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Luminescent rhenium(I)-peptide nucleic acids conjugates for microRNA targeting.

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Peptide Nucleic Acids (PNA) are a quite unique example of mimics of native nucleic acid structures able to target natural DNA or RNA with high sequence specificity and affinity and are therefore potential excellent candidates in diagnostics and antisense and antigene therapy. In place of the ribose phosphodiester backbone of DNA and RNA, PNA contain a pseudopeptide backbone, composed of *N*-(2-aminoethyl)glycine units, on which the four nucleobases are inserted (Figure).[1] Unmodified PNAs display low cellular uptake,[2] and this feature constitutes a drawback towards its effective use in therapy. One of the strategy to overcome this problem is the conjugation of PNA to metal complexes that can modify their intrinsic chemico-physical and spectroscopic properties.[3,4]

Within our research on PNA, we have prepared some bioorganometallic PNA-dirhenium complexes (Figure), which have been used to target a specific microRNA, that is miRNA-21 in the DU145 prostate cancer cell line. Thanks to the presence of the dirhenium fragment, these bioconjugates are luminescent and act as fluorescent probes to track the cell uptake of PNA that is easily taken up by the above mentioned cells, thus showing that the Re(I) complexes are indeed useful tools for the intracellular delivery of PNA.

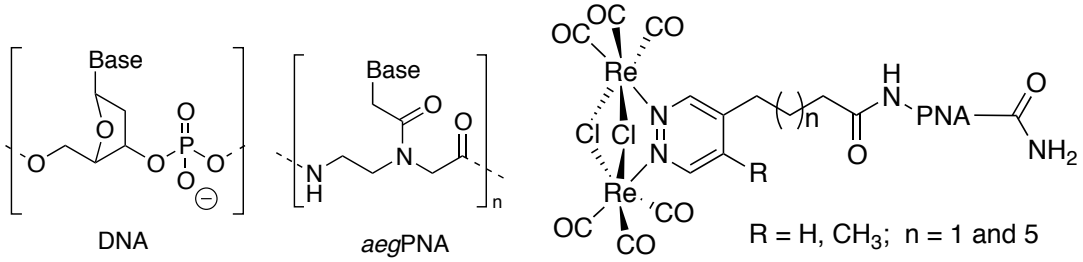

Figure.

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