























HIV SINGLE TABLET REGIMENS											
1 Tablet - Once Daily	Brand Names		NRTI Backbone		Anchor Antiretroviral				HIVinfo Rating*	Considerations	Lab Monitor
			1 <sup>st</sup> NRTI	2 <sup>nd</sup> NRTI	Integrase Inhibitor	N-NRTI	PI	PK Booster			
		<b>Biktarvy</b>	Emtricitabine 200mg	Tenofovir <u>TAF</u> 25mg	Bictegravir 50mg				<b>A1</b>	✓ w/ or w/o food. Take 2 hrs before or after Ca/cations ✓ Good Lipid profile- consider for high cardiac risk ✓ Not recommended in < 30ml/min, severe hepatic impairment. <b>CI w/ dofetilide or rifampin</b> ✓ Severe acute exacerbation of Hep B upon d/c	Renal function
		<b>Triumeq</b>	Lamivudine 300 mg	Abacavir 600 mg	Dolutegravir 50 mg				<b>A1</b>	✓ W or w/o food. Take 2 hrs before or 6 hrs after Ca ✓ HLA-B*5701 has to be –ve before giving abacavir ✓ No major CYP drug interactions ☺ ✓ Largest size tablet ✓ <b>CI w/ dofetilide or rifampin</b>	HLA-B*5701
		<b>Stribild</b>	Emtricitabine 200 mg	Tenofovir TDF 300 mg	Elvitegravir 150 mg			<b>Cobicistat</b> 150 mg	<b>B1</b>	✓ <b>Take with food.</b> Take 2 hrs before/after Ca/cations ✓ TDF → Can use until 70 mL/min ✓ TAF → Can use until 30 mL/min	Renal Function BMD Lipids
		<b>Genvoya</b>	Emtricitabine 200 mg	Tenofovir <u>TAF</u> 10 mg	Elvitegravir 150 mg			<b>Cobicistat</b> 150 mg	<b>B1</b>	✓ Cobi inhibits renal tubular secretion of creatinine ✓ Cobi has many drug inx via CYP3A4 inhibition (avoid w/ drugs highly dependent on CYP3A4 clearance)	Renal Function Lipids
		<b>Dovato</b>	Lamivudine 300mg	-	Dolutegravir 50 mg				<b>A1</b> (*NOT if VL>500,000)	✓ W or w/o food. Take 2 hrs before or 6 hrs after Ca ✓ < 50ml/min or Child-Pugh C not recommended ✓ <b>CI w/ dofetilide</b>	Renal function
		<b>Juluca</b>	-	-	Dolutegravir 50mg	Rilpivirine 25mg			<b>A1</b>	✓ <b>Maintenance Therapy</b> —for those already virologically suppressed and no known resistance. <b>Take with a meal</b> ✓ A/E: HSR, Hepatotoxicity. Monitor for ADE if CrCL < 30ml/min ✓ <b>C/I: Dofetilide, PPI</b>	Renal Function, Liver Function
		<b>Cabenuva</b>			Cabotegravir 30 mg (po), 600/400 mg IM	Rilpivirine 25 mg (po), 900/600 mg IM			<b>A1</b>	✓ <b>Maintenance Therapy</b> —for those already virologically suppressed and no known resistance ✓ Lead-in (≥28 days): CAB 30 mg/RPV 25 mg <b>with a meal.</b> Take antacid/cation 2 hrs before/4hrs after oral CAB ✓ Initiation injection: CAB 600/RPV 900 mg IM ✓ Monthly maintenance: CAB 400/RPV 600 mg IM ✓ Q2month maintenance: CAB 600/RPV 900 mg IM	Injection site reactions, pyrexia, fatigue, headache
		<b>Delstrigo</b>	Lamivudine 300mg	Tenofovir TDF 300mg		Doravirine 100mg			<b>B1</b>	✓ Not recommended in CrCL< 50ml/min ✓ w/ or w/o food ✓ May exacerbate hepatitis upon discontinuation ✓ Avoid w/ strong CYP3A4 inducers (ie Rifampin)	Renal Function
		<b>Atripla</b>	Emtricitabine 200 mg	Tenofovir TDF 300 mg		Efavirenz 600 mg			<b>B1</b>	✓ Keep in mind CNS adverse effects of Efavirenz ✓ Not recommended CrCL <50ml/min ✓ <b>C/I: bepridil, elbasvir/grazoprevir</b>	Renal Function Lipids
		<b>Complera</b>	Emtricitabine 200 mg	Tenofovir TDF 300 mg		Rilpivirine 25 mg			<b>B1</b>	✓ <b>Take with meal</b> (~ 350 kcal) for abs'n of RPV ✓ Use if HIV RNA < 100,000 & CD4 > 200 ✓ Avoid: Acid suppressing (PPI C/I) ✓ RPV fewer CNS s/e compared to Efavirenz ✓ RPV fewer rash and dyslipidemia than Efavirenz	Renal Function BMD
		<b>Odefsey</b>	Emtricitabine 200 mg	Tenofovir <u>TAF</u> 25 mg		Rilpivirine 25 mg				Renal Function	
		<b>Symtuza</b>	Emtricitabine 200mg	Tenofovir <u>TAF</u> 10mg			Darunavir 800mg	<b>Cobicistat</b> 150mg	<b>A1</b>	✓ <b>Take with food</b> ✓ Not recommended in CrCL <30ml/min or Severe hepatic impairment ✓ <b>C/I: Alfuzosin, Amiodarone, Bepridil</b>	Renal Function






Updated October 2021 by Alice Tseng, Toronto General Hospital and Linda Robinson, Windsor Regional Hospital. Initial version created by: Afshin Azami, PharmD, RPh, ACPR(c) & Linda Robinson, BSc.PhM, RPh, AAHIVP (Chief Editor) Sept 2016. References: 1) HIVinfo Guidelines Aug 2021 2) Lexi-Comp Drug Monographs for each respective drug 3) RxTx Drug Monographs for each respective drug

\*Strength of Recommendation: A=strong, B=moderate, C=optional. Quality of Evidence: I=≥1 randomized trials with clinical outcomes/validated lab endpoints, II=≥1 non-randomized trials/observational cohort studies with long-term clinical outcomes, III=expert opinion





HIV Antiretroviral (ART) Medications										
	Class	Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
Nucleoside / Nucleotide Reverse Transcriptase Inhibitors - NRTI	Combined NRTI Tablet Formulations									
	<b>AIDSinfo rating:</b>  <b>paired with INSTI:</b> Dolutegravir <b>A1</b> Raltegravir <b>B1</b> or a boosted PI: Darunavir <b>A1</b> Atazanavir <b>B1</b>	Emtricitabine, tenofovir alafenamide	FTC, TAF	<b>Descovy</b>		Emtricitabine 200 mg/TAF 10 or 25 mg	1 tablet daily	<b>Mostly Well Tolerated</b> • N/V/D/Gas	TAF- Substrate of P-gp and BCRP	✓ only combo also effective against Hep B ✓ Better viral suppression than Kivexa if VL > 100,000 ✓ TAF has ↓ rates of renal insufficiency and bone mineral density reduction vs TDF ✓ If on a booster, use 10 mg TAF instead of 25 mg ✓ Not recommended if Clcr<30 mL/minute or hemodialysis (HD)
		Emtricitabine, tenofovir disoproxil fumarate	FTC, TDF		<b>Truvada</b>		Emtricitabine 200 mg/TDF 300 mg	1 tablet daily	<b>Mostly Well Tolerated</b> • N/V/D/Gas • Renal impairment • Reduced bone density	↓ [atazanavir]; need to boost
	<b>paired with:</b> Darunavir <b>B2</b> Atazanavir <b>C3</b> Efavirenz <b>C1</b> Raltegravir <b>C2</b>	abacavir, lamivudine	ABC, 3TC	<b>Kivexa</b>		Abacavir 600 mg/lamivudine 300 mg	1 tablet daily	<b>Mostly Well Tolerated</b> • Headache/N//D/malaise • Hypersensitivity reaction		✓ Abacavir not ideal for those with CV risk factors ✓ <b>HLA needs to be negative before giving abacavir</b> ✓ Comments also apply to Triumeq
	Single Agent NRTI Formulations									
	<b>MOA:</b> Analogues of nucleo(t)side which replace a base during reverse transcription of viral RNA to DNA → chain termination  <b>Resistance:</b> - "low genetic barrier to resistance" - many mutations confer cross resistance to others in the class  <b>Renal Dosing:</b> Use with caution & check for renal	<b>Tenofovir alafenamide</b> <b>Adenosine analogue</b> Nucleotide Reverse Transcriptase Inhibitor (NtRTI)	TAF	<b>Vemlidy</b> (for chronic HBV)		Descovy <sup>1 QD</sup> Genvoya <sup>1 QD</sup> Odefsey <sup>1 QD</sup> Biktarvy <sup>1 QD</sup> Symtuza <sup>1 QD</sup>	25 mg po QD (10 mg po QD if using with booster) <b>Renal</b>	<b>Mostly Well Tolerated</b> • N/V/D/Gas	TAF- Substrate of P-gp and BCRP	✓ <b>TAF</b> = tenofovir alafenamide (targeted pro-drug), <b>less bone &amp; renal issues</b> ✓ safe until renal function with CrCl of 30 mL/min ✓ Preferred agent in cases of co-infection with HBV
		<b>Tenofovir disoproxil fumarate</b> <b>Adenosine analogue</b> Nucleotide Reverse Transcriptase Inhibitor (NtRTI)	TDF	<b>Viread</b>		Truvada <sup>1 QD</sup> Stribild <sup>1 QD</sup> Complera <sup>1 QD</sup> Delstrigo <sup>1 QD</sup> Atripla <sup>1 QD</sup>	300 mg po QD <b>Renal</b> <small>avoid TDF in CKD</small>	<b>Mostly Well Tolerated</b> • N/V/D/Gas • Renal impairment <sup>TDF</sup> • Reduced bone density <sup>TDF</sup>	↓ [atazanavir] ↑ [didanosine - ddi] Clinically not used with TDF anyways any longer	✓ TDF = tenofovir disoproxil fumarate (pro-drug), efficacy of TDF = TAF ✓ Renal: < 10 mL/min not recommended, 10 - 29 mL/min give 300 mg po q72-96h, 30-49 mL/min give 300 mg po q48h, ≥ 50 mL/min no adjustment ✓ Preferred agent in cases of co-infection with HBV ✓ <b>Favorable lipid profile</b>
		<b>Emtricitabine</b> <b>Cytidine analogue</b>	FTC	<b>Emtriva</b>		With TAF or TDF products above	200 mg po QD <sup>cap</sup> 240 mg po QD <sup>sol'n</sup> <b>Renal</b>	<b>Well Tolerated</b> • Headache <sup>common</sup> , dizziness • N/D • Rash, skin pig'n	Lamuvudine [X] → both <b>Cytosine</b> analogues (no point in using both)	✓ <b>Black Box:</b> severe <b>exacerbation of hep B</b> on stopping drug in pts w Hep B ✓ Only part of combos w Tenofovir in Canada ✓ Rarely pts may experience bad diarrhea. Headache most common s/e.
		<b>Lamivudine</b> <b>Cytidine analogue</b>	3TC	<b>3TC</b>		Kivexa <sup>1 QD</sup> Triumeq <sup>1 QD</sup> Dovato <sup>1 QD</sup> Delstrigo <sup>1 QD</sup> Combivir <sup>1 BID</sup> Trizivir <sup>1 BID</sup>	150 mg po BID 300 mg po QD <b>Renal</b>	<b>Well Tolerated</b> • Headache <sup>beginning</sup> • N/D/Abd pain <sup>transient</sup> • Insomnia <sup>uncommon</sup> Pancreatitis <sup>more peds</sup>	Emtricitabine [X] → both <b>Cytosine</b> analogues (no point in using both)	✓ Some people have headache in first few days, stick with it and use Tylenol and Advil if needed ✓ <b>May exacerbate Hep B upon discontinuation</b>

HIV Antiretroviral (ART) Medications										
Class		Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
	dosing for each agent	<b>Abacavir</b> Guanosine analogue	ABC	Ziagen	  300 mg tab	Kivexa <sup>1 QD</sup> Triumeq <sup>1 QD</sup> Trizivir <sup>1 BID</sup>	300 mg po BID 600 mg po QD  <i>can safely use in CKD</i>	<b>Common:</b> • Headache, N/D, malaise <b>Serious:</b> • Hypersensitivity reaction (HSR)		✓ <b>Black Box:</b> Only Rx for HLA-B*5701 negatives → Testing predicts HR in Caucasians. <b>Rechallenge in HSR patients C/I → life threatening</b> ✓ <b>Signs of HSR:</b> fever, rash, tired, upset stomach, vomit, belly pain, flu-like sx, sore throat, cough. Occurs < 6 wks after start (mean 11 days). Stop ASAP & see MD. ✓ Meta-analysis → no sign of ↑ MI → but if <b>higher MI risk, ABC not best choice</b> ✓ Can cause <b>hepatitis</b> and <b>lactic acidosis</b> esp in women and obese
	<b>**Zidovudine no longer recommended as first-line therapy for most patients</b>	<b>Zidovudine</b> Thymidine analogue	AZ 	Retrovir	  100, 250 mg cap 10 mg/mL syrup 10 mg/mL inject	Trizivir <sup>1 BID</sup> Combivir <sup>1 BID</sup>	300 mg po BID Also I.V. form  <b>Renal</b>	<b>Not Well Tolerated</b> • Headache <sup>62%</sup> • N 50% / V 17% / Anorexia <sup>20%</sup> • Insomnia • Nail pigmentation • Hematologic toxicity	stavudine [X] also a thymidine analogue	✓ <b>Black Box:</b> hematologic toxicity, myopathy, anemia, granulocytopenia, thrombocytopenia ✓ Often in subtherapeutic mono- and dual therapy regimens ✓ Resistance likely in Long term survivors ✓ Place for therapy: IV form and syrup still used in MTCT in <b>pregnancy and delivery</b> and infants with HIV ✓ <b>No longer recommended**</b>





Non-nucleoside RT Inhibitors - NNRTI





Class		Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
NNRTI  ____vir____  <b>MOA:</b> NNRTIs bind allosterically in a pocket located near the catalytic site in the palm domain of the p66 subunit site of the Reverse Transcriptase (RT) enzyme  <b>Resistance:</b> Low genetic barrier to resistance with first generation (EFV ,NVP) , but second generation often still active depending upon genotype.		<b>Doravirine</b>	DOR	<b>Pifeltro</b>	 100mg tab	<b>Delstrigo</b> <sup>TDF 1 QD</sup>	100mg po OD	<b>Well tolerated</b> <b>Common SE</b> <ul style="list-style-type: none"><li>• Headache</li><li>• Diarrhea, Ab pain</li><li>• Abnormal Dreams</li></ul>	<b>Cyp3A4 Substrate</b>	✓ Take BID if using with rifabutin ✓ Taken without regards to food ✓ Favourable lipid profile – consider for high cardiac risk ✓ Avoid use with Strong inducers of CYP3A4 (ie Carbamazepine, rifampin) ✓ <b>C/I:</b> carbamazepine, oxcarbazepine, phenobarbital, phenytoin, enzalutamide, rifampin, rifapentine, mitotane, St.John’s wort
		<b>Efavirenz</b>	EFV	<b>Sustiva</b>	 600 mg tab 50, 200 mg cap	<b>Atripla</b> <sup>TDF 1 QD</sup>	600 mg po QD  <b>avoid fatty meals on empty stomach</b> <i>(inc abs’n leading to s/e)</i>	<b>CNS S/E 52%</b> <ul style="list-style-type: none"><li>• Dizziness, <b>vivid dreams</b></li><li>• Insomnia, somnolence</li><li>• Impaired concentration</li><li>• <b>Hyperlipidemia</b></li> <li>• <b>Rash 26%</b> (can treat through it mostly)</li></ul>	<b>CYP3A4 &amp; 2B6 Substrate</b> <b>Potent inducer of CYP3A4,2B6, UGT1A1</b> <b>Inhibitor of CYP2C9/2C19/3A4</b> ↑ [Cocaine] ↓ [conc] of: <ul style="list-style-type: none"><li>• Benzos (-olam are issues, -pams are ok)</li><li>• most opioids</li></ul>	✓ Let MD know if history of <b>psych illness</b> → should avoid this med ✓ <b>Vivid dreams</b> bothersome to some, enjoyable to some other ✓ CNS s/e worst after 1 <sup>st</sup> or 2 <sup>nd</sup> dose, often improve in 2-4 weeks ✓ Methadone: monitor for symptoms of <b>opioid withdrawal</b> ✓ May cause false +ve cannabinoid test ✓ Pregnancy: birth defects reported in primate studies but no evidence of ↑ risk in human studies; screening for antenatal/postpartum depression recommended ✓ <b>C/I:</b> St. John’s wort, elbasavir/grazoprevir, cisapride, midazolam, triazolam, pimozide, ergot ✓ Inducers of CYP3A4 will decrease serum concentration of EFV; EFV may decrease concentrations of CYP3A4 substrates
		<b>Etravirine</b>	ETR	<b>Intelece</b>	 100, 200 mg tab	None	200 mg po BID or 400 mg po QD <b>w/ food</b>	<ul style="list-style-type: none"><li>• <b>Rash 9%</b></li><li>• Dyslipidemia</li><li>• Nausea</li><li>• Rhabdomyolysis uncommon</li></ul>	<b>CYP3A4, 2C9, 2C19 substrate</b> <b>Weak inducer of CYP2B6/ 3A4</b> <b>Weak Inhibitor of 2C9/ 2C19</b>	✓ <b>Tabs are large:</b> dissolve readily in water for liquid dosing, however whole tablet is chalky, large and often difficult to swallow. ✓ <b>Severe rash</b> reported ✓ <b>C/I:</b> ombitasvir/paritprevir/ritonavir and dasabuvir regimens
		<b>Nevirapine</b>	NVP	<b>Viramune</b>	 200 mg IR tab 400 mg SR tab	None	200 mg QD X 14 days then 200 mg po BID OR 400mg XR QD	<ul style="list-style-type: none"><li>• <b>Rash 37%</b></li><li>• Hepatic failure</li><li>• Fever</li><li>• Nausea</li></ul>	<b>CYP3A4 substrate</b> <b>Potent inducer of CYP2B6/ 3A4</b>	✓ <b>Black Box:</b> severe rash & hepatotoxicity. AVOID if CD4>250 (women) or 400 cells/mm3 (male) ✓ <b>hypersensitivity</b> → can treat through rash, but if with fever and elevated LFTs = sign of hypersensitivity, d/c ✓ <b>C/I:</b> St. John’s wort; avoid Strong inducers of CYP3A4 (Carbamazepine) ✓ Lead-in phase to reduce rash, occurs in 1 <sup>st</sup> 6 wks, more in women... also drug is auto inducer (will reduce its own level) ✓ XR version (400 mg QD) more common
		<b>Rilpivirine</b>	RPV	<b>Edurant</b>	 25 mg tab	<b>Complera</b> <sup>TDF 1 QD</sup> <b>Odefsey</b> <sup>TAF 1 QD</sup> <b>Juluca</b> <sup>1 QD</sup>  <b>Cabenuva</b> <sup>IM q1-2 months</sup>	25 mg po QD <b>w/ food ++</b>  <i>monthly IM injection (with cabotegravir/ Cabenuva)</i>	<ul style="list-style-type: none"><li>• Rash 3%</li><li>• Headache 3%</li><li>• Insomnia</li><li>• Depression 8%</li><li>• Hyperlipidemia</li><li>• Hepatotoxicity</li></ul>	<b>CYP3A4 Substrate</b>  ↓ [Edurant] with: Inducers of CYP3A  Drugs ↑ pH	✓ Among <b>smallest HIV tablets</b> ✓ Best absorbed with a good meal (350-500 calories) ✓ <b>PPI contraindicated</b> , H-2 blockers need dose reduction. ✓ <b>Favorable lipid profile</b> ✓ <b>Lower virologic efficacy, not</b> suggested for VL > 100,000 & CD4 < 200 ✓ Can exacerbate psych symptoms ✓ QTc prolongation (dose related) ✓ Available as <b>long-acting q1-2 monthly injectable with cabotegravir (CAB):</b> 900 mg IM initiation, then 600 mg IM monthly/900 mg IM q2months

Integrase Strand Transfer Inhibitors - INSTI

Class	Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
<div><div>Integrase Strand Transfer Inhibitors</div><div>_____tegravir</div><div>Favorable lipid profile as a class</div><div>Resistance: Low genetic barrier to resistance with RAL and EVG. Higher with BIC, CAB, DTG</div></div>	<b>Bictegravir</b>	BIC	-	 (Biktarvy)	Biktarvy <sup>1 QD</sup>	50mg po QD	<b>Well Tolerated</b> <ul style="list-style-type: none"><li>• Headache</li><li>• Nausea/Diarrhea</li><li>• Insomnia</li></ul>	<b>CYP3A &amp; UGT1A1 substrate (~50:50)</b> <b>Inhibits OCT2 &amp; MATE1</b> <ul style="list-style-type: none"><li>• ↑[Metformin]</li></ul>	<ul style="list-style-type: none"><li>✓ Only exists in combination</li><li>✓ Increase serum creatinine due to tubular inhibition without affecting glomerular function (increases usually in the first 4 weeks with median increase of 9.96umol/L after 48 weeks)</li><li>✓ May increase bilirubin</li><li>✓ Interacting classes: anticonvulsants, rifamycins, atazanavir</li><li>✓ <b>C/I: Dofetilide, rifampin, St. John’s wort</b></li></ul>
	<b>Cabotegravir</b>	CAB	Vocabria	200 mg/mL inj 30 mg tab	<b>Cabenuva IM injection</b>	<b>Oral:</b> 30 mg QD (+25 mg RPV) <b>Initiation (3mL):</b> 600 mg CAB/900 mg RPV IM <b>Maintenance:</b> 400 mg CAB/600 mg RPV IM monthly or 600 mg CAB/900 mg RPV IM q2month	<b>Well Tolerated</b> <b>Injection site reactions,</b> pyrexia, fatigue, headache, MSK pain, nausea, dizziness, sleep problems, rash (mild), diarrhea	<b>No CYP3A4 inx UGT1A1 , UGT1A9 (minor), P-gp, BCRP substrate</b> ↓ [CAB/RPV] with: Inducers of UGT1A1/3A4	<ul style="list-style-type: none"><li>✓ CAB/RPV is 1<sup>st</sup> long acting injectable combination indicated as a switch regimen in virologically suppressed patients</li><li>✓ also being studied for PrEP (HPTN083: CAB 600 mg IM q2months)</li><li>✓ Oral CAB as lead-in dosing (≥28 days) to assess tolerability or for use as oral bridging therapy for missed Cabenuva injections</li><li>✓ <b>Oral CAB C/I: carbamazepine, oxcarbazepine, phenobarbital, phenytoin, rifampin, rifapentine. Cabenuva C/I: as above plus rifabutin, systemic dexamethasone (&gt;1 dose), St. John’s wort</b></li></ul>
	<b>Dolutegravir</b>	DTG	<b>Tivicay</b>	 50 mg tab Pediatric: 10 mg, 25 mg tab 5 mg dispersible tabs	<b>Triumeq<sup>1 QD</sup></b> <b>Juluca<sup>1 QD</sup></b> <b>Dovato<sup>1 QD</sup></b>	50 mg po QD 50 mg po BID*	<b>Well Tolerated</b> <ul style="list-style-type: none"><li>• <b>Insomnia</b></li><li>• Headache</li><li>• ↑ SCr small (↑~0.11mg/dL)</li></ul>	<b>No CYP3A4 inx P-gp, UGT1A1 , CY3A4<sup>(10-15%)</sup>substrate</b>  <b>Inhibits OCT2</b> - Metformin (inc 2 fold [metformin]) - C/I Dofetilide	<ul style="list-style-type: none"><li>✓ Take with/without food</li><li>✓ Inhibits renal tubular secretion of creatinine, SCr “falsely” increases</li><li>✓ May cause neural tube defects if taken at the time of conception</li><li>✓ <b>Higher barrier to resistance</b> than EVG or RAL</li><li>✓ *BID dosing if heavily tx-experienced, INSTI resistant, or given w enzyme inducers</li><li>✓ High efficacy in those with baseline HIV RNA &gt; 100,000 copies/mL</li><li>✓ <b>C/I: Dofetilide, fampridine</b></li></ul>
	<b>Elvitegravir</b>	EVG	<b>Vitekta</b>	 85, 150 mg tab	<b>Stribild Genvoya</b>	85-150 mg po QD boosted <b>w/ food</b>	<b>Well Tolerated</b> <ul style="list-style-type: none"><li>• <b>Hyperlipidemia</b></li><li>• D/N</li><li>• Headache</li></ul>	<b>CYP3A4 substrate induces 2C9 (EVG)</b> <b>Inhibits CYP3A4, P-gp, BCRP, OATP1B1/3, OCT2, MATE1 (cobi)</b>	<ul style="list-style-type: none"><li>✓ Better absorption w food/snack</li><li>✓ Coformulated with PK booster cobicistat</li><li>✓ Cobicistat inhibits tubular secretion of creatinine w/o affecting glomerular function (if &gt;35.36umol/L need renal monitoring)</li><li>✓ <b>Lower genetic barrier to resistance</b> than PIs or DTG</li><li>✓ <b>C/I: Eplereone, Lovastatin</b></li></ul>
	<b>Raltegravir</b>	RAL	<b>Isentress &amp; Isentress HD</b>	 400 mg tab 600mg tab (HD)	None	400 mg po BID 1200 mg po QD new study QDMRK	<b>Well Tolerated</b> <ul style="list-style-type: none"><li>• Rash</li><li>• N/D, Headache</li><li>• <b>Insomnia</b></li></ul> ↑ LFTs, ↑ CK, rhabdo	<b>No CYP3A4 inx UGT1A1 substrate</b>	<ul style="list-style-type: none"><li>✓ Take without regards to meals</li><li>✓ 1<sup>st</sup> to market INSTI → Being studied: 1200 mg po QD (given as 2X 600mg)</li><li>✓ <b>Aluminum or Magnesium</b> antacids reduce abs’n RAL (<b>Can take Ca Antacids if on Isentress, NOT Isentress-HD</b>)</li><li>✓ <b>Lower genetic barrier to resistance</b> than PIs or DTG</li><li>✓ <b>Avoid</b> strong inducers of UGT (ie carbamazepine)</li></ul>
	<b>Class Interaction:</b> Oral absorption is diminished when co-administered with polyvalent cations (Mg, Ca, Al, Fe...): <ul style="list-style-type: none"><li>• BIC: take 2 hrs apart or together with food</li><li>• CAB: take 2 hrs before/4 hrs after ORAL CAB</li><li>• DTG: take 2 hrs before/6 hrs after or together with food</li><li>• EVG: take 2 hrs apart</li><li>• RAL: avoid (only Ca OK with Isentress; not HD)</li></ul>								



Class		Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
Protease Inhibitors - PI	Protease Inhibitor  ____navir	<b><u>Ritonavir</u></b> PK booster	RTV	<b>Norvir</b>	 100 mg tab 80 mg/mL oral	None	100-200 po/day	<ul style="list-style-type: none"> <li>Bitter aftertaste</li> <li>Numbness around mouth at HIV doses</li> <li>N/V/D</li> <li>↑ LFTs, ↑ TG</li> <li>Hyperlipidemia</li> </ul>	<u>Inducer of:</u> • <b>1A2, 2B6, 2C9, 2C19, UGT</b>  <u>Inhibitor of:</u> • <b>3A4</b> <sup>strong</sup> <b>2D6, 2C8,</b>	✓ <b>Black Box:</b> many drug interactions → life threatening ✓ Extremely strong inhibition 3A4, P-GP and other transporters ✓ HIV activity at higher doses but toxicity & inx ( <b>not used for HIV treatment</b> ) ✓ <b>100 mg per dose to boost</b> (e.g. if using with BID drug, give 100 mg BID) ✓ <b>Fluorinated steroids</b> (even inhaled, injected, topical) can lead to <b>Cushing's syndrome</b>
	Class S/E: Hyperlipidemia  MOA: High genetic barrier to resistance when boosted	<b><u>Darunavir</u></b>	DRV	<b>Prezista</b>	 <u>Prezista:</u> 600, 800 mg tab <u>Prezcobix:</u> 800 mg + 150 mg COB tab	<b>Prezcobix</b> <sup>w</sup> cobicistat 1 QD  <b>Symtuza</b> <sup>w</sup> cobicistat 1 QD	600 mg po BID or 800 mg po QD <b>w/ food</b>  + RTV 100 mg QD-BID or cobicistat 150 mg QD	<ul style="list-style-type: none"> <li>Rash 10%</li> <li>Headache</li> <li>N/D</li> <li>↑ amylase</li> <li>Hepatotoxic</li> <li>Kidney stones?</li> </ul>	<b>CYP3A4 Substrate/Inhibitor</b>  <b>CYP 2C9 inducer</b>  Failure of contraceptives	✓ Currently <b>highest prescribed PI</b> : 2 <sup>nd</sup> Gen PI ✓ <b>Works in those who are resistant to other PIs</b> ✓ Cobicistat will cause tubular creatinine reabsorption → SCr “pseudo” rise of 10-30 mmol/L from pts normal baseline ✓ <b>Needs RTV or COBI boosting</b> ✓ When boosted with RTV: 800 QD + 100 mg RTV for naïve, [600 mg + 100 RTV] BID for experienced ✓ Contains <b>Sulfa</b> moiety ✓ <b>Avoid</b> with use of drugs that depend on CYP3A4 metabolism and has narrow therapeutic window (ie Alfuzosin)
	1 <sup>st</sup> gen PIs not used usually:  Fosamprenavir <b>FPV</b> ( <i>Telzir</i> )  Indinavir <b>IDV</b> ( <i>Crixivan</i> )  Nelfinavir <b>NFV</b> ( <i>Viracept</i> )  Saquinavir <b>SQV</b> ( <i>Invirase</i> )  Tipranavir <b>TPV</b> ( <i>Aptivus</i> )	<b><u>Atazanavir</u></b>	ATV	<b>Reyataz</b>	 <u>Reyataz:</u> 150, 200, 300mg tab <u>Evotaz:</u> 300 mg + 150 mg COB tab	Evotaz <sup>w</sup> cobicistat	300 mg po QD boosted w RTV 100 mg or cobicistat 150 mg  400 mg po QD unboosted  <b>w/ food</b> ( <sup>&gt;390 cal</sup> )	<ul style="list-style-type: none"> <li><b>Kidney stone 10 fold inc</b></li> <li>Increased billi 60% (cosmetic, not harmful)</li> <li>D/N/Abd pain</li> <li>Headache 6%</li> <li>Rash 20%</li> </ul>	<b>CYP3A4 substrate</b> inducers/inhibitors of 3A4 will interact  Drugs inc pH	✓ 2X150 mg (300 mg) + RTV 100 mg daily (TDF increases excretion of ATV) ✓ 2X200 mg (400 mg) unboosted with Kivexa (needs RTV boost w others) ✓ <b>Increased QTc</b> , PR, more torsades ✓ <b>Jaundice</b> as result of <b>increased direct bilirubin</b> → <b>not harmful</b> , pt may decide to switch for cosmetic reason ✓ <b>Absorption reduced when taken with H2Ra and PPI</b> ✓ H2RA: <b>Unboosted</b> → ATV ≥ 2 hrs before or ≥ 10 hrs after <b>Boosted</b> → same time or >10 hrs after H2RA ✓ PPI: <b>Unboosted</b> → not recommended for co-administration, <b>Boosted</b> → ≥ 12 hrs after PPI ✓ Consider avoiding in CKD
		<b><u>Lopinavir</u></b> / RTV	LPV	<b>Kaletra</b>	 200 mg + 50 mg RTV tab	Kaletra <sup>4</sup> QD or 2 BID	400 mg po BID 800 mg po QD	<ul style="list-style-type: none"> <li><b>Diarrhea 24%</b></li> <li>N</li> <li>↑ LFTs, billi, Lipids, MI</li> </ul>	<b>CYP3A4 Substrate/Inhibitor</b>  <b>Many</b> ↑ [benzos] Fentanyl Phenytoin	✓ <b>Dangerous (deadly) interaction with fentanyl</b> ✓ Unpredictable <b>interaction</b> with <b>phenytoin</b> → RTV inhibitor, LPV inducer of CYP. Unpredictable pheny level (unpredictable) ✓ <b>+++ diarrhea</b> , worse with q24h ✓ May need higher doses if tx experienced or later in pregnancy ✓ May have Cardiac risk

Class		Generic		Brand	Preparations	Combo Pill	Dosing	Side Effects	Drug Interactions	Comments
CCR-5	CCR-5 Co Receptor Antagonists						150-600 mg po BID	• cough <sup>13</sup>	CYP3A4, P-gp substrate	✓ <b>Black Box:</b> hepatotoxicity, systemic allergic reaction ✓ Used later in tx only for CCR-5-tropic HIV virus, cannot use for CXCR-4-tropic virus which is seen more and more in advance dx ✓ <b>Avoid:</b> Rifapentine, Dasabuvir + Ombitasvir/Paritaprevir/RTV
		Maraviroc	MVC	Celsentri	150, 300 mg tab	None	Standard: 300mg BID with or without food	• Rash <sup>10%</sup> , Abdo pain		
								• Dizziness, myalgia		
								• Ortho hypo, syncope		
								• Upper resp infection		
Fusion Inhibitor						None	90 mg SC BID	• Inj site reaction~100% pt	Neither inducer or inhibitor of CYP enzymes	✓ Was historically used in era between 1 <sup>st</sup> and 2 <sup>nd</sup> generation PIs ✓ Unstable drug, dose needs to be prepared before administering each dose ✓ No cross resistance with other ARVs
						None	2000mg IV single dose then, 800mg Q2W	• Dizziness	Neither inducer or inhibitor of CYP enzymes	✓ Indication: Treatment of HIV with combination of other ARV in heavily experienced patients with multidrug resistant infection failing current therapy ✓ Infused over 15-30 minutes (Loading dose no less than 30 minutes) ✓ Each 2 mL vial delivers 1.33mL containing 200mg of IBA ✓ If maintenance dose missed (>3 days) then loading dose needs to be given again ✓ No cross resistance with other ARVs ✓ <b>Not Approved in Canada</b>
Entry Inhibitor	Ibalizumab-uiyk	IBA	Trogarzo	150mg/mL vial			• Diarrhea, Nausea			
							• Skin Rash			
gp120 Attachment Inhibitor						None	600 mg BID with or without food	• Headache	CYP3A4 (Partial), P-gp, BCRP substrate	✓ Indication: Treatment of HIV in combination with other ARV in heavily treatment experienced HIV patients with multi-drug resistant HIV-1 failing current ARV due to resistance, intolerance or safety considerations ✓ Prodrug of small molecule Temsavir ✓ BRIGHT study 96 wks (Ackerman et al. AIDS 2021;35:1061-72.) ✓ <b>Contraindicated</b> with strong CYP3A4 inducers (anticonvulsants, mitotane, enzalutamide, rifampin, St. John's wort)
	Fostemsavir	FTR	Rukobia	600 mg tab			• Skin Rash			
							• Micturition Urgency			
							• N/V/D			
							• Fatigue			
									Strong CYP3A4 inducers will interact; fostemsavir inhibits OATP1B1/3, BCRP	

OBT = optimized background therapy