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An open-label, randomized, pivotal bioequivalence study of oral Rolapitant in healthy subjects**Xiaodong Wang, Zhi-Yi Zhang, Sujata Arora, Jing Wang, Sharon Lu and Vikram Kansra**
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Rolapitant (VARUBI®/VARUBY®), a selective and long-acting neurokinin-1 receptor antagonist, is approved in oral formulation for the prevention of delayed chemotherapy-induced nausea and vomiting in adults in US and EU. This pivotal open-label, randomized, single-dose, multicenter, parallel-group study assessed the bioequivalence of a single oral dose of 180 mg Rolapitant administered as tablets (2×90 mg tablets, commercial formulation) or capsules (4×45 mg capsules, formulation used in clinical development) in healthy subjects. Blood samples for pharmacokinetic analysis were collected pre-dose and at multiple time points up to 912 hours post-dose. The pharmacokinetic analysis of the capsule group (n=42) and tablet group (n=42) were similar. The Rolapitant tablet was considered bioequivalent to the Rolapitant capsule if the 90% confidence intervals for the ratios of the geometric means for Rolapitant, observed maximum plasma concentration (C_{max}) and area under the curve ($AUC_{0-\infty}$) were within the 0.80-1.25 range. The geometric mean ratios of C_{max} and $AUC_{0-\infty}$ were 0.99 (0.89-1.11) and 1.05 (0.92-1.19), respectively, establishing bioequivalence of the Rolapitant tablet and capsule and suggesting that data obtained during clinical development is translatable to the commercial formulation. Both formulations were well tolerated, with a similar incidence of treatment-emergent adverse events in the two groups.

Biography

Xiaodong Wang is the Senior Director at TESARO Inc., an oncology-focused biopharmaceutical company in Waltham, USA. He currently leads a group in Clinical Pharmacology and Drug Disposition supporting the development and regulatory submission of several drug candidates in the late phase of the TESARO pipeline. Prior to TESARO, he had worked at several other biopharmaceutical companies, leading efforts to characterize the clinical pharmacology and pharmacometrics of small and large molecules at Bristol-Myers Squibb as well as in Genentech. He has received his PhD from the Department of Pharmaceutical Sciences, State University of New York, Buffalo, USA.

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