

In Vivo Evaluation of Ibuprofen Dissolution and Systemic Absorption in Fed and Fasted Healthy Human Subjects

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In vivo drug dissolution in the gastrointestinal (GI) tract is not fully understood (1). This study aimed to evaluate the in vivo dissolution and systemic absorption of ibuprofen in healthy human subjects under fed and fasted conditions. A multilumen GI catheter was orally inserted into the stomach of the subjects, and they were given an 800 mg ibuprofen tablet under both fed and fasted conditions.

The study included 30 subjects who completed the study, with 11 subjects returning for an additional visit. Ibuprofen plasma levels were higher under fasted conditions and remained detectable for 23 hours under both conditions. The AUC and C_{max} were lower in fed state compared to fasted state. Ibuprofen was detected immediately after ingestion in the stomach under both conditions and remained detectable until 7 hours post-dosing.

Higher levels of ibuprofen were detected in the small intestine soon after dosing in fasted subjects compared to fed subjects. In contrast to plasma drug concentration, overall gastric concentrations remained higher under fed conditions due to increased gastric pH. The induction of the fed state reduced systemic levels but increased gastric levels of ibuprofen, suggesting that slow gastric emptying and transit dominate the effect for plasma drug concentration. The results of this study highlight the importance of understanding in vivo drug dissolution in the GI tract to improve in vitro predictive models. Future studies are needed to better understand the role of various GI parameters, such as motility and gastric emptying, on systemic ibuprofen levels.

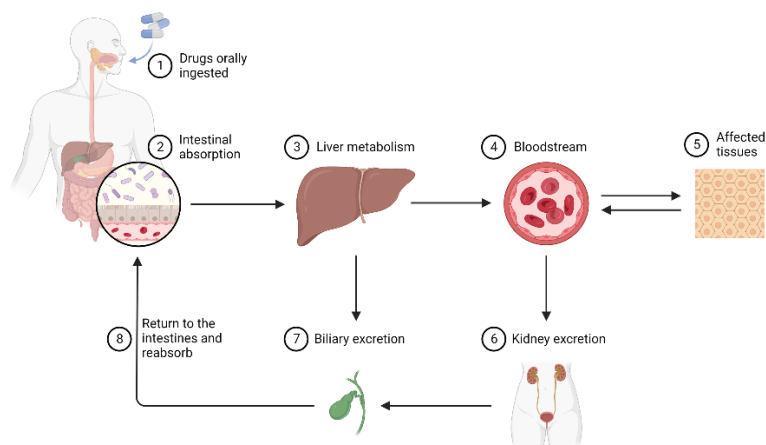


Fig. 1: Illustration of the human GI tract. Created with BioRender.com

Reference:

1. Sato H, et al. In vivo evaluation of ibuprofen dissolution and systemic absorption in fed and fasted healthy human subjects. *Eur J Pharm Sci.* 2019;138:105019. doi:10.1016/j.ejps.2019.105019.