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Discovery of small molecule blockers of protein-protein interactions using DNA-encoded small-molecule libraries

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Discovery of small molecule blockers of protein-protein interactions (PPIs) has been limited due to technological hurdles. Here we present the discovery of small molecule blockers of PPIs with potencies in the nanomolar-micromolar range from multi-million compound DNA-encoded small-molecule libraries. The screening of the libraries was performed using a homogenous (immobilization-free) binding assay relying on a unique principle of trapping the binding complexes-small molecule and target protein-in miniscule droplets using emulsion technology. This format provides a very low false positive rate by avoiding protein target denaturation and matrix binding artifacts associated with conventional heterogeneous binding assays. The screening process relies on target binding only, and not on functionality i.e. inhibition. However, interestingly, a large fraction of the hits discovered are in fact blockers of the PPI. Case stories will be presented including the first and only reported IL-17A small molecule blockers.

Biography

Nils Hansen is the CEO and founder of VipergenApS. He is the principal inventor of the enabling YoctoReactor® and Binder Trap Enrichment® drug discovery technology platforms. He previously worked for Praecis Pharmaceuticals Inc., Waltham, MA, USA (now GSK) and Symphogen A/S, Copenhagen, Denmark. He earned his PhD in immunology from the University of Copenhagen, Denmark in 2000 and his MSc in Chemistry and Biotechnology from the University of Aarhus, Denmark in 1996.

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