Fullerene-Based Amino Acids as "Passkeys" for Intracellular Delivery

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Technology description

Challenge

The use of cell penetrating peptides (CPPs) is a current strategy for efficient intracellular delivery of drugs. Such cellular penetration can enhance the therapeutic effectiveness of the administered drug, but wider use of CPPs for intracellular delivery is hindered by their toxicity and the limited range of available peptide sequences.

Solution

A new series of compounds developed at Rice University combines fullerene with bioactive residues to give “Bucky amino acids”. These compounds have potential use in pharmaceutical development and protein engineering.
Conjugation of the Bucky amino acid to a cationic peptide enables the transport of a wide range of sequences into the cytoplasm or nucleus of various cell types including neuroblastoma and liver cancer cells. In this design, fullerenes act as a “passkey” that allows the drug to penetrate cellular membranes. Control peptides that lack the Bucky amino acid residue do not pass through the cellular membrane.

Application area

Peptides that incorporate Bucky amino acid could represent a new delivery platform for membrane impermeable drugs or other cancer therapeutics.

Advantages

Bucky amino acid residue stable under physiological conditions and readily added to peptide sequences
Incorporation of Bucky amino acid residue creates new class of possible CPPs
Bucky amino acid effects delivery to cytoplasm or nucleus of cell

Bucky amino acid resembles a natural amino acid in its structure (no ester or amide linkage between the fullerene and residue)

Institution

Rice University