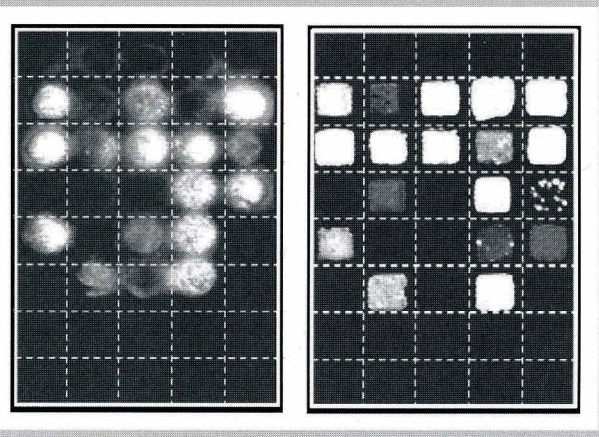
Summary: Recently, in material science domain, combinatorial approaches in design, construction and screening of novel compounds and formulations have led to a significant acceleration of discovery and development. The versatility of polymeric materials offers a great opportunity to dial-in a desired set of performance features via a proper combination of various building blocks such as monomer units or polymer chain segments. Further enhancement comes from the formulation of the polymer into its final environment in a combinatorial way. Within the last few years, a variety of parallel polymerization, purification and formulation techniques, supported by rapid automated analyses in combination with a suite of high-throughput protocols for application-related benefit screening, were developed and successfully applied in different fields of industry. At Symyx Technologies Inc., we have integrated a variety of synthesis, screening and information tools and procedures into robust workflows, targeting discovery and development of novel polymeric materials. In addition to the performance features of the polymeric materials, controlled by their chemical, physical and mechanical properties, we can monitor interactions of polymers with a variety of molecules and substrate surfaces. That allows us to extend the applicability of the combinatorial approach towards the macromolecular delivery agents with a wide range of use in personal care, biotech and pharma areas. The current paper reports on a generic workflow developed and applied for identification of selective transport agents for targeted delivery of bioactives to human tissues.



Hit selection using screening data on polymer substantivity to substrates representing the tissue-1 as object of preferred delivery (left) and the competitive tissue-2 (right).

Combinatorial Exploration of Polymeric Transport Agents for Targeted Delivery of Bioactives to Human Tissues

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Introduction

The combinatorial approach in material science, i.e. the combination of material diversity with a quick assessment of features that deliver the desired set of application benefits, gives the advantage of selection and optimization of the best performing material in shortened time and lower cost as compared to a conventional way of material development.[1] This paper expands our view on the general applicability of the combinatorial approach towards the development of new polymeric transport agents that deliver themselves or another bioactive into specific parts of the human or animal body.

Polymers are materials that offer a great opportunity to dial-in a desired set of performance features via a proper combination of various building blocks such as monomer units or polymer chain segments. Formulation of the polymer into a number of different formulation environments multiplies the number of parameters one needs to consider in order to enable and further maximize the performance of the final product. Polymer formulations are widely used for the delivery of biologically active

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