Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Electronic Supplementary Information for:

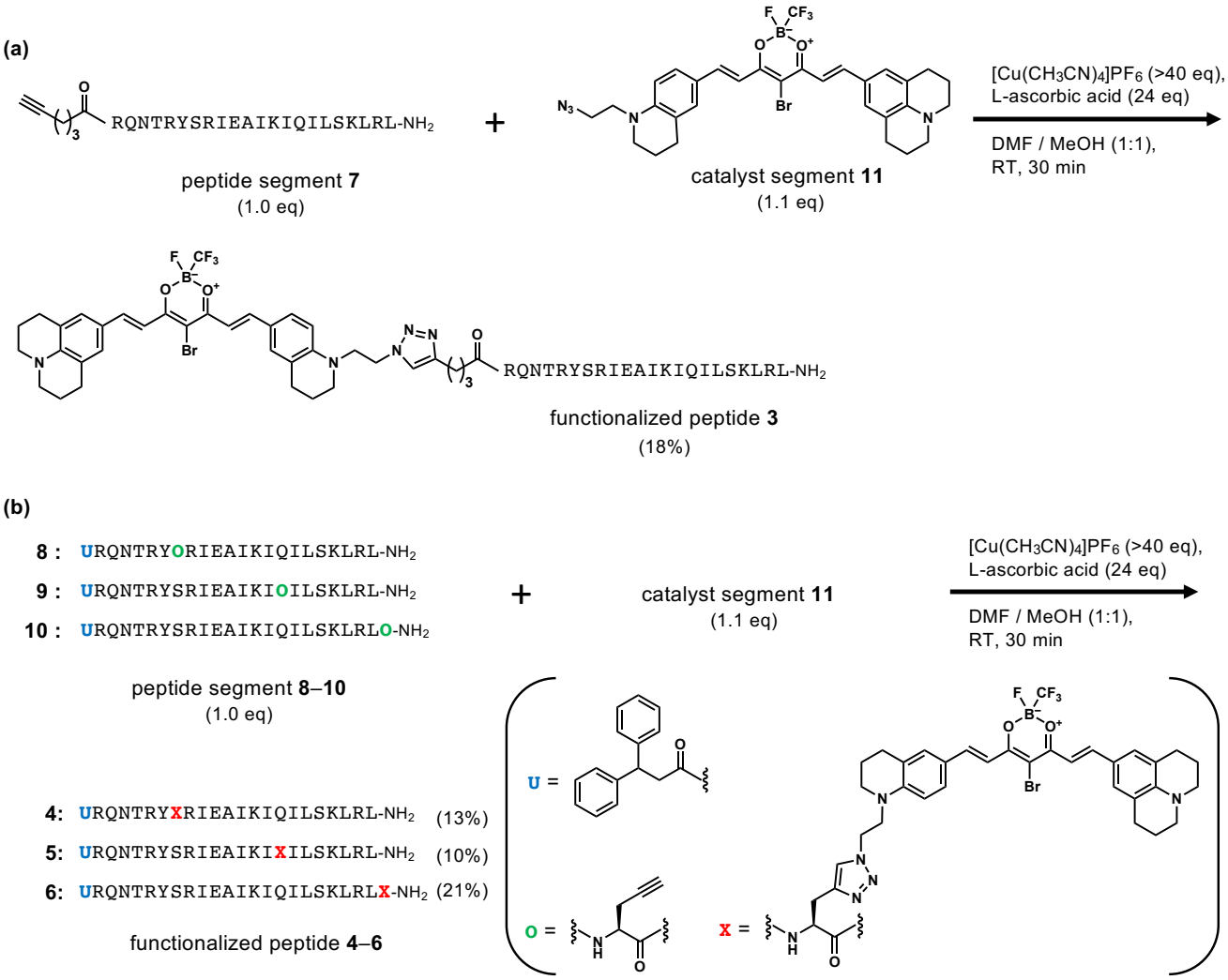
Development of functionalized peptides that inhibit myostatin by selective photooxygenation

Hideyuki Okamoto, Atsuhiko Taniguchi,* Shoya Usami, Masahiro Katsuyama, Sho Konno, Akihiro Taguchi, Kentaro Takayama and Yoshio Hayashi*

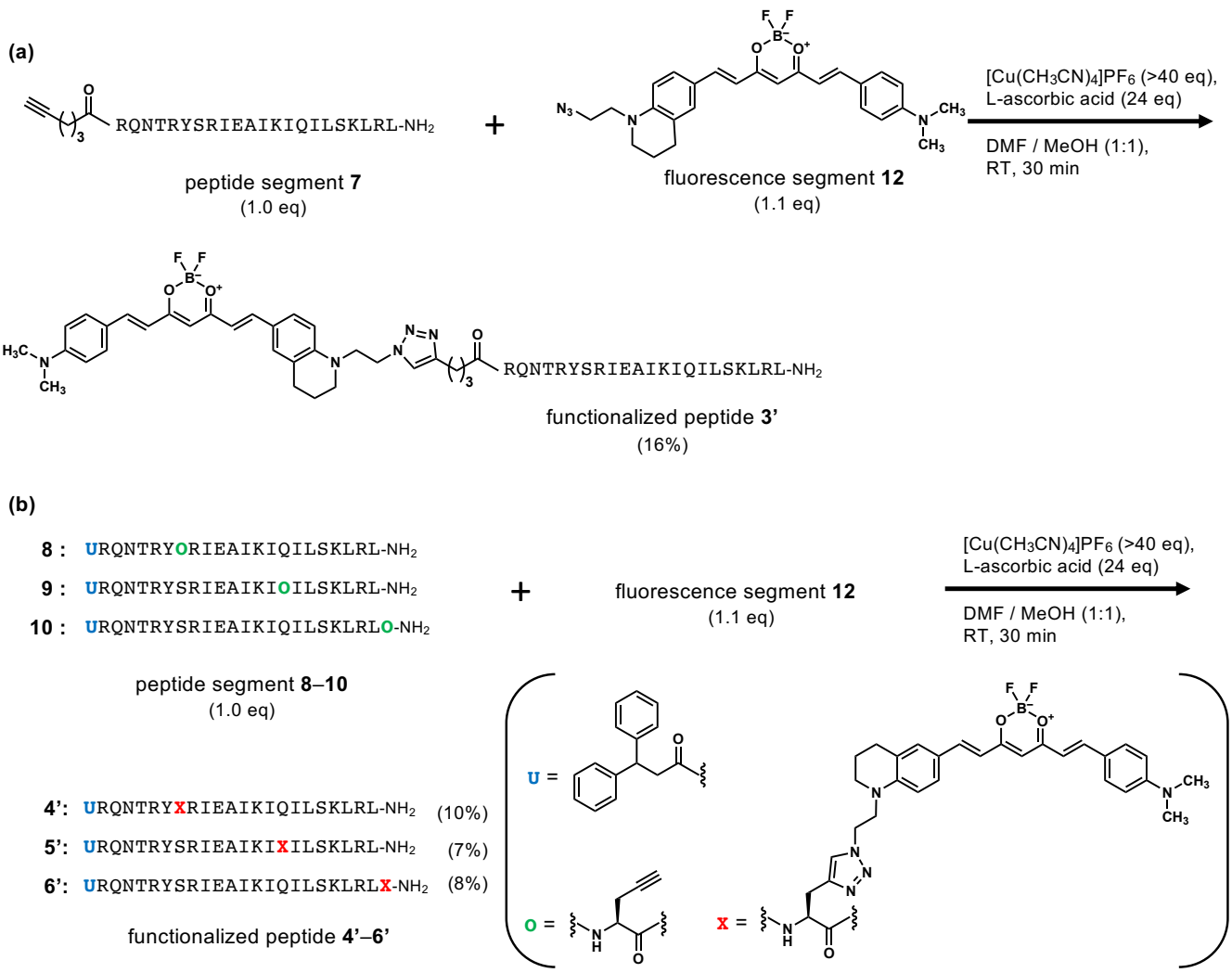
Department of Medicinal Chemistry, School of Pharmacy, Tokyo University of Pharmacy and Life Sciences, Tokyo 192-0392, Japan.

E-mail: atani@toyaku.ac.jp, yhayashi@toyaku.ac.jp

Contents



Scheme S1 Synthesis of photooxygenation-functionalized peptides (a) **3** and (b) **4**–**6**.



Scheme S2 Synthesis of fluorescence-functionalized peptides (a) **3'** and (b) **4'**–**6'**.

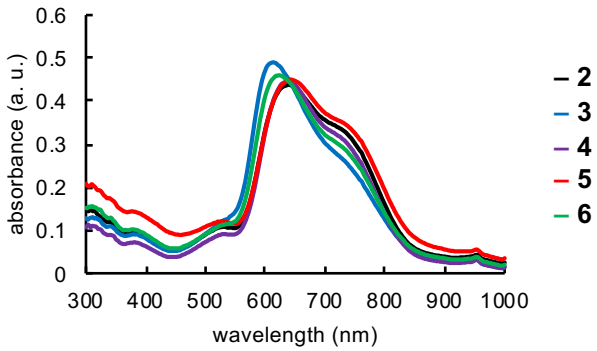


Fig. S1 Absorption spectra of functionalized peptides **2**–**6**. Phosphate-buffered solution (10 mM, pH 7.4) containing **2**–**6** (10 μM) was used for the measurements.

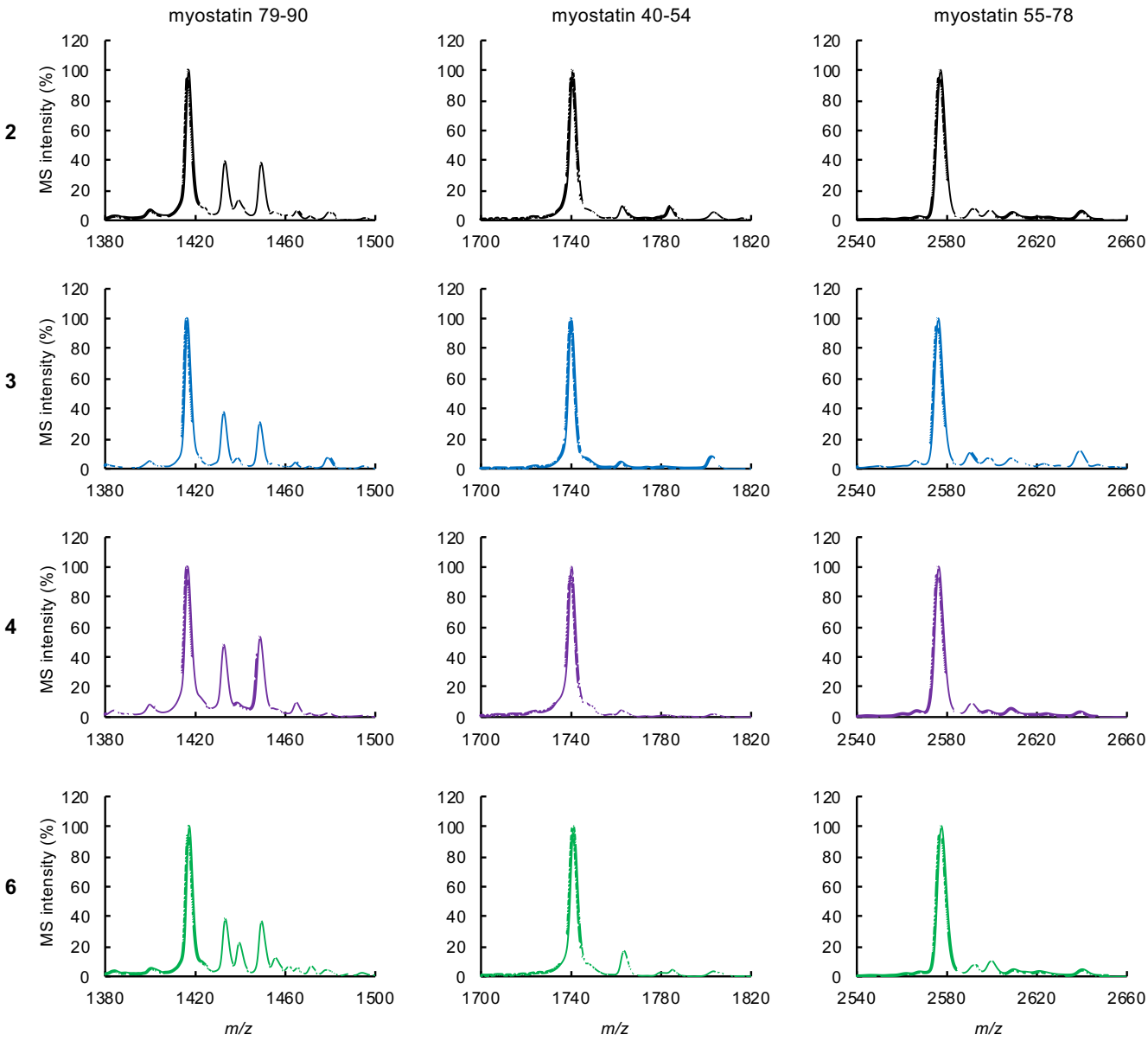


Fig. S2 Photooxygenation of myostatin using functionalized peptides **2**–**4** and **6**. Phosphate-buffered solution (10 mM, pH 7.4) containing myostatin (1 μM) and **2**–**4**, **6** (4 μM) was irradiated (λ = 730 nm) for 15 min, and analyzed by MALDI-TOF MS following fragmentation of the myostatin. MS spectra of myostatin fragments 79-90, 40-54, and 55-78 which were obtained from myostatin after oxygenation.

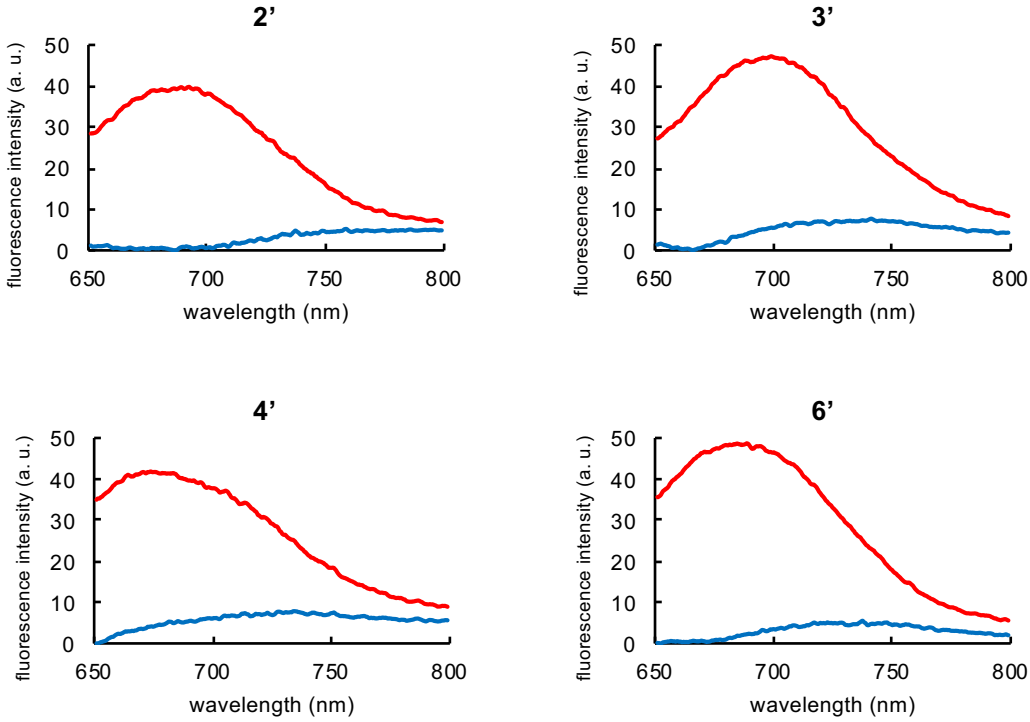


Fig. S3 On/off switching of fluorescence-functionalized peptides **2’**–**4’** and **6’**. Fluorescence spectra of **2’**–**4’** or **6’** with myostatin (red) or substance-P (blue). Phosphate-buffered solution (10 mM, pH 7.4) containing **2’**–**4’** or **6’** (2 μM) with myostatin or substance-P (0.5 μM) was used for the measurement (ex: 626 nm).