Imipenem

**Imipenem**

**CAS Number**: 74431-23-5  
**Molecular Formula**: C_{12}H_{17}N_{3}O_{4}S  
**Molecular Weight**: 299.346 g/mol  
**Systematic (IUPAC)**: (5R,6S)-3-({2-[(E)-(aminomethylidene)amino]ethyl}sulfanyl)-6-[(1R)-1-hydroxyethyl]-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid

**Type**: small molecule
**Description**: Semisynthetic thienamycin that has a wide spectrum of antibacterial activity against gram-negative and gram-positive aerobic and anaerobic bacteria, including many multiresistant strains. It is stable to beta-lactamases. Clinical studies have demonstrated high efficacy in the treatment of infections of various body systems. Its effectiveness is enhanced when it is administered in combination with cilastatin, a renal dipeptidase inhibitor.

**Categories**: Anti-Bacterial Agents

**Taxonomy**

**Kingdom**: Organic

**Classes**: Carbapenems and Derivatives

**Substructures**
- Carbapenems and Derivatives
- Hydroxy Compounds
- Carboxylic Acids and Derivatives
  - Acetates
  - Amino Ketones
- Aliphatic and Aryl Amines
- Beta Lactams
- Heterocyclic compounds
- Carboxamides and Derivatives
  - Lactams
  - Azetidines
- Alcohols and Polyols
- Pyrrolines
Pharmacology

**Indication**: For the treatment of bacterial infections caused by susceptible bacteria.

**Pharmacodynamics**: Imipenem is a beta-lactam antibiotic belonging to the subgroup of carbapenems. Imipenem has a broad spectrum of activity against aerobic and anaerobic Gram positive as well as Gram negative bacteria. It is particularly important for its activity against Pseudomonas aeruginosa and the Enterococcus species. Imipenem is rapidly degraded by the renal enzyme dehydropeptidase when administered alone, and is always co-administered with cilastatin to prevent this inactivation.

**Mechanism of action**: Imipenem acts as an antimicrobial through the inhibition of cell wall synthesis of various gram-positive and gram-negative bacteria. This inhibition of cell wall synthesis in gram-negative bacteria is attained by binding to penicillin binding proteins (PBPs). In E. coli and selected strains of P. aeruginosa, imipenem has shown to have the highest affinity to PBP-2, PBP-1a, and PBP-1b. This preferential binding to PBP-2 and PBP-1b results in the direct conversion of the individual cell to a spheroblast, which leads to rapid cell lysis and death without filament formation.

**Absorption**: Imipenem is not effectively absorbed from the gastrointestinal tract and therefore must be administered parenterally.
**Protein binding**: 20% binds to plasma proteins

**Metabolism**: Renal.

**Half life**: 1 hour

**Affected organisms**: Enteric bacteria and other eubacteria

**Uses**
This medication is used to treat a wide variety of bacterial infections. This medication is known as a carbapenem-type antibiotic. It works by stopping the growth of bacteria. This antibiotic treats only bacterial infections. It will not work for viral infections (e.g., common cold, flu). Unnecessary use or misuse of any antibiotic can lead to its decreased effectiveness.

**How To Use?**
This medication is given by injection into a muscle or vein, usually every 6 to 8 hours or as directed by your doctor. Read and learn all preparation and usage instructions supplied by the manufacturer. If your product needs to be mixed, follow all instructions for proper mixing with the correct IV fluids. Consult your pharmacist for details. Before using, check the product visually for particles or discoloration. If either is present, do not use the liquid. Antibiotics work best when the amount of medicine in your body is kept at a constant level. Therefore, use this drug at evenly spaced intervals. The dosage is based on your medical condition and response to treatment. Continue to use this medication
until the full prescribed treatment period is finished, even if symptoms disappear after a few days. Stopping the medication too early may result in a return of the infection. Learn how to store and discard needles and medical supplies safely. Consult your pharmacist for details.

**Precautions**

Before administering imipenem and cilastatin, tell your doctor and pharmacist if you are allergic to imipenem, penicillin, cephalosporins [e.g., cefaclor (Ceclor), cefadroxil (Duricef), and cephalaxin (Keflex)], or any other drugs.
tell your doctor and pharmacist what prescription and nonprescription medications you are taking, especially antibiotics and vitamins.
tell your doctor if you have or have ever had seizures; a brain injury; kidney, liver, or gastrointestinal disease (especially colitis); or asthma.
tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking imipenem and cilastatin, call your doctor.
if you have diabetes and regularly check your urine for sugar, use Clinistix or TesTape. Do not use Clinitest tablets because this drug may cause false positive results.

**Administering your medication**

Before you administer imipenem and cilastatin, look at the solution closely. It should be clear and free of floating material. Gently squeeze the bag or observe the solution container to make sure there are no leaks. Do not use the solution if it is discolored, if it contains
particles, or if the bag or container leaks. Use a new solution, but show the damaged one to your health care provider.

It is important that you use your medication exactly as directed. Do not stop your therapy on your own for any reason because your infection could worsen and result in hospitalization. Do not change your dosing schedule without talking to your health care provider. Your health care provider may tell you to stop your infusion if you have a mechanical problem (such as a blockage in the tubing, needle, or catheter); if you have to stop an infusion, call your health care provider immediately so your therapy can continue.

**Side effects**

Imipenem and cilastatin may cause side effects. If you are administering imipenem and cilastatin into a muscle, it probably will be mixed with lidocaine (Xylocaine) to reduce pain at the injection site. Tell your health care provider if any of these symptoms are severe or do not go away:
- upset stomach
- vomiting
- stomach pain

If you experience any of the following symptoms, call your health care provider immediately:
- diarrhea
- rash
- itching
- fever
- chills
- facial swelling
- wheezing
difficulty breathing
unusual bleeding or bruising
decreased urination
dizziness
confusion
seizures
sore mouth or throat

**Storing your medication**
Your health care provider probably will give you a several-day supply of imipenem and cilastatin at a time.
If you are receiving imipenem and cilastatin intravenously (in your vein), you probably will be told to store it in the refrigerator.
Take your next dose from the refrigerator 1 hour before using it; place it in a clean, dry area to allow it to warm to room temperature.
If you are receiving imipenem and cilastatin intramuscularly (in your muscle), your health care provider will tell you how to store it properly.
Store your medication only as directed. Make sure you understand what you need to store your medication properly.
Keep your supplies in a clean, dry place when you are not using them, and keep all medications and supplies out of reach of children. Your health care provider will tell you how to throw away used needles, syringes, tubing, and containers to avoid accidental injury.

**Signs of infection**
If you are receiving imipenem and cilastatin in your vein or under your skin, you need to know the symptoms of a catheter-related infection (an infection where the needle
enters your vein or skin). If you experience any of these effects near your intravenous catheter, tell your health care provider as soon as possible:
tenderness
warmth
irritation
drainage
redness
swelling
pain

Pharmacokinetics

Absorption-
IV infusion over 20 min results in peak plasma levels of imipenem antimicrobial activity of 14 to 24 mcg/mL for the 250 mg dose, 21 to 58 mcg/mL for the 500 mg dose, and 41 to 83 mcg/mL for the 1 g dose. Plasma levels declined to less than 1 mcg/mL in 4 to 6 h.

Distribution-
Protein binding is approximately 20% for imipenem and approximately 40% for cilastatin.

Metabolism-
Imipenem, when administered alone, is metabolized in the kidneys by dehydropeptidase I, resulting in relatively low levels in urine. Cilastatin, an inhibitor of this enzyme, prevents renal metabolism of imipenem.

Elimination-
Plasma half-life of each component is approximately 1 h. Within 10 h of administration, approximately 70% of imipenem and cilastatin is recovered in urine.

Special Populations
Renal Function Impairment-
Patients with CrCl of 5 mL/min per 1.73 m² or less should not receive imipenem/cilastatin unless hemodialysis is instituted within 48 h.

Elderly-
Pharmacokinetics are consistent with those expected in subjects with slight renal impairment for which no dosage alteration is considered necessary.

Children-
IV doses of 25 mg/kg/dose in patients 3 mo to younger than 3 y and 15 mg/kg/dose in patients 3 to 12 y of age were associated with mean trough plasma concentrations of imipenem of approximately 1.1 and 0.6 mcg/mL, respectively, following multiple 60 min infusions; trough urinary concentrations of imipenem were in excess of 10 mcg/mL for both doses.

Indications and Usage
Treatment of serious infections of the lower respiratory tract and urinary tract, intra-abdominal and gynecologic infections, bacterial septicemia, bone and joint infections, skin and skin structure infections, endocarditis, and polymicrobial infections due to susceptible microorganisms.

Contraindications
Hypersensitivity to any component of this product.
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