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(57) Abstract :
This study aims to develop and investigate immediate release oral tablets of an antimicrobial drug, focusing on formulation, in-vitro, and in-vivo characterization to achieve rapid disintegration, enhanced dissolution, and improved therapeutic efficacy. Linezolid, an oxazolidinone antibiotic prescribed for multidrug-resistant Gram-positive bacterial infections, exhibits a slow onset of action in conventional dosage forms. To overcome this limitation, fast-release tablets were prepared using wet granulation with superdisintegrants Kyron T-314 and Kyron T-316 in varying ratios (KP1–KP8). They evaluated tablet weight variation, hardness, friability, thickness, wetting time, disintegration time, drug content, and in vitro dissolution. FTIR and DSC analyses indicated no significant drug-excipient incompatibilities and revealed partial conversion of Linezolid to an amorphous form, facilitating faster dissolution. The optimized formulation (KP8) showed rapid disintegration (41.3 ± 1.2 seconds), high drug content (99.5 ± 0.8%), and the highest cumulative drug release (97.70 ± 1.3% within 90 seconds). Drug release kinetics were best described by the Korsmeyer–Peppas and Higuchi models, suggesting a diffusion-controlled non-Fickian mechanism. Stability studies over three months at 25 ± 2 °C demonstrated consistent hardness, disintegration, assay, and dissolution profiles. In vivo evaluation using the carrageenan-induced paw edema model confirmed measurable anti-inflammatory effects (2.79% inhibition at 3 hours), supporting potential secondary immunomodulatory activity in addition to antibacterial effects. Overall, fast-release Linezolid tablets offer a promising alternative to conventional formulations, providing a rapid onset, improved patient compliance, and potential benefits in the management of acute infections.

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