

Synthesis and Optimization of Non-Ribosomal Peptide Antibiotics

Armin Bauer

Sanofi-Aventis Deutschland GmbH, R&D / Integrated Drug Discovery / Isotope Chemistry,
Industriepark Höchst G876, 65926 Frankfurt am Main, Germany
armin.bauer@sanofi.com

Two case studies on „under-explored“ non-ribosomal lead structures from areas with high unmet medical need will be presented: The total synthesis of the tetrapeptide GE81112A will be reported as well as the evaluation of the compound as a potential lead for the treatment of multi drug-resistant (MDR) nosocomial infections. The cyclic depsipeptide Griselimycin was re-investigated as a potential treatment for drug-sensitive and resistant tuberculosis. Academic collaborations led to the elucidation of the mode of action and synergistic effects in vivo and to the discovery of DnaN as a new target for the development of TB drugs.