

“Hit” to Clinical Candidate: Discovery and Characterization of the Aminomethylcyclines

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One of the cornerstones of Paratek research and development is applying modern chemistry to the tetracycline pharmacophore, to create novel, proprietary compounds that exploit the therapeutic advantages of the older generation tetracyclines while conferring new properties such as overcoming antibiotic resistance. These tetracycline derivatives are evaluated microbiologically and pharmacologically in an iterative process to extend the understanding of the structure-activity-relationship and allow rational design of NCEs. This process led to the discovery of the aminomethylcyclines. The AMCs generally possess excellent in vitro and in vivo properties, including broad spectrum activity, the ability to overcome multi-antibiotic resistance mechanisms, and superior efficacy in animal models of systemic, tissue-based, and neutropenic infections. The first of the AMCs to enter clinical development has the Paratek designation PTK 0796.