Pocket Guide for HIV Pharmacotherapy

Antiretroviral Combination Tablets

Truvada: FTC/TDF 1 tab daily
Epzicom: ABC/3TC 1 tab daily
Combivir: AZT/3TC 1 tab BID
Trizivir: ABC/AZT/3TC 1 tab BID

Atripla: FTC/TDF/EFV 1 tab daily
Complera: FTC/TDF/RPV 1 tab daily
Stribild: FTC/TDF/EVG/COBI 1 tab daily
Triumeq: 3TC/ABC/DTG 1 tab daily

Kaletra: LPV/RTV 2 tab BID or 4 tab daily
Evotaz: ATV/COBI 1 tab daily
Prezcobix: DRV/COBI 1 tab daily

Dosage Adjustments for Renal Insufficiency

Drug	CrCl >50 mL/min	CrCl 30-49 mL/min	CrCl 15-29 mL/min	CrCl <15 mL/min	IHD*
FTC	200 mg daily	200 mg q48h	300 mg q72h	200 mg q96h	200 mg q96h
TDF	300 mg daily	300 mg q48h	300 mg twice weekly	300 mg weekly	300 mg weekly
AZT	300 mg BID or 200 mg TID	300 mg BID or 200 mg TID	300 mg BID or 200 mg TID	300 mg daily or 100 mg TID	300 mg daily or 100 mg TID

Drug	CrCl >50 mL/min	CrCl 30-49 mL/min	CrCl 15-29 mL/min	CrCl 5-14 mL/min	CrCl <5 mL/min	IHD
3TC	300 mg daily or 150 mg BID	150 mg daily	150 mg x1, then 100 mg daily	150 mg x1, then 50 mg daily	50 mg x1, then 25 mg daily	50 mg x1, then 25 mg daily
ABC	600 mg daily or 300 mg BID (standard dose) – no renal dose adjustment required					

*For IHD—give doses after HD on HD days; no specific data for CRRT or CAPD

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Preferred Regimens for Naïve Patients

NNRTI	**Atripla®** TDF 300 mg QD, FTC 200 mg QD, EFV 600 mg QD	**Pill burden: 1 pill daily** - Avoid in pregnancy - Major ADR: vivid dreams
PI	**Truvada®, Reyataz®, Norvir®** TDF 300 mg QD, FTC 200 mg QD, ATV/r 300/100 mg QD	**Pill burden: 3 pills daily** - Caution with PPIs and H2RBs - Major ADR: jaundice and ↑ Tbili
PI	**Truvada®, Prezista®, Norvir®** TDF 300 mg QD, FTC 200 mg QD, DRV/r 800/100 mg QD	**Pill burden: 3 pills daily** - Highest barrier to resistance - Major ADR: diarrhea
INSTI	**Truvada® + Isentress®** TDF 300 mg QD, FTC 200 mg QD, RAL 400 mg BID	**Pill burden: 2 pills AM/1 pill PM** - Minimal drug interactions - Only **BID** preferred regimen
INSTI	**Stribild®** TDF 300 mg QD, FTC 200 mg QD, EVG/c 150/150 mg QD	**Pill burden: 1 pill daily** - High drug interaction potential - Only use if CrCl >70 mL/min pre-ART
INSTI	**Truvada® + Tivicay®** TDF 300 mg QD, FTC 200 mg QD, DTG 50 mg QD	**Pill burden: 2 pills daily** - High barrier to resistance - Once daily INSTI, few interactions
INSTI	**Triumeq®** 3TC 300 mg QD, ABC 600 mg QD, DTG 50 mg QD	**Pill burden: 2 pills daily** - Only use if HLA-B*5701 negative - Can use for any pre-ART viral load

Refer to guidelines for other preferred regimens with pre-ART viral load >100,000 copies/mL and CD4 >200 cells/mm^3

Opportunistic Infection Prophylaxis

***Pneumocystis jirovecii* pneumonia (PCP)**
CD4 cutoff: 200 cells/mm^3 (primary and secondary)
Primary: SMX/TMP 800/160 mg daily or TIW or 400/80 mg daily
Secondary: same as primary
Alternatives: atovaquone, dapsone, pentamidine (inhaled)

***Mycobacterium avium* complex disease (MAC)**
CD4 cutoff: 50 cells/mm^3 (primary)
Primary: Azithromycin 1200 mg once weekly
Secondary: same as treatment
Alternatives: clarithromycin

Cryptococcal meningitis
CD4 cutoff: 200 cells/mm^3 (for restarting secondary prophylaxis)
Primary: Not indicated
Secondary: fluconazole 200 mg daily
Alternatives: itraconazole

***Toxoplasma gondii* encephalitis (TE)**
CD4 cutoff: 100 cells/mm^3 and (+) for toxoplasma IgG (primary)
Primary: SMX/TMP 800/160 mg daily or TIW or 400/80 mg daily
Secondary: same as treatment
Alternatives: atovaquone or dapsone + leucovorin/pyrimethamine

More information: www.aidsinfo.nih.gov/guidelines (DHHS guidelines)
Resistance mutation interpretations: www.hivdb.stanford.edu

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Antiretroviral Pharmacotherapy by Class

Drug	Dose	Forms	Interactions	Significant ADRs	Clinical Pearls
Nucleos(t)ide Reverse Transcriptase Inhibitors (NRTIs) - blocks active site of reverse transcriptase (RT) resulting in chain termination Class ADRs: lactic acidosis ± hepatic steatosis/pancreatitis; lipoatrophy; dyslipidemia					
Abacavir (ABC) **P** Ziagen ®	600 mg daily 300 mg BID	Tablets Oral solution	None	HSR - flu-like symptoms (fever, rash, lethargy, lymphadenopathy)	HLA*5701B (+) more likely to have HSR - do NOT rechallenge
Emtricitabine (FTC) **P** Emtriva ®	200 mg daily	Hard capsule Oral solution	Antagonistic with FTC	Generally well-tolerated Skin hyperpigmentation (rare)	Also active against HBV
Lamivudine (3TC) **P** Epivir ®	300 mg daily 150 mg BID	Tablets Oral solution	Antagonistic with 3TC	Generally well-tolerated	Also active against HBV
Tenofovir (TDF) **P** Viread ®	300 mg daily	Tablets Oral powder*	Avoid with didanosine or unboosted ATV	Renal dysfunction (usually mild) Reduced bone mineral density	Also active against HBV Severe renal effects rare (e.g. Fanconi's)
Zidovudine (AZT/ZDV) **P** Retrovir ®	300 mg BID 200 mg TID	Capsule/vials Oral suspension	Monitor toxicity with other myelosuppressive drugs	Bone marrow suppression	MCV >100 - marker for adherence Only ARV available as IV form
Non-nucleoside Reverse Transcriptase Inhibitors (NNRTIs) - binds to adjacent enzyme site to alter active site of RT Class ADRs: hepatotoxicity and rash to varying degrees					
Efavirenz (EFV) **P** Sustiva ®	600 mg daily	Tablets	**Induces 3A4** Inhibits 3A4, 2C9, 2C19	CNS (vivid dreams, dizziness, etc) Hyperlipidemia (HDL, LDL, TG)	Take at bedtime or on empty stomach to reduce CNS AEs
Etravirine (ETR) Intelence ®	200 mg BID	Tablets	**Induces 3A4** Inhibits 2C9, 2C19	Rash (including SJS/TEN) HSR (rash, possible organ failure)	Tablets may be dispersed in water
Nevirapine (NVP) **A** Viramune ®	200 mg BID 400 mg daily	Tablets Oral solution	**Induces 3A4, 2B6**	Highest rate of rash (e.g. SJS/TEN) Hepatotoxicity (watch CD4 counts)	Titration (200 mg daily for first 2 weeks) Don't start if CD4 >250 in M, >400 in F
Rilpivirine (RPV) Edurant ®	25 mg daily	Tablets	3A4 substrate	Depression, insomnia, headache	Take with food to increase absorption No PPIs, separate from H2RBs/antacids
Protease Inhibitors (PIs) - inhibits maturation of virions by blocking cleavage of polypeptides Class ADRs: GI intolerance; hyperlipidemia; insulin resistance; lipodystrophy					
Atazanavir (ATV) **P** Reyataz ®	300 mg daily/r 400 mg daily	Capsules	3A4 inhibitor/substrate **Avoid acid suppressants**	Indirect hyperbilirubinemia Nephrolithiasis	Least metabolic effects in PI class Tbili - marker for adherence
Darunavir (DRV) **A** Prezista ®	800 mg daily/r 600 mg BID/r	Tablets Oral suspension	3A4 inhibitor/substrate	GI effects (mostly NVD) Rash (sulfa moiety)	ALWAYS give with RTV and with food Experienced = BID dosing only
Fosamprenavir (FPV) Lexiva ®	1400 mg daily/r 700 mg BID/r	Tablets Oral solution	3A4 inhibitor, inducer, and substrate	Rash (sulfa moiety)	Boosting not needed with 1400 mg BID Only use BID with experienced
Lopinavir (LPV) **P** Kaletra ®	400 mg BID/r 800 mg daily/r	Tablets Oral solution	3A4 inhibitor/substrate	GI effects (due to ritonavir) Hyperlipidemia (TG, TC)	BID dosing may reduce GI effects Take solution with food
Ritonavir (RTV) Norvir ®	100-400 mg/day (depends on PI)	Tablet Oral solution	**Inhibits 3A4, 2D6**	GI effects (NV, altered taste) Paresthesias (peripheral, oral)	Take with food to decrease GI AEs Full dose (600 mg BID) no longer used
Integrase Inhibitors (INSTIs) - blocks integration of transcribed viral DNA into host DNA genome					
Dolutegravir (DTG) Tivicay ®	50 mg daily 50 mg BID	Tablets	Glucuronidation (UGT1A1) Caution with cations	Insomnia, headache, increased SCr Rash including HSR and SJS/TEN	BID dosing required for INSTI resistance or if given with 3A inducers (e.g. rifampin)
Elvitegravir (EVG) Vitekta ®	85 mg daily 150 mg daily	Tablets	3A, UGT1A1 substrate Caution with cations	GI effects (NV) Headache	Only give with RTV-boosted PI or COBI Dose dependent on selection of PI
Raltegravir (RAL) **A** Isentress ®	400 mg BID	Tablets Oral suspension*	UGT1A1 substrate Caution with cations	Pyrexia, CPK elevation, myalgias Rash including HSR and SJS/TEN	Increase to 800 mg BID with rifampin Low barrier to resistance (BID dosing)
Entry Inhibitors - inhibits entry into cell by blocking CCR5 coreceptor (MVC) or fusion of viral particle (T20)					
Maraviroc (MVC) Selzentry ®	300 mg BID (to 150 or 600 mg)	Tablets	3A4 substrate (adjust dose with inhibitor/inducer)	Orthostatic hypotension Hepatotoxicity with HSR symptoms	Requires Trophile screening prior to use HSR - rash, fatigue, eosinophilia
Enfuvirtide (T20) Fuzeon ®	90 mg BID	Vials	None (broken down into amino acids in blood)	Bacterial pneumonia Injection site reactions (98%)	Requires BID SQ injections (rotate sites) Local reactions can last up to 7 days
Pharmacokinetic enhancer - CYP3A inhibitor to increase systemic exposure of other antiretrovirals (no intrinsic activity against HIV)					
Cobicistat (COBI) Tybost ®	150 mg daily	Tablets	**Inhibits 3A4**, p-glycoprotein	Increased SCr without GFR effects	Only give with EVG, ATV or daily DRV NOT interchangeable with low-dose RTV

***** Dosage forms not interchangeable (refer to package insert for alternative dosing)
P = preferred ARV for pregnancy, **A** = alternative ARV for pregnancy

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