

**MULTIFUNCTIONAL NANOBEACON FOR IMAGING THOMSEN-
FRIEDENREICH ANTIGEN-ASSOCIATED COLORECTAL CANCER**

Hironori Kumagai^{1, 8}, Wellington Pham^{1, 2, 3, 5, 6}, Makoto Kataoka^{1, 9}, Ken-ichiro
Hiwatari⁸, James McBride⁷, Kevin J. Wilson¹, Hiroyuki Tachikawa⁸, Ryoji Kimura⁸,
Kunio Nakamura⁸, Eric H. Liu¹⁰, John C. Gore^{1, 2, 3, 4}, Shinji Sakuma⁹

- (1) Institute of Imaging Science, Vanderbilt University, 1161, 21st Avenue South, Nashville, TN 37232
- (2) Department of Biomedical Engineering, Vanderbilt University, VU Station B 351620, Nashville, TN 37235
- (3) Vanderbilt Ingram Cancer Center, Vanderbilt University
- (4) Molecular Physiology and Biophysics, Vanderbilt University
- (5) Vanderbilt Institute of Chemical Biology
- (6) Neuroscience, Vanderbilt University
- (7) Department of Chemistry, Vanderbilt University, 7300 Stevenson Center, Station B, 351822, Nashville, TN 37235
- (8) Advanced Materials Laboratory, ADEKA Co., Tokyo, Japan
- (9) Faculty of Pharmaceutical Sciences, Setsunan University, Osaka, Japan
- (10) Division of Surgical Oncology and Endocrinology, Department of Surgery, Vanderbilt University School of Medicine, Nashville, TN 37232

Figure S1. Quantification of the amount of PNA per mg of the nanobeacon.

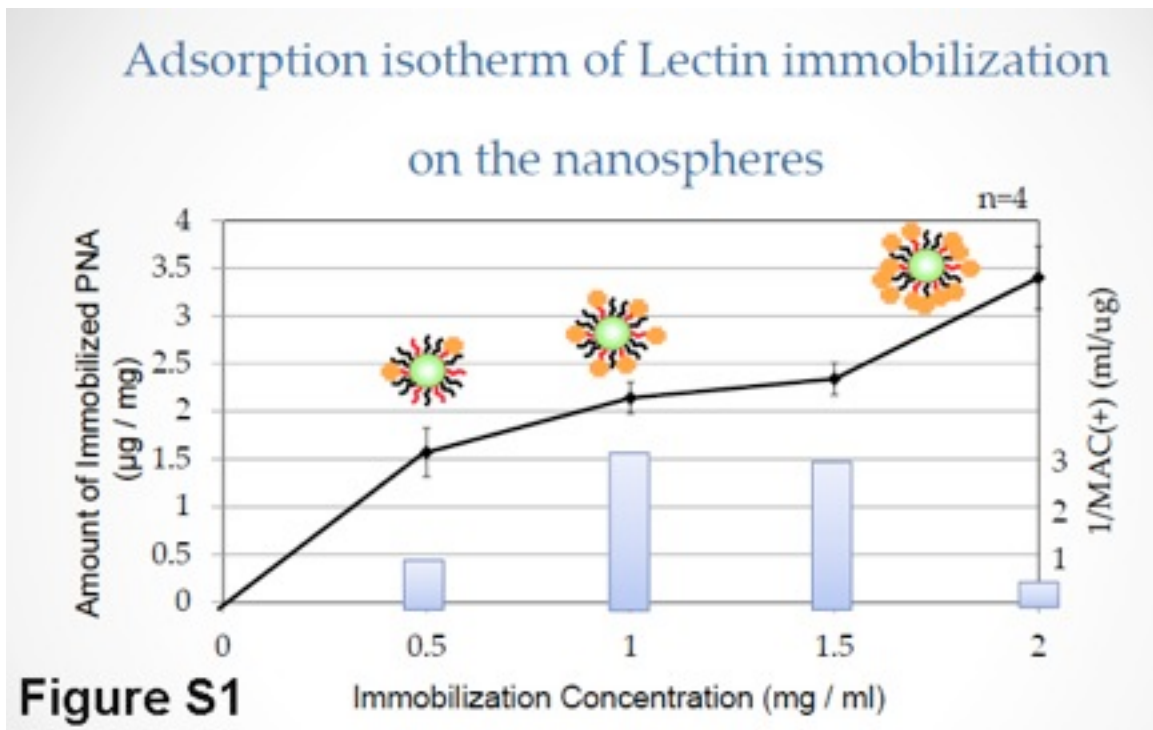


Figure S2. Quantification of the level of expression of TF on human colorectal tissue using in-housed program developed in Matlab.

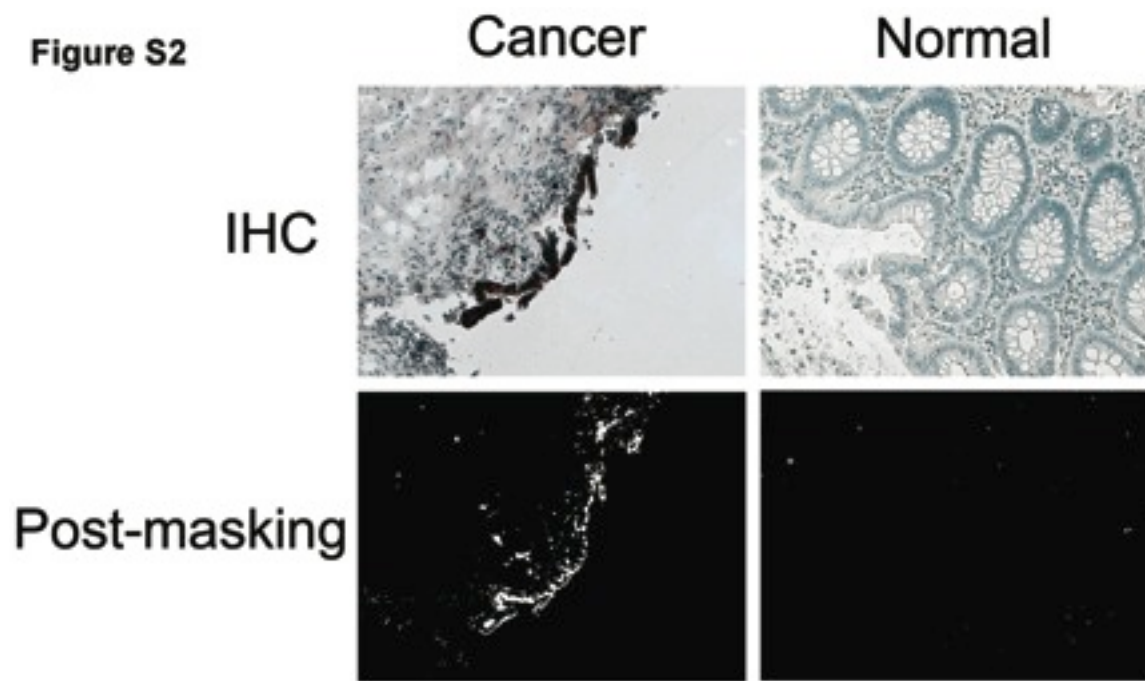


Figure S3. Quantification of the level of TF expression in Caco-2 cells after treatment of glycanase using FACS.

Figure S3

Caco-2

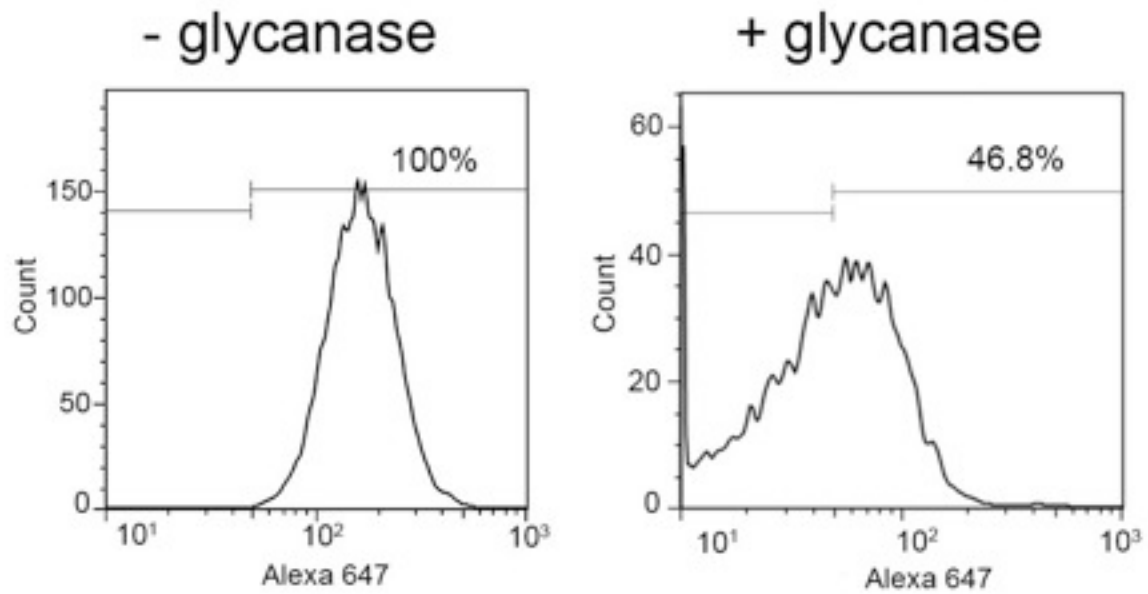


Table S1. Fine-tuning the nanobeacon by modifications of the polymers

| TableS1 In Feed [g(mmol)] | | | Particle Size (nm) (mean \pm SD) | Zeta potential (mV) |
|---------------------------|-------------------------|------------|---------------------------------------|------------------------|
| PNVA | PMAA | Styrene | | |
| 0.5 (0.13) ^a | 0.5 (0.05) ^c | 1.0 (0.96) | 580 \pm 95 | -32.5 |
| 1.5 (0.30) ^b | 0.5 (0.09) ^d | 2.0 (19.2) | 530 \pm 111 | -0.3 |
| 0.5 (0.10) ^b | 1.5 (0.27) ^d | 2.0 (19.2) | 380 \pm 52 | -3.2 |

^a PNVA with weight- and number-average molecular weights (M_w/M_n) of 9500/4000.

^b PNVA with M_w/M_n of 14,000/5000.

^c PMAA with M_w/M_n of 19,000/10,000.

^d PMAA with M_w/M_n of 10,000/5600

Table S2. Oral distribution of the nanobeacon in a live orthotopic mouse model.

| Table S2 | Tissue weight (g) | Recovery (% of dose) |
|-----------------|-------------------|----------------------|
| Stomach | 0.57 \pm 0.14 | 46.7 \pm 23.1 |
| Small intestine | 1.43 \pm 0.26 | 3.6 \pm 2.5 |
| Cecum | 0.54 \pm 0.15 | 24.1 \pm 12.6 |
| Large intestine | 0.3 \pm 0.02 | 10.3 \pm 5.8 |
| Liver | 1.1 \pm 0.09 | 0.25 \pm 0.09 |
| Kidney | 0.42 \pm 0.1 | n.d. ^b |
| Blood | - ^a | 0.11 \pm 0.01 |

^a Approximately 0.2mL of blood collected from the abdominal artery

^b Not detected

