Fullerene – biomolecule conjugates as a drug delivery system – synthesis and analysis

Kamila Butowska, Laboratory of Biophysics, Intercollegiate Faculty of Biotechnology UG&MUG

Supervisor: prof. UG, dr hab. Jacek Piosik

Coupling a fullerene with doxorubicin, an anticancer drug considered to be one of the most effective medicines, may mitigate its most dangerous cardiotoxic side effects.

The fullerene–doxorubicin conjugate (Ful-DOX) was obtained (**Fig. 1**) based on the malonic diester scaffold *via* the modified procedure described by Lu et al. [1]. An alcoholysis reaction of malonyl chloride was followed by functionalization with the fullerene C_{60} (Bingel-Hirsch addition) and the selective hydrolysis of tertiary esters. Next, activation reaction in the presence of DCC (*N,N'*-Dicyclohexylcarbodiimide) and NHS (*N*-Hydroxysuccinimide) led to the *N*-succinimide activated diester which was coupled with doxorubicin to give the final conjugate.

The identity of the obtained product was confirmed with ^{1}H-NMR and mass spectrometry. Ful-DOX conjugate was investigated by UV-Vis Spectroscopy, Dynamic Light Scattering, to determine biological activity of Ful-DOX MTT assay was employed.

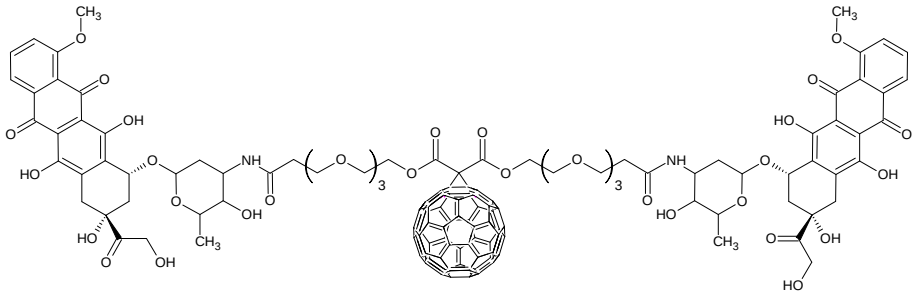

Fig. 1. Chemical structure of fullerene–doxorubicin conjugate based on the malonic diester scaffold.

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References:

[1] F. Lu, S. A. Haque, S-T. Yang, P. G. Luo, L. Gu, A. Kitaygorodskiy, H. Li, S. Lacher and Y-P. Sun, Aqueous Compatible Fullerene-Doxorubicin Conjugates,
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