

Fullerenes

Subjects: **Nanoscience & Nanotechnology**

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Buckyball clusters or buckyballs, also known as endohedral fullerenes, include fullerenes, buckminsterfullerene, and C60, which are composed of fewer than 300 carbon atoms.

Fullerenes

Buckyballs

drug delivery

1. Introduction

Fullerenes possess numerous functional points that permit the attachment of chemical groups of targeting ligands in three-dimensional orientations, which could facilitate cellular targeting. It is also possible to modify their allotropes to optimize pharmacokinetic characteristics, therapeutic effects, and other attributes such as size, hydrophilicity, and colloidal stability in a biological environment.

2. Techniques for the Fabrication of Fullerenes

Fullerenes can be synthesized via the pyrolysis of polycyclic aromatic hydrocarbon as naphthalene, corannulene, or higher polycyclic compounds. These compounds are decomposed at high temperatures (around 1000 °C) with the cleavage of hydrogen bonds and production of mainly C60 and C70, in the presence of an inert gas (argon). Fullerenes can also be fabricated by an arc-discharge method between two graphite electrodes by applying high voltage. The discharge induces vaporization of the graphite with the formation of plasma. Fullerenes are synthesized by condensation of the graphite plasma in particles that get deposited onto the reactor walls ^[1].

3. Functionalization of Fullerenes

Fullerenes are functionalized to overcome the problems of poor water solubility and low solubility in several organic solvents ^[2]. Their surface can be functionalized by the use of solubilizing agent complexation to partially mask the surface of the fullerenes and by covalent functionalization ^[3]. The presence of double bonds in the fullerene structure lends them to be modified greatly by functional groups of choice ^{[4][5]}. Fullerenes are comprised of carbon of erratic size and molecules that look like a hollow sphere or tube. They are composed exclusively of carbon in varying sizes resembling a hollow sphere, ellipsoid, or tube ^[6] with a strong apolar character that enables them to develop into lipid-like systems that can easily cross biological membranes ^[7].

4. Fullerenes for Drug Delivery

Zhao's group prepared a fullerene-based (C₈₂) DOX-loaded, cyclic RGD (DOX-C₈₂-cRGD) complex for lung cancer treatment that possessed probable clinical effectiveness [8]. Hazrati and co-workers constructed a C₃₀B₁₅N₁₅ heterofullerene carrier system by employing the density functional theory for the delivery of isoniazid. They reported that the interaction of the -NH₂ group of isoniazid with the boron atom of the fullerene engendered a high amount of energy, which altered the fluorescence emanation of the C₃₀B₁₅N₁₅ that caused the parting of isoniazid from the surface of the carrier by proton attack at a low pH of cancerous tissues [9].

Tan and co-workers fabricated a biocompatible and water-soluble fluorescent fullerene (C₆₀-TEG-COOH)-coated mesoporous silica nanoparticle, which delivered the DOX in a pH-responsive manner, and the cellular uptake of nanoparticles was detected via the green fluorescent property of the C₆₀ [10]. Fullerenes have also found their application in the delivery of anti-inflammatory agents. Recently, C₆₀ fullerenes have been recognized to persuade the suppression of Ag-driven type-I hypersensitivity through the prominent prevention of an IgE-dependent mediator. Hence, they can manage the mixt mast-cell-dependent allergic inflammations, asthma, inflammatory arthritis, heart diseases, and multiple sclerosis [11]. Also, fullerenes exhibit anti-inflammatory properties by stabilizing mast cells and peripheral blood basophils and inhibiting the release of proinflammatory mediators [12].

5. Fullerenes for Antibody/Antiviral Delivery

Fullerenes due to their excellent surface structural properties have also been utilized in the delivery of antibodies. Ashcroft and co-workers successfully conjugated antibodies to fullerenes by using a novel water-soluble C₆₀ derivative as the fullerene scaffold. The scaffold was modified through the Bingel–Hirsch reaction and an antibody ZME-018 was covalently attached through the disulfide bridge exchange. ZME-018 explicitly targets the gp240 antigen present in over 80% of the human melanoma cells [13]. Fullerenes were also functionalized to produce a photoactive stage for the distant deactivation of viruses and bacteria. Kim and his group fabricated a substratum of hot-pressed silica particles placed on a metallic substrate functionalized with 3-aminopropyltriethoxysilane and amine groups to covalently couple the fullerenes. The research group studied the inactivation of the MS2 bacteriophage at predefined distances from the irradiated surface and reported disinfection of the bacteria and virus by the immobilized C₆₀ in the gas phase via photosensitization, contrary to singlet oxygen (¹O₂), which was effective up to around 10–15 cm from the surface [14].

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