

DSM Science & Technology Awards (SOUTH) 2008

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The isolation of molecules capable of binding to biological targets with high-affinity and specificity is a central challenge in chemistry, biology and pharmaceutical sciences. To facilitate the identification of binding compounds from large libraries we have developed DNA-encoded chemical libraries. The impact of this technology to the field of drug discovery was demonstrated by isolating binders to various target proteins. In particular, we have identified small portable albumin binding molecules, which extend the circulatory half-life through chemical conjugation to molecules of interest. We have exemplified this albumin technology by synthesizing albumin binding derivatives of fluorescein (Albufluor) and Magnevist (Albuvist), two commonly used blood pool contrast agents. Their prolonged serum half-life and improved contrast allows lower dosing thus reducing side-effects. Albufluor is currently under preclinical investigation and is scheduled to enter a clinical pilot study in 2008. In addition to imaging, portable albumin binders may find a broad application for the improvement of pharmacokinetic properties of therapeutic agents and for pro-drug development.