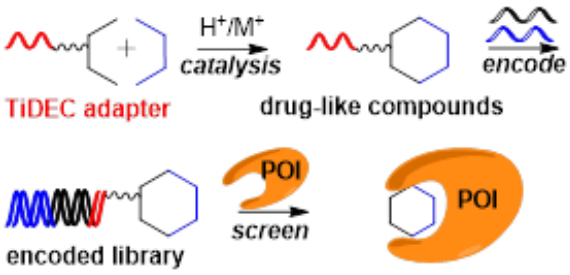
# TiDEC (OligoThymidine-initiated-DNA-Encoded)

## Enabling chemistry for DNA-encoded screening libraries

### Invention

The need of new drugs is high in many medical indications, for instance in oncology, and infectious diseases. However, the success rate of drug research and development has declined to unsustainable levels. As conventional high throughput screening of large compound libraries often fails to deliver compounds, the de novo identification of bioactive small molecules represents a significant hurdle in drug research. DNA-encoded small molecule libraries (DELs) have emerged as a cost-efficient, validated screening method of very large chemical space. These libraries consist of DNA-tagged small molecules. They can be pooled and screened on drug targets by affinity-based selection. Bioactive compounds are efficiently identified by DNA sequencing. Current library synthesis strategies, though, can make use of only a very limited set of chemical reactions, thus delivering large numbers but low diversity. Notably, access to encoded libraries of drug-like heterocycles is currently lacking. TiDEC addresses this severe limitation. TiDEC exploits the stability of oligopyrimidine adapter sequences to a large variety of reaction conditions, and reagents such as transition metal catalysts and acidic organocatalysts. Thus, TiDEC enables efficient access to diverse heterocyclic structures conjugated to oligopyrimidine sequences from simple and readily available starting materials by a large variety of catalysts. These oligonucleotide-heterocycle conjugates are readily ligated to coding DNA sequences. Combinatorial mix-and-split synthesis yielded a proof-of-concept screening library counting 15,000 molecules: tiDEL, oligothymidine-initiated DNA-Encoded Library. The tiDEL is based on biologically relevant heterocyclic core structures that are found in natural products, drug candidates and approved drugs. It is currently expanded to diverse classes of drug-like structures comprising heterocycles and macrocycles.




TiDEC enables access to encoded screening libraries based on natural product- and drug-derived core structures. These are efficiently screened on disease relevant proteins of interest (POI) by selection. Protein binders are identified by DNA sequencing

### Commercial Opportunities

The TIDEC platform technology and the tiDEL screening library are offered to pharma-companies, biotech companies, and non-profit organizations for drug identification and development programs. On behalf of the TU Dortmund University, PROvendis offers a patent license as well as a research collaboration with licensing option.

### Current Status

TiDEC is currently used to synthesize DNA-encoded screening libraries (tiDELs), and these are provided for target-based screening on disease-relevant proteins. In case of interest we are pleased to inform you about the patent status.

An invention of the TU Dortmund University.

### Competitive Advantages

- Handling of large encoded compound screening libraries: tiDELs
- Access to biologically relevant chemical space that is inaccessible by conventional DNA-encoded libraries: encoded natural product- and drug-derived compound classes
- Efficient and rapid screening on target proteins by selection
- Extremely reduced costs in comparison to conventional high-throughput screening
- Accelerated identification of starting points for drug development programs

### Technology Readiness Level

1 2 3 4 5 6 **7** 8

System prototype demonstration in operational environment

### Industries

- Biotechnology Industry
- Pharmaceutical Industry

### Ref. No.

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