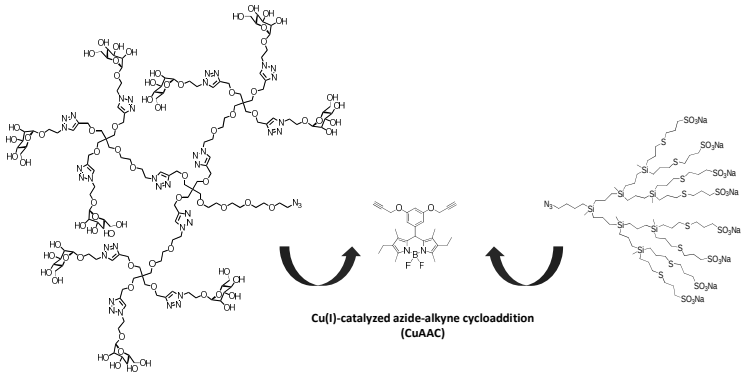
Development of BODIPY-labeled sulphated carbosilane and mannose dendrons as topical microbicides to prevent HIV-1 sexual transmission

José J. Reina,[a] Marta Galán Herranz,[b] María Ángeles Muñoz,[c] Rafael Gomez,[b] Javier de la Mata,[b] and Javier Rojo[a]

a) Laboratorio de Glicosistemas, Instituto de Investigaciones Químicas (IIQ), CSIC – Universidad de Sevilla, Sevilla; b) Departamento de Química Inorgánica, Universidad de Alcalá de Henares, Madrid; c) Hospital General Universitario Gregorio Marañón, Madrid
e-mail: jose.juan@iiq.csic.es

The concept of a 'microbicide' product has been born out due to the unavailability of a vaccine against HIV-1 and the problems of women in negotiating the use of preventive prophylaxis by their partners, especially in developing countries. Different strategies has been followed for the development of HIV-1 microbicides. Two important examples are: the non-specific polyanionic polymers that interact with positive areas of HIV surface and the multivalent systems based on carbohydrates that block dendritic cell receptors like DC-SIGN, mediating HIV infection through recognition of viral envelope glycoprotein gp120.



We have developed two sulphated carbosilane dendrons and two mannose dendrons with different valency labeled with a BODIPY fluorescent tag using "click chemistry" to evaluate their ability to block HIV infection. Additionally, we have developed a compound that combine a sulphate carbosilane dendron and a mannose dendron in a single entity with the objective of producing a synergetic effect, blocking simultaneously some important mechanism used by HIV-1 to achieve its target cells, the T-Cells. This strategy could produce a new combinatorial therapy with two efficient microbicides that prevent HIV infection and dissemination in the early stages of the infection process.

[1] De la Mata, F.J.; Muñoz-Fernández, M.A.; Vacas-Cordoba, E.; Relloso, M.; Sanchéz-Torres, C.; García, F.; Pérez-Ávarez, L.; Pion, M.; Gomez-Ramirez, R.; Arnaiz-Garrido, E. *AIDS* **2013**, *27*, 1219.
[2] Berzi, A.; Reina, J.J.; Ottria, R.; Sutkeviciute, I.; Antonazzo, P.; Sanchez-Navarro, M.; Chabrol, E.; Biasin, M.; Trabattoni, D.; Cetin, I.; Rojo, J.; Fieschi, F.; Bernardi, A.; Clerici, M. *AIDS* **2012**, *26*, 127.
[3] Ribeiro-Viana, R.; Garcia-Vallejo, J.J.; Collado, D.; Perez-Inestrosa, E.; Bloem, K.; van Kooyk, Y.; Rojo, J. *Biomacromolecules*, **2012**, *13*, 3209