Scientists proposed a way of producing water-soluble fullerene compounds for medicine

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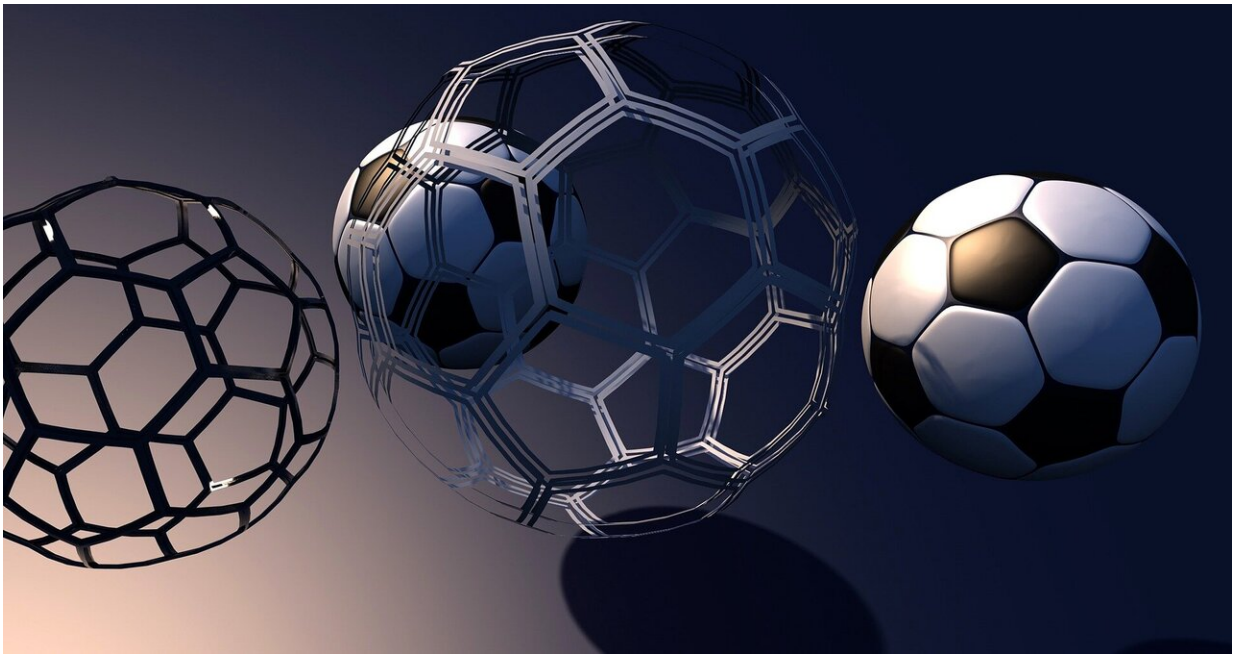

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Scientists from the Skoltech Center for Energy Science and Technology (CEST) and the Institute for Problems of Chemical Physics of Russian Academy of Sciences in collaboration with researchers from the Catholic University of Leuven (Belgium) have developed a single-step method to obtain water-soluble fullerene compounds with remarkable biological properties, such as the ability to effectively suppress the

human immunodeficiency virus (HIV).

Uncommon molecular forms of carbon, C_{60} and C_{70} fullerenes are shaped as a soccer ball and a rugby ball, respectively, depending on the number of atoms in the molecule. Fullerene-based compounds have long been believed to provide a good basis for new drugs, thanks to their strong antiviral, antibacterial, antitumor and antioxidant effects.

The downside is that fullerenes are totally insoluble in water, which prevents their use in medicine. The existing classical methods of synthesis of water-soluble compounds directly from fullerenes provide low product yields through several complex stages of synthesis. Therefore, these methods are inefficient and can hardly work for industrial-scale production of water-soluble fullerene compounds for pharmaceutical applications.

The team led by CEST Professor Pavel Troshin has extensive experience in the synthesis of water-soluble fullerene derivatives. In their latest study, they proposed an effective single-step method to produce stable water-soluble fullerene derivatives displaying high anti-HIV activity. The new method enables a high yield of nearly 100% and does not require lengthy and labor-consuming chromatographic purification, which opens up new horizons for synthesizing fullerene derivatives on any scale to suit the needs of the pharmaceutical industry.

"Although high antiviral activity of fullerene derivatives was discovered over 20 years ago, these unique compounds are too difficult to obtain, and for this reason, have been unavailable for clinical trials. We hope that our simple single-step method of synthesizing water-soluble fullerene compounds will help to solve this issue and take us one step closer to creating effective antiviral drugs on their basis," says the first author of the paper and Skoltech Ph.D. student Olga Kraevaya.

The team's latest research opens up new opportunities for the directional design of water-soluble fullerene derivatives with a specified set of properties, which, in the longer term, will help bring to the market the new-generation drugs based on these compounds.

More information: Olga A. Kraevaya et al, Direct arylation of C60Cl6 and C70Cl8 with carboxylic acids: a synthetic avenue to water-soluble fullerene derivatives with promising antiviral activity, *Chemical Communications* (2019). DOI: 10.1039/C9CC08400B

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