15. References


[16] T.J. Gan, Selective serotonin 5-HT3 receptor antagonists for postoperative nausea and vomiting: are they all the same?, CNS drugs, 19 (2005) 225-238.


[91] USFDA guidance for Industry, Waiver of In-Vivo Bioavailability and Bioequivalence Studies for Immediate-Release Solid Oral Dosage Forms Based on a Biopharmaceutics Classification System, Revision 1, in, May 2015


[93] USFDA Bioequivalence recommendation guidance on memantine hydrochloride Tablet, in, Jan 2008; revised on Mar 2010 and Dec 2014.

Drug profile: Granisetron Hydrochloride

Organoleptic properties
- Colour: White crystalline powder
- Odour: Odourless
- Taste: Slightly bitter

Physico-chemical properties
- Solubility in Distilled Water: 10.25 mg/ml
- pKa:
  - Strongest Acidic: 14.75
  - Strongest Basic: 9.0
- Salt form: HCL
- Partition co-efficient (Log P): 2.61
- Chemical formula: C₁₈H₂₄N₄O·HCl
- Melting point: 219 ºC
- Molecular weight: 348.87 grams/mole
- Chemical name: endo-N-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-1-methyl-1H-indazole-3-carboxamide hydrochloride
- Structure:

  ![Structure](image)

- BCS Class: Class 1 (Highly soluble, highly permeable)

3.0 Pharmacokinetics Parameters
- Drug Category: Antiemetic
- Mechanism of action: Granisetron is a selective 5-HT₃ receptor antagonist
- Dose: 1-2 mg
  - Absorption: Absorption is rapid and complete through oral.
  - Bioavailability is reduced to about 60% as a result of first pass metabolism.
  - Half Life: 4-6 hours healthy patients, 9-12 hours in cancer patients
  - Protein Binding: 65%
  - Clearance:
    - 0.52 L/h/kg [Cancer Patients with 1mg bid for 7 days]
    - 0.41 L/h/kg [Healthy subject with a single 1mg dose]
# Drug Profile: Linezolid

## Organoleptic properties
- **Colour:** White crystalline powder
- **Odour:** Odourless
- **Taste:** Bitter

## Physico-chemical properties
- **Solubility in Water:** 4.3995 mg/ml
- **pKa:**
  - Strongest Acidic: 14.45
  - Strongest basic: 9.0-0.9
- **Chemical formula:** \( \text{C}_{16}\text{H}_{20}\text{FN}_{3}\text{O}_{4} \)
- **Melting point:** 182.5 °C
- **Molecular weight:** 337.346 g/mol
- **Chemical name:** \((S)-N-[[3-[3-Fluoro-4-(4-morpholinyl) phenyl]-2-oxo-5-oxazolidinyl] methyl]-acetamide.\)

## Structure:

![Structure of Linezolid](image)

## BCS Class:
Class 1 (Highly soluble, highly permeable)

## Pharmacokinetics Parameters
- **Drug Category:** Antibiotic, Oxazolidinones
- **Mechanism of action:** It selectively inhibits bacterial protein synthesis via a mechanism of action different from that of other antibacterial agents. Linezolid binds to the 23S ribosomal RNA of the 50S subunit of the bacterial ribosome and prevents the formation of a functional 70S initiation complex which is an essential component of the bacterial translation process.

- **Dose:**
  - Pediatric: 10 mg/kg every 8 hours (i.e. 100 mg)
  - Adults: 600 mg every 12 hours

- **Absorption:** Linezolid is rapidly and extensively absorbed after oral dosing. Maximum plasma concentrations are reached approximately 1 to 2 hours after dosing, and the absolute bioavailability is approximately 100%

- **Half Life:** 4.5-5.5 hours
- **Protein Binding:** 31 %