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Preparation of Magnetic-Fluorescent Bifunctional Microrods as a Drug Delivery System via One-step Electrospraying

Chaired by DR. ANDREA ERXLEBEN and PROF. DR. ELISABETTA GAVINI
pharmaceutics
MDPI

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Abstract:

☞ Magnetic-fluorescent bifunctional drug delivery system which possesses magnetic targeting and fluorescent tracing capabilities, effectively improves the delivery efficiency of drugs.

☞ With the in-depth study of the properties of non-spherical microparticles, it is found that the shape of the microparticles also plays a key role in drug delivery.

☞ Because of the unique shape, rod-like microparticles have exhibited great drug molecule metabolic dynamics and excellent anti-tumor effects during the process of treatment.

☞ In this study, Fe_3O_4/$NaYF_4$:Eu^{3+}/PLGA magnetic- fluorescent bifunctional microrods were prepared via one-step electrospraying.

Keywords:

◊ One-step electrospraying; ◊ Microrods;
◊ Drug delivery system; ◊ A549 cells;
◊ Magnetic-fluorescent bifunctional

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Content

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Background

Drug delivery system

Magnetic-fluorescent

Shape influence

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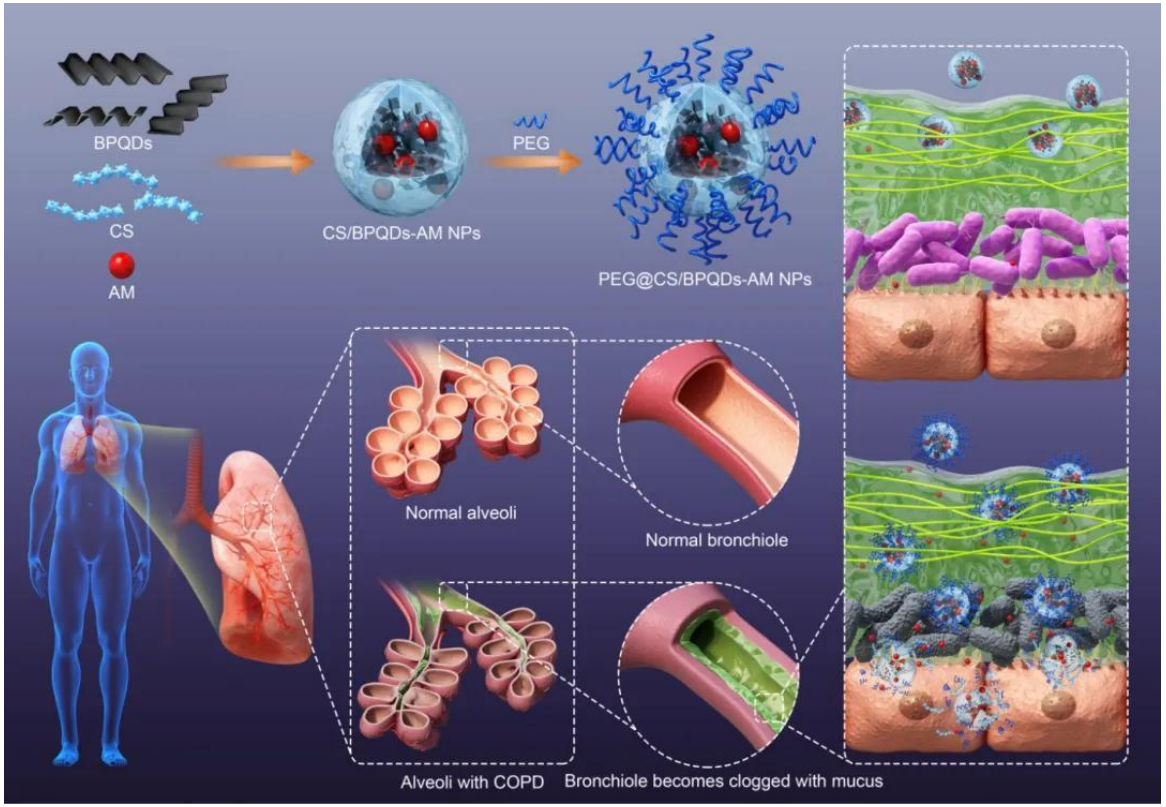
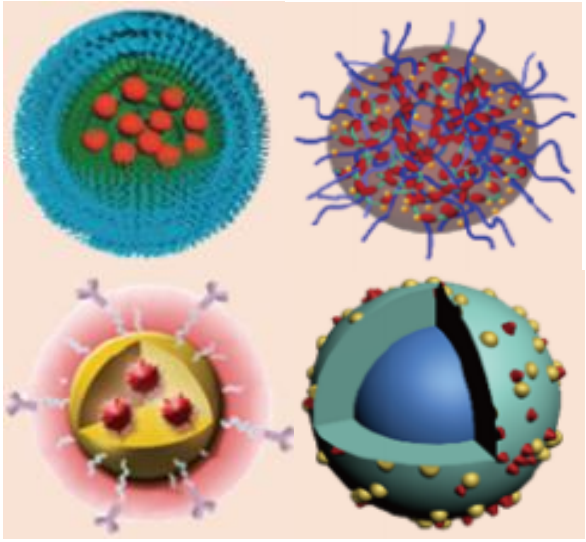
Drug delivery system

In order to improve the efficiency of drug utilization, drug delivery system (DDS) was proposed and used for delivering and then releasing the drugs to the lesion as well as reducing the toxicity of drugs.



Yu X.F., et al. Angew. Chem. Int. Edit. 2020, 59: 20568



Chen H.B., et al. Sci. China Chem. 2018,61:1503

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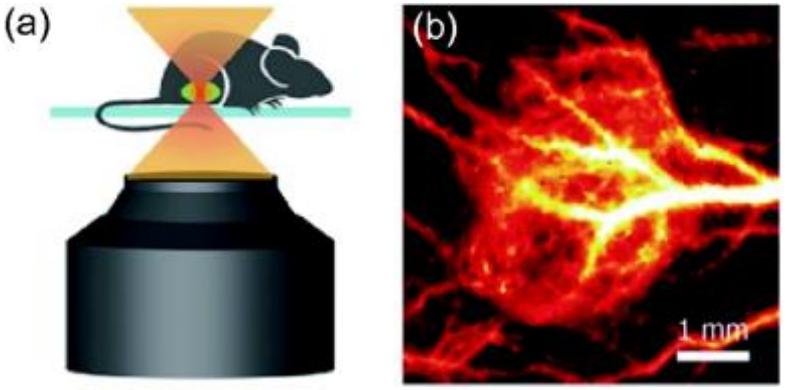
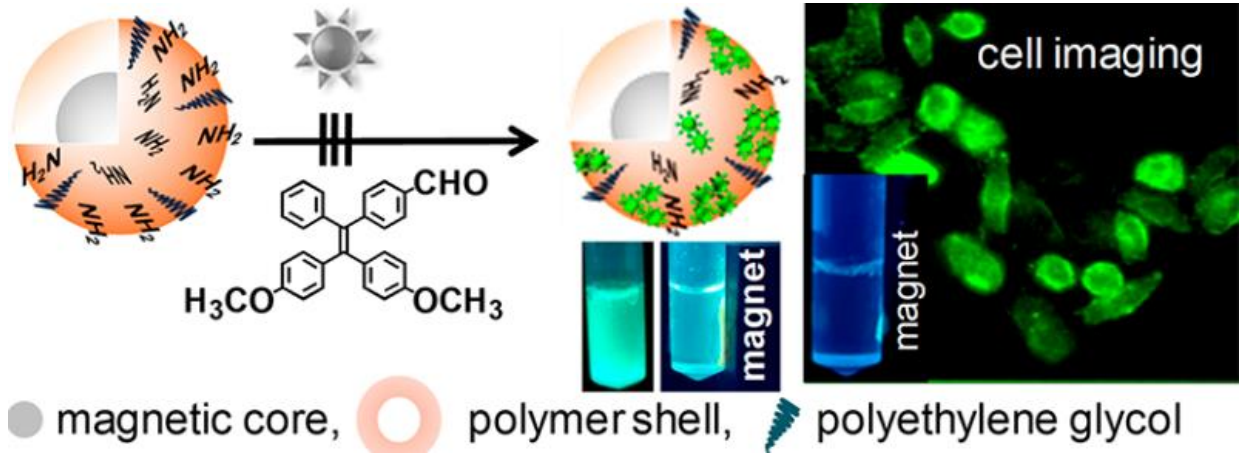
Magnetic-fluorescent

With the development of DDS, researchers paid more attention to the delivery targeting efficiency of DDS, especially that with magnetic targeting and fluorescence tracer.



Zhang M., et al. PNAS, 2018,115:6590

With magnetic targeting and fluorescent labeling functions, the DDS could realize the integration of diagnosis and treatment such as biological imaging and drug delivery.



Mandal K., et al. Appl. Nano Mater. 2019, 2, 3292

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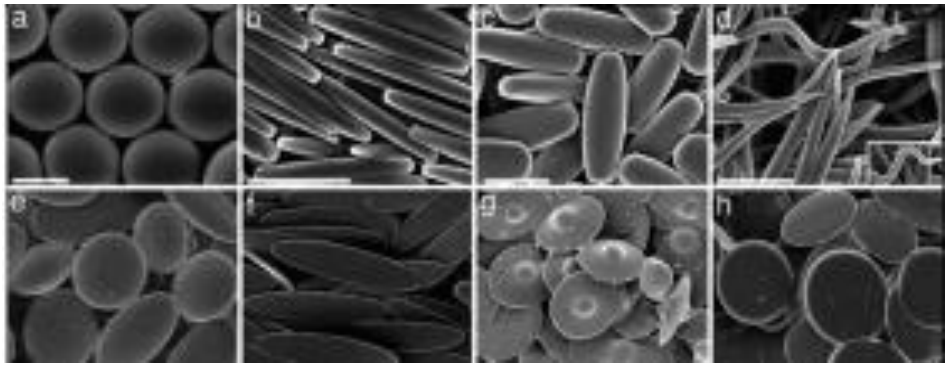
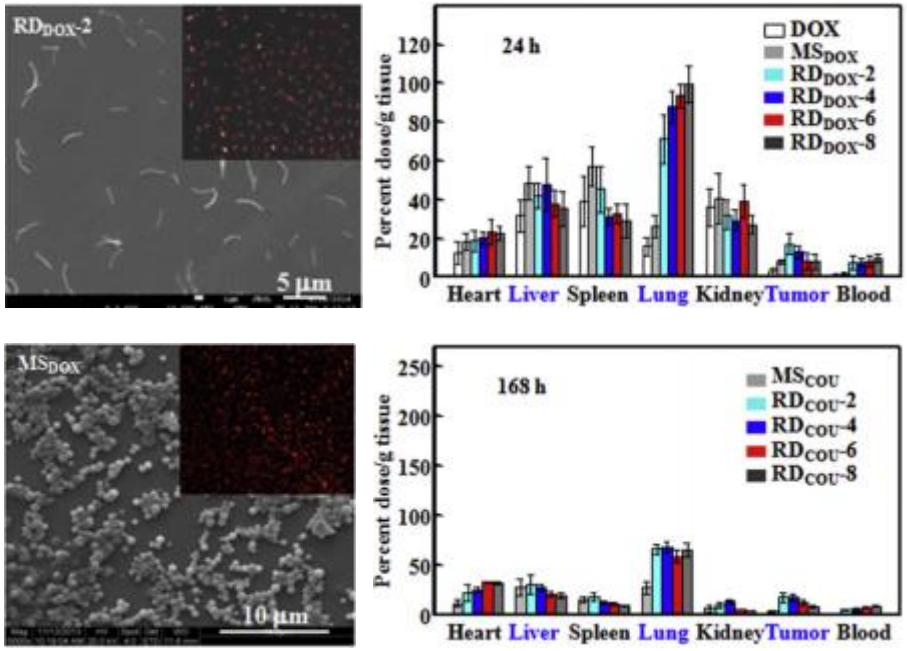
Shape influence

The regulation in the morphology, size, and surface composition of the carrier also affects the therapeutic effect of the DDS.



Lu Y., et al. Adv. Mater., 2001,13:271



Zhang H., et al. J Control. Release, 2016,244:52

With the large specific surface area, high drug-loading capacity, long circulation time and high cell uptake efficiency, the rod-shaped DDS has a better effect than the spherical DDS in the treatment of cancer and other diseases

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Materials & Methods

Preparation

Characterization

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Materials & Methods

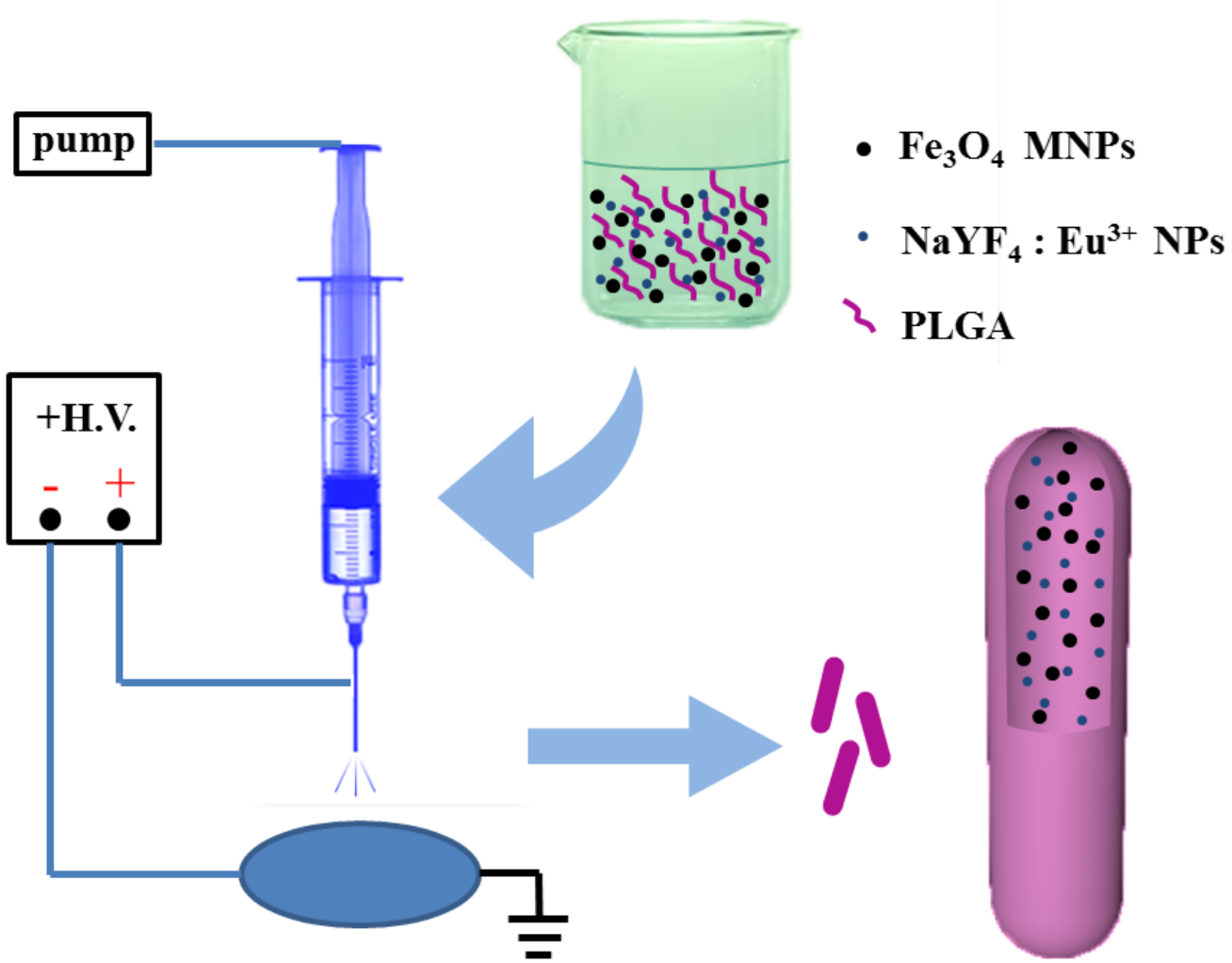

Figure 1. Schematic illustration of the preparation of the $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA magnetic-fluorescent bifunctional microrods.

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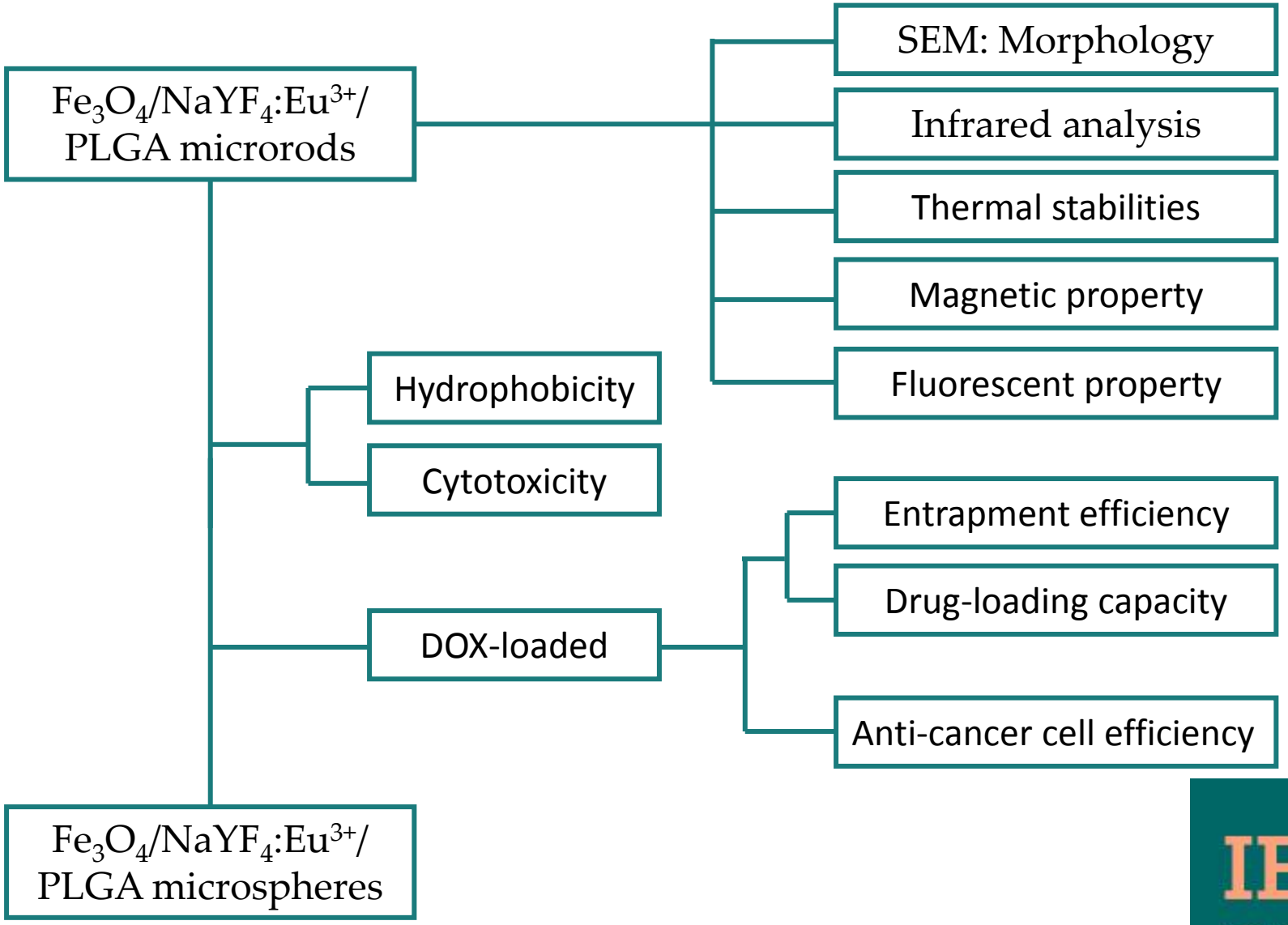
Characterization



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Results & Discussion

- Morphology
- Composition
- Magnetic property
- Fluorescent property
- Hydrophobicity
- Cytotoxicity
- Drug loading capacity
- Anti-cancer cell efficiency

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Morphology

$Fe_3O_4/NaYF_4$: Eu^{3+}/PLGA microrod possessed uniform rod shape.

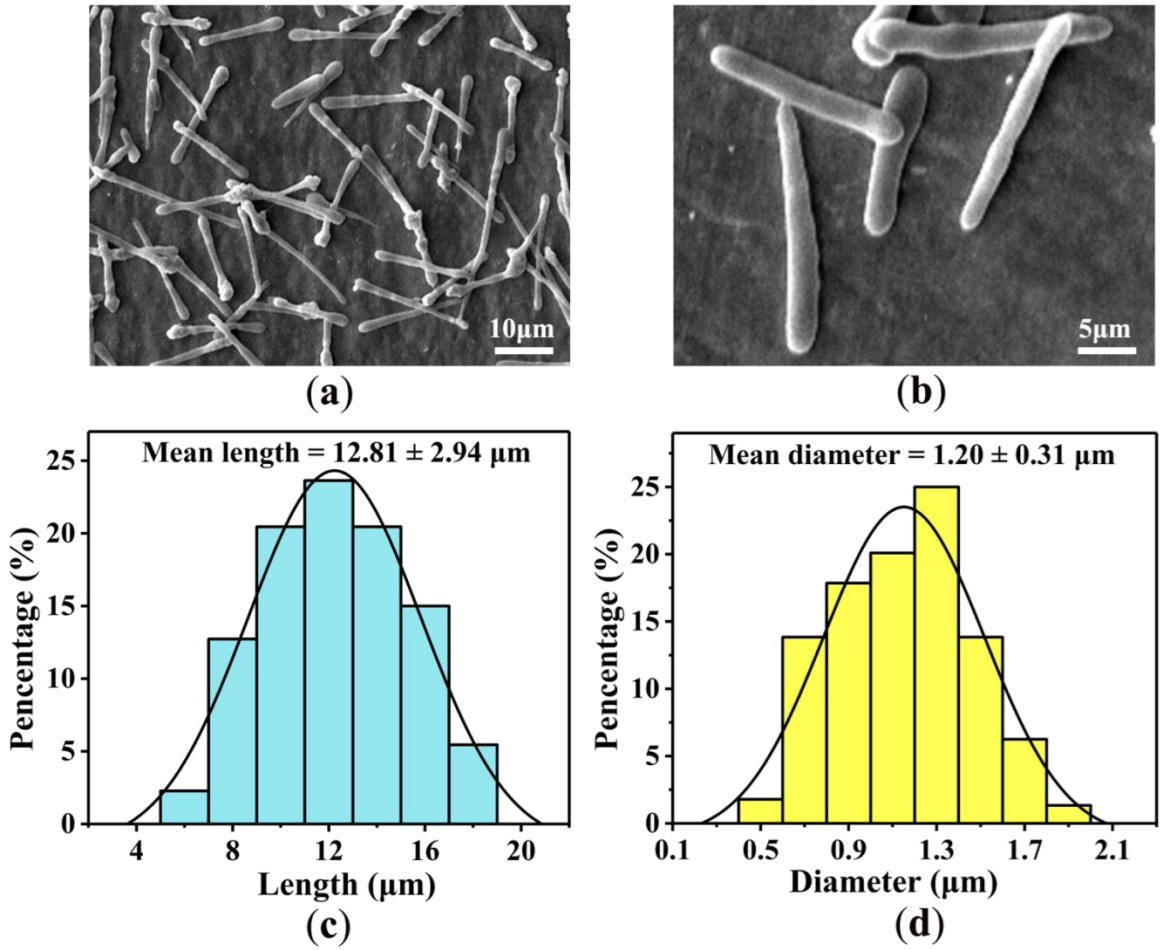

Figure 2. SEM images of $Fe_3O_4/NaYF_4$: Eu^{3+}/PLGA microrods at different magnifications, (**a**) ×5000, (**b**) ×10000; (**c**) length distribution and (**d**) diameter distribution of $Fe_3O_4/NaYF_4$: Eu^{3+}/PLGA microrods.

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Composition

Infrared analysis and thermal stabilities

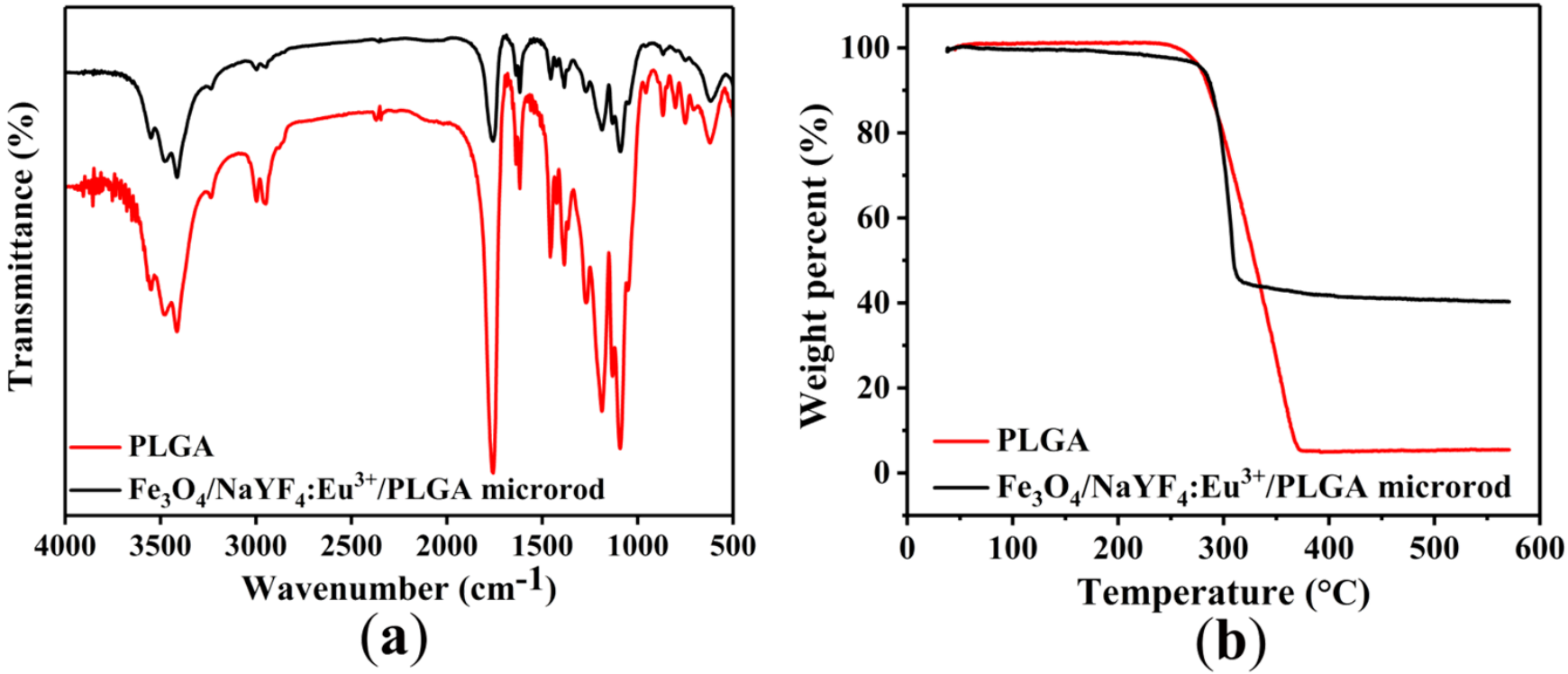

Figure 3. (**a**) FTIR spectra of PLGA and $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods; (**b**) TG curve of PLGA and $Fe_3O_4/NaYF_4$: Eu^{3+}/PLGA microrods.

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Magnetic property

The saturation magnetizations of the pure Fe_3O_4 MNPs and $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods were 67.4 and 4.6 emu/g, respectively.

$Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods still exhibited great magnetic properties.

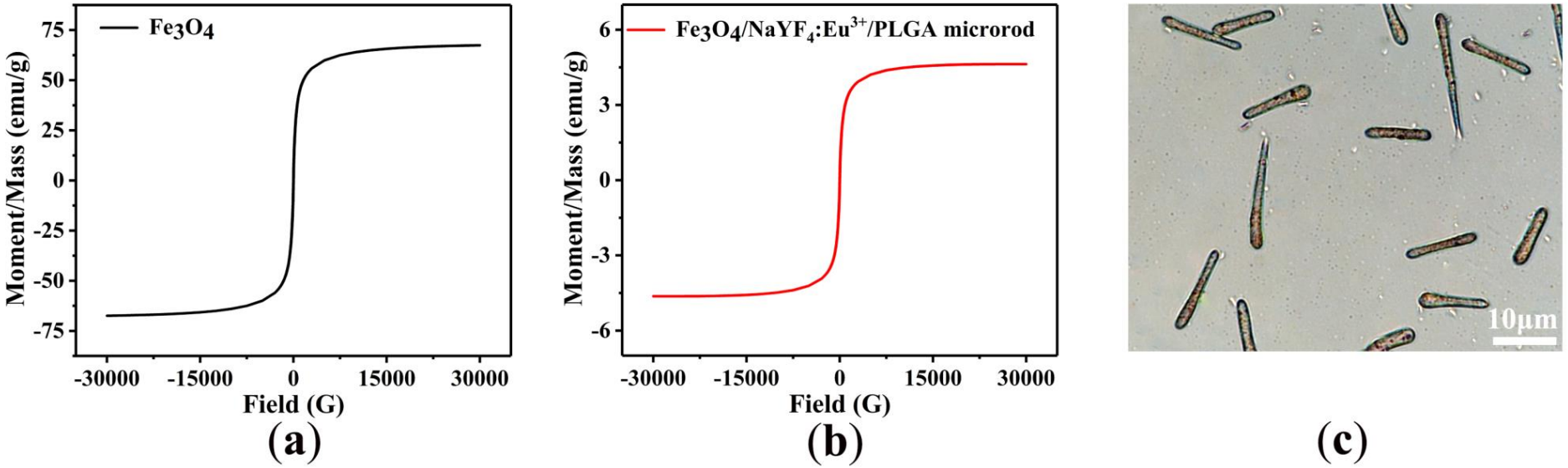

Figure 4. Hysteresis loop of (**a**) pure Fe_3O_4 MNPs and (b) $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods. (c) Optical microscope images of $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods.

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Fluorescent property

The intensity of emission spectra and the fluorescence photograph suggested the excellent luminescent property of $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods which would be a good candidate for bioimaging and drug tracer.

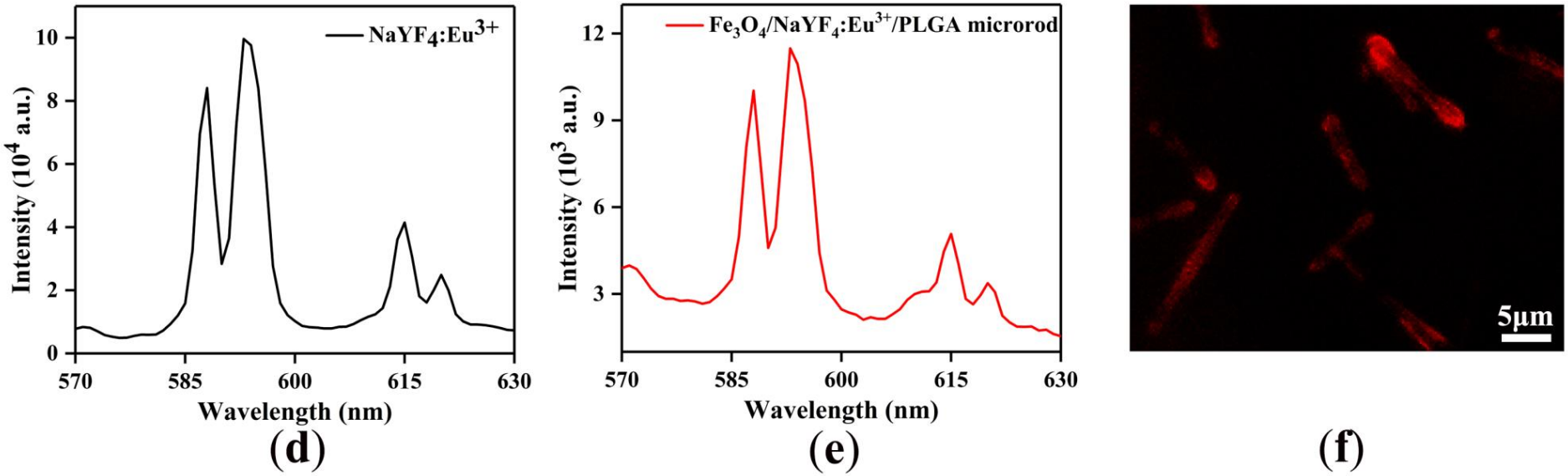

Figure 4. Emission spectra of (**d**) pure $NaYF_4:Eu^{3+}$ NPs and (**e**) $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods. (f) Fluorescent photograph of the $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods.

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Hydrophobicity

The microrods exhibited a smaller contact angle of approximately 9.7 ° than the microspheres, which suggested that microrods were more hydrophilic than microspheres.

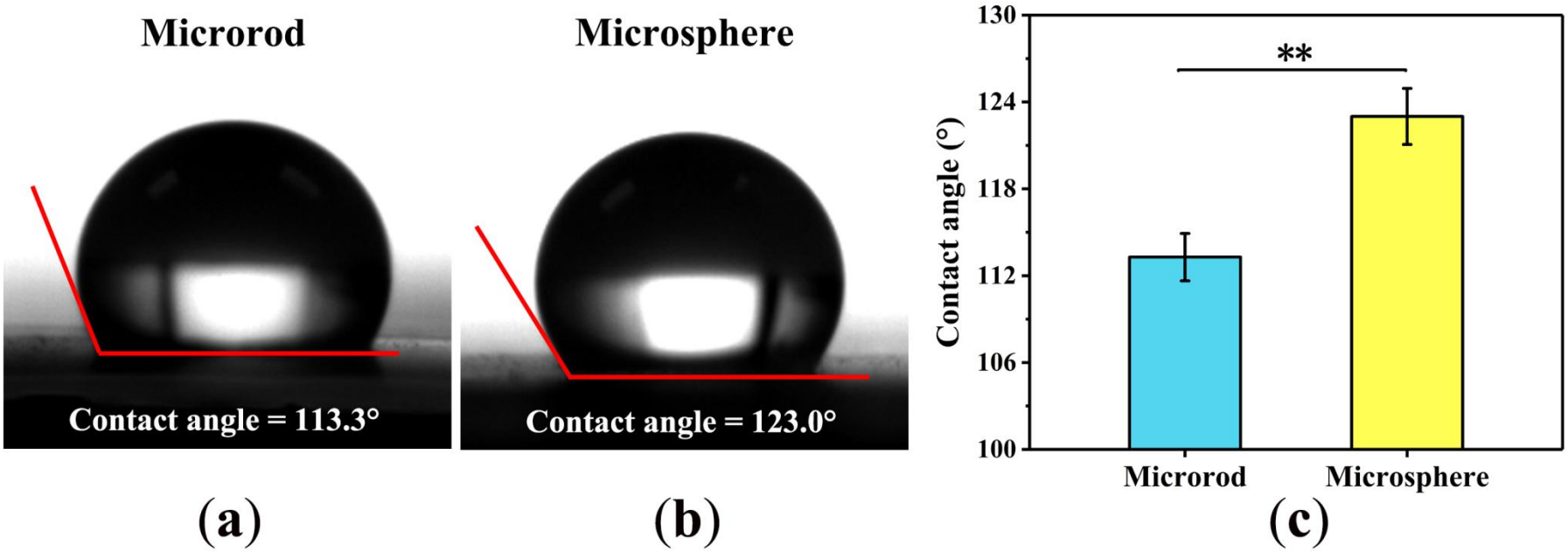

Figure 5. Images of water droplets on (a) $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods and (b) $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microspheres; (c) contact angles and of $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods and microspheres, n=3.

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Cytotoxicity

No significant cytotoxicity to HUVECs and A549 cells !

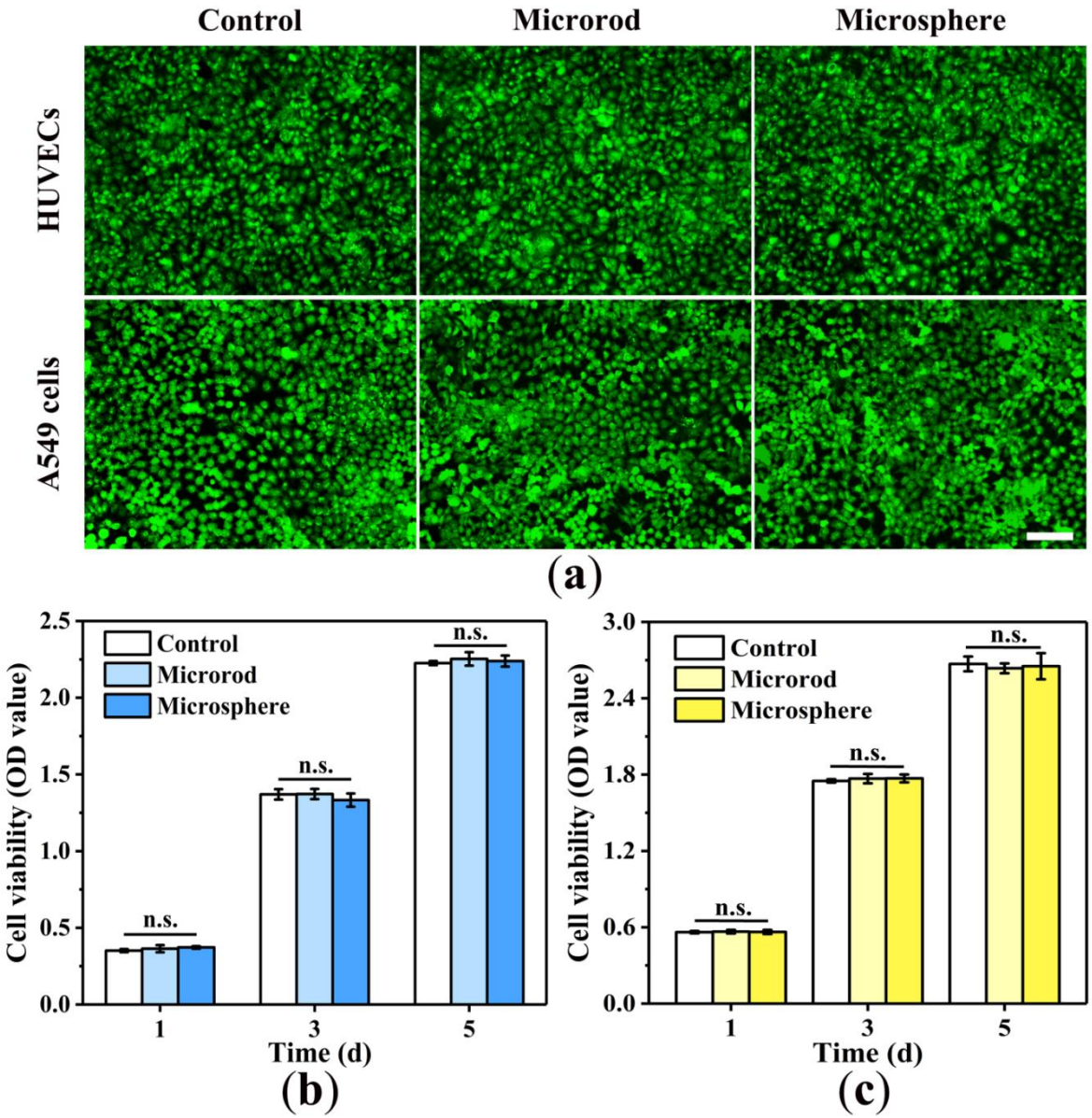

Figure 6. (**a**) Fluorescence images of HUVECs and A549 cells (stained with AO) cultured with microrods or microspheres at the concentration of 1 mg/mL for 5 d, scale bar: 100 μm. *In vitro* cell relative viabilities of (**b**) HUVECs and (**c**) A549 cells co-cultured with $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods or microspheres at the concentration of 1 mg/mL for 1 d, 3 d and 5 d, n=3.

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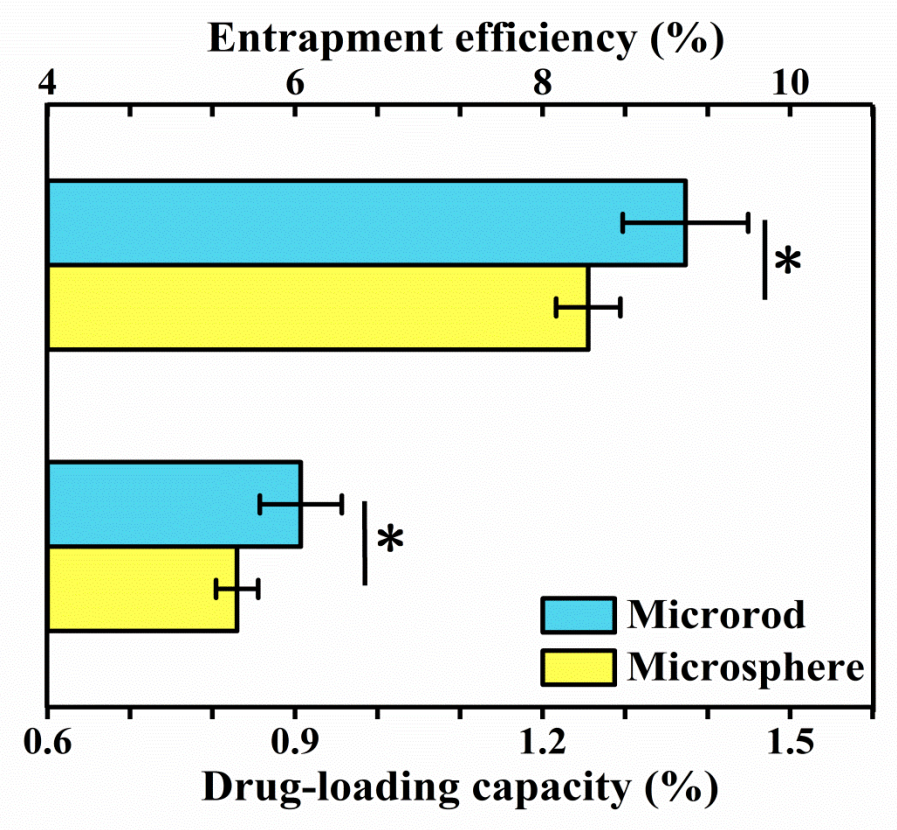
Drug loading capacity

The entrapment efficiency and drug-loading capacity of DOX loaded $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods were 9.153±0.506% and 0.907±0.050%, higher than 8.368±0.259% and 0.830±0.025% of DOX loaded $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microspheres.



The high drug loading capacity might due to the larger specific surface area in comparasion with microspheres.

Figure 7. The calculated EE and LC of DOX loaded $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods and microspheres, n=3.

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Anti-cancer cell efficiency

The drug-loading $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods had stronger killing effects to cancer cells in comparison with microsheres.

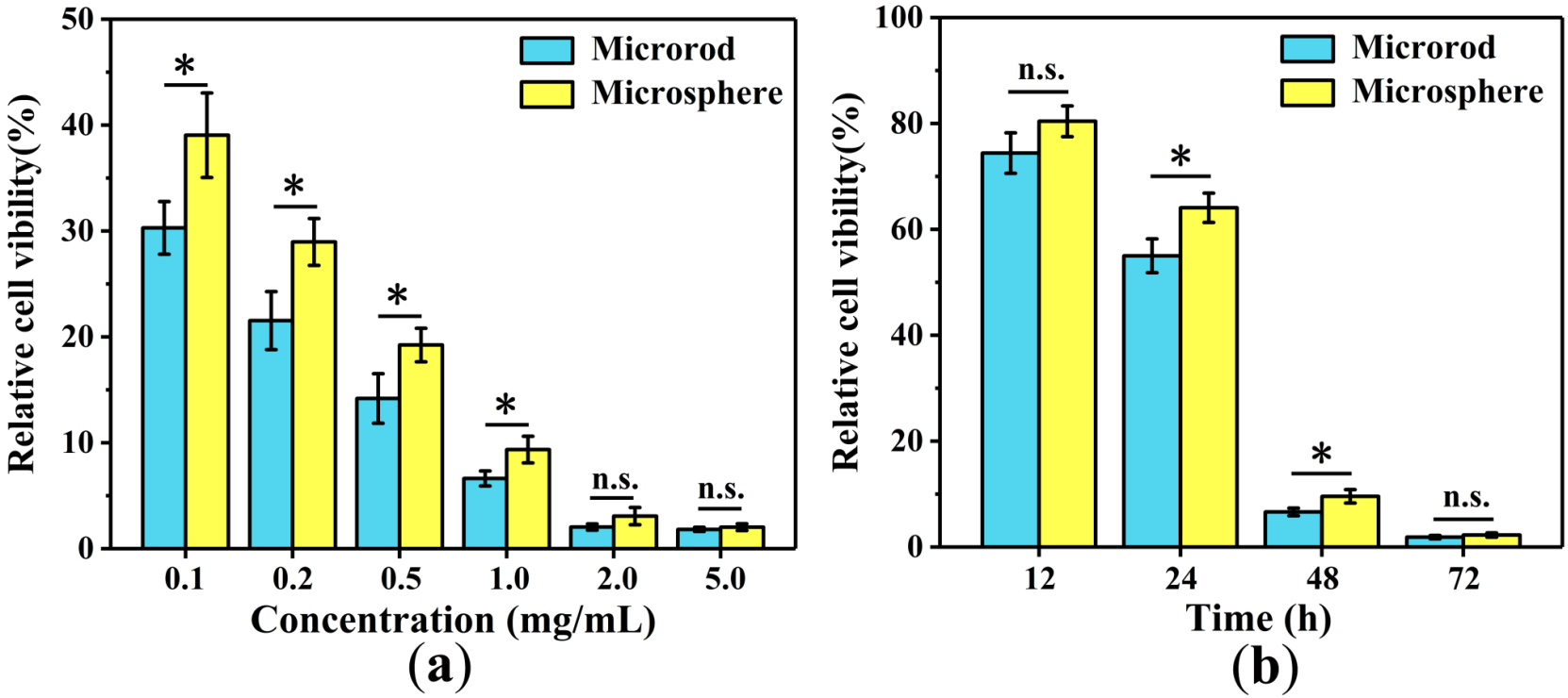

Figure 8. (**a**) *In vitro* A549 cell relative viabilities normalized to the untreated control after co-cultured with DOX loaded $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods or microspheres at different concentrations of 0.1, 0.2, 0.5, 1.0, 2.0 or 5.0 mg/mL for 48 h, n=3. (C) *In vitro* A549 cell relative viabilities normalized to the untreated control at the concentration of 1.0 mg/mL for 12, 24, 48 and 72 h, n=3.

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Conclusions

Conclusions

Acknowledgments

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Conclusions

☺ The $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods showed a good rod.

☺ The microrods had outstanding magnetic and fluorescent properties.

☺ Compared with microspheres, microrods had better hydrophilic property.

☺ there was no obvious cytotoxicity of $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods.

☺ Microrods had a higher entrapment efficiency and drug-loading.

☺ Compared with microspheres, microrods had stronger killing effects to cancer cells (after loading DOX).

In short, this rod-shaped drug carrier, $Fe_3O_4/NaYF_4:Eu^{3+}$/PLGA microrods, with magnetic-fluorescent bifunctional have a good prospect in the field of drug delivery.

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Acknowledgments

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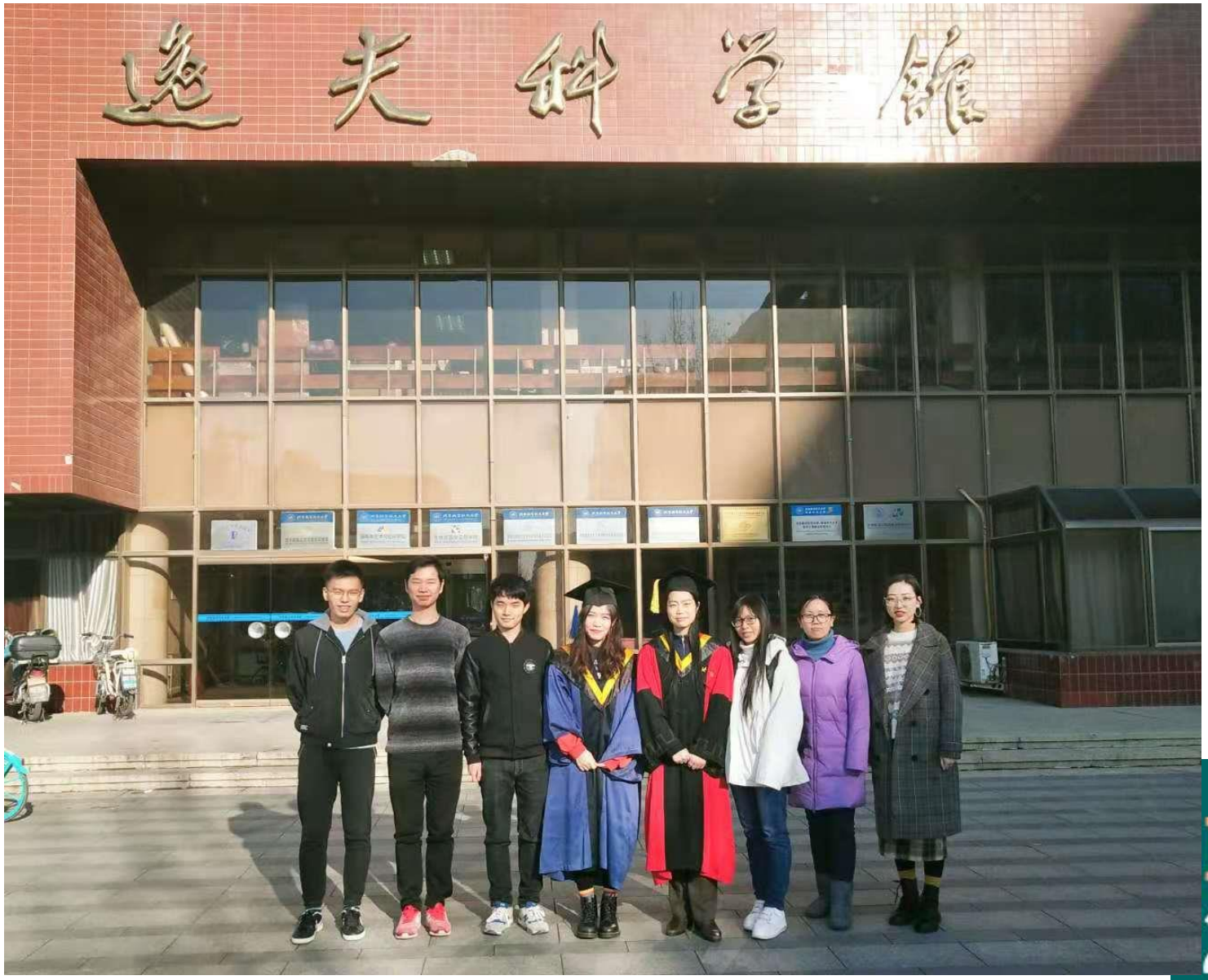
Our group~



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