Taj Pharmaceuticals Ltd.

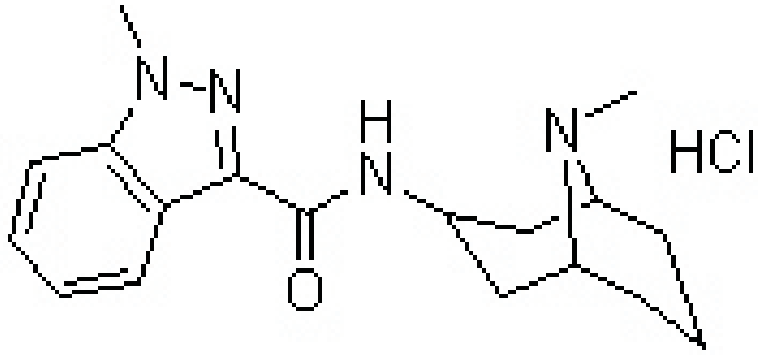
Granisetron Hcl

CAS No. : 107007-99-8

Systematic (IUPAC) name

1-methyl-N-((1R,3r,5S)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl)-1H-indazole-3-carboxamide

Molecular Formula C18H24N4O.HCl;C18H25ClN4O
Molecular Weight 348.87
CAS Number 107007-99-8
ATC code A04AA02
PubChem 3510
DrugBank APRD01002



Chemical data

Mol. mass 312.41 g/mol

Pharmacokinetic data

Bioavailability 60%
Protein binding 65%
Metabolism Hepatic
Half life 3–14 hours
Excretion Renal 11–12%, faecal 38%

DOSAGE

Granisetron comes as a tablet and a solution (liquid) to take by mouth. Granisetron may be taken with or without food. When taken to prevent nausea and vomiting caused by chemotherapy, the first dose of Granisetron usually is taken 1 hour before chemotherapy is begunWhen taken to prevent nausea and vomiting caused by radiation, Granisetron is usually taken within 1 hour before treatment. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take Granisetron exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor. Granisetron injections are given by qualified health care professionals.

Chemotherapy-Induced Nausea and Vomiting
Adults

IV 10 mcg/kg (commonly rounded to nearest 1 mg), given up to 30 min before starting chemotherapy. Give only on day(s) of chemotherapy.
Chemotherapy-Induced Nausea and Vomiting
Adults

PO 1 mg twice daily. Give the first oral dose up to 1 h before chemotherapy and the second dose 12ŧh later. Give granisetron only on day(s) of chemotherapy. Alternately, a single 2 mg dose may be given up to 1 h before chemotherapy.
Children

IV 10 mcg/kg, given up to 30 min before starting chemotherapy. Give only on day(s) of chemotherapy.
Radiation-Induced Nausea and Vomiting
Adults

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PO 2 mg once daily. Give the dose up to 1 h before radiation therapy. Give granisetron only on day(s) of radiation therapy.
Prevention/Treatment Postoperative Nausea and Vomiting
Adults

IV For prevention, use 1 mg undiluted, administered over 30 seconds, before induction of anesthesia or immediately before reversal of anesthesia. For treatment, use 1 mg undiluted, administered over 30 seconds, after surgery.

SIDE EFFECTS

Potential side effects with Granisetron
Headache, Constipation or diarrhea, Weakness or decreased energy, Abdominal pain or stomach cramps, dizziness, drowsiness

Adverse Reactions
Cardiovascular
Hypertension; hypotension.
CNS

Headache; somnolence; agitation; anxiety; mood changes; insomnia.
Dermatologic
Rash.
GI

Constipation; diarrhea; elevated AST and ALT; decreased appetite.
Musculoskeletal
Asthenia.
Miscellaneous

Fever; taste disorder; shivers; alopecia.

PRECAUTIONS

Children
Safety and efficacy of the injection in children younger than 2 yr of age not established.
Delayed nausea and vomiting

Granisetron is not consistently effective for treating delayed nausea and vomiting.
Before taking granisetron, tell your doctor and pharmacist if you are allergic to granisetron,
Tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking granisetron, call your doctor.

DRUG DESCRIPTION

Selective 5-HT 3 receptor antagonists. Serotonin receptors of the 5-HT 3 type are located peripherally on vagal nerve terminals, enteric neurons in the GI tract, and centrally in the chemoreceptor trigger zone. During chemotherapy, mucosal enterochromaffin cells from the small intestine release serotonin, which stimulates the 5-HT 3 receptors. This evokes vagal afferent discharge, inducing vomiting. Clearance is predominantly by hepatic metabolism, and plasma protein binding is approximately 65%.

Granisetron HCl falls within a class of small, very hydrophilic drug-molecules that cannot be delivered efficiently using existing passive transdermal delivery methods. Using Granisetron, TransPharma was able to demonstrate excellent results related to the three primary parameters: sustained release, constant blood drug levels and ease-of-use.

Granisetron HCl is an antiemetic drug, given for prevention of chemotherapy-induced nausea and for pre- and post-surgical nausea and vomiting. The drug is administered by intravenous (IV) injection, followed by oral administration. The IV administration is inconvenient, and oral dosing is often not effective in maintaining the desired constant drug levels in the bloodstream. A transdermal delivery of Granisetron can replace the IV and subsequent oral administration.

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Gemcitabine HCl is a white to off-white solid. It is soluble in water, slightly soluble in methanol, and practically insoluble in ethanol and polar organic solvents.
Gemcitabine is used to treat cancer of the pancreas and non-small cell lung cancer. It is also now used to treat breast cancer that has spread in combination with another drug called paclitaxel (Taxol). Gemcitabine is also used in combination with cisplatin to treat bladder cancer. This drug is one of a group of chemotherapy drugs called anti-metabolites. Anti-metabolites are similar to normal body molecules but they are slightly different in structure. These differences mean that anti-metabolites stop cells working properly.

This document plus the full buyer/ prescribing information, prepared for health professionals can be found at:
http://www.tajapi.com
or by contacting the sponsor, Taj Pharmaceuticals Limited., at:
91 022 30601000.
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