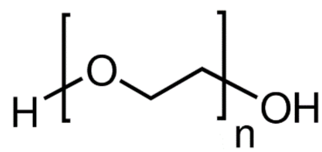
PEGylated Nanoparticles 101

PEGylated nanoparticles are powerful new synthetic tools with differing properties to their nanoparticle precursors. Polyethylene glycol (PEG) can be coated around nanoparticles to alter their properties and offer fascinating new biomedical applications. In particular, the bioconjugation of PEGylated nanoparticles opens the door to novel targeted drug delivery techniques.

What is a pegylated nanoparticle?

A PEGylated nanoparticle is any type of nanoparticle that has been coated with polyethylene glycol (PEG) covalently or non-covalently.

There are many methods to PEGylate a nanoparticle which depends on the desired application and starting nanoparticles. Fundamentally, PEGylation is achieved by incubating a reactive PEG derivative with the targeted nanoparticle. Gold and iron nanoparticles are often used because they are well-studied in terms of synthesis and biological applications.



Structure of polyethylene glycol (PEG).

Why are pegylated nanoparticles utilized?

PEGylated nanoparticles offer a range of advantages over non-PEGylated nanoparticles. These properties make them ideal for biomedical applications and many of these reasons derive from the properties of PEG itself.

PEGylated nanoparticles are utilized because of PEG's high solubility in a range of solvents, reduced immune response, and the ability to selectively target specific tissues by changing the size and shape of the PEG chain attached to the nanoparticle.

1. PEG has a high solubility in aqueous and organic solutions

In the early 1970s when the concept of coating molecules with polymers was first studied, PEG was selected because of its high solubility in a wide range of solvents including water and common organic solvents such as acetone, alcohols, and chloroform. The hydrophilic character of PEG allows PEGylated nanoparticles to have better solubility in the body and increases resistance to removal by the kidneys.

2. PEGylated nanoparticles have a reduced immune response

PEG is a biocompatible polymer that does not induce an immune response from the body. It is also non-toxic. The PEGylation of a nanoparticle confers many of these properties to the PEGylated nanoparticle. These properties are sometimes described as creating "stealth" particles that are invisible to the immune system. However, there can still be an immune response from the body, but it is usually greatly reduced. This leads to better outcomes such as prolonged circulation lifetimes of the nanoparticle and decreased risk of an allergic reaction in some cases.

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3. PEGylated nanoparticle size and shape affect their properties in the body

By adjusting the size and shape of the PEG polymers that are attached to the nanoparticle, the size and shape of the resulting PEGylated nanoparticle can be controlled. The variable size of PEGylated nanoparticles results in different pharmacokinetics and biodistribution around the body.

For example, larger PEGylated nanoparticles are more resistant to renal filtration because of the resulting size increase. This is because the macromolecule attracts a shell of water molecules which increases their hydrodynamic volume.

Applications of pegylated nanoparticles

In many cases, PEGylated nanoparticles will need to be labeled to be used in a specific application. Using a label, it's possible to track the PEGylated nanoparticles and prove efficacy. So, let's explore why bioconjugation of PEGylated nanoparticles to labels is popular in literature.

Nanoparticle molecular labels utilized on PEGylated nanoparticles include biotin, various types of fluorophores such as cyanines, fluoresceins and rhodamines, or drugs such as Doxorubicin.

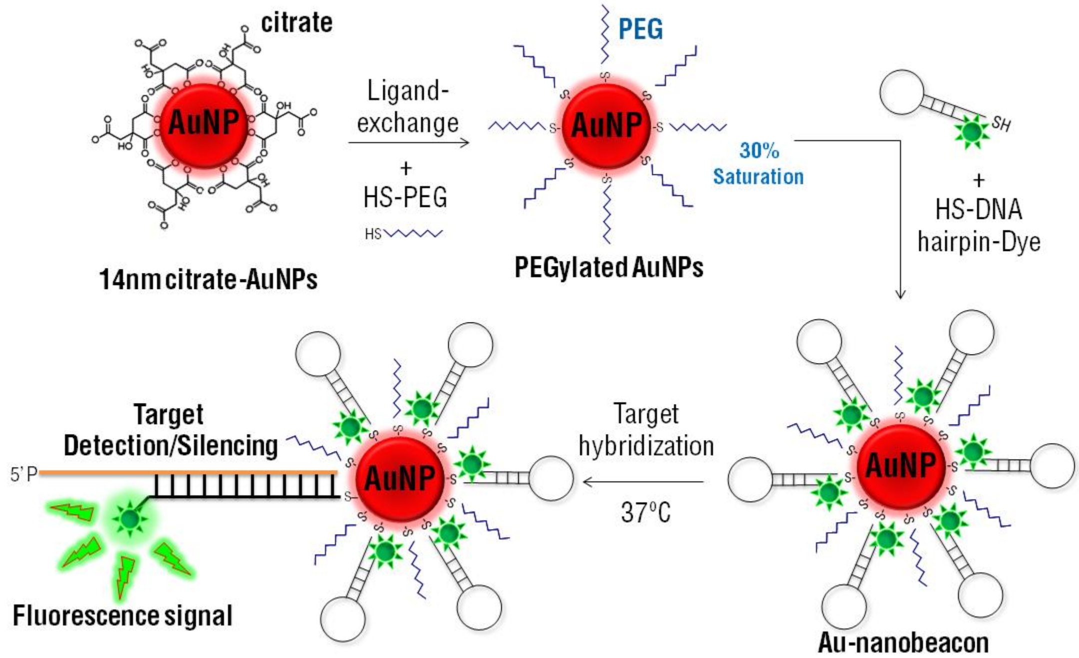
1. Biotin labeled pegylated nanoparticles

Biotin is a water-soluble vitamin sometimes known as vitamin B_7. It's used widely in the body and is linked to the utilization of fats, carbohydrates, and amino acids. It also contributes to the health of the hair, skin and nails. In biotechnology, it is often used for its ability to isolate biomarkers in the body for assays. Biotin-labeled PEGylated nanoparticles compounds can be used to create biosensors and have been used in the detection of proteins and nucleic acids.

2. Fluorophore labeled pegylated nanoparticles

To understand the life of a PEGylated nanoparticle in the body, a useful technique is to attach a fluorophore to the macromolecular structure to allow for imaging techniques. A fluorophore is a molecule that fluoresces when excited by a specific wavelength of light. The fluorescence can be detected in a variety of ways and used for imaging. Common fluorophores in bioimaging applications include cyanines, rhodamines, and fluorescein.

For example, fluorescein-doped PEGylated silica nanoparticles were used to pass the blood-brain barrier and perform imaging. In another example, PEGylated Cy5-PLA nanoparticles was used to study for lymphatic system tracking. They intended for this technique to be used for tracking cancer through the body.



Schematic of the conjugation protocol to achieve a smart gene silencing tool based on AuNPs functionalized with a fluorophore labelled hairpin-DNA – Gold nanobeacon (Au-nanobeacon). This system effectively detects and silences the specific target (siRNA, microRNA or gene specific messenger RNA) while simultaneously signaling its action via fluorescence emission in cells.

One example is the synthesis of a gold nanoparticle-based nano beacon (Au-nano beacon) as an innovative theranostic approach for detection and inhibition of sequence-specific DNA and RNA for in vitro and ex vivo applications.

3. Drug labeled pegylated nanoparticles

PEGylated nanoparticles can be labeled with drugs for drug delivery applications. The drug-PEGylated nanoparticle macromolecule has a reduced overall immune response and a resistance to metabolism before it reaches its target site. Drug labeled PEGylated nanoparticles can even be designed to allow for controlled release of the drug into the body.

The applications of PEGylated nanoparticles have created new opportunities for advanced drug delivery techniques. For example, PEGylated nano-graphene oxide loaded with cisplatin and doxorubicin was used for anticancer drug delivery in cancer chemotherapy. The drug-loaded PEGylated nanoparticles were able to effectively deliver the drugs into cancer cells with better effectiveness than the single drug delivery system, or the free drugs administered normally.