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Activity against an extended panel of define drug-resistant viruses in fresh human PBMCs

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Drug Resistant Clinical HIV Isolate	EC_{50}					
	FPACA (µg/mL)	AZT (µM)	Raltegravir (µM)	Dextran Sulfate (µg/mL)	Indinavir (µM)	Saquinavir (µM)
WT 92US727		0.005 0.005	0.002	58.5 22.9	0.013	0.005
MDR769	8.68 15.0	>0.5 0.31		>100 18.9		
AD. MDR	3.40	0.007				0.214
PR 1002-60	1.02		0.001		0.843	
PR144-44	15.4 5.99		0.0006 0.001			0.009 0.008
PR1026-60	3.44		0.003		0.502	
PR1064-52	1.75		0.001			0.036
PR1022-48	4.55		0.001			0.014

Anti-HIV Evaluation in Human PBMCs – Methodology

PHA-P stimulated PBMCs from two donors were pooled together and re-suspended in fresh tissue culture medium at 1 x 10^6 cells/mL and plated in the interior wells of a 96 well round bottom microplate at 50 μ L/well. A 100 μ L volume of 2X concentrations of compound-containing media were transferred to the round-bottom 96-well plate containing the cells in triplicate. Fifty microliters (50 μ L) of HIV-1 at a pre-determined dilution was added. Each plate contained cell control wells and virus control wells in

parallel with the experimental wells. After 7 days in culture, efficacy was evaluated by measuring the reverse transcriptase in the culture supernatants and the cells were stained with the tetrazolium dye XTT to evaluate cytotoxicity.