**aprepitant (oral) (a-prep-i-tant)**

**fosaprepitant (injection) (fos-a-prep-i-tant)**

### Classification
Therapeutic: antiemetics  
Pharmacologic: neurokinin antagonists  

### Pregnancy Category
B

### Indications
PO, IV: Prevention of: Acute and delayed nausea and vomiting associated with initial and repeat courses of highly emetogenic chemotherapy, Nausea and vomiting associated with initial and repeat courses of moderately emetogenic chemotherapy. PO Prevention of postoperative nausea and vomiting.

### Action
Acts as a selective antagonist at substance P/neurokinin 1 (NK 1) receptors in the brain. Therapeutic Effects: Decreased nausea and vomiting associated with chemotherapy. Augments the antiemetic effects of dexamethasone and 5-HT3 antagonists (ondansetron).

### Pharmacokinetics
Absorption: 60–65% absorbed following oral administration. Following IV administration, fosaprepitant is rapidly converted to aprepitant, the active component. Distribution: Crosses the blood brain barrier; remainder of distribution unknown. Metabolism and Excretion: Mostly metabolized by the liver (CYP3A4 enzyme system); not renally excreted. Half-life: Aprepitant—9–13 hr.  

### Dosage and Administration
**Prevention of Nausea and Vomiting Associated with Highly Emetogenic Chemotherapy**  
**PO (Adults):** 125 mg 1 hr prior to chemotherapy (Day 1) (with dexamethasone 12 mg PO 30 min prior to chemotherapy and a 5–HT antagonist prior to chemotherapy), then 80 mg once daily for 2 days (Days 2 and 3).  
**IV (Adults):** Single-dose regimen—150 mg 30 min prior to chemotherapy on Day 1 (with dexamethasone 12 mg PO 30 min prior to chemotherapy and a 5–

### Contraindications/Precautions

### Adverse Reactions/Side Effects

### Interactions
**Drug-Drug:** Aprepitant inhibits, induces, and is metabolized by the CYP3A4 enzyme system; it also induces the CYP2C9 system. Concurrent use with other medications that are metabolized by CYP3A4 may result in toxicities from these agents including doxorubicin, paclitaxel, etoposide, irinotecan, imatinib, vinorelbine, vinblastine, vincristine, melphalan, tamoxifen, and allopurinol; concurrent use should be undertaken with caution. Concurrent use with drugs that significantly inhibit the CYP3A4 enzyme system including ketoconazole, itraconazole, voriconazole, clarithromycin, ritonavir, mirtazapine, and diltiazem) may alter blood levels and effects of aprepitant. Concurrent use with drugs that induce the CYP3A4 enzyme system including rifampin, carbamazepine, and phenytoin may alter blood levels and effects of aprepitant (regimen reflects a 50% dose reduction); a similar effect occurs with methylprednisolone (2 mg/day by 25%, IV dose by 50% when used concurrently). May alter the effects of warfarin (careful monitoring for 2 wk recommended), oral contraceptives (use alternate method), and phenytoin.

### Route/Dosage

<table>
<thead>
<tr>
<th>ROUTE</th>
<th>ONSET</th>
<th>PEAK</th>
<th>DURATION</th>
</tr>
</thead>
<tbody>
<tr>
<td>PO</td>
<td>1 hr</td>
<td>4 hr*</td>
<td>24 hr</td>
</tr>
<tr>
<td>IV</td>
<td>rapid</td>
<td>oral bioavailability</td>
<td>24 hr</td>
</tr>
</tbody>
</table>

*Blood level

<table>
<thead>
<tr>
<th>CLASSIFICATION</th>
<th>GENETIC IMPLIC</th>
<th>NAME/NOTES</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>Continues</td>
</tr>
</tbody>
</table>

**Genetic Implication.** CAPI TALS indicate life-threatening, underlines indicate most frequent, strikethrough indicates discontinued.
HT3 antagonist prior to chemotherapy). Continue dexamethasone on Days 2–4 (8 mg PO on Day 2, 8 mg once daily on Days 3 and 4), 3–day regimen — 115 mg 30 min prior to chemotherapy on Day 1 (with dexamethasone 12 mg PO 30 min prior to chemotherapy and a 5–HT3 antagonist prior to chemotherapy). Continue aprepitant 80 mg PO on Days 2 and 3. Continue dexamethasone 8 mg once daily on Day 2–4.

Prevention of Nausea and Vomiting Associated with Moderately Emetogenic Chemotherapy

PO (Adults): 125 mg 1 hr prior to chemotherapy (Day 1) (with dexamethasone 12 mg PO 30 min prior to chemotherapy and a 5–HT3 antagonist). Continue aprepitant 80 mg PO once daily on Days 2 and 3.

Prevention of Postoperative Nausea and Vomiting

PO (Adults): 40 mg given within 3 hr prior to induction of anesthesia.

NURSING IMPLICATIONS

Assessment

● Assess nausea, vomiting, appetite, bowel sounds, and abdominal pain prior to and following administration.

● Monitor hydration, nutritional status, and intake and output. Patients with severe nausea and vomiting may require IV fluids in addition to antiemetics.

● Assess for rash periodically during therapy. May cause Stevens-Johnson syndrome. Discontinue therapy if severe or if accompanied with fever, general malaise, fatigue, muscle or joint aches, blisters, oral lesions, conjunctivitis, hepatitis and/or eosinophilia.

● Lab Test Considerations: Monitor clotting status closely during the 2 wk period, especially at 7–10 days, following aprepitant therapy in patients on chronic warfarin therapy.

● May cause mild, transient increases in alkaline phosphatase, AST, ALT, and BUN.

● May cause proteinuria, erythrocyturia, leukocyturia, hyperglycemia, hyponatremia, and leukocytosis.

● May cause thrombocytopenia and WBC.

Potential Nursing Diagnoses

Build for deficient fluid volume (Indications)

Imbalanced nutrition: less than body requirements (Indications)

Implementation

● For chemotherapy, aprepitant is given as part of a regimen that includes a corticosteroid and a 5-HT3 antagonist (see Route/Dosage).

● PO: Administration daily for 3 days. Day 1 — administer 125 mg 1 hr prior to chemotherapy. Days 2 and 3 — administer 80 mg once daily in the morning. May be administered in one divided oral dose.

IV Administration

● Single-Dose Regimen

     Interstitial Infusion: Inject 5 mL of 0.9% NaCl for Injection into vial. Swirl gently; avoid shaking or jetting saline into vial. Diluent: Prepare an infusion bag of 145 mL 0.9% NaCl. Withdraw entire volume from vial, and transfer to infusion bag for a total volume of 150 mL. Concentration: 1 mg/mL. Gently invert bag 2–3 times. Solution is stable for 24 hr at room temperature. Inspect solution for particulate matter. Do not administer solutions that are discolored or contain particulate matter. Rate: Infuse over 20–30 min.

     3-Day Regimen

     Interstitial Infusion: Inject 5 mL of 0.9% NaCl for Injection into vial. Swirl gently; avoid shaking or jetting saline into vial. Diluent: Prepare an infusion bag of 110 mL 0.9% NaCl. Withdraw entire volume from vial, and transfer to infusion bag for a total volume of 115 mL. Concentration: 1 mg/mL. Gently invert bag 2–3 times. Solution is stable for 24 hr at room temperature. Inspect solution for particulate matter. Do not administer solutions that are discolored or contain particulate matter. Rate: Administer over 30 min.

     Y-Site Compatibility: dexamethasone, granisetron, methylprednisolone, ondansetron, palonosetron.

     Solution Incompatibility: Incompatible with solutions containing divalent cations (calcium, magnesium) including LR and Hartmann’s solution.

Patient/Family Teaching

● Instruct patient to take aprepitant as directed. Direct patient to read the Patient Package Insert before starting therapy and to reread it each time the prescription is renewed.

● Instruct patient to notify health care professional if nausea and vomiting occur prior to administration.

● Advise patient to notify health care professional immediately if symptoms of hypersensitivity reaction (hives, rash, itching, redness of the face/skin, difficulty in breathing or swallowing) occur.

© 2015 F.A. Davis Company

CONTINUED
CONTINUED

aprepitant (oral)

- Instruct patient to notify health care professional of all Rx or OTC medications, vitamins, or herbal products being taken and consult health care professional before taking any new medications.
- Caution patient that aprepitant may decrease the effectiveness of oral contraceptives. Advise patient to use alternate nonhormonal methods of contraception during and for 1 mo following treatment. Advise patient to notify health care professional if pregnancy is planned or suspected or if breast feeding.
- Advise patient and family to use general measures to decrease nausea (begin with sips of liquids and small, nongreasy meals; provide oral hygiene; remove noxious stimuli from environment).

Evaluation/Desired Outcomes

- Decreased nausea and vomiting associated with chemotherapy.
- Prevention of postoperative nausea and vomiting.

Why was this drug prescribed for your patient?