

Easy, economical and reliable post-synthetic modification of nucleic acids (P-870)

Key facts

- Variety of application: labelling, drug discovery, material studies, biomolecule research
- Attractive for conjugation of expensive and sensitive compounds
- Suitable for simple and complex molecules
- High yielding, easy to monitor, non-toxic reactions

Abstract

Solid-phase chemistry approaches for site-directed modifications are laborious and suffer from inherited drawbacks including limits on the length of synthesized oligonucleotides and on the variety of their incorporated chemical functionality. The “Click chemistry” of this invention is a high yielding and highly specific method to link molecules together under mild conditions.

The Technology

The “Click reaction” used is the well-known Diels-Alder reaction with inverse electron demand (DARinv). In contrast to NHS-ester chemistry and copper-catalyzed azide-alkyne cycloaddition DARinv works efficiently at very low reactant concentrations, without the requirement of transition metals and much lower excesses of labeling reagent.

This is particularly relevant for potential applications of this coupling chemistry in cells, where high concentrations of copper are not tolerated. In comparison with other recent bioconjugation methods, the functional groups required for DARinv are easy to synthesize and incorporate into oligonucleotides.

Amongst others there are several applications for this reaction:

- imaging of targets inside of cells
- in vivo imaging
- delivery of diagnostics or therapeutics into cells

Development Stage

Convincing data are available for the ligation of oligonucleotides of up to 100 nucleotides long.

Applications and Commercial Opportunity

DKFZ is currently seeking a commercial partner to develop this technology under an exclusive licence and/or collaboration agreement.

Inventors

The investigators are: Manfred Wiessler, Peter Lorenz, , Heinz Fleischhacker, Christian Kliem, Andres Jäschke, Juliane Schoch.

Intellectual Property

PCT and EPO patent applications were filed (see [WO2011/095336](https://www.dkfz.de/wo2011095336)).

Further Information

No other public information is currently available, but further information is available under a signed Confidential Disclosure Agreement (CDA).

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