HIGHLY GLYCOSILATED PORPHYRIN-CORED NANOSTRUCTURES AS FLUORESCENT ANTIVIRAL AGENTS

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BACKGROUND

Due to their highly symmetric geometry, unique physicochemical properties, and versatile multi-functionalization, **fullerenes** have shown important **biological applications**.[1]

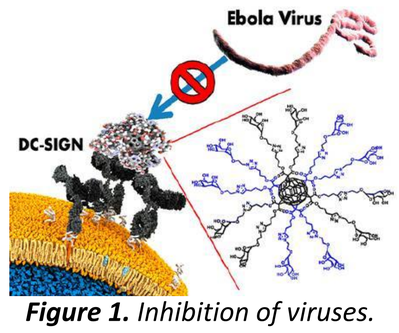


Figure 1. *Inhibition of viruses.*

In this regard, the **Organic Molecular Materials group** has synthesized hexakis-adducts of [60]fullerene endowed with mannoses, known as glycofullerenes, that act as strong **inhibitors for DC-SIGN** receptors at **the subnanomolar scale**. Furthermore, a variety of carbon nanostructures functionalized with carbohydrates have shown their effect for inhibiting infection such as **Ebola, Zika and Dengue** (*Figure 1*).[2]

OBJECTIVE

The aim of this project is to synthesized the first examples where glycofullerenes are covalently linked to a porphyrin core (*Figure 2*) via ***CuAAC*** (Cu-catalyzed Azide Alkyne Cycloaddition) reactions. This strategy will lead to new water soluble nanostructures with multivalent character and a potential use as **fluorescent** probes with **antiviral activity**.

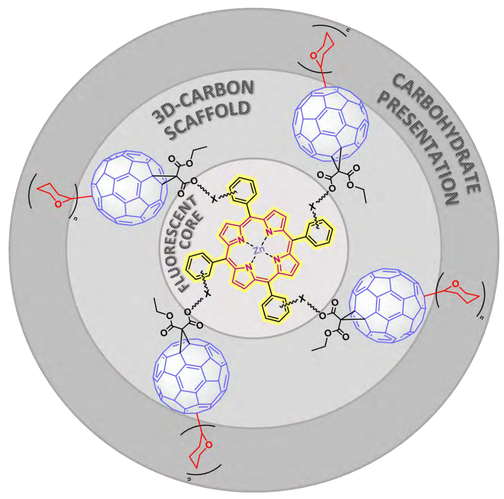
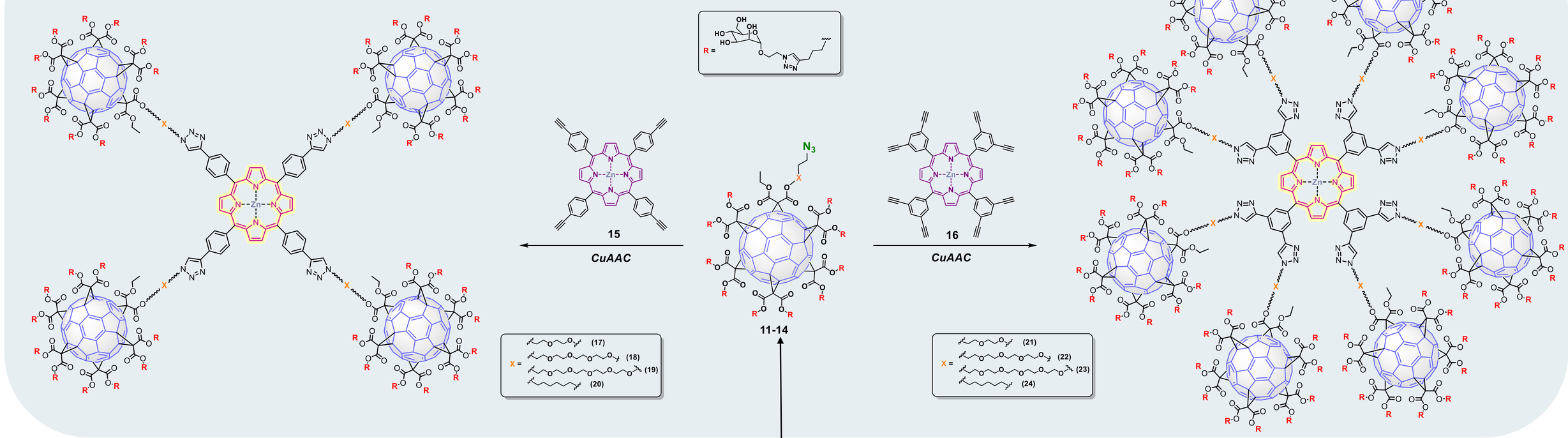


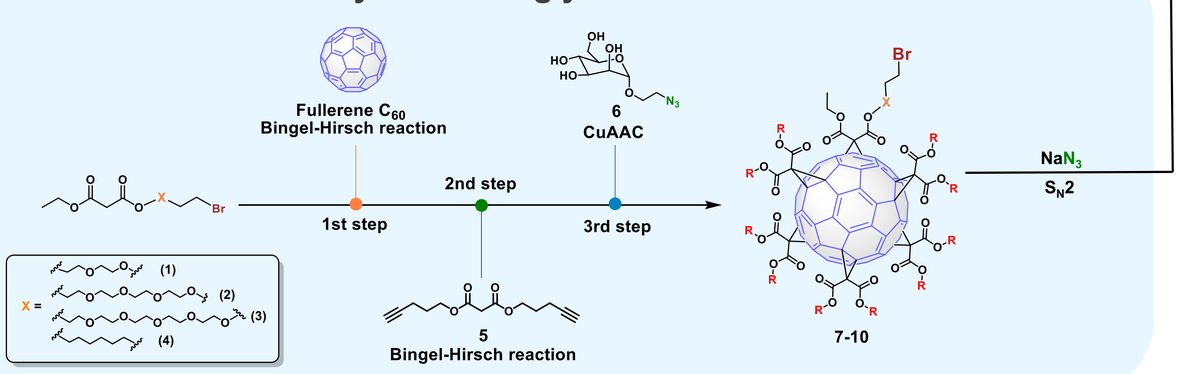
Figure 2. *Target molecules.*

METHODOLOGY AND RESULTS

Synthesis of porphyrin-glycofullerene conjugates



Synthesis of glycofullerenes



Photophysical properties

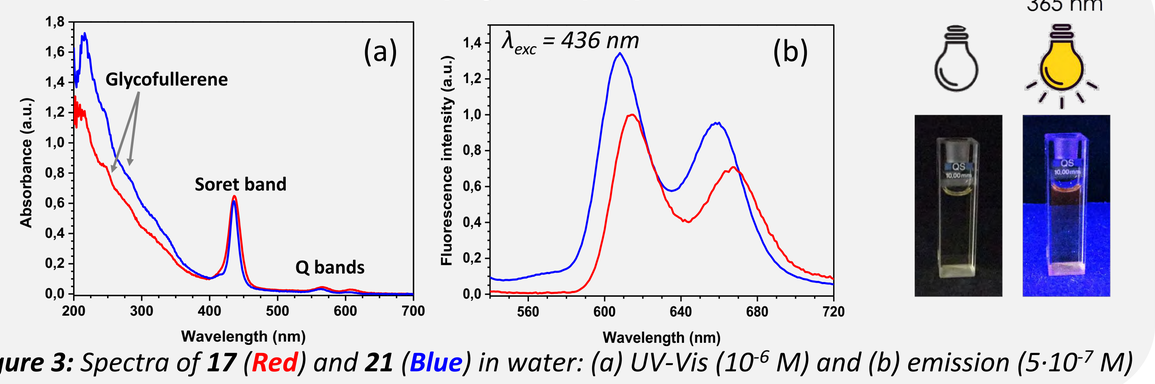


Figure 3: *Spectra of **17** (**Red**) and **21** (**Blue**) in water: (a) UV-Vis (10^{-6} M) and (b) emission ($5{\cdot}10^{-7}$ M)*

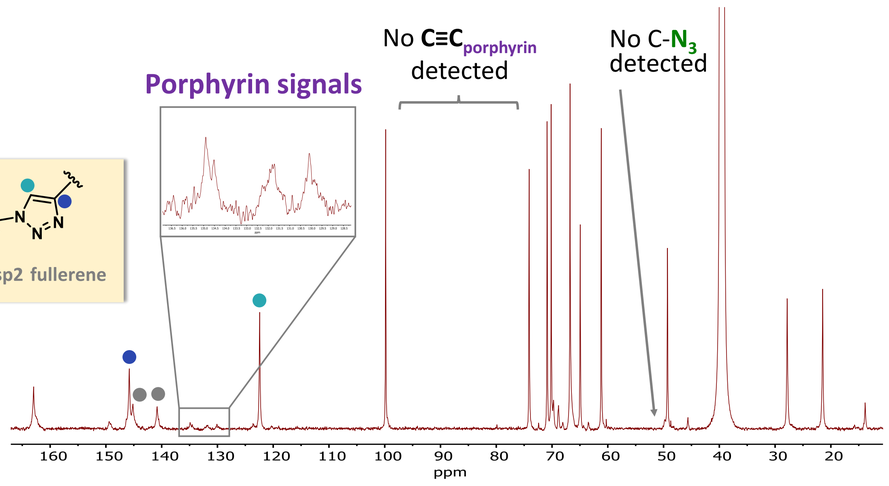


Figure 4: *^{13}C NMR of **17** in DMSO-d_6.*

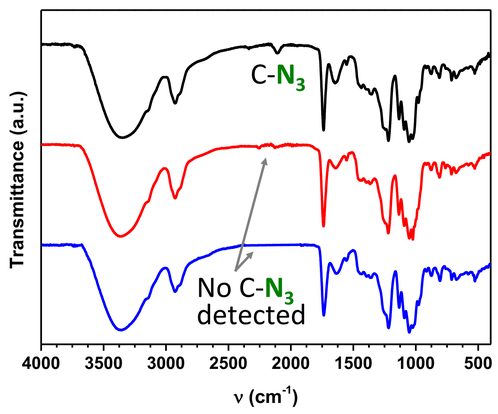


Figure 5: *IR-ATR of **11** (**Black**), **17** (**Red**) and **21** (**Blue**).*

Confocal Microscopy

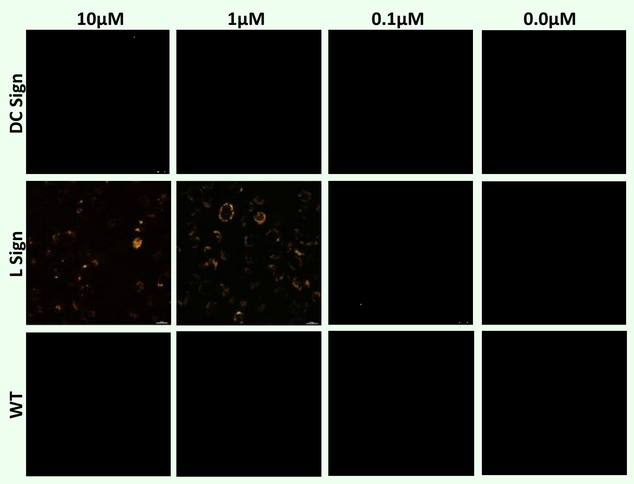


Figure 6: Confocal images of Jurkat cells' uptake of **19** after 2 hours.

CONCLUSIONS

- A synthetic route has been optimized for the preparation of a **new glycofullerenes**.
- These glycofullerenes have been coupled to two different **porphyrin scaffolds** by *CuAAC* to get nanostructures bearing 40 and 80 carbohydrate moieties.
- These nanostructures show water solubility and fluorescent emission.
- *In vitro* studies show that their internalization is DC/L-SIGN mediated, making them **promising antiviral agents**.

REFERENCES

1. Castro, E.; Hernández-García, A.; Zavala, G.; Echegoyen, L. *J. Mater. Chem. B.* **2017**, *5*, 6523.
2. (a) Ramos-Soriano, J.; Pérez-Sánchez, A.; Illescas, B.M.; Rojo, J.; Delgado, R.; Martín, N. Multivalent Glycosylated Carbon Nanostructures. In *Carbon Nanostructures for Biomedical Applications;* The Royal Society of Chemistry: London, 2021; pp. 56-97. (b) Ramos-Soriano, J.; Reina, J.J.; Illescas, B.M.; De La Cruz, N.; Rodríguez- Pérez, L.; Lasala, F.; Rojo, J.; Delgado, R.; Martín, N. *J. Am. Chem. Soc.* **2019**, *141*, 15403.

Comunidad de Madrid
UCM-CAM PR65/19-22339
Atracción de Talento 2018-T2/BMD-10275

GOBIERNO DE ESPAÑA MINISTERIO DE CIENCIA E INNOVACIÓN
PID2020-114653RB-I00

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