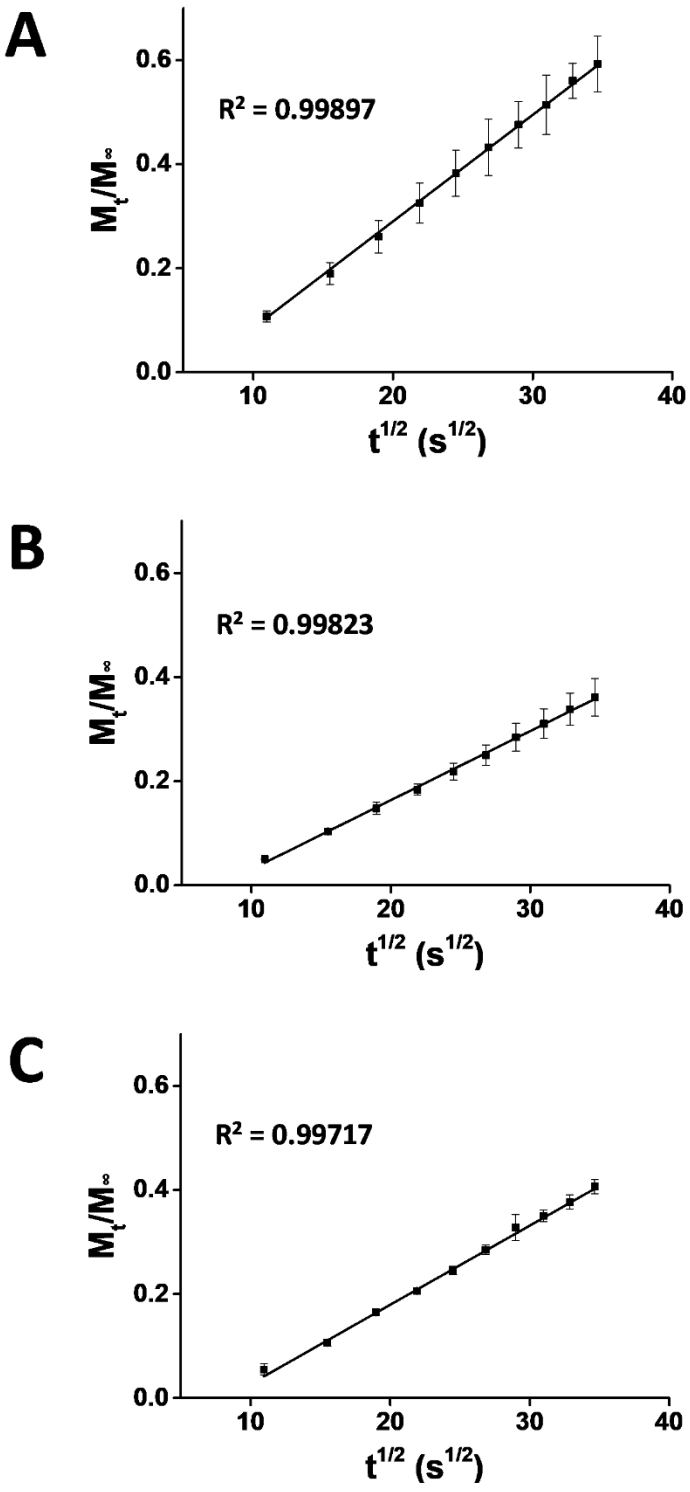

Figure S2. M_t/M_∞ versus $t^{1/2}$ plot for control pHEMA lens (A), lens containing MAA 5.0 mol% (B), and AA 2.5 mol% (C). All measurements were performed in triplicate. Error bars indicates standard deviations.

Table S3. Diffusion coefficients of the control pHEMA lens and the lenses containing 5.0 mol% MAA and 2.5 mol% AA

	D (10^{-12}, m^2/s)
Control	1.28805
MAA 5.0%	0.54187
AA 2.5%	0.71162