Antibacterial drug discovery today: more than "just" scientific challenges. The discovery of topoisomerase inhibitor ACT-452051

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The availability of effective antibiotics is a key factor of today's medicine. Without antibiotics many of the modern achievements in neonatal and geriatric care, surgery and cancer therapy would be at risk. With the emergence and continuous spread of resistance among pathogens of different origin, this risk is growing rapidly. At the same time the development of novel agents with new modes of action lacking cross resistance does not follow the medical need. The reasons for this discrepancy are numerous, ranging from scientific challenges, regulatory requirements to economic and social issues.

ACT-452051

Actelion/Idorsia's efforts in the field of bacterial topoisomerases exemplified by the discovery of ACT-452051 will be put in above context. Synthetic challenges as well as obstacles during preclinical development related to physicochemical properties and toxicology findings will be described illustrating the complexity of antibacterial drug discovery in the 21st century.