

Name	Dosage Forms	Approved Dosing	Metab/Elimin	Mutations	Side Effects and Clinical Pearls
Nucleoside Reverse Transcriptase Inhibitors (NRTI): Inhibits HIV reverse transcriptase; prevents viral genome incorporation into host DNA.					
Abacavir (ABC, Ziagen)	300 mg scored tab 20 mg/mL soln	HLA-B*5701 testing recommended. <u>Adults:</u> 300 mg bid or 600 mg qd; <u>Peds:</u> 8 mg/kg bid; No food restrictions	Alcohol dehydrogenase	K65R,L74V, K70R,Y115F,M184 V/I, L210W,T215Y	Patients with HLA-B*5701 allele high risk for hypersensitivity reactions; will have 2 symptoms (*fever, rash, SOB, fatigue, GI-upset). Stop immediately if occurs and NEVER use again. *Counsel on reaction*; <u>No renal dosing.</u> Avoid with alcohol due to shared metabolic pathway. Preg Cat: C
Didanosine (ddI, Videx)	25,50,100,150,200mg (buff tabs), 10mg/mL susp, powder	<u>Adults:</u> ≤ 60kg =125 mg bid; > 60kg =200 mg bid; Empty stomach	Renal	K65R,L74V, M184V	Diarrhea, pancreatitis, peripheral neuropathy, anxiety, rash, lactic acidosis. Buffered tabs contain antacids (also Ca & Mg) – caution with tetracycline & FQ's; not used with d4t. ↓ Dose for CrCl < 60 ml/min. Preg Cat: B
Didanosine (ddI, Videx EC)	125,200, 250,400mg enteric coated caps	<u>Adults:</u> ≤ 60kg =250 mg qd; > 60kg =400 mg qd; if with TNF: ≤ 60kg =200 mg qd; > 60kg =250 mg qd; Empty stomach	Renal	K65R,L74V, M184V	Same as non-enteric coated didanosine (Videx). Does not contain antacid. Note: If given with tenofovir (TNF) the levels of ddI can be increased and cause side effects (occurs with both forms of ddI). ↓ Dose for CrCl < 60 ml/min. Preg Cat: B
Emtricitabine (FTC, Emtriva)	200 mg cap, 10mg/ml soln	(If CrCl ≥50 ml/min) <u>Adults:</u> 200mg qd; <u>Peds</u> 6mg/kg/d; No food restrictions	Renal	M184V/I	Risk for lactic acidosis and hepatomegaly with steatosis. Dose adjust for renal impairment (< 50 ml/min). Related to 3TC (do not combine). Preg Cat: B
Lamivudine (3TC, Epivir)	150mg tab, 300 mg tab, 10mg/mL soln	<u>Adults:</u> 150 mg bid or 300 mg daily. <u>Peds</u> > 3 months see PI. No food restrictions	Renal; Sub & Inhib OCT1,2	M184V/I	HA, N/V/D, peripheral neuropathy, lactic acidosis. ↓ Dose for CrCl < 50 ml/min. Keep soln at RT. Also used in Hepatitis infection. Preg Cat: C
Stavudine (d4T, Zerit)	15, 20, 30, 40mg caps, 1mg/mL powder	<u>Adults:</u> (If CrCl ≥ 50ml/min): ≤ 60kg =30 mg bid; >60kg =40 mg bid; <u>Peds</u> (<30 kg): 0.5-1 mg/kg bid; No food restrictions	Renal	M41L,D67N, K70R, L210W, T215Y, K219E	Peripheral neuropathy, lipodystrophy, worsen lipids, HA, lactic acidosis, mild ↑ LFT; Thymidine analogue. Solution: shake & refig. Avoid with AZT. ↓ Dose for CrCl < 50 ml/min. Preg Cat: C
Zalcitabine (ddC, Hivid)	0.375, 0.75 mg tab	<u>Adults only:</u> (if CrCl > 40 ml/min): 0.75 mg tid; No food restrictions	Renal	K65R, T69D, L74V, M184V	Peripheral neuropathy, rash, stomatitis/oral ulcers, cases of pancreatitis, lactic acidosis. ↓Dose for CrCl ≤ 40 ml/min). Preg Cat: C <i>No longer used in the U.S.</i>
Zidovudine (AZT, Retrovir)	100mg cap, 300mg tab 50mg/5mL syrup; IV (10mg/1mL)	<u>Adults:</u> 300 mg tab bid or 200 mg cap tid; <u>Peds</u> (<12 yrs): 160 mg/m ² ; No food restrictions	Liver: Glucuronidation; UGT (sub)	M41L, D67N, K70R, L210W, T215Y, K219Q	N/V, HA, malaise, neutropenia, macrocytic anemia (↑MCV) and lactic acidosis (especially in obese patients). Thymidine analogue. Nausea may be improved with food. Can give low dose EPO/GCSF for anemia. ↓ Dose CrCl < 15 ml/min. Preg Cat: C
3TC/AZT (Combivir)	150mg/300mg	1 tab bid; No food restrictions	See above	See above	Same as zidovudine (AZT, Retrovir) & lamivudine (3TC, Epivir).
ABC/3TC/AZT (Trizivir)	300mg/150mg/300mg	1 tab bid; No food restrictions	See above	See above	Same as abacavir, lamivudine, zidovudine; Used without other HIV meds only if other meds cannot be used; HLA-B*5701 allele high risk for hypersensitivity reactions with abacavir.
ABC/3TC (Epzicom)	600mg/300mg	Once daily; No food restrictions	See above	See above	HLA-B*5701 allele high risk for hypersensitivity reactions with abacavir. See above for each drug for details.
Efavirenz/ Emtricitabine/TNF (Atripla)	600/200/300 mg	1 tab qd on empty stomach (Avoid with high fat meal); No pediatric indication	Sub: 2B6, 3A4 Inducer: 3A4 Inhib:2C9/19, 3A4	See individual agents	See individual agents above for emtricitabine (FTC) and below for tenofovir (TNF) efavirenz (EFV).
Nucleoside Reverse Transcriptase Inhibitor (NRTI): Inhibits HIV reverse transcriptase; prevents viral genome incorporation into host DNA.					
Tenofovir (TNF; Viread)	300 mg tab	<u>Adults:</u> 300 mg qd with food; No Pediatric indication	Renal	M41L, K65R, D67N, K70R, L210W,T215Y/F	A prodrug that requires only 2 phosphorylations. Also used for hepatitis B infections; Renal toxicity/failure, potential ↓ BMD (↑ risk of osteoporosis); Renal dose adjust if CrCl<50 ml/min. Didanosine (ddI) can ↑ AUC 28-44%, separate. TNF will ↓ ATV (give RTV). Preg Cat: B
Tenofovir/emtricitabine (Truvada)	300 mg/200 mg	<u>Adults:</u> 1 tab qd with food; No pediatric indication	Renal	See Above	There have been case reports with TNF causing fanconi's syndrome.
Non-Nucleoside Reverse Transcriptase Inhibitors (NNRTI): Inhibits HIV reverse transcriptase; prevents viral genome incorporation into host DNA.					
Delavirdine (DLV; Rescriptor)	100, 200 mg tab	<u>Adults only:</u> 400 mg tid 1 hr apart from antacids	Sub: 2D6,3A4 Inhib: 2C9/19, 2D6,3A4	Mutations in RT: 103,181,236	Rash, HA, diarrhea. Antacids ↓ AUC; not used much in the U.S. due to drug interactions and lower efficacy compared to other NNRTIs. Preg Cat: C
Efavirenz (EFV; Sustiva)	50,200 mg cap, 600 mg tab	<u>Adults:</u> 600 mg qhs on empty stomach (Avoid taking with high fat meal). <u>Peds:</u> 200-600 mg qd based on weight	Sub: 2B6, 3A4, Inducer: 3A4 Inhib:2C9/19,3A4	98,100,103,106,108,179,181,188,190 ,225,227	Rash, dizziness, insomnia, bad dreams, psychosis; Preg Category D; Net effect on CYP450 = induction, thus ↓ AUCs many 3A4 substrates. High fat meals can ↑ AUC by 50% = ↑ psychosis (will go away in 3-4 weeks so counsel). False + for marijuana on urine tox screen.
Etravirine, (ETV; TMC-125; Intelence)	100 mg tabs	<u>Adults only:</u> 200 mg bid with food	Sub: 2C9/19, 3A4 Inhibit: 2C9/19; Inducer: 3A4	L100I, E138G, V179D/F/I, Y181C/I/V, H221Y	Severe skin rash and nausea (10%). ONLY FDA approved for treatment experienced adult pts with NNRTI resistance. Check INR with warfarin. Avoid use with ATV/RTV, TPV/RTV, FPV/RTV, any unboosted PI or any other NNRTI. Preg Cat: B
Nevirapine (NVP; Viramune)	200 mg tab, 50mg/5mL susp	<u>Adults:</u> 200 mg qd x 14d, then 200 mg bid; <u>Peds:</u> 150 mg/m ² x14d, 150 mg/m ² bid; No food restrictions	Substrate & Autoinducer: 2B6, 3A4	K103N, V106A, V108I, Y181C, Y188C, G190A	Rash (cases of SJS & TEN reported), hepatotoxicity, nausea, fever, HA. If hepatotoxicity occurs it will occur in 1st 4 wks (esp if CD4>250 in females & >400 in males). Contraindicated in mod-severe hepatic impairment. Avoid ↑ dose until rash resolves – give antihistamines. Preg Cat: B

Name	Dosage Forms	Approved Dosing	Metab/Elimin	Mutations	Side Effects and Clinical Issues
Protease Inhibitors (PI): Inhibits viral maturation through inhibition of HIV protease in new progeny virions.					
Atazanavir (ATV; Reyataz)	100, 150, 200, 300 mg caps	<u>Adults:</u> <u>Naïve:</u> 400 mg qd; IF naïve pt has ESRD + HD: 300/RTV100mg. <u>Experienced or on TNF, PPI or H2RA:</u> 300 mg/100 mg RTV qd <u>Experienced + ESRD not on HD:</u> 300 mg + 100 mg RTV qd (avoid if ESRD <u>and on</u> HD); <u>Peds > 6 yrs of age:</u> see PI for dosing. Take with food.	Inhibitor: 2C8, 3A4 , UGT1A1, BCRP	M46I, I50L, I84V, A71V	Jaundice, asymptomatic hyperbilirubinemia, HA, PR interval prolongation (bradycardia); causes less hyperlipidemia. Avoid in treatment experienced pts ESRD <u>on</u> HD. If treatment naïve + ESRD <u>on</u> HD, or used with EFZ or TNF RTV boosting needed. If resistance occurs with ATV can take other PIs. Avoid use with PPI's in experienced pts but can in naïve pts only on ATV/RTV but dose limited to 20 mg omeprazole equivalent 12hrs prior to ATV; Can use H2RA equiv to 40 mg famotidine bid in naïve but must be on ATV/RTV; if treatment experienced pt limit H2RA equiv to famotidine 20 mg bid given with ATV/RTV or after 10hrs. Now approved >6 yrs of age (see PI for info). Preg Cat: B
Darunavir (DRV; TMC-114; Prezista)	75, 150, 300, 400, 600 mg tab	<u>Adults:</u> <u>Naïve:</u> 800 mg + 100 mg RTV qd; <u>Experienced:</u> 600 mg + 100 mg RTV bid; <u>Peds ≥ 6yrs of age:</u> see PI. Take with food.	Sub: 3A4 Inhibitor: 2D6, 3A4 (from the RTV)	S37D, R41E/T, K55Q, H69Q, K70E, T74S, V77I, I85V	Skin rash including SJS (7%), drug-induced hepatitis (monitor AST/ALT), ↑ glucose, N/V/D, possible hemophilia with ↑ bleeding. Avoid in severe liver disease and sulfa allergic patients (darunavir contains a sulfonamide moiety). Caution with St. Johns Wort, lovastatin, simvastatin, rifampin, triazolam & other substrates of CYP3A4. Preg Cat: C
Fosamprenavir (FPV; Lexiva, Telzir - Canada)	700 mg tabs Telzir: 50 mg/ml (susp)	<u>Adults:</u> <u>Naïve:</u> 1400 mg bid or 1400 mg qd + 200 mg RTV or 700/100 bid; <u>Experienced:</u> 700/100 bid; If EFV:1400 +300 RTV qd; No food restrictions	Substrate:3A4 Inhibitor: 2D6, 3A4 (if RTV used)	V32I, M46I/L, I47V, I50V, I54L/M, I84V, p7/p1, p1/p6 Gag	Prodrug of amprenavir. Nausea, vomiting, rash (19%) including SJS, hyperlipidemia (↑CVD), bleeding (hemophilia) that requires factor VIII. Caution in Sulfa allergic patients. Dosing depends on treatment experience and other medications. Preg Cat: C
Indinavir (IDV; Crixivan)	100, 200, 400 mg cap	<u>Adults only:</u> 800 mg tid; 800 mg + RTV (100 or 200mg) bid on empty stomach (drink 1.5 L water qd)	Sub: 3A4, Pgp,MRP1/2 Inhibitor: 2D6,3A4,UGT1A1	10, 20,L24I, M46I/L, I54A/V,L63P,I64V,A71 T/V, V82A, I84V,L90M	N/V, nephrolithiasis (why ↑ fluid intake mentioned), ↑ t.bili (due to UGT inhibition), ↑ glucose & TG, alopecia. Avoid antacids by 1 hr. Counsel to drink 48 oz/day. TID dosing important unless on boosted regimen. ↓ Dose with itraconazole & ketoconazole; Preg Cat C
Lopinavir/Ritonavir (LPV/RTV; Kaletra)	200mg/50mg tab, 100mg/25 mg tab, 400mg + 100mg RTV/5mL soln	<u>Adult:</u> <u>Naïve:</u> 400/100 bid or 800/200 qd; <u>Experienced:</u> 400/100 bid; no once-daily dosing. Take with food. See PI for pediatric dosing.	Sub: 3A4 Same as ritonavir	PI mutations at positions: 10,20,24, 33, 36, 47, 48, 54, 82	Nausea, HA, hyperlipidemia. Use caution in HCV co-infected pts or with liver diseases. Can ↑ TNF levels. Solution contains 42% alcohol (v/v); Avoid with voriconazole & OC's. No refrigeration needed. Preg Cat: C
Nelfinavir (NFV; Viracept)	250, 625 mg tab, 50 mg/g powder	750 mg tid or 1250 mg bid with meal or light snack	Sub:2C9/19,3A4 2D6,1A2,2E1,Pgp Inhibitor:3A4	PI mutations at positions:30,36,46,54, 71,73,77,82,84,88,90	N/V/D, hyperlipidemia, ↑ glucose, ↑ transaminases. Mix powder c milk, water, pudding, but not acidic foods due to taste. Tabs can be crushed. Contraindicated with amiodarone, quinidine, midazolam, triazolam & other major CYP3A4 substrates. Preg Cat: B
Ritonavir (RTV; Norvir)	100 mg cap, 80 mg/mL soln	<u>Adult treatment doses:</u> 600 mg bid with food; <u>Boosting:</u> 100-200 mg qd to bid	Sub:1A2,2D6,3A4,Pgp, MRP2; Inducer: 1A2 Inhibitor: 2D6,3A4, Pgp	PI mutations at positions: 10,20,32,33,36,46,50, 53,54,71,77,82,84,90	N/V/D, asthenia, perioral dysesthesia, lipodystrophy, ↑ glucose, ↑ TG. Only used now for PI boosting. Store caps in refrigerator – stable for 30d at room temperature. Caps & solution contain ethanol. Major drug interactions with substrates of CYP3A4. Preg Cat: B
Saquinavir (SQV; Fortovase, Invirase)	200 mg SGC 200 mg HGC & 500 mg film coated tab	<u>Adults only:</u> (SGC): 1200 mg tid; (HGC) 1000mg bid + 100 mg RTV bid with fat containing food	Sub:3A4, Pgp, MRP2 Inhibitor: 3A4	L10I/R/V, G48V, A71V/T, G73S, V82A, I84V, L90M, I54V/L	N/V/D, Abdominal bloating, indigestion, hyperlipidemia. F = 12%, so take c fatty food (1006 kcal, 57 g fat) to ↑ AUC. Garlic may ↓ AUC. SGC's are stable at room temp or refrigerator. Give with RTV 100 mg bid. *Avoid rifampin – liver toxicity!! Preg Cat B.
Tipranavir (TPV; Aptivus)	250 mg caps, 100 mg/ml soln (116 IU of vitamin E per ml)	<u>Adults:</u> Unboosted & use in naïve patients NOT recommended; 500 mg + 200 mg RTV bid. <u>Peds > 2 yrs of age:</u> see PI. No food restrictions.	Inducer: 3A4 as monotherapy; Net Inhibition of 2D6, 3A4, with RTV	L10F, L33F, I84V, K45I, I13V, V32I, I54V/T, A71V, V82L	↑ Liver enzymes (10%), hyperlipidemia, ↑ glucose, Bleeding: 14 cases of ICH; 8 fatalities. Not use in Child-Pugh B/C cirrhosis. Separate from di- & trivalent cations; Use caution in pts with ↑ bleeding risk or need surgery or use of anticoagulants. At standard doses patients could get 1160 IU of vitamin E with solution. Preg Cat: C
Fusion Inhibitors: Binds viral gp41 subunit & inhibits conformational change required for fusion with CD4 T-cells.					
Enfuvirtide (T20; Fuzeon)	Injection: 90mg/ml when reconstituted	<u>Adults:</u> 90 mg subcutaneously bid. <u>Peds ≥ 6 yrs of age:</u> see PI	Not known	Changes at gp41 HR1 domain positions 36 to 45	Injection site reactions, respiratory infections. Reconstitute with 1.1 ml SWFI, tap on vial for 10sec; May require 45 minutes for complete dissolution, use within 24hrs. Keep unused product in refrigerator until needed. Preg Cat B.
Entry Inhibitors (CCR5 co-receptor Antagonists): Selective, reversible antagonist to interaction between CCR5 and HIV gp120 needed for viral entry into cells.					
Maraviroc (MCV; Selzentry)	150, 300 mg tab	<u>Adults only:</u> 150 mg bid if with 3A4 inh; 300 mg bid (if on NRTIs, TPV/RTV or on no potent 3A4 Inh or Induc); 600 mg bid with inducers) No food restrictions.	Substrate: 3A4 and Pgp	Complex & ill defined; use Trofile assay for testing	Hepatotoxicity, rash, eosinophilia or ↑IgE, postural hypotension & ↑ risk for CV events. No official CI listed; Caution with CrCl < 50; Safety/efficacy not known in peds or treatment naïve pts. Do not use in pts with dual/mixed CXCR4-tropic HIV-1 at this time. Use caution in hepatitis B or C co-infected pts as well as patients with CVD. Preg Cat B.
Integrase Inhibitors: Prevents the covalent insertion, or integration, of unintegrated linear HIV DNA into the host cell genome preventing formation of HIV provirus'.					
Raltegravir (RAL; Isentress)	400 mg tab	<u>Adults only:</u> 400 mg bid. No food restrictions.	Substrate: UGT1A1,1A9,1A3	Y143C/H/R,Q148H/K/R, N155H,	GI upset, HA, fatigue, itching, diarrhea, sweating. Caution with inhibitors of UGT1A1 (such as atazanavir, indinavir, gemfibrozil). No effect on CYP450. Preg Cat C.