Chapter 12. HIV Drug Glossary

Antiretroviral Therapy

Nucleoside Reverse Transcriptase Inhibitors (NRTIs) *

Abacavir (ABC, Ziagen)

Indications: Treatment of HIV infection in combination with other agents.

HLA-B*5701 testing (presence associated with increased risk of hypersensitivity reaction) is recommended before using this drug in an antiretroviral regimen.

Contraindications: Known or suspected hypersensitivity.

Dosage: 300 mg po bid. Also available as Epzicom, a fixed-dose combination of 3TC 300 mg and ABC 600 mg given once a day; and Trizivir, a fixed-dose combination of ZDV 300 mg, 3TC 150 mg, and ABC 300 mg given twice a day.

Toxicity: Four percent of patients develop a hypersensitivity reaction, usually within 6 weeks of initiating therapy. It is manifested by fever, constitutional or respiratory symptoms, gastrointestinal intolerance, and/or rash. Stopping the drug leads to rapid resolution of symptoms. Never rechallenge a patient thought to have had a hypersensitivity reaction to abacavir as severe reactions and death have been reported.

Other side effects include nausea, vomiting, diarrhea, headache, malaise.

Pregnancy category C.

Didanosine (ddI, Videx)

Indications: Treatment of HIV infection in combination with other agents.

Contraindications: Known hypersensitivity, history of pancreatitis or significant peripheral neuropathy.

Dosage: Enteric-coated formulation: 400 mg po qd for weight ≥ 60 kg and 250 mg po qd for weight < 60 kg. When co-administered with TDF, the standard dose is 250 mg taken at same time with light meal.
Also available in buffered powder: \( \geq 60 \text{ kg} \rightarrow 250 \text{ mg po bid}; < 60 \text{ kg} \rightarrow 167 \text{ mg po bid}.

Both formulations are taken on an empty stomach (> 30 minutes before a meal or > 2 hours after a meal).

**Toxicity:** Peripheral neuropathy, acute pancreatitis, gastrointestinal intolerance, abnormal liver function tests. Co-administration with d4T is not recommended because of overlapping toxicities and an increased risk of lactic acidosis.

Pregnancy category B.

**Emtricitabine (FTC, Emtriva)**

**Indications:** Treatment of HIV infection in combination with other agents. Also has activity against hepatitis B virus.

**Contraindications:** Known hypersensitivity.

**Dosage:** 200 mg po qd. Also available as Truvada, a fixed-dose combination of TDF 300 mg and FTC 200 mg given once a day; Atripla, a fixed-dose combination of TDF 300 mg, FTC 200 mg, and efavirenz 600 mg given once a day; and Stribild, a fixed-dose combination of TDF 300 mg, FTC 200 mg, elvitegravir 150 mg, and cobicistat 150 mg. given once a day.

**Toxicity:** Hyperpigmentation on palms and soles.

Pregnancy category B.

*Stribild (cobicistat component) has many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.*

**Lamivudine (3TC, Epivir)**

**Indications:** Treatment of HIV infection in combination with other agents. Also has activity against hepatitis B virus.

**Contraindications:** Known hypersensitivity.
Dosage: 150 mg po bid or 300 mg po qd. Also available as Combivir, a fixed-dose combination of ZDV 300 mg with 3TC 150 mg given twice a day; Epzicom, a fixed-dose combination of 3TC 300 mg and ABC 600 mg given once a day; and Trizivir, a fixed-dose combination of ZDV 300 mg, 3TC 150 mg, and ABC 300 mg given twice a day.

Toxicity: Uncommon. Headache, gastrointestinal intolerance, and insomnia have been reported.

Pregnancy category C.

Stavudine (d4T, Zerit)

Indications: Treatment of HIV infection in combination with other agents.

Contraindications: Known hypersensitivity, concurrent ZDV use because of pharmacologic antagonism.

Dosage: Immediate-release formulation: ≥ 60 kg → 40 mg po bid; < 60 kg → 30 mg po bid.

Extended-release: ≥ 60 kg → 100 mg po qd; < 60 kg → 75 mg po qd.

Toxicity: Peripheral neuropathy, acute pancreatitis, facial lipoatrophy, abnormal liver function tests. Co-administration with ddI is not recommended because of overlapping toxicities and an increased risk of lactic acidosis.

Pregnancy category C.

Tenofovir (TDF, Viread)

Indications: Treatment of HIV infection in combination with other agents. Also has activity against hepatitis B virus.

Tenofovir is a nucleotide agent.

Contraindications: Known hypersensitivity.

Dosage: 300 mg po qd with food. Also available as Truvada, a fixed-dose combination of FTC 200 mg and TDF 300 mg given once a day; Atripla, a fixed-dose combination of TDF 30 mg, FTC 200 mg, and efavirenz 600 mg given once a day; and Stribild, a fixed-dose combination of TDF 300 mg, FTC 200 mg, elvitegravir 150 mg, and cobicistat 150 mg. given once a day.
Toxicity: Gastrointestinal intolerance, renal dysfunction, hypophosphatemia.

Pregnancy category B.

*Stribild (cobicistat component) has many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.*

**Zidovudine (ZDV, AZT, Retrovir)**

**Indications:** Treatment of HIV infection in combination with other agents (rarely used).

In addition, ZDV may have specific benefits for patients who have HIV-related thrombocytopenia or encephalopathy.

Prevention of perinatal transmission when given prenatally and during delivery to HIV-infected mother and to infant postpartum. Combination antiretroviral therapy should be administered in this setting.

**Contraindications:** Known hypersensitivity.

**Dosage:** Treatment of HIV infection in adults: 300 mg po bid. Also available as Combivir, a fixed-dose combination of ZDV 300 mg with 3TC 150 mg given twice a day; and Trizivir, a fixed-dose combination of ZDV 300 mg, 3TC 150 mg, and ABC 300 mg given twice a day.

Prevention of perinatal transmission: Pregnancy weeks 14-34 → 300 mg po bid; during labor → 2 mg/kg IV loading dose over 30 minutes to 1 hour, then 1 mg/kg/hr IV through delivery; and infant → 2 mg/kg syrup q6h for 6 weeks.

**Toxicity:** Gastrointestinal intolerance, headache, fingernail discoloration, myopathy, leukopenia, abnormal liver function tests, macrocytosis.

Pregnancy category C. Recommended for pregnant women after the first trimester to prevent vertical transmission.
Non-nucleoside Reverse Transcriptase Inhibitors (NNRTIs)

Delavirdine (Rescriptor)

**Indications:** Treatment of HIV infection in combination with other agents (rarely used).

**Contraindications:** Known hypersensitivity.

**Dosage:** 400 mg po tid. Two tablets must be dissolved in 3 or more ounces of water to produce a slurry. Antacids and ddI should not be taken one hour before or after the dose. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.

**Toxicity:** Rash is common and does not require discontinuation of the drug unless accompanied by fever, mucous membrane involvement, or other systemic manifestations. Stevens-Johnson syndrome has been reported infrequently. Other side effects include headache, abnormal liver function tests.

Pregnancy category C.

Efavirenz (Sustiva)

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity, pregnancy.

**Dosage:** 600 mg po qhs. Avoid taking with high fat meals. Also available as Atripla, a fixed-dose combination of TDF 300 mg, FTC 200 mg, and efavirenz 600 mg given once a day.

There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.

**Toxicity:** Rash is common and does not require discontinuation of the drug unless accompanied by fever, mucous membrane involvement, or other systemic manifestations. Other side effects include vivid dreams and nightmares, neurocognitive dysfunction, hyperlipidemia, abnormal liver function tests.
Pregnancy category D; teratogenic in non-human primates. Women taking efavirenz should use two forms of contraception.

**Etravirine (Intelence)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 200 mg po bid. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.*

**Toxicity:** Rash is common and does not require discontinuation of the drug unless accompanied by fever, mucous membrane involvement, or other systemic manifestations. Stevens-Johnson syndrome has been reported infrequently. Other side effects include nausea, diarrhea, abnormal liver function tests.

Pregnancy category B.

**Nevirapine (Viramune)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity, moderate to severe hepatic disease.

**Dosage:** 200 mg po qd x two weeks; 200 mg po bid thereafter. Patients who develop rash during the first two weeks should not increase the dose until the rash resolves. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.*

**Toxicity:** Rash is common (about 17% of patients, although fewer with dose escalation regimen) and does not require discontinuation of the drug unless accompanied by fever, mucous membrane involvement, or other systemic manifestations. Stevens-Johnson syndrome has been reported infrequently. Other side effects include nausea, headache, abnormal liver function tests.

_Because of a high incidence of symptomatic hepatic events in women with_
CD4 cell count > 250/mm³ and in men with CD4 cell count > 400/mm³, NVP use should be avoided in these settings unless the benefit clearly outweighs the risk.

Pregnancy category B.

**Rilpivirine (Edurant)**

**Indications:** Treatment of HIV infection in combination with other agents. Also available as Complera, a fixed-dose combination of TDF 300 mg, FTC 200 mg, and rilpivirine 25 mg given once a day.

**Contraindications:** Known hypersensitivity.

**Dosage:** 25 mg po qd. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.

**Toxicity:** Depression, insomnia, headache, rash.

Pregnancy category B.

**Protease Inhibitors (PIs) **

**Atazanavir (Reyataz)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 300 mg po qd administered with ritonavir 100 mg po qd as pharmacologic booster. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.

**Toxicity:** Gastrointestinal intolerance, hyperbilirubinemia. Unlike other protease inhibitors, this drug does not appear to be associated with hyperlipidemia.

Pregnancy category B.
Darunavir (Prezista)

Indications: Treatment of HIV infection in combination with other agents.

Contraindications: Known hypersensitivity.

Dosage: 800 mg po qd (treatment-naïve patients) administered with ritonavir 100 mg po qd or 600 mg po bid (treatment-experienced patients) administered with ritonavir 100 mg po bid as pharmacologic booster. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.

Toxicity: Gastrointestinal intolerance, rash, headache, abnormal liver function tests, severe hepatotoxicity (rare).

Pregnancy category B.

Fosamprenavir (Lexiva)

Indications: Treatment of HIV infection in combination with other agents.

Contraindications: Known hypersensitivity.

Dosage: 1400 mg po bid. When administered with ritonavir (100 mg po bid) as pharmacologic booster, dose is 700 mg po bid. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.

Toxicity: Gastrointestinal intolerance, rash, headache, oral paresthesias.

Pregnancy category C.

Indinavir (Crixivan)

Indications: Treatment of HIV infection in combination with other agents.

Contraindications: Known hypersensitivity.
Dosage: 800 mg po q8h on an empty stomach or with a non-fat meal. When administered with ritonavir (100-200 mg po bid) as pharmacologic booster, dose is 800 mg po bid without food restrictions. Patients should drink at least 48 ounces of fluid a day. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.

Toxicity: Nephrolithiasis, gastrointestinal intolerance, hyperbilirubinemia.

Pregnancy category C.

**Lopinavir/Ritonavir (Kaletra)**

**Indications:** Treatment of HIV infection in combination with other agents.

Lopinavir is a protease inhibitor combined with ritonavir as pharmacologic booster.

**Contraindications:** Known hypersensitivity, concurrent use of ritonavir.

**Dosage:** Two tablets (each 200 mg lopinavir/50 mg ritonavir) po bid; four tablets po qd has been approved in treatment-naïve patients. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.

**Toxicity:** Gastrointestinal intolerance, weakness, headache.

Pregnancy category C.

**Nelfinavir (Viracept)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 1250 mg po bid or 750 mg po tid with food. There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.
infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.

**Toxicity:** Diarrhea.

Pregnancy category B.

**Ritonavir (Norvir)**

**Indications:** Treatment of HIV infection in combination with other agents (infrequently used in this manner because of gastrointestinal toxicity and drug interactions). Often co-administered as pharmacologic booster with other protease inhibitors.

**Contraindications:** Known hypersensitivity.

**Dosage:** 600 mg po q12h with food following two week dose escalation regimen (day 1 and 2: 300 mg po bid; days 3-5: 400 mg po bid; days 6-13: 500 mg po bid). When administered as pharmacologic booster, dosage is reduced. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.*

**Toxicity:** Gastrointestinal intolerance, circumoral paresthesias, abnormal liver function tests.

Pregnancy category B.

**Saquinavir (Invirase)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 1000 mg po bid administered with ritonavir 100 mg po bid as pharmacologic booster. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.*

**Toxicity:** Gastrointestinal intolerance, abnormal liver function tests.
Pregnancy category B.

Tipranavir (Aptivus)

**Indications**: Treatment of HIV infection in combination with other agents.

**Contraindications**: Known hypersensitivity.

**Dosage**: 500 mg po bid administered with ritonavir 200 mg po bid as pharmacologic booster. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at [www.aidsinfo.nih.gov](http://www.aidsinfo.nih.gov) for more information.*

**Toxicity**: Gastrointestinal intolerance, abnormal liver function tests, severe hepatotoxicity (rare), intracranial hemorrhage (rare).

Pregnancy category C.

**Entry Inhibitors**

Enfuvirtide (Fuzeon)

**Indications**: Treatment of HIV infection in combination with other agents.

**Contraindications**: Known hypersensitivity.

**Dosage**: 90 mg SC bid.

**Toxicity**: Injection site reaction.

Pregnancy category B.

Maraviroc (Selzentry)

**Indications**: Treatment of HIV infection in combination with other agents.

Maraviroc is a CCR5 antagonist. HIV coreceptor tropism assay is recommended before using this drug in an antiretroviral regimen.

**Contraindications**: Known hypersensitivity.
**Dosage:** 300 mg po bid (although dosage may range from 150-600 mg po bid depending upon with what other drugs it is administered). There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference, package insert, or guidelines for the use of antiretroviral agents in HIV-infected adults and adolescents at www.aidsinfo.nih.gov for more information.

**Toxicity:** Cough, arthralgia, myalgia, diarrhea, sleep disturbance, abnormal liver function tests.

Pregnancy category B.

**Integrase Inhibitors**

**Raltegravir (Isentress)**

**Indications:** Treatment of HIV infection in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 400 mg po bid.

**Toxicity:** Diarrhea, nausea, fatigue, myalgia, abnormal liver function tests.

Pregnancy category C.

**Pneumocystis jiroveci (carinii) Pneumonia (PCP): Treatment and Prophylaxis**

**Atovaquone (Mepron)**

**Indications:** Treatment (mild to moderate infection) and prophylaxis of PCP in patients unable to tolerate TMP-SMX or dapsone.

**Contraindications:** Known hypersensitivity.

**Dosage:** 750 mg of suspension po bid with food x 3 weeks for treatment. Same dosing regimen for prophylaxis.

**Toxicity:** Gastrointestinal intolerance, rash, headache, fever.

Pregnancy category C.
Clindamycin with Primaquine

**Indications:** Treatment of PCP in patients unable to tolerate TMP-SMX.

**Contraindications:** Known hypersensitivity; glucose 6-phosphate dehydrogenase (G6PD) deficiency is contraindication to primaquine use.

**Dosage:** Clindamycin 600 mg IV q6-8h (or 300-450 mg po qid) and primaquine 15-30 mg base po qd x 3 weeks.

**Toxicity:** Clindamycin: diarrhea, nausea, rash. Primaquine: nausea, dyspepsia, hemolytic anemia (G6PD deficiency).

Pregnancy categories B (clindamycin) and C (primaquine).

Dapsone

**Indications:** Treatment of PCP (mild to moderate infection) in combination with trimethoprim; prophylaxis of PCP in patients unable to tolerate TMP-SMX; primary prophylaxis of toxoplasmosis in combination with pyrimethamine.

**Contraindications:** Known hypersensitivity, G6PD deficiency.

**Dosage:** PCP treatment: dapsone 100 mg qd and trimethoprim 15 mg/kg/day x 3 weeks.

PCP prophylaxis: 100 mg po qd; toxoplasmosis prophylaxis: dapsone 50 mg qd plus pyrimethamine 50 mg weekly with folinic acid 25 mg.

**Toxicity:** Rash, fever, gastrointestinal intolerance, neutropenia, methemoglobinemia.

Pregnancy category C.

Pentamidine (Aerosol [NebuPent], Intravenous [Pentam])

**Indications:** Treatment and prophylaxis of PCP in patients unable to tolerate TMP-SMX or dapsone. Corticosteroids are used adjunctively in patients with PCP who have significant respiratory dysfunction (paO2 <70 mm Hg or alveolar-arterial gradient >35 mm Hg).

**Contraindications:** Known hypersensitivity; severe asthma or bronchospasm, active pulmonary tuberculosis (aerosol preparation).

**Dosage:** Treatment: intravenous 3-4 mg/kg qd for up to three weeks.
Prophylaxis: aerosol 300 mg via Respirgard II nebulizer once a month.

**Toxicity:** Aerosol: bronchospasm, particularly in patients with history of asthma or chronic obstructive pulmonary disease; pharyngeal irritation; metallic taste. Intravenous: hypotension, nephrotoxicity, hypoglycemia, hyperglycemia, leukopenia, thrombocytopenia, hypokalemia, hypocalcemia.

Pregnancy category C.

**Trimethoprim-sulfamethoxazole (TMP-SMX, Bactrim, Septra)**

**Indications:** Treatment and prophylaxis of PCP; primary prophylaxis of toxoplasmosis. Corticosteroids are used adjunctively in patients with PCP who have significant respiratory dysfunction (paO2 <70 mm Hg or alveolar-arterial gradient >35 mm Hg).

**Contraindications:** Known hypersensitivity to trimethoprim or sulfonamides, megaloblastic anemia.

**Dosage:** Treatment of PCP: 5 mg/kg po/IV q8h of trimethoprim component (equivalent to 2 tabs po tid of DS for 65 kg patient) x 3 weeks.

Prophylaxis of PCP: one DS or SS tablet po qd. Prophylaxis of toxoplasmosis: one DS tablet po qd.

**Toxicity:** Side effects are common in HIV-infected patients and include gastrointestinal intolerance; rash, urticaria, photosensitivity, Stevens Johnson syndrome; fever; leukopenia, thrombocytopenia, hemolytic anemia; abnormal liver function tests; renal dysfunction, interstitial nephritis; aseptic meningitis.

Patients with history of mild to moderate drug toxicity should be given retrial of TMP-SMX or desensitized using an established protocol.

Pregnancy category C; avoid use at term because of risk of kernicterus in newborn.
Mycobacterium avium Complex (MAC) Infection and Tuberculosis (TB): Treatment and Prophylaxis

Amikacin (Amikin)

Indications: Treatment of MAC infection in combination with other agents.

Contraindications: Known hypersensitivity to aminoglycoside antibiotics.

Dosage: 10-15 mg/kg/day IV for first four weeks of MAC therapy.

Toxicity: Ototoxicity, especially with larger total dose and longer duration (more auditory than vestibular and usually irreversible); nephrotoxicity.

Pregnancy category D.

Azithromycin (Zithromax)

Indications: Treatment of MAC infection in combination with other agents; prophylaxis of MAC infection.

Contraindications: Known hypersensitivity to macrolide antibiotics.

Dosage: MAC treatment: 600 mg po qd; prophylaxis: 1200 mg po weekly.

Toxicity: Gastrointestinal intolerance.

Pregnancy category B.

Ciprofloxacin (Cipro)

Indications: Treatment of MAC infection in combination with other agents; treatment of TB in combination with other agents.

Contraindications: Known hypersensitivity.

Dosage: 500-750 mg po bid.

Toxicity: Gastrointestinal intolerance, central nervous system dysfunction, rash.

Pregnancy category C.
**Clarithromycin (Biaxin)**

**Indications:** Treatment of MAC infection in combination with other agents; prophylaxis of MAC infection.

**Contraindications:** Known hypersensitivity to macrolide antibiotics.

**Dosage:** MAC treatment and prophylaxis: 500 mg po bid.

**Toxicity:** Gastrointestinal intolerance, abnormal liver function tests.

Pregnancy category C; teratogenic in animals.

**Ethambutol (Myambutol)**

**Indications:** Treatment of MAC infection in combination with other agents; treatment of TB in combination with other agents.

**Contraindications:** Known hypersensitivity, history of optic neuritis.

**Dosage:** 15-20 mg/kg po qd; adjusted dose can be administered 2-3 times per week for TB treatment (DOT).

**Toxicity:** Optic neuritis, rash, gastrointestinal intolerance, abnormal liver function tests.

Pregnancy category C; teratogenic in animals.

**Isoniazid (INH)**

**Indications:** Treatment of TB in combination with other agents; treatment of latent TB.

**Contraindications:** Known hypersensitivity, significant hepatic disease.

**Dosage:** Treatment of active TB: 300 mg po qd; adjusted dose can be administered 2-3 times per week for TB treatment (DOT); treatment of latent TB: 300 mg po qd or 900 mg po twice per week (DOT) for nine months. Pyridoxine 50 mg po qd should be given concurrently for prevention of peripheral neuropathy.

**Toxicity:** Rash, hepatotoxicity, especially in alcoholics and persons older than 50; fever; peripheral neuropathy.

Pregnancy category C.
**Pyrazinamide**

**Indications:** Treatment of TB in combination with other agents.

**Contraindications:** Known hypersensitivity, significant hepatic disease.

**Dosage:** 25 mg/kg po qd; adjusted dose can be administered 2-3 times per week for TB treatment (DOT).

**Toxicity:** Rash, abnormal liver function tests, hyperuricemia.

Pregnancy category C.

**Rifabutin (Mycobutin)**

**Indications:** Treatment of MAC infection in combination with other agents; treatment of TB in combination with other agents; prophylaxis of MAC infection in patients unable to tolerate clarithromycin or azithromycin.

**Contraindications:** Known hypersensitivity.

**Dosage:** Treatment and prophylaxis: 300 mg po qd; adjusted dose can be administered 2-3 times per week for TB treatment (DOT). There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.

**Toxicity:** Rash, orange discoloration of body secretions, gastrointestinal intolerance, abnormal liver function tests. Acute uveitis has been reported when used in association with clarithromycin.

Pregnancy category C.

**Rifampin**

**Indications:** Treatment of TB in combination with other agents.

**Contraindications:** Known hypersensitivity.

**Dosage:** 600 mg po qd; adjusted dose can be administered 2-3 times per week for TB treatment (DOT). There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration
with other agents; see Physicians Desk Reference or package insert for more information.

Toxicity: Rash, orange discoloration of body secretions, gastrointestinal intolerance, abnormal liver function tests.

Pregnancy category C.

**Streptomycin**

**Indications:** Treatment of TB in combination with other agents.

**Contraindications:** Hypersensitivity to aminoglycoside antibiotics.

**Dosage:** 15 mg/kg IM qd adjusted dose can be administered 2-3 times per week for TB treatment (DOT).

**Toxicity:** Ototoxicity, vestibular toxicity.

Pregnancy category D.

**Toxoplasmosis: Treatment and Prophylaxis +**

**Clindamycin**

**Indications:** Treatment of toxoplasmic encephalitis (for patients unable to tolerate sulfadiazine) in combination with pyrimethamine.

**Contraindications:** Known hypersensitivity.

**Dosage:** Initial therapy: 600 mg IV or po q6h x 6 weeks.

Maintenance therapy (secondary prophylaxis): 600 mg po q8h.

**Toxicity:** Diarrhea, nausea, rash.

Pregnancy category B.

**Dapsone** See section on PCP Treatment and Prophylaxis.
**Pyrimethamine**

**Indications:** Treatment of toxoplastic encephalitis in combination with sulfadiazine or clindamycin.

Primary prophylaxis of toxoplasmosis in combination with dapsone.

**Contraindications:** Known hypersensitivity.

**Dosage:** Initial therapy: 100-200 mg po loading dose, followed by 50-75 mg po qd x 6 weeks in conjunction with folinic acid 10 mg po qd.

Maintenance therapy (secondary prophylaxis): 25-50 mg po with folinic acid 10 mg po qd.

Prophylaxis: 50 mg weekly with folinic acid 25 mg.

**Toxicity:** Reversible bone marrow suppression, gastrointestinal intolerance.

Pregnancy category C; teratogenic in animals.

**Sulfadiazine**

**Indications:** Treatment of toxoplastic encephalitis in combination with pyrimethamine.

**Contraindications:** Known hypersensitivity to sulfonamides.

**Dosage:** Initial therapy: 1000-1500 mg po qid x 6 weeks.

Maintenance therapy (secondary prophylaxis): 500-1000 mg po qid.

**Toxicity:** Fever, rash, pruritus, bone marrow suppression.

Pregnancy category C; avoid use at term because of risk of kernicterus in newborn.

**Trimethoprim-Sulfamethoxazole** See section on PCP Treatment and Prophylaxis.
Cytomegalovirus (CMV) Infection: Treatment and Prophylaxis

Cidofovir (Vistide)

**Indications:** Treatment of CMV infection, including ganciclovir-resistant strains.

**Contraindications:** Known hypersensitivity, significant renal dysfunction, use of other nephrotoxic medications.

**Dosage:**
- Initial therapy: 5 mg/kg IV once a week x 2.
- Maintenance therapy (secondary prophylaxis): 5 mg/kg IV once every other week.
  
  Probenecid 2 gm po 3 hr prior, and 1 gm po 2 hr prior and 8 hr after infusion should be administered to prevent nephrotoxicity; 1 liter normal saline is also given prior to cidofovir dosing.

**Toxicity:** Nephrotoxicity, neutropenia. Probenecid is associated with fever, chills, headache, rash, nausea.

Pregnancy category C.

Foscarnet (Foscavir)

**Indications:** Treatment of CMV infection, including ganciclovir-resistant strains.

**Contraindications:** Known hypersensitivity, significant renal dysfunction.

**Dosage:**
- Initial therapy: 60 mg/kg IV q8h or 90 mg/kg IV q12h x 14 days.
- Maintenance therapy (secondary prophylaxis): 90-120 mg/kg IV qd.

**Toxicity:** Nephrotoxicity, hypocalcemia, hypophosphatemia, hypokalemia, headache, fatigue, nausea, anemia, seizures.

Pregnancy category C.

Ganciclovir (Cytovene)

**Indications:** Treatment and prophylaxis of CMV infection.

**Contraindications:** Known hypersensitivity, neutropenia, thrombocytopenia.
**Dosage:**  
Initial therapy: 5 mg/kg IV q12h x 14-21 days.

Maintenance therapy (secondary prophylaxis): 5 mg/kg IV qd.

Also available as vitreal implant requiring ophthalmologic surgery.

**Toxicity:**  
Neutropenia, thrombocytopenia, anemia, nausea, abdominal pain, headache, confusion.

Pregnancy category C; teratogenic in animals.

**Valganciclovir (Valcyte)**

**Indications:** Treatment and prophylaxis of CMV infection.

**Contraindications:** Known hypersensitivity, neutropenia, thrombocytopenia.

**Dosage:**  
Initial therapy: 900 mg po bid x 3 weeks.

Maintenance therapy (secondary prophylaxis): 900 mg po qd.

**Toxicity:**  
Neutropenia, thrombocytopenia, anemia, nausea, abdominal pain, headache, confusion.

Pregnancy category C.

**Herpes Simplex Virus (HSV) and Varicella-Zoster Virus (VZV) Infections:**  
**Treatment and Prophylaxis ++**

**Acyclovir (Zovirax)**

**Indications:** Treatment and prophylaxis of HSV and VZV infections.

**Contraindications:** Known hypersensitivity.

**Dosage:**  
HSV treatment: 400 mg po tid x 7 days; secondary prophylaxis: 400 mg po bid is standard dose but larger doses may be necessary in advanced IV disease. For extensive or disseminated disease, intravenous therapy (10 mg/kg q8h) is given.

VZV treatment: 800 mg po 5x/day for 7 days. For disseminated zoster or ophthalmic involvement, intravenous therapy (10-12 mg/kg q8h) is given.
Secondary prophylaxis generally is not indicated.

**Toxicity:** Nausea, renal dysfunction.

Pregnancy category C.

**Famciclovir (Famvir)**

**Indications:** Treatment and prophylaxis of HSV and VZV infections.

**Contraindications:** Known hypersensitivity.

**Dosage:**
- HSV treatment: 125 mg po bid x 7 days; secondary prophylaxis: 125-250 mg po bid.
- VZV treatment: 500 mg po tid x 7 days. Secondary prophylaxis generally is not indicated.

**Toxicity:** Headache, nausea.

Pregnancy category B.

**Fungal Infections: Treatment and Prophylaxis**

**Amphotericin B**

**Indications:** Pharmacist-prepared suspension for treatment of oral candidiasis; intravenous drug for treatment of systemic fungal infections.

**Contraindications:** Known hypersensitivity.

**Dosage:**
- Oral candidiasis: 1-5 ml of suspension po qid x 14 days.
- Systemic fungal infections: intravenous doses range from 0.3-1.0 mg/kg/day depending on the pathogen and type of infection. Lipid complex preparations are less toxic but very expensive.

**Toxicity:** Oral suspension: nausea, vomiting, diarrhea, rash; intravenous drug: infusion-related fever, chills, phlebitis, hypotension, nausea, vomiting, nephrotoxicity, hypokalemia, hypomagnesemia, hypocalcemia, anemia.

Pregnancy category B.
Caspofungin (Cancidas)

**Indications:** Treatment of resistant mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** 50 mg IV qd.

**Toxicity:** Rash, gastrointestinal intolerance, abnormal liver function tests. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

Pregnancy category C.

Clotrimazole

**Indications:** Treatment of mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** Oral candidiasis: 10 mg lozenge dissolved in the mouth 5 times a day; vaginal candidiasis: 100 mg tablet per vagina bid x 3 days.

**Toxicity:** Nausea, abnormal liver function tests.

Pregnancy category C.

Fluconazole (Diflucan)

**Indications:** Treatment and secondary prophylaxis of mucosal candidiasis; secondary prophylaxis of cryptococcal infection.

**Contraindications:** Known hypersensitivity.

**Dosage:** Treatment of oral candidiasis: 100 mg po qd x 7-14 days; candida esophagitis: 200 mg po qd x 14-21 days; vaginal candidiasis: 150 mg po x one. Secondary prophylaxis of mucosal candidiasis: 50-200 mg po qd. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

Cryptococcal infection maintenance therapy (secondary prophylaxis): 200 mg po qd. Most experts recommend initial treatment of cryptococcal
infection with amphotericin B x two weeks followed by high-dose fluconazole (400 mg po qd) x 8 weeks.

**Toxicity:** Nausea, headache, abnormal liver function tests.

Pregnancy category C.

**Itraconazole (Sporanox)**

**Indications:** Treatment of histoplasmosis and resistant mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** 200 mg po qd to bid.

**Toxicity:** Gastrointestinal intolerance, abnormal liver function tests. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

Pregnancy category C.

**Nystatin**

**Indications:** Treatment of mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** Oral candidiasis: 5 ml suspension to be gargled and swallowed 5 times a day x 7-14 days; vaginal candidiasis: 100,000 unit tab intravaginally 1-2 times a day x 7-14 days.

**Toxicity:** Nausea, vomiting, diarrhea

Pregnancy category C.

**Posaconazole (Noxafil)**

**Indications:** Treatment of resistant mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** 400 mg po bid.
Toxicity: Gastrointestinal intolerance, abnormal liver function tests. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

Pregnancy category C.

**Voriconazole (Vfend)**

**Indications:** Treatment of resistant mucosal candidiasis.

**Contraindications:** Known hypersensitivity.

**Dosage:** 200 mg po or IV bid.

**Toxicity:** Rash, gastrointestinal intolerance, peripheral edema, abnormal liver function tests. *There are many potential drug interactions, some of which may require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

Pregnancy category D.

**Miscellaneous Therapeutic Agents**

**Boceprevir (Victrelis)**

**Indications:** Treatment of chronic hepatitis C infection, genotype 1, in combination with pegylated interferon and ribavirin.

**Contraindications:** Known hypersensitivity.

**Dosage:** 800 mg po tid.

**Toxicity:** Fatigue, headache, dysgeusia, nausea, alopecia, dry skin, insomnia, anemia.

Pregnancy category B (X for use with pegylated interferon and ribavirin).

**Dronabinol (Marinol)**

**Indications:** Appetite stimulant for treatment of AIDS wasting syndrome.

**Contraindications:** Known hypersensitivity, significant cognitive dysfunction.
Dosage: 2.5 mg po bid.
Toxicity: Neuropsychiatric symptoms, gastrointestinal intolerance.

Pregnancy category C.

**Erythropoietin (Epogen, Procrit)**

Indications: Treatment of HIV- or ZDV-associated anemia (HCT ≤ 30) in patients with serum erythropoietin levels ≤ 500 milliunits/ml.

Contraindications: Known hypersensitivity to mammalian cell derived products or human albumin, uncontrolled hypertension.

Dosage: 40,000 units SC once a week; response usually seen between 2 and 6 weeks.
Toxicity: Headache, nausea, arthralgia, hypertension, seizures.

Pregnancy category C; teratogenic in animals.

**Granulocyte-Colony Stimulating Factor [Filgrastim] (Neupogen, Neulasta)**

Indications: Treatment of neutropenia, defined as ANC < 500-750/mm³, as a result of HIV disease, chemotherapy, or other drugs (hydroxyurea, ganciclovir, ZDV, TMP-SMX).

Contraindications: Known hypersensitivity to drug or *Escherichia coli*-derived products.

Dosage: 5-10 mcg/kg/day SC.
Toxicity: Bone pain.

Pregnancy category C.

**Human Growth Hormone [Somatropin] (Serostim)**

Indications: Hormonal treatment of AIDS wasting syndrome.

Contraindications: Known hypersensitivity, presence of an actively growing intracranial tumor.

Dosage: For patients > 55 kg, dose is 6 mg SC qd; for patients 45-55 kg, dose is 5 mg SC qd; for patients 35-45 kg, dose is 4 mg SC qd.
Toxicity: Arthralgia, edema, hypertension, hyperglycemia.

Pregnancy category B.

**Human Growth Hormone-Releasing Factor [Tesamorelin] (Egrifta)**

**Indications:** Hormonal treatment of HIV-related lipodystrophy.

**Contraindications:** Known hypersensitivity, active malignancy, pregnancy.

**Dosage:** 2 mg SC once daily.

**Toxicity:** Injection site reaction, arthralgia, edema, rash.

Pregnancy category X.

**Megestrol Acetate (Megace)**

**Indications:** Appetite stimulant for treatment of AIDS wasting syndrome.

**Contraindications:** Known hypersensitivity, pregnancy.

**Dosage:** Oral suspension: 400-800 mg po qd; tablets: 80 mg po qid up to 800 mg/day.

**Toxicity:** Hypogonadism, adrenal insufficiency, diarrhea, impotence, rash, hyperglycemia.

Pregnancy category D.

**Oxandrolone (Oxandrin)**

**Indications:** Anabolic steroid for treatment of AIDS wasting syndrome.

**Contraindications:** Known hypersensitivity, history of breast or prostate cancer, significant hepatic dysfunction, nephrosis, pregnancy.

**Dosage:** 5-10 mg po bid.

**Toxicity:** Edema, hypertension, virilization, glucose intolerance, hyperlipidemia, abnormal liver function tests.

Pregnancy category X.
Pegylated Interferon (PEGASYS, PEG-Intron)

**Indications:** Treatment of chronic hepatitis C infection in combination with ribavirin (and HCV protease inhibitor [boceprevir or telaprevir] for genotype 1).

**Contraindications:** Known hypersensitivity.

**Dosage:** Pegylated interferon alfa-2a (PEGASYS) 180 mcg SC weekly with ribavirin 400 mg po bid.

Pegylated interferon alfa-2b (PEG-Intron) 1.5 mcg/kg SC weekly with ribavirin 400 mg po bid.

**Toxicity:** Constitutional symptoms, depression.

Pregnancy categories C (PEGASYS) and X (PEG-Intron).

Ribavirin

**Indications:** Treatment of chronic hepatitis C infection in combination with pegylated interferon.

**Contraindications:** Known hypersensitivity, severe anemia, pregnancy.

**Dosage:** 400 mg po bid with pegylated interferon regimen as above. A higher dose of ribavirin may be necessary with genotype 1 infection. *There are many potential drug interactions, some of which require dosage modification or preclude its co-administration with other agents; see Physicians Desk Reference or package insert for more information.*

**Toxicity:** Rash, hemolytic anemia.

Pregnancy category X.

Telaprevir (Incivek)

**Indications:** Treatment of chronic hepatitis C infection, genotype 1, in combination with pegylated interferon and ribavirin.

**Contraindications:** Known hypersensitivity.

**Dosage:** 750 mg po tid.
Toxicity: Fatigue, gastrointestinal intolerance, pruritus, anemia; rare cases of fatal and non-fatal serious skin reactions have been reported.

Pregnancy category B (X for use with pegylated interferon and ribavirin).

**Testosterone**

**Indications:** Treatment of hypogonadism; treatment of AIDS wasting syndrome.

**Contraindications:** Known hypersensitivity, history of male breast or prostate cancer, pregnancy.

**Dosage:** 200-400 mg IM q 2 weeks. Topical treatment is also available: 1) gel preparation (Androgel) 5 g topically qd; and 2) transdermal systems via non-scrotal patch including Androderm and Testoderm.

**Toxicity:** Coagulopathy, cholestatic jaundice, increased libido, edema, flushing, priapism, local reaction with patches.

Pregnancy category X.

**Thalidomide (Thalomid)**

**Indications:** Treatment of refractory aphthous ulcers; treatment of refractory AIDS wasting syndrome.

**Contraindications:** Known hypersensitivity, pregnancy.

**Dosage:** 50-200 mg po qd. Physicians and pharmacists must be registered in the STEPS program (System for Thalidomide Education and Prescribing Safety) at 1-888-423-5436 to prescribe thalidomide. Female patients must have a negative pregnancy test within 24 hours of starting therapy, weekly pregnancy tests in the first month of therapy, monthly pregnancy tests thereafter, and agree to use two forms of contraception. Male patients must use a condom for contraception.

**Toxicity:** Peripheral neuropathy, drowsiness, orthostatic hypotension, fever, rash, neutropenia.

Pregnancy category X.
Footnotes

* Lactic acidosis, rarely with hepatomegaly and steatosis, has been associated with all drugs in this class.

** Hyperlipidemia, glucose intolerance/diabetes mellitus, and alterations in body fat distribution have been associated with combination antiretroviral therapy, especially regimens containing protease inhibitors.

*** Drugs for TB can also be administered as directly observed therapy (DOT) in different dosage regimens. Consultation with an expert clinician in this area is recommended.

+ For primary prophylaxis, see PCP Treatment and Prophylaxis section.

++ Cidofovir and foscarnet also have activity against HSV and VZV and may have a role in the treatment of resistant strains. Valacyclovir, an acyclovir analogue, has been associated with cases of thrombotic thrombocytopenic purpura (TTP) in patients with advanced HIV disease.

Pregnancy Categories: A: Controlled studies show no risk; B: No evidence of risk in humans; C: Risk cannot be excluded; D: Evidence of risk; X: Contraindicated in pregnancy.