

ANTIRETROVIRALS: DOSING, ADVERSE REACTIONS, and INTERACTION POTENTIAL

Generic Name	Brand Name	Abbreviation	Adult Dosing	Infant/Pediatric Dosing	Adverse Reactions	Potential for Interactions
NUCLEOSIDE/TIDE REVERSE TRANSCRIPTASE INHIBITORS (NRTIs)				hepatotoxicity, mitochondrial toxicity, lactic acidosis		
abacavir	Ziagen	ABC	<ul style="list-style-type: none"> 300 mg BID 600 mg QD No food restrictions	<ul style="list-style-type: none"> Infant (1-3m): 8mg/kg BID (under study) Pediatric: 8mg/kg BID (max dose: 300mg BID) 	N, V, HA, Hypersensitivity reaction (fever, malaise, GI sx's, R; do not rechallenge)	Minimal
didanosine	Videx EC	ddl	<ul style="list-style-type: none"> 400 mg QD (≥60kg) 250 mg QD (<60 kg) Empty stomach	<ul style="list-style-type: none"> Neonate/infant: 50mg/m² BSA Q12h Pediatric: 120mg/m² BSA Q12h (range: 90-150mg/m² BSA Q12h) 	Pancreatitis, peripheral neuropathy	TDF increases ddl AUC: reduce ddl dose to 250 mg QD if given with TDF 300 mg QD
emtricitabine	Emtriva	FTC	<ul style="list-style-type: none"> 200 mg QD No food restrictions	<ul style="list-style-type: none"> Pediatrics (3m-17yr): 6mg/kg oral solution QD (max dose: 240mg or 24mL) or 200mg QD (if ≥33kg) 	HA, N, V	Minimal
lamivudine	Epivir	3TC	<ul style="list-style-type: none"> 150 mg BID or 300 mg QD No food restrictions	<ul style="list-style-type: none"> Neonate/infant: 2mg/kg BID Pediatric: 4mg/kg BID 	HA, N	Minimal
stavudine	Zerit	d4T	<ul style="list-style-type: none"> 40mg BID (≥60kg) 30 mg BID (<60kg) No food restrictions	<ul style="list-style-type: none"> Pediatric (<30kg): 1mg/kg Q12h 	Peripheral neuropathy	Minimal
tenofovir	Viread	TDF	<ul style="list-style-type: none"> 300 mg QD No food restrictions	Safety and efficacy not established	N, V, D, flatulence	Increases ddl AUC: reduce ddl dose to 250 mg QD if given with TDF Decreases Cmin of ATV: boost ATV 300 mg with RTV 100 mg QD if given with TDF
zidovudine	Retrovir	AZT, ZDV	<ul style="list-style-type: none"> 300 mg BID 200 mg TID No food restrictions	<ul style="list-style-type: none"> Premature infant: 1.5mg/kg IV q12hr or 2mg/kg PO Q12h; increase at 2-4wk to Q8h Neonate/infant: 2mg/kg PO Q6h or 3mg/kg PO Q8h or 1.5mg/kg IV Q6h Pediatric: 160mg/m² BSA PO Q8h (range: 90-180mg/m² BSA Q6-8h) 	Anemia, neutropenia, N, HA	Minimal; avoid use with other bone marrow toxic medications
zidovudine / lamivudine	Combivir	AZT + 3TC	<ul style="list-style-type: none"> One BID No food restrictions	Not recommended	See AZT and 3TC	See AZT and 3TC
lamivudine / abacavir	Epzicom	3TC + ABC	<ul style="list-style-type: none"> One QD No food restrictions	Not recommended	See 3TC and ABC	See 3TC and ABC
zidovudine / lamivudine / abacavir	Trizivir	AZT + 3TC + ABC	<ul style="list-style-type: none"> One BID No food restrictions	Not recommended	See AZT, 3TC, and ABC	See AZT, 3TC, and ABC
tenofovir / emtricitabine	Truvada	TDF + FTC	<ul style="list-style-type: none"> One QD No food restrictions	Not recommended	See FTC and TDF	See TDF and FTC
NON-NUCLEOSIDE REVERSE TRANSCRIPTASE INHIBITORS (NNRTIs)				rash, hepatotoxicity		
delavirdine	Rescriptor	DLV	<ul style="list-style-type: none"> 400 mg TID No food restrictions	Not recommended	R	Both substrate and inhibitor of liver enzymes
efavirenz	Sustiva	EFV	<ul style="list-style-type: none"> 600 mg QD Initially at HS and preferably on empty stomach	<ul style="list-style-type: none"> Pediatric: 200mg QD (10-15kg); 250mg QD (15-20kg); 300mg QD (20-25kg); 350mg QD (25-32.5kg); 400mg QD (32.5-40kg); 600mg QD (>40kg) 	CNS effects: dizziness, somnolence, insomnia, confusion	Inducer, inhibitor, and substrate of liver enzymes
etravirine	Intelence	ETR	<ul style="list-style-type: none"> 200 mg BID With food	No data available	N, R	ETR is a substrate and inducer of liver enzymes (3A4, 2C9, 2C19) Do not co-administer with TPV/r, f-APV/r, ATV/r, non-RTV-boosted PIs, and other NNRTIs
nevirapine	Viramune	NVP	<ul style="list-style-type: none"> 200mg QDx2wks; then 200mg BID No food restrictions	<ul style="list-style-type: none"> Neonate/infant (under study): 5mg/kg or 120 mg/m² BSA QD x2wk; then 120mg/m² BSA Q12hr x2wk; then 200mg/m² BSA Q12hr Pediatric: 120-200 mg/m² BSA QD x2wk; then 120-200 mg/m² BSA Q12hr 	R, hepatotoxicity	Both substrate and inducer of liver enzymes
Dual NRTI plus NNRTI Combination						
Efavirenz / emtricitabine / tenofovir	Atripla	EFV + FTC + TDF	<ul style="list-style-type: none"> One QD Preferably empty stomach	Not recommended	N, HA, D, CNS effects	See TDF, FTC, and EFV

TN= treatment-naïve, TE= treatment-experienced, N= nausea, D= diarrhea, V= vomiting, HA= headache, R= rash

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ENTRY INHIBITORS(Fusion Inhibitors and CCR5 Co-receptor Antagonists)						
enfuvirtide	Fuzeon	ENF, T-20	•90mg SQ BID	• Pediatric (6-16yr): 2mg/kg SQ BID (max dose 90mg BID)	Injection site reactions; myalgia; pneumonia	Minimal
maraviroc	Selzentry	MVC	•MVC + strong CYP3A inhibitor: 150mg BID •MVC + CYP3A inducer only: 600mg BID •MVC + NRTIs, TPV, NVP: 300mg BID No food restrictions	No data available	R, cough, fever, musculoskeletal symptoms, hepatotoxicity	MVC is a substrate of liver enzymes. CYP3A inhibitors (except TPV/r) and DLV increase MVC CYP3A inducers decrease MVC
INTEGRASE INHIBITORS						
raltegravir	Isentress	RAL	•400mg BID No food restrictions	No data available	N, HA, D, ↑ creatine kinase	Strong inducers of UGT 1A1 (e.g. rifampin) can decrease RAL concentrations
PROTEASE INHIBITORS (PIs) <i>hepatotoxicity, lipodystrophy, dyslipidemias, insulin resistance/ hyperglycemia</i>						
amprenavir	Agenerase	APV	•Reserved for pediatrics Avoid high-fat meals	• 13-16 yrs (or wt>50 kg): 1200 mg BID • 4-12 yrs (or wt<50 kg): 22.5mg/kg BID or 17mg/kg TID oral solution (max:2800mg); 20mg/kg BID or 15mg/kg TID capsule(max daily dose= 2400 mg)	N, D, R, perioral/oral paresthesias	Both substrate and inhibitor of liver enzymes; formulated with vitamin E- avoid vitamin E supplements
atazanavir	Reyataz	ATV	•TN: 400mg QD •300mg QD + RTV 100mg QD With food	Not approved in children (phase I/II)	↑ bilirubin, EKG changes (rare)	Both substrate and inhibitor of liver enzymes. Boost with RTV when given with TDF. Refer to package insert when given with H-2 blockers or PPIs.
darunavir	Prezista	DRV	•600mg BID + RTV 100mg BID With food	No data available	R, N, D, HA	Net inhibitor of liver enzymes (CYP-3A4)
fosamprenavir	Lexiva	f-APV	•TN: 1400mg BID or 1400mg QD + RTV 200mg QD or 1400mg QD + RTV 100mg QD •700mg BID + RTV 100mg BID No food restrictions	• TN & 2-5 yrs: 30 mg/kg BID • TN & ≥6 yrs: 30 mg/kg BID or 18 mg/kg + RTV 3 mg/kg BID • TE & ≥6 yrs: 18 mg/kg + RTV 3 mg/kg BID	See APV	Both substrate and inhibitor of liver enzymes; multiple interactions possible
indinavir	Crixivan	IDV	•800mg q8h Empty stomach With ≥48oz of fluid daily •800mg BID + RTV 100-200mg BID Can take with food	• Pediatric (under study): 500mg/m ² BSA Q8h (300-400 mg/m ² BSA Q8h for small BSA)	N, ↑ bilirubin, kidney stones	Both substrate and inhibitor of liver enzymes
Lopinavir / ritonavir	Kaletra	LPV/r	•Two tablets BID •TN: four tablets QD With food	• 230 mg/m ² BSA LPV/57.5 mg/m ² BSA RTV BID (max of 400mg LPV/100mg RTV)	D, N, ↑ GGT	Both substrate and inhibitor of liver enzymes; contains RTV, a potent inhibitor of liver enzymes
nelfinavir	Viracept	NFV	•1250mg BID •750mg TID With food	• Neonate/infant (under investigation): 40 mg/kg Q12h • Pediatric (under review): 20-30 mg/kg TID (45mg/kg TID used)	D, N, V	Both substrate and inhibitor of liver enzymes
ritonavir	Norvir	RTV	•Usually given 100-20mg QD- BID to boost PIs With food	• Pediatric: 400 mg/m ² BSA Q12h (to minimize N/V 250 mg/m ² BSA Q12h and increase to full dose over 5d)	D, N, V	Significant drug interactions due to potent inhibition of liver enzymes
saquinavir	Invirase	SQV	•1000mg BID + RTV 100mg BID With meals	• Pediatric (under study): 50mg/kg Q8h	D, N, abdominal pain	Both substrate and inhibitor of liver enzymes
tipranavir	Aptivus	TPV	•500mg BID + RTV 200mg BID With food	No data available	D, N, V, HA	Net inhibitor of liver enzymes (CYP-3A4)

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