



CONFIDENTIAL PROPERTY

FINAL TECHNICAL REPORT

PROJECT NUMBER
SOW 306-01-02

PRECLINICAL ANTI-HIV DRUG DEVELOPMENT OF FULLERENE POLY-AMINO-CAPROIC ACID

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June 9, 2014

Introduction

This final technical report summarizes the results of the *in vitro* antiviral evaluations of fullerene poly-amino caproic acid submitted by CJSC Intelpharm to ImQuest BioSciences, Inc. Antiviral evaluations in fresh and established cell lines utilizing clinical and laboratory derived virus strains were performed to define the antiviral efficacy, cellular toxicity and the selectivity index for the test compounds in each of the virus-cell systems utilized. This technical report also describes the assays performed to determine mechanism of antiviral action for fullerene poly-amino caproic acid. Anti-HIV evaluation in CEM-SS cells of fullerene poly-amino caproic acid in combination with twenty-three existing approved HIV drug was performed to determine how the compounds interact in terms of antiviral efficacy and cellular cytotoxicity. ImQuest Biosciences evaluated fullerene poly-amino caproic acid in a panel of assays to determine potential *in vitro* cytotoxicity to primary human cells and to define potential mechanisms of cytotoxicity. *In vitro* cytotoxicity evaluations were performed with stimulated and unstimulated fresh human peripheral blood mononuclear cells (PBMCs), monocyte-macrophages, dendritic cells, bone marrow progenitor cells, hepatocyte, human induced pluripotent stem cell (iPS) cardiomyocytes, iPS neurons and RPTEC (kidney cells). Macromolecular synthesis, membrane integrity, cellular proliferation, apoptosis, and oxidative stress assays were performed to define potential mechanisms of compound toxicity.

Materials and Methods

Compounds:

Fullerene poly-amino caproic acid (FPACA) was solubilized at 40 mg/mL in DMSO and stored at -20°C. Test material was evaluated versus HIV-1 using a high test concentration of 100 µg/mL and serial half-logarithmic dilutions. AZT was purchased from Sigma, solubilized in water at 1 mM and used as a positive control compound for the anti-HIV assays. Control compounds for the

mechanism of anti-HIV action assays included dextran sulfate and aldrithiol-2 (purchased from Sigma), T20 and delavirdine (obtained from Pfizer), and raltegravir and saquinavir (obtained from the NIAID AIDS Research and Reference Reagent Program). For combination therapy assays, FPACA was evaluated at concentrations of 4, 2, 1, 0.5, and 0.25 µg/mL in combination with nine concentrations of an existing HIV inhibitor in at least two replicate antiviral combination assays. The second known anti-HIV agent was evaluated using a high test concentration of two-times the EC₅₀ value as determined in the standardized anti-HIV cytoprotection assay. **Table 1** below lists the class of inhibitor and compound source.

Anti-HIV Agent	Class of Inhibitor	Source
Enfuvirtide	Fusion	NIH*
Maraviroc	Entry	NIH
Delavirdine	NNRT	Pfizer
Etravirine	NNRT	NIH
Efavirenz	NNRT	NIH
Nevirapine	NNRT	NIH
Zidovudine	NRT	NIH
Lamivudine	NRT	GlaxoSmithKline
Emtricitabine	NRT	Gilead Sciences
Didanosine	NRT	NIH
Tenofovir	NRT	NIH
Stavudine	NRT	NIH
Abacavir	NRT	GlaxoSmithKline
Raltegravir	Integrase	NIH
Dolutegravir	Integrase	NIH
Amprenavir	Protease	GlaxoSmithKline
Tipranavir	Protease	NIH
Indinavir	Protease	NIH
Saquinavir	Protease	NIH
Lopinavir	Protease	NIH
Ritonavir	Protease	NIH
Darunavir	Protease	NIH
Nelfinavir	Protease	Pfizer

*All compounds obtained from the NIH were received from the NIH/NIAID AIDS Research and Reference Reagent Program.

For the ToxiSENS evaluations, positive control compounds doxazosin mesylate (cardiomyocyte cytotoxicity), staurosporine (PBMC, monocyte-macrophage, dendritic cell, hepatocyte and neuron cytotoxicity, macromolecular synthesis, and

apoptosis), cisplatin (RPTEC kidney cell cytotoxicity), doxorubicin (cellular proliferation), menadione (oxidative stress), and tumor necrosis factor α (membrane integrity) were purchased from Sigma Aldrich (St. Louis, MO).

Anti-HIV-1 Evaluation in Fresh Human PBMCs:

Fresh human peripheral blood mononuclear cells (PBMCs) were obtained from a commercial source (Biological Specialty Corp) and determined to be seronegative for HIV and HBV. Depending on the volume of the donor blood received, the leukophoresed blood cells were washed several times with PBS. After washing, the leukophoresed blood was diluted 1:1 with Dulbecco's phosphate buffered saline (PBS) and layered over 15 mL of Ficoll-Hypaque density gradient in a 50 mL conical centrifuge tube. These tubes were centrifuged for 30 min at 600g. Banded PBMCs were gently aspirated from the resulting interface and washed three times with PBS. After the final wash, cell number was determined by Trypan Blue dye exclusion and cells re-suspended at 1×10^6 cells/mL in RPMI 1640 with 15 % Fetal Bovine Serum (FBS), 2 mmol/L L-glutamine, 2 μ g/mL PHA-P, 100 U/mL penicillin and 100 μ g/mL streptomycin and allowed to incubate for 48 - 72 hr at 37°C. After incubation, PBMCs were centrifuged and resuspended in tissue culture medium (RPMI 1640 with 15% FBS, 2 mmol/L L-glutamine, 100 U/mL penicillin, 100 μ g/mL streptomycin and 3.6 ng/mL recombinant human IL-2). The cultures were maintained until use by half-volume culture changes with fresh IL-2 containing tissue culture medium every 3 days. Assays were initiated with PBMCs at 72 hr post PHA-P stimulation.

To minimize effects due to donor variability, PBMCs employed in the assay were a mixture of cells derived from three donors. Immediately prior to use, target cells were resuspended in fresh tissue culture medium at 1×10^6 cells/mL and plated in the interior wells of a 96-well round bottom microtiter plate at 50 μ L/well. Then, 100 μ L of 2X concentration of compound-containing medium was transferred to the 96-well plate containing the cells in 50 μ L of the medium.

Following addition of test compound to the wells, 50 µL of a predetermined dilution of HIV virus (prepared at 4X of final desired in-well concentration) was added, and mixed well. Three representative strains from each of the eight HIV-1 subtypes were used to evaluate range of anti-HIV activity. For infection, 50-150 TCID₅₀ of each virus was added per well (final MOI approximately 0.002). PBMCs were exposed in triplicate to virus and cultured in the presence or absence of the test material at varying concentrations as described above in the 96-well microtiter plates. After 7 days in culture, HIV-1 replication was quantified in the tissue culture supernatant by measurement of reverse transcriptase (RT) activity. Wells with cells and virus only served as virus controls. Separate plates were identically prepared without virus for drug cytotoxicity studies.

Virus Quantification by Reverse Transcriptase Activity Assay - Reverse
transcriptase activity was measured in cell-free supernatants using a standard radioactive incorporation polymerization assay. Tritiated thymidine triphosphate (TTP; New England Nuclear) was purchased at 1 Ci/mL and 1 µL was used per enzyme reaction. A rAdT stock solution was prepared by mixing 0.5 mg/mL poly rA and 1.7 U/mL oligo dT in distilled water and was stored at -20°C. The RT reaction buffer was prepared fresh daily and consists of 125 µL of 1 mol/L EGTA, 125 µL of dH₂O, 125 µL of 20% Triton X-100, 50 µL of 1 mol/L Tris (pH 7.4), 50 µL of 1 mol/L DTT, and 40 µL of 1 mol/L MgCl₂. For each reaction, 1 µL of TTP, 4 µL of dH₂O, 2.5 µL of rAdT and 2.5 µL of reaction buffer were mixed. Ten microliters of this reaction mixture was placed in a round bottom microtiter plate and 15 µL of virus-containing supernatant was added and mixed. The plate was incubated at 37°C in a humidified incubator for 90 min. Following incubation, 10 µL of the reaction volume was spotted onto a DEAE filter mat in the appropriate plate format, washed 5 times (5 min each) in a 5% sodium phosphate buffer, 2 times (1 min each) in distilled water, 2 times (1 min each) in 70% ethanol, and then air dried. The dried filtermat was placed in a plastic sleeve and 4 mL of Opti-Fluor O was added to the sleeve. Incorporated radioactivity was quantified utilizing a Wallac 1450 Microbeta Trilux liquid scintillation counter.

XTT Staining for Cell Viability and Compound Cytotoxicity- Following incubation at 37°C in a 5% CO₂ incubator, the test plates were stained with the tetrazolium dye XTT (2,3-bis(2-methoxy-4-nitro-5-sulfophenyl)-5-[(phenylamino)carbonyl]-2H-tetrazolium hydroxide). XTT-tetrazolium was metabolized by the mitochondrial enzymes of metabolically active cells to a soluble formazan product, allowing rapid quantitative analysis of the inhibition of virus-induced cell killing by antiviral test substances. XTT solution was prepared daily as a stock of 1 mg/mL in RPMI1640. Phenazine methosulfate (PMS) solution was prepared at 0.15 mg/mL in PBS and stored in the dark at -20°C. XTT/PMS stock was prepared immediately before use by adding 40 µL of PMS per ml of XTT solution. Fifty microliters of XTT/PMS was added to each well of the plate and the plate was incubated for 4 hours at 37°C. Plates were sealed with adhesive plate sealers and shaken gently or inverted several times to mix the soluble formazan product and the plate was read spectrophotometrically at 450/650 nm with a Molecular Devices Vmax plate reader.

Data Analysis and Evaluation - Microsoft Excel 2007 was used to analyze and graph data. The percent reduction in virus replication compared to the untreated virus controls was calculated for each compound. The percent cell control value was calculated for each compound comparing the drug treated uninfected cells to the uninfected cells in medium alone.

HIV Attachment and Entry Inhibition Assay:

TZM-bl FcRI cells were added 24 hours prior to the addition of compound and virus at a density of 1.5 x 10⁴ cells/well in a volume of 100 µL. Six concentrations of each compound were added in a volume of 50 µL in triplicate to the seeded cells following removal of the media. Fifty microliters (50 µL) of HIV-1_{IIIB} was added to the efficacy plates at a pre-determined titer and 50 µL of media was added to the toxicity plates. The plates were incubated for two hours at 37°C/5% CO₂. The plates were washed three times with 150 µL RPMI with no

additives. After washing, 200 µL of DMEM with additives was added to the plates. The plates were then incubated for 48 hours at 37°C/5% CO₂. Efficacy was evaluated with a chemiluminescence end point and cellular toxicity was evaluated using the tetrazolium dye XTT.

HIV Fusion Inhibition Assay:

TZM-bl FcRI cells at a density of 5 x 10³ cells per well (50 µL) were plated with six concentrations of compound in triplicate for one hour at 37°C/5% CO₂. Following the incubation, 100 µL of HL2/3 cells at a density of 5 x 10³ per well in cell culture medium were added to the plates. The cultures were incubated for an additional 48 hours at 37°C/5% CO₂. Following the incubation, efficacy plates were evaluated for luciferase activity using a chemiluminescent substrate and toxicity plates were stained with the tetrazolium dye XTT to evaluate cell viability.

HIV-1 Reverse Transcriptase Inhibition Assay: The biochemical RT inhibition assay utilizes recombinant, purified wild type HIV-1 reverse transcriptase (RT) enzyme provided by Dr. Steven Hughes (ABL, NCI-FCRDC). Characterization of the RT inhibitory properties of selected test compounds was performed utilizing an RT assay described by Boyer *et al.* (1993) with minor modifications. Briefly, recombinant RT enzymes were assayed in microtiter plates in a 100 µL reaction mixture containing 25 mM Tris-HCl, pH 8.0, 75 mM KCl, 8 mM MgCl₂, 2 mM DTT, 10 µM dGTP, 0.01U rC:dG template primer (Pharmacia), 10 µCi [³²P]-α-dGTP (800 Ci/mmol), and the test compound at indicated concentrations. The RT enzyme concentration employed in these assays ranged from 0.4-0.9 µg/mL for the different recombinant proteins; all the RT enzyme preparations utilized in these studies displayed linear enzyme kinetics for at least 45 min at 37°C under these reaction conditions. For each assay, the enzyme reactions were allowed to proceed for 45 min at 37°C before termination of the reaction by addition of 10% TCA; 100 µg of heat-denatured, sonicated salmon sperm DNA was also added to aid DNA precipitation and recovery. Upon termination of the enzyme reactions, the TCA-precipitated DNA was harvested onto glass-fiber filters

(GF/C), washed twice with ice-cold 10% TCA and subjected to liquid scintillation counting. To increase sample throughput and minimize sample handling for this assay, we employed a 96-well glass-fiber filter plate and vacuum manifold (Millipore) to harvest and wash the DNA. Incorporated radioactivity in the labeled DNA samples was subsequently counted directly in the multi-well plate following the addition of 20 µL scintillation fluid (OptiPhase SuperMix, Wallac) to each well and using a MicroBeta 96-well scintillation counter (Wallac). Raw data was collected from the Wallac scintillation counter and imported into a Microsoft Excel 2007 spreadsheet for analysis by linear curve fit calculations of IC₅₀ values.

HIV-1 Integrase Inhibition Assay: The HIV-1 integrase inhibition kit (Bioproducts MD) was used to examine the integrase inhibition activity of test compounds and raltegravir as a positive control. The integrase assay kit contained all the necessary buffers and a streptavidin-coated 96-well plate. The plate was coated with 100 µl of a double-stranded HIV-1 LTR U5 donor substrate (DS) oligonucleotide containing an end-labeled biotin for 30 minutes at 37°C. The plate was washed 3 times with wash buffer and blocked with blocking buffer for 30 min at 37°C. The plate was washed 3 times with reaction buffer and 2 µL of full-length recombinant HIV-1 integrase protein (200 nM purified from bacteria) plus 98 µl reaction buffer were added to each well, except nine wells contained 100 µl reaction buffer alone as a no enzyme negative control. The plate was incubated for 30 minutes at 37°C. Six half-log₁₀ serial dilutions of MDH-1-38, NS104 and raltegravir were prepared to 2 times the final in well concentration in reaction buffer. Following the incubation, the plate was washed 3 times with reaction buffer and 50 µl of the diluted compounds were placed into triplicate wells and 50 µl buffer alone was also placed into triplicate wells of the assay plate. The plate was incubated at room temperature for 5 minutes and 50 µl 1X double-stranded target substrate (TS) oligo containing 3'-end modifications was added to each well then incubated for 30 minutes at 37°C. The plate was washed 5 times with wash buffer and 100 µl HRP antibody solution was added to each well. After 30 minutes incubation at 37°C, the plate was washed five times with

wash buffer and 100 µl of TMB peroxidase substrate was added to each well. Following 10 minutes incubation at room temperature, 100 µl TMB stop solution was added to each well. The absorbance of the wells was determined at 450 nm using a Molecular Devices Vmax plate reader.

HIV-1 Protease Inhibition Assay: The SensoLyte 520 HIV-1 Protease Assay Kit (Anaspec Inc., San Jose, CA) and recombinant HIV-1 protease (MyBioSource, San Diego, CA) was used to examine the protease inhibition activity of two test articles and one positive control. Tests were conducted in a 96-well plate format and included the use of the HIV-1 protease inhibitor saquinavir as a positive control. The protease assay kit contained all the necessary buffers as well as a fluorimetric substrate derived from the p17/p24 cleavage site on Pr^{gag}. The substrate contains a HiLyte Fluor 488 reporter and a QXL 520 quencher covalently linked to the peptide and separated by the p17/p24 cleavage junction. Upon cleavage of the p17/p24 junction by HIV-1 protease, the fluorescent reporter is physically separated from the quencher and fluoresces with an emission spectra of 520nm when excited at a 490nm. Thus, cleavage of the peptide and HIV-1 protease activity can be monitored in a fluorescent plate reader using excitation and emission wavelengths of 490nm/520nm.

Recombinant HIV-1 protease was diluted to a concentration of 2.5 ng/µL in protease assay buffer and 40 µL of the diluted protease was added to all but two wells of a NUNC 96-well flat bottom black fluorescence plate on ice. Six ½-log₁₀ serial dilutions of each of the two test compounds and saquinavir were prepared to 10 times the final in well concentration in protease assay buffer. Ten microliters (10 µL) of the diluted compounds were placed into triplicate wells of the assay plate containing the protease. Ten microliters of buffer alone was also placed into six wells containing protease for establishing no compound positive control. Fifty microliters of protease buffer alone was added to the 2 wells that did not receive protease to serve as blank wells for fluorescence background. The plate was incubated at 37°C for 10 minutes. Following the 10 minute incubation,

50 μ L of the fluorescent protease substrate (diluted 1:500 in assay buffer) was added to each well and the plate was placed into a Promega plate reader preheated to 37°C. The final in well concentrations of components in the assay were 3.2 to 100 μ M of the two test compounds and 0.32 to 100 nM of saquinavir, 1 ng/ μ L of recombinant HIV-1 protease (1U/ μ L), and 1 μ M substrate. Fluorescence was measured using an excitation of 490nm, emission of 520 nm and a cut off value of 515 nm every 1.5 minutes for 45 minutes. The IC₅₀ and IC₉₀ (relative to the no-compound control) were calculated from the end-point fluorescence data for each of the compounds using the Promega software.

Inhibition of HIV-1 Production From Chronically Infected Cells: CEM-SS cells chronically infected with HIV_{IIIB} were treated with six concentrations of test compound for six days at 37°C/5% CO₂. Following incubation, cell-free supernatant samples was collected from each well of the 96 well plates and analyzed for reverse transcriptase (RT) activity. The plate was stained with 1 mg/mL XTT/PMS solution for cytotoxicity evaluation.

Inhibition of Cell to Cell Virus Transmission: Uninfected CEM-SS cells are seeded at 10⁵ cells per well in 50 μ L per well in a 96 well microtiter plate. Chronically infected cells (CEMIIIB) were resuspended in assay medium then diluted logarithmically (10⁵ cells per well through 10⁰). Each cell density was dispensed at 50 μ L per well in the microtiter plates. FPACA was diluted to 2X the desired high test concentration in assay medium and 100 μ L of each drug dilution was added to the plated cells. Media was added in place of drug to serve as the positive control. The plate was incubated in a humidified CO₂ incubator at 37°C for 48 hours. The number of syncytia per well was counted. Following 72 hr incubation, cell-free supernatant samples from each well of the 96 well plates was analyzed for reverse transcriptase (RT) activity as described above for the anti-HIV PBMC assay.

Time of Drug Addition Assay: TZM-bl FcRI cells were seeded in 96-well microtiter plates 24 hours prior to assay at a density of 1.5×10^4 cells/well in a volume of 100 μL . Three concentrations of each compound were added in a volume of 50 μL in triplicate to the seeded cells following removal of the media at 30 minutes prior to infection, immediately prior to infection (0 hour), or at 1, 2, 4, 6, 8, and 24 hours post-infection. Fifty microliters (50 μL) of HIV-1_{IIIB} was added to the efficacy plates at a pre-determined titer. The plates were then incubated for 48 hours at 37°C/5% CO₂. Efficacy was evaluated with a chemiluminescence end point. The virus entry inhibitor PRO2000, fusion inhibitor T20, and RT inhibitors efavirenz and nevirapine were evaluated in parallel with FPACA as control compounds.

Combination Therapy Evaluation Using the HIV Cytoprotection Assay:

Cell Preparation

CEM-SS cells were passaged in RPMI1640 supplemented with 10% heat inactivated FBS, 2 mM L-glutamine, 100 U/mL penicillin and 100 $\mu\text{g}/\text{mL}$ streptomycin in T-75 flasks prior to use in the antiviral assay. On the day preceding the assay, the cells were split 1:2 to assure they were in an exponential growth phase at the time of infection. Total cell and viability quantification were performed using a hemocytometer and Trypan Blue dye exclusion. Cell viability must be greater than 95% for the cells to be utilized in the assay. The cells were resuspended at 5×10^4 cells per mL in tissue culture medium and added to the drug-containing microtiter plates.

Virus Preparation

The virus used for the cytoprotection assays was the lymphocyte-tropic virus HIV-1_{IIIB}. The virus was obtained from the NIH AIDS Research and Reference Reagent Program and stock virus pools were produced in CEM-SS cells. A pretitered aliquot of virus was removed from the freezer (-80°C) and allowed to thaw slowly to room temperature in a biological safety cabinet. Virus was

resuspended and diluted into tissue culture medium such that the amount of virus added to each well in a volume of 50 μ L was the amount determined to yield 85 to 95% cell killing at 6 days post-infection.

Plate Format

Each plate contained cell control wells (cells only), virus control wells (cells plus virus), drug toxicity wells (cells plus drug only), drug colorimetric control wells (drug only) as well as experimental wells (drug plus cells plus virus). Samples were tested in triplicate.

Efficacy and Toxicity XTT

Following incubation at 37°C in a 5% CO₂ incubator, the test plates were stained with the tetrazolium dye XTT as described above for the anti-HIV PBMC assay.

Data Analysis

Raw data was collected from the Softmax Pro 4.6 software and imported into the Prichard and Shipman MacSynergy II software template (Prichard *et al.* 1993. Antiviral Research 14: 181-206). Effects of the drug combination are calculated based on the activity of the two compounds when tested alone. The expected additive antiviral protection is subtracted from the experimentally determined antiviral activity at each combination concentration resulting in a positive value (synergy, or potentiation), a negative value (antagonism), or zero (additivity). The results of the combination assays are presented three dimensionally at each combination concentration, yielding a surface of activity extending above (synergy) or below (antagonism) the plane of additivity. The volume of the surface is calculated and expressed as a synergy volume (μ M²%) calculated at the 95% confidence interval.

For these studies, synergy is defined as drug combinations yielding synergy volumes greater than 50 μ M²% at the 95% confidence interval. Slightly synergistic activity and highly synergistic activity have been defined as yielding

synergy volumes of 50 to 100 $\mu\text{M}^2\%$ and $> 100 \mu\text{M}^2\%$, respectively. Synergy volumes between -50 and 50 $\mu\text{M}^2\%$ are considered additive and synergy volumes less than -50 $\mu\text{M}^2\%$ are considered antagonistic.

In Vitro Cytotoxicity:

Evaluation of Toxicity to Human PBMCs -

Unstimulated or PHA-P stimulated PBMCs isolated by Ficoll hypaque centrifugation from whole blood (were re-suspended in fresh tissue culture medium containing IL-2 at 5×10^5 cells/mL and plated in the interior wells of a 96 well round bottom microtiter plate at 100 $\mu\text{L}/\text{well}$. One-hundred microliters (100 μL) of each compound at six concentrations was transferred to the round-bottom 96-well plate containing the cells, in triplicate. Following 3 days incubation at 37°/5% CO₂ the cells were stained with the tetrazolium dye XTT to evaluate cytotoxicity as described below. Staurosporine was used as a positive toxicity assay control.

XTT Staining for Compound Cytotoxicity-

Following incubation at 37°C in a 5% CO₂ incubator, the test plates were stained with the tetrazolium dye XTT as described above for the anti-HIV PBMC assay.

Data Analysis and Evaluation -

Microsoft Excel 2010 was used to analyze and graph the raw data. TC₅₀ (50% reduction in cell viability) values are tabulated and provided. Raw data for toxicity with a graphic representation of the data are provided in a printout summarizing the compound cytotoxicity.

Evaluation of Toxicity to Human Monocytes-Macrophages: Freshly separated pre-PHA blasted PBMC's (from one donor) were suspended in DPBS plus 10% heat inactivated Human AB serum at 4×10^6 cells per mL and plated in the interior wells of a 96-well flat bottom microtiter plate in a volume of 100 μL per well. The plates were incubated at 37°C/5% CO₂ for 2 hours. Following the incubation, RPMI 1640 supplemented with 10% FBS, 2mM L-glutamine, 100

U/mL penicillin and 100 µg/mL streptomycin was added in a volume of 100 µL per well and the plates were incubated for an additional 2 days at 37°C/5% CO₂. Following this incubation, the cells were gently washed with DPBS. RPMI 1640 supplemented with 10% FBS, 2mM L-glutamine, 100 U/mL penicillin and 100 µg/mL streptomycin was added in a volume of 200 µL per well and the plates were incubated for 5 to 7 days at 37°C/5% CO₂. Before initiation of the assay, the cell monolayer was gently washed with DPBS 2 times to eliminate residual PBMCs. After the final wash 100 µL of complete RPMI 1640 was added to each well and 100 µL of compound-containing media was transferred to the flat-bottom 96-well plate containing the cells, in triplicate. Following 7 days incubation at 37°/5% CO₂ the cells were stained with the tetrazolium dye XTT to evaluate cytotoxicity, as described above. Staurosporine was used as an assay control.

Evaluation of Toxicity to Human Monocytes-Macrophages-Derived

Dendritic Cells: Freshly separated PBMC's (from one donor) were suspended in DPBS at 4×10^6 cells per mL and 15 mL was transferred into a 75 cm² cell culture flask. The cells were incubated for 30 minutes and then washed 5 to 7 times with DPBS to remove the non-adherent cells. Fifteen milliliters of RPMI 1640 supplemented with 10% FBS, 2 mM L-glutamine, 25 mM HEPES, 100 U/mL penicillin , 100 µg/mL streptomycin, 50 ng/mL rhGM-CSF, and 50 ng/mL rhIL-4 was added to the cells and the cells were incubated at 37°C/5% CO₂ for 7 days (*Current Protocols in Immunology*, 2005). Following the incubation the cells were cultured in the same cytokine cocktails with the addition of LPS (10 ng/mL) for 2 days at 37°C/5% CO₂. Cells were washed once and resuspended at 1×10^6 cells per mL in complete medium and plated in the interior wells of a 96 well round-bottom microtiter plate in a volume of 100 µL per well. One-hundred microliters (100 µL) of compound-containing media were transferred to the 96-well plate containing the cells, in triplicate. After 7 days in culture, the cells were stained with the tetrazolium dye XTT to evaluate cytotoxicity as described above. Staurosporine was used as an assay control.

Evaluation of Toxicity to Primary Human Hepatocytes: Fresh primary human hepatocytes (Xenotech; Lenexa, KS) at a density of 7×10^4 cells per well with a 0.2 mg/mL Matrigel overlay were received 24 hours prior to initiation of the assay. Once received the medium was replaced with fresh hepatocyte culture medium (Xenotech) pre-warmed to 37°C and the plate was cultured at 37°C/5% CO₂ overnight. The following day, medium was removed and replaced with 100 µL of fresh medium. One hundred microliters (100 µL) of six concentrations of the compound was added in triplicate to individual wells. The plate was cultured at 37°C/5% CO₂ for 48 hours then stained for cell viability with the tetrazolium dye XTT, as described above. Staurosporine was used as a positive toxicity assay control.

Evaluation of Toxicity to Human Bone Marrow Cells: Bone marrow progenitor cells (Invitrogen; Grand Island, NY) were suspended in cell culture medium (Iscove modified Dulbecco medium containing 15% heat-inactivated fetal bovine serum, 10% giant cell tumor conditioned medium (Bone Marrow Plus, Sigma), 10 ng/ml recombinant human IL-6, 10 ng/ml recombinant human IL-3, and 25 ng/ml recombinant human granulocyte macrophage colony stimulating factor (GM-CSF, R&D Systems), and a final concentration of 1% methylcellulose. The cells were added to the drug-containing 6-well plates at 1×10^5 cells per well in a volume of 900 µL. Each test material was evaluated in triplicate. Test materials were diluted to 10 times the high test concentration then 100 µL of the stock solution was added per well. Following 14 days incubation at 37°C/5% CO₂, colonies (greater than 30 cells) in the assay plates were counted. AZT was used an assay control compound.

Evaluation of Toxicity to iPS Cardiomyocytes: iPS cardiomyocytes (Cellular Dynamics; Madison, WI) were seeded in 96 well flat bottom microtiter plates pre-coated with 0.1% gelatin (Sigma) in plating medium (Cellular Dynamics) at 1.5×10^4 cells per well in a final volume of 100 µL. Plates were incubated at 37°C/5% CO₂. Forty-eight hours after plating, the wells were washed with DPBS and

compound diluted in maintenance medium (Cellular Dynamics) was added to the cell monolayer at 100 µL. After three days incubation at 37°C/5% CO₂, cell viability was measured by staining with XTT tetrazolium dye. Doxazosin mesylate was evaluated in parallel as a positive control compound.

Evaluation of Toxicity to iPS Neurons: Flat bottom 96 well microtiter plates were coated with 50 µL per well of 0.01% poly-L-lysine overnight at 37°C/5%CO₂. The plates were washed with DPBS and coating solution (0.01% poly-L-ornithine; Cellular Dynamics) was added at 100 µL per well and incubated at room temperature for 1 hour. After washing the plate twice with water, 100 µL per well of 3.3 µg/mL laminin solution was added and the plate was incubated at room temperature for 1 hour. The laminin solution was removed and iPS neurons (Cellular Dynamics) were seeded at 150 µL per well of 2 x 10⁵ cells per mL suspension in specialized medium (Cellular Dynamics). The medium was changed on day 3 and day 7. Diluted compound was added in triplicate to the differentiated neurons on day 14. Following three days incubation at 37°C/5%CO₂, the cells were stained with XTT tetrazolium dye to measure cell viability. Staurosporine was evaluated in parallel as a positive control compound.

Evaluation of Toxicity to RPTEC Kidney Cells: Human primary renal proximal tubule cells (hRPTECs, Lonza) were propagated in supplemented REGM medium (Lonza; Allendale, NJ). Cells were seeded in flat bottom 96 well microtiter plates at a density of 1 x 10⁴ cells per well in 100 µL per well. Following overnight incubation for adherence, the medium was removed and diluted compound was added in triplicate to the cell monolayer at 100 µL per well. Following three days incubation at 37°C/5% CO₂, cell viability was measured by staining with XTT tetrazolium dye. Cisplatin was evaluated in parallel as a positive control compound.

Macromolecular Synthesis Evaluation in PBMCs: Cellular macromolecule synthesis was evaluated using tritiated precursor incorporation assays. PBMCs from three pooled donors (5×10^4 cells per well) were cultured in triplicate in the presence or absence of compound at $37^\circ\text{C}/5\%\text{CO}_2$ in a 96-well round bottom microtiter plate. After incubation for 54 hours, $1 \mu\text{Ci}$ of [methyl- ^3H]-thymidine for DNA synthesis, [$5\text{-}^3\text{H}$]-uridine for RNA synthesis, or [$3,4,5\text{-}^3\text{H}$]-leucine for protein synthesis (New England Nuclear) was added to the culture and incubation was continued for an additional 18 hours. The cells were transferred to a DEAE filter mats by use of an Inotech cell harvester. The filtermats were washed with distilled water, placed in a bag with scintillation fluid, sealed, and incorporated radioactivity was quantified with a Wallac scintillation counter. Triton X-100 was evaluated in parallel as a control.

Apoptosis: HeLa cells were seeded in flat bottom 96 well microtiter plates at 2×10^4 cells per well and allowed to adhere overnight at $37^\circ\text{C}/5\%\text{CO}_2$. Medium was removed, replaced with compound diluted in cell culture medium, and following three days of incubation, apoptosis was quantified by fluorometric measurement utilizing the Apo-ONE Homogeneous Caspase-3/7 kit (Promega; Madison, WI). Briefly, the 100x caspase substrate Z-DEVD-R110 was diluted in buffer and then $100 \mu\text{L}$ per well was added. Following incubation at room temperature for 2 hours, fluorescence was read at 485/530 nm. Staurosporine was evaluated as a control compound.

Membrane integrity: Diluted compound was incubated with L929 cells seeded in flat bottom microtiter plates at 1×10^4 cells per well for three days at $37^\circ\text{C}/5\%\text{CO}_2$. Membrane integrity was quantified fluorometrically by LDH release from non-viable cells using the CytoTox-ONE Homogeneous Membrane Integrity kit (Promega). Following a 10 minute incubation of CytoTox-ONE reagent ($100 \mu\text{L}$) in each well, $50 \mu\text{L}$ of stop solution was added and the fluorescent signal was measured at 560/590 nm. TNF- α was evaluated as a control.

Cellular proliferation: Following three days incubation of PHA-stimulated human PBMCs (1×10^6 cells) with compound in the absence or presence of 1 μM CFSE (Cell Trace Proliferation kit, Invitrogen), cells were analyzed by flow cytometry for cellular proliferation compared to the untreated cell controls. Doxorubicin was evaluated as a control compound.

Oxidative Stress: Following 1 hour of incubation of HeLa cells (1×10^4 cells per well) with compound, oxidative stress was quantified by luminescence measurement utilizing the GSH/GSSG Glo kit (Promega). Briefly, the Total Glutathione Lysis Reagent and the Oxidized Glutathione Lysis Reagent was diluted in buffer and then 50 μL per well was added to duplicate plates containing HeLa cells. Luciferin Generation Reagent was added at 50 μL per well and the plates were incubated at room temperature for 30 minutes. Luciferin Detection Reagent was added at 100 μL per well. Following incubation at room temperature for 15 minutes, luminescence was read using a Wallac scintillation counter. Menadione was evaluated as a control compound.

Results

Range of Anti-HIV Activity in Fresh Human PBMC Assays:

FPACA was evaluated in parallel with AZT against low passage human clinical HIV-1 subtype viruses (A through G and O) in fresh human PBMCs. The results of these assays are summarized in **Table 2** and the raw data from the experiments are presented in **Appendix I**. The graphical representation of these data compares the antiviral efficacy and cellular toxicity expressed as a percent of the appropriate cell and virus controls.

The anti-HIV assays met our internal validation and standardization criteria. AZT was evaluated in parallel as a positive control compound for the anti-HIV assay due to its sensitivity to small changes in the virus multiplicity of infection, allowing us to maintain the virus inoculum at its most sensitive level for the antiviral

assays. AZT yielded mean EC₅₀ values ranging from 1 to 10 nM (+/- 0.0001 to 0.006 µM SD) against the clinical HIV-1 isolates.

FPACA was active against all of the low passage clinical HIV-1 strains evaluated with mean EC₅₀ values ranging from 1.21 to 13.5 µg/mL (+/- 0.0 to 13.4 µg/mL SD). The test compound was found to be non-toxic to fresh human PBMCs in all assays up to a high test concentration of 100 µg/mL.

Table 2: Activity of Test Compounds Against a Range of Geographically Diverse HIV-1 Subtypes in Fresh Human PBMCs

HIV-1 Subtype	Virus (Coreceptor Usage)	FPACA (µg/ml)			AZT (µM)		
		EC ₅₀	TI*	Mean EC ₅₀ (µg/ml) +/- SD	EC ₅₀	TI*	Mean EC ₅₀ (µM) +/- SD
A	92RW016 (CCR5)	4.27	>23.4	4.33 +/- 0.08	0.004	>27.6	0.007 +/- 0.02
		4.38	>22.8		0.01	>101	
	92RW009 (CCR5/CXCR4)	1.15	>87.0	2.17 +/- 1.44	0.006	>163	0.004 +/- 0.003
		3.18	>31.4		0.002	>578	
	92UG029 (CXCR4)	1.50	>66.7	1.21 +/- 0.40	0.01	>94.3	0.01 +/- 0.0001
		0.93	>108		0.01	>96.2	
B	92US727 (CCR5)	2.49	>40.2	4.81 +/- 3.27	0.003	>400	0.007 +/- 0.005
		7.12	>14.0		0.0009	>1114	
	92HT594 (CCR5/CXCR4)	2.45	>40.8	3.15 +/- 0.99	0.007	>152	0.006 +/- 0.0004
		3.85	>26.0		0.006	>167	
	92HT599 (CXCR4)	2.22	>45.1	2.34 +/- 0.16	0.01	>101	0.01 +/- 0.0005
		2.45	>40.8		0.01	93.5	
C	93MW959 (CCR5)	2.35	>42.6	1.71 +/- 0.56	0.004	>272	0.007 +/- 0.005
		1.48	>67.6		0.007	>138	
		1.31	>76.3		0.007	>142	
	97ZA009 (CCR5)	5.73	>17.5	5.03 +/- 1.00	0.0005	>213	0.003 +/- 0.004
		4.32	>23.2		0.006	>175	
	98IN017 (CXCR4)	3.89	>25.7	2.85 +/- 1.48	0.0003	>333	0.003 +/- 0.004
		1.80	>55.6		0.006	>158	
D	92UG005 (CCR5)	5.99	>16.7	4.20 +/- 2.53	0.0005	>203	0.001 +/- 0.001
		2.41	>41.5		0.002	>463	
	92UG001 (R5X4)	2.74	>36.5	2.36 +/- 0.50	0.005	>203	0.004 +/- 0.0007
		2.54	>39.4		0.004	>227	
		1.80	>55.6		0.004	>286	
	92UG024 (CXCR4)	4.31	>23.2	3.72 +/- 0.83	0.009	>109	0.008 +/- 0.002
		3.13	>32.0		0.006	>171	
E	93TH060 (CCR5)	1.09	>91.7	1.30 +/- 0.30	0.004	>225	0.003 +/- 0.003
		1.51	>66.2		0.0005	>1908	

	93TH051 (CCR5/CXCR4)	2.13 1.96	>47.0 >51.0	2.05 +/- 0.12 0.003	0.005 0.003	>218 >326	0.004 +/- 0.001
	CMU02 (CXCR4)	3.35 2.15	>30.1 >46.5	2.75 +/- 0.85 0.003	0.008 0.003	>132 >333	0.005 +/- 0.003
F	93BR029 (CCR5)	2.09 1.75	>47.9 >57.1	1.92 +/- 0.24 0.001	0.007 0.001	>138 >1014	0.004 +/- 0.004
	93BR020 (CCR5/CXCR4)	1.71 2.45	>58.5 >40.8	2.08 +/- 0.52 0.005	0.003 0.005	>294 >204	0.004 +/- 0.001
	93BR019 (CXCR4)	2.34 4.38	>42.5 >22.8	3.36 +/- 1.44 0.002	0.007 0.002	>135 >662	0.005 +/- 0.004
G	G3 (CCR5)	2.18 0.96	>45.9 >104	1.57 +/- 0.86 0.005	0.006 0.005	>173 >217	0.005 +/- 0.0008
	JV1083 (CCR5)	1.64 4.42	>61.0 >22.6	3.03 +/- 1.97 0.002	0.01 0.002	>97.1 >602	0.006 +/- 0.006
	RU132 (CCR5)	2.43 8.54	>41.2 >11.7	5.49 +/- 4.32 0.002	0.01 0.002	>94.3 >532	0.006 +/- 0.006
O	BCF01 (CCR5)	1.92 2.92 1.09	>52.1 >34.2 >91.7	1.98 +/- 0.92 0.007 0.009 0.008	>144 >112 >119		0.008 +/- 0.001
	BCF02 (CCR5)	2.56 1.92	>39.1 >52.1	2.24 +/- 0.45 0.0005 0.002	>1869 >500		0.001 +/- 0.001
	BCF03 (CCR5)	3.99 23.0	>25.1 4.35	13.5 +/- 13.4 0.002	0.01 0.002	>105 >410	0.006 +/- 0.005

*TI values were calculated based on the FPACA TC₅₀ of >100 µg/mL and the AZT TC₅₀ of >1 µM.

HIV Attachment and Fusion Inhibition: FPACA was evaluated for the ability to inhibit HIV attachment to HeLa-CD4-LTR-β-gal cells expressing cell surface CD4 and for inhibition of HL2/3 cells expressing HIV-1 gp120 and gp41 fusing with HeLa-CD4-LTR-β-gal cells. The results of these assays are summarized in **Table 3** (attachment inhibition) and **Table 4** (fusion inhibition) and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data compares the antiviral efficacy and cellular toxicity expressed as a percent of the control.

The HIV attachment and fusion inhibition assays performed met our internal validation and standardization criteria. The Chicago Sky Blue (CSB) control compound was evaluated in parallel with the test compound and yielded a mean EC₅₀ value of 0.47 µg/mL (+/- 0.37 µg/mL SD) in the attachment inhibition assay and 0.87 µg/ml (+/- 0.04 µg/mL SD) in the fusion inhibition assay. T20 and dextran sulfate were evaluated as additional positive control compounds in the

fusion inhibition and attachment inhibition assays, respectively, and yielded mean EC₅₀ values of 0.004 µM (+/- 0.001 µM SD) and 0.85 µg/mL (+/- 0.37 µg/mL SD). FPACA yielded a mean EC₅₀ value of 0.46 µg/mL (+/- 0.3 µg/mL SD) in the attachment inhibition assay and 0.82 µg/mL (+/- 0.21 µg/mL SD) in the fusion inhibition assay.

Table 3: Inhibition of HIV Attachment

Compound	HIV-1 _{III} B Entry Inhibition						Mean EC ₅₀ (µg/mL) +/- SD
	EC ₅₀ (µg/mL)	TC ₅₀ (µg/mL)	TI				
Chicago Sky Blue	0.73	0.20	>10.0	>10.0	>13.8	>49.8	0.47 +/- 0.37
Dextran Sulfate	1.11	0.58	>50.0	>50.0	>45.1	>85.6	0.85 +/- 0.37
FPACA	0.67	0.24	>100	>100	>150	>410	0.46 +/- 0.30

Table 4: Inhibition of HIV Fusion

Compound	HIV-1 Fusion Inhibition						Mean EC ₅₀ +/- SD
	EC ₅₀ (µg/mL)	TC ₅₀ (µg/mL)	TI				
Chicago Sky Blue	0.84	0.89	>10.0	>10.0	>11.9	>11.2	0.87 +/- 0.04
T20 (µM)	0.005	0.003	>0.50	>0.50	>106	>148	0.004 +/- 0.001
FPACA	0.97	0.67	83.0	>100	85.6	>149	0.82 +/- 0.21

HIV Reverse Transcriptase Inhibition: FPACA was evaluated in parallel with delavirdine for inhibition of wild type HIV-1 RT in a biochemical RT inhibition assay using a rC:dG template primer system. The results of these assays are summarized in **Table 5** and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data illustrates the antiviral efficacy expressed as a percent of the control.

The HIV RT inhibition assay performed met our internal validation and standardization criteria. The control compound delavirdine yielded a mean IC₅₀ value of 0.42 µM (+/- 0.47 µM SD) versus wild type RT. FPACA inhibited HIV RT activity with a mean IC₅₀ value of 75.8 µg/mL (+/- 23.1 µg/mL SD).

Table 5: HIV RT Inhibition

Compound	Wild Type RT IC₅₀	Mean IC₅₀ + SD
Delavirdine (μ M)	0.75	0.09
FPACA (μ g/mL)	59.4	92.1

HIV Integration Inhibition: FPACA was evaluated for the ability to inhibit HIV integrase from cleaving the terminal two bases from the exposed 3'-end of the HIV-1 LTR DS and then catalyzing a strand-transfer reaction to integrate the double stranded viral DNA into the target substrate. The results of these assays are summarized in **Table 6** and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data compares the antiviral efficacy and cellular toxicity expressed as a percent of the control.

The raltegravir control compound was evaluated in parallel with the test compounds and yielded a mean IC₅₀ value of 0.09 μ M (+/- 0.07 μ M SD) in the integrase inhibition assay. FPACA inhibited HIV integrase activity with a mean IC₅₀ value of 1.21 μ g/mL (+/- 0.34 μ g/mL SD).

Table 6: HIV Integrase Inhibition

Compound	IC₅₀	Mean IC₅₀ +/- SD
Raltegravir (μ M)	0.14	0.09 +/- 0.07
FPACA (μ g/mL)	0.97	1.21 +/- 0.34

HIV Protease Inhibition: FPACA was evaluated in parallel with saquinavir for the ability to inhibit HIV protease cleavage. The results of these assays are summarized in **Table 7** and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data compares the antiviral efficacy and cellular toxicity expressed as a percent of the control.

The saquinavir control compound yielded a mean IC₅₀ value of 20.2 nM (+/- 0.05 nM SD) in the protease inhibition assay. FPACA inhibited HIV protease activity with a mean IC₅₀ value of 0.59 µg/mL (+/- 0.12 µg/mL SD).

Table 7: HIV Protease Inhibition

Compound	IC ₅₀	Mean IC ₅₀ +/- SD
Saquinavir (nM)	20.2	20.1
FPACA (µg/mL)	0.71	0.59 +/- 0.12

Chronic HIV Inhibition: FPACA was evaluated in parallel with ritonavir for the inhibition of virus replication from chronically HIV-1_{IIIB} infected CEM-SS cells. The results of these assays are summarized in **Table 8** and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data compares the antiviral efficacy and cellular toxicity expressed as a percent of the control.

The ritonavir control compound yielded a mean EC₅₀ value of 0.25 µM (+/- 0.01 µM SD) in the chronic HIV inhibition assay. FPACA did not inhibit virus replication from chronic HIV infected cells when evaluated at concentrations up to a high test concentration of 100 µg/mL.

Table 8: Evaluation Versus Chronic HIV-1 Infected CEM-SS Cells

Compound	Chronic CEMIIIB						Mean EC ₅₀ +/- SD
	EC ₅₀		TC ₅₀		TI		
Ritonavir (µM)	0.26	0.24	>10.0	>10.0	>38.5	>41.7	0.25 +/- 0.01
FPACA (µg/mL)	>100	>100	>100	>100	---	---	>100

Inhibition of Cell to Cell HIV Transmission: FPACA was evaluated in parallel with dextran sulfate for the inhibition of virus replication and syncytium forming units (SFUs) from chronic HIV-1_{IIIB} infected cells incubated with uninfected CEM-SS

target cells. The results of these assays are summarized in **Table 9** and the raw data from the experiments are presented in **Appendix II**. The graphical representation of these data compares the reduction RT activity and syncytia formation as compared to untreated control wells.

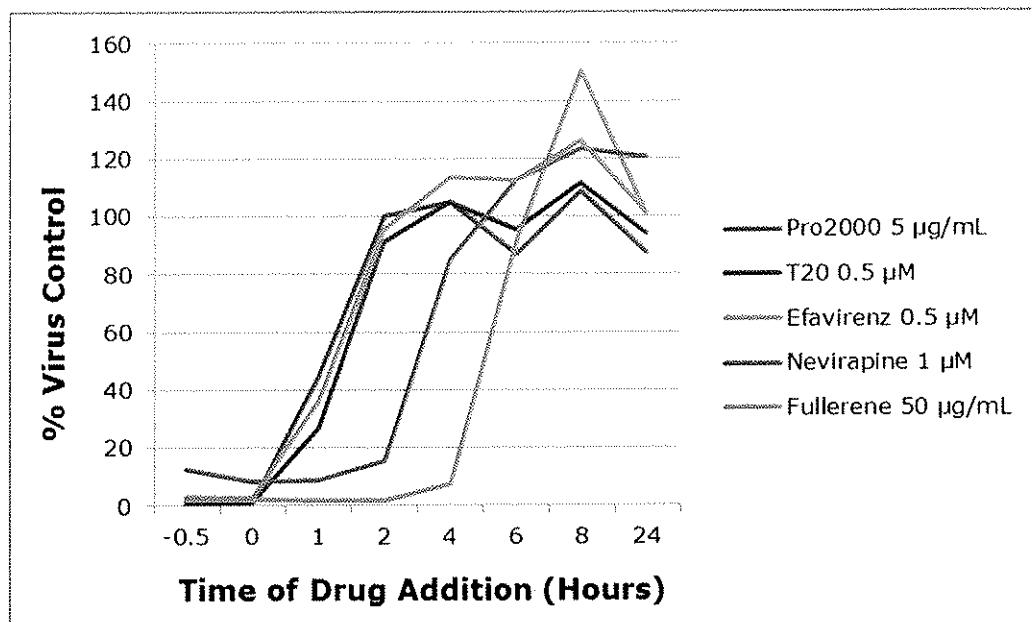
The dextran sulfate control compound yielded a mean SFU inhibition EC₅₀ value of 0.05 µg/mL (+/- 0.007 µg/mL SD) and a mean RT inhibition EC₅₀ value of 0.08 µg/mL (+/- 0.01 µg/mL SD). FPACA inhibited virus replication as measured by RT yielding a mean EC₅₀ value of 5.45 µg/mL (+/- 0.64 µg/mL SD) and inhibited syncytia formation with a mean EC₅₀ value of 6.6 µg/mL (+/- 0.57 µg/mL SD).

Table 9: Inhibition of Cell to Cell HIV Transmission

Compound	Syncytia Forming Units (SFU) EC ₅₀ (µg/mL)	Mean SFU EC ₅₀ + SD	RT EC ₅₀ (µg/mL)	Mean RT EC ₅₀ + SD
Dextran Sulfate	0.05	0.04	0.09	0.07
FPACA	7.00	6.60 +/- 0.57	5.00	5.90

Time of Drug Addition Evaluations: The virus entry inhibitor PRO2000, fusion inhibitor T20, and RT inhibitors efavirenz and nevirapine were evaluated in parallel with FPACA as control compounds when added at various time points throughout the HIV-1_{IIIB} infection of TZM-bl FcRI cells. Delayed time of drug addition during the infection defines the stage of the virus replication cycle which is inhibited by the compound. Similar to the PRO2000 HIV attachment inhibitor and T20 HIV fusion inhibitor, FPACA at 50 µg/mL inhibited HIV replication when added to the target cells up to 2 hours post-infection (**Figure 1**). The RT inhibitors efavirenz and nevirapine could be added up to 4 hours post-infection for inhibition of virus replication.

Figure 1: Compounds Added at Various Times Pre- and Post-Infection



Combination Therapy Evaluations: FPACA was evaluated in combination with twenty-three known anti-HIV agents for the inhibition of cytopathic effect in CEM-SS cells using the IIIB strain of HIV-1. The percent of virus replication inhibition above expected at each concentration for each two-drug combination was calculated at the 95%, 99% and 99.9% confidence interval. The data obtained at the 95% confidence value were plotted three dimensionally. The synergy volumes for the combinations at the 95% confidence interval of two replicate assays are summarized in **Table 10** and the raw data from the experiments are presented in **Appendix III**.

Table 10: Calculated Synergy/Antagonism Volumes at The 95% Confidence Interval For FPACA

FPACA Tested in Combination with:	CEM-SS/HIV-1 _{IIIB} Assay 1		CEM-SS/HIV-1 _{IIIB} Assay 2		Mean Synergy Volume (µM ^{2%}) +/- SD
	Synergy/ Antagonism Volume (µM ^{2%})	Definition of Interaction	Synergy/ Antagonism Volume (µM ^{2%})	Definition of Interaction	
Enfuvirtide	60.1/-13.2	Slightly	86.4/-14.9	Slightly	73.3 +/- 13.2

		Synergistic		Synergistic	
Delavirdine	9.6/-32.5	Additive	5.1/-18.6	Additive	7.35 +/- 2.25
Etravirine	0/-151	Additive	32.9/-27.3	Additive	16.4 +/- 16.4
Efavirenz	13.4/-43.2	Additive	4.9/-75.7	Additive	9.15 +/- 4.25
Nevirapine	0/-14.8	Additive	36.9/-44.2	Additive	18.5 +/- 18.5
Zidovudine	16.9/-35.7	Additive	38.59/-0	Additive	27.7 +/- 10.9
Lamivudine	46.9/-4.05	Additive	37.1/-4.4	Additive	42.0 +/- 4.91
Emtricitabine	21.6/-9.6	Additive	30.1/-18.5	Additive	25.9 +/- 4.25
Didanosine	33.5/-7.9	Additive	17.3/-10.5	Additive	25.4 +/- 8.10
Tenofovir	9.3/-51.4	Additive	9.6/0	Additive	9.45 +/- 0.15
Stavudine	177/-55.7	Highly Synergistic	79.1/-54.7	Slightly Synergistic	128 +/- 49.1
Abacavir	265/-10.4	Highly Synergistic	110/-9.6	Synergistic	187 +/- 77.7
Rilpivirine	25.8/-30.2	Additive	6.3/-26.1	Additive	16.1 +/- 9.75
Raltegravir	11.1/-27.1	Additive	19.4/-1.1	Additive	15.3 +/- 415
Dolutegravir	43.6/-35.3	Additive	22.7/-13.7	Additive	33.2 +/- 10.5
Amprenavir	1.96/0	Additive	46.8/-54.4	Additive	24.4 +/- 22.4
Tipranavir	1.8/-18.5	Additive	0/-35.5	Additive	0.90 +/- 0.90
Indinavir	8.3/-58.3	Additive	25.6/-45.7	Additive	17.0 +/- 8.65
Saquinavir	20.6/-40.3	Additive	45.4/-16.1	Additive	33.0 +/- 12.4
Ritonavir	17.1/0	Additive	16.3/-15.1	Additive	16.7 +/- 0.40
Atazanavir	14.2/-5.74	Additive	35.0/-57.3	Additive	24.6 +/- 10.4
Nelfinavir	14.4/-8.33	Additive	32.4/-44.5	Additive	23.4 +/- 9.00
Darunavir	21.5/-62.2	Additive	2.0/-1.3	Additive	11.8 +/- 9.75

Importantly, the combination assays demonstrated that within the concentration ranges employed in the combination antiviral assays, no evidence of either antagonism of antiviral activity or synergistic toxicity was observed with any of the compound combinations, suggesting that FPACA could be safely used with all approved HIV-1 inhibitors.

FPACA was evaluated in combination with the fusion inhibitor Enfuvirtide (fusion inhibitor) and yielded a slightly synergistic interaction of cytoprotection (mean synergy volume of $73.3 \mu\text{M}^2\% +/- 13.2 \mu\text{M}^2\% \text{ SD}$).

FPACA in combination with reverse transcriptase inhibitors yielded additive to synergistic interactions. FPACA combinations with stavudine or abacavir yielded the mean synergy volumes of $128 \mu\text{M}^2\% +/- 49.1 \mu\text{M}^2\% \text{ SD}$ and $187 \mu\text{M}^2\% +/- 77.7 \mu\text{M}^2\% \text{ SD}$, respectively. FPACA combinations with delavirdine, etravirine,

efavirenz, nevirapine, zidovudine, lamivudine, emtricitabine, didanosine, tenofovir and rilpivirine resulted in an additive interaction from two assay replicates.

In combination with the integrase inhibitors raltegravir and dolutegravir, FPACA resulted in additive interactions. .

FPACA in combination with protease inhibitors amprenavir, tripanavir, indinavir, saquinavir, ritonavir, atazanavir, nelfinavir and darunavir resulted in additive interactions.

In Vitro Cytotoxicity Evaluations - FPACA was evaluated for cytotoxicity by staining with XTT tetrazolium dye to measure cell viability following three days in culture with nine cell types. The TC₅₀ values calculated from these assays are summarized in **Table 11** and the raw data from the experiments are presented in **Appendix IV**.

Staurosporine was evaluated in parallel as a control for PBMCs, monocyte-macrophages, dendritic cells, hepatocytes and iPS neurons. The TC₅₀ value for staurosporine ranged from 0.04 +/- 0.01 µM SD to 1.05 +/- 0.25 µM SD in the various primary cells evaluated. AZT was evaluated as a control for toxicity to bone marrow progenitor cells and yielded a TC₅₀ of 0.52 +/- 0.19 µM SD. Doxazosin mesylate and cisplatin were evaluated as a control compound in iPS cardiomyocytes and RPTEC cells, respectively, and yielded TC₅₀ values of 60.7 +/- 5.09 µM SD and 0.001 +/- 0 µM SD. FPACA was not cytotoxic to the primary cells evaluated up to a high concentration of 100 µg/mL.

Table 11: *In Vitro Cytotoxicity Assays*

Cell Type	Control TC₅₀ (µM)	Mean TC₅₀ +/- SD	FPACA TC₅₀ (µg/mL)	Mean TC₅₀ +/- SD
Unstimulated PBMCs	0.07	0.61	0.34 +/- 0.38	>100
PHA-Stimulated PBMCs	0.28	0.53	0.41 +/- 0.18	>100
Monocyte/Macrophages	0.05	0.03	0.04 +/- 0.01	>100
Dendritic Cells	0.25	0.30	0.28 +/- 0.04	>100
Bone Marrow Progenitor	0.65	0.38	0.52 +/- 0.19	>100

Hepatocytes	1.23	0.87	1.05 +/- 0.25	>100	>100	>100 +/- 0
iPS cardiomyocytes	64.3	57.1	60.7 +/- 5.09	>100	>100	>100 +/- 0
iPS neurons	0.64	0.71	0.68 +/- 0.05	>100	>100	>100 +/- 0
RPTEC kidney	0.001	0.001	0.001 +/- 0	>100	>100	>100 +/- 0

Macromolecular Synthesis Evaluation - Fresh human PBMCs were treated for 72 hours with six concentrations of FPACA in parallel with the staurosporine control compound. DNA, RNA and protein synthesis was measured using tritiated precursor incorporation assays. The TC₅₀ values calculated from these assays are summarized in **Table 12** and the raw data from the experiments are presented in **Appendix IV**.

Staurosporine affected DNA, RNA and protein synthesis in PBMCs with TC₅₀ values of 8, 3 and less than 3 nM, respectively. FPACA did not decrease DNA synthesis when PBMCs were treated with concentrations up to a high test concentration of 100 µg/mL, but decreased RNA and protein synthesis similarly at concentrations above 78.0 and 89.2 µg/mL, respectively.

Table 12: Macromolecular Synthesis Evaluations in PBMCs

Tritium Incorporation	Staurosporine TC ₅₀ (µM)	FPACA TC ₅₀ (µg/mL)
Thymidine	0.008	>100.0
Uridine	0.003	78.0
Leucine	<0.003	89.2

Evaluation of mechanism of toxicity –FPACA was evaluated for effects on apoptosis, membrane integrity, cellular proliferation and oxidative stress. The ED₅₀ values calculated from these assays are summarized in **Table 13**. The raw data from the experiments are presented in **Appendix IV**.

Apoptosis was evaluated in HeLa cells treated with compounds for three days. The control compound staurosporine induced apoptosis at concentrations greater than 0.08 µM (+/- 0.05 µM SD). FPACA did not induce apoptosis at concentrations up to a high test concentration of 100 µg/mL.

Membrane integrity was evaluated in L929 cells treated with compounds for three days. The control compound tumor necrosis factor-alpha (TNF- α) reduced membrane integrity at concentrations greater than 0.7 ng/mL (+/- 0.02 ng/mL SD). FPACA did not reduce membrane integrity at concentrations up to a high test concentration of 100 μ g/mL.

Cellular proliferation was evaluated in unstimulated and PHA-P stimulated PBMCs by BrdU incorporation measured by ELISA. The control compound doxorubicin and FPACA reduced cell proliferation at concentrations greater than 8.61 μ M (+/- 1.2 μ M SD) and 19.9 μ g/mL (+/- 9.4 μ g/mL SD), respectively.

Oxidative stress was evaluated in HeLa cells following a one hour incubation with compounds and was measured by comparing total glutathione to oxidized glutathione in the supernatant. Menadione was evaluated as a control compound and induced oxidative stress at concentrations greater than 94.7 μ M (+/- 0.92 μ M SD). FPACA did not induce oxidative stress at concentrations up to a high test concentration of 100 μ g/mL.

Table 13: Mechanism of Toxicity Evaluations

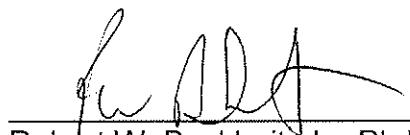
Assay Evaluation	Control ED₅₀ (μ M/ng/mL)	Mean ED₅₀ +/- SD	FPACA ED₅₀ (μ g/mL)	Mean ED₅₀ +/- SD
Apoptosis	0.04	0.11	0.08 +/- 0.05	>100
Membrane Integrity	0.07	0.04	0.06 +/- 0.02	>100
Cellular Proliferation	7.76	9.45	8.61 +/- 1.20	13.2
Oxidative Stress	95.3	94.0	94.7 +/- 0.92	>100

Submitted by:



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Reviewed and Approved by:



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President and Chief Scientific Officer
ImQuest BioSciences, Inc.

Appendix I

Anti-HIV PBMC Range of Action Data

XTT Cytoprotection Assay - Data Template

Assay Type: HIV-2 Cytoprotection

Assay Endpoint:	XTT	Test Set Up Date:	02/26/2014
Virus:	HIV-2	Date Plate Read:	3/4/2014
Strain:	ROD	Technician:	A. Helfrick
Cells:	CEM-SS	Pt:	T. Hartman
End Point Antiviral:	OD 450/650	Client:	CJSC Intelpharm
End Point Viability:	OD 450/650	Project #:	306-01-02

Number of Drugs:

Drug 1:			
Name:	AZT	Name:	Fullerene PAA
High Conc:	0.1	High Conc:	1
Conc Units:	μM	Conc Units:	μg/ml
Dilution Factor:	Half-Log	Dilution Factor:	Half-Log

Concentration Verification

Conc. 1-6	Conc. 1-6
0.1	1
0.03	0.32
0.01	0.1
0.003	0.03
0.001	0.01
0.0003	0.003

Concentration Verification

Note: Discontinuous dilution series can be entered directly

Raw Data:									
0.167	0.153	0.154	0.154	0.146	0.155	0.051	0.046	0.047	0.046
1.487	1.459	0.246	0.242	0.294	1.551	1.284	0.220	0.242	0.253
1.280	1.426	0.444	0.519	0.354	1.851	1.826	0.270	0.281	0.300
1.208	1.397	1.528	1.285	1.011	2.225	1.869	0.389	0.429	0.349
1.426	0.214	1.731	1.898	1.648	2.010	1.982	0.590	0.453	0.350
1.279	0.170	1.349	1.383	1.333	1.351	1.353	1.359	1.269	1.262
1.292	0.223	1.348	1.271	1.426	1.439	1.365	1.311	1.320	1.239
0.141	0.141	0.134	0.140	0.134	0.131	0.135	0.134	0.139	0.135
CC	VC	Color Ctrl	Tox	Bold = HT	CC	VC	Color Ctrl	Tox	Bold = HT

In Vitro Antiviral Results For AZT

Assay: HIV-2 Cytoprotection (XTT)

Sponsor: CJSC Intelpharm

Concentration

AZT (µM)
0.0003
0.001
0.003
0.01
0.03
0.1

		Media Control			Plastic Control				
Toxicity Drug 1	Cell Control	Low		Toxicity Drug 1	Low		Cell Control	Toxicity Drug 2	
	Virus Control	Drug 1		Toxicity Drug 1	Drug 2		Virus Control	Toxicity Drug 2	
		High			High				
Color Control			Drug 1 (High to Low)			Color Control			Drug 2 (High to Low)

Raw Data: AZT (µM)

0.167	0.153	0.154	0.154	0.146	0.155	0.051	0.046	0.047	0.046	0.045	0.043
1.487	1.459	0.246	0.242	0.294	1.551					1.008	
1.280	1.426	0.444	0.519	0.354	1.851					1.340	
1.208	1.397	1.528	1.285	1.011	2.225					1.196	
1.426	0.214	1.731	1.898	1.649	2.010					0.200	
1.279	0.170	1.349	1.383	1.333	1.351					0.203	
1.292	0.223	1.348	1.271	1.426	1.439					0.199	
0.141	0.141	0.134	0.140	0.134	0.131						

Virus: HIV-2

Test Date: 02/26/2014

Sponsor: CJSC Intelpharm

Strain: ROD

Date Read: 03/04/2014

Principle Investigator: T. Hartman

Cells: CEM-SS

Operator: A. Helfrick

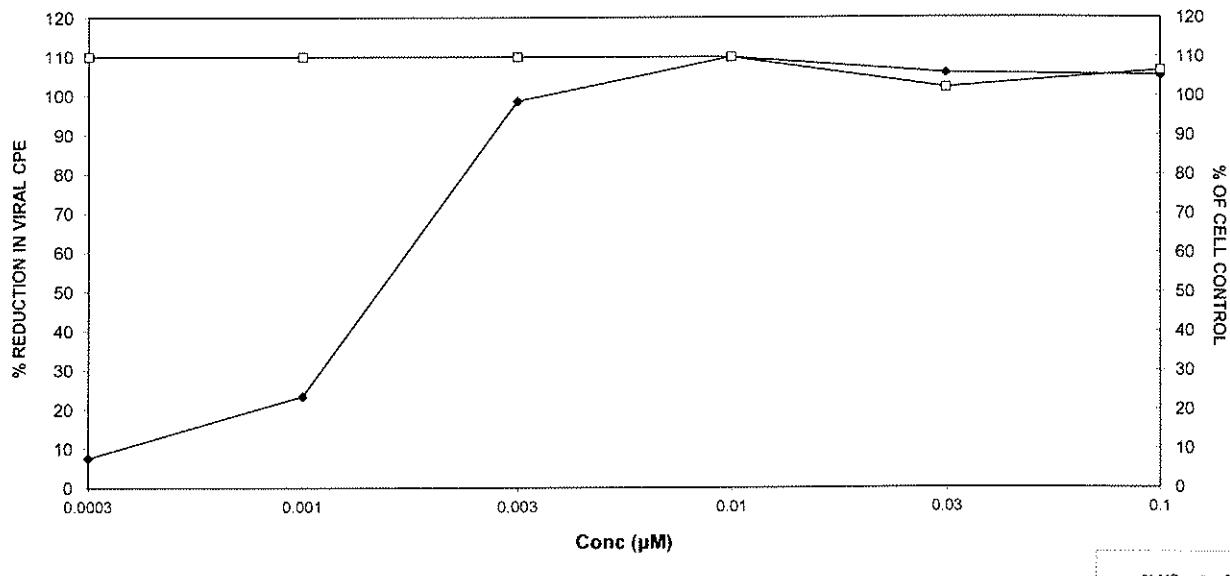
Project #: 306-01-02

Reagent: 0.155
Virus Control: 0.047
Cell Control: 1.149
Differential: 1.103

Conc (µM)	AZT		25%	50%	95%
	TC (µM)	EC (µM)	> 0.1	> 0.1	> 0.1
	Therapeutic Index (TI)		0.001	0.001	0.003
0.0003	0.084	7.58	1.388	110	-0.024
0.001	0.268	23.38	1.431	110	-0.021
0.003	1.089	98.71	1.577	110	-0.015
0.01	1.579	110.00	1.584	110	-0.021
0.03	1.167	105.85	1.174	102	-0.014
0.1	1.160	105.23	1.224	107	-0.014

Conc (µM)	Antiviral Test Values		Cytotoxicity Test Values		Colorimetric Control
	Mean OD 460/650	% Red. In Viral CPE	Mean OD 450/650	% Cell Viability	
0.0003	0.084	7.58	1.388	110	-0.024
0.001	0.268	23.38	1.431	110	-0.021
0.003	1.089	98.71	1.577	110	-0.015
0.01	1.579	110.00	1.584	110	-0.021
0.03	1.167	105.85	1.174	102	-0.014
0.1	1.160	105.23	1.224	107	-0.014

In Vitro Antiviral Results For AZT



In Vitro Antiviral Results For Fullerene PAA

Assay: HIV-2 Cytoprotection (XTT)

Sponsor: CJSC Intelpharm

Concentration

Fullerene PAA (µg/ml)

0.003
0.01
0.03
0.1
0.32
1

Media Control			Plastic Control		
Toxicity Drug 1	Cell Control	Low	Toxicity Drug 1	Low	Cell Control
	Virus Control	Drug 1		Drug 2	Virus Control
High			High		
Color Control Drug 1 (High to Low)			Color Control Drug 2 (High to Low)		

Raw Data Fullerene PAA (µg/ml)

0.167	0.153	0.154	0.154	0.146	0.155	0.051	0.046	0.047	0.046	0.045	0.043
1.459	1.426	1.397	0.214	0.170	0.223	1.284	0.220	0.242	0.253	1.008	1.368
						1.826	0.270	0.281	0.300	1.340	1.284
						1.869	0.389	0.429	0.349	1.196	1.017
						1.982	0.590	0.453	0.350	0.200	1.259
						1.353	1.359	1.269	1.262	0.203	0.898
						1.365	1.311	1.320	1.239	0.199	1.224
						0.135	0.134	0.139	0.135	0.148	0.149

Virus: HIV-2

Test Date: 02/26/2014

Project #: 0.000

Strain: ROD

Date Read: 03/04/2014

Sponsor: 0.000

Cells: CEM-SS

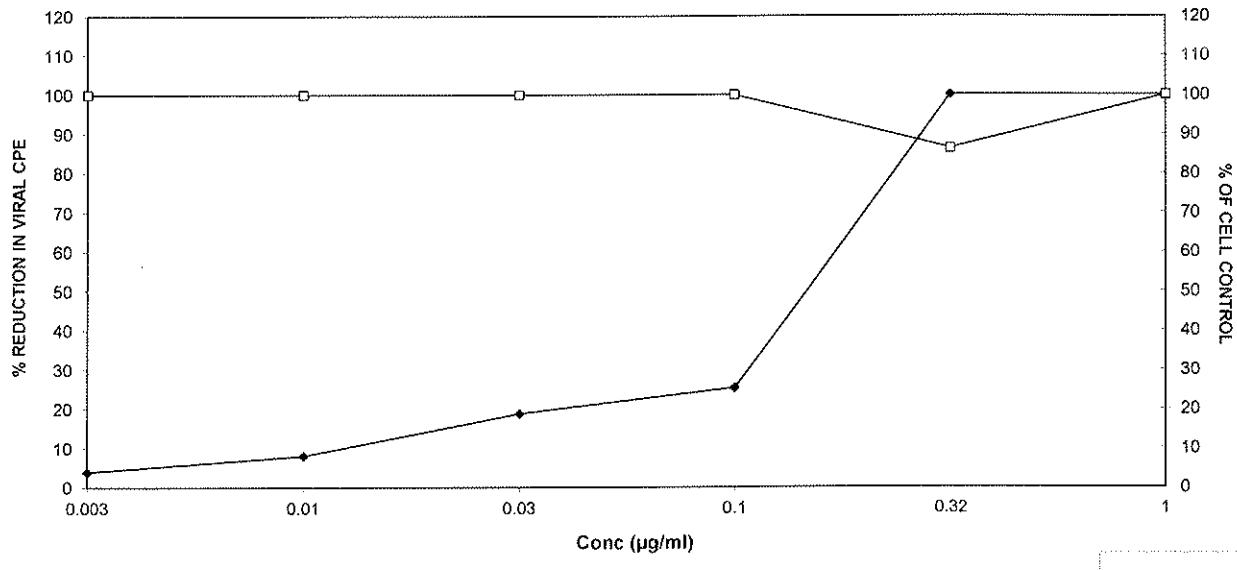
Operator: A. Heifrick

Reagent: 0.155
Virus Control: 0.047
Cell Control: 1.149
Differential: 1.103

Fullerene PAA	25%	50%	95%
	TC (µg/ml)	> 1	> 1
	EC (µg/ml)	0.09	0.15
Therapeutic Index (TI)	> 11.1	> 6.67	> 3.33

Fullerene PAA	Antiviral Test Values		Cytotoxicity Test Values		Colorimetric Control
	Conc (µg/ml)	Mean OD 450/650	% Red. In Viral CPE	Mean OD 450/650	
0.003	0.043	3.92		1.177	100
0.01	0.089	8.08		1.407	100
0.03	0.207	18.81		1.308	100
0.1	0.278	25.31		1.482	100
0.32	1.116	100.00		0.992	86
1	1.109	100.00		1.160	100

In Vitro Antiviral Results For Fullerene PAA



XTT Cytoprotection Assay - Data Template

Assay Type: HIV-2 Cytoprotection

Assay Endpoint:	XTT	Test Set-Up Date:	02/18/2014
Virus:	HIV-2	Date Plate Read:	2/24/2014
Strain:	ROD x=0.4	Technician:	A. Heffrick
Cells:	CEM-SS	PI:	T. Hartman
End Point Antiviral:	OD 450/650	Client:	CJSC Intelepharm
End Point Viability:	OD 450/650	Project #:	306-01-02

Number of Drugs: 2

Drug 1: Name: A2T
High Conc: 0.1
Conc Units: μ M
Dilution Factor: Half-1

Drug 2: Name: Fullerene PAA
High Conc: 100 µg/ml
Conc Units: µg/ml
Dilution Factor: Half-Log

Concentration Verification

Concentration Verification
Conc. 1-6

Note: Discontinuous dilution series can be entered directly

Raw Data

Raw Data:		Color Ctrl	Tox	Bold = HT	CC	VC	CC	VC	Color Ctrl	Tox	Bold = HT
0.147	0.133	0.118	0.117	0.120	0.123	0.052	0.052	0.052	0.053	0.045	0.045
1.786	1.667	0.223	0.261	0.293	1.596	1.661	0.265	1.668	1.552	1.668	1.952
1.709	1.620	0.285	0.311	0.488	1.839	1.767	1.629	1.694	1.638	1.498	1.686
1.704	1.576	0.770	0.544	1.479	1.846	1.873	1.902	1.799	1.577	1.479	1.656
1.732	0.270	1.857	1.917	1.618	1.537	1.788	1.484	1.774	1.571	0.224	1.553
1.784	0.251	1.627	1.610	1.653	1.529	1.559	1.625	1.458	1.445	0.216	1.461
1.772	0.211	1.573	1.531	1.506	1.582	1.191	1.154	1.168	1.080	0.212	1.270
0.143	0.132	0.117	0.110	0.104	0.118	0.277	0.185	0.132	0.121	0.110	0.121

In Vitro Antiviral Results For AZT

Assay: HIV-2 Cytoprotection (XTT)

Sponsor: CJSC Intelpharm

Concentration

AZT (μ M)
0.0003
0.001
0.003
0.01
0.03
0.1

		Media Control			Plastic Control				
Toxicity Drug 1	Cell Control	Low		Toxicity Drug 1	Toxicity Drug 2	Low		Cell Control	Toxicity Drug 2
	Virus Control	Drug 1	High	Drug 2	High	Virus Control			
Color Control: Drug 1 (High to Low)					Color Control: Drug 2 (High to Low)				

Raw Data: AZT (μ M)

0.147	0.133	0.118	0.117	0.120	0.123	0.052	0.052	0.052	0.053	0.045	0.045
1.786	1.667	0.223	0.261	0.293	1.596					1.668	
1.709	1.620	0.285	0.311	0.488	1.839					1.498	
1.704	1.576	0.770	0.544	1.479	1.846					1.479	
1.732	0.270	1.857	1.917	1.618	1.537					0.224	
1.784	0.251	1.627	1.610	1.653	1.529					0.216	
1.772	0.211	1.573	1.531	1.506	1.582					0.212	
0.143	0.132	0.117	0.110	0.104	0.118						

Virus: HIV-2

Test Date: 02/18/2014

Sponsor: CJSC Intelpharm

Strain: ROD x=0.4

Date Read: 02/24/2014

Principle Investigator: T. Hartman

Cells: CEM-SS

Operator: A. Helfrick

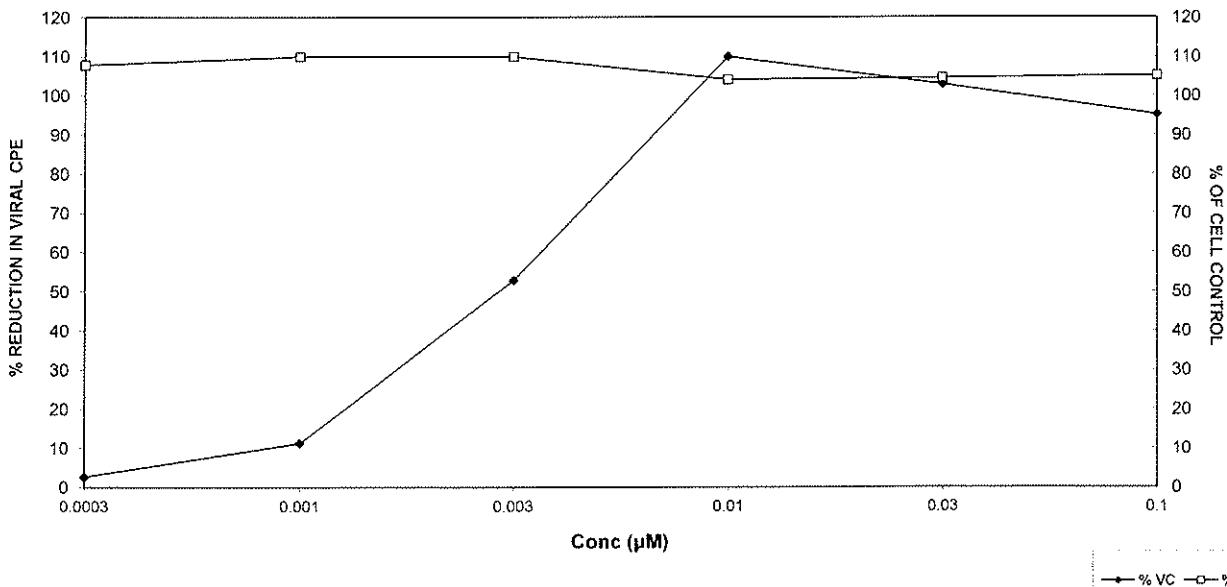
Project #: 306-01-02

Reagent: 0.126
Virus Control: 0.104
Cell Control: 1.459
Differential: 1.354

Conc (μ M)	AZT	25%	50%	95%
	TC (μ M)	> 0.1	> 0.1	> 0.1
0.0003	0.001	0.001	0.003	0.007
0.003	0.716	52.91	1665	110
0.01	1.576	110.00	1.518	104
0.03	1.394	102.91	1.525	105
0.1	1.290	95.24	1.534	105

Conc (μ M)	AZT	Antiviral Test Values		Cytotoxicity Test Values		Colorimetric Control
	Mean OD 450/650	% Red. In Viral CPE	Mean OD 450/650	% Cell Viability		
0.0003	0.036	2.67	1.573	108	-0.008	
0.001	0.153	11.30	1.670	110	-0.023	
0.003	0.716	52.91	1.665	110	-0.016	
0.01	1.576	110.00	1.518	104	-0.009	
0.03	1.394	102.91	1.525	105	0.006	
0.1	1.290	95.24	1.534	105	0.016	

In Vitro Antiviral Results For AZT



In Vitro Antiviral Results For Fullerene PAA

Assay: HIV-2 Cytoprotection (XTT)

Sponsor: CJSC Intelpharm

Concentration

Fullerene PAA ($\mu\text{g/ml}$)
0.32
1
3.16
10
31.6
100

Media Control			Plastic Control		
Toxicity Drug 1	Cell Control	Low	Toxicity Drug 1	Low	Cell Control
	Virus Control	Drug 1		Toxicity Drug 2	Drug 2
High			High		
Color Control Drug 1 (High to Low)			Color Control Drug 2 (High to Low)		

Raw Data Fullerene PAA ($\mu\text{g/ml}$)

0.147	0.133	0.118	0.117	0.120	0.123	0.052	0.052	0.052	0.053	0.045	0.045
1.667						1.661	0.265	1.668	1.552	1.668	1.952
						1.767	1.629	1.694	1.638	1.498	1.686
						1.873	1.902	1.799	1.577	1.479	1.656
						1.788	1.484	1.774	1.571	0.224	1.553
						1.559	1.625	1.458	1.445	0.216	1.461
						1.191	1.154	1.168	1.080	0.212	1.270
						0.277	0.185	0.132	0.121	0.110	0.121

Virus: HIV-2
Strain: ROD x=0.4
Cells: CEM-SS

Test Date: 02/18/2014
Date Read: 02/24/2014
Operator: A. Helfrick

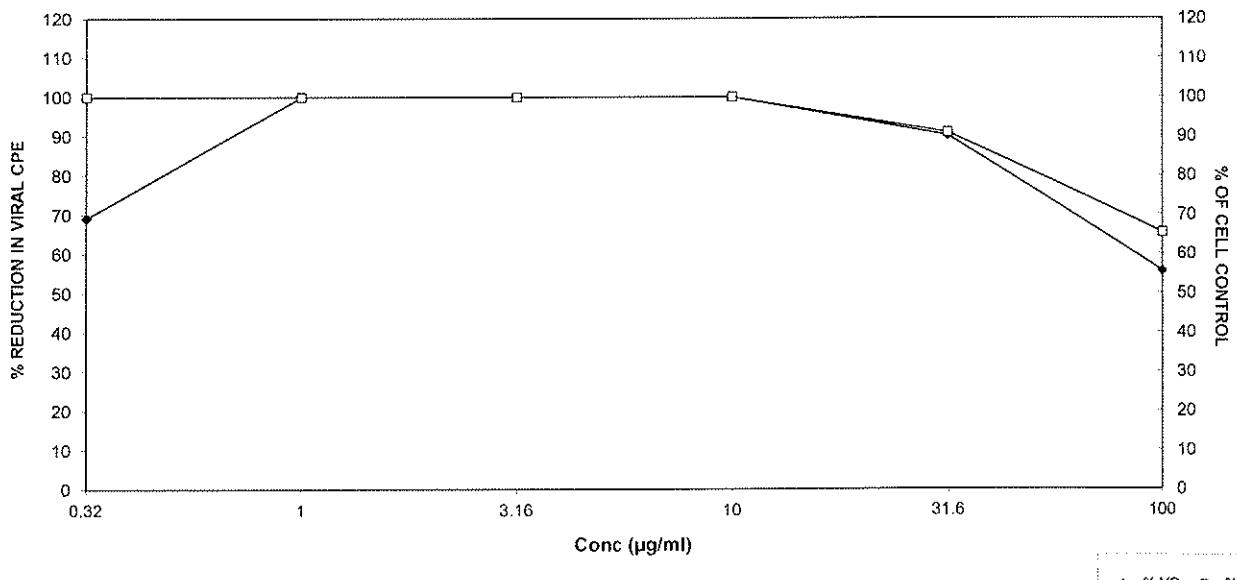
Project #: 0.000
Sponsor: 0.000

Reagent: 0.126
Virus Control: 0.104
Cell Control: 1.459
Differential: 1.354

Fullerene PAA	25%	50%	95%
	TC ($\mu\text{g/ml}$)	64.8	> 100
EC ($\mu\text{g/ml}$)	< 0.32	< 0.32	0.83
Therapeutic Index (TI)	> 203		> 120

Fullerene PAA	Antiviral Test Values		Cytotoxicity Test Values		Colorimetric Control
	Conc ($\mu\text{g/ml}$)	Mean OD 450/650	% Red. In Viral CPE	Mean OD 450/650	
0.32	0.936	69.15		1.686	100
1	1.439	100.00		1.616	100
3.16	1.534	100.00		1.644	100
10	1.374	100.00		1.539	100
31.6	1.220	90.09		1.325	91
100	0.753	55.59		0.954	65

In Vitro Antiviral Results For Fullerene PAA



INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS

BY FPA

Raw Data (FPA)

Conc (µg/ml)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	11162.5	13906.0	10656.0	7811.0	1055.0	554.0	466.0
SAMPLE 2	10844.0	13854.0	10438.0	7448.0	3176.0	520.0	410.0
SAMPLE 3	13031.5	13677.0	12266.0	6705.0	2055.0	366.0	336.0

Conc (µg/ml)	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.2185	2.7399	2.1703	2.5250	2.1363	1.7267	1.7505
SAMPLE 2	1.8423	2.7282	2.0899	2.5461	1.9928	1.7973	1.8690
SAMPLE 3	2.2118	2.7589	2.3185	2.3703	1.9477	1.9701	1.8427

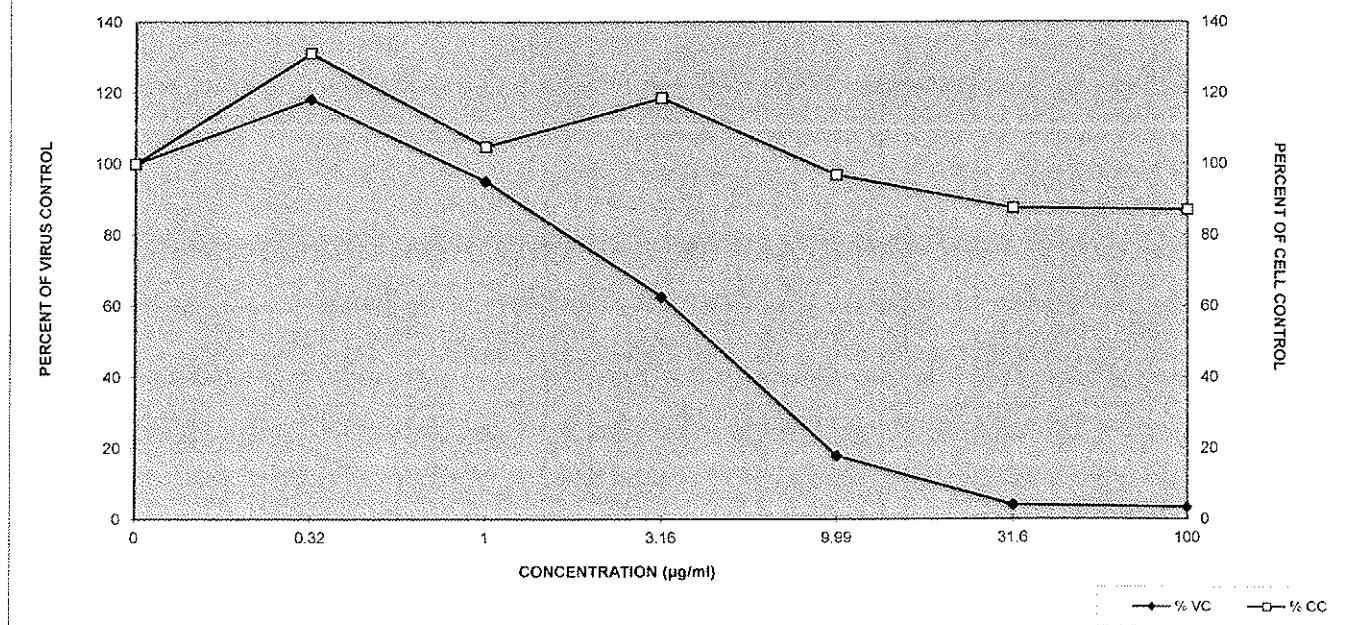
Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 6/25/13
 Strain: 92RW016 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 7/2/13
 Tropism: CCR5 Project #: 306-01-01 Client: CJSR

Antiviral Compound: FPA

EC (µg/ml)	25%	50%	95%	
	TC (µg/ml)	2.04	4.38	29.3
	Therapeutic Index (TI)	>100	>100	>100

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11679.3	1181.7896	100.00	2.091	0.21527629	100.00
0.32	13812.3	120.05138	118.26	2.742	0.01549398	131.16
1	11116.7	992.69398	95.18	2.193	0.11596361	104.88
3.16	7321.3	563.77507	62.69	2.480	0.09598866	118.63
9.99	2095.3	1061.0751	17.94	2.026	0.09960976	96.91
31.6	480.0	100.17984	4.11	1.831	0.12522497	87.59
100	404.0	65.207362	3.46	1.821	0.06222912	87.08

INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μ M)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	19829.5	16404.0	15779.0	12776.0	4183.0	644.0	514.0
SAMPLE 2	17386.0	16159.0	15377.0	10601.0	3955.0	666.0	482.0
SAMPLE 3	20193.0	17973.0	13388.0	10883.0	4295.0	606.0	470.0

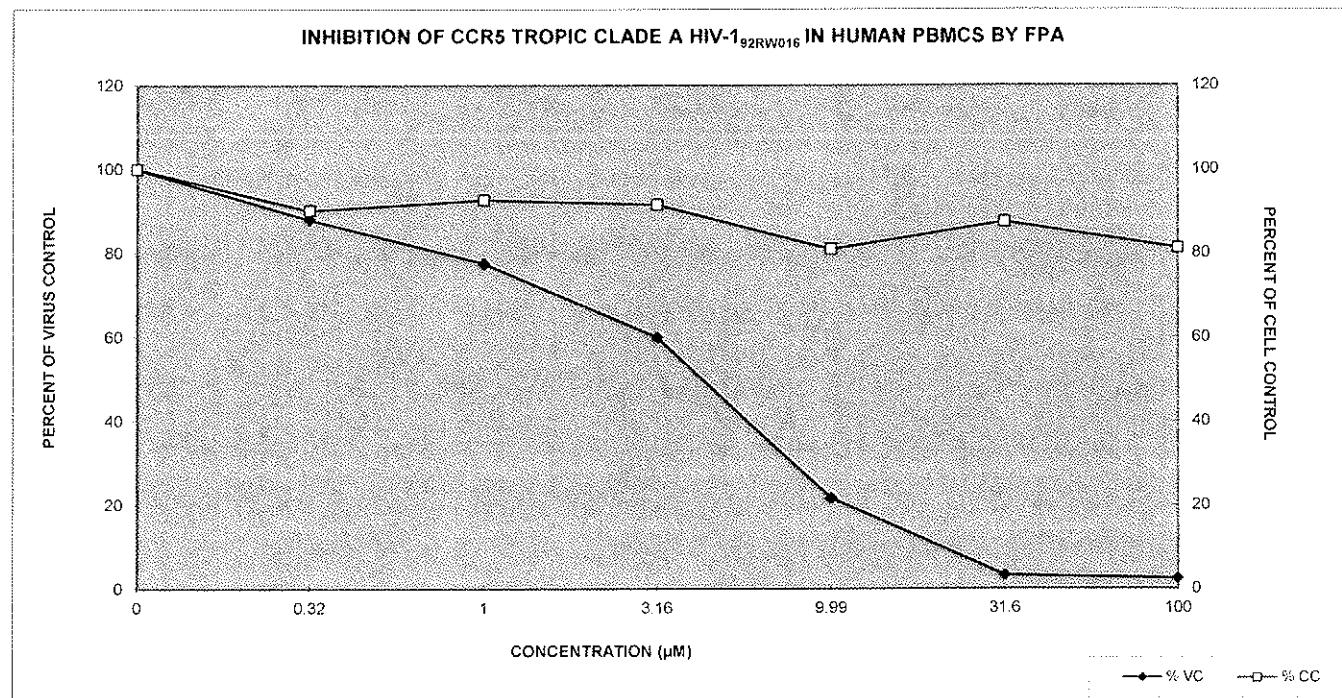
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5059	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/2/03
 Strain: 92RW016 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/9/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μ M)	1.18	4.27	28.5
TC (μ M)	>100	>100	>100
Therapeutic Index (TI)	>84.75	>23.42	>3.51

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	19136.2	1526.54695	100.00	1.701	0.185547	100.00
0.32	16845.3	984.240994	88.03	1.534	0.115631	90.19
1	14848.0	1280.2738	77.59	1.577	0.079459	92.69
3.16	11486.7	1117.3479	60.03	1.559	0.137825	91.61
9.99	4144.3	173.266654	21.66	1.377	0.093094	80.95
31.6	638.7	30.3534732	3.34	1.488	0.113283	87.49
100	488.7	22.7449628	2.55	1.383	0.038519	81.27



INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	11162.5	8115.0	5361.0	2816.0	1061.0	712.0	434.0
SAMPLE 2	10844.0	9047.0	6332.0	3325.0	916.0	724.0	436.0
SAMPLE 3	13031.5	8151.0	5780.0	2876.0	1143.0	628.0	460.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.2185	2.8971	2.0651	2.3076	1.7804	2.0949	1.7505
SAMPLE 2	1.8423	2.6652	2.0317	2.0823	1.7156	2.0949	2.6160
SAMPLE 3	2.2118	2.5591	1.7708	2.2511	1.7004	1.7756	2.3241

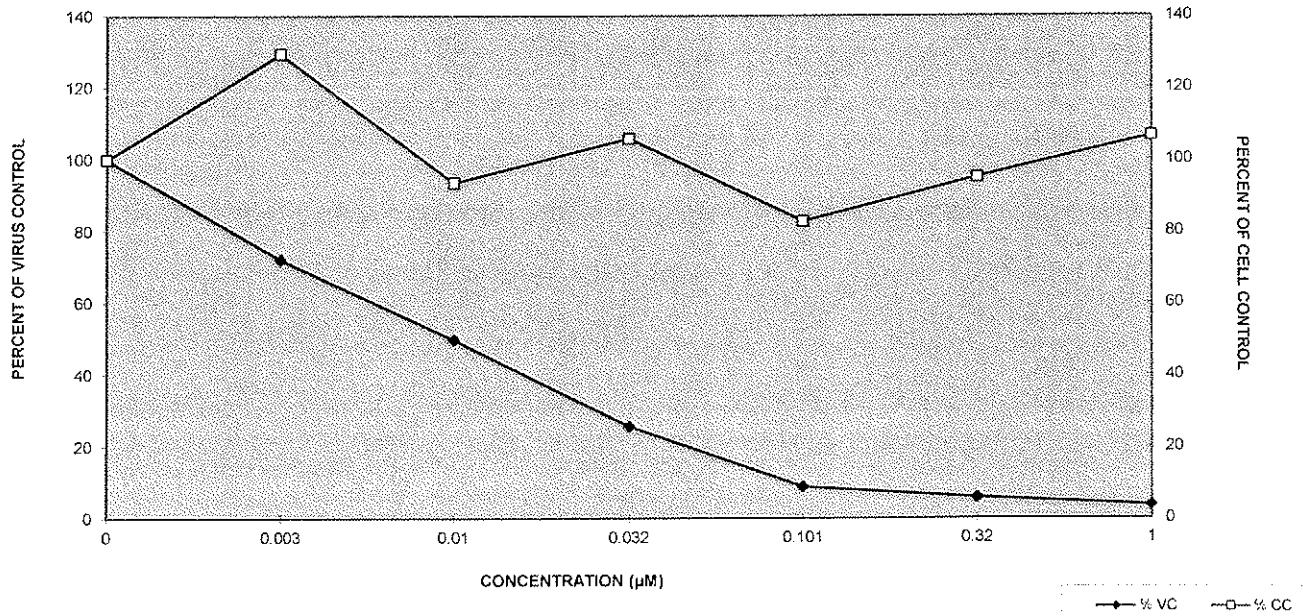
Virus: HIV-1
 Strain: 92RW016
 Tropism: CCR5 Clade: A Cells: HUMAN PBMCS Project #: 306-01-01 Technician: Lu Yang PI: Tracy Hartman Client: CJSC Setup Date: 6/25/13 Read Date: 7/2/13

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	0.00993	0.519
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>100.70	>1.93

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11679.3	1181.78957	100.00	2.091	0.215276	100.00
0.003	8437.7	528.00505	72.24	2.707	0.172858	129.47
0.01	5824.3	487.015742	49.87	1.956	0.16114	93.54
0.032	3005.7	278.173207	25.73	2.214	0.117222	105.87
0.101	1040.0	114.947814	8.90	1.732	0.042485	82.84
0.32	688.0	52.3067873	5.89	1.988	0.184348	95.10
1	443.3	14.4683563	3.80	2.230	0.440342	106.66

INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS BY AZT



**INHIBITION OF CCR5 TROPIC CLADE A HIV-1_{92RW016} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

RT VALUES (CPM)							
Conc (μM)	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	19829.5	12556.0	13660.0	9249.0	8341.0	4480.0	2181.0
SAMPLE 2	17380.0	15565.0	12643.0	11059.0	6937.0	3679.0	1981.0
SAMPLE 3	20193.0	15234.0	11707.0	9727.0	6176.0	4017.0	2227.0

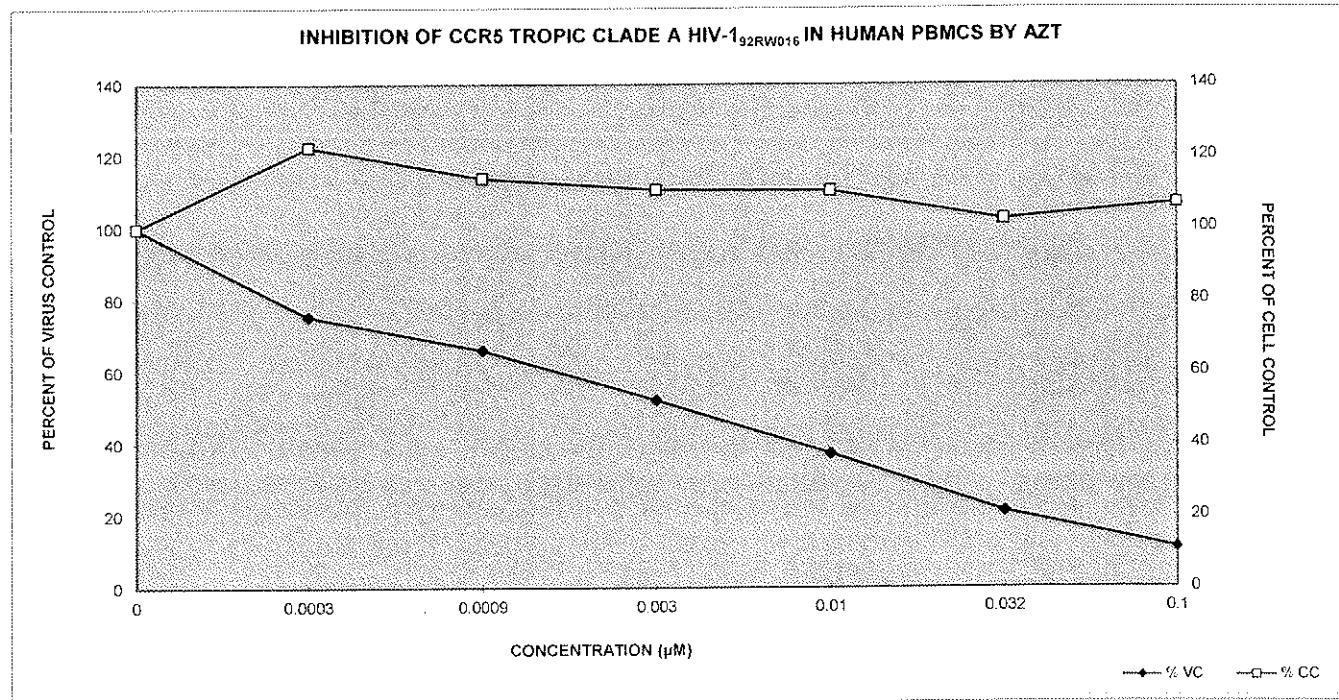
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	1.4995	2.1571	1.6227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.6053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/2/03
 Strain: 92RW016 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/9/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	3.19E-04	0.00362	>0.1
TC (μM)	>0.1	>0.1	>0.1
Therapeutic Index (TI)	>313.48	>27.62	1

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	19136.2	1526.54695	100.00	1.701	0.185547	100.00
0.0003	14451.7	1650.01646	75.52	2.085	0.078573	122.53
0.0009	12670.0	976.779914	66.21	1.938	0.202861	113.91
0.003	10011.7	937.977256	52.32	1.883	0.128468	110.70
0.01	7151.3	1098.29884	37.37	1.878	0.215229	110.38
0.032	4058.7	402.122287	21.21	1.743	0.13487	102.42
0.1	2129.7	130.787359	11.13	1.817	0.107753	106.80



**INHIBITION OF R5X4 TROPIC CLADE A HIV-1_{92RW009} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	10713.5	8206.0	5247.0	3139.0	300.0	166.0	126.0
SAMPLE 2	12798.0	7138.0	6583.0	1691.0	671.0	172.0	126.0
SAMPLE 3	11247.5	6466.0	6921.0	2929.0	436.0	178.0	132.0

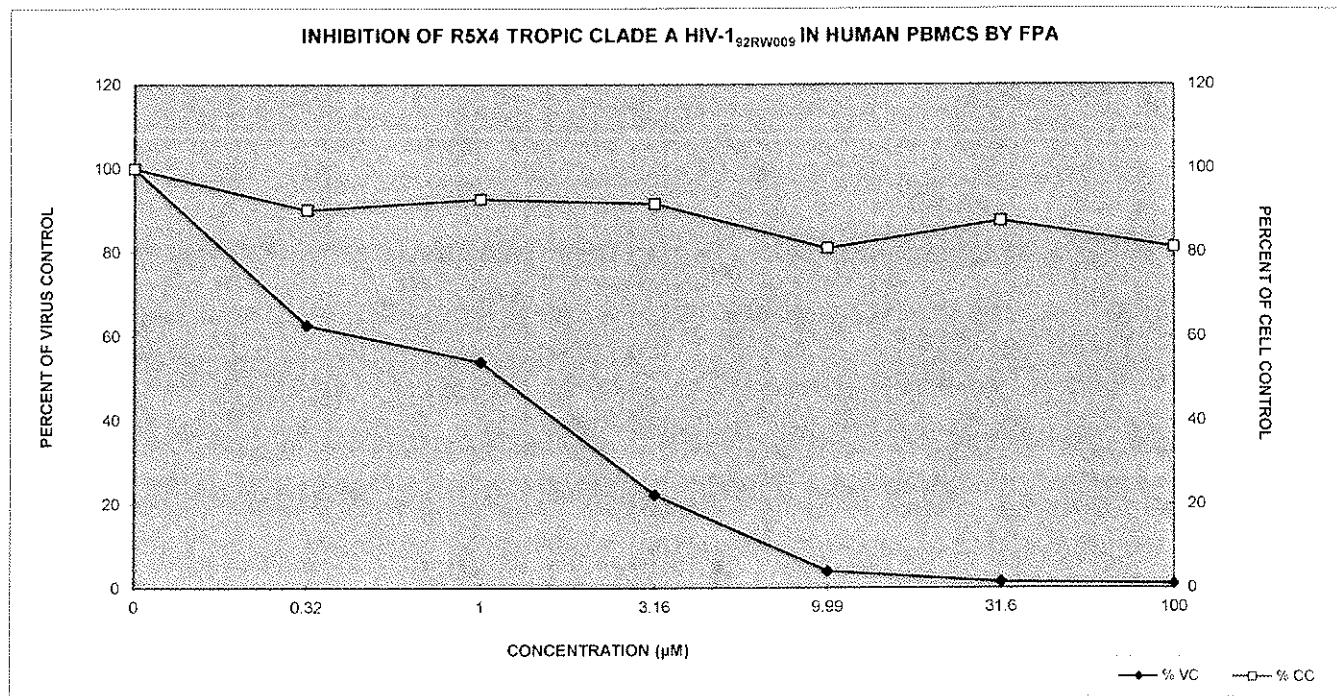
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3658	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5059	1.5050	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92RW009 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	<0.32000	1.15	9.41
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>312.50	>86.96	>10.63

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11586.3	1082.7701	100.00	1.701	0.185547	100.00
0.32	7270.0	877.478205	62.75	1.534	0.115631	90.19
1	6250.3	885.194517	53.95	1.577	0.079459	92.69
3.16	2586.3	782.458519	22.32	1.559	0.137825	91.61
9.99	469.0	187.688572	4.05	1.377	0.093094	80.95
31.6	172.0	6	1.48	1.488	0.113283	87.49
100	128.0	3.46410162	1.10	1.383	0.038519	81.27



**INHIBITION OF R5X4 TROPIC CLADE A HIV-1_{92RW009} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	17002.0	6636.0	4079.0	14559.0	3993.0	6670.0	10658.0	714.0	634.0	440.0
SAMPLE 2	18336.5	5919.0	15598.0	4474.0	44064.0	8147.0	9359.0	6701.0	486.0	470.0
SAMPLE 3	10899.5	11531.0	5615.0	8698.0	27565.0	18707.0	3170.0	566.0	462.0	448.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.759	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.605	1.677	1.539	2.092	2.054

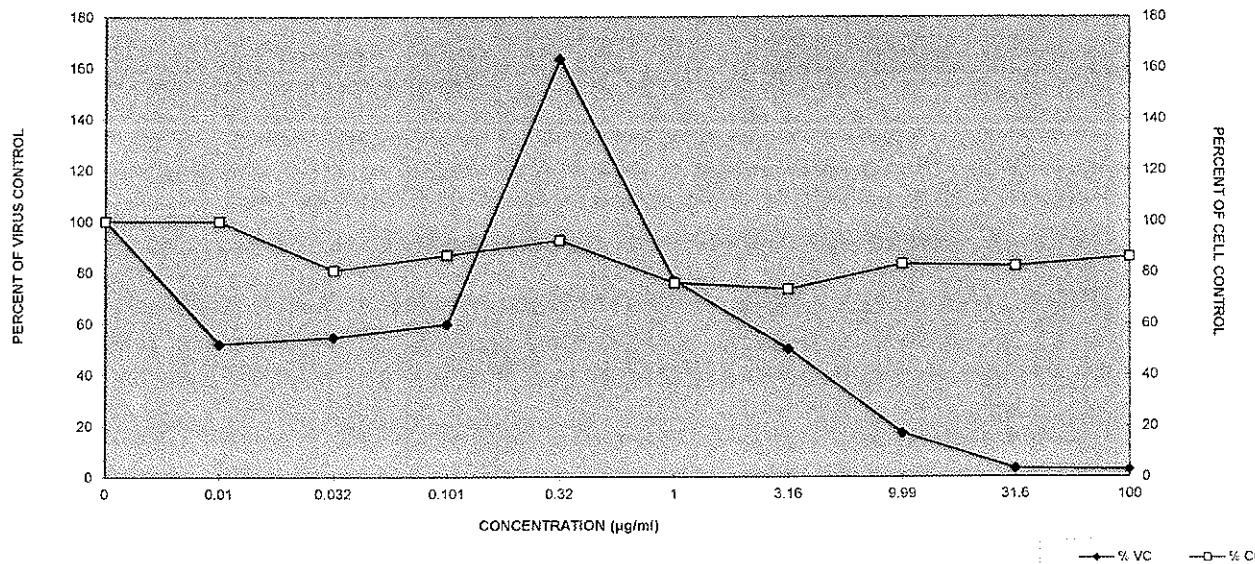
Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92RW009 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: R5X4 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	<0.0100	3.18	27.7
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	>154.00	>31.45	>3.61

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	15412.7	3965.1	100.00	2.267	0.152	100.00
0.01	8029.3	3053.8	52.10	2.267	0.302	99.99
0.032	8430.7	6254.4	54.70	1.834	0.080	80.89
0.101	9243.7	5064.6	59.97	1.971	0.208	86.91
0.32	25207.3	20139.3	163.55	2.100	0.347	92.62
1	11841.3	5951.6	76.83	1.722	0.455	75.94
3.16	7729.0	4001.3	50.15	1.665	0.306	73.43
9.99	2661.0	3499.5	17.27	1.888	0.310	83.26
31.6	528.0	92.7	3.43	1.871	0.266	82.50
100	452.7	15.5	2.94	1.954	0.161	86.17

INHIBITION OF R5X4 TROPIC CLADE A HIV-1_{92RW009} IN HUMAN PBMC'S BY FPA



**INHIBITION OF R5X4 TROPIC CLADE A HIV-1_{92RW009} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	10713.5	9566.0	4032.0	1189.0	466.0	302.0	192.0
SAMPLE 2	12788.0	7237.0	4817.0	2338.0	336.0	300.0	266.0
SAMPLE 3	11247.5	7940.0	3477.0	3433.0	460.0	290.0	152.0

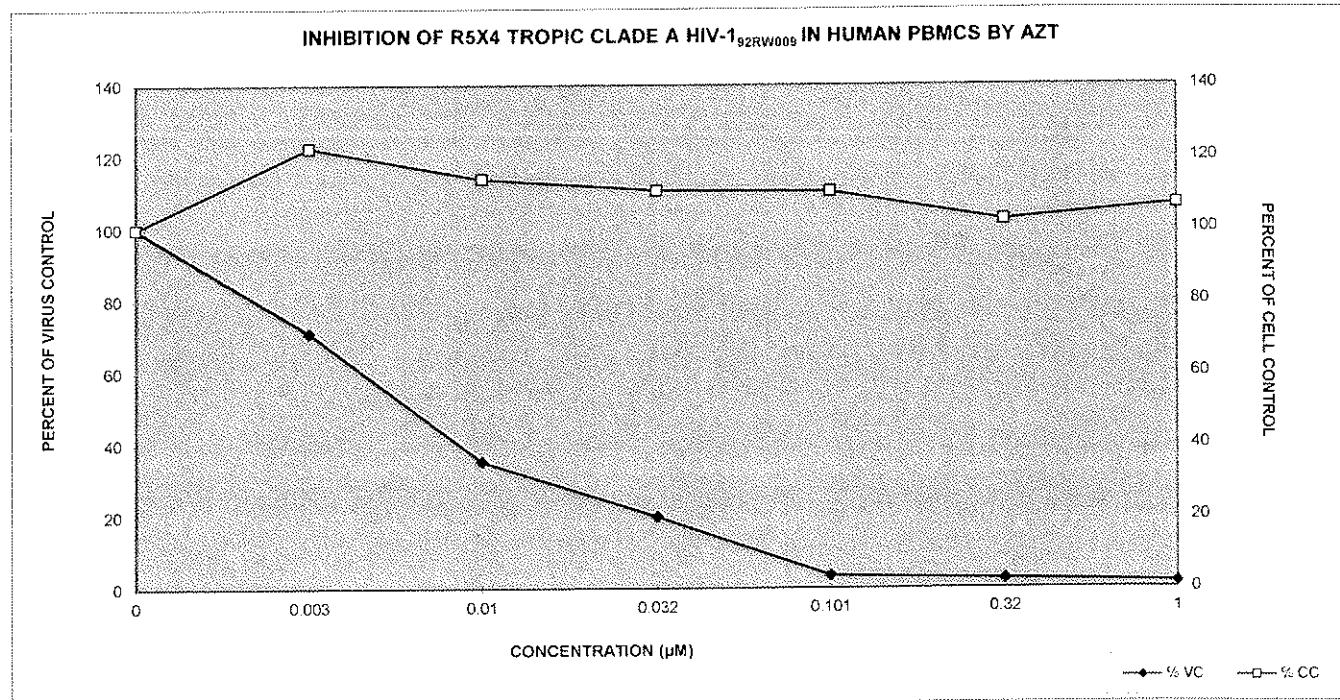
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92RW009 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	0.00613	0.0918
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>163.13	>10.89

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11586.3	1082.7701	100.00	1.701	0.185547	100.00
0.003	8247.7	1194.59379	71.18	2.085	0.078573	122.53
0.01	4108.7	673.281764	35.46	1.938	0.202861	113.91
0.032	2320.0	1122.10828	20.02	1.883	0.128468	110.70
0.101	420.7	73.3848304	3.63	1.878	0.215229	110.38
0.32	297.3	6.42910051	2.57	1.743	0.13487	102.42
1	203.3	57.8388566	1.75	1.817	0.107753	106.80



INHIBITION OF R5X4 TROPIC CLADE A HIV-1_{92RW009} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	17002.0	6242.0	11066.0	4854.0	5112.0	2626.0	654.0	438.0	606.0	502.0
SAMPLE 2	18336.5	5742.0	2616.0	21501.0	1895.0	1217.0	1193.0	530.0	520.0	504.0
SAMPLE 3	10899.5	13814.0	17293.0	5695.0	8561.0	1137.0	1299.0	1479.0	496.0	604.0

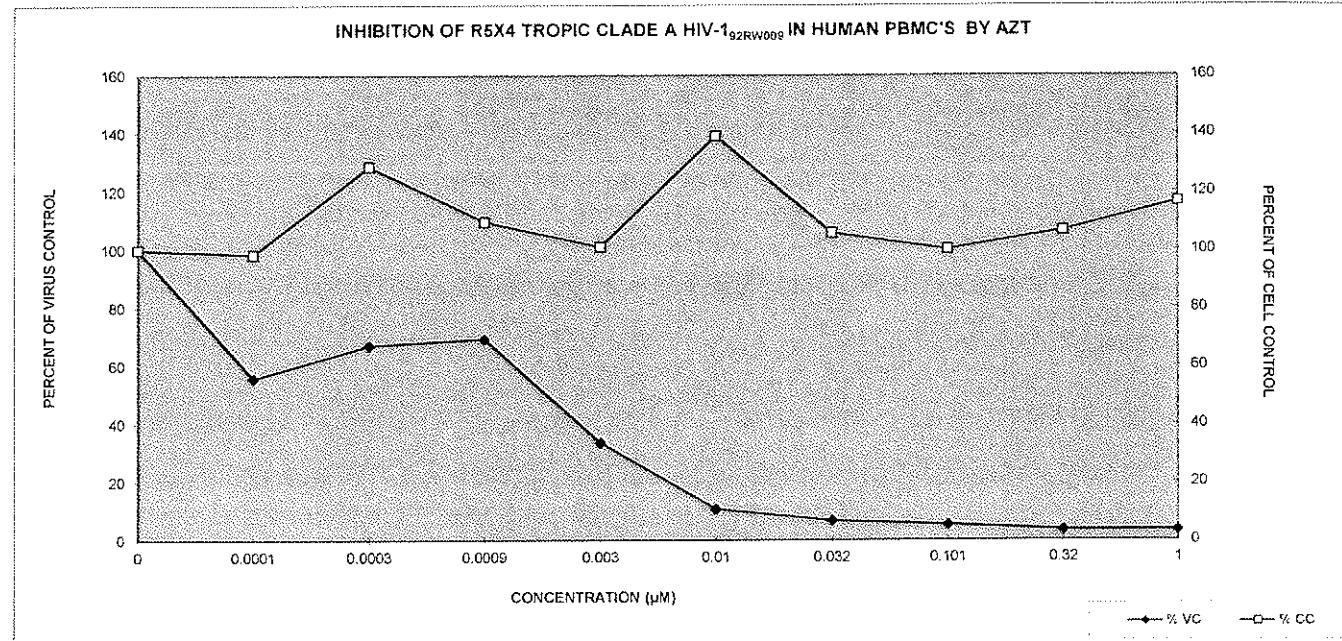
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.236	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.165	3.036	2.344	2.297	2.631	2.220

Virus: HIV-1
 Strain: 92RW009
 Tropism: R5X4
 Clade: A
 Cells: HUMAN PBMC'S
 Project #: 306
 Technician: Lu Yang
 PI: Tracy Hartman
 Client: CISC
 Setup Date: 6/10/14
 Read Date: 6/17/14

Test Compound: AZT

EC (μM) TC (μM) Therapeutic Index (TI)	25%	50%	95%
	<0.0001	0.00173	0.122
	>1.0	>1.0	>1.0

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	15412.7	3965.1	100.00	2.267	0.152	100.00
0.0001	8599.3	4522.9	55.79	2.230	0.400	98.35
0.0003	10331.7	7367.5	67.03	2.916	0.616	128.60
0.0009	10683.3	9377.8	69.32	2.484	0.387	109.56
0.003	5189.3	3333.7	33.67	2.290	0.331	101.01
0.01	1660.0	837.5	10.77	3.155	0.416	139.15
0.032	1048.7	345.9	6.80	2.397	0.274	105.70
0.101	815.7	576.3	5.29	2.269	0.385	100.07
0.32	540.7	57.8	3.51	2.416	0.360	106.56
1	536.7	58.3	3.48	2.645	0.637	116.65



**INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
	SAMPLE 1	7325.5	7315.0	6017.0	182.0	140.0	134.0
SAMPLE 2	5279.0	3348.0	3434.0	166.0	138.0	116.0	138.0
SAMPLE 3	7718.5	3104.0	5927.0	176.0	152.0	98.0	134.0

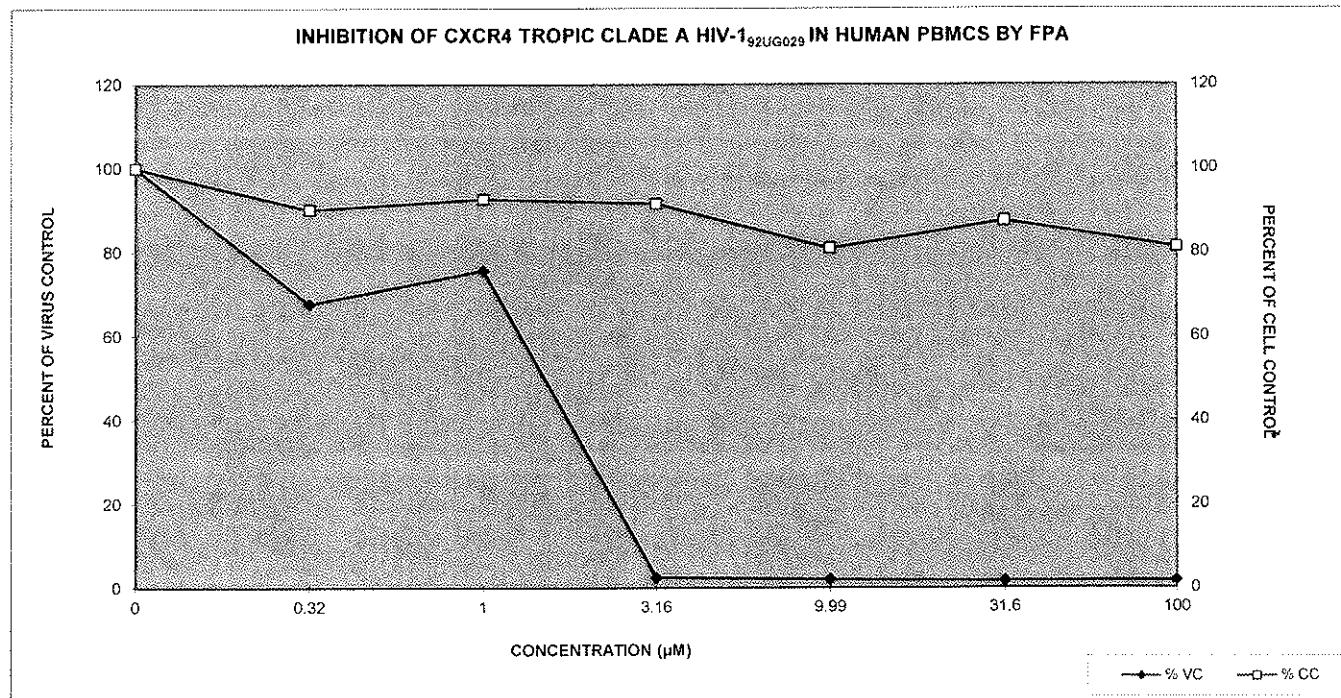
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92UG029 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	<0.32000	1.50	3.04
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>312.50	>66.67	>32.89

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6774.3	1309.82006	100.00	1.701	0.185547	100.00
0.32	4589.0	2363.93549	67.74	1.534	0.115631	90.19
1	5126.0	1466.0058	75.67	1.577	0.079459	92.69
3.16	174.7	8.08290377	2.58	1.559	0.137825	91.61
9.99	143.3	7.57187779	2.12	1.377	0.093094	80.95
31.6	116.0	18	1.71	1.488	0.113283	87.49
100	126.0	17.4355958	1.86	1.383	0.038519	81.27



**INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	18551.5	19775.0	18565.0	15823.0	10534.0	11534.0	5008.0	306.0	300.0	296.0
SAMPLE 2	20667.0	17917.0	14702.0	18716.0	15466.0	7862.0	9890.0	342.0	242.0	330.0
SAMPLE 3	22662.5	13342.0	13071.0	15988.0	22036.0	10437.0	1891.0	274.0	284.0	308.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

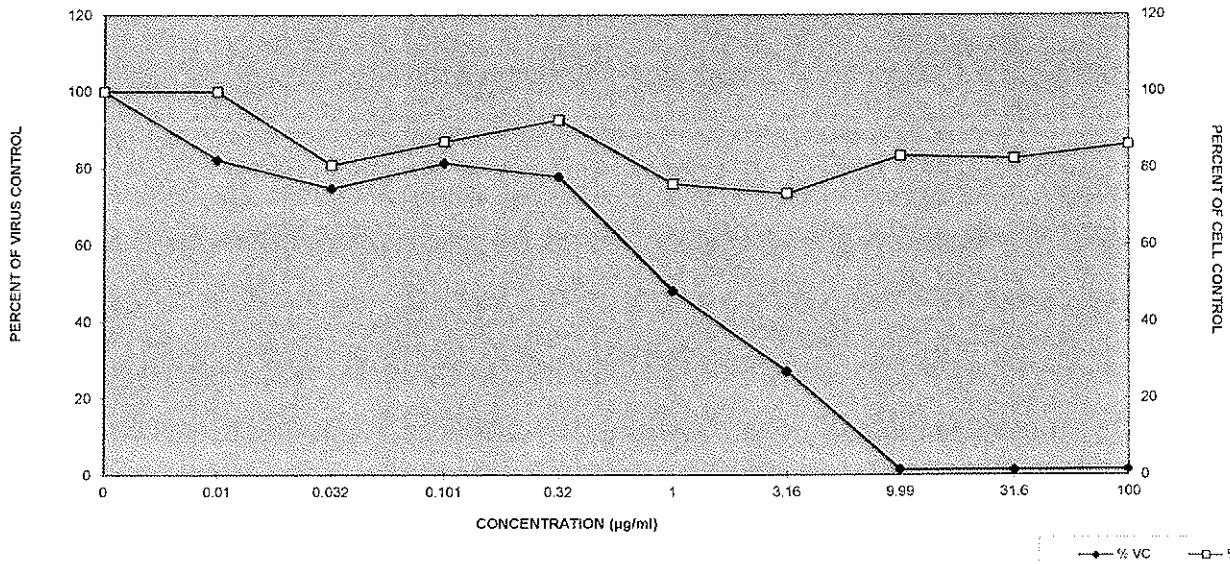
Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92UG029 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	0.0310	0.929	8.53
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	49.68	>107.64	>11.72

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	20693.7	2061.0	100.00	2.267	0.152	100.00
0.01	17011.3	3310.7	82.21	2.267	0.302	99.99
0.032	15479.7	2877.4	74.80	1.834	0.080	80.89
0.101	16842.3	1624.7	81.39	1.971	0.208	86.91
0.32	16112.0	5628.9	77.86	2.100	0.347	92.62
1	9951.0	1873.9	48.09	1.722	0.455	75.94
3.16	5596.3	4031.8	27.04	1.665	0.306	73.43
9.99	308.0	34.0	1.49	1.888	0.310	83.26
31.6	275.3	30.0	1.33	1.871	0.266	82.50
100	311.3	17.2	1.50	1.954	0.161	86.17

INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	7325.5	4449.0	2477.0	1331.0	900.0	164.0	172.0
SAMPLE 2	5279.0	4354.0	3439.0	378.0	352.0	168.0	152.0
SAMPLE 3	7718.5	7516.0	4610.0	927.0	520.0	152.0	142.0

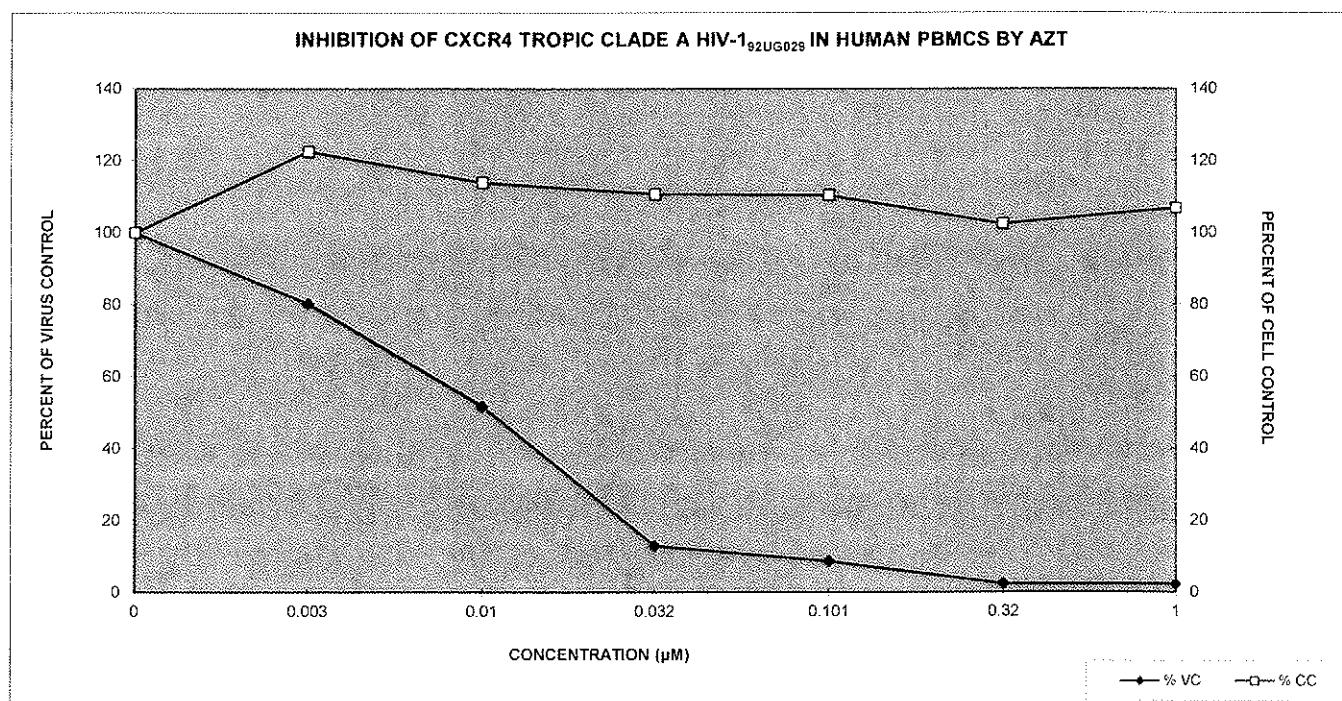
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364

Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92UG029 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00375	0.0106	0.199
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>266.67	>94.34	>5.03

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6774.3	1309.82006	100.00	1.701	0.185547	100.00
0.003	5439.7	1798.78468	80.30	2.085	0.078573	122.53
0.01	3508.7	1068.20519	51.79	1.938	0.202861	113.91
0.032	878.7	478.334959	12.97	1.883	0.128468	110.70
0.101	590.7	280.751373	8.72	1.878	0.215229	110.38
0.32	161.3	8.326664	2.38	1.743	0.13487	102.42
1	155.3	15.2752523	2.29	1.817	0.107753	106.80



INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	16551.5	14991.0	17565.0	16685.0	12685.0	7752.0	1507.0	532.0	526.0	326.0
SAMPLE 2	20867.0	17039.0	15735.0	14680.0	12197.0	8602.0	2670.0	598.0	332.0	270.0
SAMPLE 3	22662.5	22822.0	18622.0	19294.0	17977.0	16562.0	2908.0	636.0	634.0	392.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.512	2.693	1.871	2.238	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.616	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

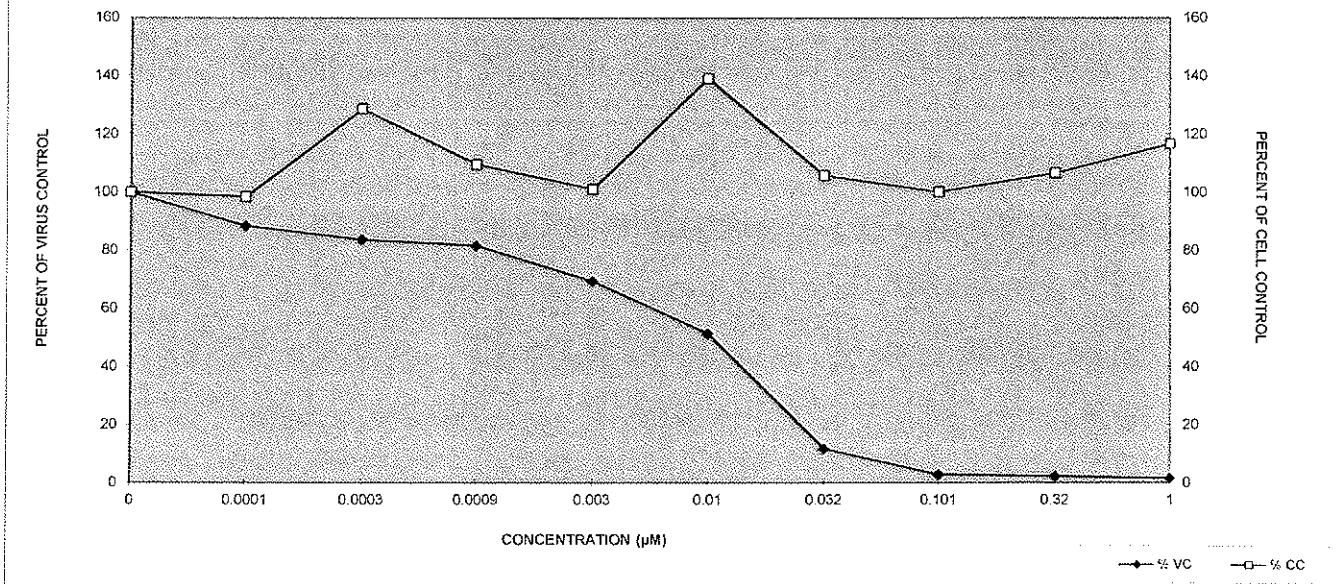
Virus: HIV-1 Clade: A Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92UG029 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: AZT

EC (μM)	25%		
	50%		
	95%		
TC (μM)	0.00172	0.0104	0.0765
>1.0	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>581.40	>96.15	>13.07

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	20693.7	2061.0	100.00	2.267	0.152	100.00
0.0001	18284.0	4061.2	88.36	2.230	0.400	98.35
0.0003	17314.0	1462.5	83.67	2.916	0.616	128.60
0.0009	16886.3	2313.6	81.60	2.484	0.387	109.56
0.003	14353.0	3157.3	69.36	2.290	0.331	101.01
0.01	10638.7	4284.9	51.41	3.155	0.416	139.15
0.032	2428.3	798.1	11.73	2.397	0.274	105.70
0.101	589.3	53.5	2.85	2.269	0.385	100.07
0.32	464.0	114.4	2.24	2.416	0.360	106.56
1	330.0	61.0	1.59	2.645	0.637	116.65

INHIBITION OF CXCR4 TROPIC CLADE A HIV-1_{92UG029} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μ M)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	6976.0	6913.0	9842.0	3356.0	946.0	854.0	196.0
SAMPLE 2	4602.5	5955.0	7890.0	1951.0	1807.0	1521.0	220.0
SAMPLE 3	10984.0	11102.0	7207.0	2396.0	796.0	274.0	172.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

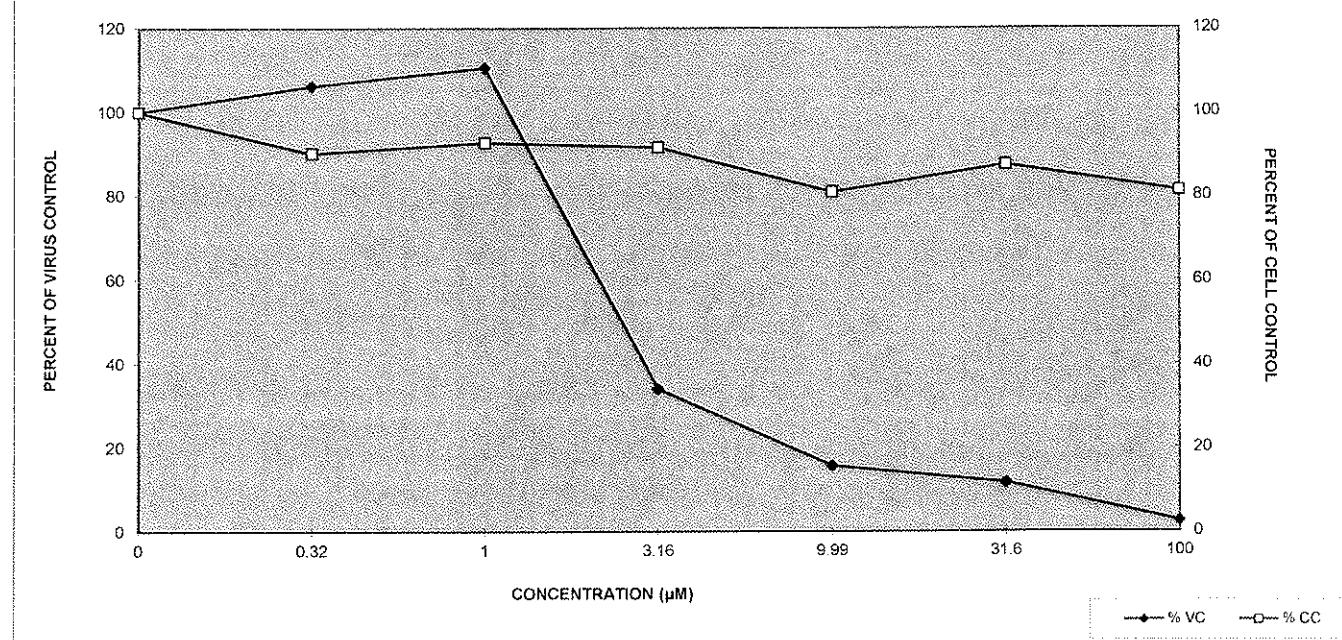
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92US727 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μ M)	1.71	2.49	73.9
TC (μ M)	>100	>100	>100
Therapeutic Index (TI)	>58.48	>40.16	>1.35

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	7520.8	3225.44851	100.00	1.701	0.185547	100.00
0.32	7990.0	2737.30689	106.24	1.534	0.115631	90.19
1	8313.0	1367.48053	110.53	1.577	0.079459	92.69
3.16	2567.7	718.058726	34.14	1.559	0.137825	91.61
9.99	1183.0	545.579508	15.73	1.377	0.093094	80.95
31.6	883.0	624.005609	11.74	1.488	0.113283	87.49
100	196.0	24	2.61	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	16316.5	29445.0	36735.0	17263.0	44903.0	13636.0	17261.0	9051.0	5359.0	624.0
SAMPLE 2	21772.5	21625.0	19071.0	25334.0	38417.0	36261.0	11027.0	6089.0	5857.0	1663.0
SAMPLE 3	36721.5	18720.0	37080.0	35145.0	28184.0	30466.0	27675.0	12500.0	2546.0	890.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.966	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

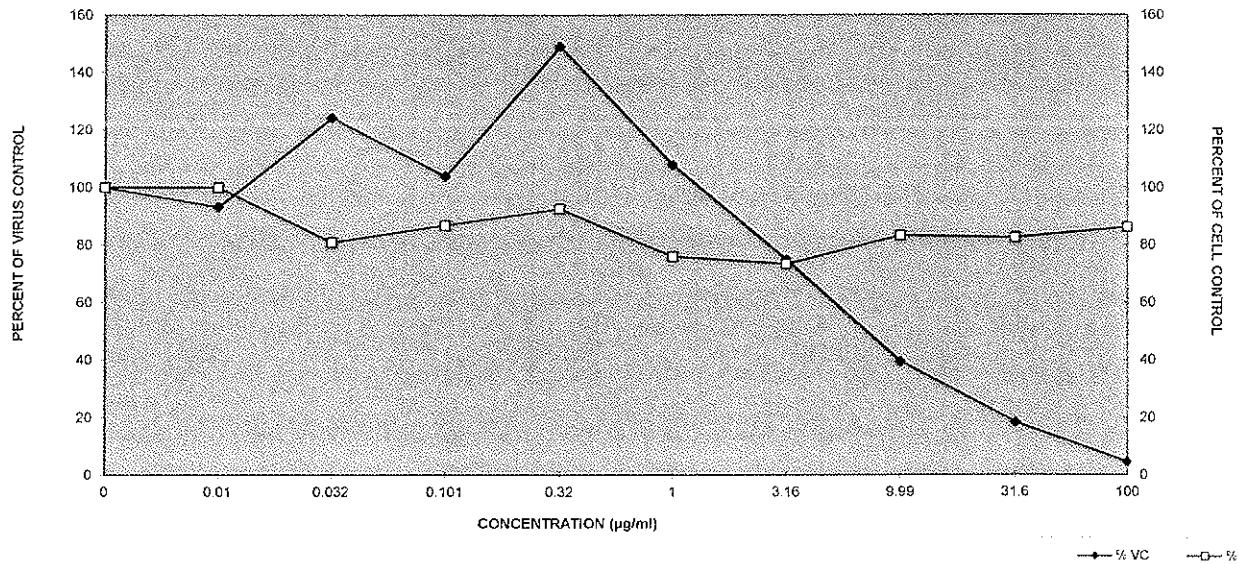
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92US727 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	3.14	7.12	97.1
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.49	>14.04	>1.03

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	24937.5	10563.3	100.00	2.267	0.152	100.00
0.01	23263.3	5547.0	93.29	2.267	0.302	99.99
0.032	30962.0	10299.4	124.16	1.834	0.080	80.89
0.101	25914.0	8955.1	103.92	1.971	0.208	86.91
0.32	37168.0	8429.2	149.04	2.100	0.347	92.62
1	26901.7	11594.7	107.88	1.722	0.455	75.94
3.16	18661.0	8409.4	74.83	1.665	0.306	73.43
9.99	9880.0	2319.4	39.62	1.888	0.310	83.26
31.6	4587.3	1785.3	18.40	1.871	0.266	82.50
100	1159.0	708.9	4.65	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	6976.0	2694.0	716.0	232.0	94.0	124.0	100.0
SAMPLE 2	4602.5	5503.0	806.0	166.0	158.0	104.0	128.0
SAMPLE 3	10984.0	3008.0	887.0	166.0	122.0	130.0	136.0

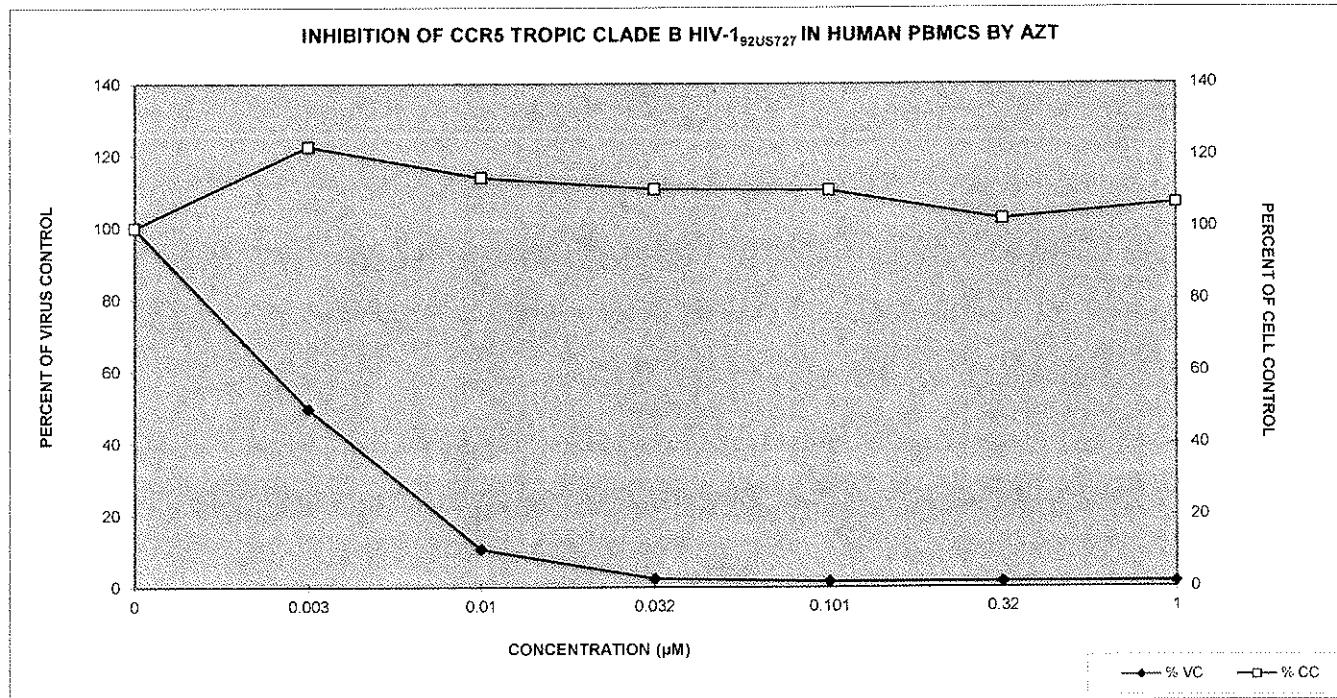
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8181
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92US727 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	<0.00300	0.0224
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>333.33	>44.64

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	7520.8	3225.44851	100.00	1.701	0.185547	100.00
0.003	3735.0	1539.16114	49.66	2.085	0.078573	122.53
0.01	803.0	85.5394646	10.68	1.938	0.202861	113.91
0.032	188.0	38.1051178	2.50	1.883	0.128468	110.70
0.101	124.7	32.0832251	1.66	1.878	0.215229	110.38
0.32	119.3	13.6137186	1.59	1.743	0.13487	102.42
1	121.3	18.9032625	1.61	1.817	0.107753	106.80



**INHIBITION OF CCR5 TROPIC CLADE B HIV-1_{92US727} IN HUMAN PBMC'S
BY AZT**

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	16318.5	19785.0	33137.0	8786.0	5072.0	2400.0	1637.0	715.0	604.0	414.0
SAMPLE 2	21772.5	14156.0	5856.0	7502.0	8596.0	2522.0	991.0	710.0	458.0	340.0
SAMPLE 3	36721.5	34210.0	41493.0	21028.0	11676.0	1977.0	722.0	502.0	392.0	366.0

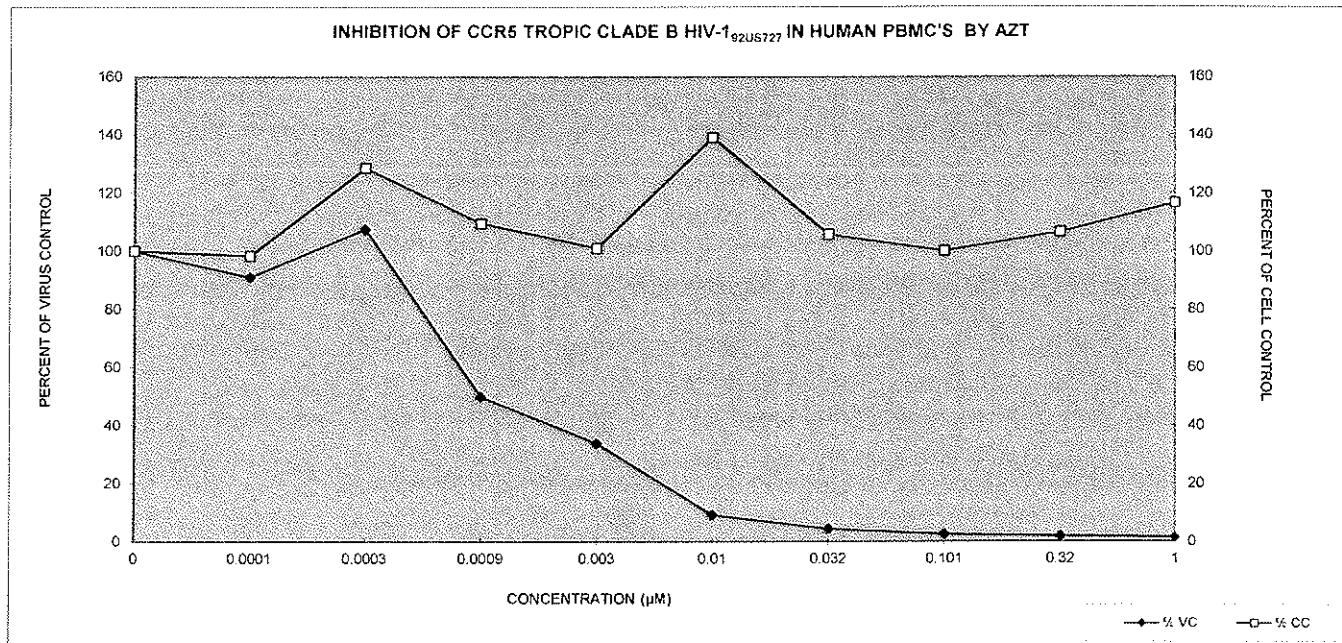
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.693	1.871	2.236	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.638	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92US727 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	5.58E-04	8.98E-04	0.0282
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>1792.11	>1113.59	>35.46

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	24937.5	10563.3	100.00	2.267	0.152	100.00
0.0001	22716.7	10343.9	91.09	2.230	0.400	98.35
0.0003	26828.7	18637.2	107.58	2.916	0.616	128.60
0.0009	12438.7	7466.2	49.88	2.484	0.387	109.56
0.003	8448.0	3304.5	33.88	2.290	0.331	101.01
0.01	2299.7	286.0	9.22	3.155	0.416	139.15
0.032	1116.7	470.3	4.48	2.397	0.274	105.70
0.101	643.3	122.5	2.58	2.269	0.385	100.07
0.32	484.7	108.5	1.94	2.416	0.360	106.56
1	380.0	37.4	1.52	2.645	0.637	116.65



**INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	17007.5	14727.0	13179.0	6094.0	5439.0	174.0	170.0
SAMPLE 2	17688.5	13757.0	11270.0	7719.0	7052.0	204.0	208.0
SAMPLE 3	17577.0	17576.0	11438.0	9580.0	7012.0	246.0	200.0

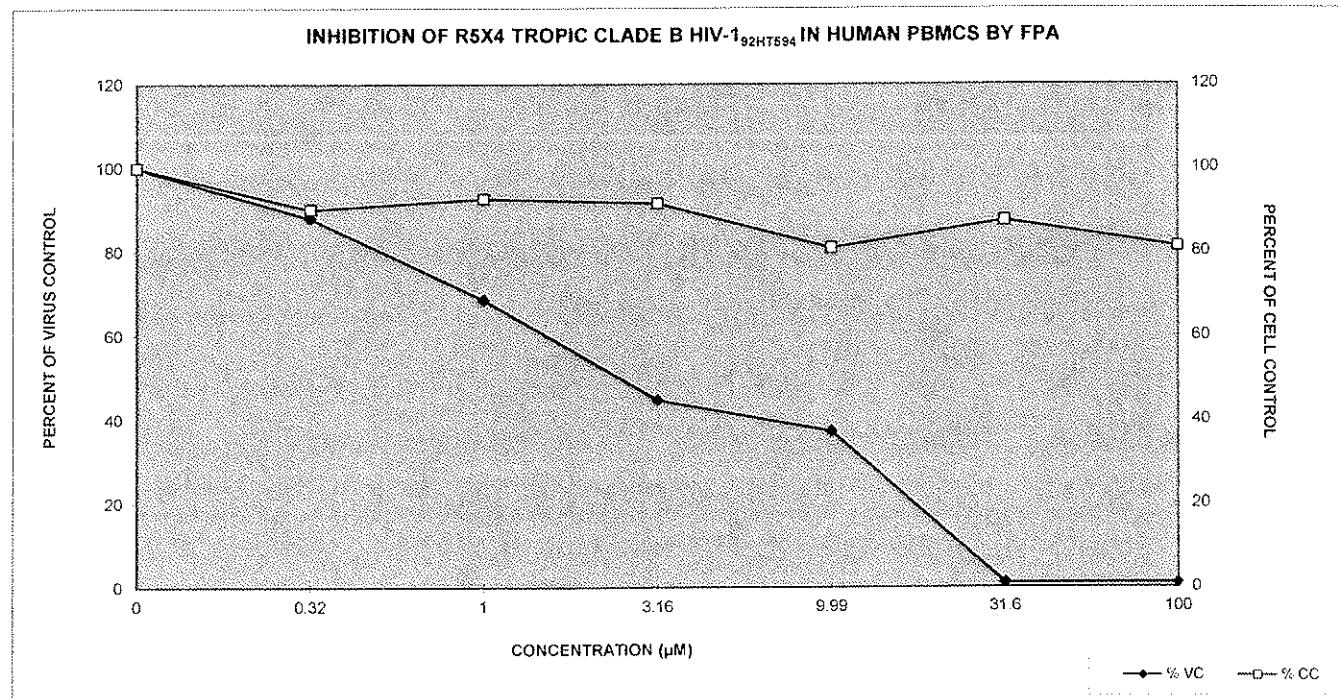
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92HT594 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.690	2.45	28.0
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>144.93	>40.82	>3.57

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	17424.3	365.267824	100.00	1.701	0.185547	100.00
0.32	15353.3	1985.04668	88.11	1.534	0.115631	90.19
1	11962.3	1057.00725	68.65	1.577	0.079459	92.69
3.16	7797.7	1744.33091	44.75	1.559	0.137825	91.61
9.99	6501.0	919.936411	37.31	1.377	0.093094	80.95
31.6	208.0	36.1662826	1.19	1.488	0.113283	87.49
100	192.7	20.0333056	1.11	1.383	0.038519	81.27



**INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	15024.0	35955.0	28071.0	33869.0	25905.0	32015.0	16011.0	6605.0	628.0	604.0
SAMPLE 2	32034.0	16999.0	21540.0	19022.0	18032.0	18997.0	19769.0	1063.0	556.0	608.0
SAMPLE 3	18163.0	21015.0	55949.0	35356.0	24773.0	29524.0	1795.0	971.0	572.0	610.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.263	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.064

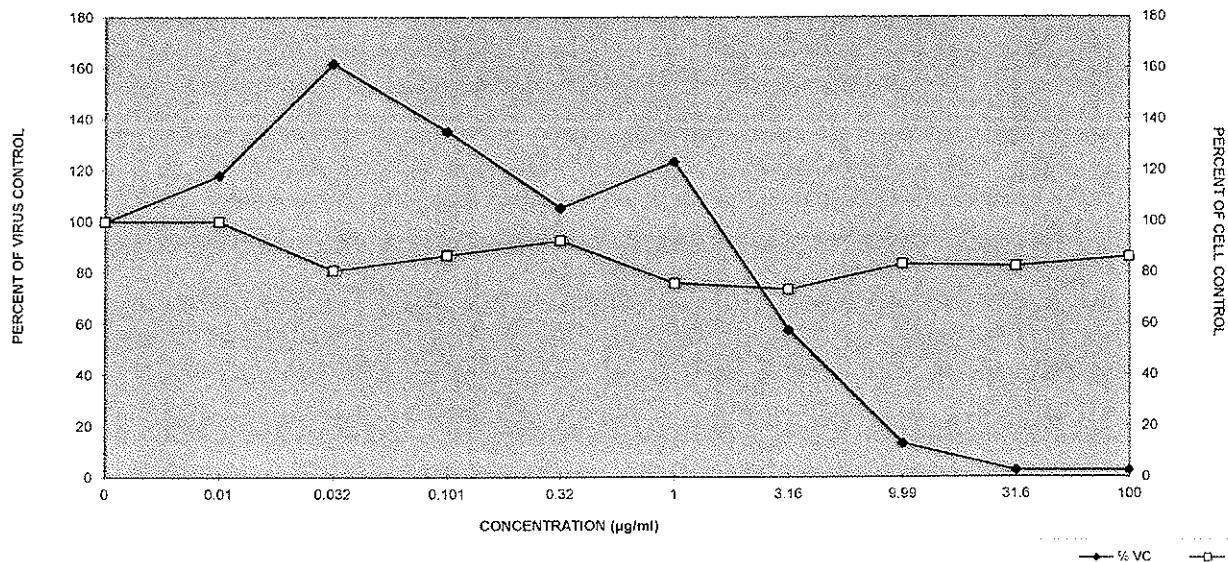
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT594 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: RSX4 Project #: 306 Client: CJS/C

Test Compound: FPA

	25%	50%	95%
EC (μM)	2.33	3.85	24.6
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.66	>25.97	>4.07

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	21740.3	9051.7	100.00	2.267	0.152	100.00
0.01	25657.3	8935.1	118.02	2.267	0.302	99.99
0.032	35186.7	18274.8	161.85	1.834	0.080	80.89
0.101	29416.3	9032.5	135.31	1.971	0.208	86.91
0.32	22903.3	4256.5	105.35	2.100	0.347	92.62
1	26845.3	6910.0	123.48	1.722	0.455	75.94
3.16	12525.0	9480.5	57.61	1.665	0.306	73.43
9.99	2886.3	3220.9	13.28	1.888	0.310	83.26
31.6	585.3	37.8	2.69	1.871	0.266	82.50
100	607.3	3.1	2.79	1.954	0.161	86.17

INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMC'S BY FPA



**INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

RT VALUES (CPM)							
Conc (µM)	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	17007.5	12964.0	7736.0	2532.0	334.0	208.0	200.0
SAMPLE 2	17688.5	11330.0	3948.0	1101.0	246.0	272.0	256.0
SAMPLE 3	17577.0	14093.0	8003.0	703.0	771.0	282.0	278.0

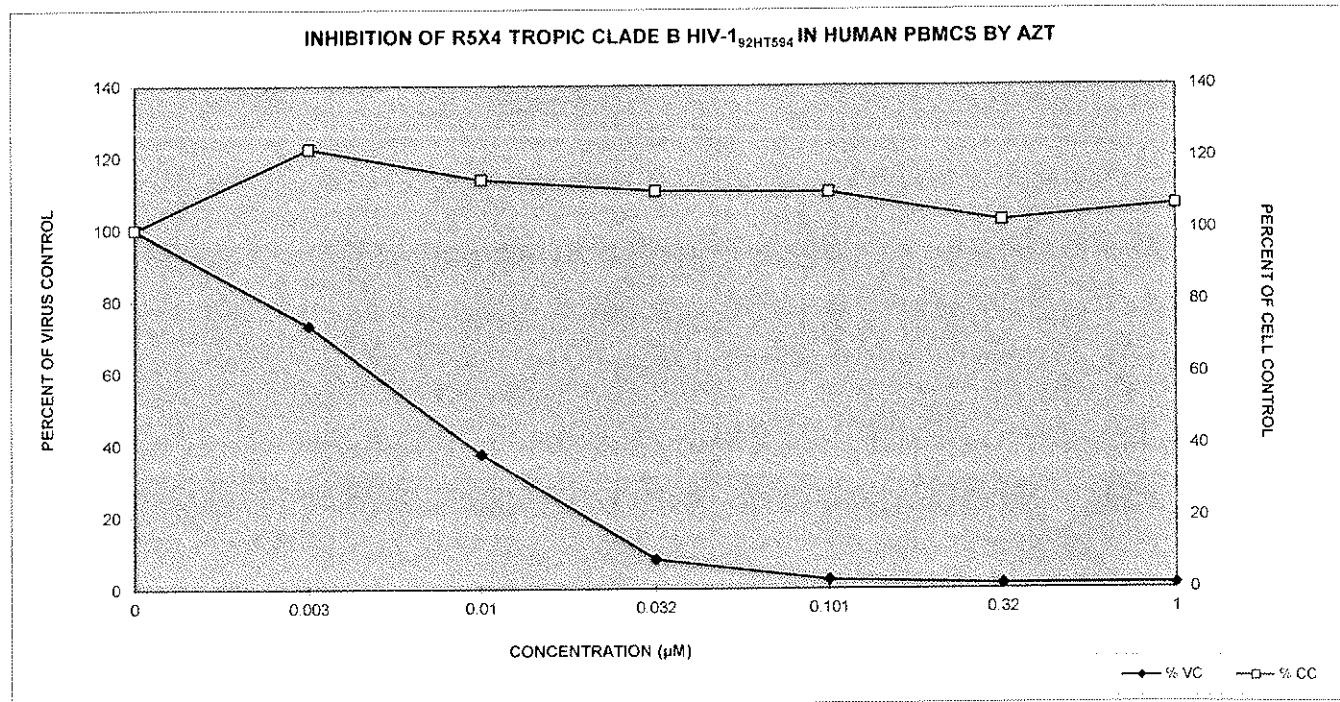
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8168	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92HT594 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (µM)	<0.00300	0.00660	0.0621
TC (µM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>151.52	>16.10

Conc (µM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	17424.3	365.267824	100.00	1.701	0.185547	100.00
0.003	12795.7	1389.17038	73.44	2.085	0.078573	122.53
0.01	6562.3	2268.01154	37.66	1.938	0.202861	113.91
0.032	1445.3	961.891019	8.29	1.883	0.128468	110.70
0.101	450.3	281.169581	2.58	1.878	0.215229	110.38
0.32	254.0	40.1497198	1.46	1.743	0.13487	102.42
1	244.7	40.216083	1.40	1.817	0.107753	106.80



INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	15024.0	30351.0	19114.0	20545.0	9163.0	3026.0	770.0	975.0	622.0	662.0
SAMPLE 2	32054.0	28452.0	11546.0	11396.0	32441.0	1683.0	736.0	684.0	668.0	704.0
SAMPLE 3	18163.0	54080.0	8766.0	15187.0	25181.0	2670.0	2846.0	866.0	748.0	808.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.693	1.871	2.236	2.336
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

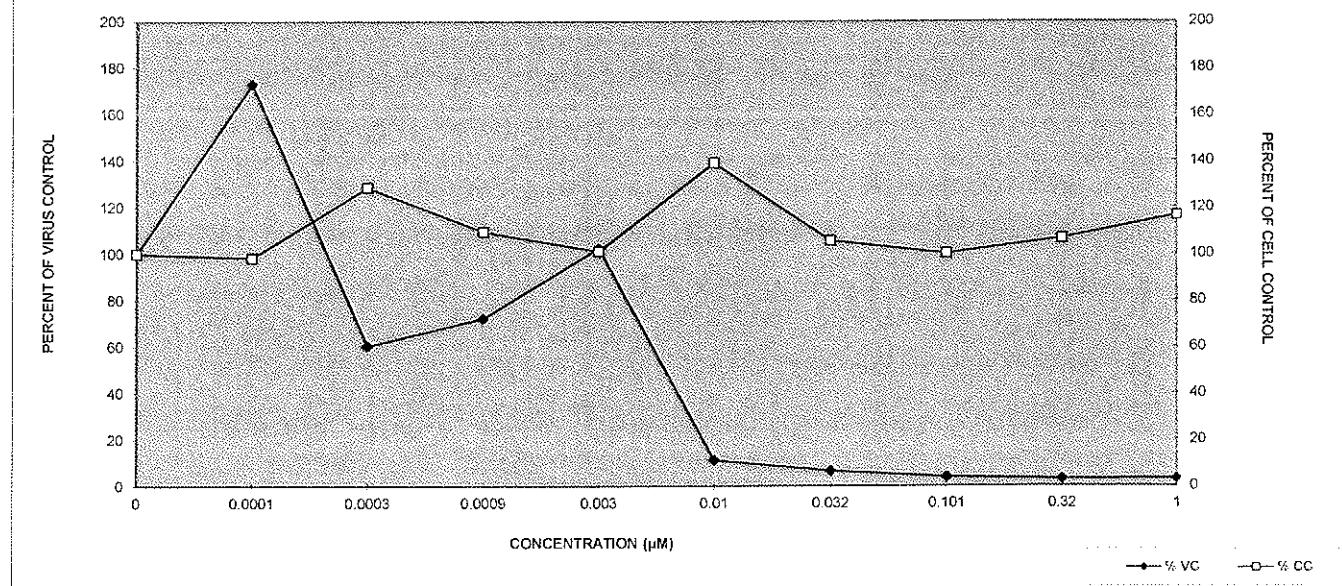
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT594 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: R5X4 Project #: 306 Client: CISC

Test Compound: AZT

EC (μM)	TC (μM)	Therapeutic Index (TI)	25%	50%	95%
			2.60E-04	0.00600	0.0636
			>1.0	>1.0	>1.0
			>3846.15	>166.67	>15.72

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	21740.3	9051.7	100.00	2.267	0.152	100.00
0.0001	37627.7	14279.7	173.08	2.230	0.400	98.35
0.0003	13142.7	5355.1	60.45	2.916	0.616	128.60
0.0009	15709.3	4596.8	72.26	2.484	0.387	109.56
0.003	22261.7	11910.4	102.40	2.290	0.331	101.01
0.01	2459.7	695.8	11.31	3.155	0.416	139.15
0.032	1450.7	1208.5	6.67	2.397	0.274	105.70
0.101	841.7	147.0	3.87	2.269	0.385	100.07
0.32	679.3	63.8	3.12	2.416	0.360	106.56
1	724.7	75.2	3.33	2.645	0.637	116.65

INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT594} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	19537.0	14668.0	13476.0	5619.0	8232.0	46.0	44.0
SAMPLE 2	16511.5	17911.0	14377.0	8233.0	728.0	38.0	34.0
SAMPLE 3	17039.5	17799.0	14822.0	5583.0	6453.0	72.0	48.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

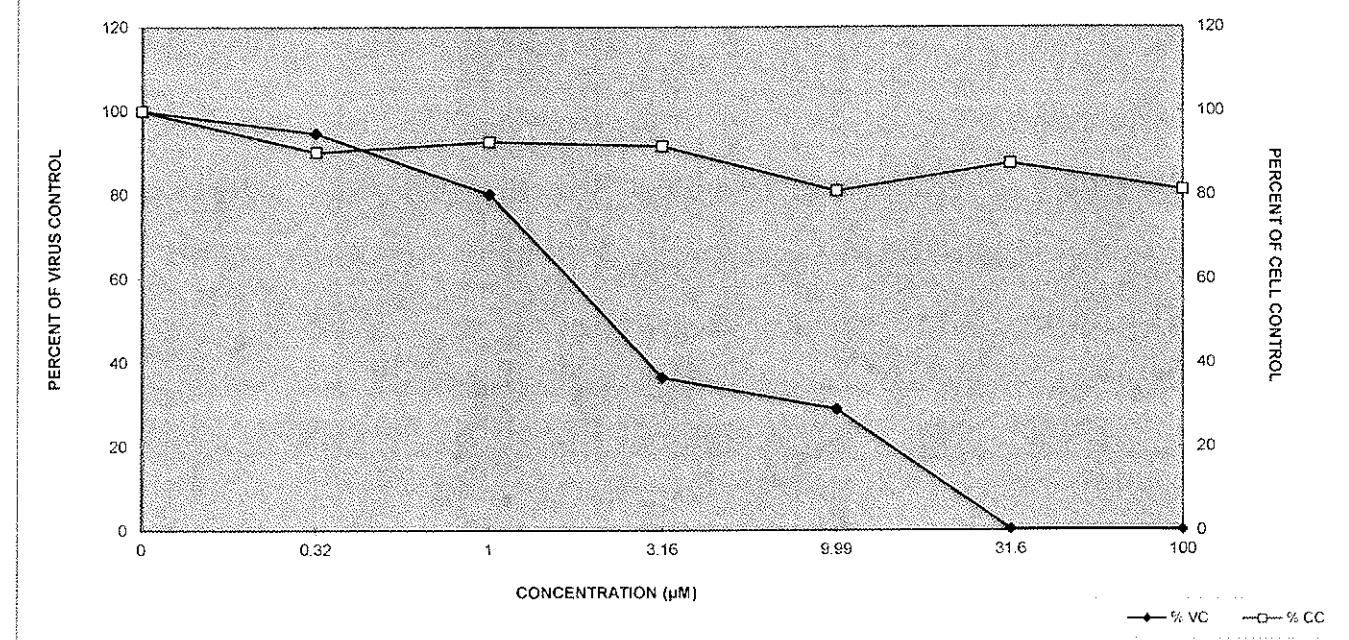
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92HT599 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.15	2.22	26.2
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>86.96	>45.05	>3.82

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	17729.3	1673.04814	100.00	1.701	0.185547	100.00
0.32	16792.7	1840.86728	94.72	1.534	0.115631	90.19
1	14225.0	685.752871	80.23	1.577	0.079459	92.69
3.16	6478.3	1519.69251	36.54	1.559	0.137825	91.61
9.99	5137.7	3921.10703	28.98	1.377	0.093094	80.95
31.6	52.0	17.7763888	0.29	1.488	0.113283	87.49
100	42.0	7.21110255	0.24	1.383	0.038519	81.27

INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMCS BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (µg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	48013.0	50847.0	53670.0	53979.0	44707.0	32132.0	15143.0	2157.0	206.0	194.0
SAMPLE 2	54356.0	46754.0	57636.0	36426.0	48246.0	18757.0	36087.0	11496.0	226.0	236.0
SAMPLE 3	46675.0	51924.0	55376.0	52099.0	49950.0	41778.0	18134.0	13090.0	260.0	294.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (µg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.768
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

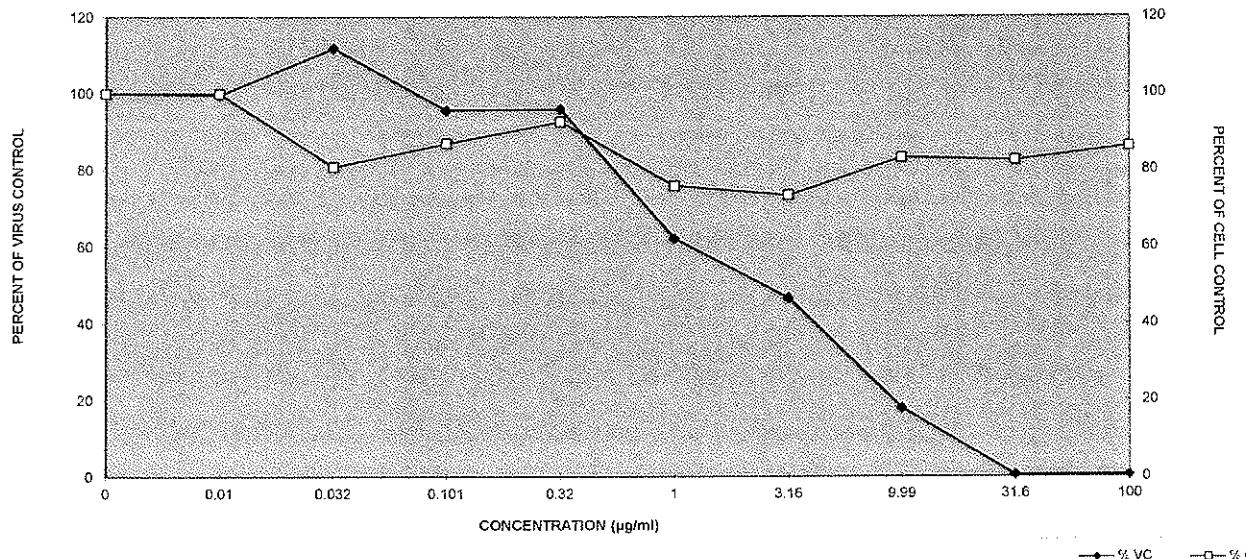
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT599 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (µM)	0.648	2.45	23.4
TC (µM)	1.54	>100	>100
Therapeutic Index (TI)	2.38	>40.82	>4.27

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	49682.0	4104.4	100.00	2.267	0.152	100.00
0.01	49508.3	3295.6	99.65	2.267	0.302	99.99
0.032	55560.7	1989.4	111.83	1.834	0.080	80.89
0.101	47501.3	9637.5	95.61	1.971	0.208	86.91
0.32	47634.3	2674.5	95.88	2.100	0.347	92.62
1	30889.0	11560.7	62.17	1.722	0.455	75.94
3.16	23121.3	11327.7	46.54	1.665	0.306	73.43
9.99	8914.3	5906.0	17.94	1.888	0.310	83.26
31.6	230.7	27.3	0.46	1.871	0.266	82.50
100	241.3	50.2	0.49	1.954	0.161	86.17

INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

RT VALUES (CPM)							
Conc (μM)	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	19637.0	14716.0	9033.0	2594.0	668.0	150.0	66.0
SAMPLE 2	16511.5	16091.0	7461.0	4829.0	460.0	158.0	112.0
SAMPLE 3	17039.5	16045.0	9999.0	2956.0	897.0	198.0	64.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0956	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

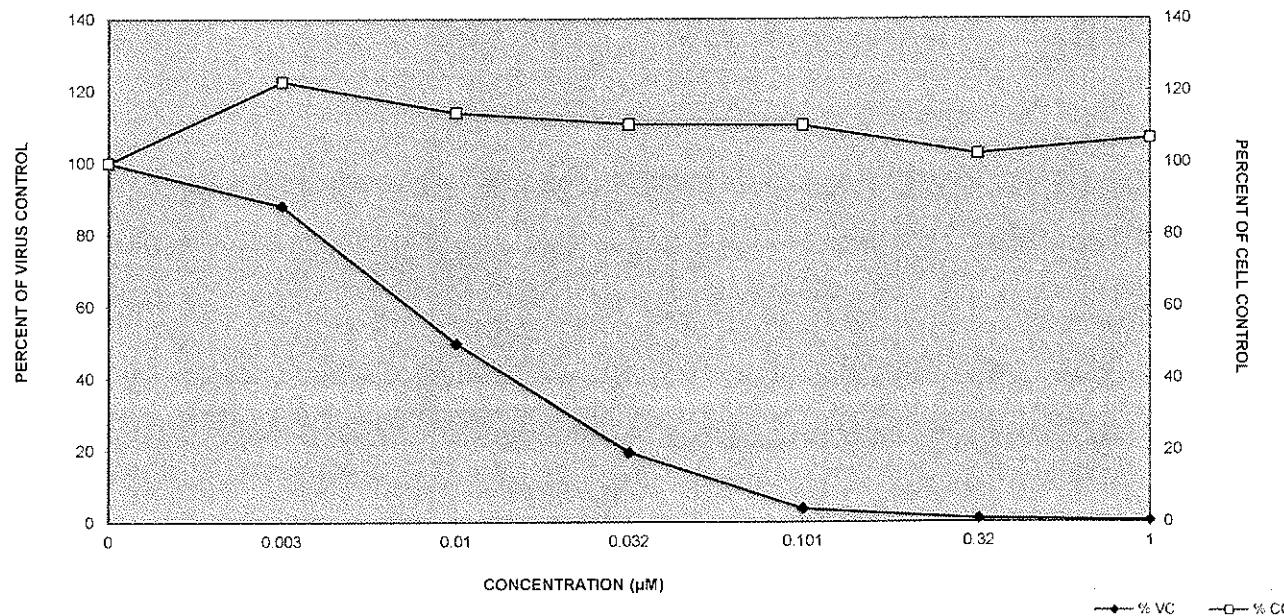
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92HT599 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00453	0.00994	0.0926
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>220.75	>100.60	>10.80

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	17729.3	1673.04814	100.00	1.701	0.185547	100.00
0.003	15617.3	780.916342	88.09	2.085	0.078573	122.53
0.01	8831.0	1281.00117	49.81	1.938	0.202861	113.91
0.032	3459.7	1199.61091	19.51	1.883	0.128468	110.70
0.101	675.0	218.58408	3.81	1.878	0.215229	110.38
0.32	168.7	25.716402	0.95	1.743	0.13487	102.42
1	80.7	27.1538825	0.45	1.817	0.107753	106.80

INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMCS BY AZT



INHIBITION OF CXCR4 TROPIC CLADE B HIV-1_{92HT599} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	46013.0	36972.0	44154.0	52467.0	28531.0	21784.0	3475.0	3252.0	382.0	342.0
SAMPLE 2	54358.0	46931.0	48444.0	43116.0	40692.0	33224.0	2706.0	975.0	336.0	336.0
SAMPLE 3	46675.0	56762.0	42880.0	51837.0	31632.0	23170.0	11337.0	900.0	350.0	326.0

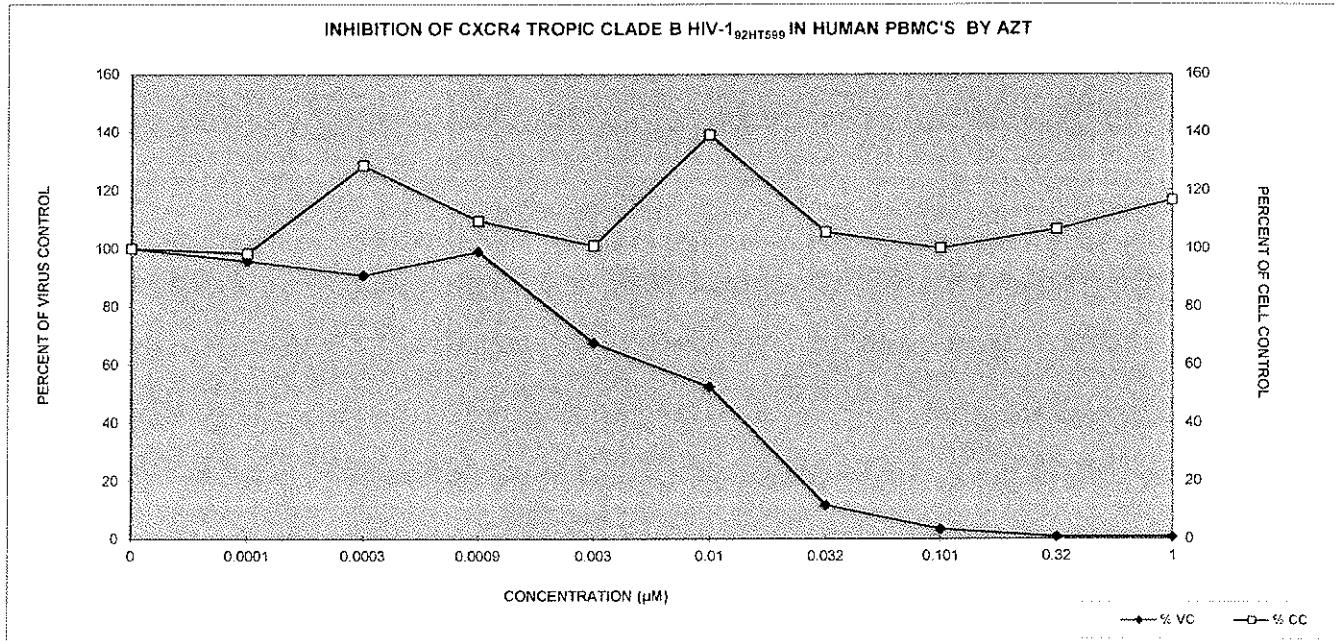
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.236	2.536
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.160	3.876
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT599 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	0.00226	0.0107	0.0814
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>442.48	>93.46	>12.29

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	49682.0	4104.4	100.00	2.267	0.152	100.00
0.0001	47555.0	8911.4	95.72	2.230	0.400	98.35
0.0003	45159.3	2915.1	90.90	2.916	0.616	128.60
0.0009	49146.7	5232.8	98.92	2.484	0.387	109.56
0.003	33618.3	6319.1	67.67	2.290	0.331	101.01
0.01	26059.3	6243.4	52.45	3.155	0.416	139.15
0.032	5839.3	4776.6	11.75	2.397	0.274	105.70
0.101	1709.0	1336.8	3.44	2.269	0.385	100.07
0.32	360.0	28.4	0.72	2.416	0.360	106.56
1	335.3	8.3	0.67	2.645	0.637	116.65



**INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT596} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (µg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	51144.5	46853.0	46802.0	41575.0	58666.0	40327.0	41684.0	1045.0	398.0	510.0
SAMPLE 2	67259.5	34990.0	52959.0	47904.0	63763.0	36017.0	5691.0	21486.0	396.0	454.0
SAMPLE 3	59950.0	54947.0	47034.0	58897.0	65607.0	54685.0	26659.0	2951.0	442.0	530.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (µg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.955	2.131	1.944	2.039
SAMPLE 3	2.203	2.166	1.852	2.201	2.047	1.805	1.677	1.539	2.092	2.054

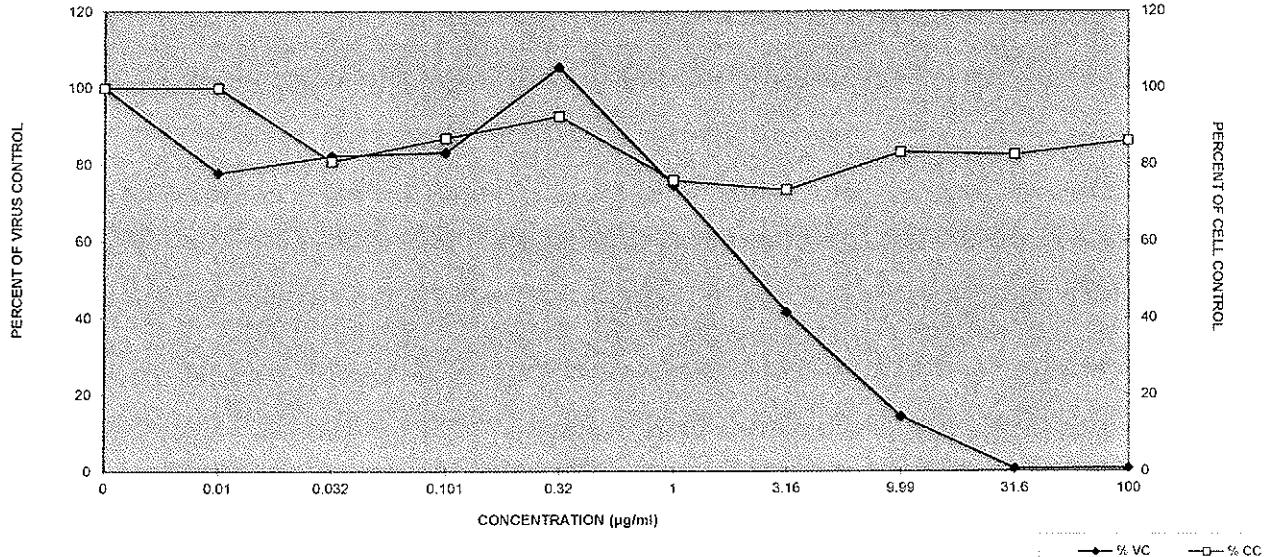
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT596 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: R5X4 Project #: 306 Client: CJSC

Test Compound: FPA

EC (µM)	25%	50%	95%	
	TC (µM)	0.985	2.35	21.9
	Therapeutic Index (TI)	1.54	>100	>100

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	59451.3	8069.1	100.00	2.267	0.152	100.00
0.01	46298.7	10236.9	77.88	2.267	0.302	99.99
0.032	48931.7	3489.7	82.31	1.834	0.080	80.89
0.101	49458.7	8765.0	83.19	1.971	0.208	86.91
0.32	62685.3	3598.3	105.44	2.100	0.347	92.62
1	44343.0	9030.6	74.59	1.722	0.455	75.94
3.16	24691.3	18080.3	41.53	1.665	0.306	73.43
9.99	8494.0	11291.7	14.29	1.888	0.310	83.26
31.6	412.0	26.0	0.69	1.871	0.266	82.50
100	498.0	39.4	0.84	1.954	0.161	86.17

INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT596} IN HUMAN PBMC'S BY FPA



INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT596} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	51144.5	46587.0	50183.0	21647.0	15979.0	21511.0	2225.0	734.0	538.0	706.0
SAMPLE 2	67259.5	18326.0	36712.0	35661.0	46996.0	20784.0	1607.0	884.0	576.0	570.0
SAMPLE 3	59950.0	71816.0	44878.0	54769.0	33443.0	10636.0	1113.0	2033.0	506.0	588.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.693	1.871	2.238	2.336
SAMPLE 2	2.159	1.787	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

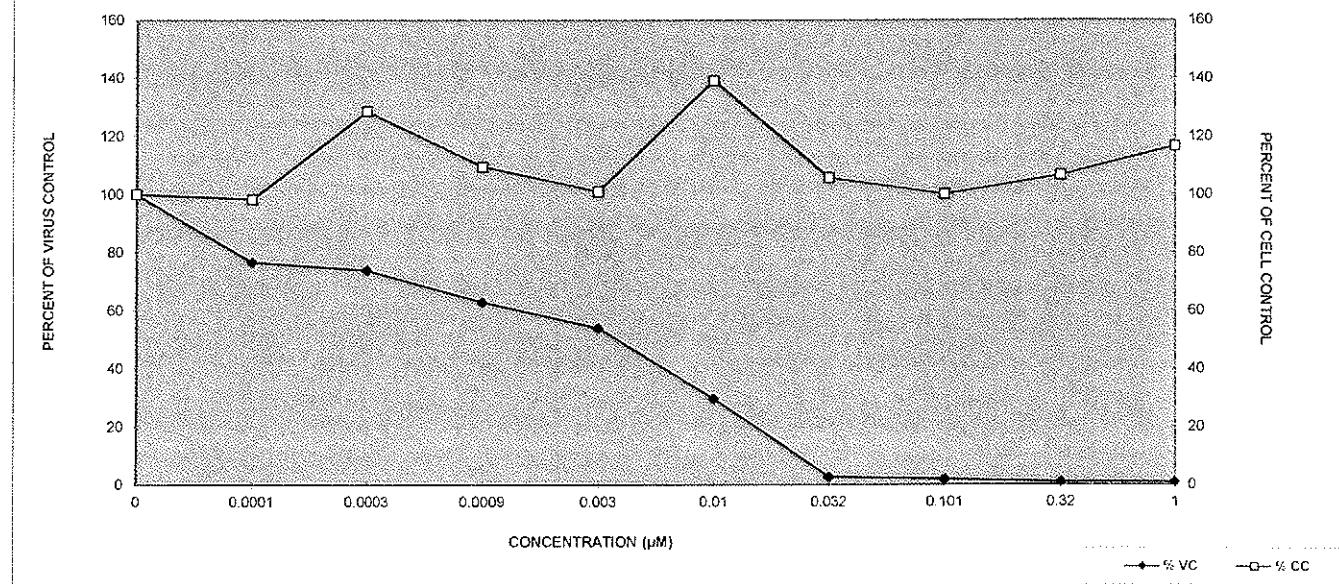
Virus: HIV-1 Clade: B Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92HT596 Cells: HUMAN PBMC'S Pt: Tracy Hartman Read Date: 6/17/14
 Tropism: R5X4 Project #: 306 Client: CISC

Test Compound: AZT

	25%	50%	95%
EC (μM)	1.93E-04	0.00367	0.0291
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>5181.35	>272.48	>34.36

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	59451.3	8069.1	100.00	2.267	0.152	100.00
0.0001	45576.3	26759.3	76.66	2.230	0.400	98.35
0.0003	43924.3	6785.9	73.88	2.916	0.616	128.60
0.0009	37425.7	16531.8	62.95	2.484	0.387	109.56
0.003	32139.3	15549.5	54.06	2.290	0.331	101.01
0.01	17644.3	6078.5	29.68	3.155	0.416	139.15
0.032	1648.3	557.2	2.77	2.397	0.274	105.70
0.101	1217.0	710.6	2.05	2.269	0.385	100.07
0.32	540.0	35.0	0.91	2.416	0.360	106.56
1	621.3	73.9	1.05	2.645	0.637	116.65

INHIBITION OF R5X4 TROPIC CLADE B HIV-1_{92HT596} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	23362.0	20766.0	16693.0	7546.0	1093.0	724.0	462.0
SAMPLE 2	23149.0	24451.0	18718.0	11496.0	967.0	592.0	432.0
SAMPLE 3	24932.0	22527.0	19781.0	9879.0	540.0	438.0	436.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.2185	2.7399	2.1703	2.5250	2.1383	1.7267	1.7505
SAMPLE 2	1.8423	2.7282	2.0899	2.5461	1.9928	1.7973	1.8690
SAMPLE 3	2.2118	2.7589	2.3185	2.3703	1.9477	1.9701	1.8427

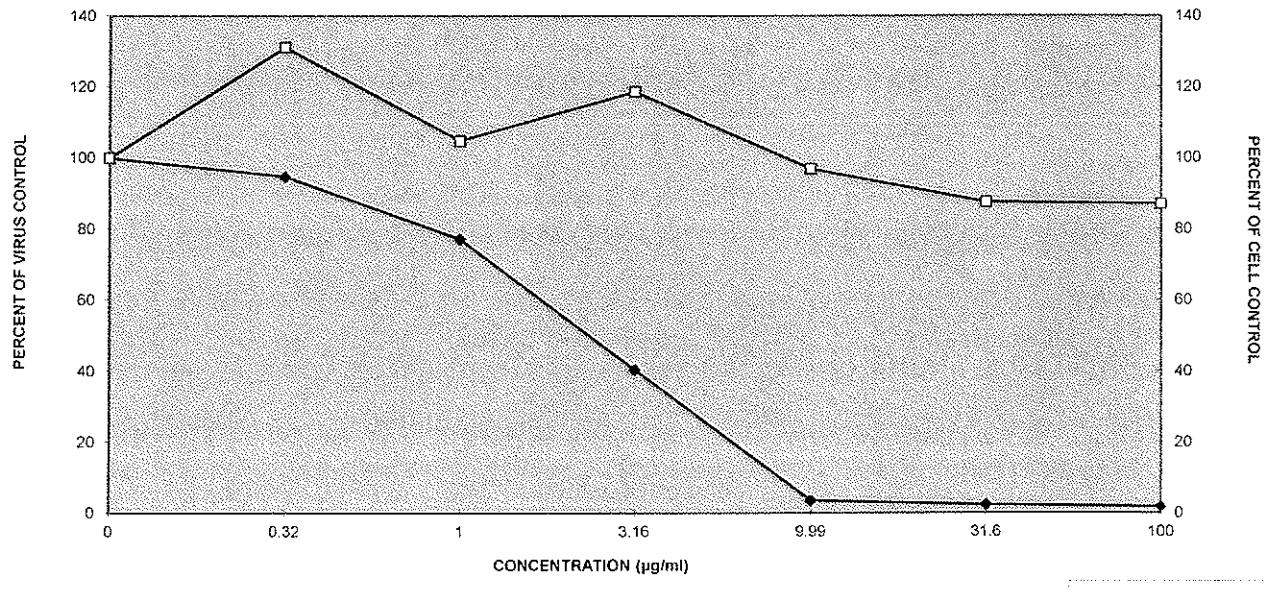
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/25/13
 Strain: 93MW959 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 7/2/13
 Tropism: CCR5 Project #: 306-01-01 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (µg/ml)	1.07	2.35	9.57
TC (µg/ml)	>100	>100	>100
Therapeutic Index (TI)	>93.46	>42.55	>10.45

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	23814.3	973.76914	100.00	2.091	0.21527629	100.00
0.32	22578.0	1848.0279	94.81	2.742	0.01549398	131.16
1	18397.3	1568.7754	77.25	2.193	0.11596361	104.88
3.16	9640.3	1985.7861	40.48	2.480	0.09598866	118.63
9.99	866.7	289.83156	3.64	2.026	0.09960976	96.91
31.6	584.7	143.14096	2.46	1.831	0.12522497	87.59
100	443.3	16.289056	1.86	1.821	0.06222912	87.08

INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	9232.5	6855.0	4754.0	2418.0	516.0	398.0	344.0
SAMPLE 2	7336.5	7744.0	5365.0	2260.0	742.0	444.0	382.0
SAMPLE 3	8351.0	7668.0	5022.0	2660.0	604.0	456.0	346.0

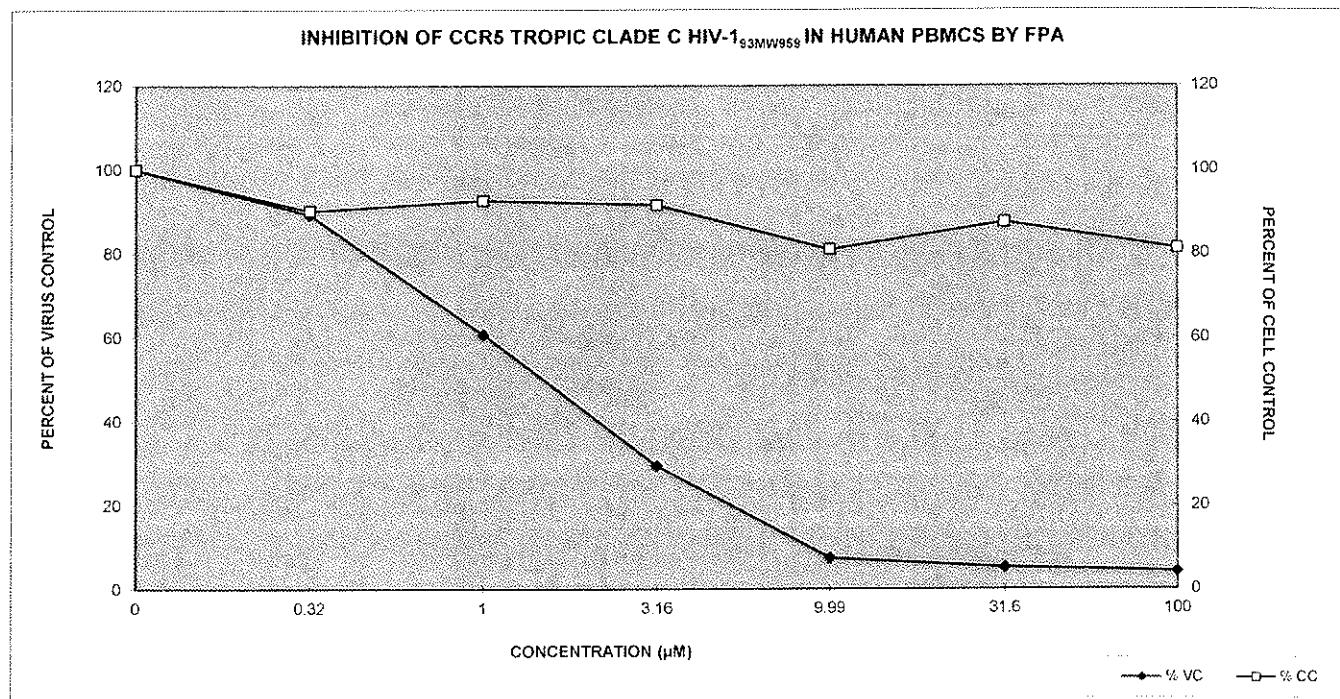
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3658	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93MW959 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.567	1.48	41.2
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>176.37	>67.57	>2.43

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8306.7	948.777152	100.00	1.701	0.185547	100.00
0.32	7422.3	492.792384	89.35	1.534	0.115631	90.19
1	5047.0	306.266224	60.76	1.577	0.079459	92.69
3.16	2446.0	201.464637	29.45	1.559	0.137825	91.61
9.99	620.7	113.918099	7.47	1.377	0.093094	80.95
31.6	432.7	30.6159	5.21	1.488	0.113283	87.49
100	357.3	21.3853532	4.30	1.383	0.038519	81.27



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	23362.0	17107.0	10965.0	3901.0	842.0	560.0	536.0
SAMPLE 2	23149.0	15101.0	11645.0	4144.0	1167.0	630.0	474.0
SAMPLE 3	24932.0	15416.0	8027.0	4206.0	1517.0	712.0	438.0

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.2185	2.8971	2.0651	2.3076	1.7804	2.0949	1.7505
SAMPLE 2	1.8423	2.6652	2.0317	2.0823	1.7156	2.0949	2.6160
SAMPLE 3	2.2118	2.5591	1.7708	2.2511	1.7004	1.7756	2.3241

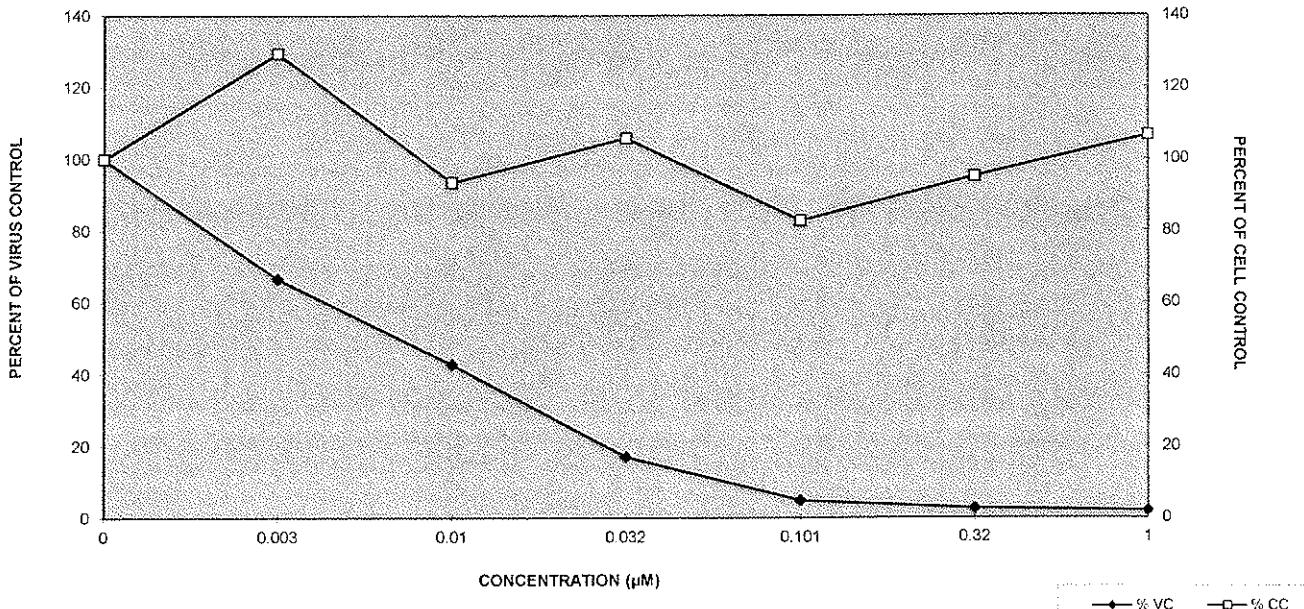
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/25/13
 Strain: 93MW959 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 7/2/13
 Tropism: CCR5 Project #: 306-01-01 Client: CJSC

Antiviral Compound: AZT

EC (μM)	25%	50%	95%
TC (μM)	<0.00300	0.00697	0.100
Therapeutic Index (TI)	>1.0	>1.0	>1.0
	>333.33	>143.47	>10.00

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	23814.3	973.769138	100.00	2.091	0.215276	100.00
0.003	15874.7	1078.79114	66.66	2.707	0.172858	129.47
0.01	10209.0	1920.90291	42.87	1.956	0.16114	93.54
0.032	4083.7	161.202771	17.15	2.214	0.117222	105.87
0.101	1175.3	337.577152	4.94	1.732	0.042485	82.84
0.32	634.0	76.0789064	2.66	1.988	0.184348	95.10
1	482.7	49.5714972	2.03	2.230	0.440342	106.66

INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS BY AZT



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{93MW959} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	9232.5	7841.0	3645.0	1297.0	1013.0	678.0	420.0
SAMPLE 2	7336.5	4582.0	2404.0	2220.0	1157.0	637.0	486.0
SAMPLE 3	8351.0	7937.0	3547.0	1419.0	1127.0	549.0	472.0

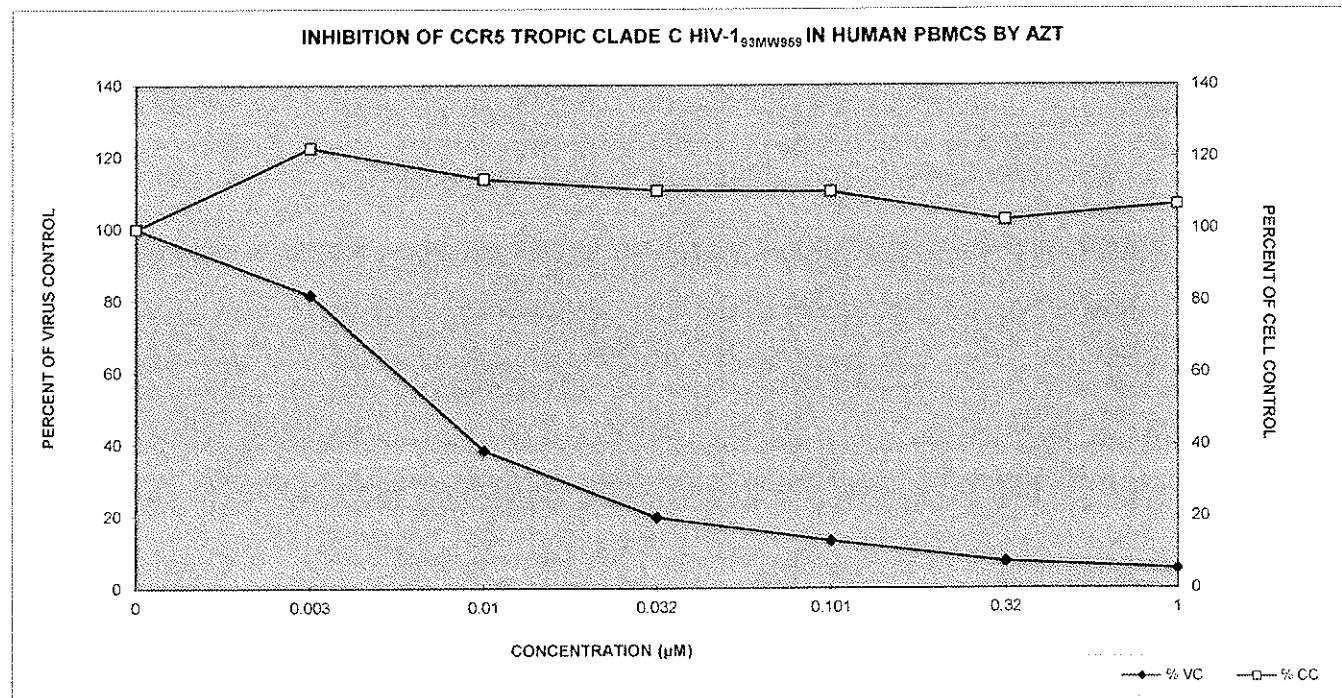
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7063	1.6364	1.7097

Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93MW959 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

EC (μM)	25%	50%	95%
TC (μM)	0.00362	0.00726	>1.0
Therapeutic Index (TI)	>1.0	>1.0	>1.0

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8306.7	948.777152	100.00	1.701	0.185547	100.00
0.003	6786.7	1909.90061	81.70	2.085	0.078573	122.53
0.01	3198.7	689.943718	38.51	1.938	0.202861	113.91
0.032	1645.3	501.400372	19.81	1.883	0.128468	110.70
0.101	1099.0	75.9736797	13.23	1.878	0.215229	110.38
0.32	621.3	65.9115569	7.48	1.743	0.13487	102.42
1	459.3	34.7754703	5.53	1.817	0.107753	106.80



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	14382.5	19794.0	15757.0	8731.0	589.0	90.0	70.0
SAMPLE 2	14691.5	20889.0	16803.0	10642.0	777.0	80.0	94.0
SAMPLE 3	12908.0	13959.0	13786.0	8136.0	2202.0	188.0	86.0

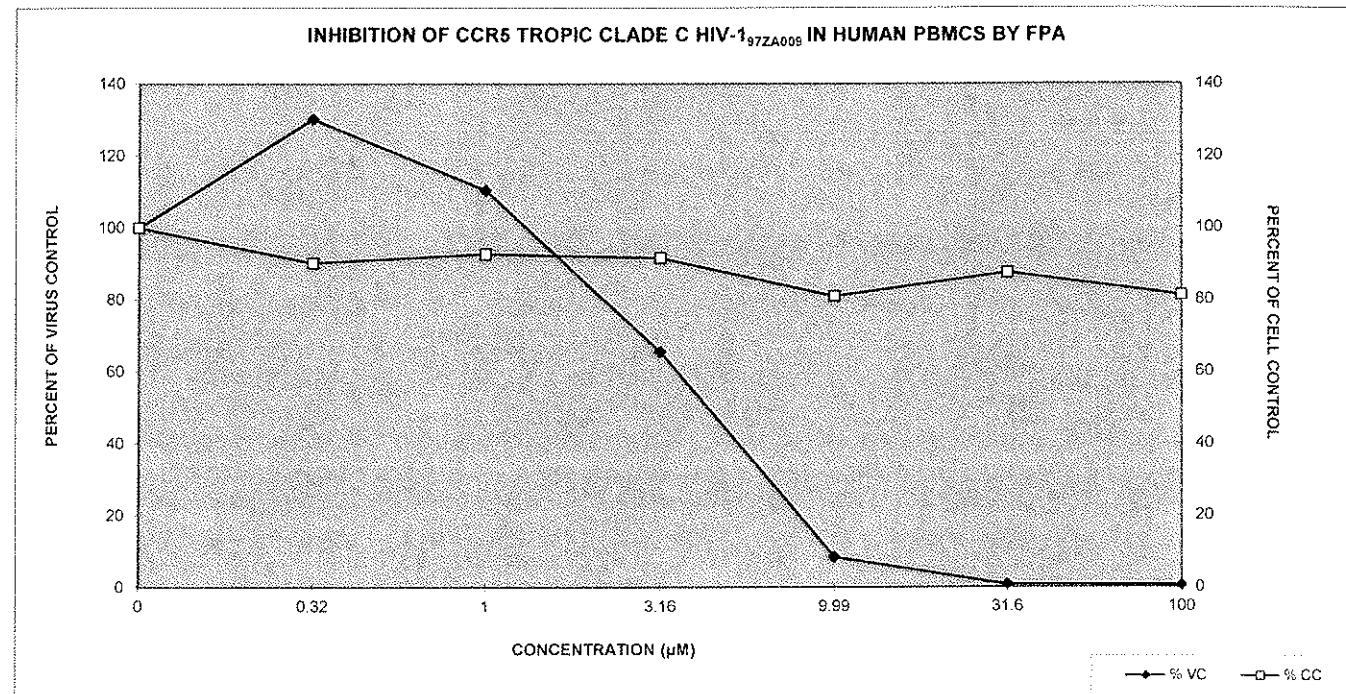
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 10/31/13
 Strain: 97ZA009 Cells: HUMAN PBMCS Pt: Tracy Hartman Read Date: 11/7/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	2.48	4.32	16.9
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>40.32	>23.15	>5.92

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13994.0	953.109254	100.00	1.701	0.185547	100.00
0.32	18214.0	3725.38924	130.16	1.534	0.115631	90.19
1	15448.7	1531.95115	110.39	1.577	0.079459	92.69
3.16	9169.7	1309.32438	65.53	1.559	0.137825	91.61
9.99	1189.3	882.018329	8.50	1.377	0.093094	80.95
31.6	119.3	59.6769079	0.85	1.488	0.113283	87.49
100	83.3	12.2202019	0.60	1.383	0.038519	81.27



**INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	65471.5	65762.0	63660.0	61491.0	65052.0	57119.0	45391.0	23385.0	905.0	222.0
SAMPLE 2	59062.0	59068.0	60968.0	52199.0	61767.0	36548.0	25412.0	1031.0	214.0	
SAMPLE 3	71769.0	51082.0	65980.0	61568.0	55469.0	59902.0	46612.0	16887.0	1021.0	266.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
	SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.955	2.131	1.944	2.038
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

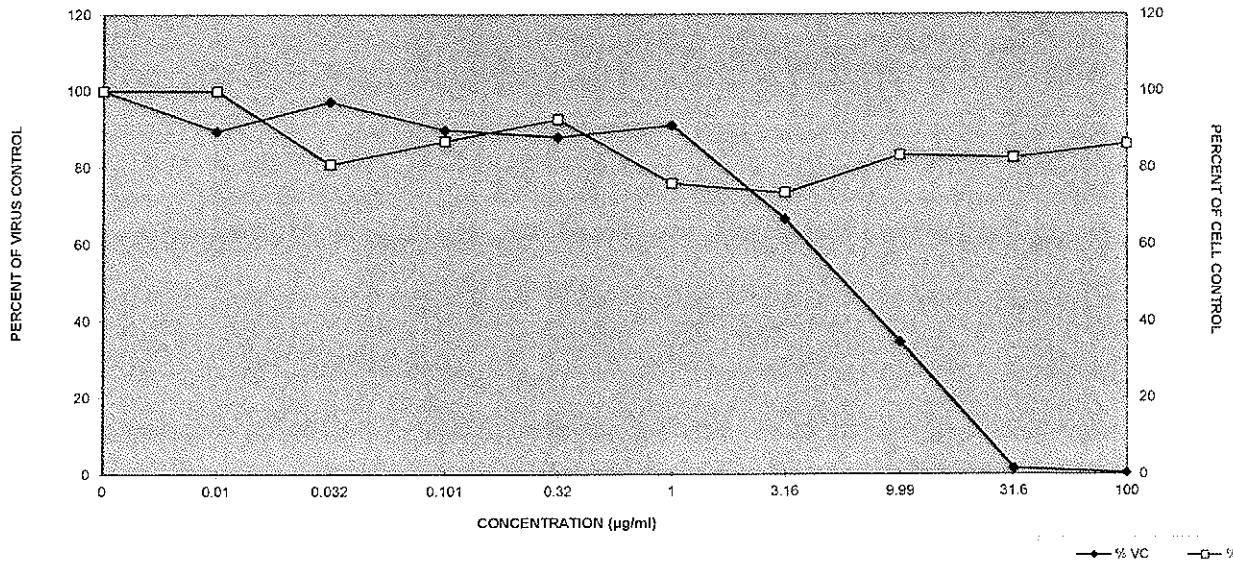
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/10/14
 Strain: 97ZA009 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	2.13	5.73	28.0
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.72	>17.45	>3.57

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	65434.2	6353.6	100.00	2.267	0.152	100.00
0.01	58644.0	7359.2	89.62	2.267	0.302	99.99
0.032	63609.3	2505.4	97.21	1.834	0.080	80.89
0.101	58788.7	4765.7	89.84	1.971	0.208	86.91
0.32	57576.7	6685.5	87.99	2.100	0.347	92.62
1	59596.0	2339.1	91.08	1.722	0.455	75.94
3.16	43583.7	4418.5	66.61	1.665	0.306	73.43
9.99	22561.3	3339.6	34.48	1.888	0.310	83.26
31.6	985.7	70.0	1.51	1.871	0.266	82.50
100	234.0	28.0	0.36	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

RT VALUES (CPM)							
Conc (μM)	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	14382.5	8687.0	2606.0	1141.0	238.0	88.0	94.0
SAMPLE 2	14691.5	8936.0	5522.0	404.0	218.0	108.0	108.0
SAMPLE 3	12908.0	10335.0	2787.0	544.0	552.0	188.0	84.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (μM)	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.6645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1
 Strain: 97ZA009
 Tropism: CCR5

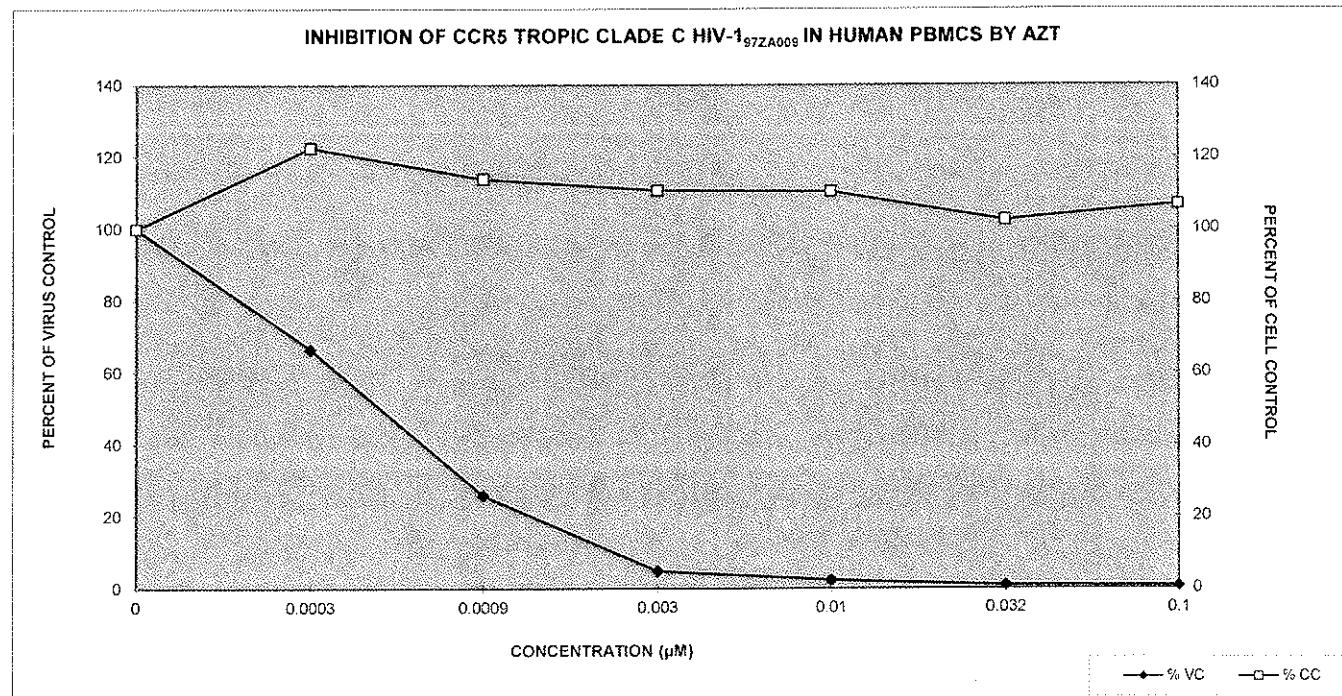
Clade: C
 Cells: HUMAN PBMCS
 Project #: 306-01-02

Technician: Lu Yang Setup Date: 10/31/13
 PI: Tracy Hartman Read Date: 11/7/13
 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00030	4.70E-04	0.00300
TC (μM)	>0.1	>0.1	>0.1
Therapeutic Index (TI)	>333.33	>212.77	>33.33

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13994.0	953.109254	100.00	1.701	0.185547	100.00
0.0003	9319.3	888.360475	66.60	2.085	0.078573	122.53
0.0009	3638.3	1633.8116	26.00	1.938	0.202861	113.91
0.003	696.3	391.403032	4.98	1.883	0.128468	110.70
0.01	336.0	187.328588	2.40	1.878	0.215229	110.38
0.032	128.0	52.9150262	0.91	1.743	0.13487	102.42
0.1	95.3	12.0554275	0.68	1.817	0.107753	106.80



INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	65471.5	71172.0	55057.0	56276.0	36018.0	17765.0	3446.0	1991.0	502.0	386.0
SAMPLE 2	59062.0	49511.0	67600.0	52740.0	38927.0	30877.0	13711.0	3390.0	1031.0	418.0
SAMPLE 3	71769.0	62460.0	69721.0	51564.0	50168.0	24176.0	6456.0	995.0	616.0	394.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.238	2.538
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

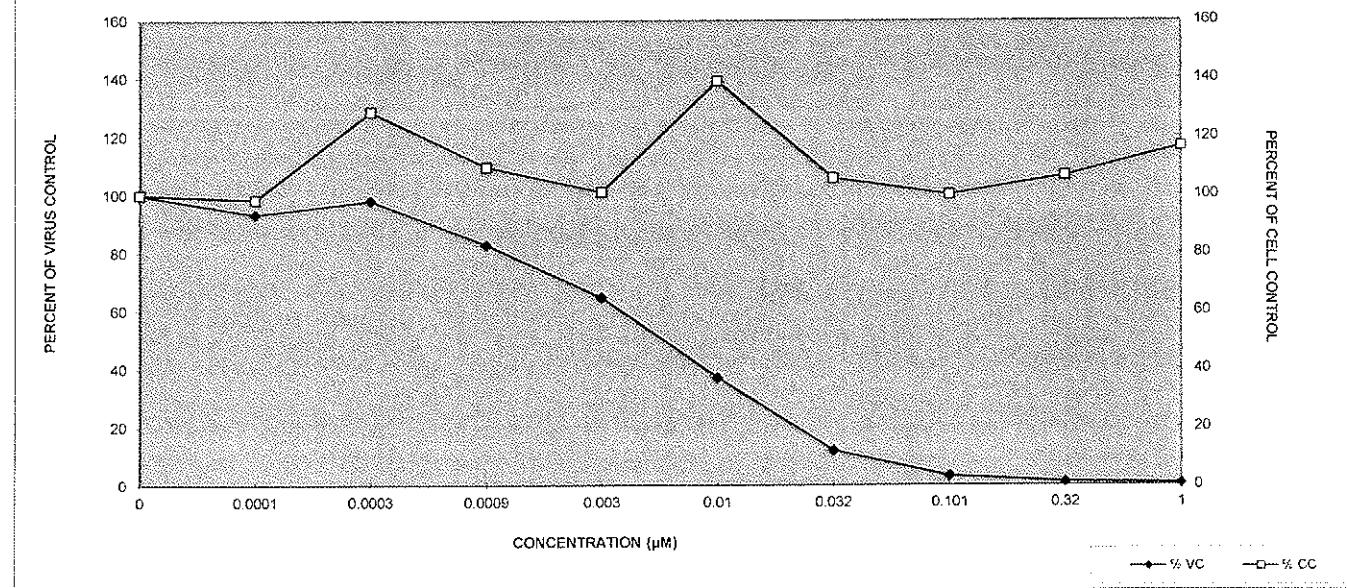
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/10/14
 Strain: 97ZA009 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJC

Test Compound: AZT

	25%	50%	95%
EC (μM)	0.00152	0.00571	0.0803
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>657.89	>175.13	>12.45

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	65434.2	6353.6	100.00	2.267	0.152	100.00
0.0001	61054.3	10900.6	93.31	2.230	0.400	98.35
0.0003	64126.0	7925.3	98.00	2.916	0.616	128.60
0.0009	54194.0	3585.4	82.82	2.484	0.387	109.56
0.003	42371.0	6767.7	64.75	2.290	0.331	101.01
0.01	24312.7	6597.1	37.16	3.155	0.416	139.15
0.032	7871.0	5276.8	12.03	2.397	0.274	105.70
0.101	2125.3	1203.1	3.25	2.269	0.385	100.07
0.32	716.3	278.4	1.09	2.416	0.360	106.56
1	399.3	16.7	0.61	2.645	0.637	116.65

INHIBITION OF CCR5 TROPIC CLADE C HIV-1_{97ZA009} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	3785.5	3149.0	1983.0	752.0	508.0	456.0	454.0
SAMPLE 2	3371.0	4338.0	3078.0	648.0	440.0	364.0	446.0
SAMPLE 3	2869.5	3839.0	3123.0	602.0	380.0	392.0	448.0

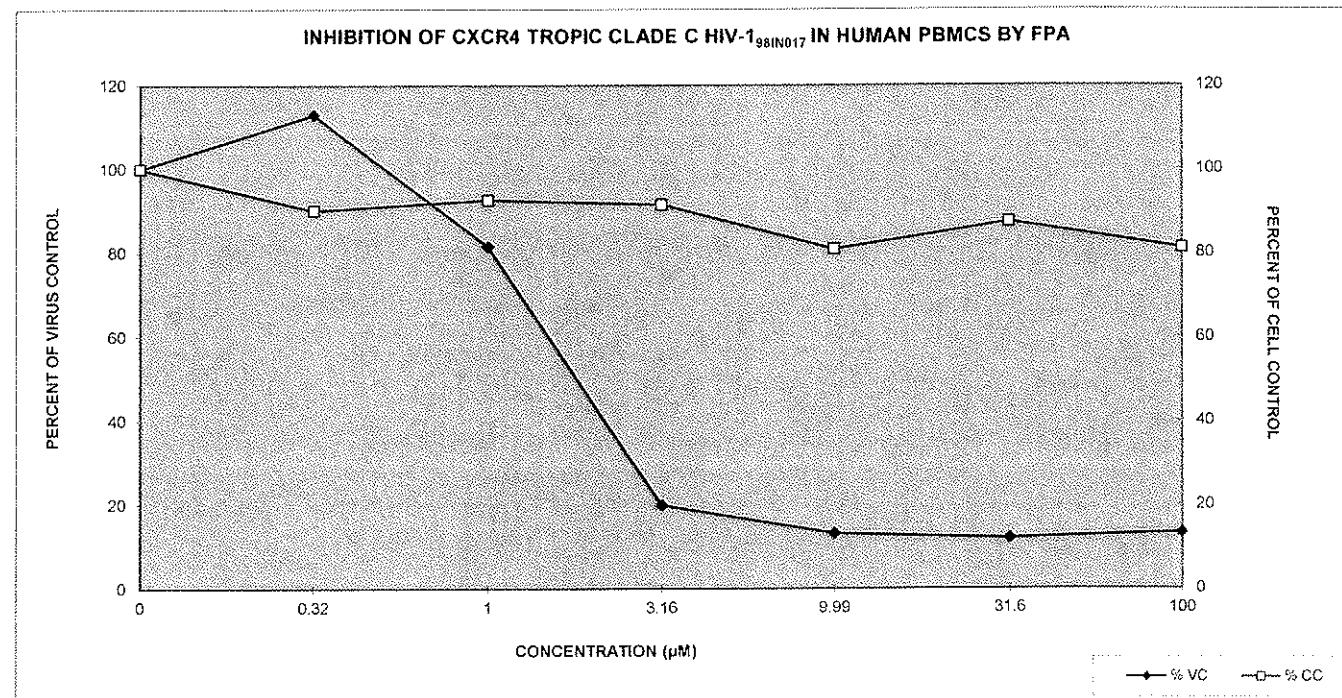
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 10/31/13
 Strain: 98IN017 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 11/7/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.13	1.80	>100
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>88.50	>55.56	1

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	3342.0	458.688075	100.00	1.701	0.185547	100.00
0.32	3775.3	597.051366	112.97	1.534	0.115631	90.19
1	2728.0	645.581134	81.63	1.577	0.079459	92.69
3.16	667.3	76.8461667	19.97	1.559	0.137825	91.61
9.99	442.7	64.0416531	13.25	1.377	0.093094	80.95
31.6	404.0	47.1593045	12.09	1.488	0.113283	87.49
100	449.3	4.163332	13.45	1.383	0.038519	81.27



**INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	37456.0	31502.0	35853.0	32005.0	29556.0	32344.0	16777.0	2670.0	1045.0	1043.0
SAMPLE 2	31904.0	27420.0	33131.0	28746.0	26469.0	43319.0	21451.0	4320.0	983.0	1003.0
SAMPLE 3	36862.5	29035.0	35454.0	34753.0	37552.0	33981.0	23758.0	5579.0	1027.0	1013.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

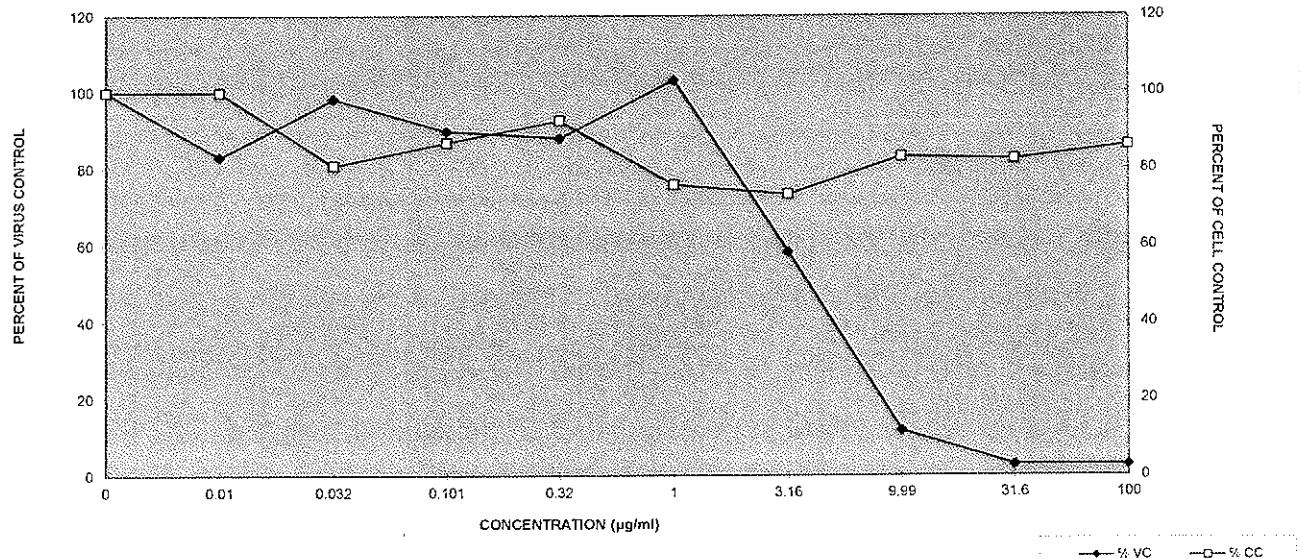
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/10/14
 Strain: 98IN017 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	2.06	3.89	24.0
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.75	>25.71	>4.17

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	35407.5	3048.6	100.00	2.267	0.152	100.00
0.01	29452.3	2270.0	83.18	2.267	0.302	99.99
0.032	34812.7	1470.0	98.32	1.834	0.080	80.89
0.101	31835.0	3007.1	89.91	1.971	0.208	86.91
0.32	31192.3	5719.8	88.10	2.100	0.347	92.62
1	36548.0	5920.7	103.22	1.722	0.455	75.94
3.16	20662.0	3556.8	58.35	1.665	0.306	73.43
9.99	4189.7	1458.9	11.83	1.888	0.310	83.26
31.6	1018.3	31.9	2.88	1.871	0.266	82.50
100	1019.7	20.8	2.88	1.954	0.161	86.17

INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	3765.6	1271.0	626.0	408.0	460.0	404.0	450.0
SAMPLE 2	3371.0	1721.0	721.0	596.0	352.0	356.0	504.0
SAMPLE 3	2669.5	819.0	618.0	520.0	446.0	452.0	450.0

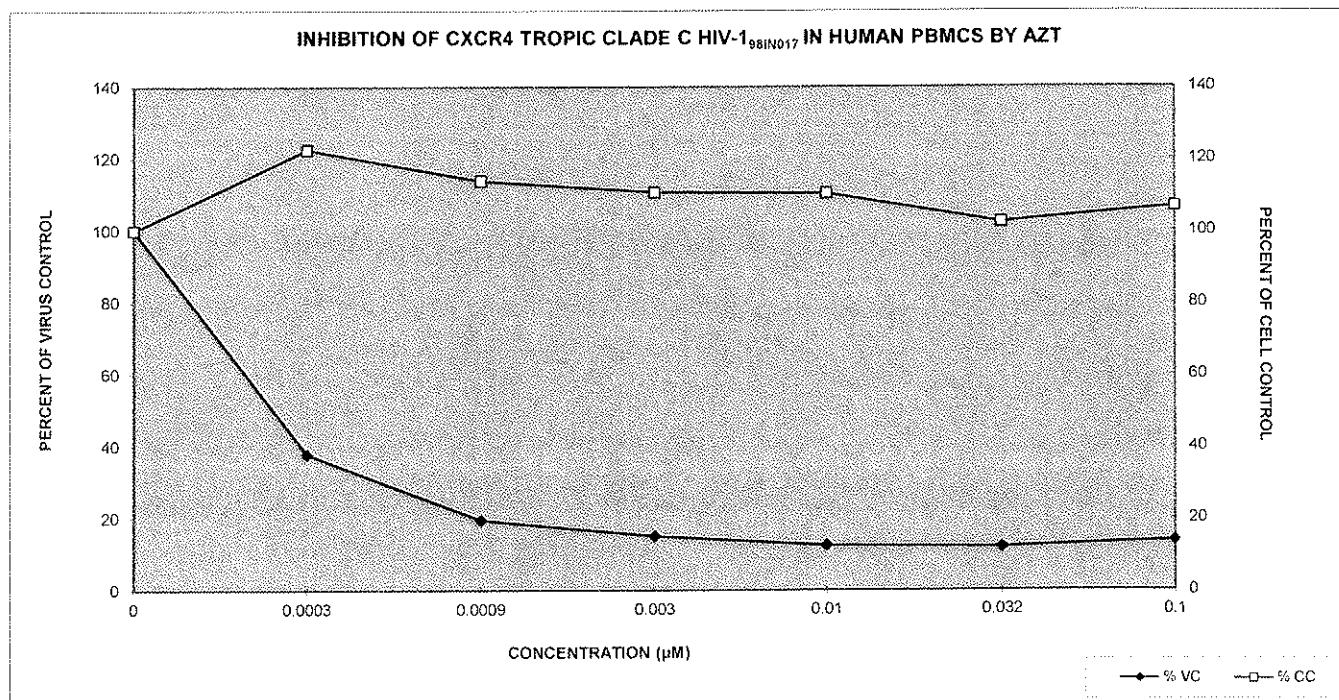
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
SAMPLE 1	0	0.0003	0.0009	0.003	0.01	0.032
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364
						1.7097

Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 10/31/13
 Strain: 98IN017 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 11/7/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00030	<0.00030	>0.1
TC (μM)	>0.1	>0.1	>0.1
Therapeutic Index (TI)	>333.33	>333.33	1

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	3342.0	458.688075	100.00	1.701	0.185547	100.00
0.0003	1270.3	451.00037	38.01	2.085	0.078573	122.53
0.0009	655.0	57.2974694	19.60	1.938	0.202861	113.91
0.003	508.0	94.5727233	15.20	1.883	0.128468	110.70
0.01	419.3	58.7310253	12.55	1.878	0.215229	110.38
0.032	404.0	48	12.09	1.743	0.13487	102.42
0.1	468.0	31.1769145	14.00	1.817	0.107753	106.80



INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	37456.0	31670.0	26559.0	30577.0	19057.0	9349.0	6356.0	2724.0	1635.0	1539.0
SAMPLE 2	31904.0	32198.0	29231.0	25708.0	20677.0	16783.0	5995.0	1853.0	1261.0	1189.0
SAMPLE 3	36562.5	39458.0	43261.0	41764.0	30107.0	16685.0	3314.0	1829.0	1605.0	1139.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.695	1.871	2.238	2.338
SAMPLE 2	2.159	1.787	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

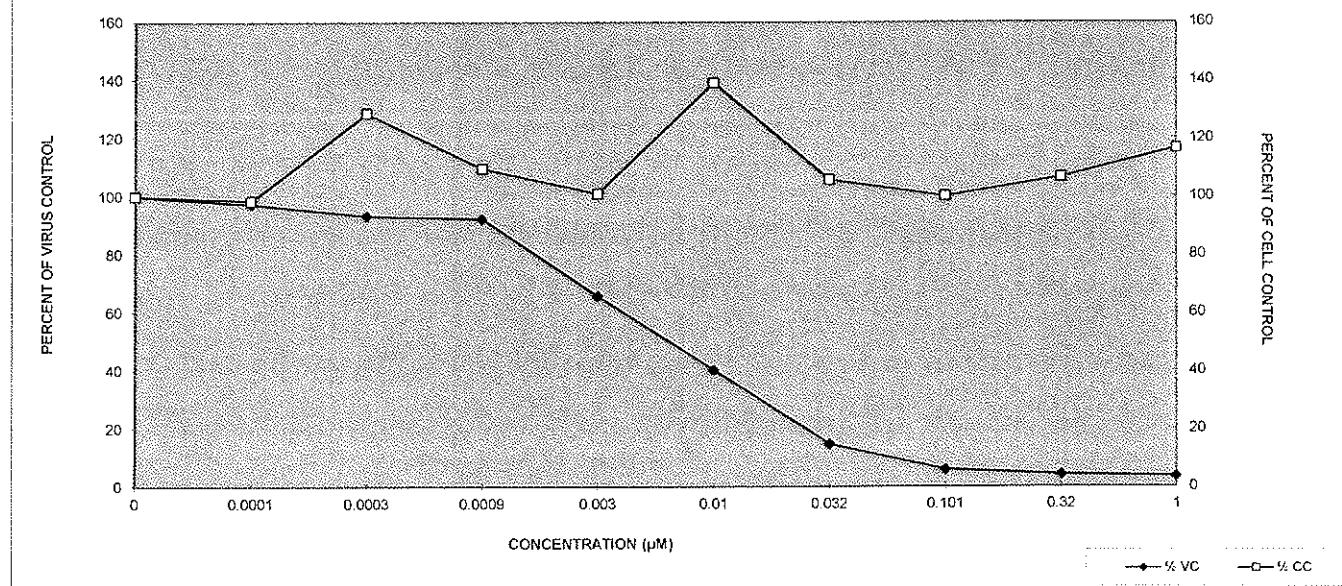
Virus: HIV-1 Clade: C Technician: Lu Yang Setup Date: 6/10/14
 Strain: 98IN017 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	0.00197	0.00632	0.196
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>507.61	>158.23	>5.10

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	35407.5	3048.6	100.00	2.267	0.152	100.00
0.0001	34442.0	4352.0	97.27	2.230	0.400	98.35
0.0003	33023.7	8983.0	93.27	2.916	0.616	128.60
0.0009	32683.0	8232.6	92.31	2.484	0.387	109.56
0.003	23280.3	5967.3	65.75	2.290	0.331	101.01
0.01	14272.3	4264.0	40.31	3.155	0.416	139.15
0.032	5231.7	1672.2	14.78	2.397	0.274	105.70
0.101	2135.3	509.9	6.03	2.269	0.385	100.07
0.32	1500.3	207.8	4.24	2.416	0.360	106.56
1	1289.0	217.9	3.64	2.645	0.637	116.65

INHIBITION OF CXCR4 TROPIC CLADE C HIV-1_{98IN017} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	22657.5	18404.0	19827.0	3933.0	1893.0	96.0	56.0
SAMPLE 2	20085.0	17484.0	18210.0	11602.0	4049.0	92.0	64.0
SAMPLE 3	24205.5	17028.0	18162.0	10956.0	2662.0	92.0	66.0

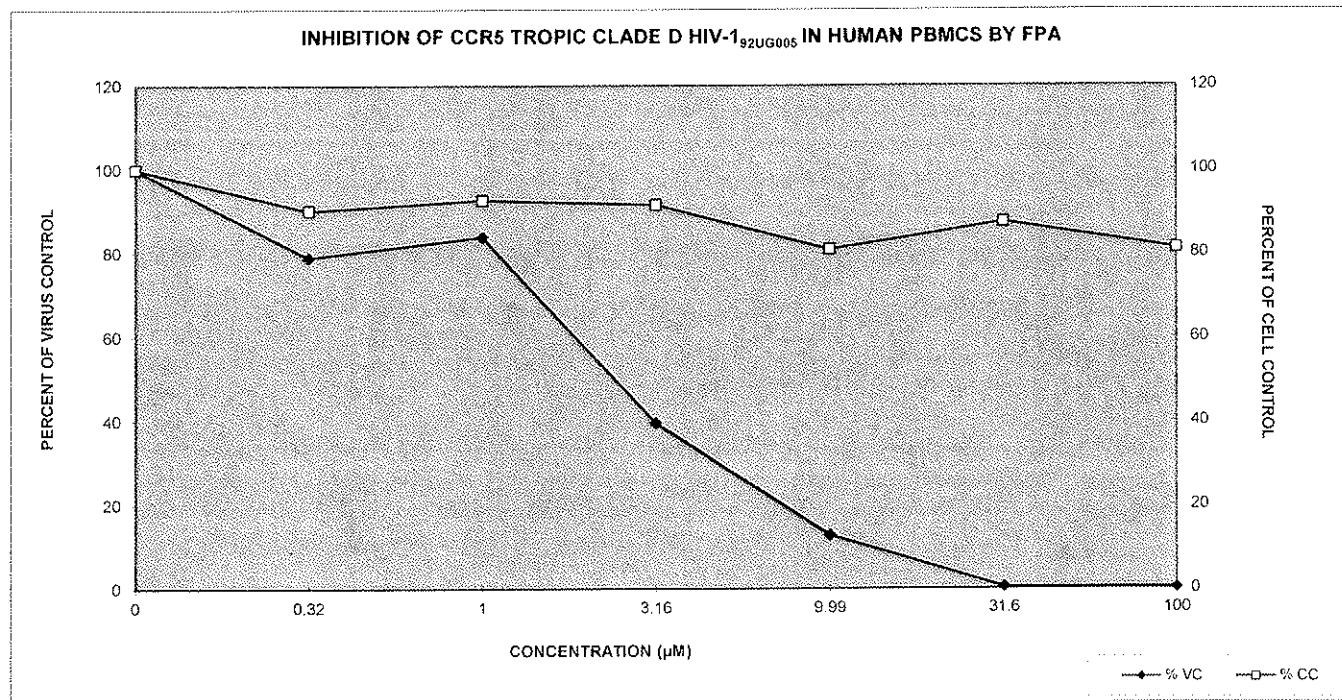
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/2/03
 Strain: 92UG005 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/9/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.26	2.41	20.7
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>79.37	>41.49	>4.83

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	22316.0	2081.36896	100.00	1.701	0.185547	100.00
0.32	17638.7	700.917494	79.04	1.534	0.115631	90.19
1	18733.0	947.735723	83.94	1.577	0.079459	92.69
3.16	8830.3	4253.49672	39.57	1.559	0.137825	91.61
9.99	2868.0	1092.66234	12.85	1.377	0.093094	80.95
31.6	93.3	2.30940108	0.42	1.488	0.113283	87.49
100	62.0	5.29150262	0.28	1.383	0.038519	81.27



**INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMC'S
BY FPA**

Conc (μg/ml)	Raw Data (FPA)									
	RT Activity (CPM)									
0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100	
SAMPLE 1	8974.0	6380.0	6702.0	9405.0	2958.0	14632.0	1719.0	3597.0	250.0	212.0
SAMPLE 2	5944.5	13137.0	11672.0	23691.0	3681.0	2622.0	3931.0	402.0	240.0	212.0
SAMPLE 3	9717.0	2620.0	12247.0	7900.0	10431.0	3040.0	17447.0	620.0	230.0	250.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.679	1.912	2.470	2.130	1.985	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

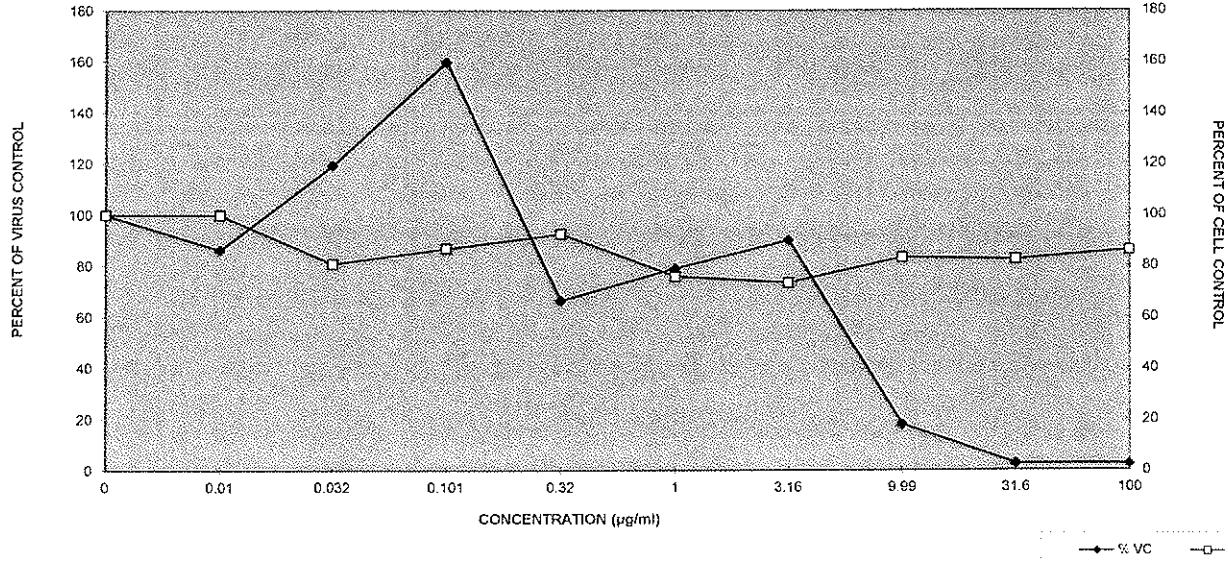
Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92UG005 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	0.288	5.99	26.8
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	5.35	>16.69	>3.73

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8545.2	2255.9	100.00	2.267	0.152	100.00
0.01	7379.0	5329.2	86.35	2.267	0.302	99.99
0.032	10207.0	3049.0	119.45	1.834	0.080	80.89
0.101	13665.3	8715.0	159.92	1.971	0.208	86.91
0.32	5690.0	4121.7	66.59	2.100	0.347	92.62
1	6764.7	6816.5	79.16	1.722	0.455	75.94
3.16	7699.0	8514.2	90.10	1.665	0.306	73.43
9.99	1539.7	1785.0	18.02	1.888	0.310	83.26
31.6	240.0	10.0	2.81	1.871	0.266	82.50
100	224.7	21.9	2.63	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.0003	0.0009	0.003	0.01	0.032	0.1
SAMPLE 1	22657.5	13641.0	9890.0	514.0	1971.0	858.0	110.0
SAMPLE 2	20085.0	12859.0	4950.0	2990.0	1201.0	232.0	326.0
SAMPLE 3	24205.5	14038.0	10025.0	3763.0	1297.0	360.0	188.0

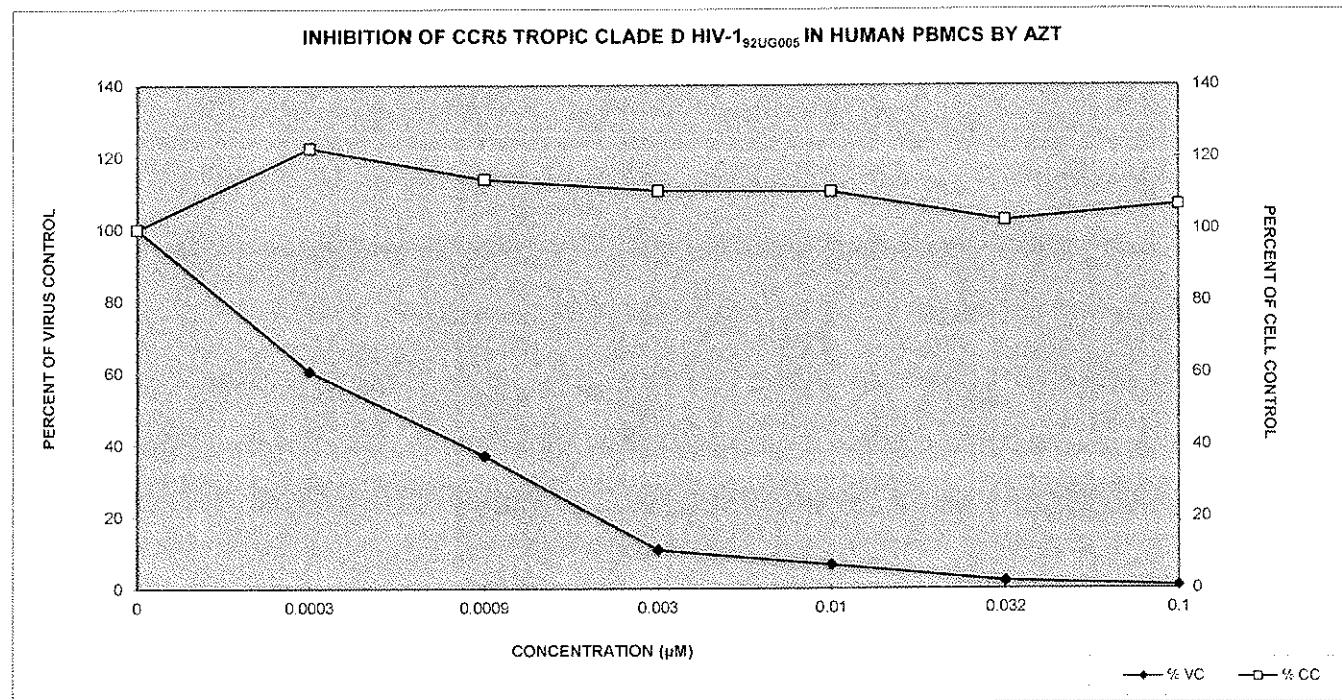
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.0003	0.0009	0.003	0.01	0.032	
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/2/03
 Strain: 92UG005 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/9/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00030	4.92E-04	0.0154
TC (μM)	>0.1	>0.1	>0.1
Therapeutic Index (TI)	>333.33	>203.25	>6.49

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	22316.0	2081.36896	100.00	1.701	0.185547	100.00
0.0003	13512.7	599.885267	60.55	2.085	0.078573	122.53
0.0009	8288.3	2891.86935	37.14	1.938	0.202861	113.91
0.003	2422.3	1697.25789	10.85	1.883	0.128468	110.70
0.01	1489.7	419.601398	6.68	1.878	0.215229	110.38
0.032	483.3	330.722442	2.17	1.743	0.13487	102.42
0.1	208.0	109.380071	0.93	1.817	0.107753	106.80



INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	9974.0	2422.0	1563.0	6188.0	2920.0	676.0	1341.0	376.0	332.0	236.0
SAMPLE 2	5944.5	6776.0	9047.0	9481.0	2960.0	662.0	424.0	332.0	330.0	284.0
SAMPLE 3	9717.0	12831.0	2350.0	5567.0	3777.0	1171.0	478.0	350.0	300.0	278.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.653	1.871	2.236	2.535
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.578
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

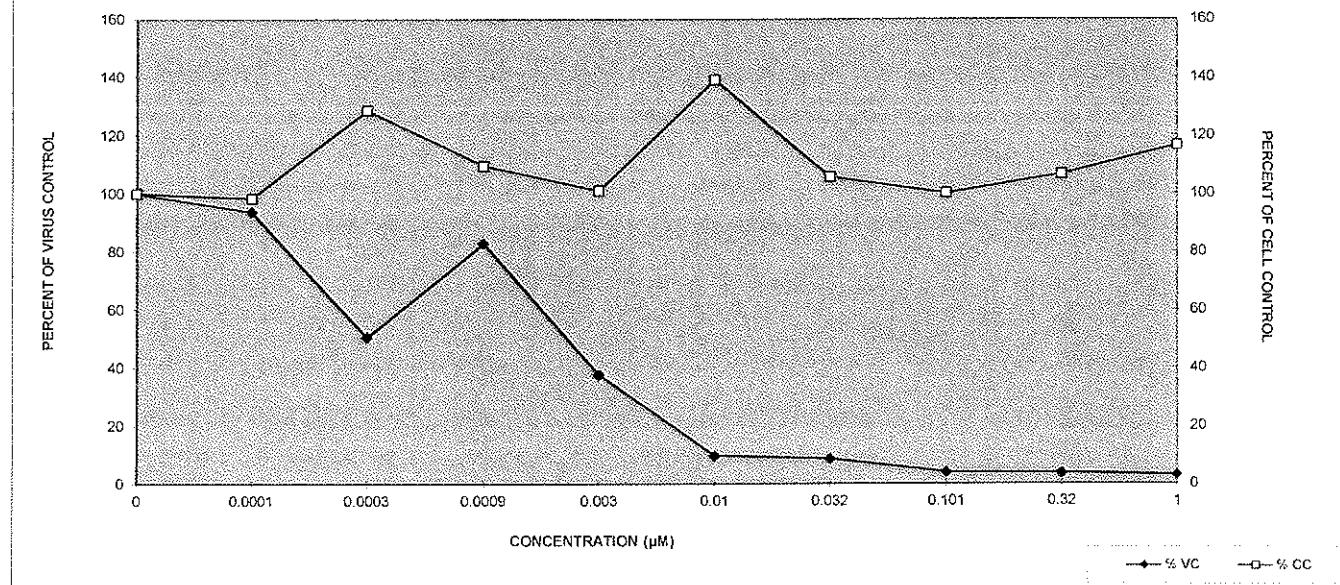
Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92UG005 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	1.61E-04	0.00216	0.0813
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>6211.18	>462.96	>12.30

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8545.2	2255.9	100.00	2.267	0.152	100.00
0.0001	8010.3	5246.8	93.74	2.230	0.400	98.35
0.0003	4320.0	4112.6	50.55	2.916	0.616	128.60
0.0009	7078.7	2103.5	82.84	2.484	0.387	109.56
0.003	3219.0	483.7	37.67	2.290	0.331	101.01
0.01	836.3	289.9	9.79	3.155	0.416	139.15
0.032	747.7	514.6	8.75	2.397	0.274	105.70
0.101	352.7	22.1	4.13	2.269	0.385	100.07
0.32	320.7	17.9	3.75	2.416	0.360	106.56
1	266.0	26.2	3.11	2.645	0.637	116.65

INHIBITION OF CCR5 TROPIC CLADE D HIV-1_{92UG005} IN HUMAN PBMC'S BY AZT



**INHIBITION OF R5X4 TROPIC CLADE D HIV-1_{92UG001} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	12430.0	8660.0	13795.0	6468.0	3929.0	1315.0	200.0
SAMPLE 2	11205.0	9499.0	9535.0	3246.0	5435.0	4624.0	128.0
SAMPLE 3	12943.5	14477.0	11567.0	6216.0	4514.0	276.0	144.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

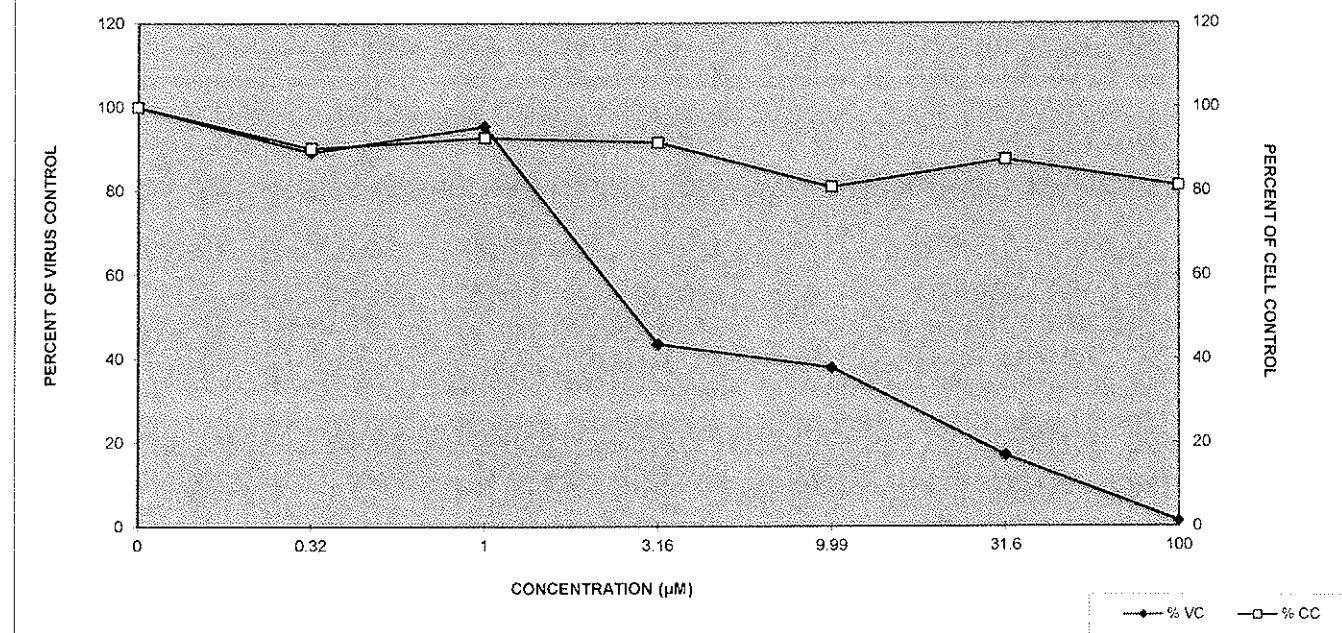
Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92UG001 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: R5X4 Project #: 306-01-02 Client: CISC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.57	2.74	76.2
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>63.69	>36.50	>1.31

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	12192.8	893.186197	100.00	1.701	0.185547	100.00
0.32	10878.7	3144.35722	89.22	1.534	0.115631	90.19
1	11629.0	2125.67824	95.38	1.577	0.079459	92.69
3.16	5310.0	1791.91183	43.55	1.559	0.137825	91.61
9.99	4626.0	759.221312	37.94	1.377	0.093094	80.95
31.6	2071.7	2270.61321	16.99	1.488	0.113283	87.49
100	157.3	37.806525	1.29	1.383	0.038519	81.27

INHIBITION OF R5X4 TROPIC CLADE D HIV-1_{92UG001} IN HUMAN PBMCS BY FPA



INHIBITION OF R5X4 TROPIC CLADE D HIV-1_{92UG001} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	12430.0	5611.0	3114.0	170.0	388.0	136.0	152.0
SAMPLE 2	11205.0	10848.0	3615.0	224.0	608.0	502.0	134.0
SAMPLE 3	12943.5	5731.0	6004.0	382.0	316.0	332.0	170.0

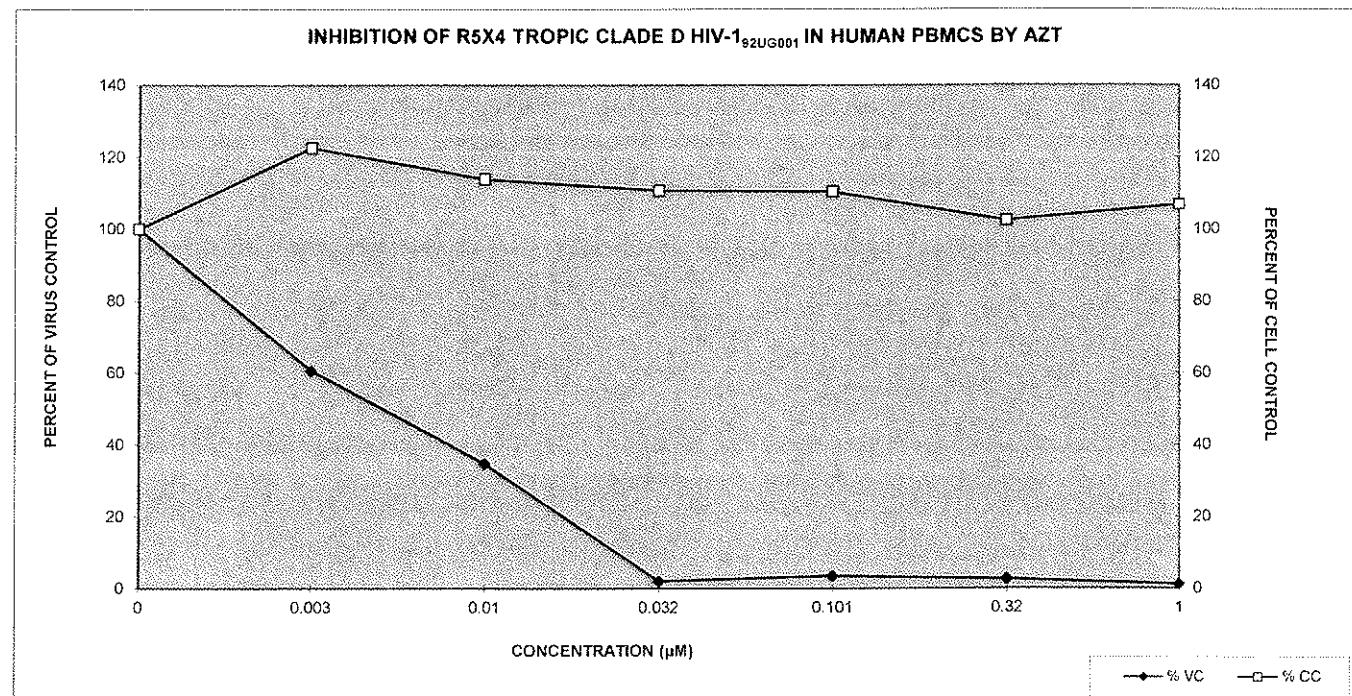
SAMPLE	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/23/13
 Strain: 92UG001 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

EC (μM)	TC (μM)	Therapeutic Index (TI)	25%	50%	95%
			<0.00300	0.00493	0.0289
			>1.0	>1.0	>1.0
			>333.33	>202.84	>34.60

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	12192.8	893.186197	100.00	1.701	0.185547	100.00
0.003	7396.7	2989.5445	60.66	2.085	0.078573	122.53
0.01	4244.3	1544.36729	34.81	1.938	0.202861	113.91
0.032	258.7	110.169566	2.12	1.883	0.128468	110.70
0.101	437.3	152.122757	3.59	1.878	0.215229	110.38
0.32	323.3	183.153852	2.65	1.743	0.13487	102.42
1	152.0	18	1.25	1.817	0.107753	106.80



**INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	13627.0	13699.0	12647.0	9071.0	3212.0	88.0	94.0
SAMPLE 2	16662.5	16556.0	15212.0	8508.0	5944.0	104.0	126.0
SAMPLE 3	18075.5	15469.0	14905.0	6379.0	110.0	124.0	112.0

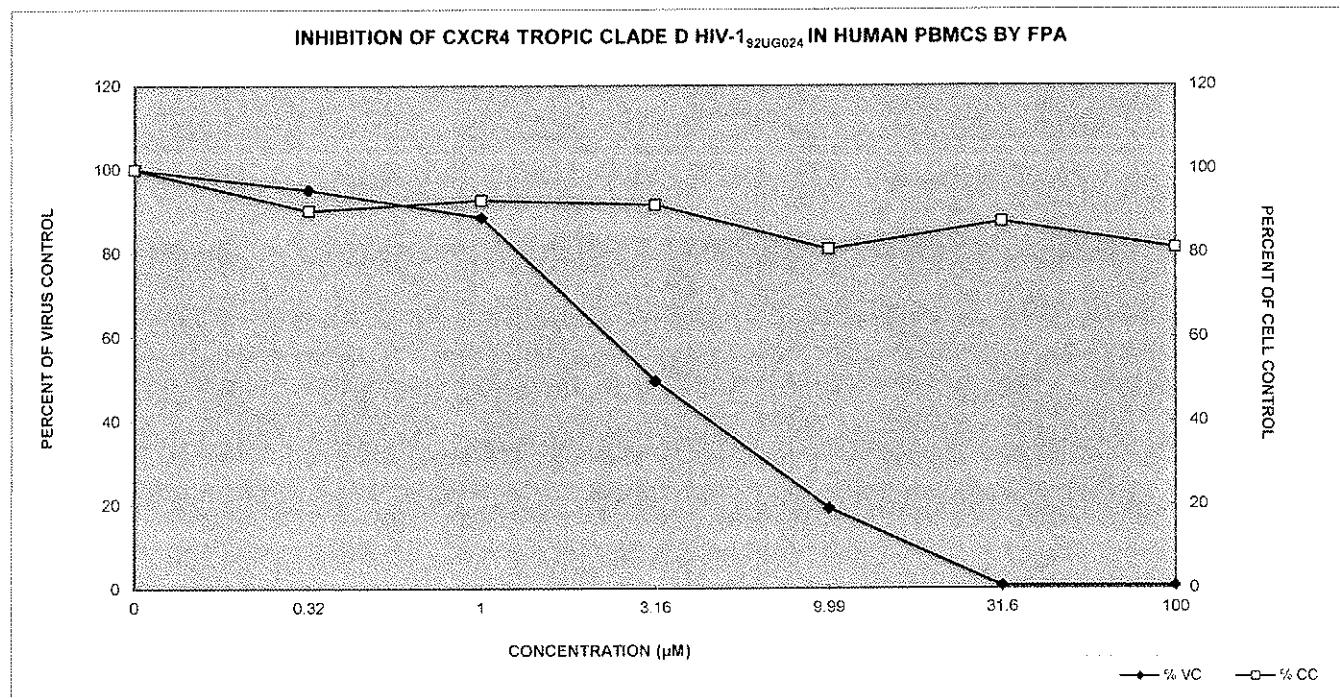
SAMPLE	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92UG024 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.49	3.13	24.1
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>67.11	>31.95	>4.15

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	16088.3	2327.97414	100.00	1.701	0.185547	100.00
0.32	15308.0	1335.79677	95.15	1.534	0.115631	90.19
1	14254.7	1400.71636	88.60	1.577	0.079459	92.69
3.16	7986.0	1419.88697	49.64	1.559	0.137825	91.61
9.99	3088.7	2918.95484	19.20	1.377	0.093094	80.95
31.6	105.3	18.036999	0.65	1.488	0.113283	87.49
100	110.7	16.0416126	0.69	1.383	0.038519	81.27



**INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	40444.5	21659.0	37626.0	43261.0	32609.0	43590.0	16338.0	510.0	130.0	104.0
SAMPLE 2	43998.0	43711.0	30756.0	34098.0	38573.0	8748.0	31156.0	22320.0	116.0	150.0
SAMPLE 3	40330.5	40937.0	43662.0	43590.0	42760.0	37981.0	29181.0	855.0	164.0	184.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.676	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

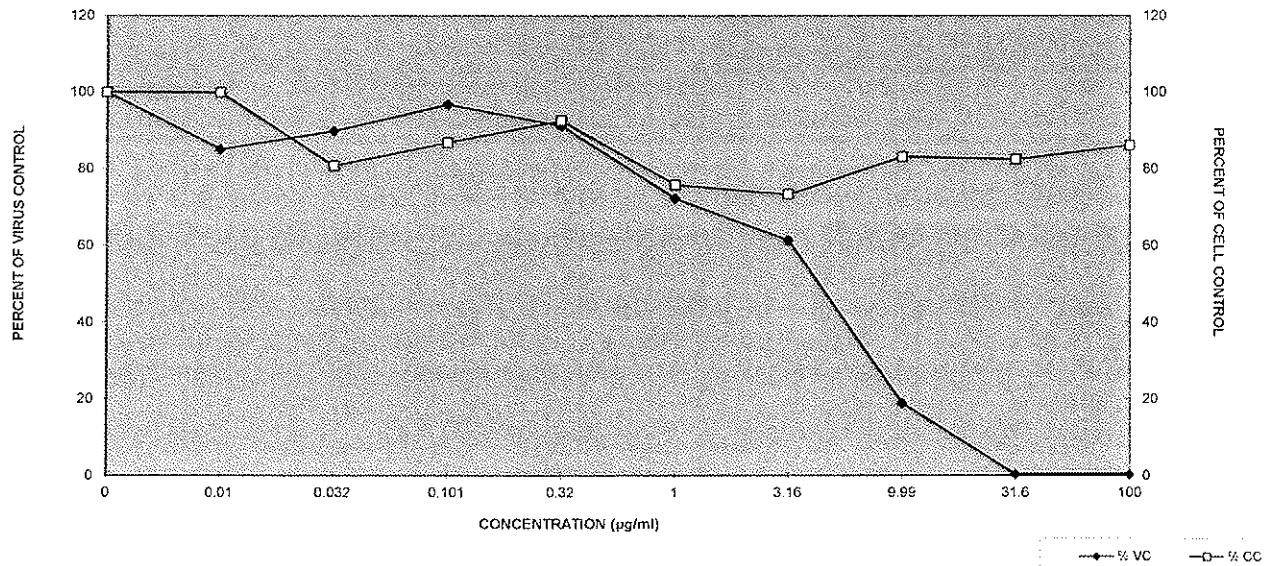
Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 6/10/14
 Strain: 92UG024 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/17/14
 Tropism: CXCR4 Project #: 306 Client: CJSR

Test Compound: FPA

		25%	50%	95%
EC (μM)		0.854	4.31	23.7
TC (μM)		1.54	>100	>100
Therapeutic Index (TI)		1.80	>23.20	>4.22

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	41591.0	2085.3	100.00	2.267	0.152	100.00
0.01	35435.7	12011.3	85.20	2.267	0.302	99.99
0.032	37415.3	6482.9	89.96	1.834	0.080	80.89
0.101	40296.3	5422.4	96.89	1.971	0.208	86.91
0.32	37980.7	5101.4	91.32	2.100	0.347	92.62
1	30106.3	18708.3	72.39	1.722	0.455	75.94
3.16	25559.0	8046.6	61.45	1.665	0.306	73.43
9.99	7895.0	12493.6	18.98	1.888	0.310	83.26
31.6	136.7	24.7	0.33	1.871	0.266	82.50
100	146.0	40.1	0.35	1.954	0.161	86.17

INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	13527.0	15552.0	8864.0	3457.0	248.0	174.0	114.0
SAMPLE 2	16662.5	16455.0	9824.0	2022.0	1155.0	196.0	126.0
SAMPLE 3	18075.5	15646.0	3579.0	4809.0	865.0	184.0	86.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

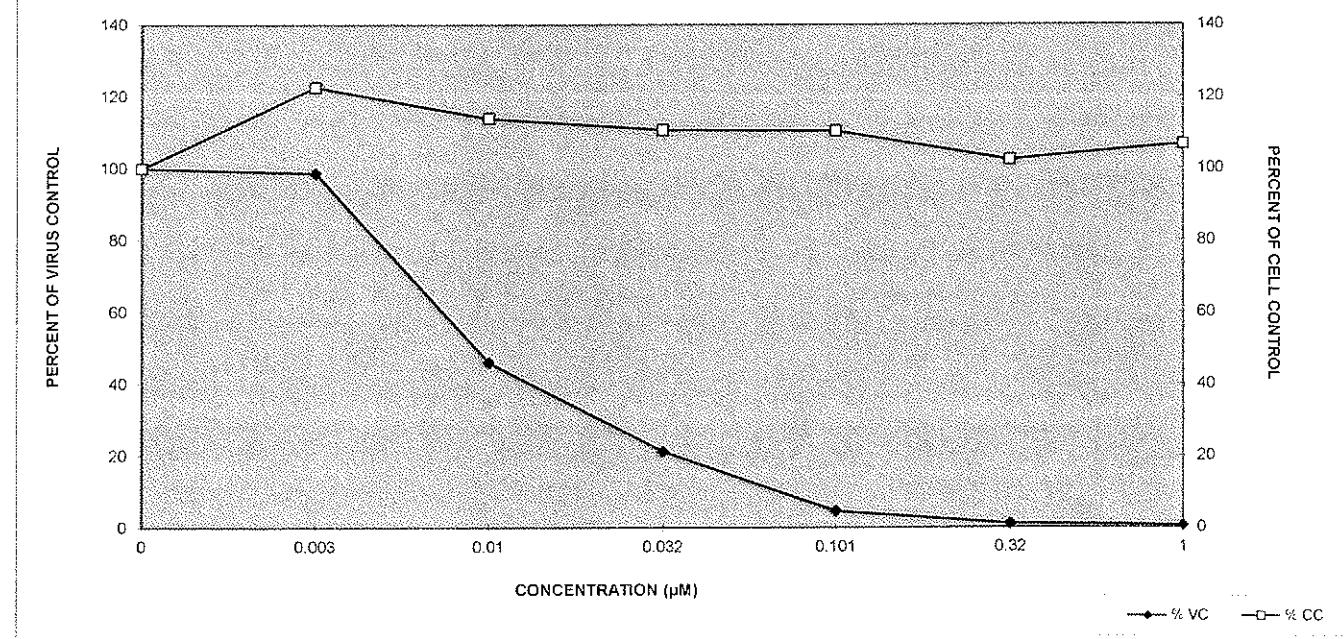
Virus: HIV-1 Clade: D Technician: Lu Yang Setup Date: 10/8/13
 Strain: 92UG024 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00516	0.00915	0.0989
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>193.80	>109.29	>10.11

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	16088.3	2327.97414	100.00	1.701	0.185547	100.00
0.003	15884.3	496.441672	98.73	2.085	0.078573	122.53
0.01	7422.3	3362.85717	46.13	1.938	0.202861	113.91
0.032	3429.3	1393.70597	21.32	1.883	0.128468	110.70
0.101	756.0	463.22025	4.70	1.878	0.215229	110.38
0.32	184.7	11.0151411	1.15	1.743	0.13487	102.42
1	108.7	20.5264058	0.68	1.817	0.107753	106.80

INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMCS BY AZT



INHIBITION OF CXCR4 TROPIC CLADE D HIV-1_{92UG024} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	40444.5	36784.0	49466.0	37626.0	23036.0	6673.0	746.0	268.0	248.0	194.0
SAMPLE 2	43996.0	43372.0	33905.0	36950.0	33727.0	27015.0	2442.0	310.0	252.0	202.0
SAMPLE 3	40330.5	28946.0	30737.0	14176.0	39066.0	1845.0	522.0	318.0	206.0	184.0

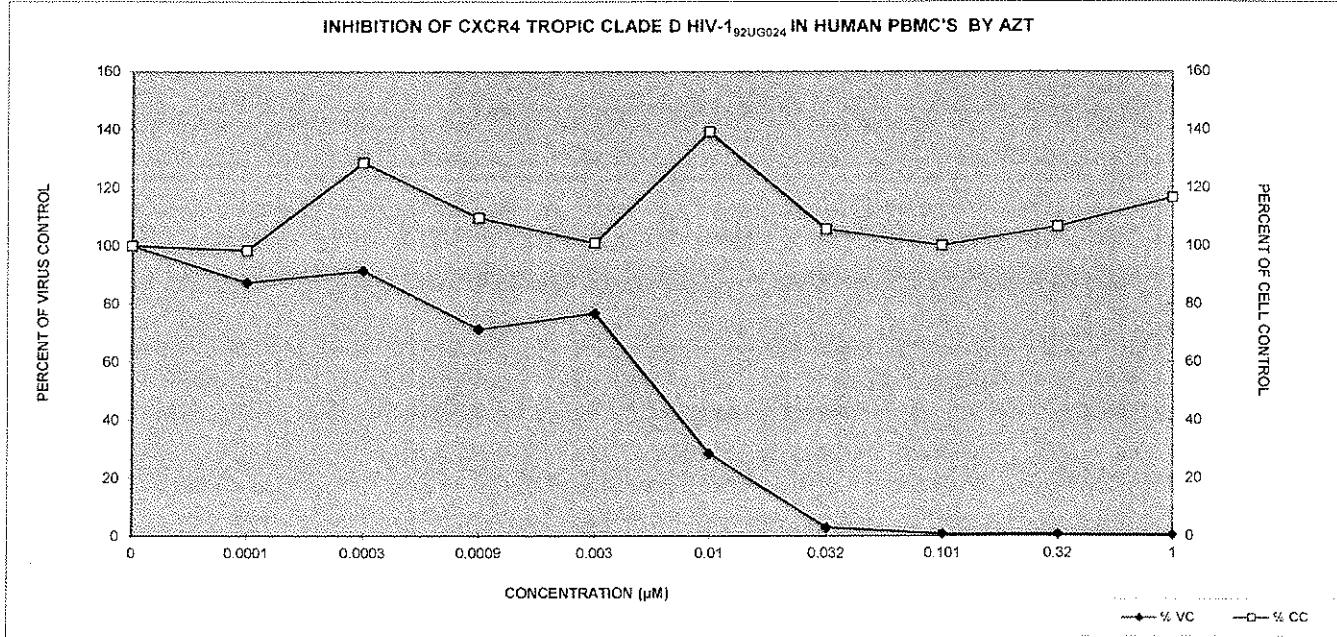
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.238	2.336
SAMPLE 2	2.158	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1
 Strain: 92UG024
 Tropism: CXCR4
 Clade: D
 Cells: HUMAN PBMC'S
 Project #: 306
 Technician: Lu Yang
 PI: Tracy Hartman
 Client: CJSC
 Setup Date: 6/10/14
 Read Date: 6/17/14

Test Compound: AZT

EC (μM) TC (μM) Therapeutic Index (TI)	25%	50%	95%
	7.35E-04	0.00585	0.0292
	>1.0	>1.0	>1.0
	>1360.54	>170.94	>34.25

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	41591.0	2085.3	100.00	2.267	0.152	100.00
0.0001	36367.3	7222.0	87.44	2.230	0.400	98.35
0.0003	38036.0	10024.6	91.45	2.916	0.616	128.60
0.0009	29651.3	13409.2	71.29	2.484	0.387	109.56
0.003	31943.7	8163.4	76.80	2.290	0.331	101.01
0.01	11844.3	13358.1	28.48	3.155	0.416	139.15
0.032	1236.7	1049.8	2.97	2.397	0.274	105.70
0.101	298.7	26.9	0.72	2.269	0.385	100.07
0.32	235.3	25.5	0.57	2.416	0.360	106.56
1	193.3	9.0	0.46	2.645	0.637	116.65



**INHIBITION OF CCR5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	5873.5	4882.0	4956.0	330.0	222.0	210.0	186.0
SAMPLE 2	6901.0	6793.0	4546.0	540.0	770.0	188.0	176.0
SAMPLE 3	8483.5	5819.0	5855.0	1307.0	194.0	180.0	192.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

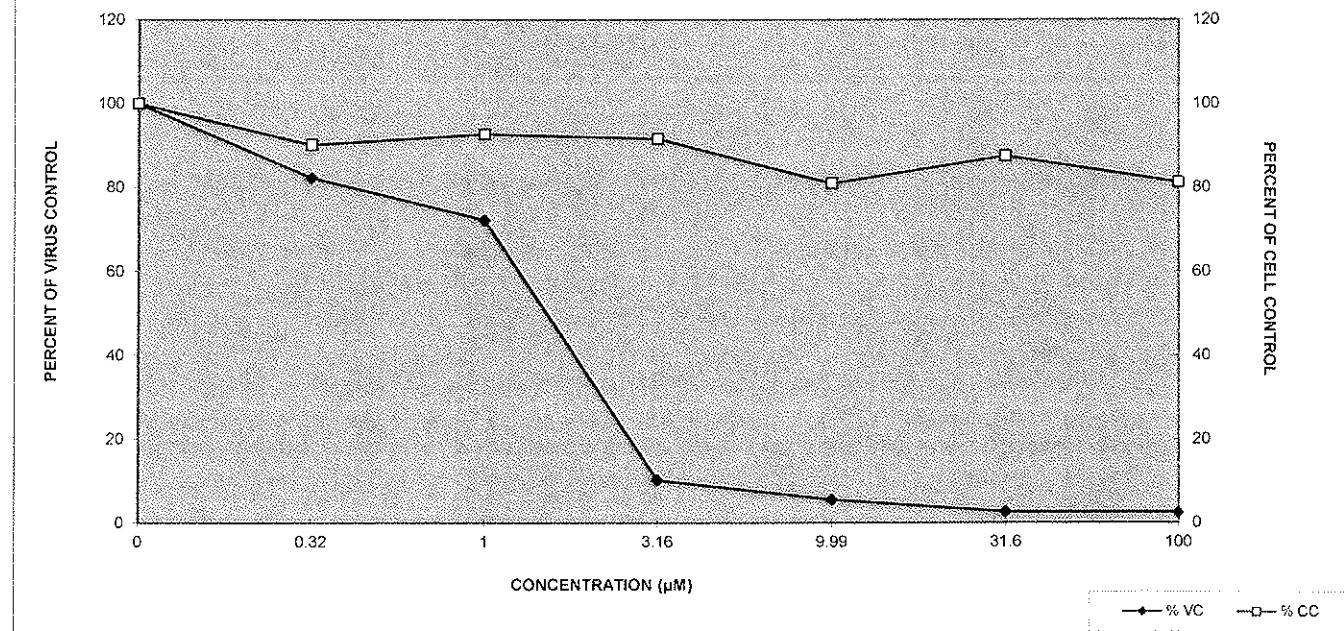
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 10/23/13
 Strain: 93TH060 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

		25%	50%	95%
EC (μM)		0.731	1.51	12.6
TC (μM)		>100	>100	>100
Therapeutic Index (TI)		>136.80	>66.23	>7.94

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	7086.0	1314.79799	100.00	1.701	0.185547	100.00
0.32	5831.3	955.559696	82.29	1.534	0.115631	90.19
1	5119.0	669.549849	72.24	1.577	0.079459	92.69
3.16	725.7	514.282348	10.24	1.559	0.137825	91.61
9.99	395.3	324.772741	5.58	1.377	0.093094	80.95
31.6	192.7	15.5349069	2.72	1.488	0.113283	87.49
100	184.7	8.08290377	2.61	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMCS BY FPA



**INHIBITION OF R5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
	SAMPLE 1	8484.0	6519.0	15953.0	8729.0	6649.0	6645.0	2541.0	905.0	713.0
SAMPLE 2	17733.5	16662.0	9025.0	6610.0	6138.0	4960.0	3756.0	1926.0	202.0	174.0
SAMPLE 3	6697.5	9472.0	5790.0	4114.0	9666.0	3295.0	1744.0	574.0	156.0	210.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

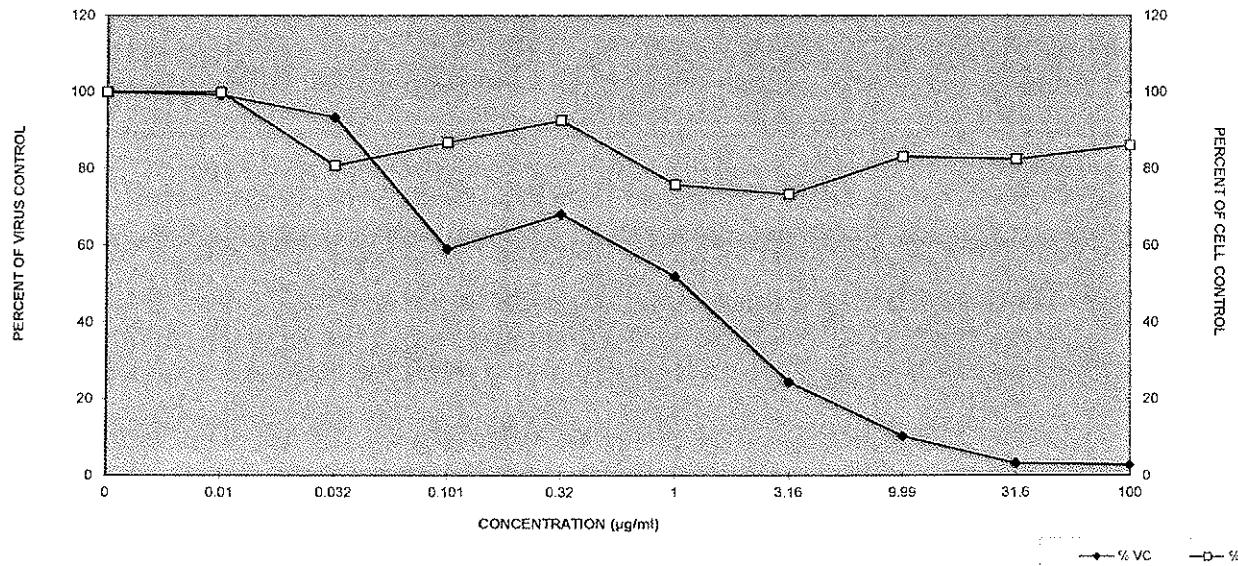
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93TH060 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: R5 Project #: 306 Client: CISC

Test Compound: FPA

	25%	50%	95%
EC (μM)	0.0594	1.09	23.8
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	25.93	>91.74	>4.20

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10971.7	5923.7	100.00	2.267	0.152	100.00
0.01	10891.0	5228.0	99.26	2.267	0.302	99.99
0.032	10256.0	5192.1	93.48	1.834	0.080	80.89
0.101	6484.3	2310.1	59.10	1.971	0.208	86.91
0.32	7484.3	1906.6	68.22	2.100	0.347	92.62
1	5700.0	2848.0	51.95	1.722	0.455	75.94
3.16	2680.3	1013.2	24.43	1.665	0.306	73.43
9.99	1135.7	705.9	10.35	1.888	0.310	83.26
31.6	357.0	309.2	3.25	1.871	0.266	82.50
100	308.7	202.9	2.81	1.954	0.161	86.17

INHIBITION OF R5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	5873.5	5182.0	3555.0	692.0	398.0	198.0	238.0
SAMPLE 2	6901.0	2338.0	1243.0	298.0	226.0	212.0	176.0
SAMPLE 3	8483.5	4324.0	3329.0	274.0	248.0	234.0	164.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6959	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

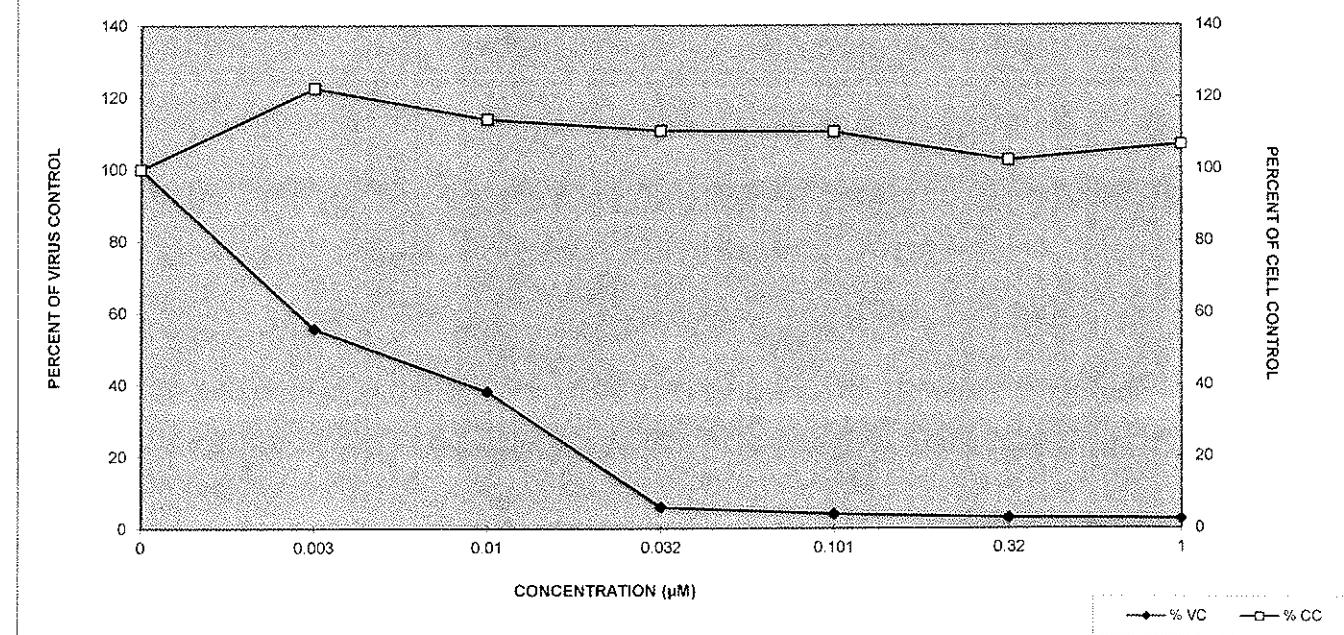
Virus: HIV-1
 Strain: 93TH060
 Tropism: CCR5 Clade: E Cells: HUMAN PBMCS Project #: 306-01-02 Technician: Lu Yang Setup Date: 10/23/13
 PI: Tracy Hartman Read Date: 10/30/13 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	0.00445	0.0577
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>224.72	>17.33

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	7086.0	1314.79799	100.00	1.701	0.185547	100.00
0.003	3948.0	1458.80636	55.72	2.085	0.078573	122.53
0.01	2709.0	1274.6121	38.23	1.938	0.202861	113.91
0.032	421.3	234.71117	5.95	1.883	0.128468	110.70
0.101	290.7	93.6019943	4.10	1.878	0.215229	110.38
0.32	214.7	18.1475435	3.03	1.743	0.13487	102.42
1	192.7	39.715656	2.72	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMCS BY AZT



INHIBITION OF R5 TROPIC CLADE E HIV-1_{93TH060} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	6484.0	2903.0	6016.0	3315.0	1699.0	697.0	326.0	216.0	204.0	214.0
SAMPLE 2	17733.5	5077.0	7660.0	5011.0	44.0	292.0	334.0	216.0	394.0	439.0
SAMPLE 3	6697.5	19647.0	8198.0	2672.0	2780.0	887.0	494.0	464.0	254.0	184.0

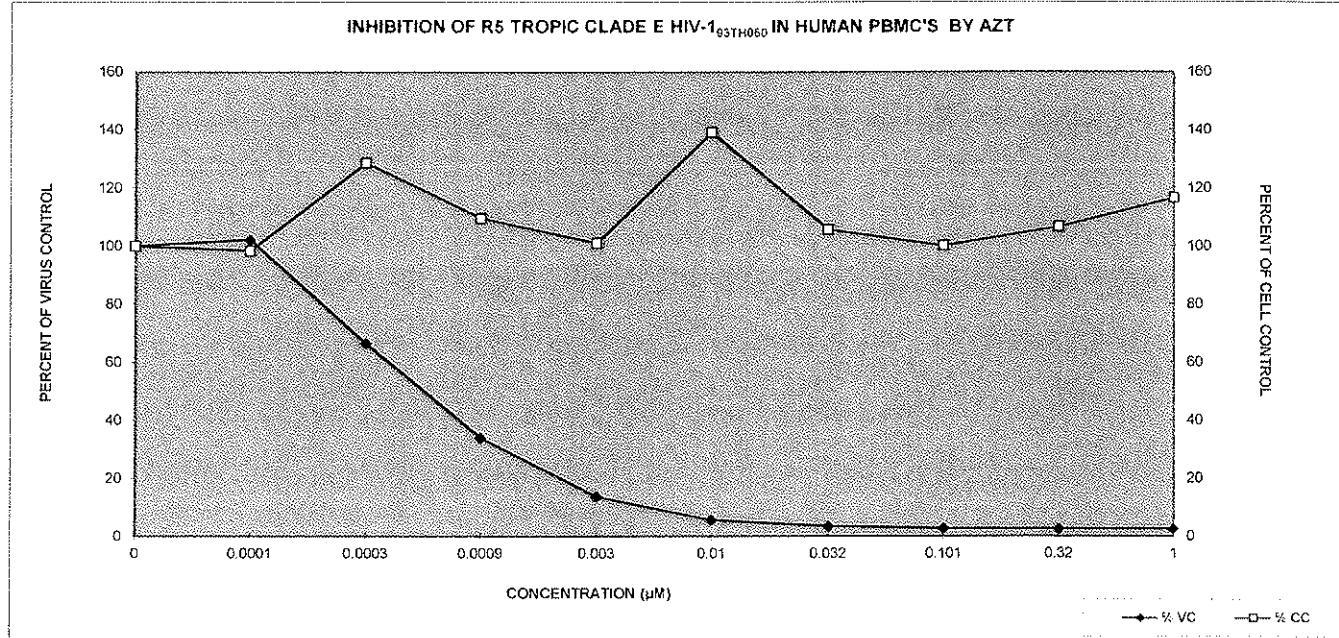
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.236	2.336
SAMPLE 2	2.159	1.787	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93TH060 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: R5 Project #: 306 Client: CJSC

Test Compound: AZT

EC (μM)	TC (μM)	25%		
		50%		
		95%		
		2.31E-04	5.24E-04	0.0145
	>1.0	>1.0	>1.0	>1.0
	>4329.00	>1908.40	>68.97	

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10971.7	5923.7	100.00	2.267	0.152	100.00
0.0001	11209.0	7553.8	102.16	2.230	0.400	98.35
0.0003	7291.3	1136.8	66.46	2.916	0.616	128.60
0.0009	3732.7	1129.0	34.02	2.484	0.387	109.56
0.003	1507.7	1378.0	13.74	2.290	0.331	101.01
0.01	625.3	303.9	5.70	3.155	0.416	139.15
0.032	384.7	94.8	3.51	2.397	0.274	105.70
0.101	299.3	142.6	2.73	2.269	0.385	100.07
0.32	284.0	98.5	2.59	2.416	0.360	106.56
1	279.0	139.4	2.54	2.645	0.637	116.65



**INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	6565.5	9183.0	6570.0	2219.0	398.0	384.0	298.0
SAMPLE 2	6478.5	6664.0	5325.0	2454.0	390.0	360.0	336.0
SAMPLE 3	6591.5	6977.0	4133.0	1689.0	364.0	330.0	288.0

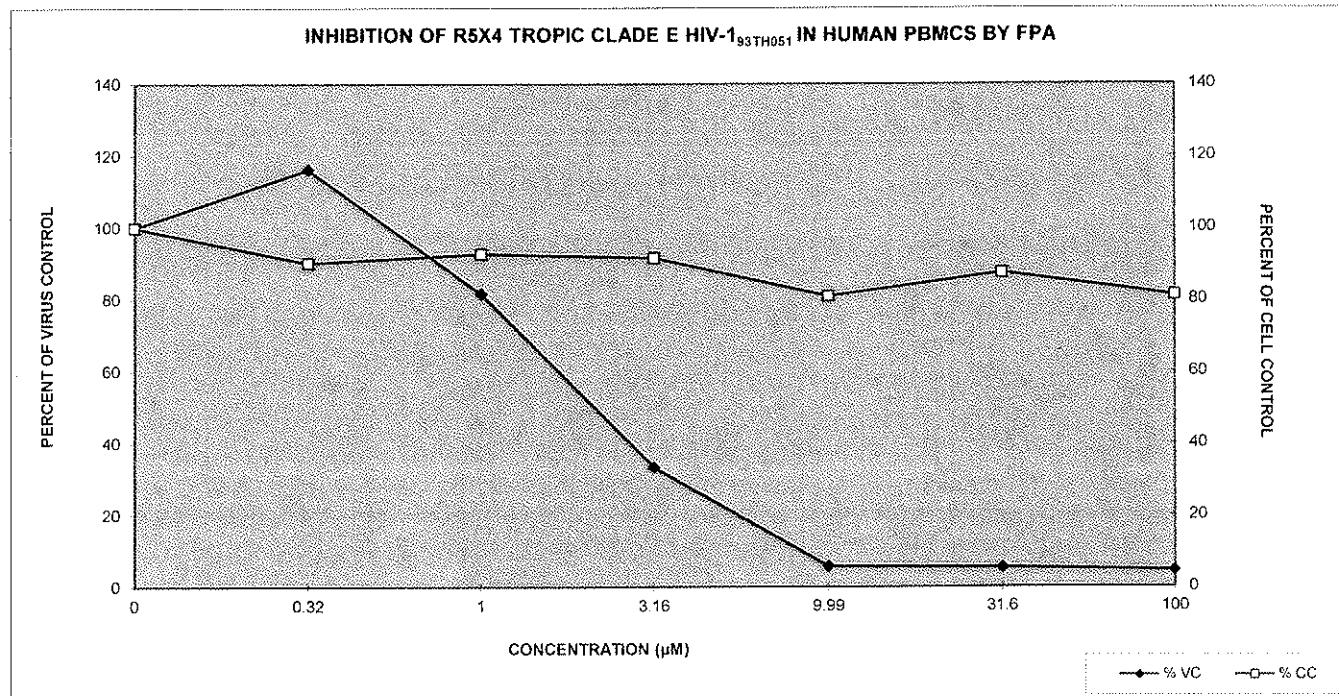
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 10/23/13
 Strain: 93TH051 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.17	2.13	63.6
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>85.47	>46.95	>1.57

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6545.2	59.1805148	100.00	1.701	0.185547	100.00
0.32	7608.0	1372.93882	116.24	1.534	0.115631	90.19
1	5342.7	1218.59605	81.63	1.577	0.079459	92.69
3.16	2187.3	283.828	33.42	1.559	0.137825	91.61
9.99	384.0	17.7763888	5.87	1.377	0.093094	80.95
31.6	358.0	27.0554985	5.47	1.488	0.113283	87.49
100	307.3	25.3245599	4.70	1.383	0.038519	81.27



**INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	13646.5	11032.0	11106.0	13198.0	12073.0	7743.0	4045.0	236.0	200.0	240.0
SAMPLE 2	10611.5	11375.0	11962.0	13767.0	13699.0	9717.0	5084.0	212.0	172.0	188.0
SAMPLE 3	10440.0	9236.0	10429.0	11182.0	10974.0	7705.0	2817.0	316.0	172.0	178.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.966	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

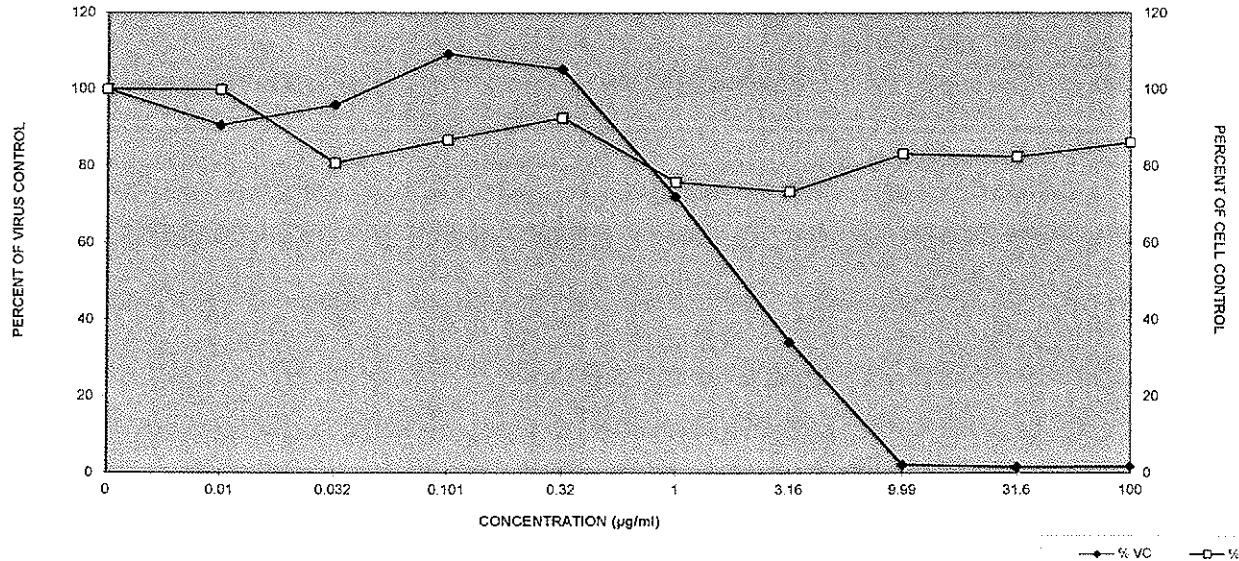
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93TH051 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: R5X4 Project #: 306 Client: CJS/C

Test Compound: FPA

	25%	50%	95%
EC (μM)	0.906	1.96	9.03
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	1.70	>51.02	>11.07

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11632.3	1753.3	100.00	2.267	0.152	100.00
0.01	10547.7	1148.8	90.68	2.267	0.302	99.99
0.032	11165.7	768.2	95.99	1.834	0.080	80.89
0.101	12715.7	1358.3	109.31	1.971	0.208	86.91
0.32	12248.7	1371.0	105.30	2.100	0.347	92.62
1	8388.3	1150.8	72.11	1.722	0.455	75.94
3.16	3982.0	1134.8	34.23	1.665	0.306	73.43
9.99	254.7	54.5	2.19	1.888	0.310	83.26
31.6	181.3	16.2	1.56	1.871	0.266	82.50
100	202.0	33.3	1.74	1.954	0.161	86.17

INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMC'S BY FPA



**INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

RT VALUES (CPM)							
Conc (μ M)	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	6565.5	4878.0	1553.0	1159.0	564.0	392.0	360.0
SAMPLE 2	6478.5	2958.0	1837.0	842.0	434.0	324.0	358.0
SAMPLE 3	6591.5	5129.0	636.0	676.0	514.0	490.0	404.0

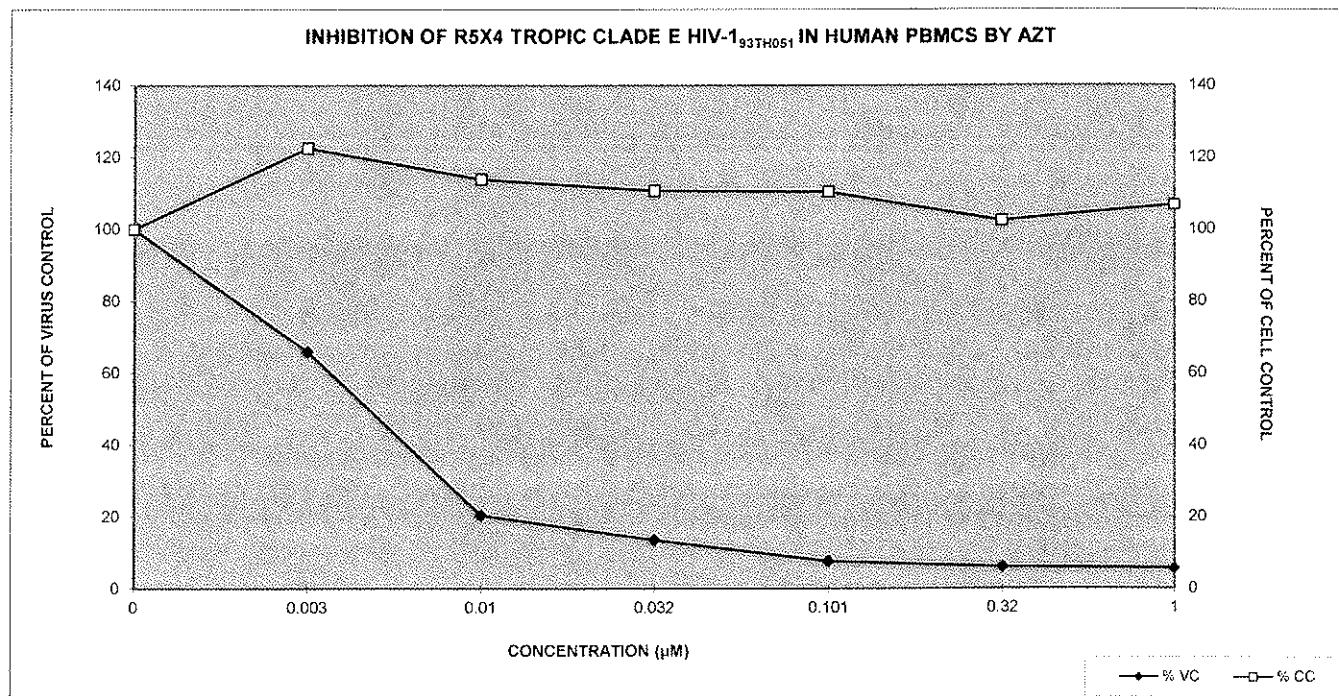
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 10/23/13
 Strain: 93TH051 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: R5X4 Project #: 306-01-02 Client: CJS

Antiviral Compound: AZT

	25%	50%	95%
EC (μ M)	<0.00300	0.00458	>1.0
TC (μ M)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>218.34	1

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6545.2	59.1805148	100.00	1.701	0.185547	100.00
0.003	4321.7	1187.61961	66.03	2.085	0.078573	122.53
0.01	1342.0	627.687024	20.50	1.938	0.202861	113.91
0.032	892.3	245.402391	13.63	1.883	0.128468	110.70
0.101	504.0	65.5743852	7.70	1.878	0.215229	110.38
0.32	402.0	83.4505842	6.14	1.743	0.13487	102.42
1	374.0	26	5.71	1.817	0.107753	106.80



INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	13645.5	7327.0	5041.0	7435.0	5666.0	3487.0	1325.0	446.0	246.0	222.0
SAMPLE 2	10811.5	8417.0	9321.0	6247.0	7118.0	1211.0	650.0	434.0	258.0	222.0
SAMPLE 3	10440.0	8493.0	11560.0	6381.0	4669.0	1911.0	921.0	736.0	290.0	200.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.926	2.661	2.812	2.693	1.871	2.238	2.336
SAMPLE 2	2.159	1.787	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

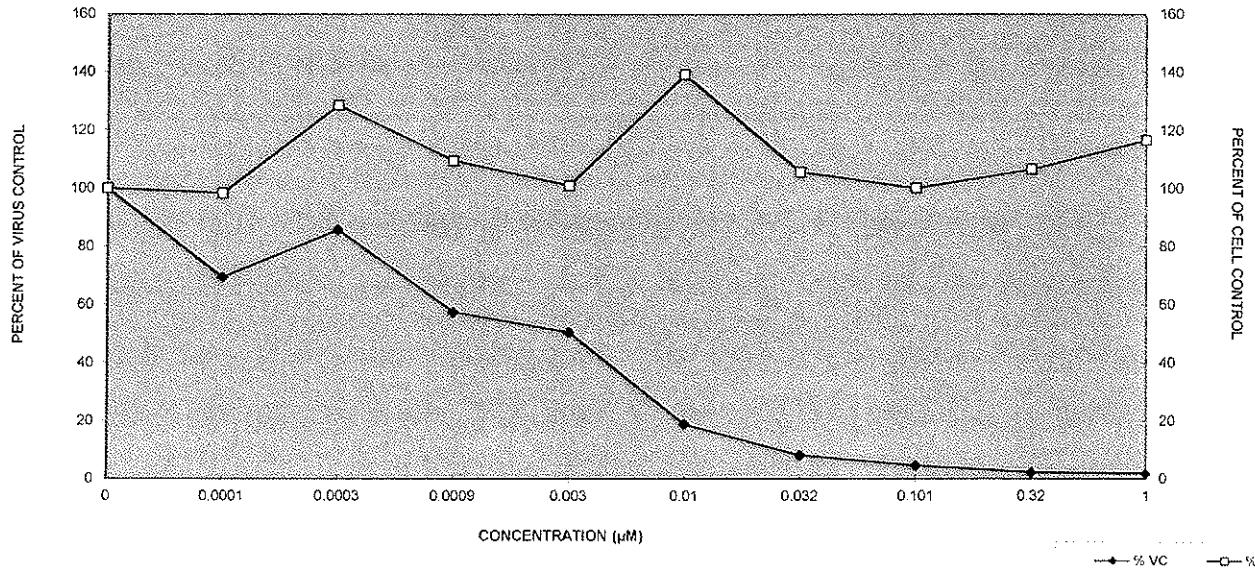
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93TH051 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: R5X4 Project #: 306 Client: CJSC

Test Compound: AZT

EC (μM)	TC (μM)	Therapeutic Index (TI)	25%	50%	95%
			<0.0001	0.00307	0.0899
			>1.0	>1.0	>1.0
			>10000.00	>325.73	>11.12

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11632.3	1753.3	100.00	2.267	0.152	100.00
0.0001	8079.0	652.4	69.45	2.230	0.400	98.35
0.0003	9974.0	1380.6	85.74	2.916	0.616	128.60
0.0009	6687.7	650.7	57.49	2.484	0.387	109.56
0.003	5884.3	1140.3	50.59	2.290	0.331	101.01
0.01	2203.0	1165.8	18.94	3.155	0.416	139.15
0.032	958.7	349.0	8.24	2.397	0.274	105.70
0.101	539.3	172.2	4.64	2.269	0.385	100.07
0.32	258.0	28.0	2.22	2.416	0.360	106.56
1	214.7	12.7	1.85	2.645	0.637	116.65

INHIBITION OF R5X4 TROPIC CLADE E HIV-1_{93TH051} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

Conc (µg/ml)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1073.0	2440.0	1919.0	652.0	16.0	18.0	6.0
SAMPLE 2	2381.0	2276.0	1133.0	406.0	12.0	16.0	4.0
SAMPLE 3	1513.5	1992.0	1281.0	496.0	24.0	12.0	2.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4097	1.8595	1.3560	1.0766	0.9297	0.7951	0.7054
SAMPLE 2	1.2629	1.7507	1.4279	1.1466	0.5874	0.7323	0.6620
SAMPLE 3	1.4450	1.9488	1.5725	1.0373	0.7139	0.5914	0.6599

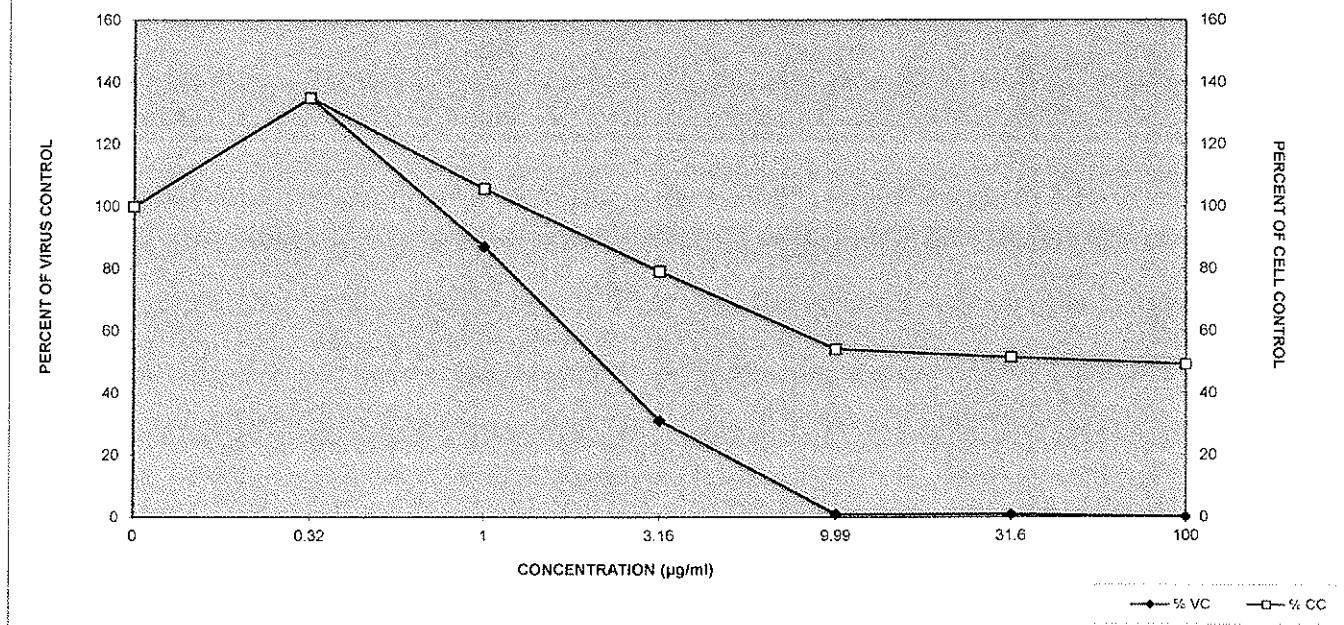
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/12/13
 Strain: CMU02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 6/19/13
 Tropism: CXCR4 Project #: 306-01-01 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (µg/ml)	1.29	2.15	8.59
TC (µg/ml)	3.84	67.3	>100
Therapeutic Index (TI)	2.98	31.30	>11.64

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1655.8	665.5149	100.00	1.373	0.09654347	100.00
0.32	2236.0	226.66275	135.04	1.853	0.09920983	135.01
1	1444.3	417.6809	87.23	1.452	0.1102656	105.80
3.16	518.0	124.46686	31.28	1.088	0.05519085	79.23
9.99	17.3	6.1101009	1.05	0.744	0.17308051	54.18
31.6	15.3	3.0550505	0.93	0.706	0.1043155	51.46
100	4.0	2	0.24	0.676	0.02568469	49.24

INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	14358.5	10209.0	8700.0	4854.0	3265.0	114.0	104.0
SAMPLE 2	12441.0	13645.0	8361.0	7183.0	5884.0	134.0	120.0
SAMPLE 3	10990.0	11061.0	10113.0	7101.0	4256.0	78.0	136.0

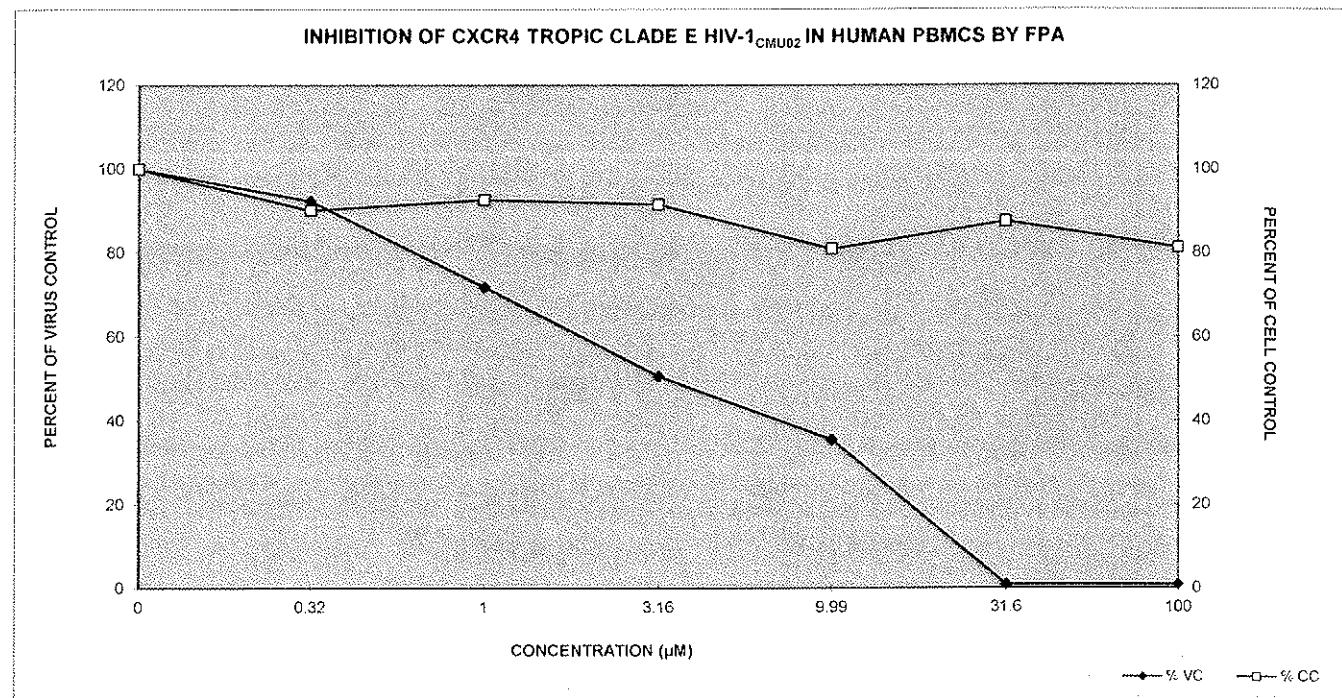
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 10/8/13
 Strain: CMU02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.842	3.32	27.5
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>118.76	>30.12	>3.64

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	12596.5	1689.62518	100.00	1.701	0.185547	100.00
0.32	11638.3	1789.2762	92.39	1.534	0.115631	90.19
1	9058.0	929.246469	71.91	1.577	0.079459	92.69
3.16	6379.3	1321.61353	50.64	1.559	0.137825	91.61
9.99	4468.3	1322.34804	35.47	1.377	0.093094	80.95
31.6	108.7	28.3783955	0.86	1.488	0.113283	87.49
100	120.0	16	0.95	1.383	0.038519	81.27



INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1073.0	1207.0	410.0	50.0	26.0	4.0	8.0
SAMPLE 2	2381.0	446.0	190.0	38.0	24.0	20.0	0.0
SAMPLE 3	1513.5	925.0	314.0	86.0	96.0	82.0	6.0

TOXICITY VALUES (XTT - O, D, @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4097	2.0225	1.4917	1.6022	1.1445	1.3685	0.7054
SAMPLE 2	1.2629	2.1437	1.4541	1.5993	1.3547	1.5067	1.4730
SAMPLE 3	1.4450	1.9495	1.4282	1.4962	1.2369	1.2629	1.5633

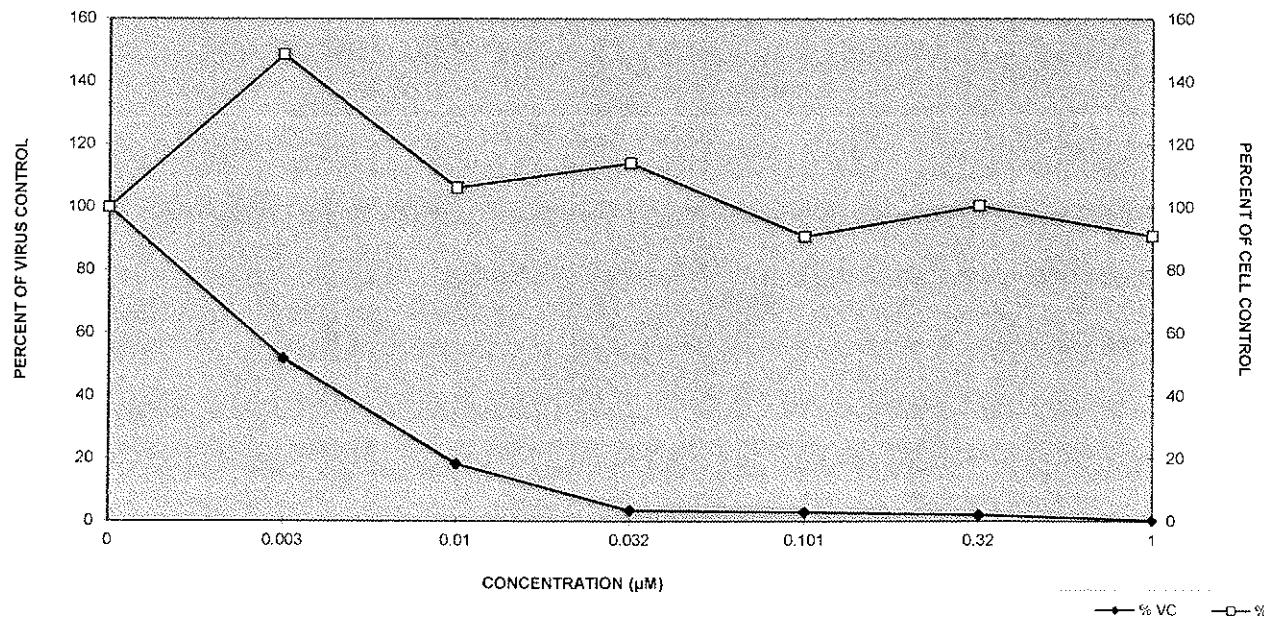
Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 6/12/13
 Strain: CMU02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 6/19/13
 Tropism: CXCR4 Project #: 306-01-01 Client: CJSC

Antiviral Compound: AZT

EC (μM) TC (μM) Therapeutic Index (TI)	25%	50%	95%
	<0.00300	0.00321	0.0285
	>1.0	>1.0	>1.0

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1655.8	665.514901	100.00	1.373	0.096543	100.00
0.003	859.3	384.72631	51.90	2.039	0.098092	148.53
0.01	304.7	110.29657	18.40	1.458	0.031929	106.23
0.032	58.0	24.979992	3.50	1.566	0.060379	114.09
0.101	48.7	41.0040648	2.94	1.245	0.105355	90.74
0.32	35.3	41.1987055	2.13	1.379	0.122263	100.50
1	4.7	4.163332	0.28	1.247	0.471409	90.87

INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS BY AZT



**INHIBITION OF CXCR4 TROPIC CLADE E HIV-1_{CMU02} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

RT VALUES (CPM)						
Conc (μM)	0	0.003	0.01	0.032	0.101	0.32
SAMPLE 1	14358.5	8708.0	5579.0	690.0	212.0	180.0
SAMPLE 2	12441.0	10161.0	5111.0	977.0	168.0	108.0
SAMPLE 3	10890.0	6969.0	8616.0	1153.0	276.0	130.0
						64.0

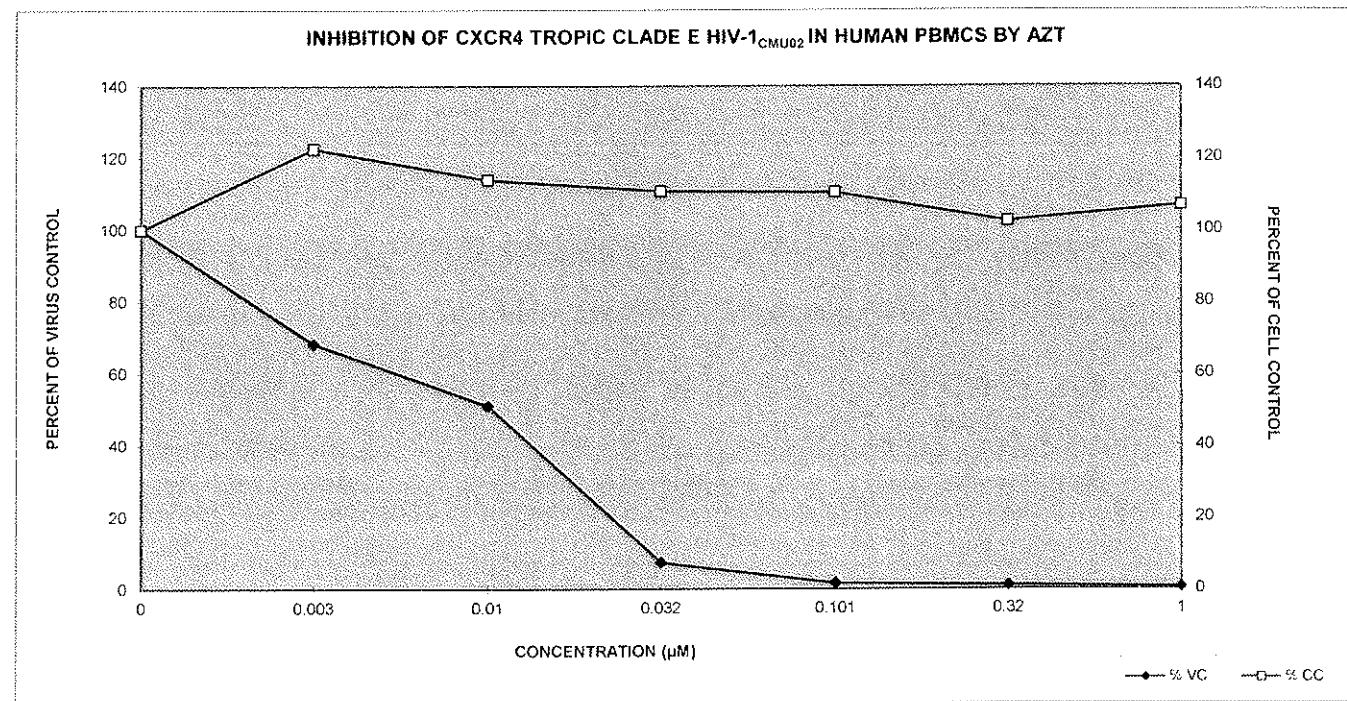
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
SAMPLE 1	0	0.003	0.01	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364
						1.7097

Virus: HIV-1 Clade: E Technician: Lu Yang Setup Date: 10/8/13
 Strain: CMU02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	0.0103	0.0525
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>97.09	>19.05

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	12596.5	1689.62518	100.00	1.701	0.185547	100.00
0.003	8612.7	1598.13402	68.37	2.085	0.078573	122.53
0.01	6435.3	1902.95463	51.09	1.938	0.202861	113.91
0.032	940.0	233.707082	7.46	1.883	0.128468	110.70
0.101	218.7	54.3077649	1.74	1.878	0.215229	110.38
0.32	139.3	36.8962509	1.11	1.743	0.13487	102.42
1	80.7	18.1475435	0.64	1.817	0.107753	106.80



**INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	11181.5	9960.0	5725.0	3757.0	875.0	120.0	80.0
SAMPLE 2	9377.5	9427.0	7720.0	4183.0	1505.0	92.0	140.0
SAMPLE 3	10882.0	10317.0	9115.0	3963.0	899.0	142.0	60.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4695	1.6676	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

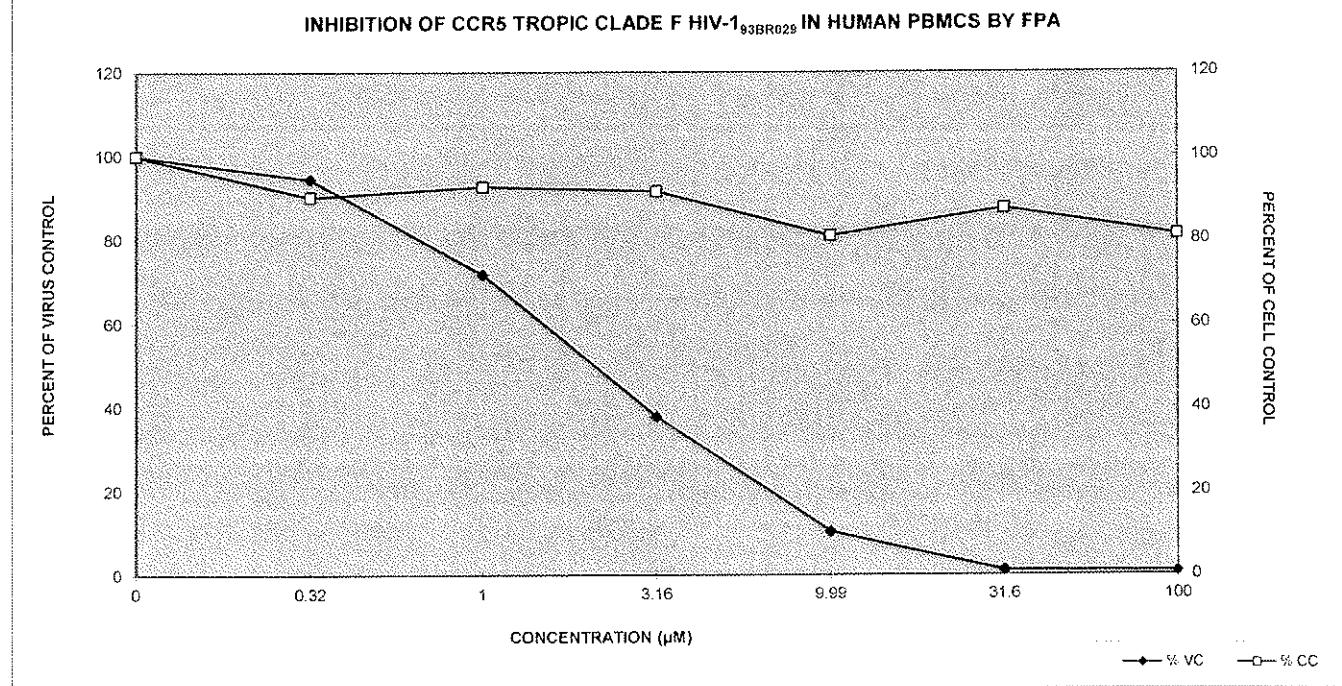
Virus: HIV-1 Clade: F Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93BR029 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.850	2.09	19.6
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>117.65	>47.85	>5.10

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10480.3	966.750269	100.00	1.701	0.185547	100.00
0.32	9901.3	447.890984	94.48	1.534	0.115631	90.19
1	7520.0	1703.82658	71.75	1.577	0.079459	92.69
3.16	3967.7	213.038338	37.86	1.559	0.137825	91.61
9.99	1093.0	357.004202	10.43	1.377	0.093094	80.95
31.6	118.0	25.0599282	1.13	1.488	0.113283	87.49
100	93.3	41.63332	0.89	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	9806.5	7535.0	19548.0	2551.0	5238.0	2278.0	2160.0	206.0	124.0	134.0
SAMPLE 2	9570.5	2568.0	7591.0	2593.0	8572.0	3612.0	3265.0	3855.0	100.0	120.0
SAMPLE 3	7657.5	3827.0	10414.0	9270.0	8662.0	14232.0	939.0	166.0	100.0	92.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.679	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.166	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

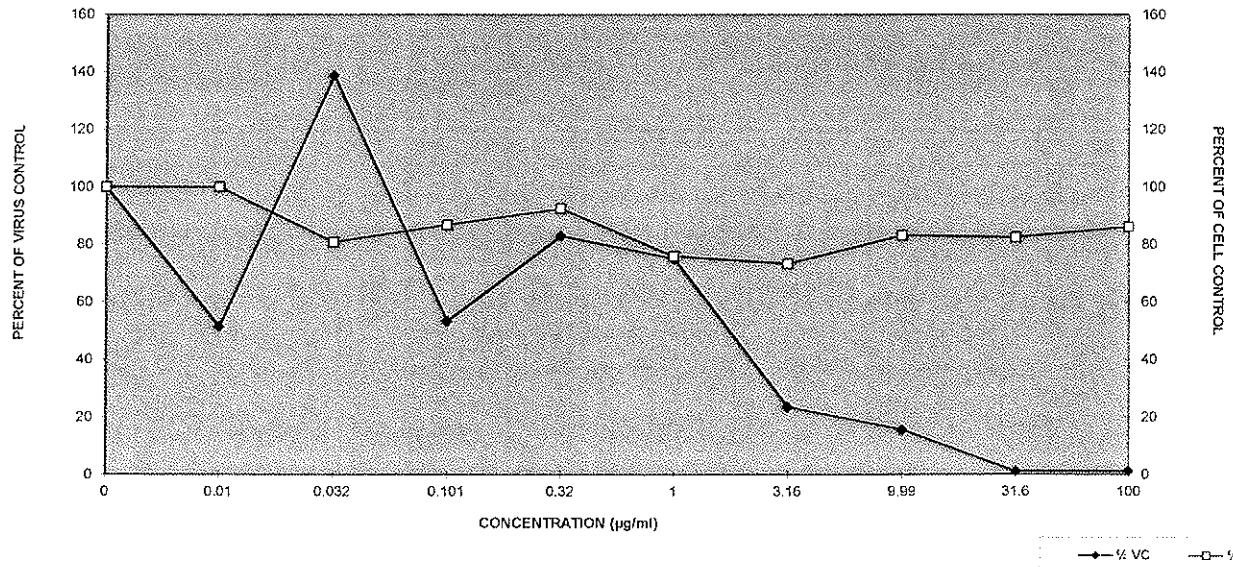
Virus: HIV-1 Clade: F Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93BR029 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: FPA

EC (μM)	25%	50%	95%
	<0.0100	1.75	23.4
	TC (μM)	1.54	>100
Therapeutic Index (TI)	>154.00	>57.14	>4.27

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9011.5	1178.5	100.00	2.267	0.152	100.00
0.01	4643.3	2582.2	51.53	2.267	0.302	99.99
0.032	12517.7	6249.9	138.91	1.834	0.080	80.89
0.101	4814.7	3858.4	53.43	1.971	0.208	86.91
0.32	7490.7	1951.4	83.12	2.100	0.347	92.62
1	6774.0	6504.2	75.17	1.722	0.455	75.94
3.16	2128.0	1173.3	23.61	1.665	0.306	73.43
9.99	1415.7	2112.5	15.71	1.888	0.310	83.26
31.6	108.0	13.9	1.20	1.871	0.266	82.50
100	115.3	21.4	1.28	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μ M)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	11161.5	7530.0	5663.0	266.0	280.0	166.0	114.0
SAMPLE 2	9377.5	6318.0	3905.0	1801.0	304.0	200.0	120.0
SAMPLE 3	10882.0	7162.0	3495.0	965.0	294.0	276.0	118.0

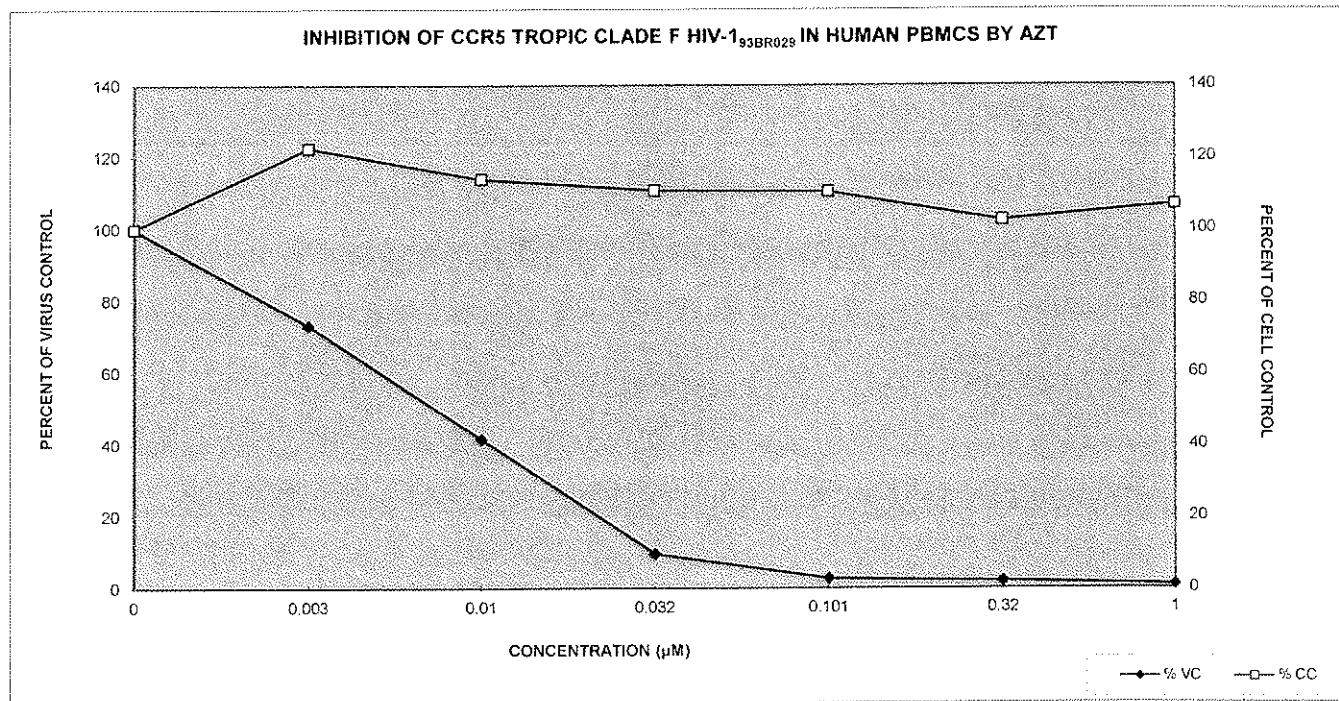
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: F Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93BR029 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μ M)	<0.00300	0.00727	0.0697
TC (μ M)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>137.55	>14.35

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10480.3	966.750269	100.00	1.701	0.185547	100.00
0.003	7676.7	582.028636	73.25	2.085	0.078573	122.53
0.01	4361.0	1163.09415	41.61	1.938	0.202861	113.91
0.032	1010.7	768.518271	9.64	1.883	0.128468	110.70
0.101	292.7	12.0554275	2.79	1.878	0.215229	110.38
0.32	214.0	56.3205114	2.04	1.743	0.13487	102.42
1	117.3	3.05505046	1.12	1.817	0.107753	106.80



INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR029} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	9806.5	11811.0	12490.0	5666.0	2778.0	760.0	330.0	144.0	62.0	146.0
SAMPLE 2	9570.5	2070.0	1143.0	2670.0	510.0	214.0	116.0	192.0	128.0	136.0
SAMPLE 3	7657.5	4663.0	14768.0	5653.0	2051.0	1035.0	158.0	172.0	128.0	128.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.695	1.871	2.238	2.336
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.155	2.639	2.160	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1
 Strain: 93BR029
 Tropism: CCR5

Clade: F
 Cells: HUMAN PBMC'S
 Project #: 306

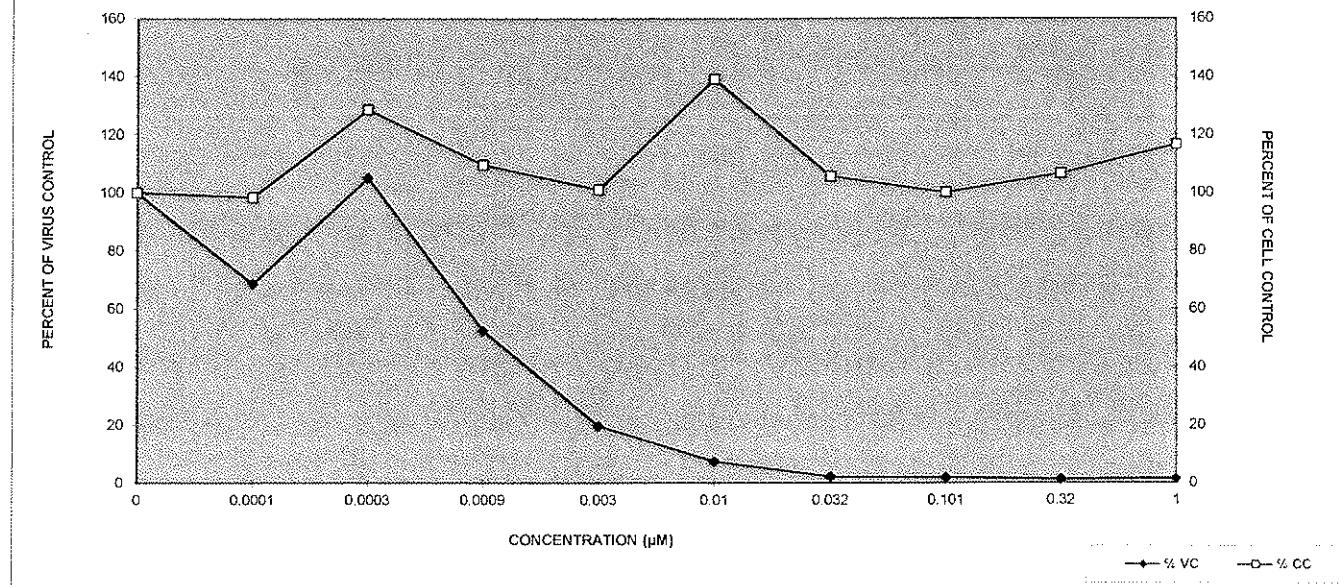
Technician: Lu Yang Setup Date: 6/13/14
 PI: Tracy Hartman Read Date: 6/20/14
 Client: CJSC

Test Compound: AZT

EC (μM)	25%		
	50%		
	95%		
TC (μM)	<0.0001	9.86E-04	0.0174
Therapeutic Index (TI)	>1.0	>1.0	>1.0
	>10000.00	>1014.20	>57.47

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9011.5	1178.5	100.00	2.267	0.152	100.00
0.0001	6188.0	5041.9	68.67	2.230	0.400	98.35
0.0003	9467.0	7298.2	105.05	2.916	0.616	128.60
0.0009	4729.7	1610.5	52.48	2.484	0.387	109.56
0.003	1779.7	1158.1	19.75	2.290	0.331	101.01
0.01	676.3	420.2	7.51	3.155	0.416	139.15
0.032	202.0	112.6	2.24	2.397	0.274	105.70
0.101	169.3	24.1	1.88	2.269	0.385	100.07
0.32	112.7	26.6	1.25	2.416	0.360	106.56
1	136.7	9.0	1.52	2.645	0.637	116.65

INHIBITION OF CCR5 TROPIC CLADE F HIV-1_{93BR025} IN HUMAN PBMC'S BY AZT



**INHIBITION OF CXCR4 TROPIC CLADE B/F HIV-1_{93BR019} IN HUMAN PBMCS
BY FPA**

Raw Data (FPA)

RT VALUES (CPM)							
Conc (μM)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2720.0	2988.0	1901.0	1499.0	717.0	146.0	112.0
SAMPLE 2	3211.0	2860.0	2386.0	1149.0	747.0	158.0	78.0
SAMPLE 3	3305.0	2957.0	2196.0	1313.0	799.0	178.0	108.0

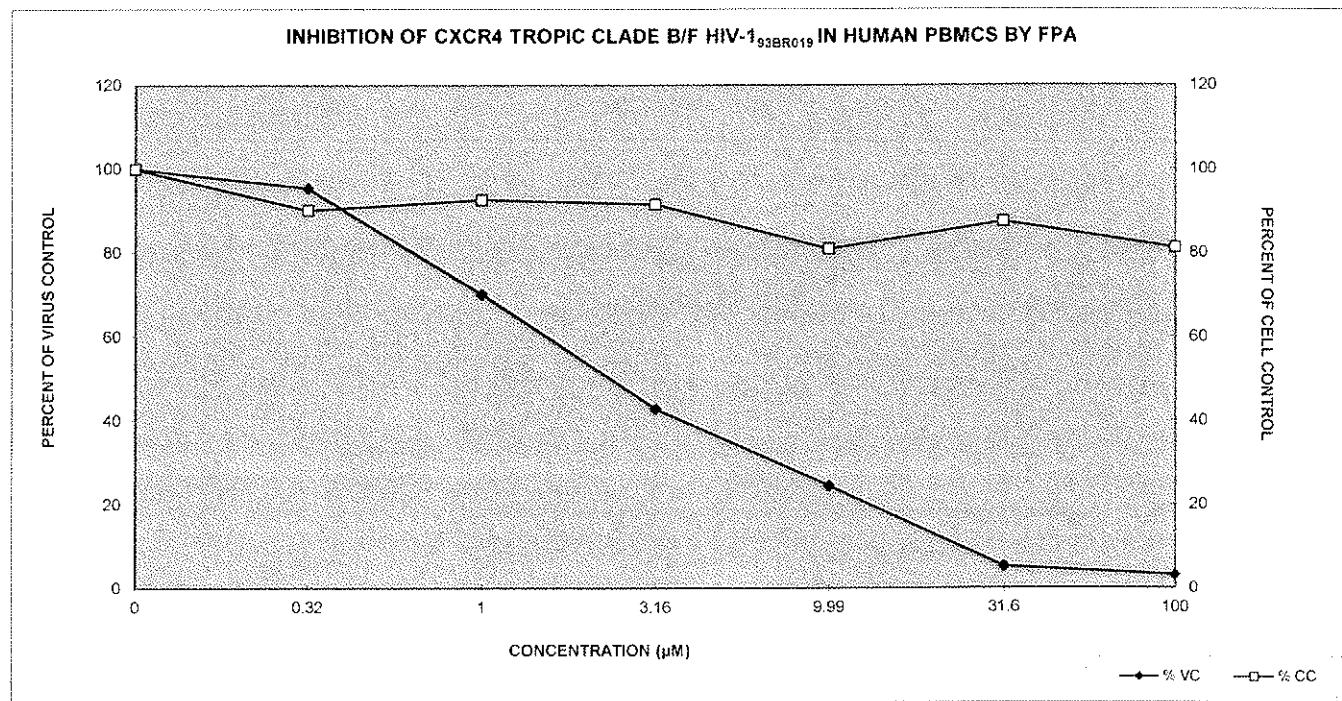
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

Virus: HIV-1 Clade: B/F Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93BR019 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.805	2.34	35.9
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>124.22	>42.74	>2.79

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	3078.7	314.150176	100.00	1.701	0.185547	100.00
0.32	2938.3	68.6464371	95.44	1.534	0.115631	90.19
1	2161.0	244.386988	70.19	1.577	0.079459	92.69
3.16	1320.3	175.1152	42.89	1.559	0.137825	91.61
9.99	754.3	41.4889544	24.50	1.377	0.093094	80.95
31.6	160.7	16.1658075	5.22	1.488	0.113283	87.49
100	99.3	18.5831465	3.23	1.383	0.038519	81.27



**INHIBITION OF CXCR4 TROPIC CLADE F HIV-1_{93BR019} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	12090.5	10777.0	12044.0	11791.0	12834.0	10603.0	6192.0	1665.0	134.0	92.0
SAMPLE 2	9745.5	10739.0	9683.0	8201.0	11629.0	12116.0	5214.0	2664.0	126.0	92.0
SAMPLE 3	10385.0	9975.0	11218.0	14555.0	11050.0	11769.0	7685.0	3531.0	114.0	68.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.679	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.882	2.201	2.047	1.805	1.677	1.539	2.092	2.054

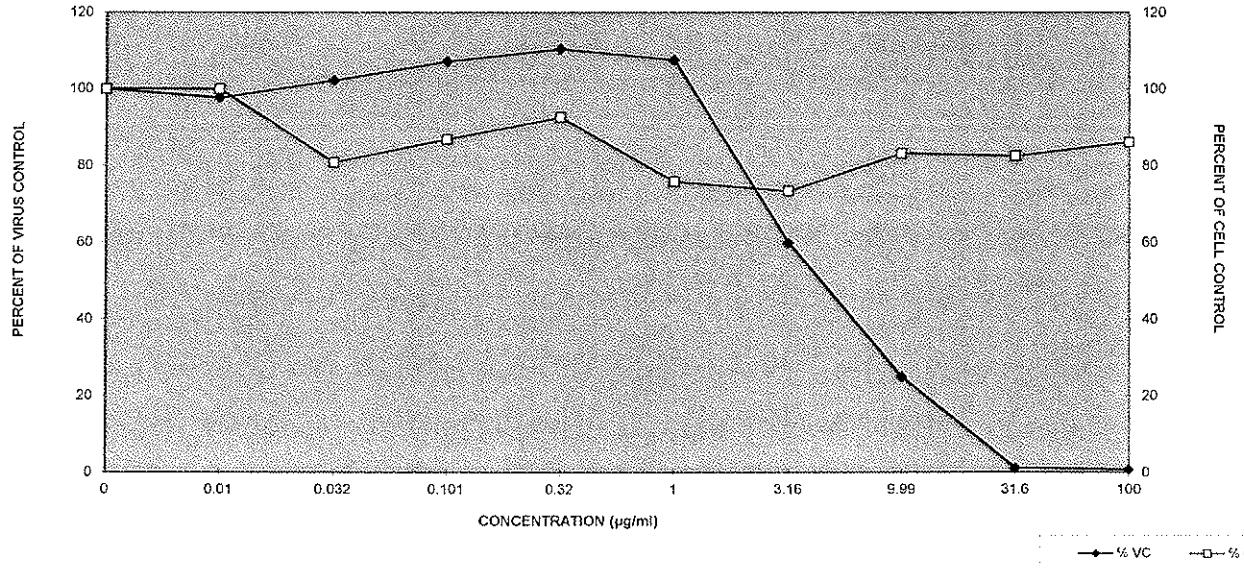
Virus: HIV-1 Clade: F Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93BR019 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CXCR4 Project #: 306 Client: CISC

Test Compound: FPA

	25%	50%	95%
EC (μM)	2.20	4.38	26.3
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.70	>22.83	>3.80

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10740.3	1212.2	100.00	2.267	0.152	100.00
0.01	10497.0	452.5	97.73	2.267	0.302	99.99
0.032	10981.7	1198.1	102.25	1.834	0.080	80.89
0.101	11515.7	3185.9	107.22	1.971	0.208	86.91
0.32	11871.0	965.0	110.53	2.100	0.347	92.62
1	11562.7	680.4	107.66	1.722	0.455	75.94
3.16	6433.7	1356.7	59.90	1.665	0.306	73.43
9.99	2686.7	833.2	25.01	1.888	0.310	83.26
31.6	124.7	10.1	1.16	1.871	0.266	82.50
100	84.0	13.9	0.78	1.954	0.161	86.17

INHIBITION OF CXCR4 TROPIC CLADE F HIV-1_{93BR019} IN HUMAN PBMC'S BY FPA



**INHIBITION OF CXCR4 TROPIC CLADE B/F HIV-1_{93BR019} IN HUMAN PBMCS
BY AZT**

Raw Data (AZT)

Conc (μ M)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2720.0	2260.0	1537.0	468.0	194.0	144.0	106.0
SAMPLE 2	3211.0	1531.0	1455.0	406.0	260.0	186.0	116.0
SAMPLE 3	3305.0	2284.0	1149.0	620.0	238.0	110.0	112.0

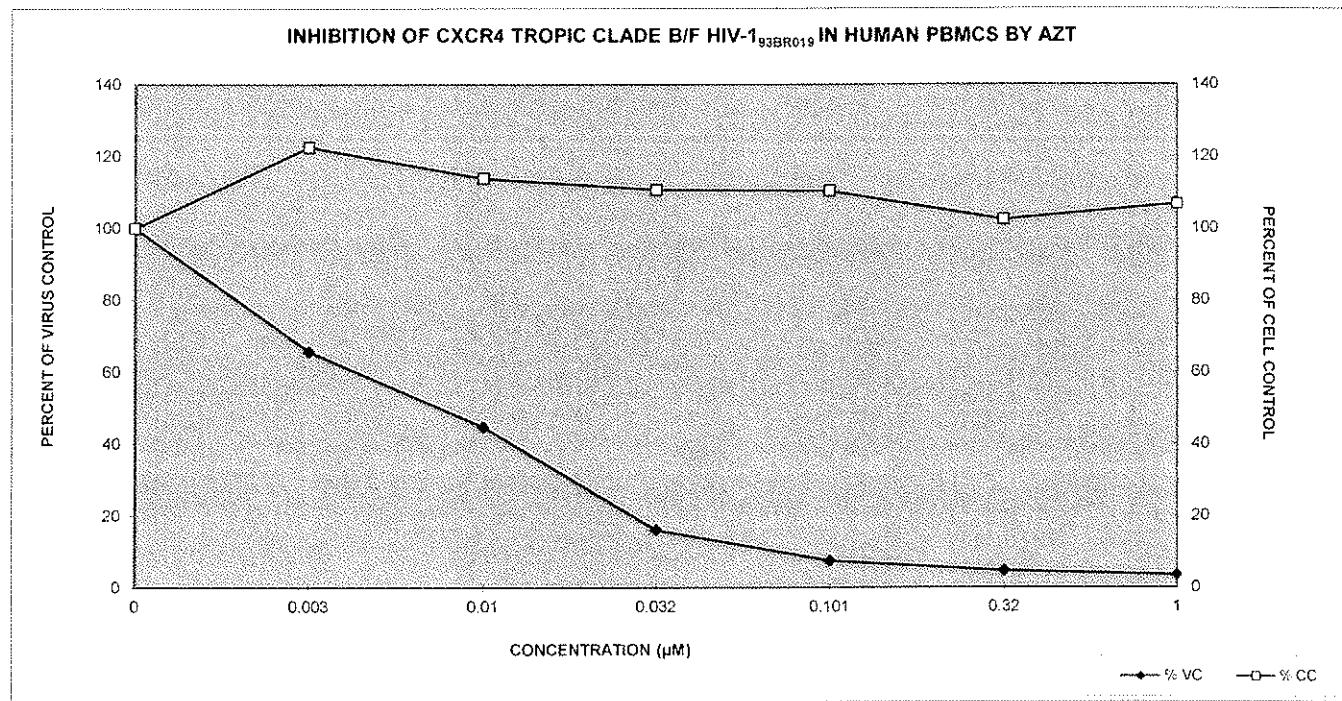
SAMPLE	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.6943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1 Clade: B/F Technician: Lu Yang Setup Date: 10/8/13
 Strain: 93BR019 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CXCR4 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

EC (μ M)	TC (μ M)	Therapeutic Index (TI)	25%	50%	95%
			<0.00300	0.00743	0.290
			>1.0	>1.0	>1.0
			>333.33	>134.59	>3.45

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	3078.7	314.150176	100.00	1.701	0.185547	100.00
0.003	2025.0	427.984813	65.78	2.085	0.078573	122.53
0.01	1380.3	204.492869	44.84	1.938	0.202861	113.91
0.032	498.0	110.109037	16.18	1.883	0.128468	110.70
0.101	230.7	33.6055551	7.49	1.878	0.215229	110.38
0.32	146.7	38.0701108	4.76	1.743	0.13487	102.42
1	111.3	5.03322296	3.62	1.817	0.107753	106.80



INHIBITION OF CXCR4 TROPIC CLADE F HIV-1_{93BR019} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	12090.5	8208.0	11644.0	9496.0	4146.0	1856.0	2660.0	526.0	88.0	102.0
SAMPLE 2	9745.5	6768.0	10944.0	4536.0	2240.0	2472.0	2346.0	760.0	140.0	90.0
SAMPLE 3	10385.0	7623.0	12614.0	5922.0	4654.0	674.0	1127.0	1827.0	232.0	92.0

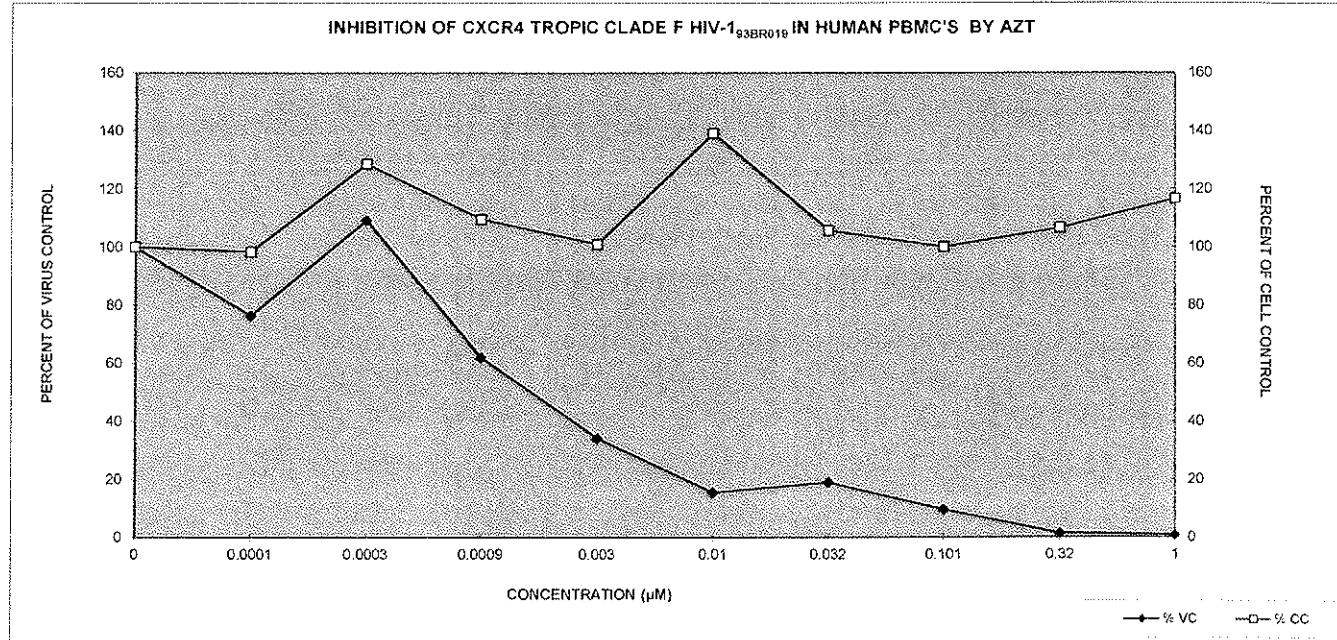
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.926	2.661	2.612	2.693	1.871	2.238	2.336
SAMPLE 2	2.159	1.787	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

Virus: HIV-1 Clade: F Technician: Lu Yang Setup Date: 6/13/14
 Strain: 93BR019 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CXCR4 Project #: 306 Client: CISC

Test Compound: AZT

EC (μM)	TC (μM)	Therapeutic Index (TI)	25%	50%	95%
			6.65E-04	0.00151	0.194
			>1.0	>1.0	>1.0
			>1503.76	>662.25	>5.15

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	10740.3	1212.2	100.00	2.267	0.152	100.00
0.0001	8206.3	582.5	76.41	2.230	0.400	98.35
0.0003	11734.0	838.6	109.25	2.916	0.616	128.60
0.0009	6652.0	2558.3	61.93	2.484	0.387	109.56
0.003	3680.7	1273.0	34.27	2.290	0.331	101.01
0.01	1667.0	913.6	15.52	3.155	0.416	139.15
0.032	2044.3	809.8	19.03	2.397	0.274	105.70
0.101	1037.7	693.5	9.66	2.269	0.385	100.07
0.32	153.3	72.9	1.43	2.416	0.360	106.56
1	94.7	6.4	0.88	2.645	0.637	116.65



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μg/ml)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	938.0	746.0	556.0	154.0	38.0	22.0	8.0
SAMPLE 2	2563.5	1345.0	466.0	126.0	18.0	20.0	2.0
SAMPLE 3	1571.5	841.0	230.0	238.0	18.0	16.0	16.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4097	1.8595	1.3560	1.0786	0.9297	0.7951	0.7054
SAMPLE 2	1.2629	1.7507	1.4279	1.1466	0.5874	0.7323	0.6620
SAMPLE 3	1.4450	1.9488	1.5725	1.0373	0.7139	0.5914	0.6599

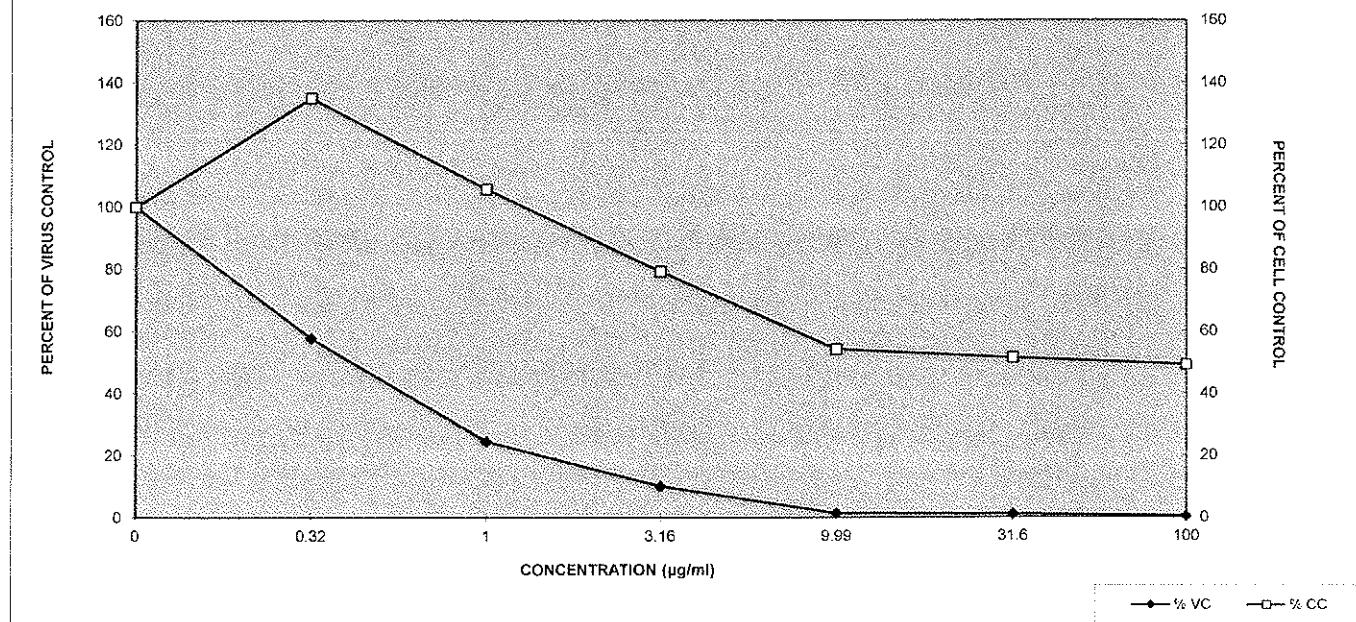
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/12/13
 Strain: G3 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 6/19/13
 Tropism: CCR5 Project #: 306-01-01 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μg/ml)	<0.32000	0.418	6.27
TC (μg/ml)	3.84	67.3	>100
Therapeutic Index (TI)	>12.00	161.00	>15.95

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1691.0	819.31236	100.00	1.373	0.09654347	100.00
0.32	977.3	321.93219	57.80	1.853	0.09920983	135.01
1	417.3	168.36072	24.68	1.452	0.1102656	105.80
3.16	172.7	58.286648	10.21	1.088	0.05519085	79.23
9.99	24.7	11.547005	1.46	0.744	0.17308051	54.18
31.6	19.3	3.0550505	1.14	0.706	0.1043155	51.46
100	8.7	7.0237692	0.51	0.676	0.02568469	49.24

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY FPA



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	9351.0	8244.0	8266.0	2640.0	1670.0	190.0	74.0
SAMPLE 2	9459.0	12713.0	6853.0	4152.0	2074.0	148.0	76.0
SAMPLE 3	10242.0	4068.0	7672.0	3802.0	1707.0	166.0	96.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3658	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3852

Virus: HIV-1
 Strain: G3
 Tropism: CCR5

Clade: G
 Cells: HUMAN PBMCS
 Project #: 306-01-02

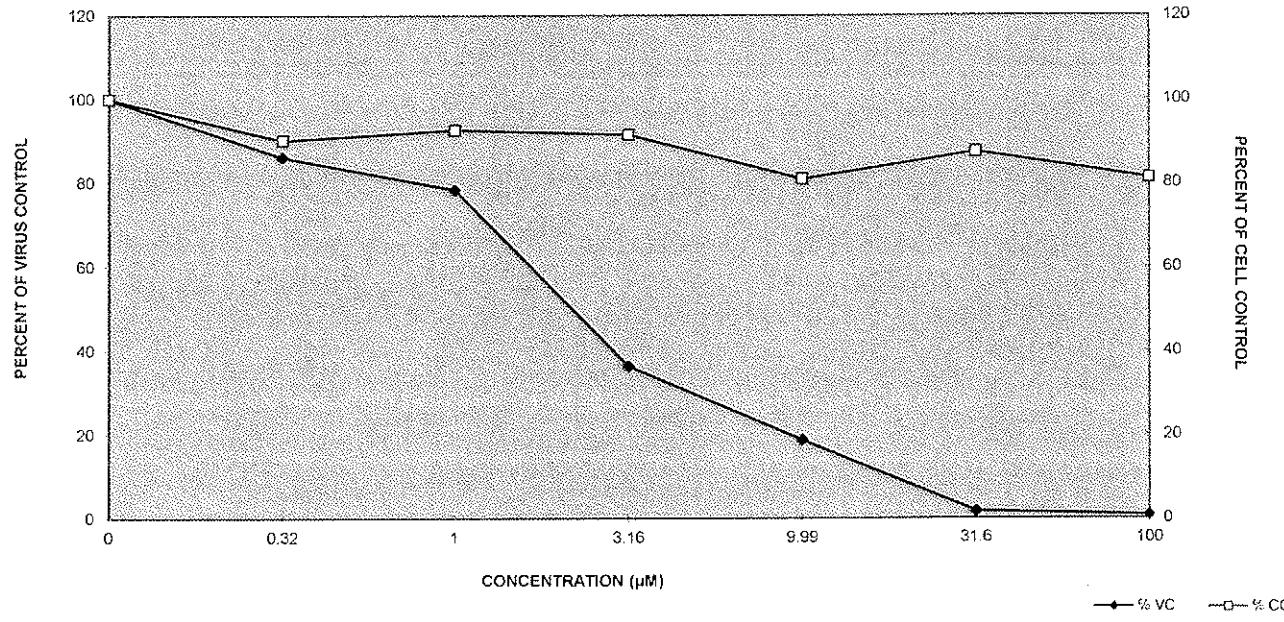
Technician: Lu Yang Setup Date: 10/8/13
 PI: Tracy Hartman Read Date: 10/15/13
 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	1.10	2.18	25.3
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>90.91	>45.87	>3.95

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9684.0	486.249936	100.00	1.701	0.185547	100.00
0.32	8341.7	4323.32746	86.14	1.534	0.115631	90.19
1	7597.0	709.479387	78.45	1.577	0.079459	92.69
3.16	3531.3	791.505738	36.47	1.559	0.137825	91.61
9.99	1817.0	223.33607	18.76	1.377	0.093094	80.95
31.6	168.0	21.0713075	1.73	1.488	0.113283	87.49
100	82.0	12.1655251	0.85	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY FPA



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	938.0	174.0	132.0	26.0	36.0	12.0	8.0
SAMPLE 2	2563.5	96.0	42.0	22.0	18.0	8.0	10.0
SAMPLE 3	1571.5	437.0	108.0	176.0	102.0	104.0	6.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4097	2.0225	1.4917	1.6022	1.1445	1.3686	0.7054
SAMPLE 2	1.2629	2.1437	1.4541	1.5993	1.3547	1.5067	1.4730
SAMPLE 3	1.4450	1.9495	1.4282	1.4962	1.2369	1.2629	1.5633

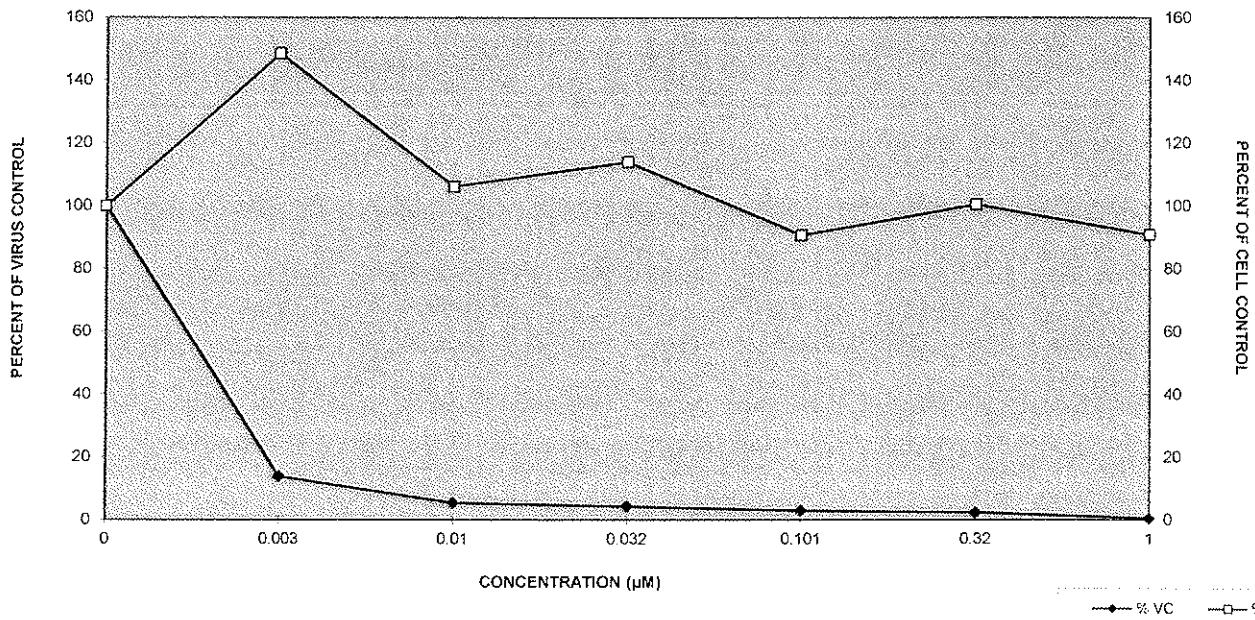
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/12/13
 Strain: G3 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 6/19/13
 Tropism: CCR5 Project #: 306-01-01 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	<0.00300	0.0177
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>333.33	>56.50

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1691.0	819.312364	100.00	1.373	0.096543	100.00
0.003	235.7	178.668221	13.94	2.039	0.098092	148.53
0.01	94.0	46.6047208	5.56	1.458	0.031929	106.23
0.032	74.7	87.7800281	4.42	1.566	0.060379	114.09
0.101	52.0	44.2266888	3.08	1.245	0.105355	90.74
0.32	41.3	54.3077649	2.44	1.379	0.122263	100.50
1	8.0	2	0.47	1.247	0.471409	90.87

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	9351.0	5692.0	2608.0	626.0	218.0	114.0	92.0
SAMPLE 2	9459.0	7211.0	1924.0	406.0	244.0	196.0	128.0
SAMPLE 3	10242.0	10067.0	2879.0	662.0	278.0	128.0	96.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

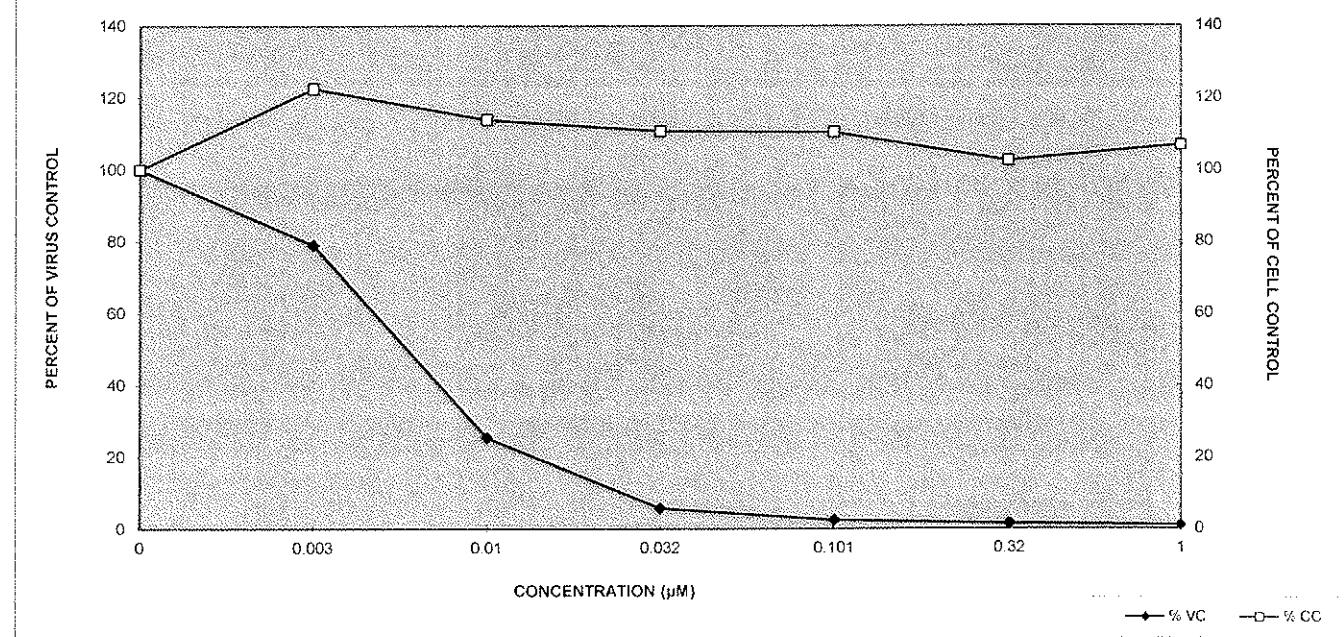
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 10/8/13
 Strain: G3 PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Cells: HUMAN PBMCS Client: CJSC
 Project #: 306-01-02

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00329	0.00577	0.0428
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>303.95	>173.31	>23.36

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9684.0	486.249936	100.00	1.701	0.185547	100.00
0.003	7656.7	2221.28799	79.07	2.085	0.078573	122.53
0.01	2470.3	492.15885	25.51	1.938	0.202861	113.91
0.032	564.7	138.583308	5.83	1.883	0.128468	110.70
0.101	246.7	30.0887576	2.55	1.878	0.215229	110.38
0.32	146.0	43.8634244	1.51	1.743	0.13487	102.42
1	105.3	19.7315314	1.09	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{G3} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{JV1083} IN HUMAN PBMCS

BY FPA

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	8744.0	5551.0	4436.0	3252.0	1777.0	178.0	106.0
SAMPLE 2	8439.5	9435.0	5567.0	2888.0	1951.0	272.0	132.0
SAMPLE 3	9106.5	8604.0	6346.0	2792.0	1901.0	891.0	128.0

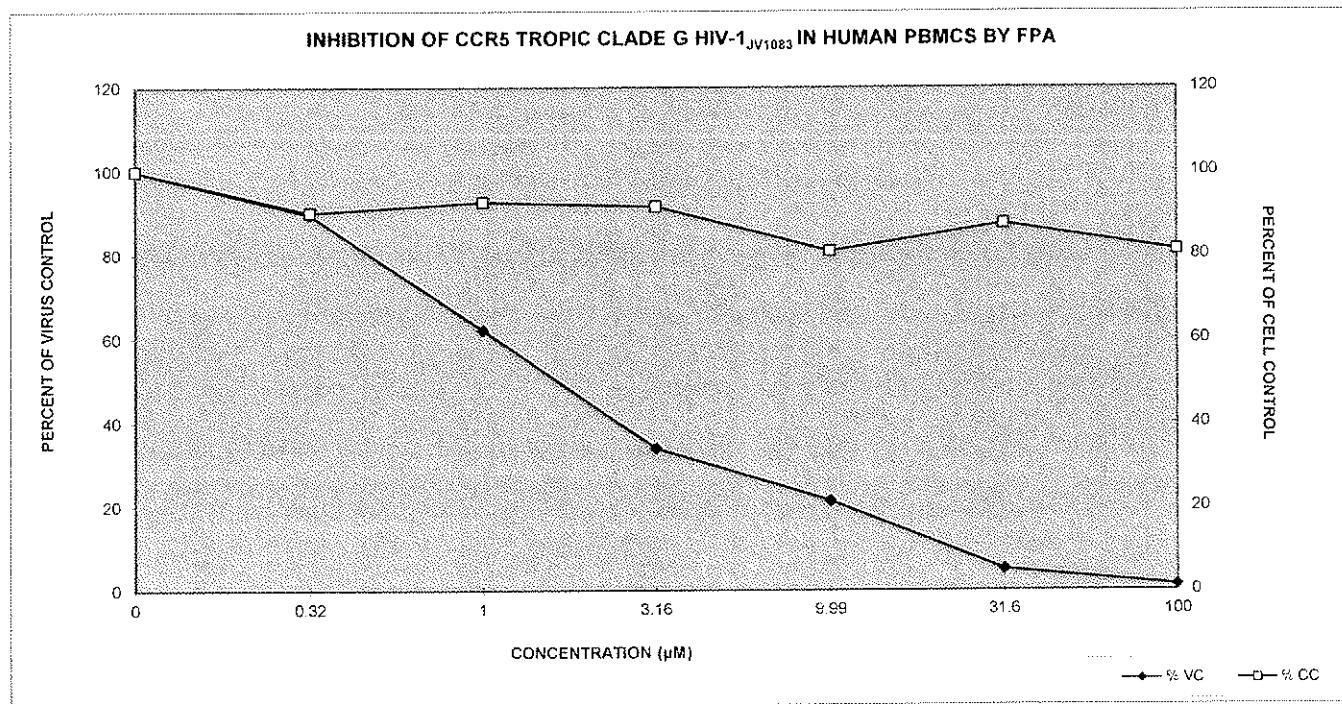
	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2602	1.5807	1.3952

Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 10/8/13
 Strain: JV1083 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.589	1.64	32.6
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>169.78	>60.98	>3.07

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8763.3	333.920025	100.00	1.701	0.185547	100.00
0.32	7863.3	2045.19054	89.73	1.534	0.115631	90.19
1	5449.7	960.390719	62.19	1.577	0.079459	92.69
3.16	2977.3	242.663004	33.97	1.559	0.137825	91.61
9.99	1876.3	89.5842248	21.41	1.377	0.093094	80.95
31.6	447.0	387.377077	5.10	1.488	0.113283	87.49
100	122.0	14	1.39	1.383	0.038519	81.27



**INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{JV1083} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	22353.0	24507.0	22449.0	18357.0	24056.0	18767.0	13195.0	6583.0	662.0	52.0
SAMPLE 2	24162.0	19362.0	30646.0	14506.0	23540.0	24379.0	14962.0	6839.0	564.0	50.0
SAMPLE 3	25634.5	24228.0	23665.0	32972.0	26559.0	23981.0	13550.0	8967.0	1345.0	50.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.763	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

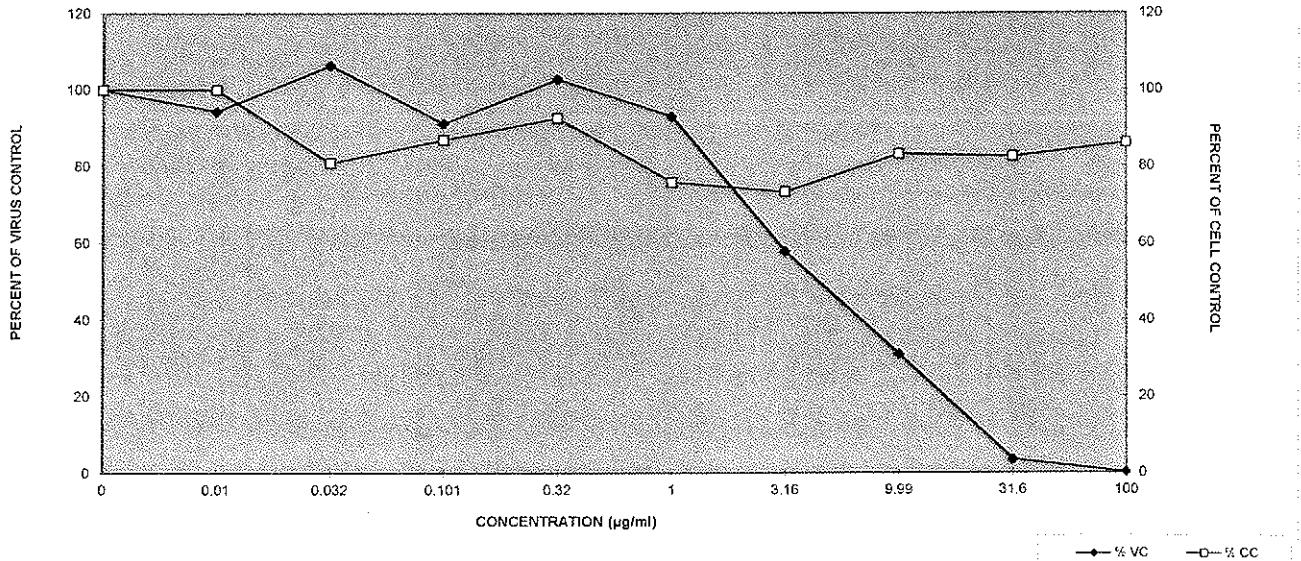
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/13/14
 Strain: JV1083 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJS/C

Test Compound: FPA

	25%	50%	95%
EC (μM)	1.80	4.42	29.8
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.86	>22.62	>3.36

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	24049.8	1643.6	100.00	2.267	0.152	100.00
0.01	22699.0	2893.3	94.38	2.267	0.302	99.99
0.032	25586.7	4423.5	106.39	1.834	0.080	80.89
0.101	21944.7	9742.2	91.25	1.971	0.208	86.91
0.32	24719.0	1614.4	102.78	2.100	0.347	92.62
1	22382.3	3120.0	93.07	1.722	0.455	75.94
3.16	13902.3	934.7	57.81	1.665	0.306	73.43
9.99	7463.0	1308.8	31.03	1.888	0.310	83.26
31.6	857.0	425.5	3.56	1.871	0.266	82.50
100	50.7	1.2	0.21	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{JV1083} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{JV1083} IN HUMAN PBMCS

BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	8744.0	6952.0	5489.0	3320.0	1283.0	146.0	118.0
SAMPLE 2	8439.5	7072.0	4346.0	2742.0	434.0	186.0	144.0
SAMPLE 3	9106.5	9223.0	3451.0	1193.0	370.0	224.0	128.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

Virus: HIV-1
 Strain: JV1083
 Tropism: CCR5

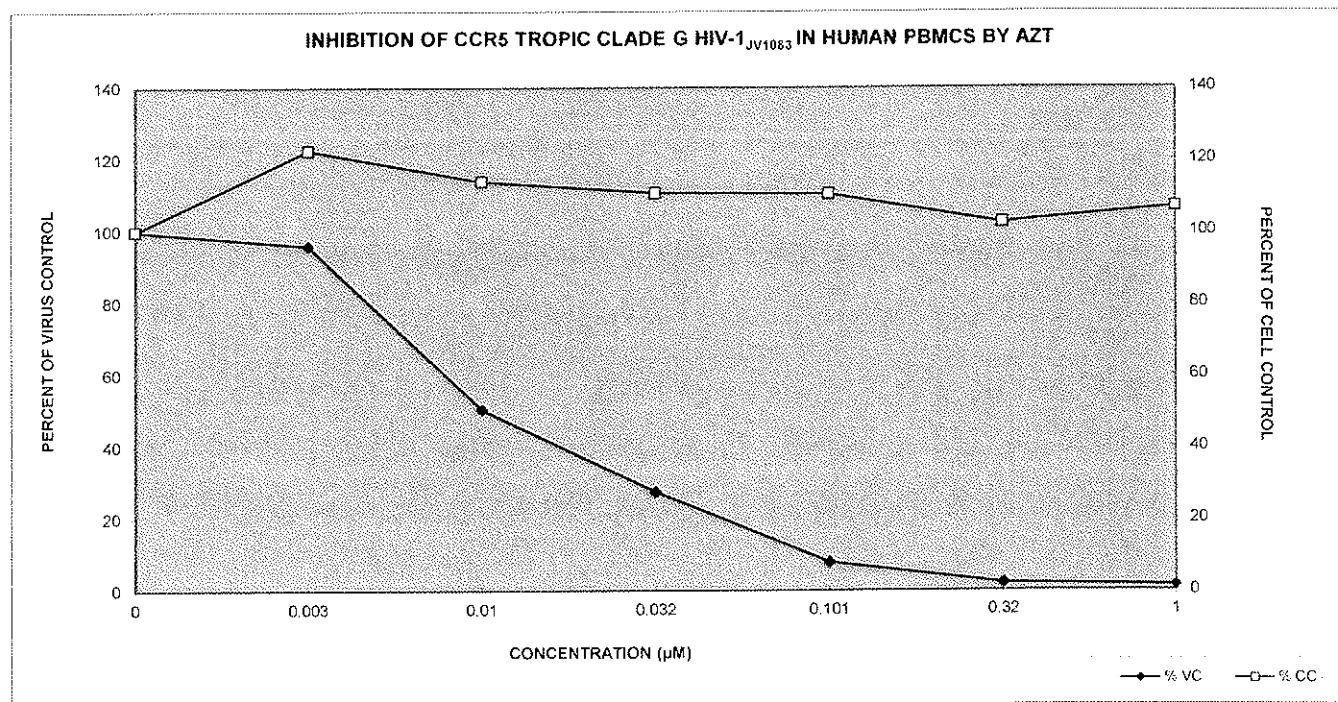
Clade: G
 Cells: HUMAN PBMCS
 Project #: 306-01-02

Technician: Lu Yang Setup Date: 10/8/13
 PI: Tracy Hartman Read Date: 10/15/13
 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00523	0.0103	0.181
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>191.20	>97.09	>5.52

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8763.3	333.920025	100.00	1.701	0.185547	100.00
0.003	8415.7	1171.51199	96.03	2.085	0.078573	122.53
0.01	4428.7	1021.51179	50.54	1.938	0.202861	113.91
0.032	2418.3	1099.81923	27.60	1.883	0.128468	110.70
0.101	695.7	509.651188	7.94	1.878	0.215229	110.38
0.32	185.3	39.0042733	2.11	1.743	0.13487	102.42
1	130.0	13.114877	1.48	1.817	0.107753	106.80



**INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{JV1083} IN HUMAN PBMC'S
BY AZT**

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	22363.0	23227.0	13420.0	17557.0	6144.0	3479.0	1621.0	538.0	156.0	68.0
SAMPLE 2	24162.0	20963.0	22689.0	14444.0	13096.0	7476.0	1611.0	1393.0	98.0	70.0
SAMPLE 3	26634.5	18189.0	19053.0	16716.0	4684.0	4268.0	1191.0	1729.0	96.0	60.0

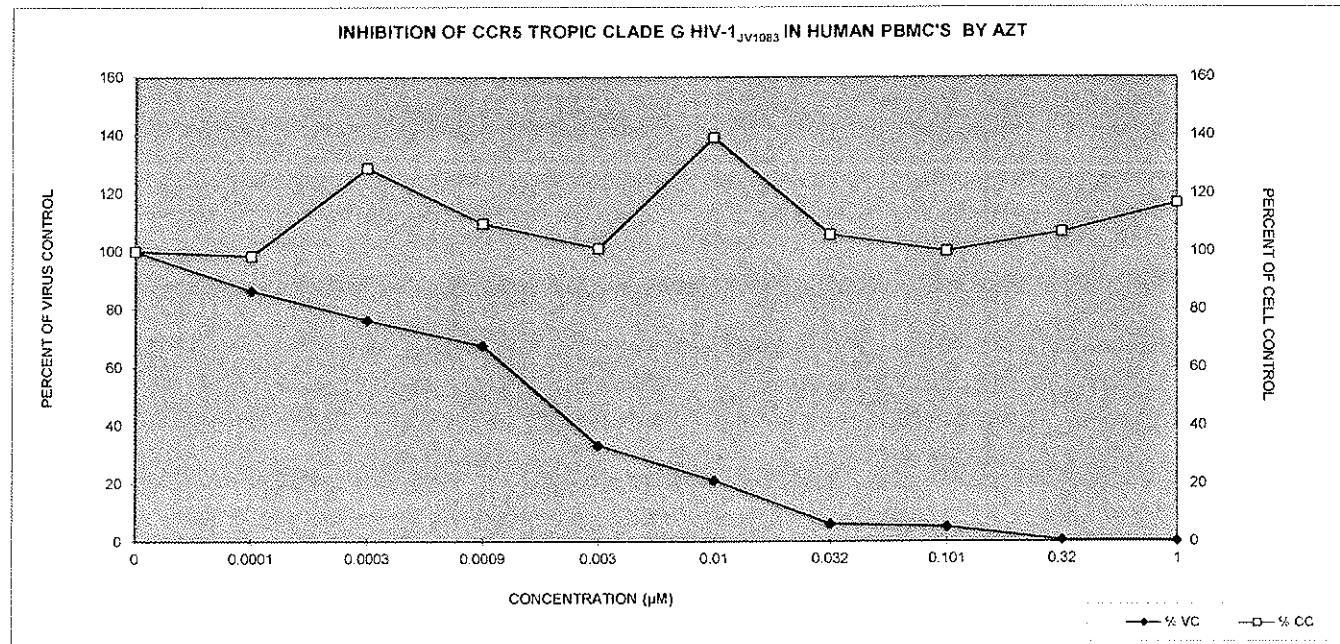
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.238	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.376
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/13/14
 Strain: JV1083 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	3.54E-04	0.00166	0.103
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>2824.86	>602.41	>9.71

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	24049.8	1643.6	100.00	2.267	0.152	100.00
0.0001	20793.0	2523.3	86.46	2.230	0.400	98.35
0.0003	18354.0	4624.3	76.32	2.916	0.616	128.60
0.0009	16239.0	1610.4	67.52	2.484	0.387	109.56
0.003	7974.7	4494.9	33.16	2.290	0.331	101.01
0.01	5074.3	2117.0	21.10	3.155	0.416	139.15
0.032	1474.3	245.4	6.13	2.397	0.274	105.70
0.101	1220.0	614.1	5.07	2.269	0.385	100.07
0.32	116.7	34.1	0.49	2.416	0.360	106.56
1	62.7	11.0	0.26	2.645	0.637	116.65



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	5490.0	4182.0	2958.0	1757.0	1632.0	863.0	250.0
SAMPLE 2	4693.0	4003.0	3004.0	2488.0	1908.0	739.0	196.0
SAMPLE 3	3577.5	4453.0	3093.0	1986.0	1565.0	857.0	150.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3820	1.3354
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

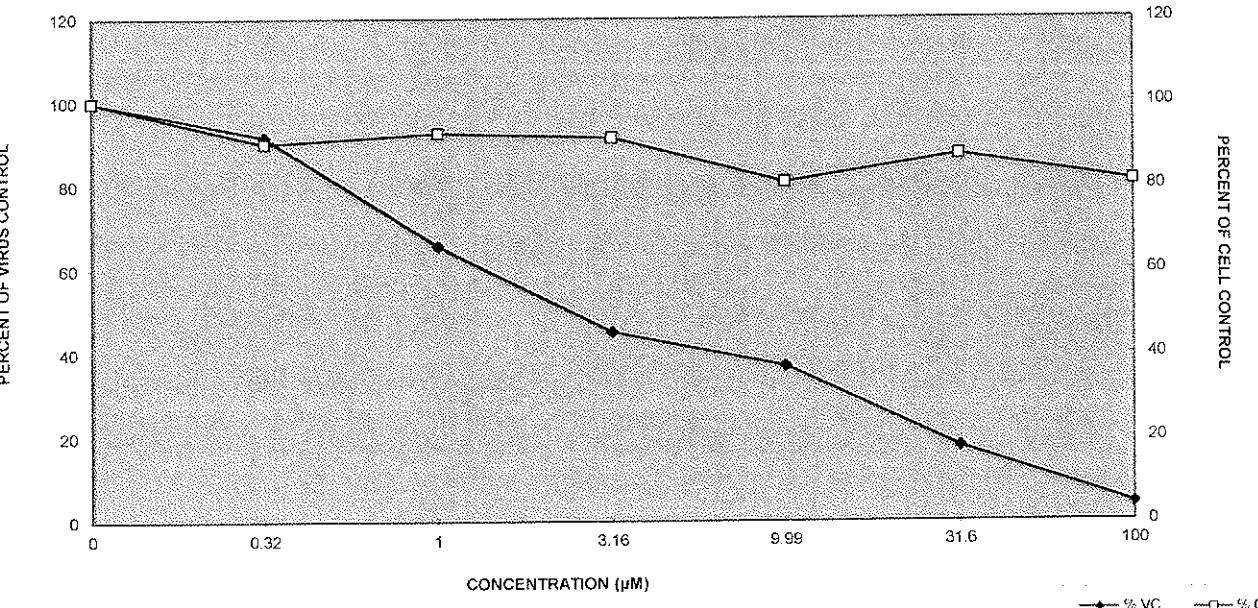
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 10/8/13
 Strain: RU132 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.669	2.43	94.5
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>149.48	>41.15	>1.06

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	4586.8	960.659973	100.00	1.701	0.185547	100.00
0.32	4212.7	226.561986	91.84	1.534	0.115631	90.19
1	3018.3	68.6318682	65.80	1.577	0.079459	92.69
3.16	2077.0	373.899719	45.28	1.559	0.137825	91.61
9.99	1701.7	181.803007	37.10	1.377	0.093094	80.95
31.6	819.7	69.923768	17.87	1.488	0.113283	87.49
100	198.7	50.0533049	4.33	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

RT Activity (CPM)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	11530.5	14717.0	14520.0	19656.0	13189.0	14635.0	11480.0	3957.0	3207.0	224.0
SAMPLE 2	11932.5	10001.0	13666.0	18537.0	18759.0	12995.0	7666.0	5063.0	2158.0	604.0
SAMPLE 3	11280.0	9131.0	15523.0	23368.0	14603.0	19023.0	10163.0	6805.0	2696.0	670.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.879	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.166	1.882	2.201	2.047	1.605	1.677	1.539	2.092	2.054

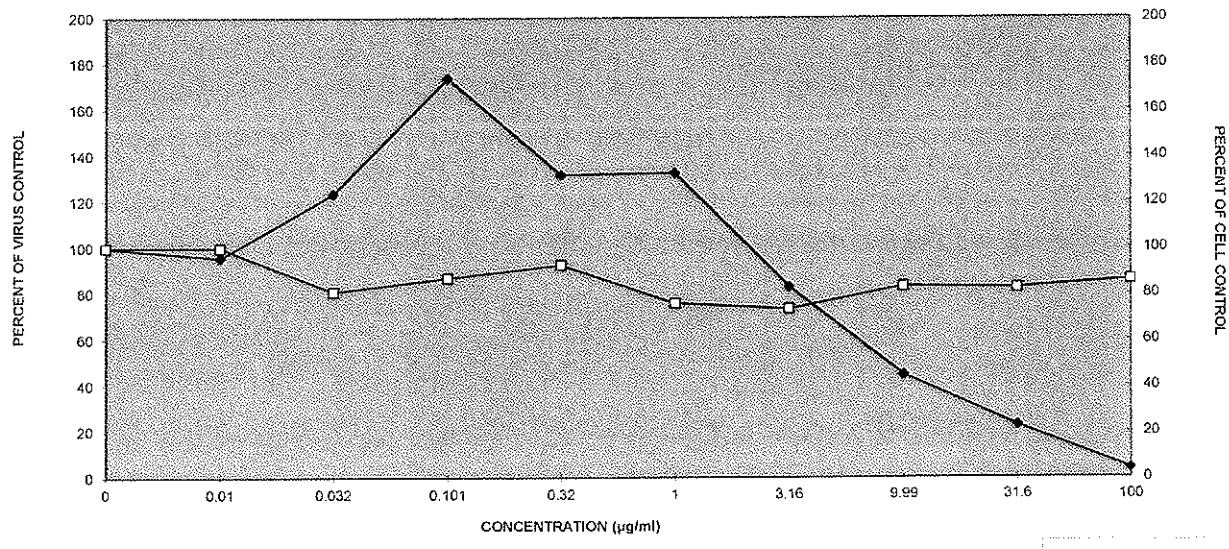
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/13/14
 Strain: RU132 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJS

Test Compound: FPA

	25%	50%	95%
EC (μM)	4.02	8.54	95.4
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.38	>11.71	>1.05

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11781.0	218.5	100.00	2.267	0.152	100.00
0.01	11283.0	3005.6	95.77	2.267	0.302	99.99
0.032	14569.7	929.5	123.67	1.834	0.080	80.89
0.101	20473.7	2613.8	173.79	1.971	0.208	86.91
0.32	15517.0	2895.3	131.71	2.100	0.347	92.62
1	15617.7	3089.3	132.57	1.722	0.455	75.94
3.16	9777.0	1925.2	82.99	1.665	0.306	73.43
9.99	5275.0	1435.8	44.78	1.888	0.310	83.26
31.6	2687.0	524.6	22.81	1.871	0.266	82.50
100	499.3	240.7	4.24	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	5490.0	3938.0	2244.0	1015.0	412.0	196.0	112.0
SAMPLE 2	4693.0	3369.0	3341.0	919.0	548.0	188.0	166.0
SAMPLE 3	3577.5	4777.0	1487.0	1247.0	426.0	290.0	186.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8168	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

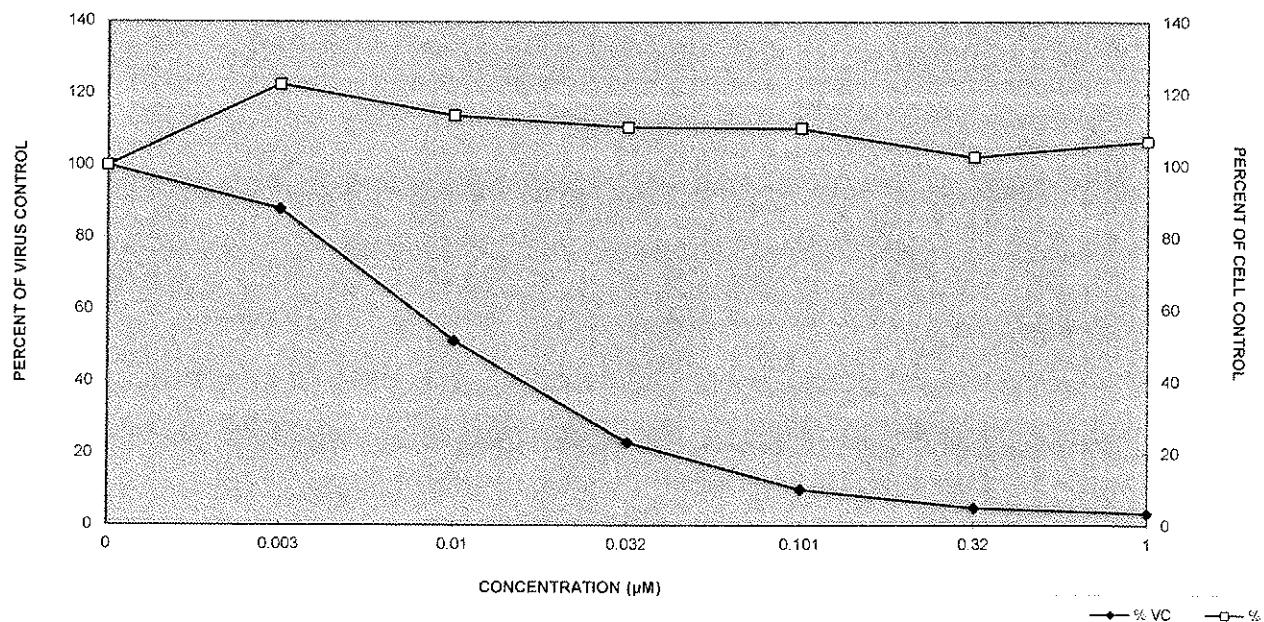
Virus: HIV-1
 Strain: RU132
 Tropism: CCR5 Clade: G Cells: HUMAN PBMCS Project #: 306-01-02 Technician: Lu Yang PI: Tracy Hartman Client: CJSC Setup Date: 10/8/13 Read Date: 10/15/13

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00460	0.0106	0.313
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>217.39	>94.34	>3.19

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	4586.8	960.659973	100.00	1.701	0.185547	100.00
0.003	4034.7	699.030996	87.96	2.085	0.078573	122.53
0.01	2357.3	932.181492	51.39	1.938	0.202861	113.91
0.032	1060.3	168.633725	23.12	1.883	0.128468	110.70
0.101	462.0	74.8064168	10.07	1.878	0.215229	110.38
0.32	224.7	56.7215421	4.90	1.743	0.13487	102.42
1	154.7	38.2796726	3.37	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	0	RT Activity (CPM)								
		0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	11530.5	9666.0	16198.0	11500.0	5403.0	3417.0	1423.0	466.0	216.0	76.0
SAMPLE 2	11932.5	12391.0	12921.0	8460.0	1865.0	4918.0	746.0	318.0	172.0	90.0
SAMPLE 3	11680.0	15071.0	6644.0	6933.0	4596.0	3126.0	636.0	318.0	96.0	108.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.693	1.871	2.235	2.336
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.631	2.220

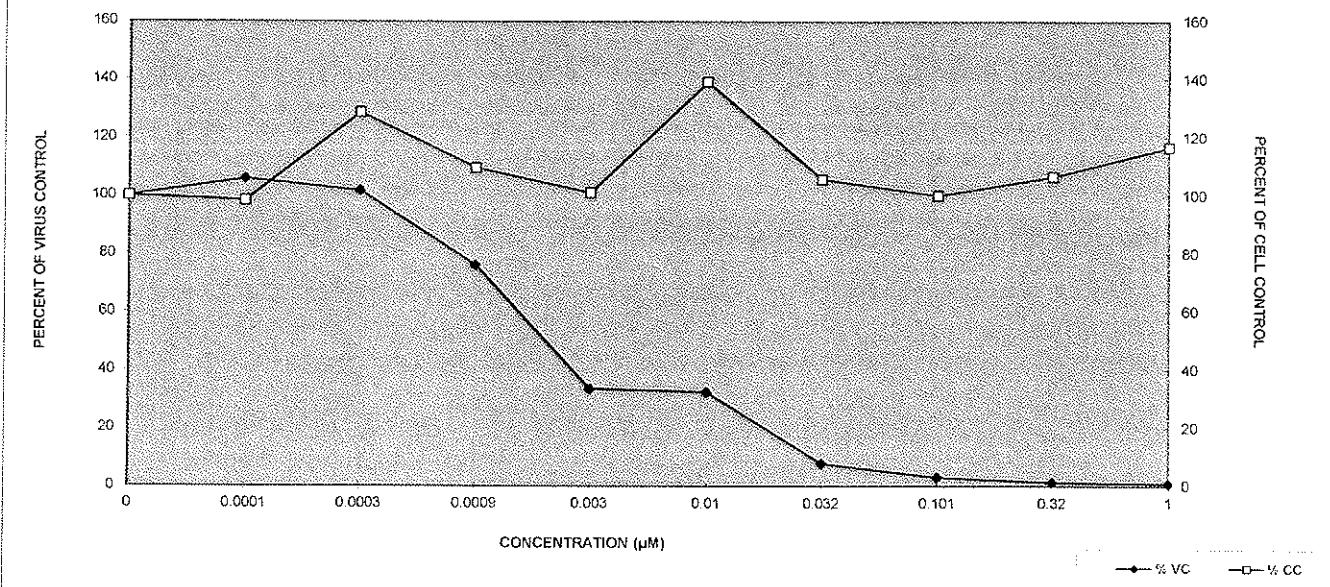
Virus: HIV-1 Clade: G Technician: Lu Yang Setup Date: 6/13/14
 Strain: RU132 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	9.28E-04	0.00188	0.0645
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>1077.59	>531.91	>15.50

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	11781.0	218.5	100.00	2.267	0.152	100.00
0.0001	12472.7	2558.5	105.87	2.230	0.400	98.35
0.0003	11987.7	4746.3	101.75	2.916	0.616	128.60
0.0009	8964.3	2324.9	76.09	2.484	0.387	109.56
0.003	3954.7	1854.1	33.57	2.290	0.331	101.01
0.01	3820.3	961.7	32.43	3.155	0.416	139.15
0.032	935.0	426.2	7.94	2.397	0.274	105.70
0.101	367.3	85.4	3.12	2.269	0.385	100.07
0.32	161.3	60.7	1.37	2.416	0.360	106.56
1	91.3	16.0	0.78	2.645	0.637	116.65

INHIBITION OF CCR5 TROPIC CLADE G HIV-1_{RU132} IN HUMAN PBMC'S BY AZT



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (µg/ml)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1645.0	2304.0	2236.0	624.0	16.0	8.0	16.0
SAMPLE 2	1455.0	1937.0	1671.0	770.0	12.0	8.0	12.0
SAMPLE 3	1414.0	1909.0	1451.0	636.0	47.2	12.0	14.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4097	1.8595	1.3560	1.0766	0.9297	0.7951	0.7054
SAMPLE 2	1.2629	1.7507	1.4279	1.1466	0.5874	0.7323	0.6620
SAMPLE 3	1.4450	1.9488	1.5725	1.0373	0.7139	0.5914	0.6599

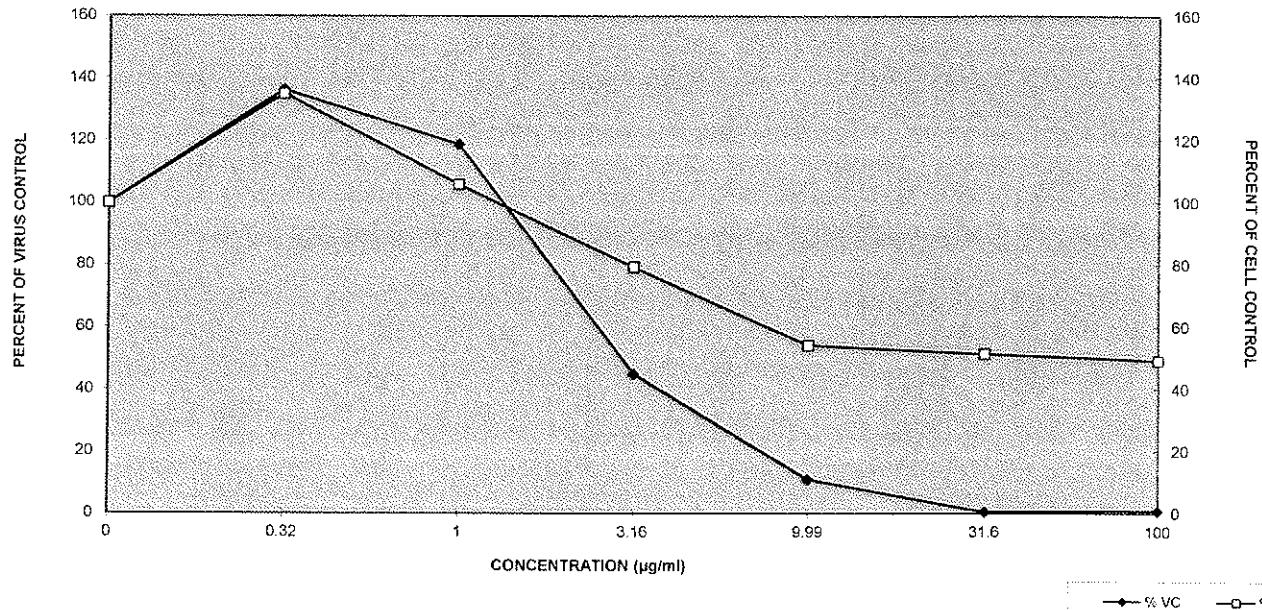
Virus: HIV-1
 Strain: BCF01
 Tropism: CCR5 Clade: O Cells: HUMAN PBMCS Project #: 306-01-01 Technician: Lu Yang Setup Date: 6/12/13
 PI: Tracy Hartman Read Date: 6/19/13 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (µg/ml)	1.98	2.92	19.5
TC (µg/ml)	3.84	67.3	>100
Therapeutic Index (TI)	1.94	23.05	>5.13

Conc (µg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1504.7	123.24907	100.00	1.373	0.09654347	100.00
0.32	2050.0	220.41552	136.24	1.853	0.09920983	135.01
1	1786.0	404.93827	118.70	1.452	0.1102656	105.80
3.16	676.7	81.051424	44.97	1.088	0.05519085	79.23
9.99	166.7	264.43399	11.08	0.744	0.17308051	54.18
31.6	9.3	2.3094011	0.62	0.706	0.1043155	51.46
100	14.0	2	0.93	0.676	0.02568469	49.24

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY FPA



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCFO1} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	9962.5	8179.0	6166.0	2968.0	1975.0	88.0	86.0
SAMPLE 2	8009.0	8578.0	5014.0	3226.0	2510.0	929.0	76.0
SAMPLE 3	6843.0	7550.0	5317.0	3072.0	2626.0	350.0	94.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3056	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5059	1.5050	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

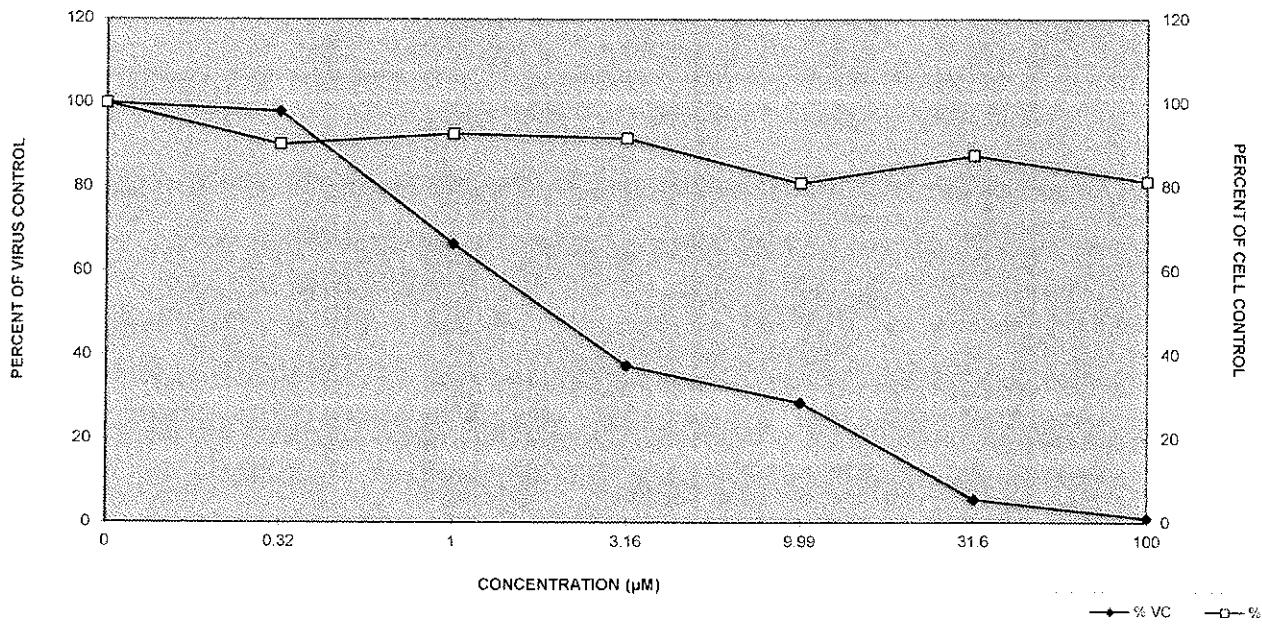
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 10/8/13
 Strain: BCF01 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.735	1.92	36.0
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>136.05	>52.08	>2.78

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8268.2	1570.86698	100.00	1.701	0.185547	100.00
0.32	8102.3	518.270521	97.99	1.534	0.115631	90.19
1	5499.0	597.175853	66.51	1.577	0.079459	92.69
3.16	3095.3	120.703493	37.44	1.559	0.137825	91.61
9.99	2370.3	347.24679	28.67	1.377	0.093094	80.95
31.6	455.7	430.342112	5.51	1.488	0.113283	87.49
100	85.3	9.01849951	1.03	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCFO1} IN HUMAN PBMCS BY FPA



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1645.0	2186.0	750.0	586.0	58.0	8.0	12.0
SAMPLE 2	1455.0	1029.0	344.0	198.0	46.0	14.0	16.0
SAMPLE 3	1414.0	1760.0	859.0	410.0	56.0	54.0	70.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4097	2.0225	1.4917	1.6022	1.1445	1.3665	0.7054
SAMPLE 2	1.2629	2.1437	1.4541	1.5993	1.3547	1.5067	1.4730
SAMPLE 3	1.4450	1.9495	1.4282	1.4962	1.2369	1.2629	1.5633

Virus: HIV-1
 Strain: BCF01
 Tropism: CCR5

Clade: O
 Cells: HUMAN PBMCS
 Project #: 306-01-01

Technician: Lu Yang
 PI: Tracy Hartman
 Client: CJSC

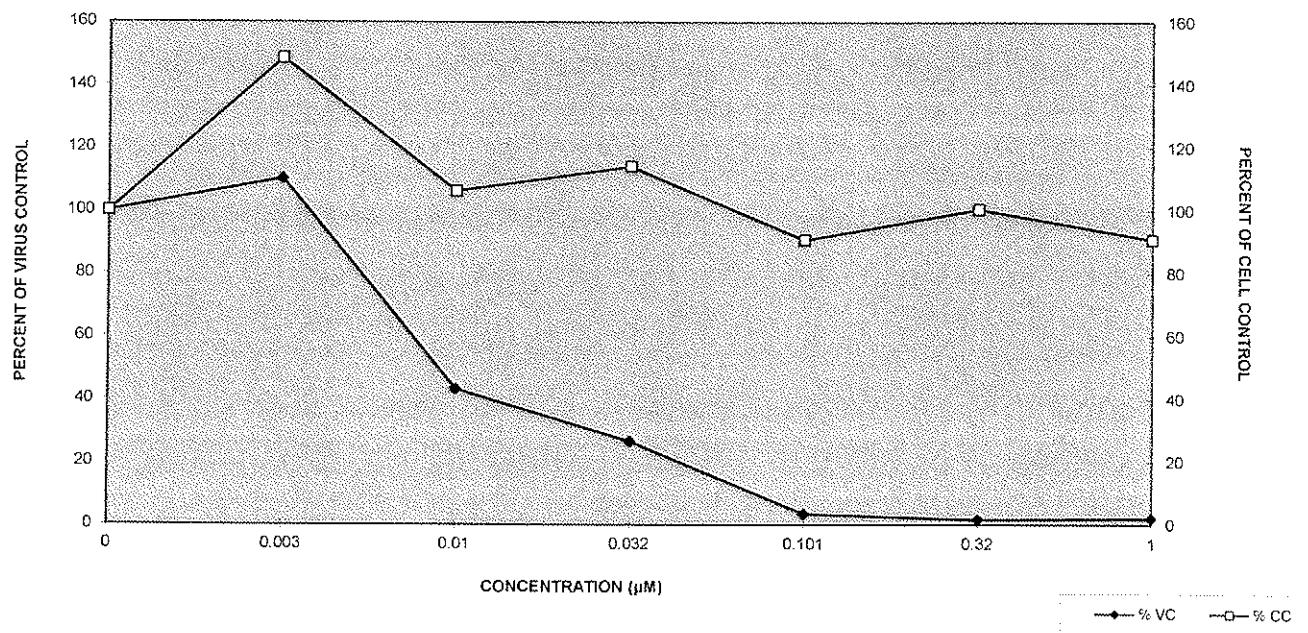
Setup Date: 6/12/13
 Read Date: 6/19/13

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00565	0.00886	0.0940
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>176.99	>112.87	>10.64

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	1504.7	123.24907	100.00	1.373	0.096543	100.00
0.003	1658.3	585.161801	110.21	2.039	0.098092	148.53
0.01	651.0	271.398231	43.27	1.458	0.031929	106.23
0.032	401.3	199.141491	26.67	1.566	0.060379	114.09
0.101	53.3	6.42910051	3.54	1.245	0.105355	90.74
0.32	25.3	25.00666558	1.68	1.379	0.122263	100.50
1	32.7	32.393415	2.17	1.247	0.471409	90.87

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	0	0.003	0.01	0.032	0.101	0.32	1
	RT VALUES (CPM)						
SAMPLE 1	9952.5	5068.0	1181.0	1181.0	472.0	58.0	104.0
SAMPLE 2	8009.0	9286.0	3327.0	1115.0	52.0	90.0	52.0
SAMPLE 3	6843.0	6192.0	4398.0	861.0	122.0	76.0	56.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

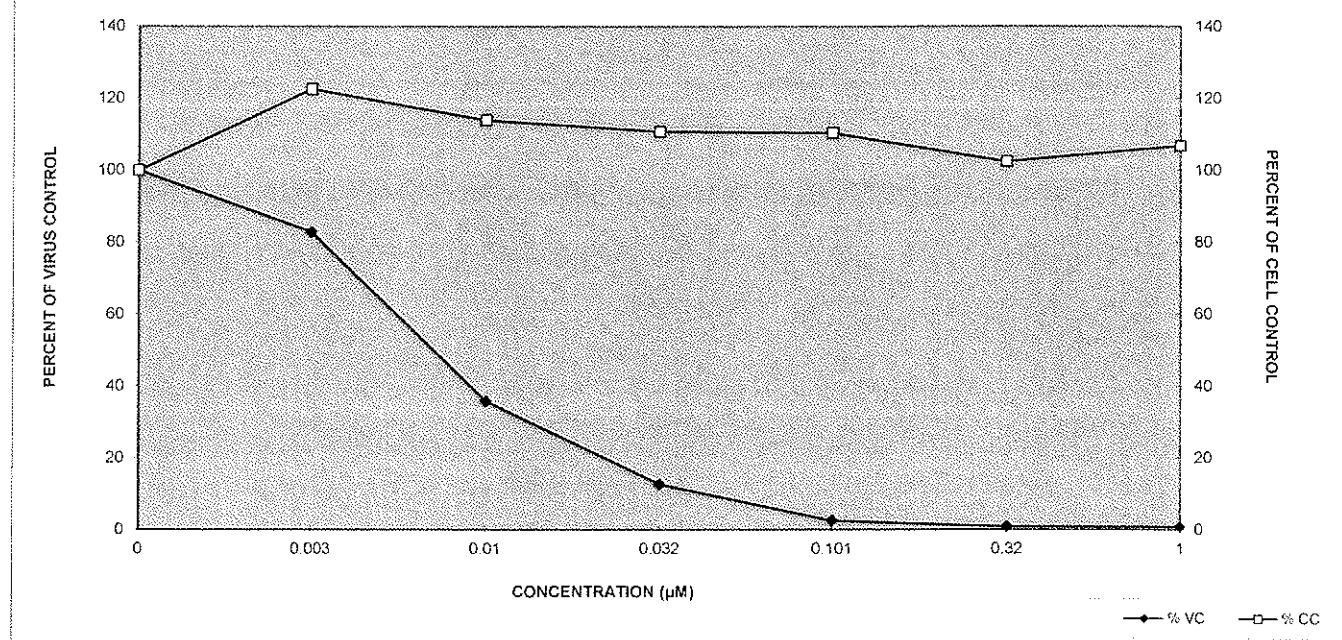
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 10/8/13
 Strain: BCF01 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00367	0.00697	0.0769
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>272.48	>143.47	>13.00

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	8268.2	1570.86698	100.00	1.701	0.185547	100.00
0.003	6848.7	2184.32812	82.83	2.085	0.078573	122.53
0.01	2968.7	1638.16188	35.90	1.938	0.202861	113.91
0.032	1052.3	168.953643	12.73	1.883	0.128468	110.70
0.101	215.3	225.018518	2.60	1.878	0.215229	110.38
0.32	74.7	16.0416126	0.90	1.743	0.13487	102.42
1	70.7	28.9367126	0.85	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF01} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF02} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μM)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	6636.5	9742.0	4121.0	1779.0	1125.0	154.0	124.0
SAMPLE 2	6463.5	7373.0	5953.0	3064.0	190.0	94.0	112.0
SAMPLE 3	6400.5	6518.0	3891.0	1717.0	504.0	106.0	92.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	TOXICITY VALUES (XTT - O. D. @ 450/650 nm)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6678	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4658	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

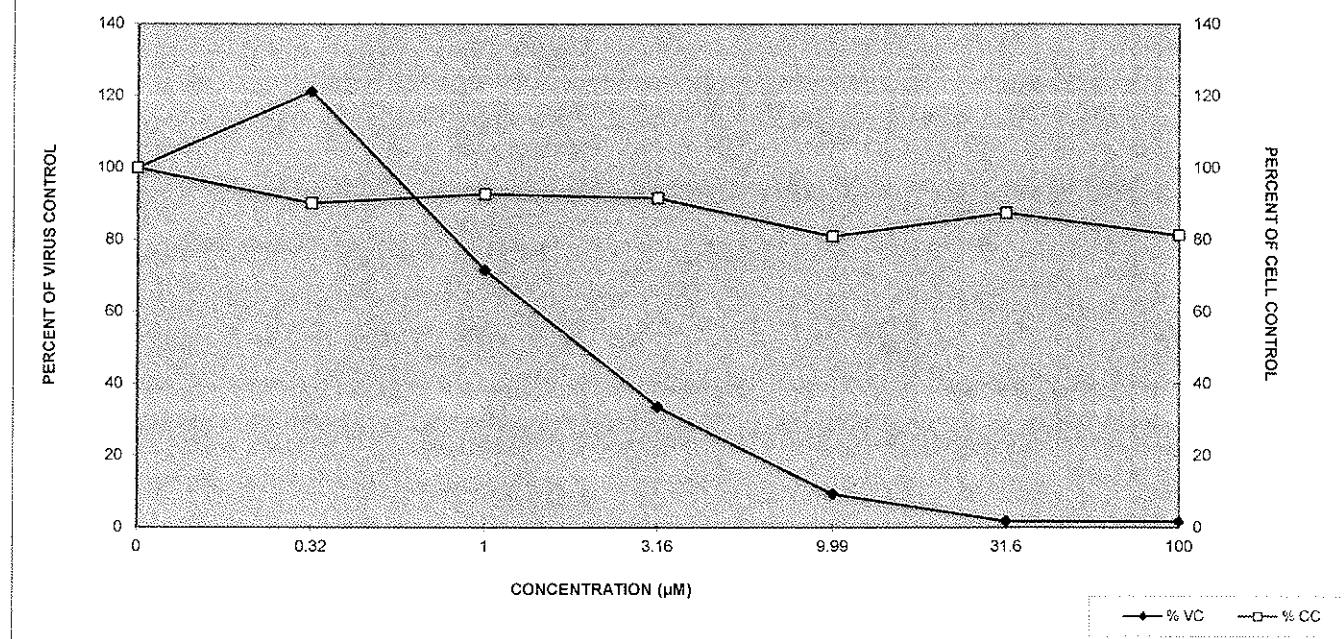
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 10/23/13
 Strain: BCF02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μM)	0.925	1.92	19.4
TC (μM)	>100	>100	>100
Therapeutic Index (TI)	>108.11	>52.08	>5.15

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6500.2	122.197927	100.00	1.701	0.185547	100.00
0.32	7877.7	1670.19769	121.19	1.534	0.115631	90.19
1	4655.0	1129.96814	71.61	1.577	0.079459	92.69
3.16	2186.7	760.4251	33.64	1.559	0.137825	91.61
9.99	606.3	475.825949	9.33	1.377	0.093094	80.95
31.6	118.0	31.7490157	1.82	1.488	0.113283	87.49
100	109.3	16.1658075	1.68	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF02} IN HUMAN PBMCS BY FPA



**INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF02} IN HUMAN PBMC'S
BY FPA**

Raw Data (FPA)

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	10119.5	8017.0	10214.0	11168.0	8093.0	6732.0	2879.0	981.0	62.0	80.0
SAMPLE 2	9407.5	2680.0	10206.0	11932.0	6605.0	5673.0	2963.0	1465.0	80.0	98.0
SAMPLE 3	8393.5	5926.0	13269.0	7696.0	8335.0	10340.0	6079.0	989.0	630.0	108.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.769	1.783	1.231	1.353	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.679	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.092	2.054

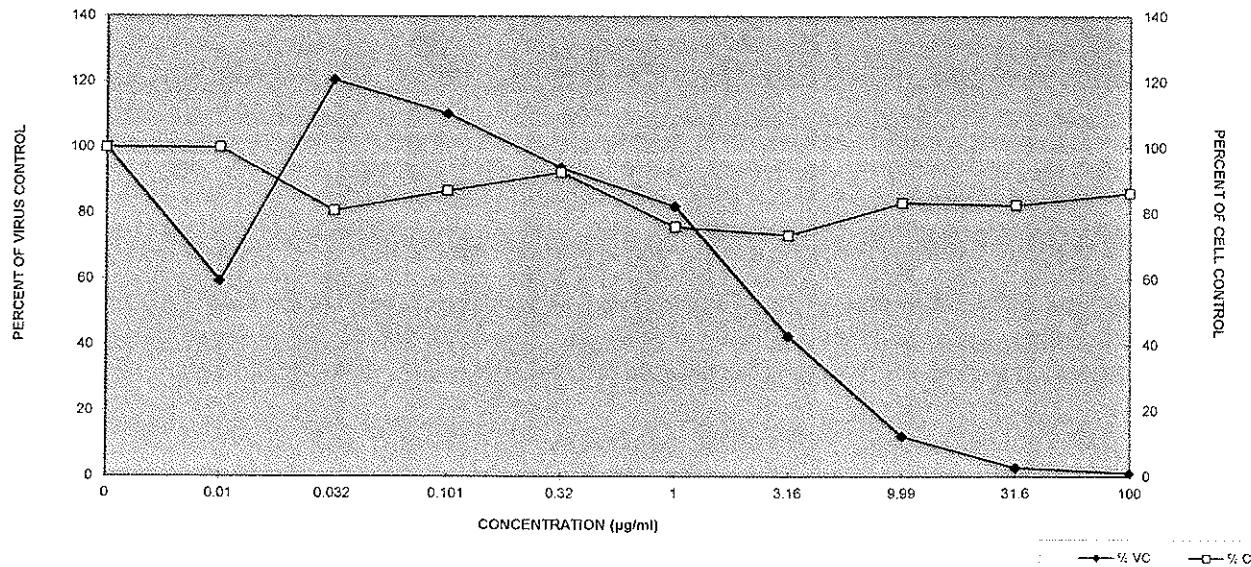
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 6/13/14
 Strain: BCF02 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJS/C

Test Compound: FPA

	25%	50%	95%
EC (μM)	<0.0100	2.56	24.1
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	>154.00	>39.06	>4.15

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9306.8	867.4	100.00	2.267	0.152	100.00
0.01	5541.0	2689.2	59.54	2.267	0.302	99.99
0.032	11229.7	1766.1	120.66	1.834	0.080	80.89
0.101	10272.0	2261.7	110.37	1.971	0.208	86.91
0.32	8744.3	926.5	93.96	2.100	0.347	92.62
1	7648.3	2370.3	82.18	1.722	0.455	75.94
3.16	3980.3	1818.2	42.77	1.665	0.306	73.43
9.99	1145.0	277.2	12.30	1.888	0.310	83.26
31.6	257.3	322.9	2.76	1.871	0.266	82.50
100	95.3	14.2	1.02	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF02} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCFO2} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	6636.5	3252.0	3046.0	184.0	172.0	130.0	108.0
SAMPLE 2	6463.5	3493.0	1091.0	466.0	138.0	112.0	112.0
SAMPLE 3	6400.5	2324.0	1067.0	208.0	134.0	120.0	106.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

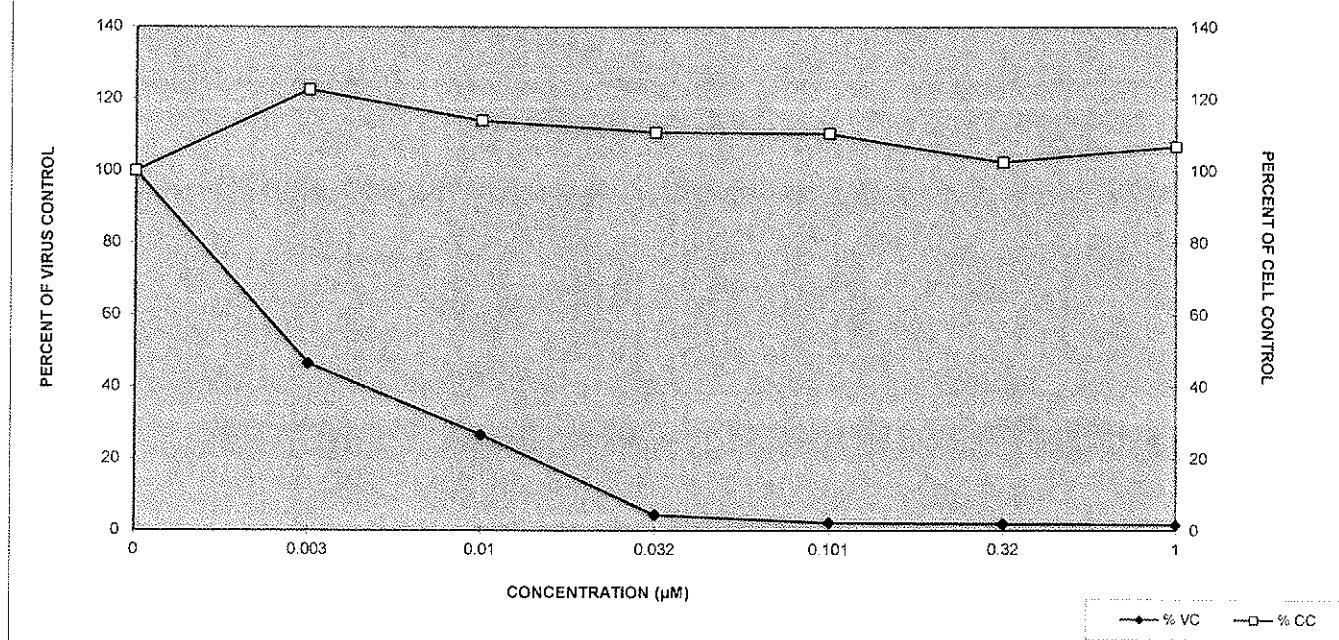
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 10/23/13
 Strain: BCF02 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/30/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	<0.00300	<0.00300	0.0310
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>333.33	>333.33	>32.26

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	6500.2	122.197927	100.00	1.701	0.185547	100.00
0.003	3023.0	617.228483	46.51	2.085	0.078573	122.53
0.01	1734.7	1135.71138	26.69	1.938	0.202861	113.91
0.032	286.0	156.345771	4.40	1.883	0.128468	110.70
0.101	148.0	20.880613	2.28	1.878	0.215229	110.38
0.32	120.7	9.01849951	1.86	1.743	0.13487	102.42
1	108.7	3.05505046	1.67	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCFO2} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF02} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
	SAMPLE 1	10119.5	5504.0	5187.0	1801.0	2490.0	1837.0	520.0	162.0	104.0
SAMPLE 2	9407.5	9174.0	6874.0	4610.0	1209.0	372.0	216.0	160.0	94.0	76.0
SAMPLE 3	6393.5	6702.0	3748.0	5692.0	1667.0	462.0	134.0	142.0	100.0	92.0

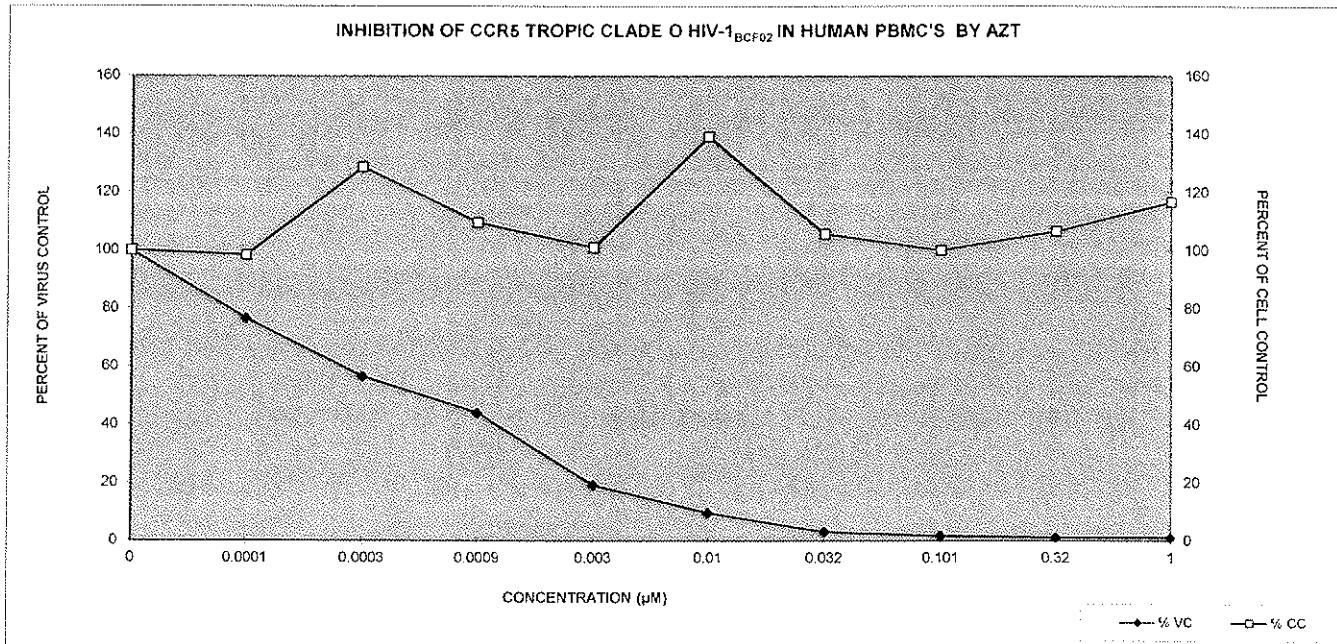
TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.612	2.693	1.871	2.238	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.638	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 6/13/14
 Strain: BCF02 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

EC (μM)	TC (μM)	Cytotoxicity Test Values		
		25%	50%	95%
		Therapeutic Index (TI)		
		1.09E-04	5.35E-04	0.0229
		>1.0	>1.0	>1.0
		>9174.31	>1869.16	>43.67

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	9306.8	867.4	100.00	2.267	0.152	100.00
0.0001	7126.7	1871.5	76.57	2.230	0.400	98.35
0.0003	5269.7	1564.6	56.62	2.916	0.616	128.60
0.0009	4101.0	2092.5	44.06	2.484	0.387	109.56
0.003	1788.7	649.1	19.22	2.290	0.331	101.01
0.01	897.0	815.9	9.64	3.155	0.416	139.15
0.032	290.7	203.0	3.12	2.397	0.274	105.70
0.101	154.7	11.0	1.66	2.269	0.385	100.07
0.32	99.3	5.0	1.07	2.416	0.360	106.56
1	94.7	20.1	1.02	2.645	0.637	116.65



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMCS BY FPA

Raw Data (FPA)

Conc (μ M)	RT VALUES (CPM)						
	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	12774.0	14328.0	9812.0	6566.0	5139.0	4057.0	70.0
SAMPLE 2	12513.0	10822.0	9389.0	7380.0	4830.0	2684.0	284.0
SAMPLE 3	14457.5	11604.0	9666.0	7259.0	4668.0	3647.0	66.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	1.4995	1.6578	1.5607	1.7149	1.3858	1.5226	1.4133
SAMPLE 2	1.7400	1.4635	1.5069	1.5060	1.4656	1.3620	1.3394
SAMPLE 3	1.8645	1.4718	1.6633	1.4547	1.2802	1.5807	1.3952

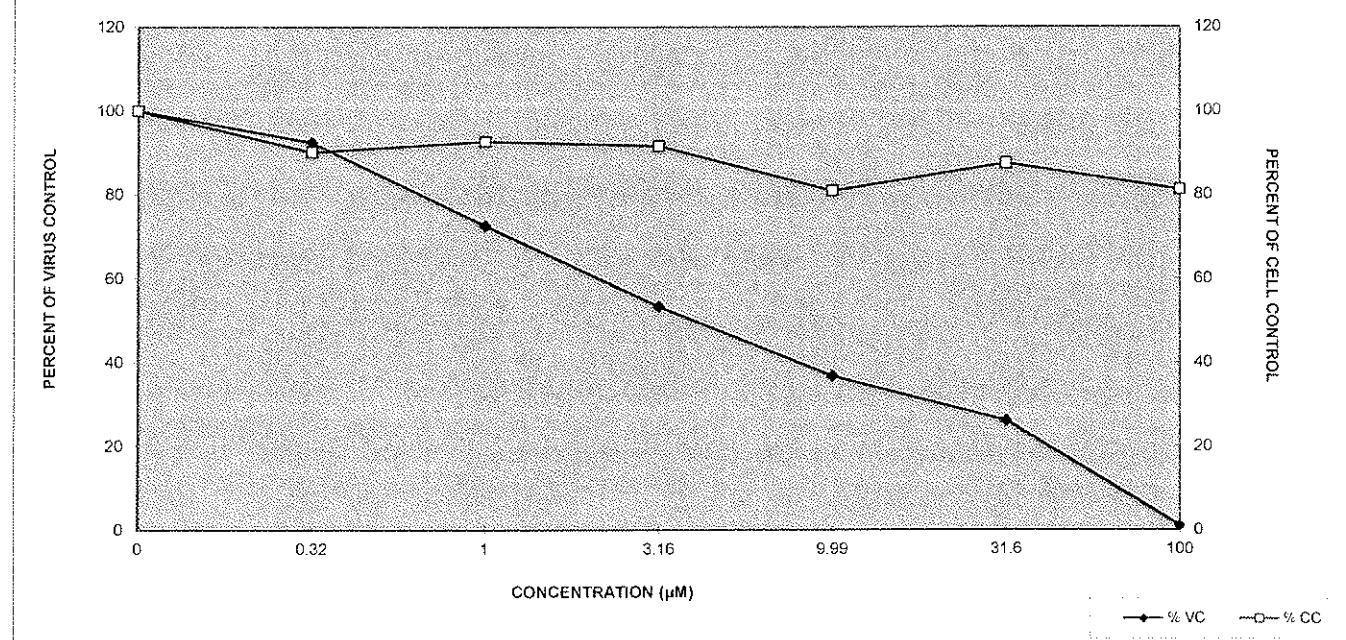
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 10/8/13
 Strain: BCF03 Cells: HUMAN PBMCS PI: Tracy Hartman Read Date: 10/15/13
 Tropism: CCR5 Project #: 306-01-02 Client: CJSC

Antiviral Compound: FPA

	25%	50%	95%
EC (μ M)	0.873	3.99	83.4
TC (μ M)	>100	>100	>100
Therapeutic Index (TI)	>114.55	>25.06	>1.20

Conc (μ M)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13248.2	1055.41252	100.00	1.701	0.185547	100.00
0.32	12251.3	1840.459	92.48	1.534	0.115631	90.19
1	9622.3	214.854214	72.63	1.577	0.079459	92.69
3.16	7068.3	439.220142	53.35	1.559	0.137825	91.61
9.99	4879.0	239.292708	36.83	1.377	0.093094	80.95
31.6	3462.7	704.816525	26.14	1.488	0.113283	87.49
100	140.0	124.723695	1.06	1.383	0.038519	81.27

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMCS BY FPA



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMC'S BY FPA

Conc (μg/ml)	RT Activity (CPM)									
	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	13065.5	13623.0	11815.0	16696.0	29006.0	5627.0	2875.0	8706.0	6623.0	68.0
SAMPLE 2	14451.0	19586.0	21830.0	24620.0	9191.0	18767.0	12654.0	10981.0	5216.0	72.0
SAMPLE 3	11659.5	15036.0	27665.0	8987.0	33561.0	7083.0	14464.0	5970.0	4117.0	1373.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μg/ml)	0	0.01	0.032	0.101	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.441	2.027	1.742	1.799	1.783	1.231	1.363	1.993	1.576	1.769
SAMPLE 2	2.159	2.607	1.679	1.912	2.470	2.130	1.965	2.131	1.944	2.039
SAMPLE 3	2.203	2.168	1.862	2.201	2.047	1.805	1.677	1.539	2.052	2.054

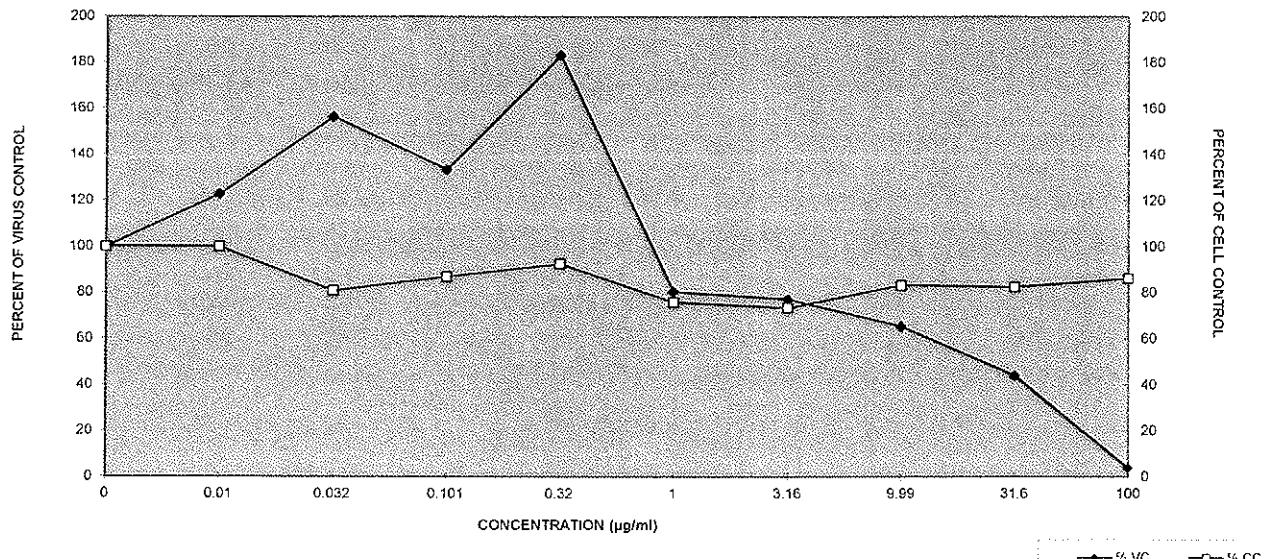
Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 6/13/14
 Strain: BCF03 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: FPA

	25%	50%	95%
EC (μM)	3.90	23.0	96.8
TC (μM)	1.54	>100	>100
Therapeutic Index (TI)	0.39	>4.35	>1.03

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13058.7	1395.8	100.00	2.267	0.152	100.00
0.01	16049.0	3156.8	122.90	2.267	0.302	99.99
0.032	20436.7	8016.3	156.50	1.834	0.080	80.89
0.101	17435.0	7892.7	133.51	1.971	0.208	86.91
0.32	23919.3	12956.8	183.17	2.100	0.347	92.62
1	10502.3	7220.2	80.42	1.722	0.455	75.94
3.16	10071.0	6285.0	77.12	1.665	0.306	73.43
9.99	8552.3	2509.0	65.49	1.888	0.310	83.26
31.6	5752.0	3640.2	44.05	1.871	0.266	82.50
100	504.3	752.3	3.86	1.954	0.161	86.17

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMC'S BY FPA



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMCS BY AZT

Raw Data (AZT)

Conc (μM)	RT VALUES (CPM)						
	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	12774.0	11584.0	5235.0	3917.0	356.0	554.0	2514.0
SAMPLE 2	12513.0	10663.0	6455.0	1837.0	919.0	3420.0	3107.0
SAMPLE 3	14457.5	9031.0	7681.0	6206.0	1409.0	88.0	76.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)

SAMPLE 1	0	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	1.4995	2.1571	1.8227	2.0266	2.1200	1.6969	1.9252
SAMPLE 2	1.7400	2.0955	1.8188	1.8447	1.8053	1.8943	1.8161
SAMPLE 3	1.8645	2.0011	2.1721	1.7785	1.7083	1.6364	1.7097

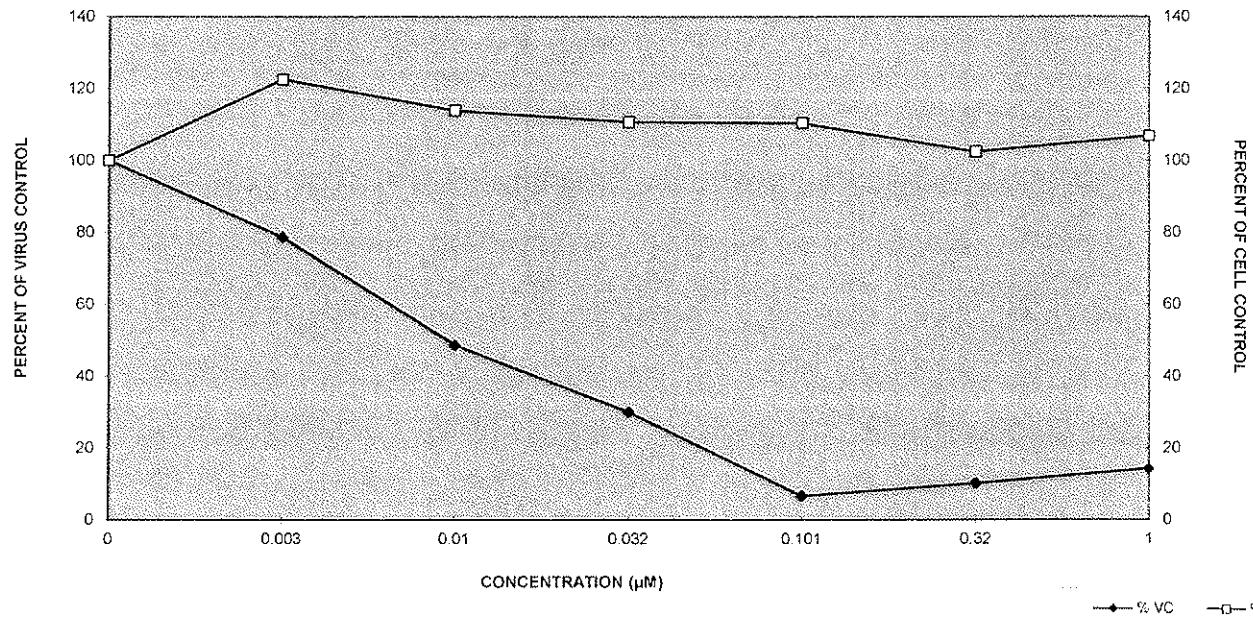
Virus: HIV-1
 Strain: BCF03
 Tropism: CCR5 Clade: O Cells: HUMAN PBMCS Project #: 306-01-02 Technician: Lu Yang Setup Date: 10/8/13
 PI: Tracy Hartman Read Date: 10/15/13 Client: CJSC

Antiviral Compound: AZT

	25%	50%	95%
EC (μM)	0.00348	0.00951	>1.0
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>287.36	>105.15	1

Conc (μM)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RLU	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13248.2	1055.41252	100.00	1.701	0.185547	100.00
0.003	10426.0	1292.89559	78.70	2.085	0.078573	122.53
0.01	6457.0	1223.00123	48.74	1.938	0.202861	113.91
0.032	3986.7	2185.333	30.09	1.883	0.128468	110.70
0.101	894.7	526.921563	6.75	1.878	0.215229	110.38
0.32	1354.0	1804.31594	10.22	1.743	0.13487	102.42
1	1899.0	1606.36515	14.33	1.817	0.107753	106.80

INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMCS BY AZT



INHIBITION OF CCR5 TROPIC CLADE O HIV-1_{BCF03} IN HUMAN PBMC'S

BY AZT

Raw Data (AZT)

Conc (μM)	RT Activity (CPM)									
	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	13065.5	9050.0	12085.0	13776.0	10241.0	3281.0	484.0	296.0	292.0	166.0
SAMPLE 2	14451.0	9367.0	20314.0	20374.0	1737.0	2572.0	168.0	184.0	164.0	116.0
SAMPLE 3	11659.5	14433.0	6728.0	17362.0	1061.0	1897.0	298.0	310.0	136.0	80.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)										
Conc (μM)	0	0.0001	0.0003	0.0009	0.003	0.01	0.032	0.101	0.32	1
SAMPLE 1	2.441	2.336	3.344	2.925	2.661	2.812	2.693	1.871	2.258	2.338
SAMPLE 2	2.159	1.767	3.194	2.325	2.024	3.618	2.153	2.639	2.180	3.378
SAMPLE 3	2.203	2.567	2.210	2.202	2.185	3.036	2.344	2.297	2.831	2.220

Virus: HIV-1 Clade: O Technician: Lu Yang Setup Date: 6/13/14
 Strain: BCF03 Cells: HUMAN PBMC'S PI: Tracy Hartman Read Date: 6/20/14
 Tropism: CCR5 Project #: 306 Client: CJSC

Test Compound: AZT

	25%	50%	95%
EC (μM)	0.00180	0.00244	0.0270
TC (μM)	>1.0	>1.0	>1.0
Therapeutic Index (TI)	>555.56	>409.84	>37.04

Conc (μg/ml)	Antiviral Test Values			Cytotoxicity Test Values		
	Mean RT Activity	St. Dev.	% Virus Control	Mean OD @ 450/650 nm	St. Dev.	% Cell Viability
0	13058.7	1395.8	100.00	2.267	0.152	100.00
0.0001	10956.7	3015.3	83.90	2.230	0.400	98.35
0.0003	13042.3	6843.4	99.87	2.916	0.616	128.60
0.0009	17170.7	3303.2	131.49	2.484	0.387	109.56
0.003	4346.3	5116.1	33.28	2.290	0.331	101.01
0.01	2583.3	692.1	19.78	3.155	0.416	139.15
0.032	323.3	149.6	2.48	2.397	0.274	105.70
0.101	263.3	69.1	2.02	2.269	0.385	100.07
0.32	197.3	83.2	1.51	2.416	0.360	106.56
1	120.7	43.2	0.92	2.645	0.637	116.65

