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Cover Story

The featured review in this issue of *Drug Discovery Today*, by Ralf Heilker, Michael Wolff, Christofer S. Tautermann and Michael Bieler outlines how there has been a change in the pharmaceutical industry by a strategic channelling of effort towards specific target classes. They discuss the benefits of such an approach, particularly with respect to establishing skill sets and techniques that facilitate comprehensive pharmacological and functional profiling of drug candidates. Understanding the disease-relevant functional properties of small molecule GPCR ligands enables target-specific chemical optimization and GPCR-subclass-directed library design.

DRUG DISCOVERY TODAY

REVIEWS

KEYNOTE

- 231 G-protein-coupled receptor-focused drug discovery using a target class platform approach**

Ralf Heilker, Michael Wolff, Christofer S. Tautermann and Michael Bieler

- 241 Monitoring the amyloid beta-peptide *in vivo* – caveat emptor**

Paul W. Thompson and Andrew Lockhart

GENE TO SCREEN

- 252 The use of genomics in microbial vaccine development**

Stefania Bambini and Rino Rappuoli

INFORMATICS

- 261 Novel web-based tools combining chemistry informatics, biology and social networks for drug discovery**

Moses Hohman, Kellan Gregory, Kelly Chibale, Peter J. Smith, Sean Ekins and Barry Bunin

- 271 Cytomics and cellular informatics – coping with asymmetry and heterogeneity in biological systems**

Paul J. Smith, Imtiaz A. Khan and Rachel J. Errington

POST SCREEN

- 278 Ligand efficiency and fragment-based drug discovery**

Scott D. Bembenek, Brett A. Tounge and Charles H. Reynolds

- 284 Novel approaches to the pharmacotherapy of pulmonary arterial hypertension**

Karen M. Olsson and Marius M. Hoepfer

- 291 Kinase-targeted libraries: The design and synthesis of novel, potent, and selective kinase inhibitors**

Irini Akritopoulou-Zanze and Philip J. Hajduk

- 298 Translational strategies for development of monoclonal antibodies from discovery to the clinic**

Mohammad A. Tabrizi, Gadi Gazit Bornstein, Scott L. Klakamp, Andrew Drake, Richard Knight and Lorin Roskos

- 306 Gene delivery to the retina: focus on non-viral approaches**

Rangeetha Naik, Arijit Mukhopadhyay and Munia Ganguli

- 316 Tailor-made drug treatment for children. Creation of an infrastructure for data-sharing and population PK–PD modeling**

Ibrahim Ince, Saskia N. de Wildt, Dick Tibboel, Meindert Danhof and Catherijne A.J. Knibbe

- 321 Two ‘Golden Ratio’ indices in fragment-based drug discovery**

Masaya Orita, Kazuki Ohno and Tatsuya Niimi