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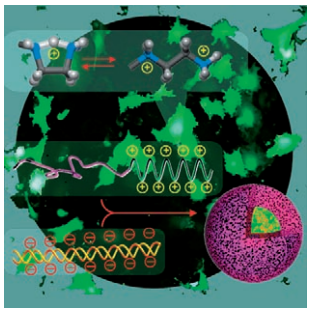
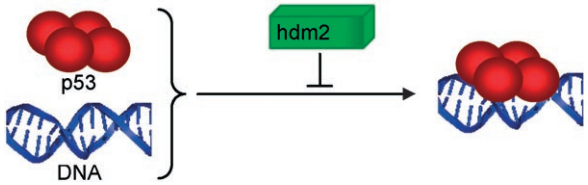
COVER PICTURE



The cover picture shows highly transfection-efficient and less toxic polyplex micelles formed by the electrostatic interaction between DNA and block catiomers equipped with an ethylenediamine unit at the side chain. The catiomers undergo a conformational transition of the ethylenediamine unit from the *gauche* (mono-protonated) to the *anti* (di-protonated) form as the pH decreases from physiological to acidic conditions, providing a high buffering capacity for efficient transfection. The polyplex micelles showed effective and non-cytotoxic transfection of a green fluorescent protein (GFP) gene into mouse primary osteoblast cells (background). For more details, see the full paper by N. Kanayama et al. on p. 439 ff.

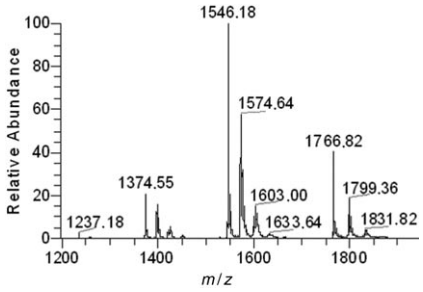
NEWS

REVIEWS



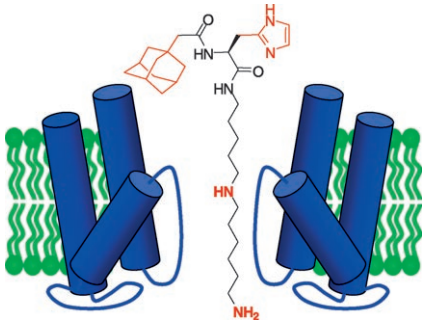
Blocked at the interface: The discovery and design of small molecules that impede specific protein–protein interactions such as that between p53 and hdm2 represent a research field with strong potential for the development of anticancer drugs. This field is faced with some unique challenges that are different from those faced by drug-discovery programs focused on enzyme inhibitors.

COMMUNICATIONS

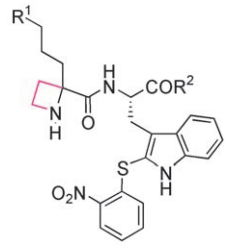


Under the right conditions, as shown by high-quality ESI-MS spectra, a variety of platinum–protein adducts are formed from the reaction of various platinum drugs with cytochrome c. Met65 is proposed as the primary site for platinum coordination. Direct comparative information was obtained on the reactivity of these classical anticancer platinum compounds with proteins, and the mechanistic and methodological implications of these findings are discussed.

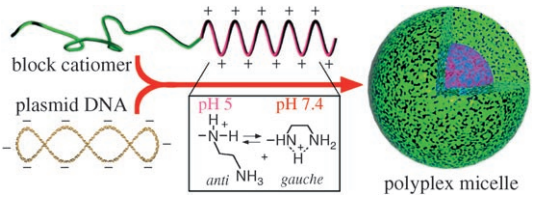
FULL PAPERS



Spiders and wasps produce small-molecule toxins that are known to affect important receptors in the brain. A small library of derivatives of such structures was prepared, providing very potent and highly selective blockers of certain glutamate-gated ion channels, which are potential leads for the treatment of neurodegenerative diseases.



Under restraint. The first experimental evidence for the vanilloid receptor as the possible molecular integrator of the central analgesic activity displayed by Trp(Nps)-containing dipeptides is provided. The incorporation of conformationally restricted azetidine-derived Arg (shown in pink), led to more selective derivatives than the reference linear compounds in some instances.



A polymer that delivers! A poly(ethylene glycol)-based block catiomer with an ethylenediamine unit as a side chain was prepared by an aminolysis reaction, and its utility as a gene carrier was investigated. This polymer provided efficient and less toxic transfection even toward primary cells.

Supporting information on the WWW (see article for access details).

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SERVICE

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