Table 3

Pharmacologic Properties of Isentress® (Raltegravir)[2]

Brand/Generic	**Isentress® (Raltegravir)**
Classification	Human Immunodeficiency virus integrase strand transfer inhibitor (HIV-1 INSTI)
Mechanism of Action	Selectively inhibits the strand transfer step that allows integration of reverse transcribed DNA into host cell DNA
Indications (FDA labeled)	Isentress® is indicated in combination with other antiretroviral agents for treatment of HIV-1 in treatment-experienced adult patients who have evidence of viral replication and HIV-1 strains resistant to multiple antiretroviral agents.
Pharmacology (young, healthy adults); Dose 400 mg twice daily	**Elimination half-life (h):** 9 **T_{max} (h):** 3 **Protein bound (%):** 83% **Metabolism:** Primarily hepatic glucuronidation via UGT1A1 **Excretion:** Feces (51%, as unchanged drug); Urine (32%; 9%, as unchanged drug)
How Supplied/Storage	**Oral:** pink, oval-shaped, film coated 400 mg tablets with "227" on one side **Storage:** at 15°C to 30°C (59°F to 86°F)
Dosage and Administration	**Adults:** 400 mg by mouth twice daily, with or without food **Pediatrics:** Safety and efficacy in children less than 16 years of age have not been established
Dosage Adjustment	**Renal Impairment:** No dose adjustment is necessary **Hepatic Impairment:** No dose adjustment is necessary for mild-to-moderate hepatic impairment
Monitoring Parameters	-Viral load, CD4 count -Resolution/improvement of HIV-related symptoms
Contraindications	There are no known contraindications
Warnings/Precautions	-Immune reconstitution syndrome resulting in an inflammatory response to an indolent or residual opportunistic infection may occur -Myopathy and rhabdomyolysis have been reported; use with caution in patients with risk factors for CK elevations and/or skeletal muscle abnormalities -Inhibitors or inducers of UGT1A1 glucuronidation -Safety and efficacy have not been established in children < 16 years of age
Adverse Effects	**Adverse events of all intensities occurring in ≥ 10%:** diarrhea (16.6%), nausea (9.9%), headache (9.7%), fever (4.9%)
Drug/Food Interactions	-Isentres® is not a substrate, inhibitor, or inducer of CYP450 isoenzymes. It is eliminated mainly by the UGT1A1 mediated glucuronidation pathway. -Rifampin, a strong inducer of UGT1A1, reduces plasma concentrations of Isentress®; caution should be used with the recommended dose of Isentress® -Similar to rifampin, tipranavir/ritonavir reduces Isentress® concentrations. This is not clinically significant; no dose adjustment of Isentress® is necessary -Atazanavir, a strong inhibitor of UGT1A1, increases Isentress® plasma concentrations. This is not clinically significant; no dose adjustment of Isentress® is necessary -Isentress® may be administered without regard to food
Pregnancy Category	**C**, to monitor fetal outcomes of pregnant women exposed to Isentress® call 1-800-258-4263
Lactation	Breast-feeding is not recommended while taking Isentress®. HIV-infected mothers are discouraged from breast-feeding to decrease potential transmission of HIV
Overdose/Toxicity	**S/Sx:** Doses as high as 1600 mg single dose and 800 mg twice daily multiple doses showed no evidence of toxicity. **Tx:** Includes general supportive care (ie, remove unabsorbed drug from GI tract, monitor for clinical changes, etc.). The extent to which Isentress® is dialyzed is unknown.