CBCHFX 9 (9) 1329 – 1516 (2008) · ISSN 1439-4227 · Vol. 9 · No. 9 · June 16, 2008

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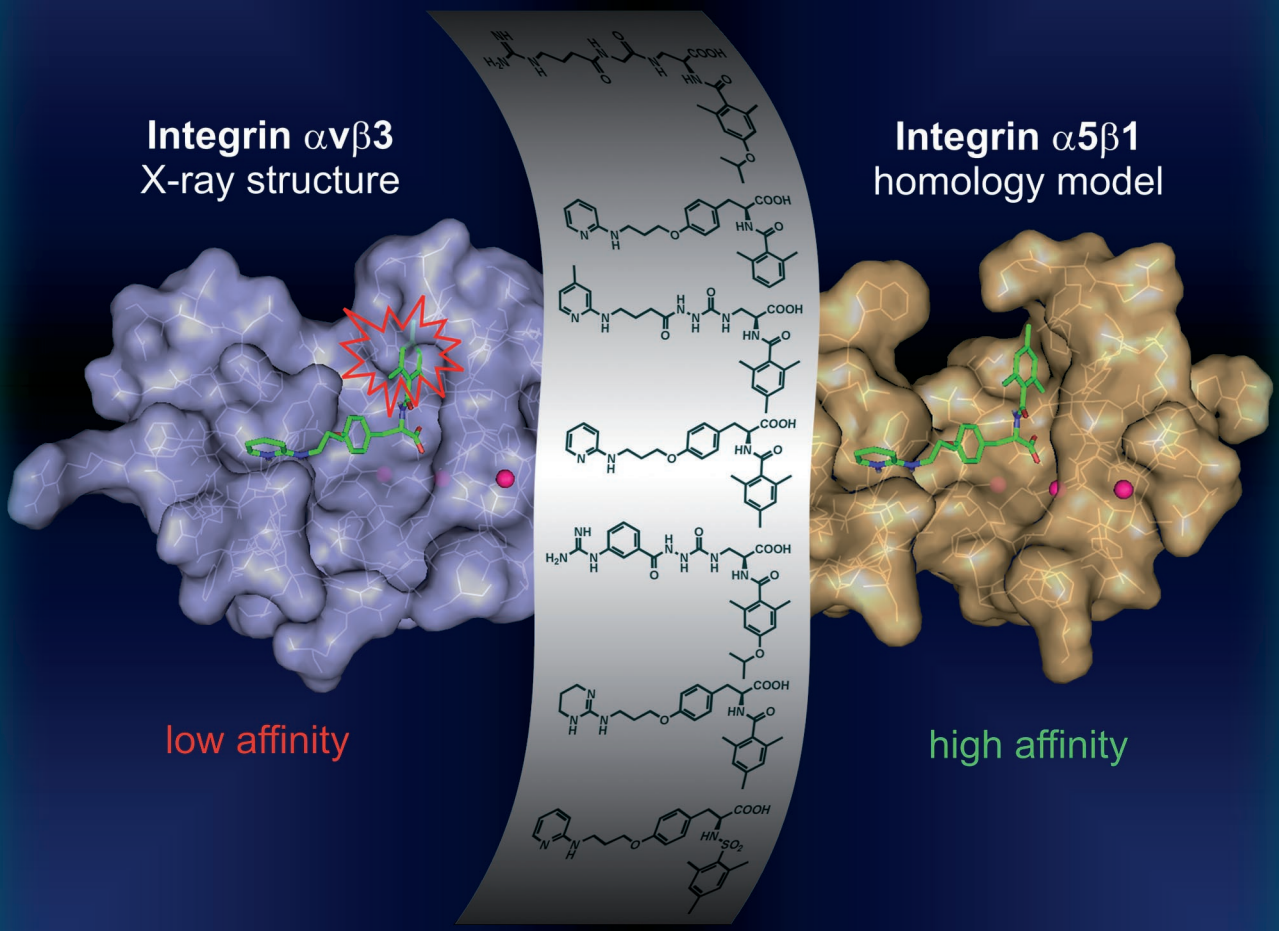
A EUROPEAN JOURNAL

CHEMBIOCHEM

OF CHEMICAL BIOLOGY

Rational Design and Synthesis of Selective Integrin α5β1 Ligands



9/2008

Chemistry & Life Sciences

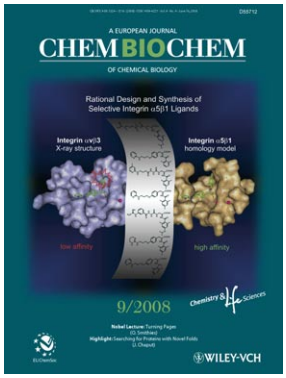
Nobel Lecture: Turning Pages
(O. Smithies)
Highlight: Searching for Proteins with Novel Folds
(J. Chaput)

EUChemSoc

WILEY-VCH

Cover Picture

Dominik Heckmann, Axel Meyer, Burkhardt Laufer, Grit Zahn, Roland Stragies, and Horst Kessler*



The cover picture shows the Conolly surface of the X-ray structure of the integrin $\alpha v\beta 3$ and the homology model of the related integrin $\alpha 5\beta 1$. The spatial differences between both integrins have been used to develop biased compound libraries that yield high-affinity ligands which bind to $\alpha 5\beta 1$ in the range of 1 nM but have very low affinity for $\alpha v\beta 3$. Both integrins are involved in angiogenesis but their individual role is still under debate. The ligands described here allow us to study the biological roles of both integrins and might additionally serve as potential drug candidates to target selectively the $\alpha 5\beta 1$ integrin in the antiangiogenic therapy of cancer and age-related macular degeneration. For further information, see the article by H. Kessler et al. on p. 1397 ff.