MAD-NANO16

[KN3]

Glycodendrimers or Janus Glycodendrimersomes: that is the question?

René Roy

Pharmaqam, Chemistry Department, UQAM, C.P. 8888 succ. Centre Ville, Montreal, Qc, H3C 3P8, Canada. E-mail: roy.rene@uqam.ca

The modular synthesis of several libraries of self-assembling amphiphilic Janus dendrimers with sugar head groups will be presented in light of the stability, size, and bioactivity of the resulting liposomes formed [1]. These unprecedented sugar-containing dendrimers were denoted as amphiphilic Janus glycodendrimers. Their self-assembly by simple injection of organic solution into water or buffer and by hydration was analyzed by a combination of methods including dynamic light scattering, confocal microscopy, cryogenic transmission electron microscopy (TEM), Fourier transform analysis, and micropipet-aspiration experiments to assess mechanical properties. These libraries revealed a diversity of hard and soft assemblies, including unilamellar spherical, polygonal, and tubular vesicles. These assemblies are stable over time in water and in buffer, exhibit narrow molecular-weight distribution, and display dimensions that are programmable by the concentration of the solution from which they were injected. This study highlighted the molecular principles leading to single-type soft glycodendrimersomes assembled from amphiphilic Janus glycodendrimers. The multivalency of glycodendrimersomes with different sizes and their ligand bioactivity were demonstrated by selective agglutination with a diversity of sugar-binding protein receptors including leguminous, bacterial, and mammalian lectins. This novel approach will be compared to the complex syntheses of glycodendrimers using glyconanosynthons strategy [2].

In addition, an accelerated modular synthesis with three different topologies formed from either two or one carbohydrate head groups or a mixed hybrid thereof with a hydrophilic arm was also achieved to evaluate the effects of the relative sugar densities upon protein binding [3]. The hybrid structures were the most efficient in lectin bindings. These results demonstrated the candidacy of glycodendrimersomes as new mimics of biological membranes with programmable glycan ligand presentations [4] as supramolecular lectin blockers, vaccines, and targeted delivery devices.

References

- [1] Percec, V.; Leowanawat, P.; Sun, H.-J.; Kulikov, O.; Nusbaum, C.D.; Tran, T.M.; Bertin, A.; Wilson, D.A.; et al. J. Am. Chem. Soc., **2013**, 135, 9055.
- [2] Roy, R.; Shiao, T.C. *Chem Soc. Rev.*, **2015**, *44*, 3924.
- [3] Zhang, S.; Moussodia, R.-O.; Sun, H.-J.; Leowanawat, P.; Muncan, A.; Nusbaum, C.D.; Chelling, K.M.; Heiney, P.A.; et al. Angew. Chem Int. Ed., 2014, 53, 10899.
- [4] Zhang, S.; Moussodia, R.-O.; Murzeau, C.; Sun, H.-J.; Klein, M.L.; Vértesy, S.; André, S.; Roy, R.; et al. Angew. Chem. Int. ed., 2015, 54, 4036.