

Molecular Characterization of Off-Target Activities of Telithromycin, a Macrolide/Ketolide Antibiotic: The Role of Nicotinic Acetylcholine Receptors

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Macrolide antibiotics are selective bacterial protein synthesis inhibitors. Clinical usage of this class over five decades has resulted in emergence of resistance. Telithromycin (Ketek™) is a recently described macrolide of the ketolide subclass containing a pyridine moiety. It has improved antimicrobial activity, but is associated with adverse clinical effects including visual dysfunction, exacerbation of myasthenia gravis symptoms, and liver failure, collectively called the “Ketec Effects”. The repercussions from the approval of telithromycin have adversely affected the development of new macrolides as a class and new antibiotics on the whole. Future developments of macrolides require a delineation of the structure - toxicity relationships underlying these adverse effects