

Appendix II

Mechanism of Anti-HIV Action Data

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY CSB

Raw Data (CSB)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.032	0.101	0.32	1	3.16	10
SAMPLE 1	232017.3	251079.6	217330.4	271066.2	82557.1	1935.8	-7365.9
SAMPLE 2	233858.1	213760.6	210488.4	231216.7	29148.0	-7020.0	-7900.8
SAMPLE 3	360350.6	307207.8	176663.6	253432.9	166804.4	-420.3	2327.2

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.032	0.101	0.32	1	3.16	10
SAMPLE 1	2.156	2.289	2.058	2.134	2.234	2.242	2.292
SAMPLE 2	2.356	2.720	2.685	2.481	2.506	2.166	2.007
SAMPLE 3	2.296	2.815	1.987	2.440	2.484	2.117	2.170

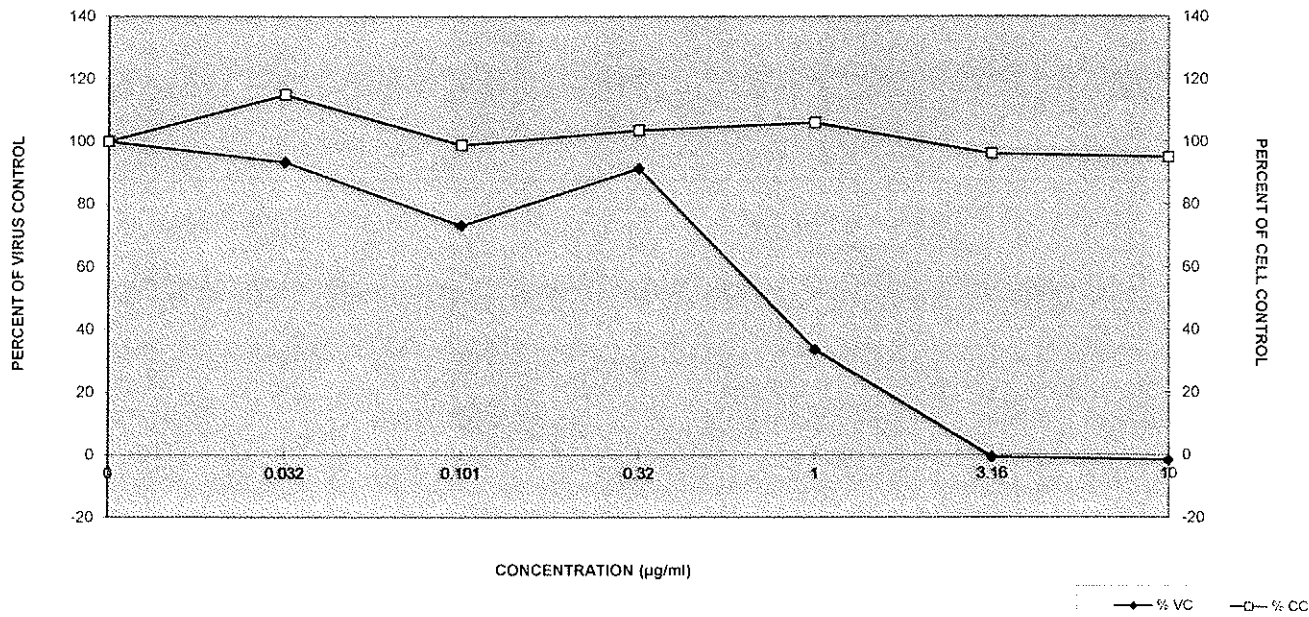
Virus: HIV-1	Clade: B	Technician: CAB	Setup Date: 10/9/2013
Strain: IIIB	Cells: TZMbl FcRI	PI: KWB	Read Date: 10/11/2013
Tropism: CXCR4	Project #: 306-01-02	Client: CJSC Intelpharm	

Antiviral Compound: CSB

	25%	50%	95%
EC (µg/ml)	0.0910	0.725	2.61
TC (µg/ml)	>10.0	>10.0	>10.0
Therapeutic Index (TI)	>109.89	>13.79	>3.83

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	275408.6	73567.63	100.00	2.269	0.10275549	100.00
0.032	257356.0	47028.758	93.45	2.608	0.2805435	114.93
0.101	201494.1	21774.292	73.16	2.243	0.38397522	98.84
0.32	251905.9	19967.587	91.47	2.352	0.1894459	103.63
1	92836.5	69401.518	33.71	2.408	0.15144217	106.11
3.16	-1834.9	4642.4419	-0.67	2.182	0.06299852	96.13
10	-4313.2	5756.942	-1.57	2.157	0.14279616	95.03

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY CSB



INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY CSB

Raw Data (CSB)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.0001	0.001	0.01	0.1	1	10
SAMPLE 1	120531.1	117206.3	150064.8	175100.8	126186.5	4957.8	-3473.2
SAMPLE 2	215057.9	126437.1	224594.1	195704.8	120584.4	11264.0	-5205.7
SAMPLE 3	218367.1	150064.8	218591.6	202731.9	123605.2	45420.1	-2890.4

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.0001	0.001	0.01	0.1	1	10
SAMPLE 1	1.630	2.014	1.893	1.848	1.675	1.806	1.841
SAMPLE 2	1.706	1.875	1.683	1.824	1.850	2.045	1.908
SAMPLE 3	1.994	2.308	2.078	1.735	1.700	1.734	1.948

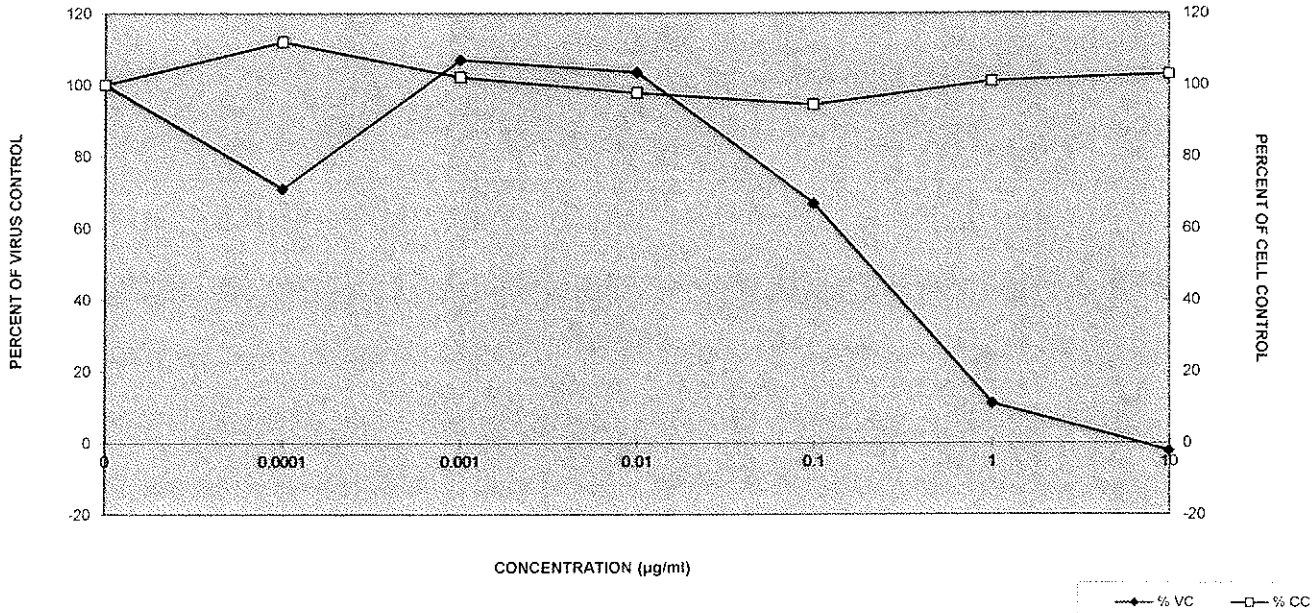
Virus: HIV-1	Clade: B	Technician: CAB	Setup Date: 10/29/2013
Strain: IIIB	Cells: TZMbl FcRI	PI: KWB	Read Date: 10/31/2013
Tropism: CXCR4	Project #: 306-01-02	Client: CJSC intelpharm	

Antiviral Compound: CSB

	25%	50%	95%
EC (µg/ml)	<0.0001	0.201	2.91
TC (µg/ml)	>10.0	>10.0	>10.0
Therapeutic Index (TI)	>100000.00	>49.75	>3.44

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	184652.1	55555.002	100.00	1.843	0.1443812	100.00
0.0001	131236.1	16946.764	71.07	2.066	0.22143221	112.06
0.001	197750.2	41405.654	107.09	1.884	0.19777857	102.22
0.01	191179.2	14360.726	103.53	1.803	0.05910237	97.80
0.1	123458.7	2803.9219	66.86	1.742	0.09464847	94.48
1	20547.3	21770.029	11.13	1.862	0.16303179	100.99
10	-3856.4	1204.2858	-2.09	1.899	0.05409002	103.01

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY CSB



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INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Dextran Sulfate

Raw Data (Dextran Sulfate)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.158	0.5	1.58	5	15.81	50
SAMPLE 1	227671.7	279784.6	233153.2	260936.7	158705.8	-2529.3	-10555.2
SAMPLE 2	356005.0	144173.4	279784.6	61013.4	13579.8	-5091.1	-10916.2
SAMPLE 3	357874.6	257204.0	280083.0	12760.3	13437.8	-7267.6	-10374.6

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.158	0.5	1.58	5	15.81	50
SAMPLE 1	1.927	2.540	2.431	2.569	2.557	2.204	2.265
SAMPLE 2	2.245	2.321	2.518	2.157	2.072	2.242	2.020
SAMPLE 3	2.039	1.679	1.604	2.163	1.703	2.131	2.109

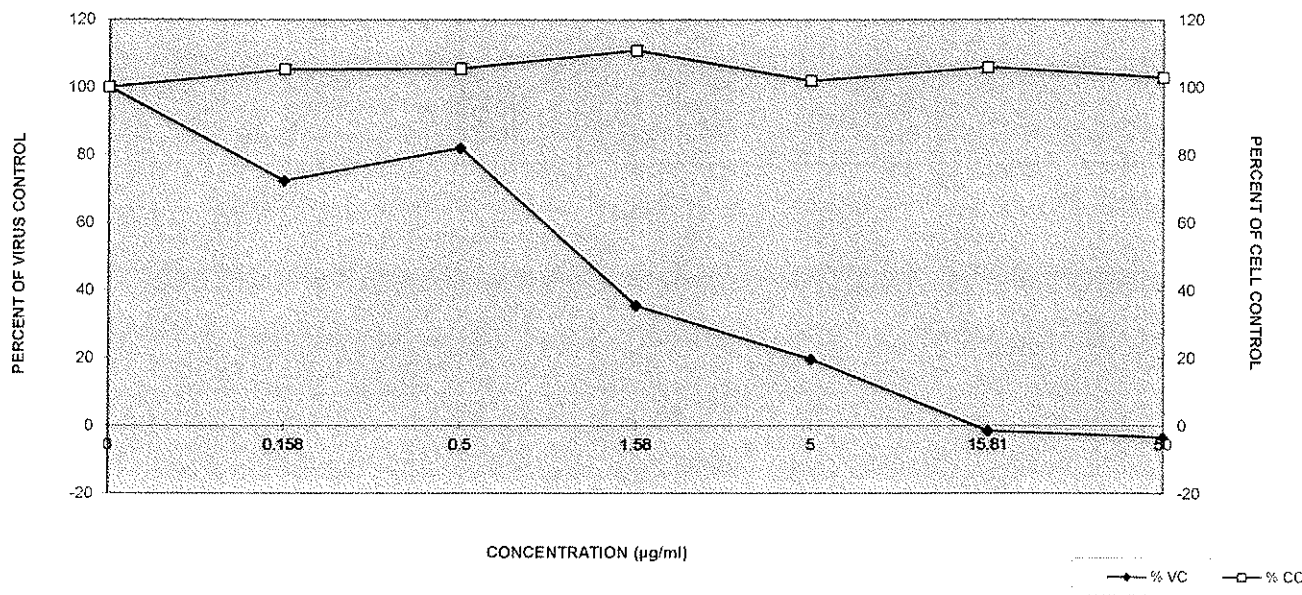
Virus: HIV-1	Clade: B	Technician: CAB	Setup Date: 10/9/2013
Strain: IIIB	Cells: TZMbl FcRI	PI: KWB	Read Date: 10/11/2013
Tropism: CXCR4	Project #: 306-01-02	Client: CJSC Intelpharm	

Antiviral Compound: Dextran Sulfate

	25%	50%	95%
EC (µg/ml)	<0.1580	1.11	11.1
TC (µg/ml)	>50.0	>50.0	>50.0
Therapeutic Index (TI)	>316.46	>45.05	>4.50

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	313850.5	74638.8264	100.00	2.070	0.161428	100.00
0.158	227054.0	72659.2476	72.34	2.180	0.447798	105.30
0.5	257673.6	23408.8823	82.10	2.184	0.504832	105.51
1.58	111570.2	131585.975	35.55	2.296	0.236256	110.93
5	61907.8	83829.5571	19.73	2.111	0.428063	101.95
15.81	-4962.6	2371.75949	-1.58	2.192	0.056466	105.89
50	-10615.4	275.674663	-3.38	2.132	0.12382	102.96

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Dextran Sulfate



INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Dextran Sulfate

Raw Data (Dextran Sulfate)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.0005	0.005	0.05	0.5	5	50
SAMPLE 1	411255.4	305630.4	179998.3	216638.2	173328.3	18420.8	-4633.7
SAMPLE 2	266307.5	282755.4	180755.6	188151.3	183037.1	63598.5	-7750.5
SAMPLE 3	262559.1	190367.9	142039.3	175044.0	140962.2	12561.4	-4846.4

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.0005	0.005	0.05	0.5	5	50
SAMPLE 1	1.926	1.595	2.204	1.832	1.740	1.821	2.058
SAMPLE 2	1.561	0.897	1.131	1.067	1.636	2.296	2.091
SAMPLE 3	2.203	1.432	1.291	1.362	1.763	1.771	1.469

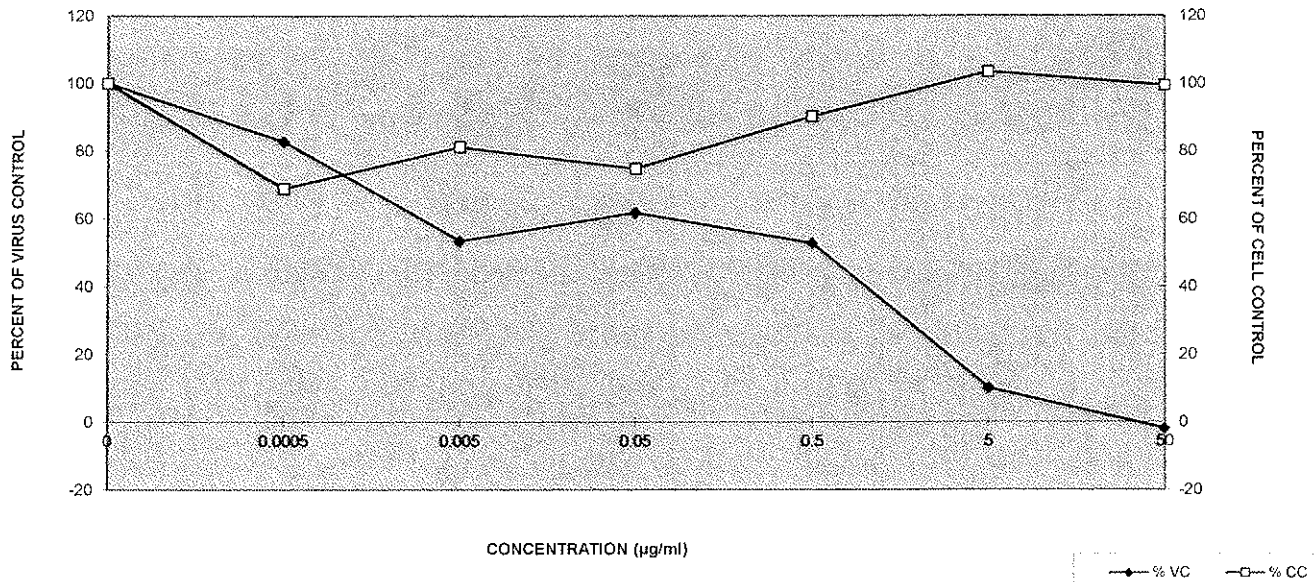
Virus: HIV-1	Clade: B	Technician: CAB	Setup Date: 10/29/2013
Strain: IIIB	Cells: TZMbl FcRI	PI: KWB	Read Date: 10/31/2013
Tropism: CXCR4	Project #: 306-01-02	Client: CJSC Intelpharm	

Antiviral Compound: Dextran Sulfate

	25%	50%	95%
EC (µg/ml)	9.24E-04	0.584	13.3
TC (µg/ml)	<0.0005	>50.0	>50.0
Therapeutic Index (TI)	0.54	>85.62	>3.76

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	313374.0	84788.4955	100.00	1.897	0.32228	100.00
0.0005	259584.6	61024.8033	82.84	1.308	0.365137	68.97
0.005	167597.8	22137.4911	53.48	1.542	0.578735	81.29
0.05	193944.5	22367.0392	61.89	1.420	0.386248	74.88
0.5	165775.9	22030.7453	52.90	1.713	0.067615	90.32
5	31526.9	27928.9059	10.06	1.963	0.289986	103.49
50	-5743.5	1741.33475	-1.83	1.886	0.361037	99.44

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Dextran Sulfate



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INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Fullerene PMA

Raw Data (Fullerene PMA)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	231314.5	287169.4	17596.3	203341.2	-9472.1	-13236.3	-13330.3
SAMPLE 2	184814.3	242718.7	21882.3	-6508.8	-9239.6	38507.3	-12122.8
SAMPLE 3	261747.5	284011.5	33994.9	10512.3	2017.0	6381.4	93.2

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.32	1	3.16	9.99	31.6	100
SAMPLE 1	2.083	1.923	2.141	2.365	2.194	2.242	2.197
SAMPLE 2	2.127	2.192	2.480	2.627	2.834	2.033	2.061
SAMPLE 3	2.508	2.518	2.420	2.616	2.610	2.253	2.088

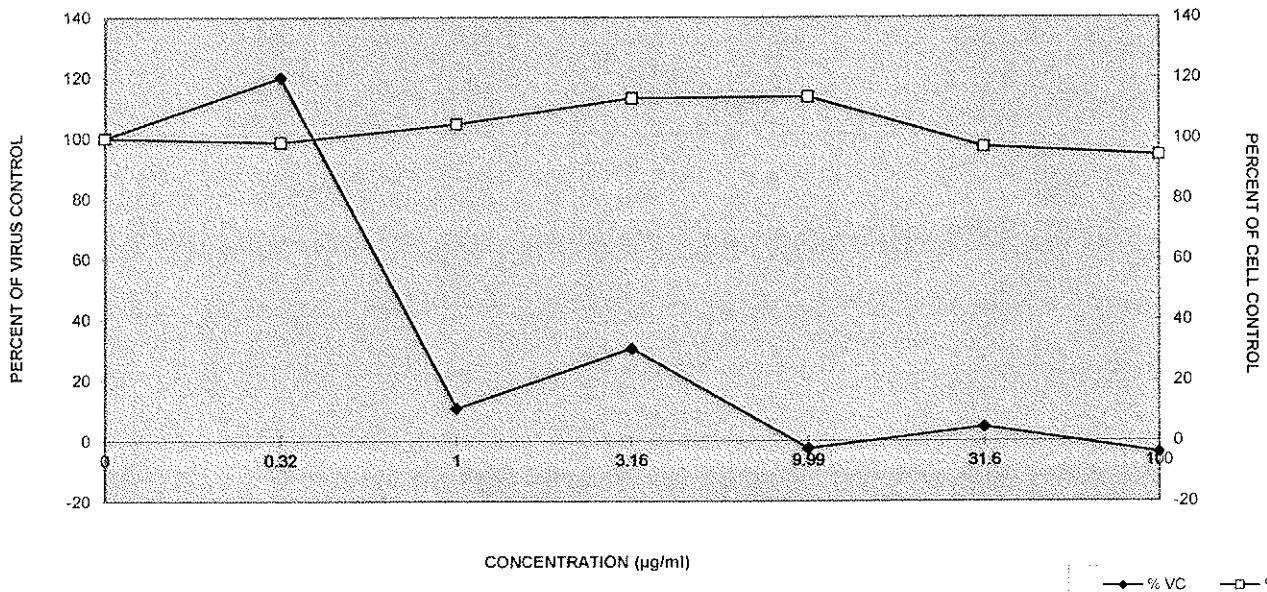
Virus: HIV-1	Clade: B	Technician: CAB	Setup Date: 10/9/2013
Strain: IIIB	Cells: TZMbl FcRI	PI: KWB	Read Date: 10/11/2013
Tropism: CXCR4	Project #: 306-01-02	Client: CJSC Intelpharm	

Antiviral Compound: Fullerene PMA

	25%	50%	95%
EC (µg/ml)	0.512	0.665	7.70
TC (µg/ml)	>100	>100	>100
Therapeutic Index (TI)	>195.31	>150.38	>12.99

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	225958.7	38745.222	100.00	2.239	0.233882	100.00
0.32	271299.8	24802.326	120.07	2.211	0.297613	98.73
1	24491.1	8504.8903	10.84	2.347	0.181224	104.80
3.16	69114.9	116554.51	30.59	2.536	0.14844	113.24
9.99	-5564.9	6567.147	-2.46	2.546	0.324681	113.69
31.6	10550.8	26122.556	4.67	2.176	0.123857	97.17
100	-8453.3	7426.0697	-3.74	2.115	0.072078	94.46

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Fullerene PMA



INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Fullerene-PMA

Raw Data (Fullerene-PMA)

RLU (Relative Light Units)							
Conc (µg/ml)	0	0.001	0.01	0.1	1	10	100
SAMPLE 1	219645.1	145773.3	192075.2	151997.2	5492.4	-7670.2	-11624.4
SAMPLE 2	181167.6	182247.9	196963.3	147106.3	27436.9	-246.7	-10434.6
SAMPLE 3	213076.2	153192.4	172034.2	157590.5	36758.1	3845.0	-4431.5

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
Conc (µg/ml)	0	0.001	0.01	0.1	1	10	100
SAMPLE 1	1.584	1.402	1.463	1.669	1.327	1.785	1.074
SAMPLE 2	2.111	1.309	0.976	1.241	1.497	1.766	1.500
SAMPLE 3	1.399	1.400	1.541	1.145	1.589	1.818	1.970

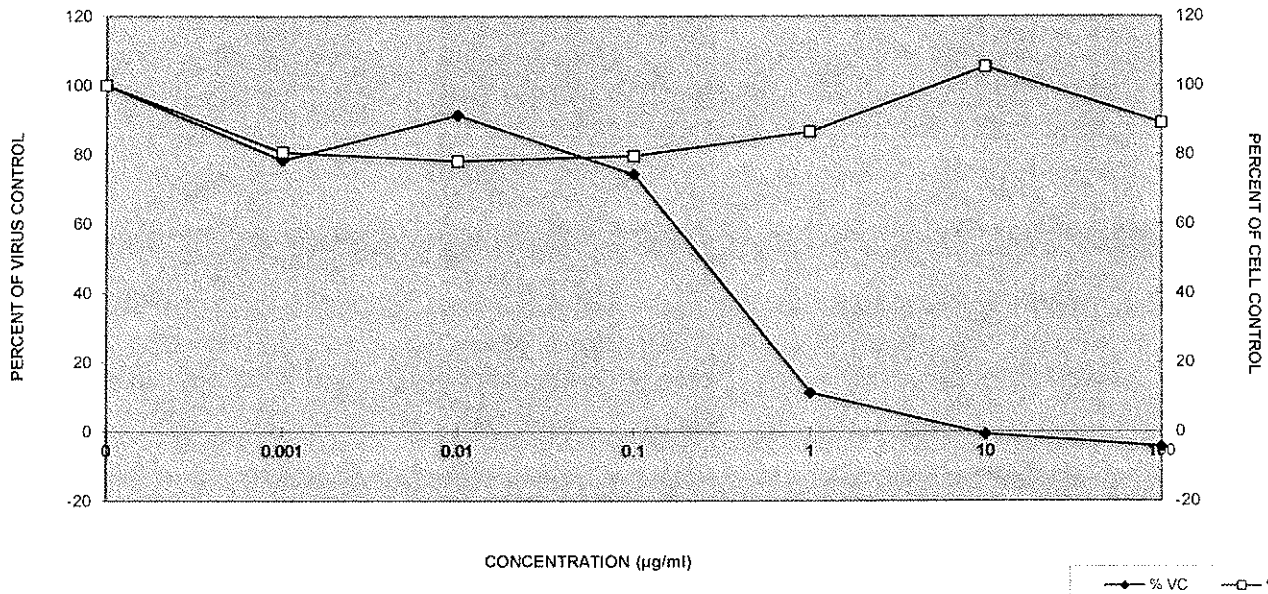
Virus:	HIV-1	Clade:	B	Technician:	CAB	Setup Date:	10/29/2013
Strain:	IIIB	Cells:	TZMbl FcRI	PI:	KWB	Read Date:	10/31/2013
Tropism:	CXCR4	Project #:	306-01-02	Client:	CJSC Intelpharm		

Antiviral Compound: Fullerene-PMA

	25%	50%	95%
EC (µg/ml)	0.0921	0.244	3.38
TC (µg/ml)	>100	>100	>100
Therapeutic Index (TI)	>1085.78	>409.84	>29.59

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	204629.6	20582.465	100.00	1.698	0.369538	100.00
0.001	160404.5	19277.195	78.39	1.370	0.052919	80.71
0.01	187024.2	13209.815	91.40	1.327	0.306133	78.13
0.1	152231.3	5246.02	74.39	1.352	0.279096	79.62
1	23229.1	16051.946	11.35	1.471	0.132596	86.63
10	-1357.3	5837.3823	-0.66	1.790	0.026555	105.40
100	-8830.2	3855.5295	-4.32	1.515	0.447734	89.20

INHIBITION OF CXCR4 TROPIC B CLADE HIV-1_{IIIB} ENTRY IN TZMbl FcRI CELLS BY Fullerene-PMA



INHIBITION OF CELL TO CELL FUSION BY CHICAGO SKY BLUE

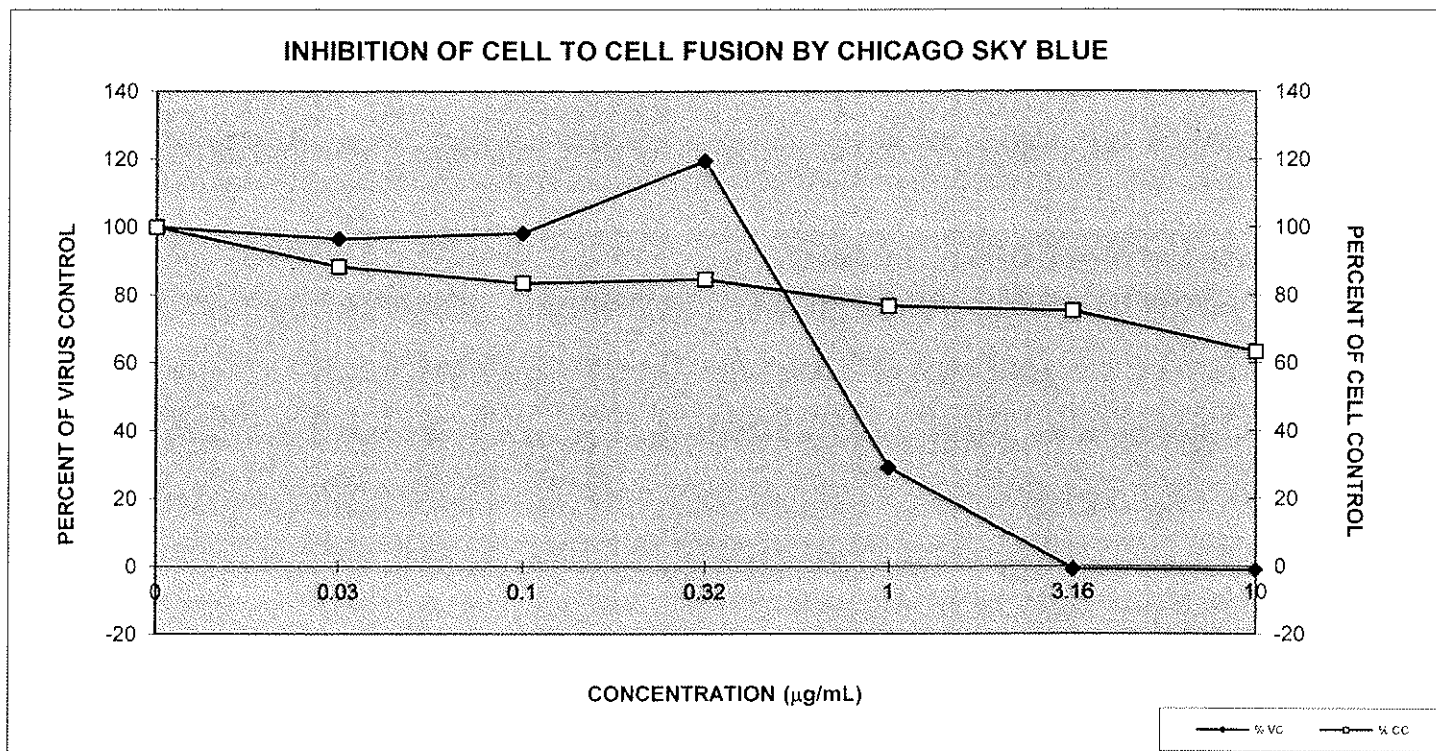
RLU (Relative Light Units)							
CONC (µg/mL)	0	0.03	0.1	0.32	1	3.16	10
SAMPLE 1	58007.4	35951.0	98157.9	71213.1	8026.2	-701.0	-825.1
SAMPLE 2	68539.3	81188.9	61415.8	117695.4	31550.0	-570.8	-782.7
SAMPLE 3	101898.9	103436.7	64813.5	84049.8	26954.2	-571.0	-800.2
MEAN	76148.5	73525.5	74795.7	90986.1	22176.8	-614.3	-802.7
St. Dev. (MEAN)	22913.8	34389.3	20303.4	24004.9	12468.4	75.1	21.3
% VC	100.0	96.6	98.2	119.5	29.1	-0.8	-1.1
St. Dev. (% VC)	30.1	45.2	26.7	31.5	16.4	0.1	0.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µg/mL)	0	0.03	0.1	0.32	1	3.16	10
SAMPLE 1	1.201	1.467	1.385	1.067	1.026	0.845	0.957
SAMPLE 2	1.600	1.327	1.292	1.342	1.266	1.265	1.006
SAMPLE 3	1.922	1.378	1.267	1.590	1.333	1.445	1.027
MEAN	1.574	1.391	1.315	1.333	1.208	1.185	0.997
St. Dev. (MEAN)	0.361	0.070	0.062	0.261	0.161	0.308	0.036
% VC	100.0	88.3	83.5	84.6	76.8	75.3	63.3
St. Dev. (% VC)	22.9	4.5	4.0	16.6	10.3	19.6	2.3

EC₅₀ (µg/mL) = 0.84

TC₅₀ (µg/mL) = >10

TI = >11.9



INHIBITION OF CELL TO CELL FUSION BY CHICAGO SKY BLUE

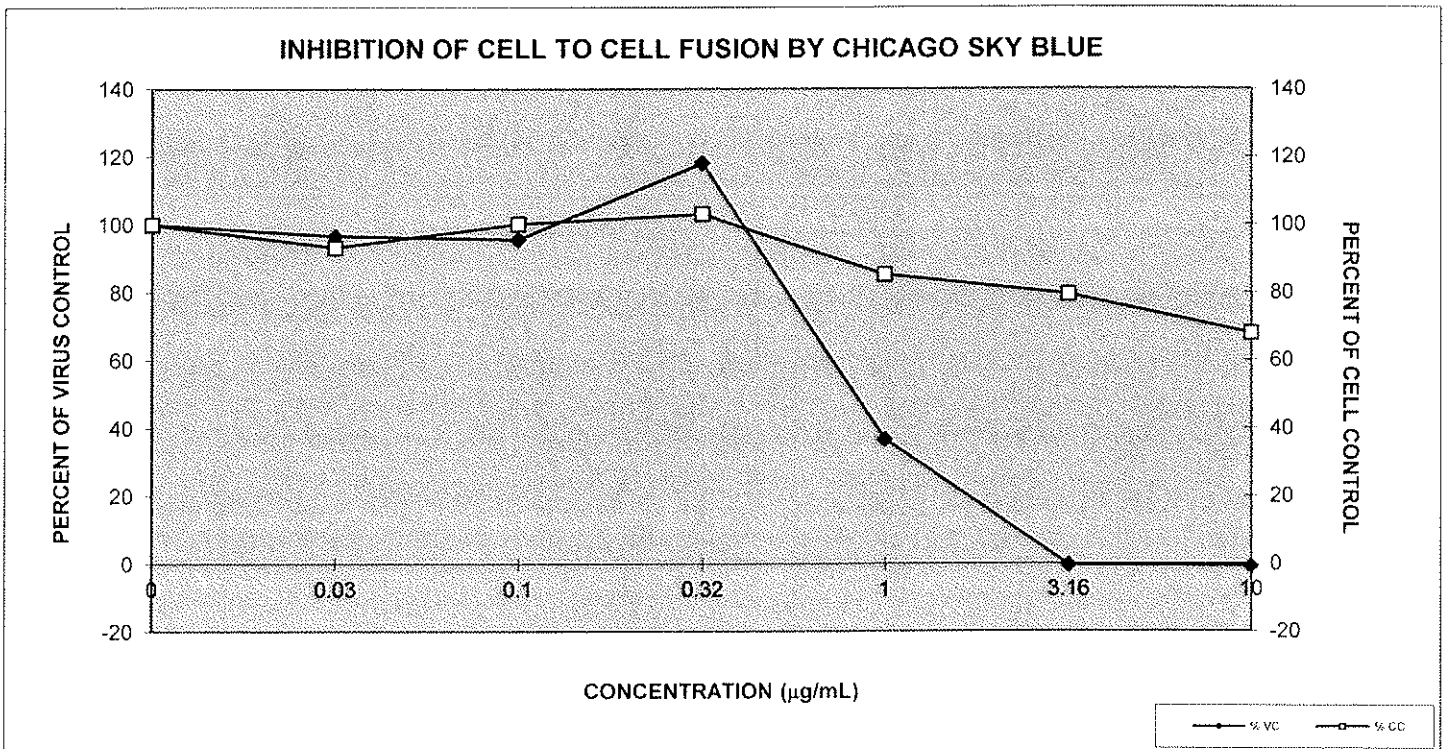
RLU (Relative Light Units)							
CONC (µg/mL)	0	0.03	0.1	0.32	1	3.16	10
SAMPLE 1	37538.9	38539.7	25432.7	51095.6	16783.1	-240.3	-358.7
SAMPLE 2	50516.2	46387.6	68701.6	84879.5	20982.5	-181.8	-334.4
SAMPLE 3	61065.2	59227.5	48462.0	40165.3	17009.4	-203.2	-331.8
MEAN	49706.7	48051.6	47532.1	58713.4	18258.3	-208.5	-341.7
St. Dev. (MEAN)	11784.0	10443.8	21649.4	23310.2	2361.9	29.6	14.8
% VC	100.0	96.7	95.6	118.1	36.7	-0.4	-0.7
St. Dev. (% VC)	23.7	21.0	43.6	46.9	4.8	0.1	0.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µg/mL)	0	0.03	0.1	0.32	1	3.16	10
SAMPLE 1	1.393	1.414	1.608	1.502	1.318	1.239	1.232
SAMPLE 2	1.805	1.693	1.812	1.902	1.552	1.466	1.096
SAMPLE 3	1.969	1.712	1.757	1.925	1.538	1.397	1.184
MEAN	1.722	1.606	1.726	1.776	1.469	1.367	1.170
St. Dev. (MEAN)	0.297	0.167	0.105	0.238	0.131	0.116	0.069
% VC	100.0	93.3	100.2	103.1	85.3	79.4	68.0
St. Dev. (% VC)	17.3	9.7	6.1	13.8	7.6	6.7	4.0

EC₅₀ (µg/mL) = 0.89

TC₅₀ (µg/mL) = >10

TI = >11.2



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INHIBITION OF CELL TO CELL FUSION BY T20

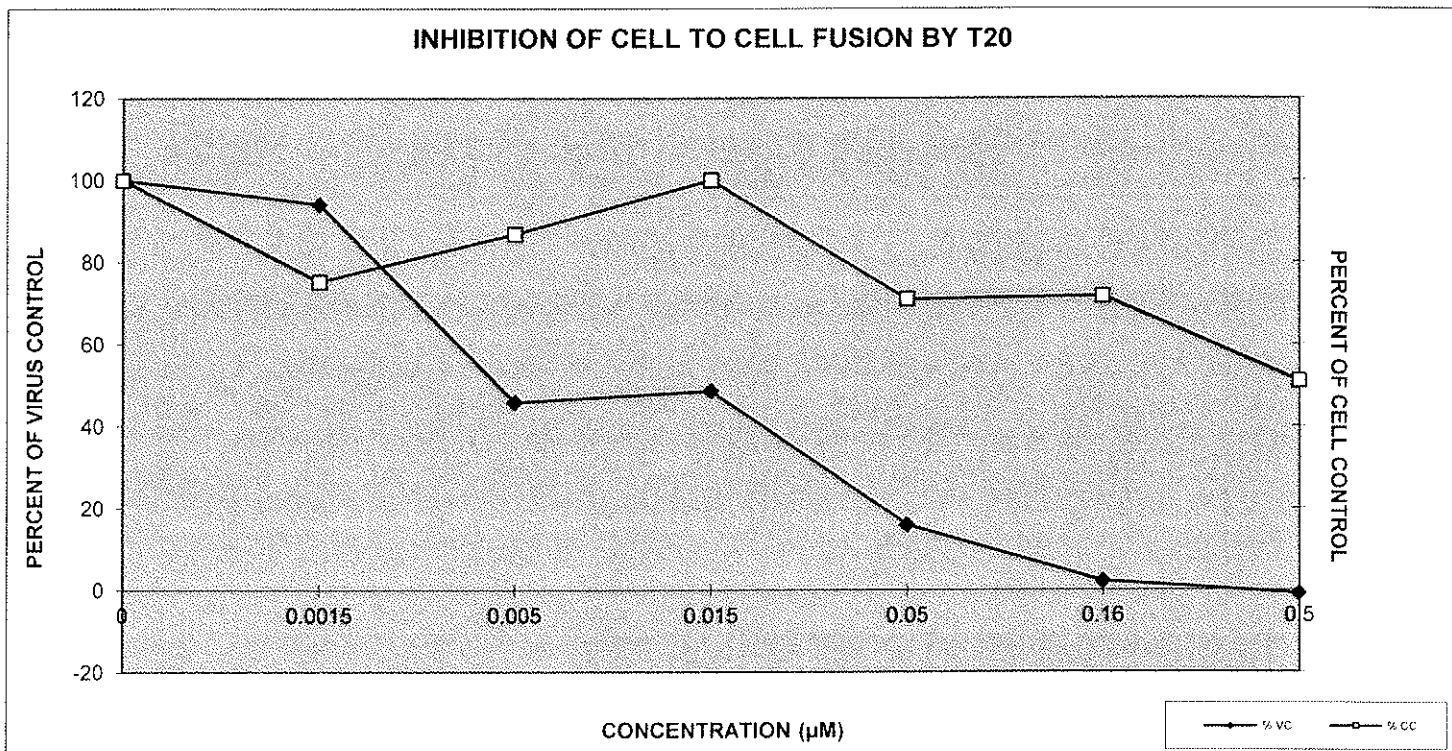
RLU (Relative Light Units)							
CONC (µM)	0	0.0015	0.005	0.015	0.05	0.16	0.5
SAMPLE 1	92258.5	87187.2	46158.2	47227.3	13204.2	1096.1	-805.4
SAMPLE 2	138178.4	115908.7	55739.8	55995.0	21084.1	4365.5	-1044.6
SAMPLE 3	119993.2	126686.9	58845.6	67127.9	21613.0	2215.6	-1007.3
MEAN	116810.1	109927.6	53581.2	56783.4	18633.8	2559.1	-952.4
St. Dev. (MEAN)	23124.9	20417.8	6613.4	9973.7	4709.6	1661.5	128.7
% VC	100.0	94.1	45.9	48.6	16.0	2.2	-0.8
St. Dev. (% VC)	19.8	17.5	5.7	8.5	4.0	1.4	0.1

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µM)	0	0.0015	0.005	0.015	0.05	0.16	0.5
SAMPLE 1	2.211	1.668	1.561	2.431	1.635	1.564	1.003
SAMPLE 2	2.466	1.687	2.329	2.353	1.611	1.870	1.303
SAMPLE 3	2.673	2.173	2.492	2.565	1.967	1.834	1.440
MEAN	2.450	1.842	2.127	2.450	1.738	1.756	1.249
St. Dev. (MEAN)	0.231	0.286	0.497	0.107	0.199	0.167	0.223
% VC	100.0	75.2	86.8	100.0	70.9	71.7	51.0
St. Dev. (% VC)	9.4	11.7	20.3	4.4	8.1	6.8	9.1

EC₅₀ (µM) = 0.00470

TC₅₀ (µM) = >0.5

TI = >106.4



7/18/14

INHIBITION OF CELL TO CELL FUSION BY T20

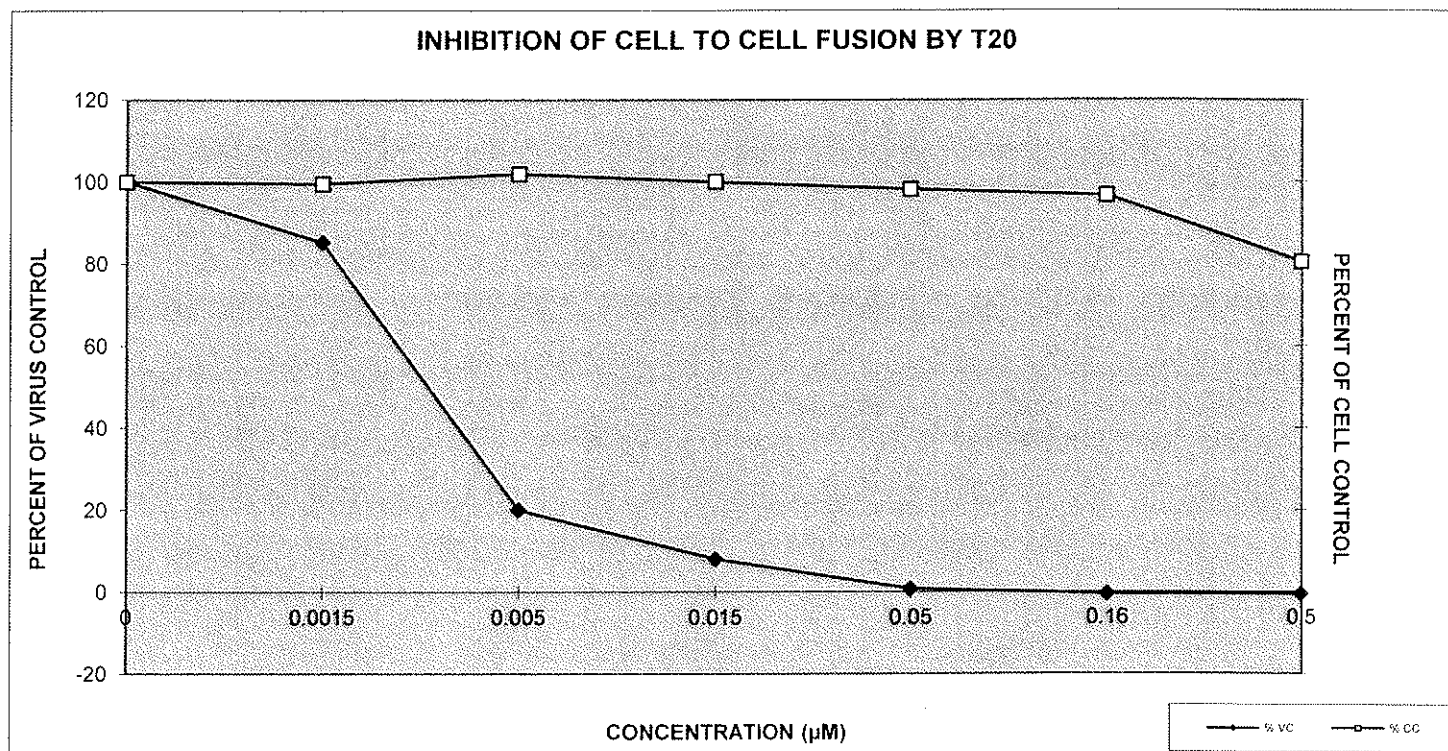
RLU (Relative Light Units)							
CONC (μM)	0	0.0015	0.005	0.015	0.05	0.16	0.5
SAMPLE 1	81080.7	83639.5	17717.5	7163.6	850.9	-332.8	-390.3
SAMPLE 2	74722.9	39998.5	15607.9	6998.2	313.9	-314.0	-396.1
SAMPLE 3	72480.4	70939.1	12516.0	4328.4	611.2	-354.4	-389.2
MEAN	76094.6	64859.0	15280.4	6163.4	592.0	-333.8	-391.9
St. Dev. (MEAN)	4461.2	22446.8	2616.2	1591.3	269.0	20.2	3.7
% VC	100.0	85.2	20.1	8.1	0.8	-0.4	-0.5
St. Dev. (% VC)	5.9	29.5	3.4	2.1	0.4	0.0	0.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (μM)	0	0.0015	0.005	0.015	0.05	0.16	0.5
SAMPLE 1	1.685	1.834	1.905	1.974	1.860	1.709	1.558
SAMPLE 2	1.926	1.884	1.845	1.706	1.787	1.870	1.386
SAMPLE 3	1.984	1.848	1.964	1.916	1.847	1.826	1.559
MEAN	1.865	1.856	1.901	1.865	1.831	1.802	1.501
St. Dev. (MEAN)	0.158	0.026	0.055	0.141	0.039	0.083	0.100
% VC	100.0	99.5	101.9	100.0	98.2	96.6	80.5
St. Dev. (% VC)	8.5	1.4	3.0	7.5	2.1	4.5	5.4

EC₅₀ (μM) = 0.00339

TC₅₀ (μM) = >0.5

TI = >147.49



CPB 7/8/14
KOB 7/8/14

INHIBITION OF CELL to CELL FUSION BY FPACA

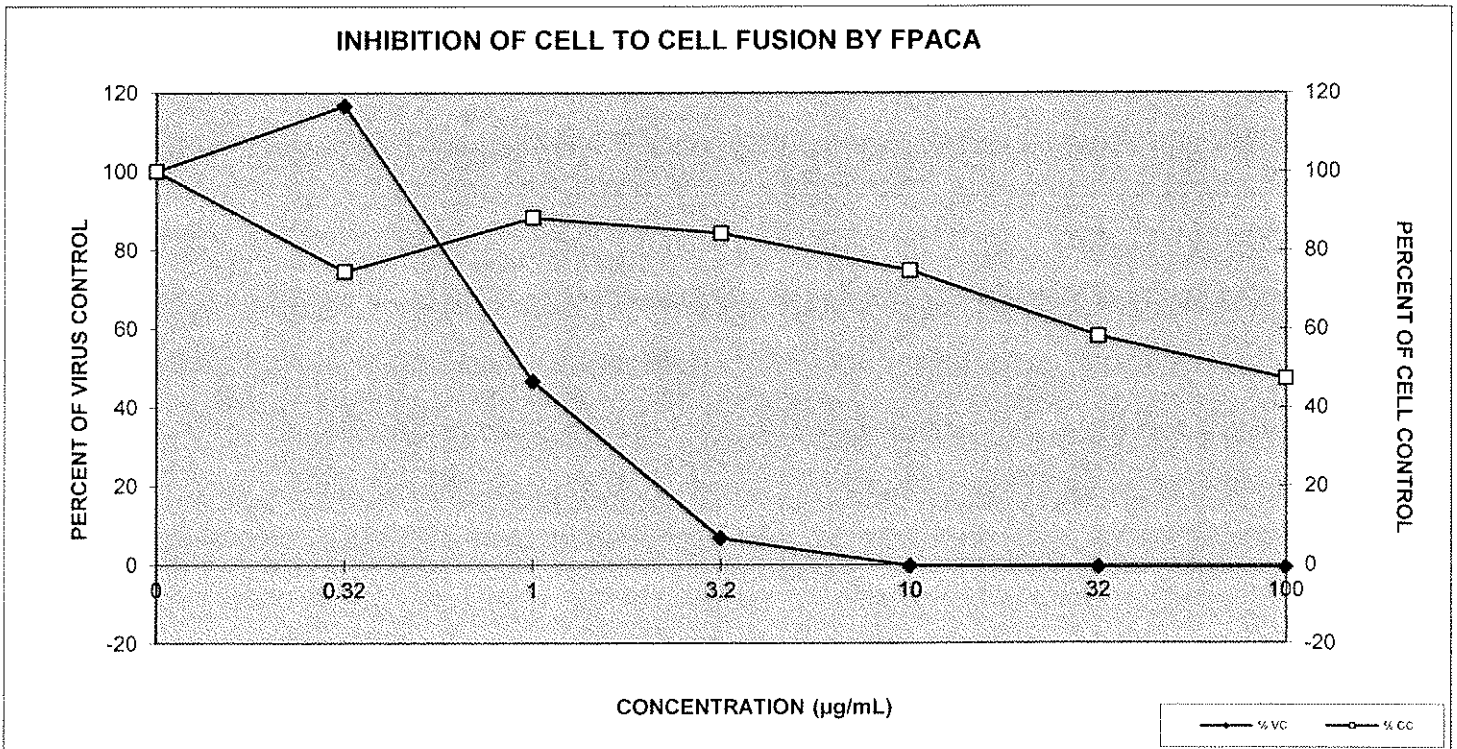
RLU (Relative Light Units)							
CONC (µg/mL)	0	0.32	1	3.2	10	32	100
SAMPLE 1	100580.2	193493.6	60608.9	12292.7	-252.8	-1019.6	-1082.7
SAMPLE 2	157977.0	145688.0	70323.1	7275.7	-735.9	-972.1	-1047.5
SAMPLE 3	151563.0	139335.9	60402.1	8292.3	-166.5	-513.2	-761.5
MEAN	136706.8	159505.9	63778.1	9286.9	-385.0	-834.9	-963.9
St. Dev. (MEAN)	31450.4	29605.1	5669.1	2652.3	306.9	279.7	176.2
% VC	100.0	116.7	46.7	6.8	-0.3	-0.6	-0.7
St. Dev. (% VC)	23.0	21.7	4.1	1.9	0.2	0.2	0.1

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µg/mL)	0	0.32	1	3.2	10	32	100
SAMPLE 1	2.850	2.565	2.615	2.484	2.433	1.712	1.241
SAMPLE 2	2.822	1.878	2.309	2.401	1.850	1.531	1.358
SAMPLE 3	2.375	1.560	2.178	1.897	1.735	1.430	1.208
MEAN	2.682	2.001	2.367	2.261	2.006	1.558	1.269
St. Dev. (MEAN)	0.267	0.514	0.225	0.318	0.374	0.143	0.079
% VC	100.0	74.6	88.3	84.3	74.8	58.1	47.3
St. Dev. (% VC)	9.9	19.2	8.4	11.8	14.0	5.3	2.9

EC₅₀ (µg/mL) = 0.97

TC₅₀ (µg/mL) = 82.99

TI = 85.56



CB 7/18/14
 KCB 7/18/14

INHIBITION OF CELL to CELL FUSION BY FPACA

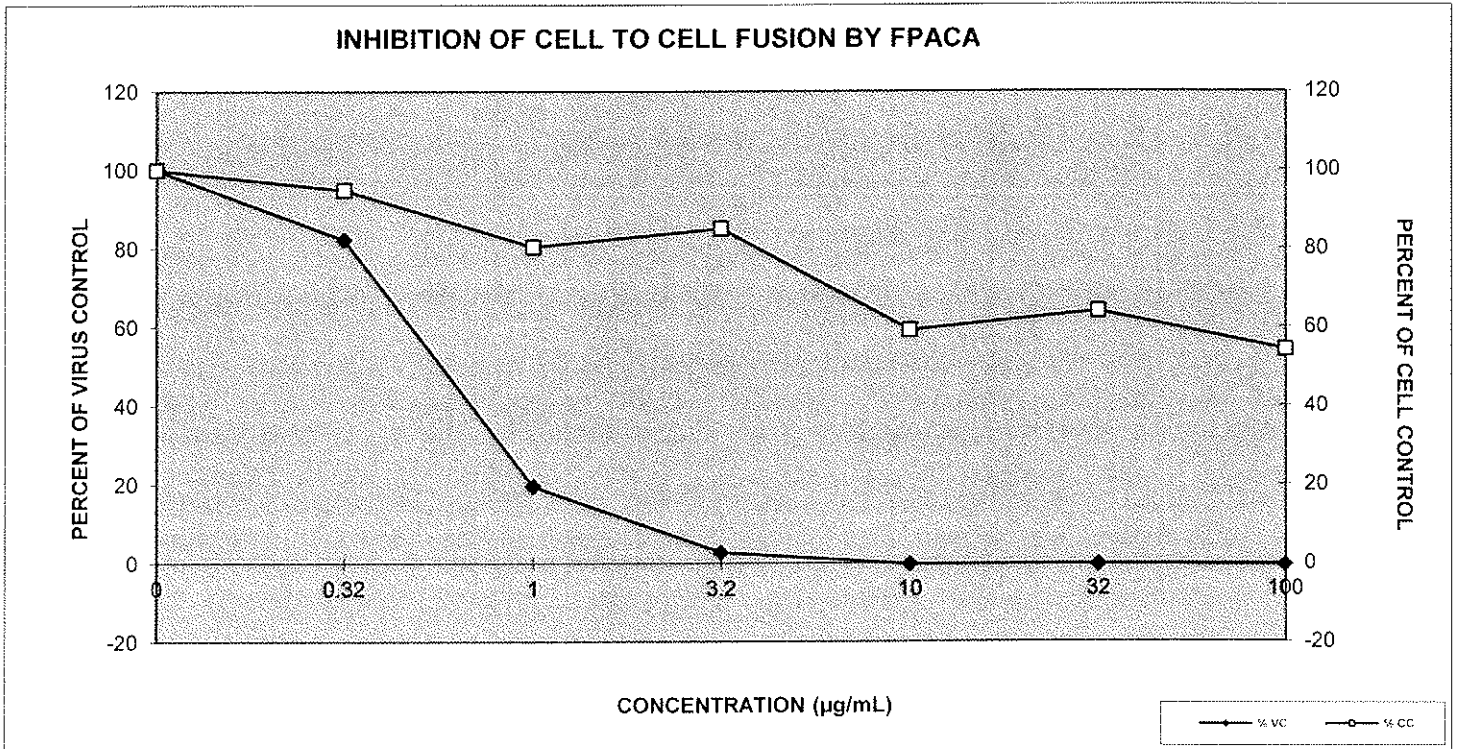
RLU (Relative Light Units)							
CONC (µg/mL)	0	0.32	1	3.2	10	32	100
SAMPLE 1	48319.2	76143.3	17076.4	3774.9	-351.5	-397.3	-436.0
SAMPLE 2	107546.5	79935.6	14878.1	271.2	-286.7	-336.2	-387.9
SAMPLE 3	76891.6	35400.1	13663.1	2300.1	94.4	20.5	-139.9
MEAN	77585.8	63826.3	15205.9	2115.4	-181.3	-237.7	-321.3
St. Dev. (MEAN)	29619.8	24690.8	1730.1	1759.1	240.9	225.7	158.9
% VC	100.0	82.3	19.6	2.7	-0.2	-0.3	-0.4
St. Dev. (% VC)	38.2	31.8	2.2	2.3	0.3	0.3	0.2

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µg/mL)	0	0.32	1	3.2	10	32	100
SAMPLE 1	1.964	1.945	1.463	1.790	1.251	1.393	0.988
SAMPLE 2	1.971	1.791	1.759	1.499	1.157	1.214	1.139
SAMPLE 3	1.849	1.754	1.429	1.632	1.018	1.094	1.014
MEAN	1.928	1.830	1.551	1.640	1.142	1.234	1.047
St. Dev. (MEAN)	0.069	0.101	0.181	0.145	0.117	0.150	0.081
% VC	100.0	94.9	80.4	85.1	59.2	64.0	54.3
St. Dev. (% VC)	3.6	5.2	9.4	7.5	6.1	7.8	4.2

EC₅₀ (µg/mL) = 0.67

TC₅₀ (µg/mL) = >100

TI = >149.25

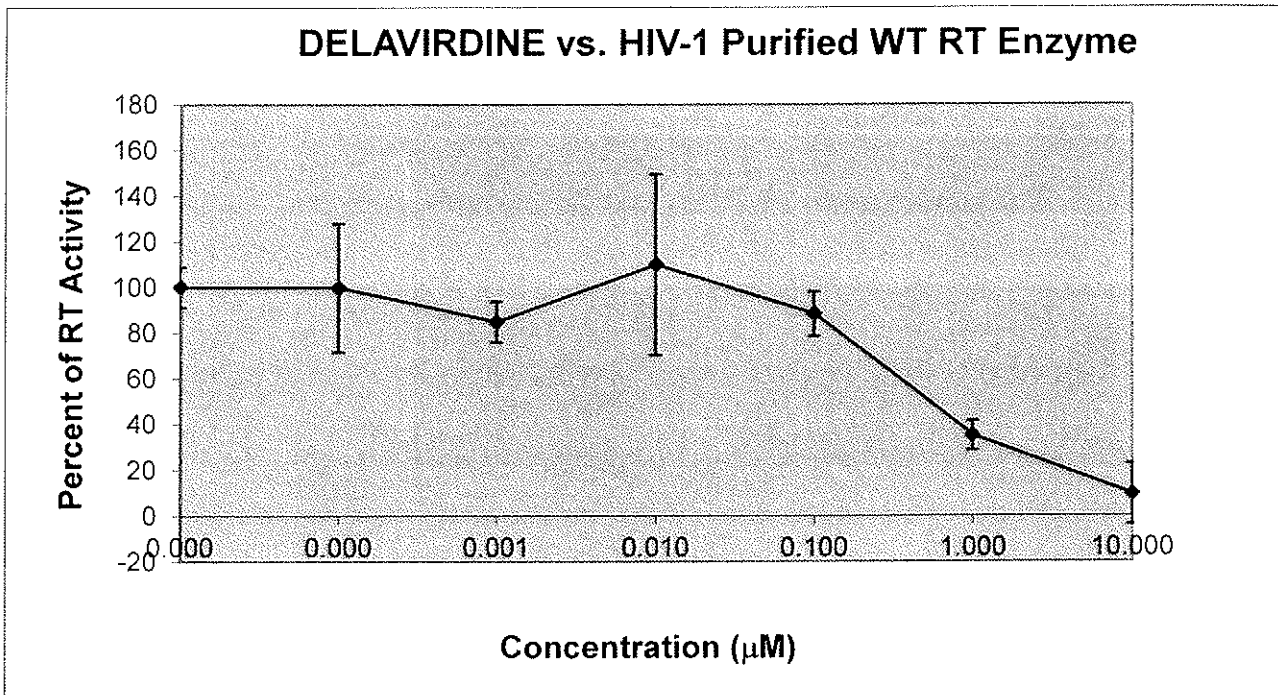


@ 7/8/14 KWS 7/8/14

DELAVIRDINE VS. HIV-1 Purified WT RT Enzyme

RT ACTIVITY (CPM)							
CONC (μM)	0	0.0001	0.001	0.01	0.1	1	10
SAMPLE 1	125237	106795	106187	105653	109915	48954	13726
SAMPLE 2	141960	159844	120541	187881	126091	44744	11366
MEAN	133599	133320	113364	146767	118003	46849	12546
%RT Control	100	100	85	110	88	35	9
ST DEV	8.9	28.1	9.0	39.6	9.7	6.4	13.3

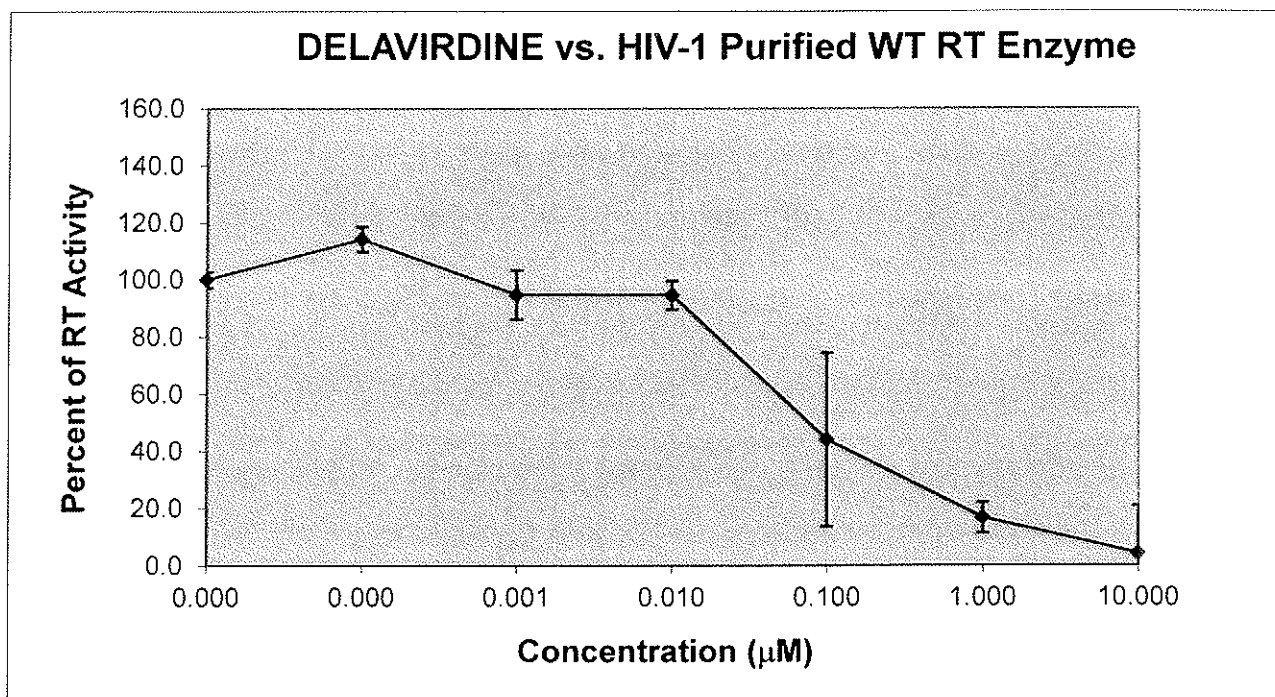
IC50 (μM) = 0.748



DELAVIRDINE vs. HIV-1 Purified WT RT Enzyme

RT ACTIVITY (CPM)							
CONC (μM)	0	0.0001	0.001	0.01	0.1	1	10
SAMPLE 1	242306	291139	248603	242104	132160	39663	11459
SAMPLE 2	251974	273552	220010	225453	85480	42803	9045
MEAN	247140	282345	234306	233778	108820	41233	10252
%RT Control	100.0	114.2	94.8	94.6	44.0	16.7	4.1
STD DEV	2.8	4.4	8.6	5.0	30.3	5.4	16.7

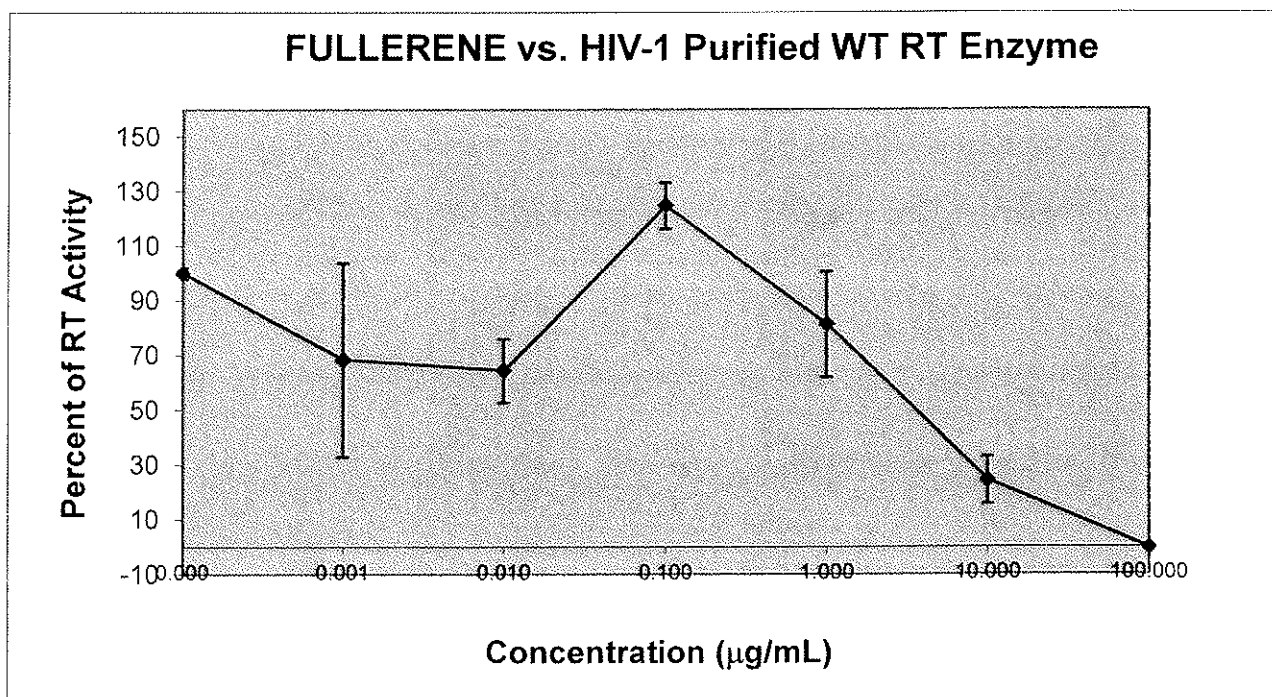
IC50 (μM) = 0.09



FULLERENE VS. HIV-1 Purified WT RT Enzyme

RT ACTIVITY (CPM)							
CONC ($\mu\text{g/mL}$)	0	0.001	0.01	0.1	1	10	100
SAMPLE 1	194345	168598	137493	260788	181975	44913	764
SAMPLE 2	200005	101013	116560	231270	138372	50820	-2217
MEAN	197175	134806	127027	246029	160174	47867	-727
%RT Control	100	68	64	125	81	24	0
STD DEV	2.0	35.5	11.7	8.5	19.2	8.7	290.1

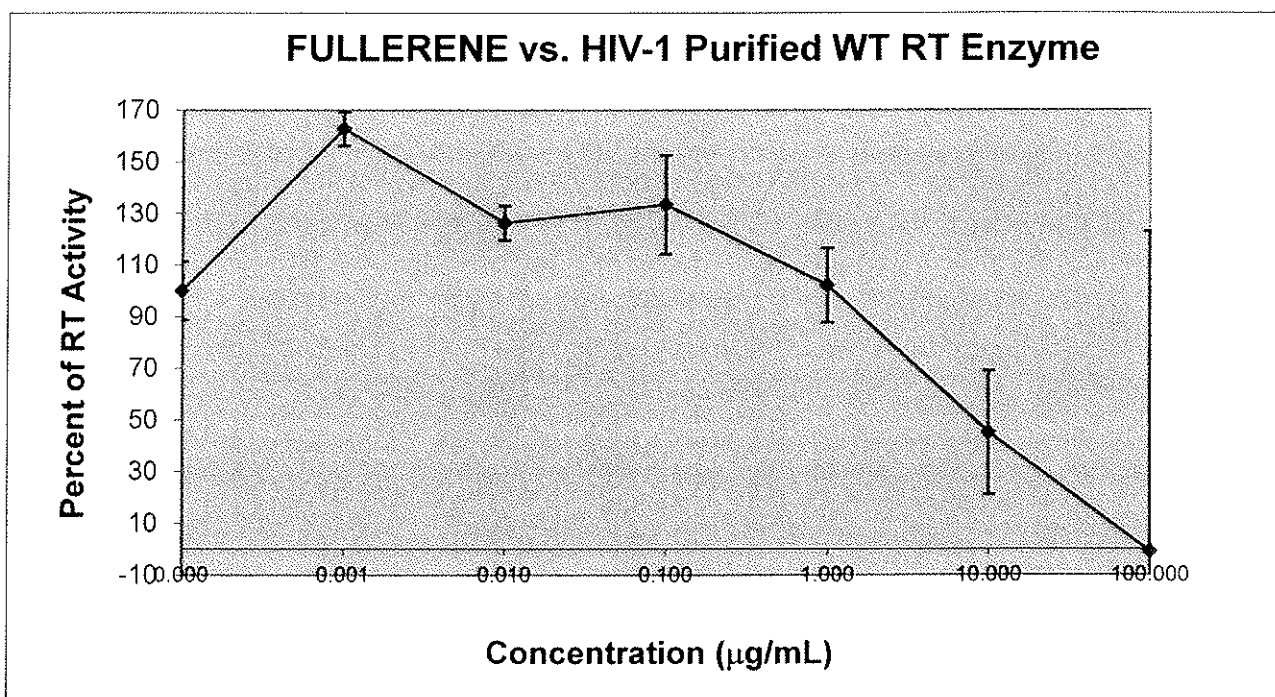
IC50 ($\mu\text{g/mL}$) = 59.353



FULLERENE VS. HIV-1 Purified WT RT Enzyme

RT ACTIVITY (CPM)							
CONC ($\mu\text{g/mL}$)	0	0.001	0.01	0.1	1	10	100
SAMPLE 1	195108	329208	280221	321070	194397	79303	-3736
SAMPLE 2	228969	361081	254989	244454	238520	111604	-249
MEAN	212039	345145	267605	282762	216459	95454	-1993
%RT Control	100	163	126	133	102	45	-1
STD DEV	11.3	6.5	6.7	19.2	14.4	23.9	123.7

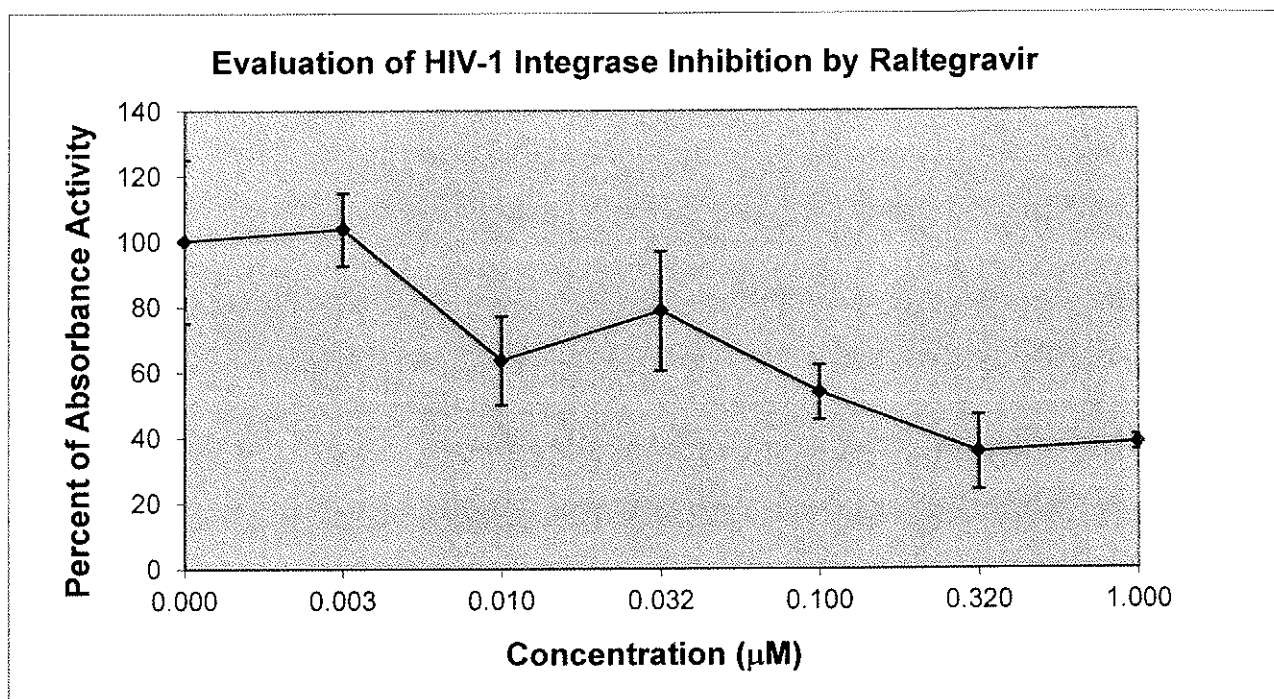
IC₅₀ ($\mu\text{g/mL}$) = 92.142



Evaluation of HIV-1 Integrase Inhibition by Raltegravir

Absorbance Values (450 nm)							
CONC (μM)	0	0.0032	0.010	0.032	0.1	0.32	1
SAMPLE 1	1.302	1.017	0.653	0.737	0.507	0.242	0.409
SAMPLE 2	1.029	1.210	0.523	0.681	0.511	0.388	0.415
SAMPLE 3	0.784	1.003	0.804	1.033	0.658	0.476	0.370
MEAN	1.038	1.077	0.660	0.817	0.559	0.369	0.398
%Pol Control	100	104	64	79	54	36	38
CV	25.0	10.7	21.3	23.2	15.4	32.1	6.1
CV (% Pol Act)	25.0	11.1	13.5	18.2	8.3	11.4	2.4

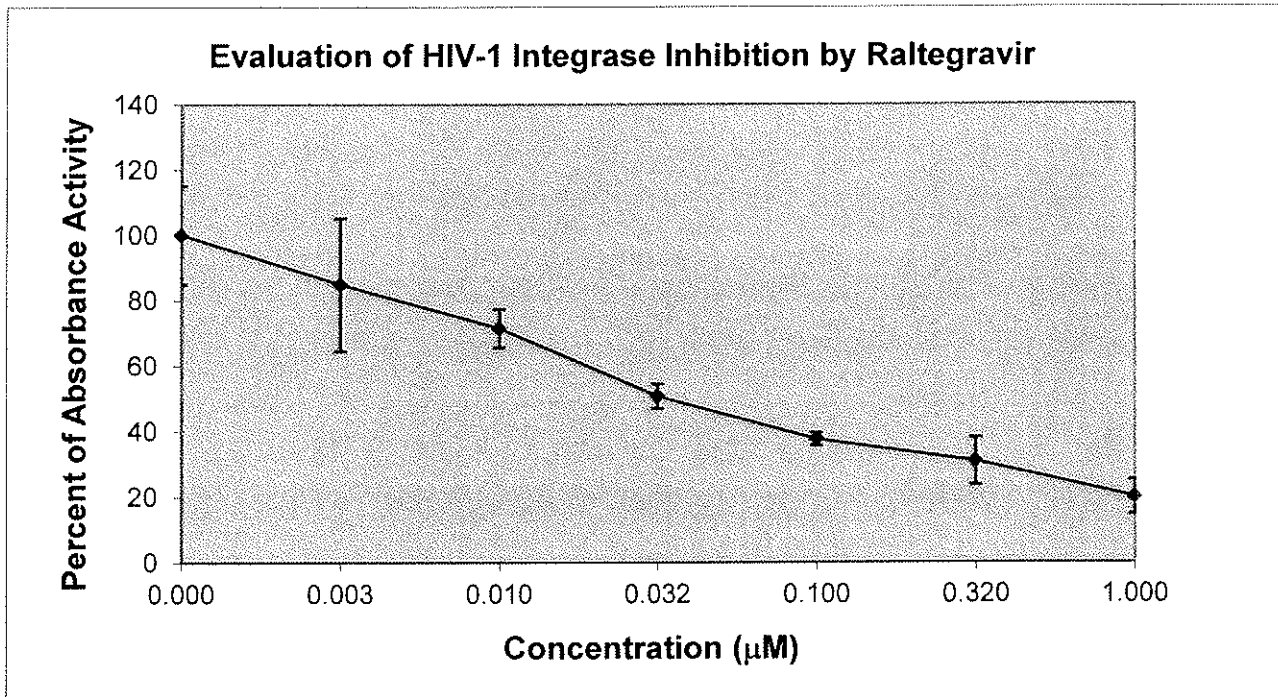
IC₅₀ (μM) = 0.1457



Evaluation of HIV-1 Integrase Inhibition by Raltegravir

Absorbance Values (450 nm)							
CONC (μM)	0	0.0032	0.010	0.032	0.1	0.32	1
SAMPLE 1	1.733	1.060	1.109	0.695	0.589	0.535	0.383
SAMPLE 2	1.457	1.124	0.967	0.803	0.531	0.336	0.229
SAMPLE 3	1.285	1.614	1.125	0.772	0.563	0.509	0.280
MEAN	1.492	1.266	1.067	0.757	0.561	0.460	0.297
%Pol Control	100	85	72	51	38	31	20
CV	15.2	23.9	8.2	7.3	5.2	23.5	26.4
CV (% Pol Act)	15.2	20.3	5.8	3.7	1.9	7.3	5.3

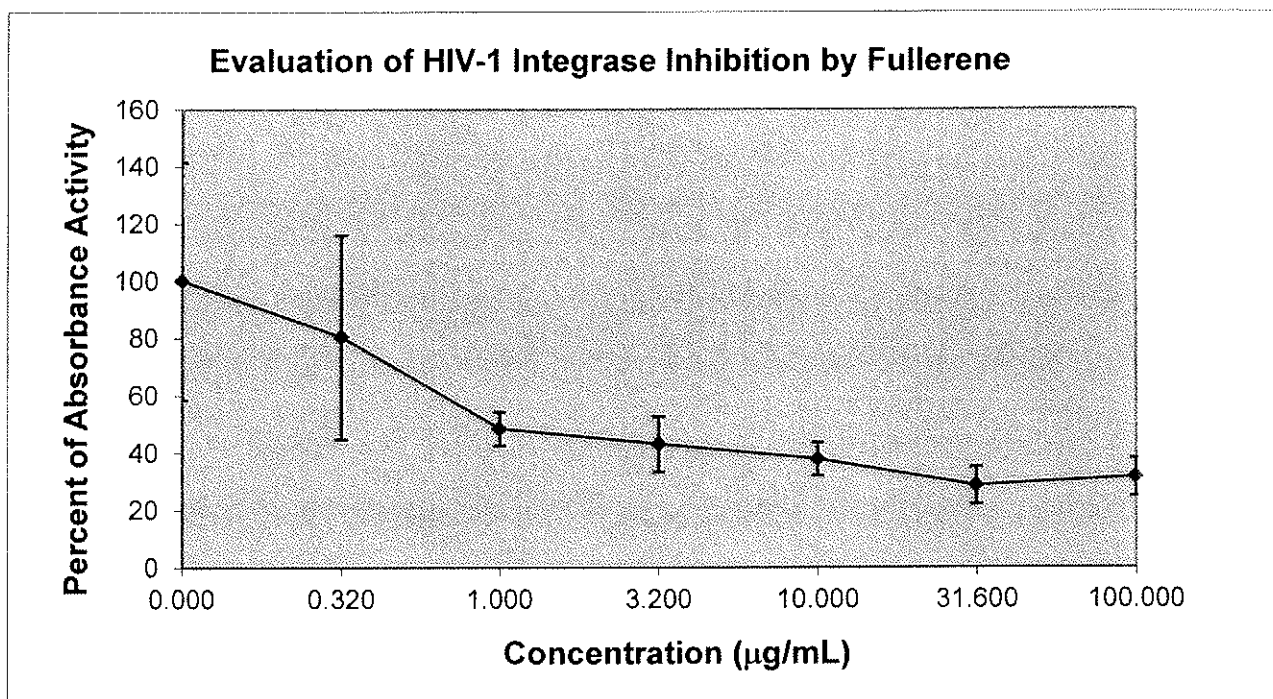
IC₅₀ (μM) = 0.0358



Evaluation of HIV-1 Integrase Inhibition by Fullerene PAA

Absorbance Values (450 nm)							
CONC (µg/mL)	0	0.32	1.000	3.2	10	31.6	100
SAMPLE 1	2.184	1.795	0.637	0.643	0.535	0.460	0.475
SAMPLE 2	1.174	0.931	0.811	0.775	0.652	0.491	0.361
SAMPLE 3	1.077	0.841	0.700	0.491	0.488	0.313	0.556
MEAN	1.478	1.189	0.716	0.636	0.558	0.421	0.464
%Pol Control	100	80	48	43	38	29	31
CV	41.5	44.3	12.3	22.3	15.1	22.6	21.1
CV (% Pol Act)	41.5	35.6	6.0	9.6	5.7	6.4	6.6

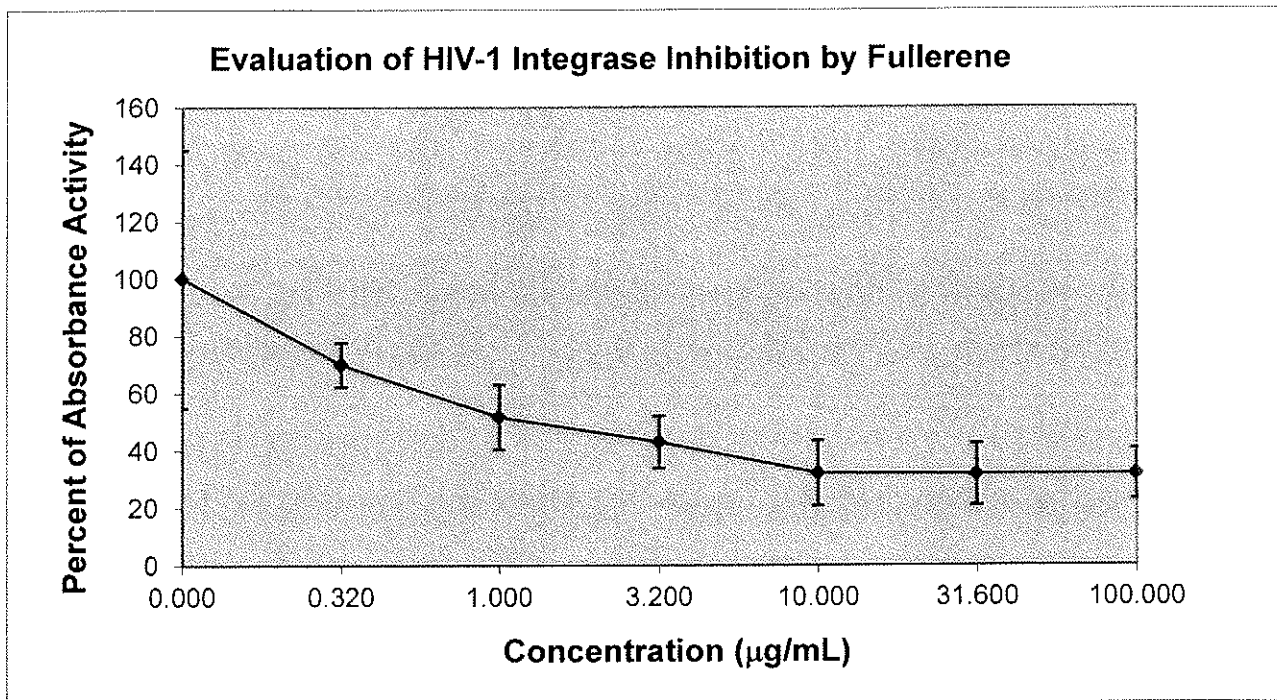
IC50 (µg/mL) = 0.9667



Evaluation of HIV-1 Integrase Inhibition by Fullerene PAA

Absorbance Values (450 nm)							
CONC (µg/mL)	0	0.32	1.000	3.2	10	31.6	100
SAMPLE 1	2.029	1.441	1.060	0.939	0.827	0.631	0.484
SAMPLE 2	0.922	1.186	0.708	0.611	0.479	0.744	0.766
SAMPLE 3	2.532	1.213	1.072	0.809	0.457	0.362	0.495
MEAN	1.828	1.280	0.947	0.786	0.588	0.579	0.582
%Pol Control	100	70	52	43	32	32	32
CV	45.1	10.9	21.8	21.0	35.3	33.9	27.5
CV (% Pol Act)	45.1	7.7	11.3	9.0	11.4	10.7	8.7

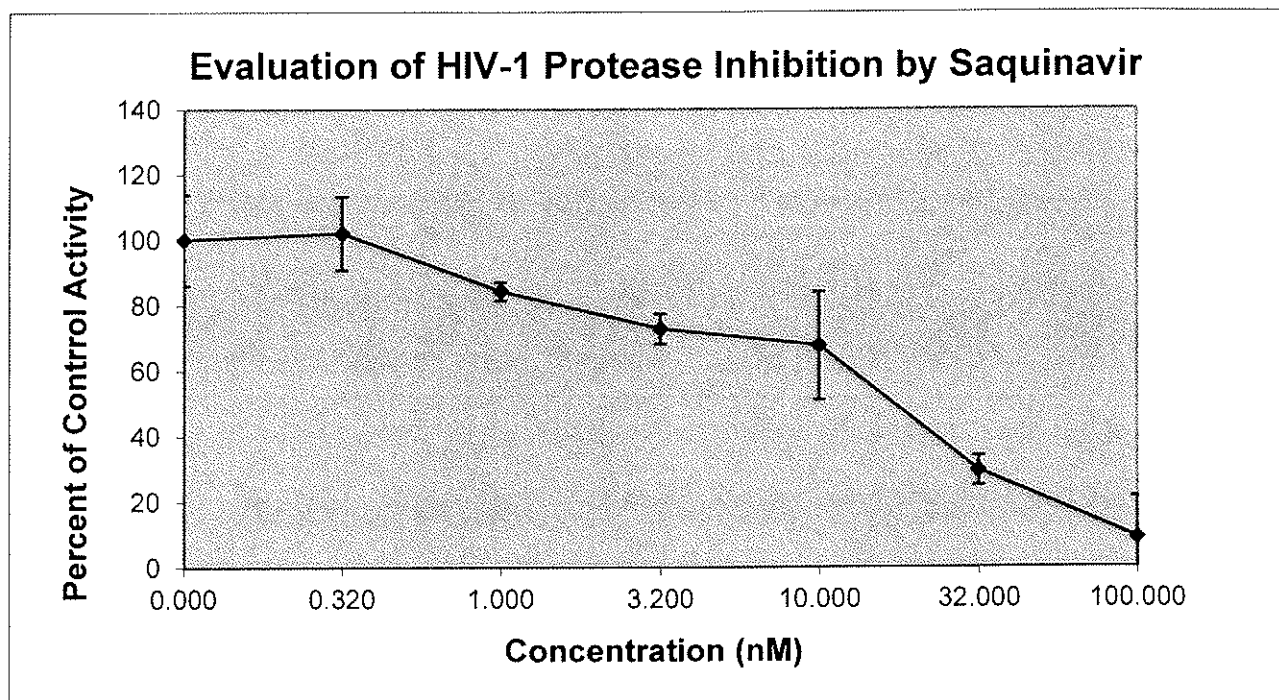
IC₅₀ (µg/mL) = 1.4505



Evaluation of HIV-1 Protease Inhibition by Saquinavir

Normalized Fluorescence Values (490/520 nm)							
CONC (nM)	0	0.32	1	3.2	10	32	100
SAMPLE 1	7083.0	7283.0	6201.0	5394.0	4562.0	2470.0	0.0
SAMPLE 2	6610.0	6943.0	6493.0	5065.0	4090.0	1820.0	256.0
SAMPLE 3	8595.0	8532.0	6092.0	5756.0	6414.0	2283.0	1727.0
MEAN	7429.3	7586.0	6262.0	5405.0	5022.0	2191.0	661.0
% Control	100	102	84	73	68	29	9
CV	14.0	11.0	3.3	6.4	24.5	15.3	141.0
CV (% Act)	14.0	11.3	2.8	4.7	16.5	4.5	12.5

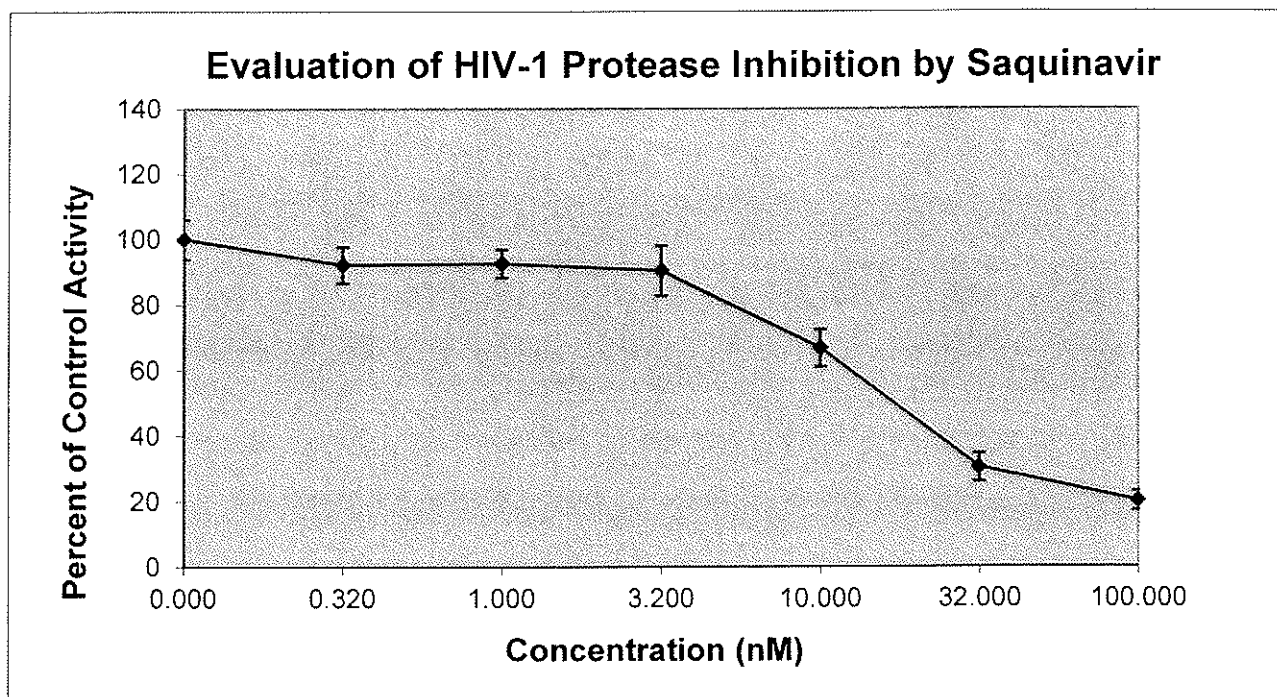
EC_{50} (nM) = 20.159



Evaluation of HIV-1 Protease Inhibition by Saquinavir

Normalized Fluorescence Values (490/520 nm)							
CONC (nM)	0	0.32	1	3.2	10	32	100
SAMPLE 1	5876.0	5479.5	5629.5	5208.5	4188.5	2005.5	1269.5
SAMPLE 2	5460.0	5636.5	5434.5	5751.5	3942.5	1789.5	1270.5
SAMPLE 3	6163.0	5014.5	5133.5	4861.5	3535.5	1506.5	978.5
MEAN	5833.0	5376.8	5399.2	5273.8	3888.8	1767.2	1172.8
% Control	100	92	93	90	67	30	20
CV	6.1	6.0	4.6	8.5	8.5	14.2	14.3
CV (% Act)	6.1	5.5	4.3	7.7	5.7	4.3	2.9

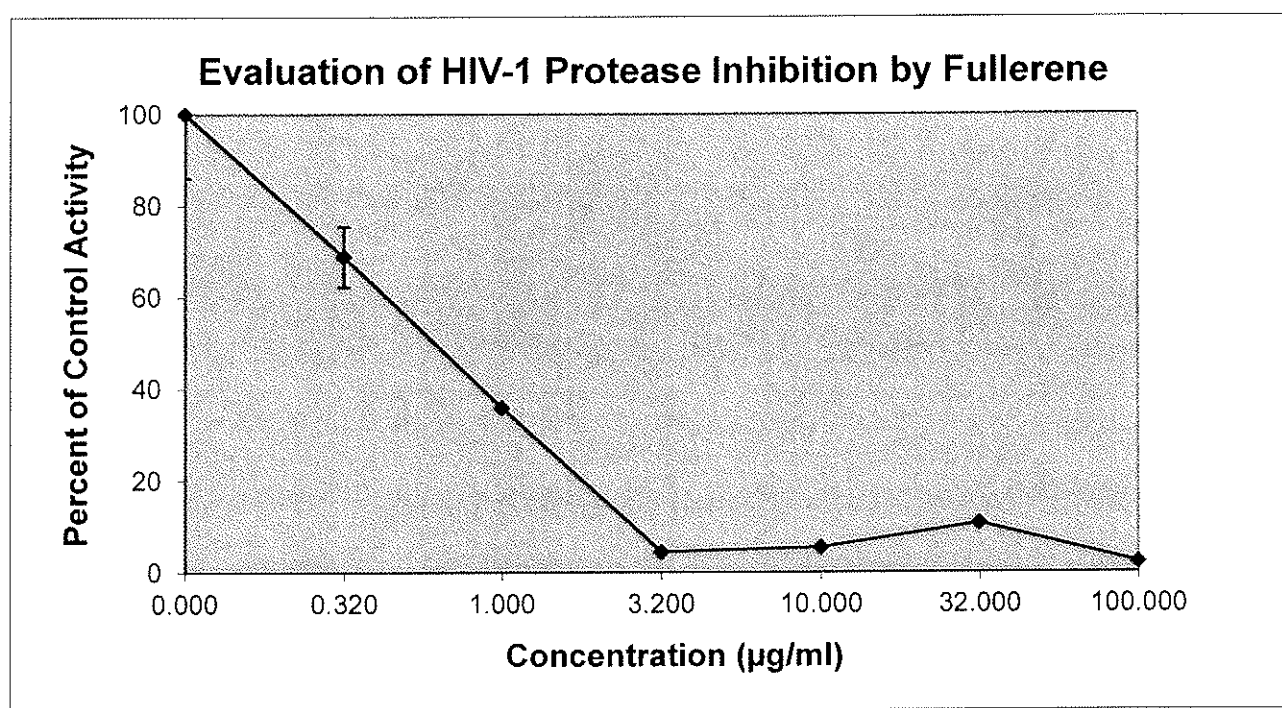
EC₅₀ (nM) = 20.1



Evaluation of HIV-1 Protease Inhibition by Fullerene

Normalized Fluorescence Values (490/520 nm)							
CONC (µg/ml)	0	0.32	1	3.2	10	32	100
SAMPLE 1	7083.0	4685.0	1902.0	336.0	617.0	614.0	0.0
SAMPLE 2	6610.0	5006.0	3187.0	293.0	49.0	1054.0	0.0
SAMPLE 3	8595.0	5648.0	2906.0	367.0	531.0	704.0	497.0
MEAN	7429.3	5113.0	2665.0	332.0	399.0	790.7	165.7
% Control	100	69	36	4	5	11	2
CV	14.0	9.6	0.0	0.0	0.0	0.0	0.0
CV (% Act)	14.0	6.6	0.0	0.0	0.0	0.0	0.0

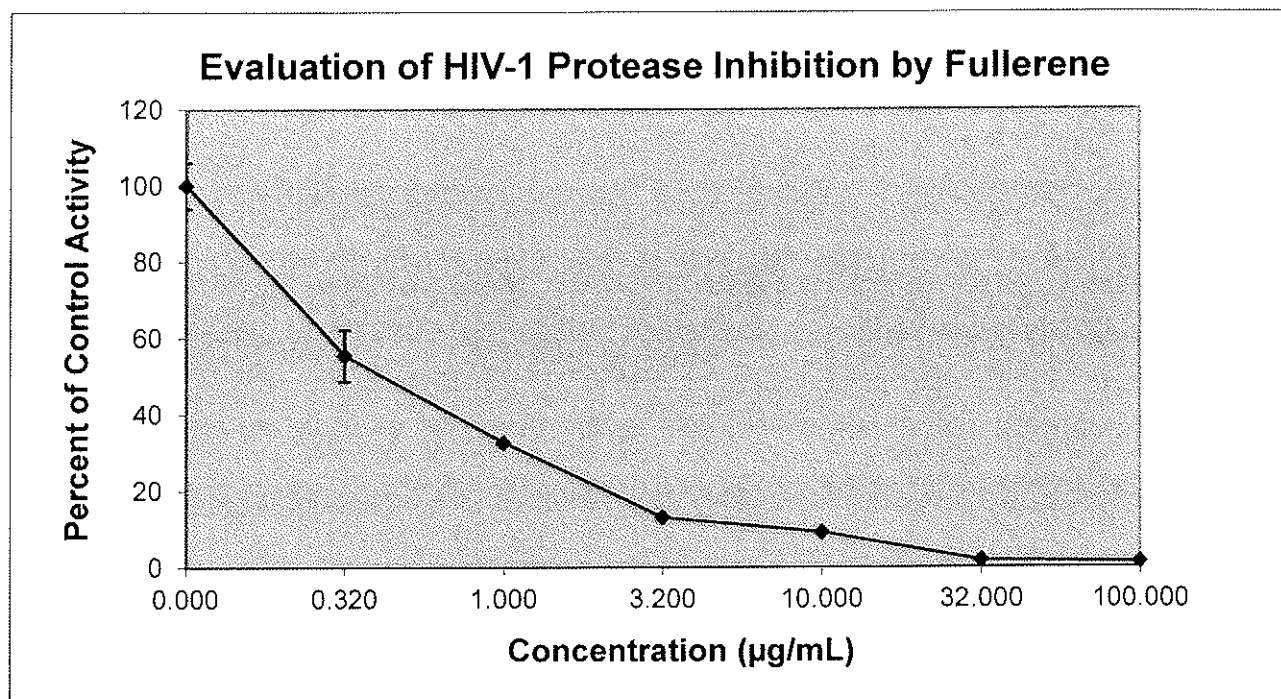
EC₅₀ (µg/ml) = 0.7084



Evaluation of HIV-1 Protease Inhibition by Fullerene

Normalized Fluorescence Values (490/520 nm)							
CONC ($\mu\text{g/mL}$)	0	0.32	1	3.2	10	32	100
SAMPLE 1	5876.0	2791.5	1441.5	516.5	196.5	2.5	0.0
SAMPLE 2	5460.0	3359.5	1934.5	763.5	578.5	0.0	0.0
SAMPLE 3	6163.0	3546.5	2330.5	1011.5	830.5	311.5	234.5
MEAN	5833.0	3232.5	1902.2	763.8	535.2	104.7	78.2
% Control	100	55	33	13	9	2	1
CV	6.1	12.2	0.0	0.0	0.0	0.0	0.0
CV (% Act)	6.1	6.7	0.0	0.0	0.0	0.0	0.0

EC_{50} ($\mu\text{g/mL}$) = 0.482



CJSC Intelpharm: SOW306-01-02

Ritonavir Versus Chronic HIV_{III}B Infected CEM-SS Cells

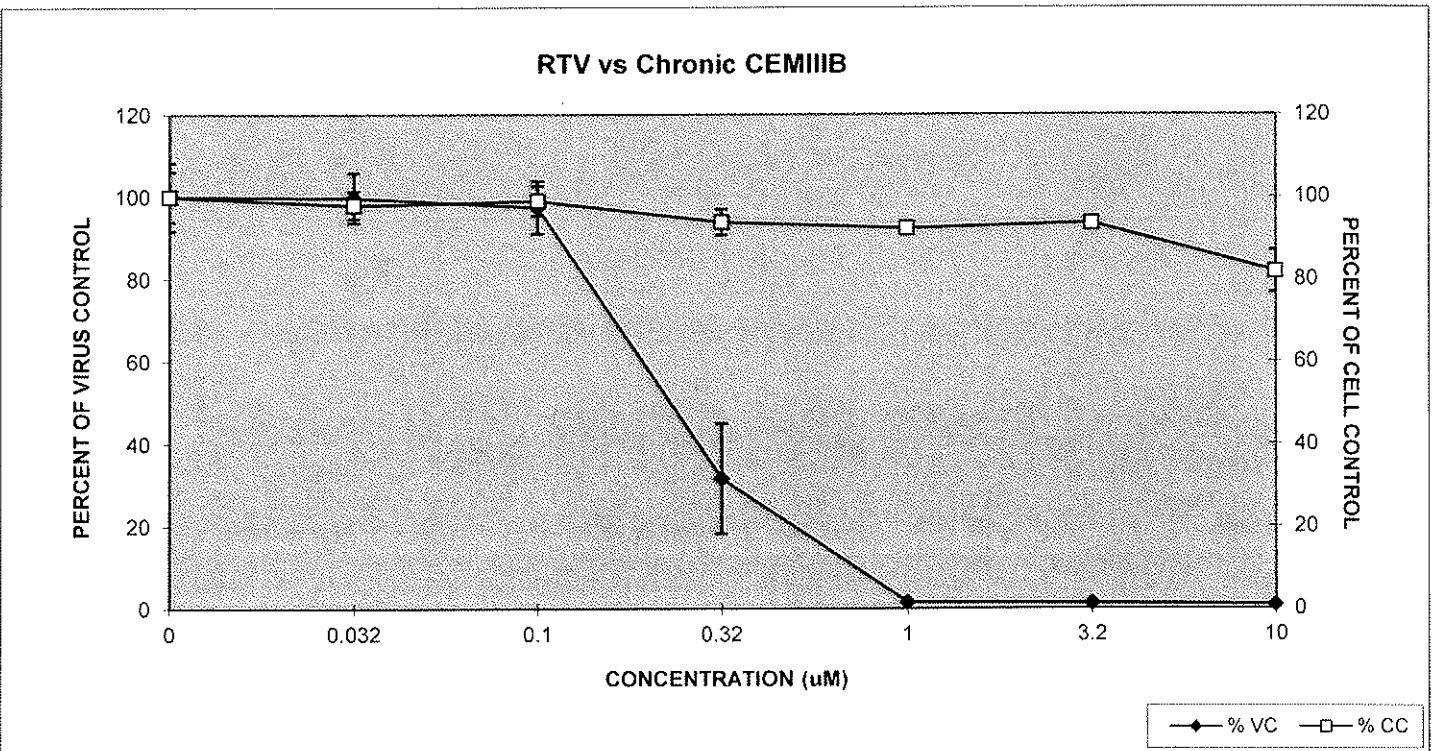
RT VALUES (cpm)							
CONC (μM)	0	0.032	0.1	0.32	1	3.2	10
SAMPLE 1	9122.0	9234.0	8113.0	2162.0	114.0	124.0	115.0
SAMPLE 2	9198.0	8218.0	9224.0	4167.0	162.0	110.0	78.0
SAMPLE 3	8234.0	9036.0	8543.0	2081.0	152.0	114.0	70.0
MEAN	8851.3	8829.3	8626.7	2803.3	142.7	116.0	87.7
% VC	100.0	99.8	97.5	31.7	1.6	1.3	1.0
STD DEV	6.1	6.1	6.3	13.4	0.3	0.1	0.3

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (μM)	0	0.032	0.1	0.32	1	3.2	10
SAMPLE 1	1.364	1.332	1.374	1.300	1.229	1.273	1.147
SAMPLE 2	1.224	1.266	1.279	1.217	1.260	1.258	1.021
SAMPLE 3	1.444	1.352	1.340	1.268	1.236	1.243	1.132
MEAN	1.344	1.317	1.331	1.262	1.242	1.258	1.100
% CC	100.0	98.0	99.0	93.9	92.4	93.6	81.8
STD DEV	8.3	3.3	3.6	3.1	1.2	1.1	5.1

EC₅₀ (μM) = 0.26

TC₅₀ (μM) = >10

TI = >38.5



CJSC Intelpharm: SOW306-01-02

Ritonavir Versus Chronic HIV_{III}B Infected CEM-SS Cells

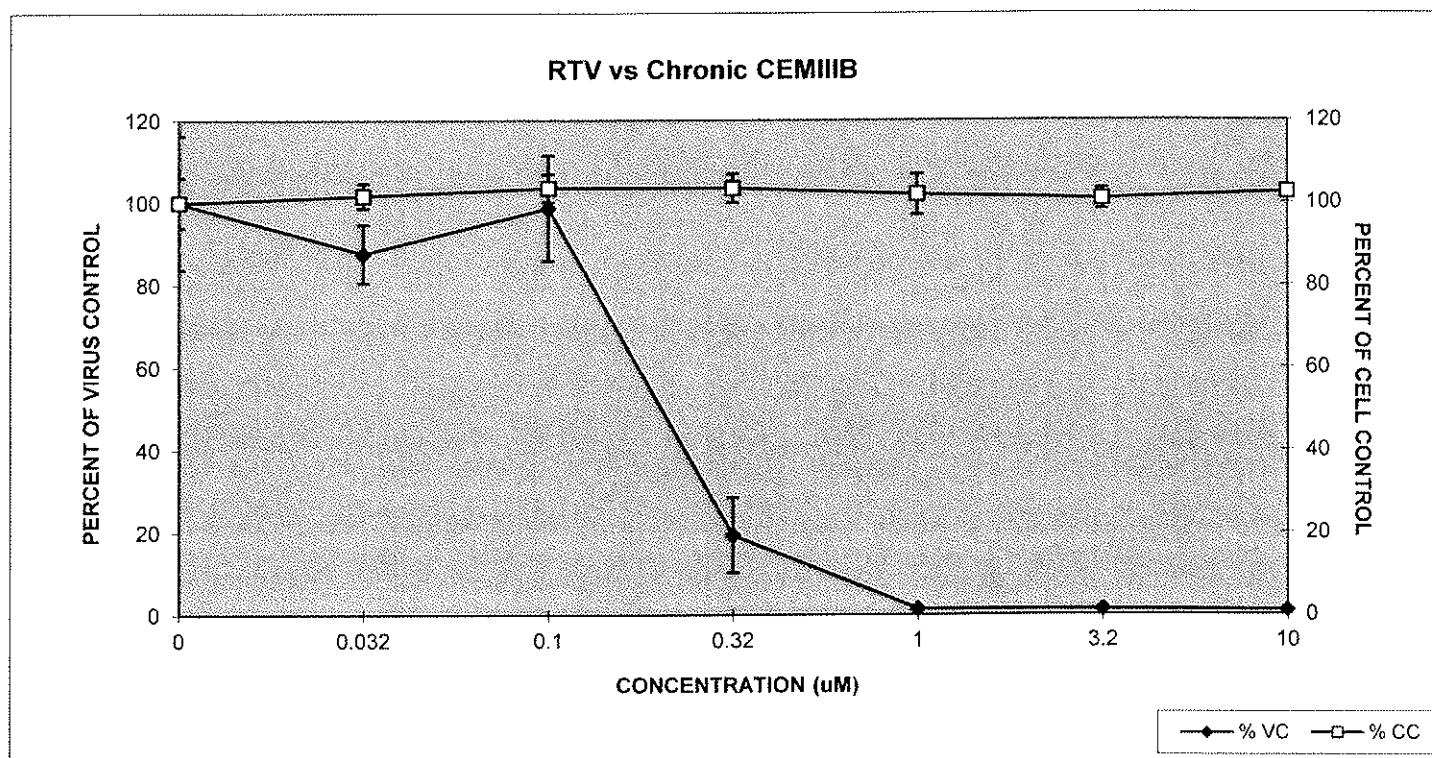
RT VALUES (cpm)							
CONC (μM)	0	0.032	0.1	0.32	1	3.2	10
SAMPLE 1	3198.0	3062.0	3485.0	329.0	52.0	28.0	54.0
SAMPLE 2	3074.0	2774.0	2940.0	951.0	44.0	60.0	36.0
SAMPLE 3	4102.0	3258.0	3814.0	734.0	54.0	52.0	24.0
MEAN	3458.0	3031.3	3413.0	671.3	50.0	46.7	38.0
% VC	100.0	87.7	98.7	19.4	1.4	1.3	1.1
STD DEV	16.2	7.0	12.8	9.1	0.2	0.5	0.4

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (μM)	0	0.032	0.1	0.32	1	3.2	10
SAMPLE 1	1.329	1.287	1.376	1.375	1.380	1.329	1.327
SAMPLE 2	1.192	1.347	1.296	1.317	1.267	1.269	1.321
SAMPLE 3	1.323	1.274	1.308	1.288	1.274	1.281	1.295
MEAN	1.281	1.303	1.327	1.327	1.307	1.293	1.314
% CC	100.0	101.7	103.5	103.5	102.0	100.9	102.6
STD DEV	6.0	3.0	3.4	3.5	4.9	2.5	1.3

EC₅₀ (μM) = 0.24

TC₅₀ (μM) = >10

TI = >41.7



CJSC Intelpharm: SOW306-01-02

Fullerene Poly-A-Aminocaproic Acid Versus Chronic HIV_{III}B Infected CEM-SS Cells

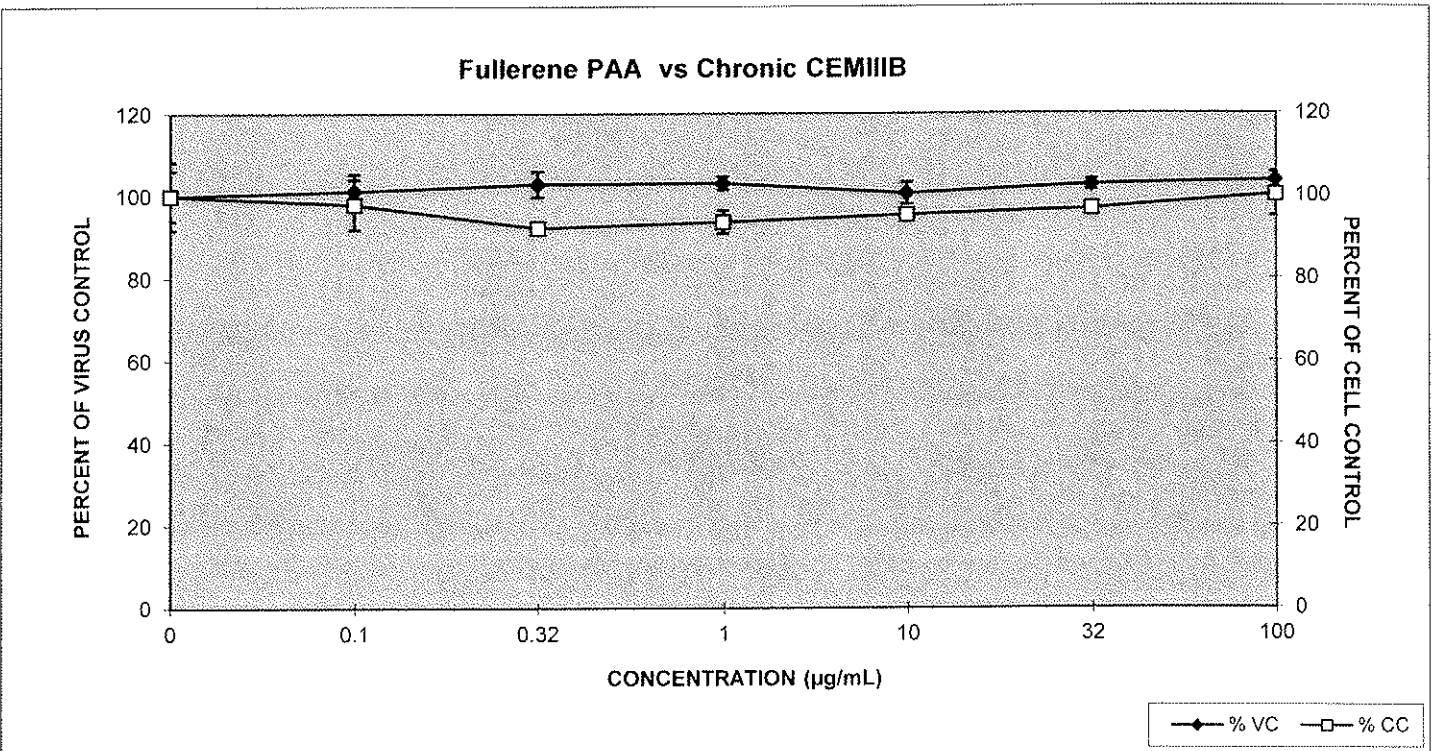
RT VALUES (cpm)							
CONC (µg/mL)	0	0.1	0.32	1	10	32	100
SAMPLE 1	9122.0	9248.0	9300.0	8976.0	9076.0	9054.0	9046.0
SAMPLE 2	9198.0	9087.0	9232.0	9132.0	9004.0	9216.0	9382.0
SAMPLE 3	8234.0	8553.0	8796.0	9262.0	8634.0	8994.0	9114.0
MEAN	8851.3	8962.7	9109.3	9123.3	8904.7	9088.0	9180.7
% VC	100.0	101.3	102.9	103.1	100.6	102.7	103.7
STD DEV	6.1	4.1	3.1	1.6	2.7	1.3	2.0

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µM)	0	0.1	0.32	1	10	32	100
SAMPLE 1	1.364	1.289	1.224	1.271	1.288	1.298	1.428
SAMPLE 2	1.224	1.409	1.255	1.289	1.294	1.299	1.294
SAMPLE 3	1.444	1.253	1.242	1.217	1.265	1.308	1.321
MEAN	1.344	1.317	1.240	1.259	1.282	1.302	1.348
% CC	100.0	98.0	92.3	93.7	95.4	96.9	100.3
STD DEV	8.3	6.1	1.2	2.8	1.1	0.4	5.3

EC₅₀ (µg/mL) = >100

TC₅₀ (µg/mL) = >100

TI = NA



CJSC Intelpharm: SOW306-01-02

Fullerene Poly-A-Aminocaproic Acid Versus Chronic HIV_{III}B Infected CEM-SS Cells

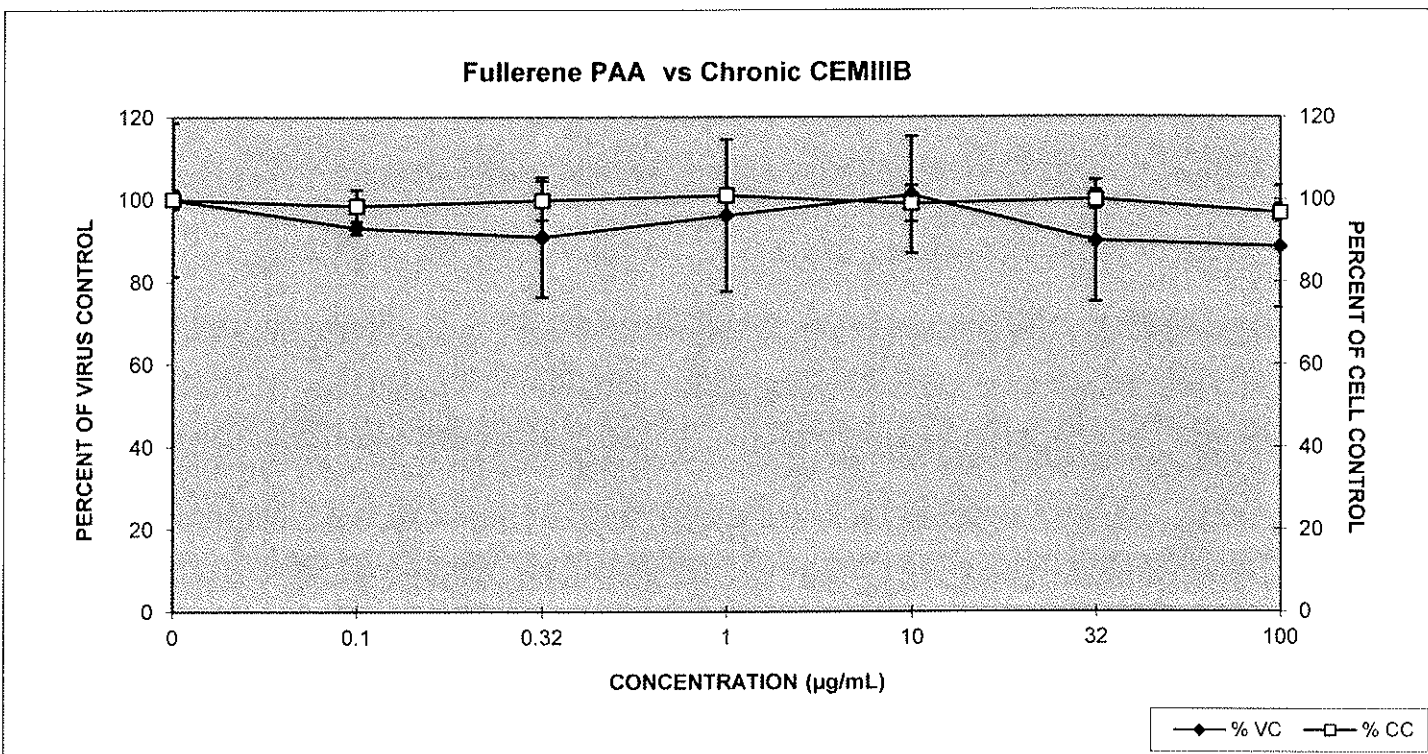
RT VALUES (cpm)							
CONC (µg/mL)	0	0.1	0.32	1	10	32	100
SAMPLE 1	3956.0	3090.0	2694.0	3826.0	3064.0	2782.0	3462.0
SAMPLE 2	3106.0	2992.0	3528.0	2964.0	3849.0	2569.0	2597.0
SAMPLE 3	2771.0	3072.0	2715.0	2665.0	3025.0	3493.0	2647.0
MEAN	3277.7	3051.3	2979.0	3151.7	3312.7	2948.0	2902.0
% VC	100.0	93.1	90.9	96.2	101.1	89.9	88.5
STD DEV	18.6	1.6	14.5	18.4	14.2	14.8	14.8

TOXICITY VALUES (XTT - O. D. @ 450/650 nm)							
CONC (µM)	0	0.1	0.32	1	10	32	100
SAMPLE 1	1.373	1.372	1.363	1.362	1.358	1.354	1.326
SAMPLE 2	1.336	1.267	1.384	1.371	1.362	1.359	1.294
SAMPLE 3	1.311	1.317	1.265	1.328	1.259	1.305	1.269
MEAN	1.340	1.319	1.337	1.354	1.326	1.339	1.296
% CC	100.0	98.4	99.8	101.0	99.0	100.0	96.7
STD DEV	2.3	3.9	4.7	1.7	4.4	2.2	2.1

EC₅₀ (µg/mL) = >100

TC₅₀ (µg/mL) = >100

TI = NA



CELL-TO-CELL TRANSMISSION ASSAY

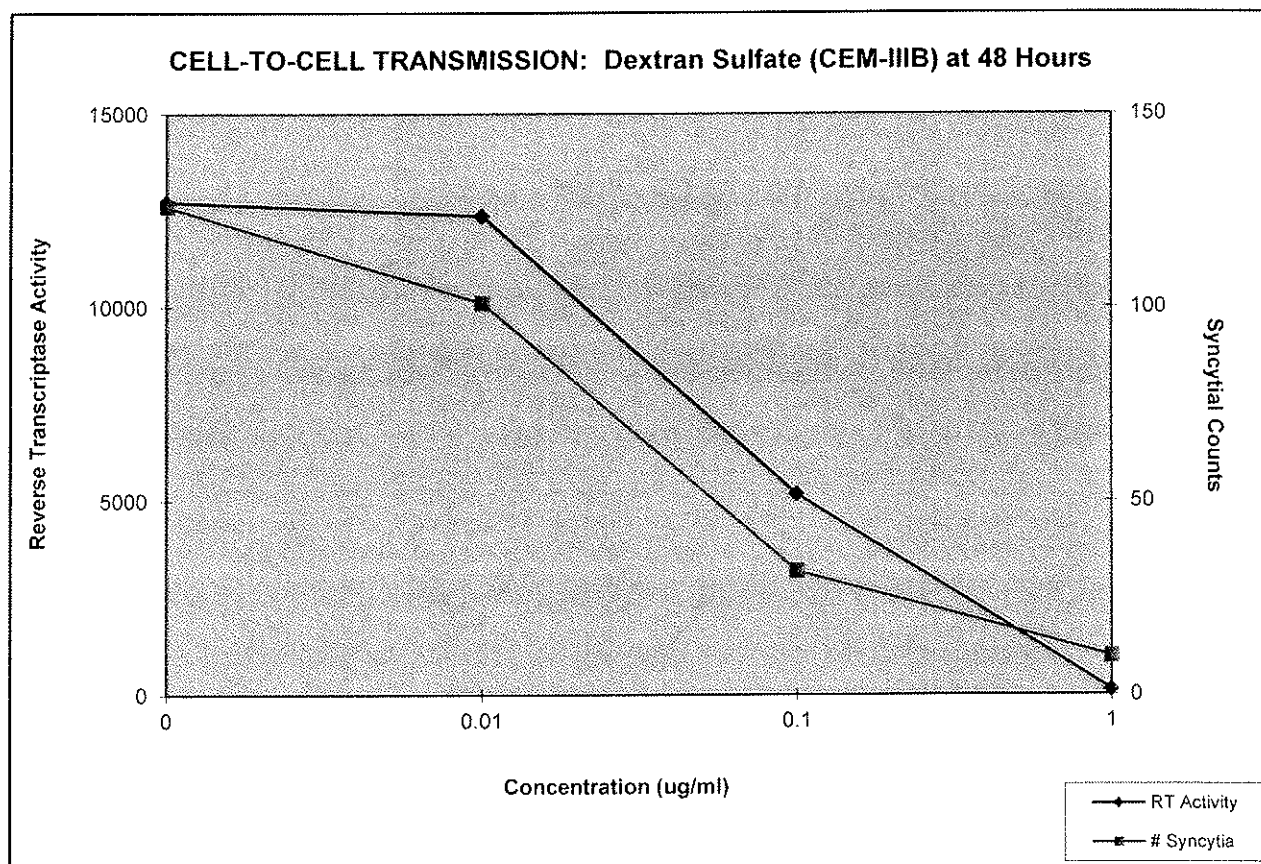
Dextran Sulfate (CEM + CEM-III B) at 48 Hours

Reverse Transcriptase Activity			
Conc.(µg/ml)	10 ⁴	**10 ³	10 ²
0	19610	12714	2862
0.01	20372	12352	3048
0.1	3888	5176	264
1	168	130	82

Syncytial Counts			
Conc.(µg/ml)	10 ⁴	**10 ³	10 ²
0		126	58
0.01		101	43
0.1		32	12
1		10	5

EC₅₀ (µg/mL) = 0.09

EC₅₀ (µg/mL) = 0.05



** indicates graphed series

CELL-TO-CELL TRANSMISSION ASSAY

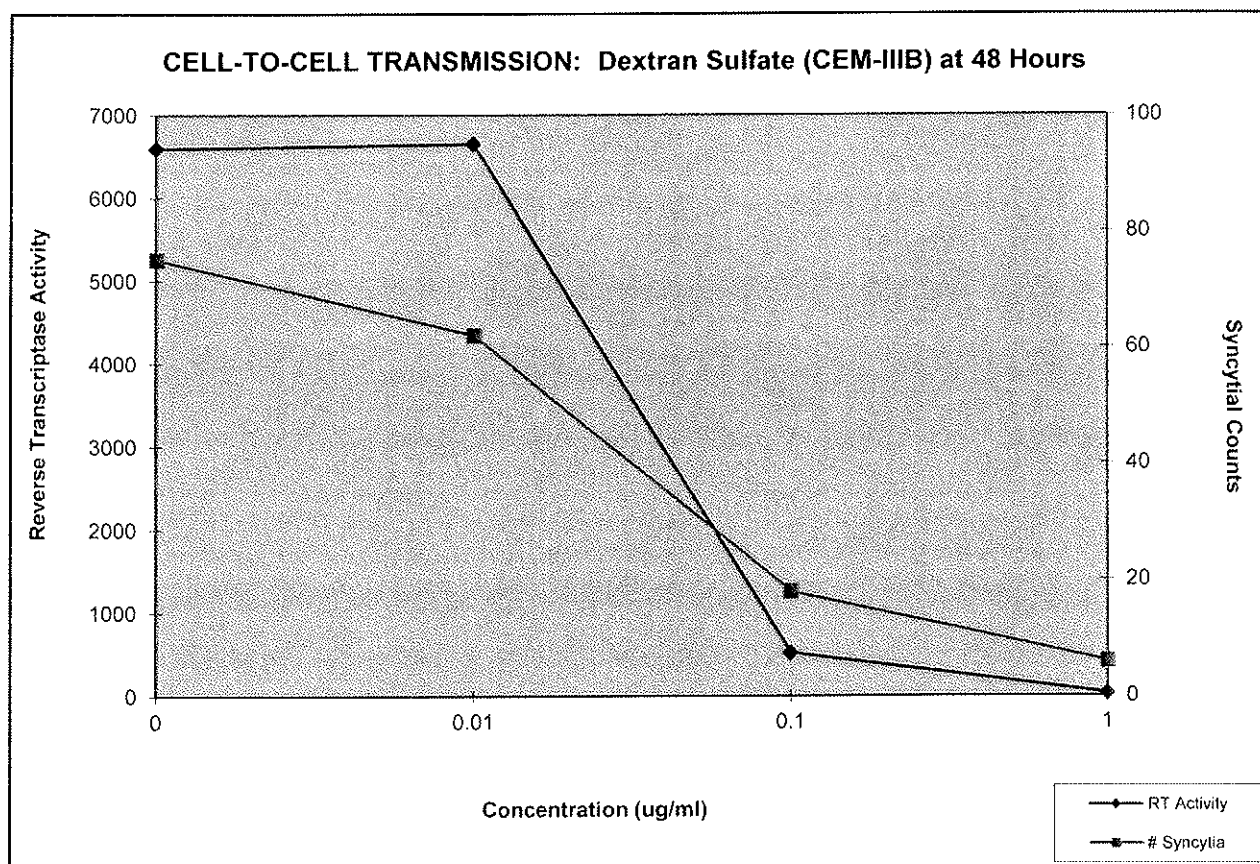
Dextran Sulfate (CEM + CEM-IIIB) at 48 Hours

Reverse Transcriptase Activity			
Conc.($\mu\text{g/ml}$)	10^4	** 10^3	10^2
0	10354	6591	1174
0.01	10986	6648	1203
0.1	748	522	152
1	43	32	27

Syncytial Counts			
Conc.($\mu\text{g/ml}$)	10^4	** 10^3	10^2
0		75	33
0.01		62	40
0.1		18	8
1		6	2

EC_{50} ($\mu\text{g/mL}$) = 0.07

EC_{50} ($\mu\text{g/mL}$) = 0.04



** indicates graphed series

CELL-TO-CELL TRANSMISSION ASSAY

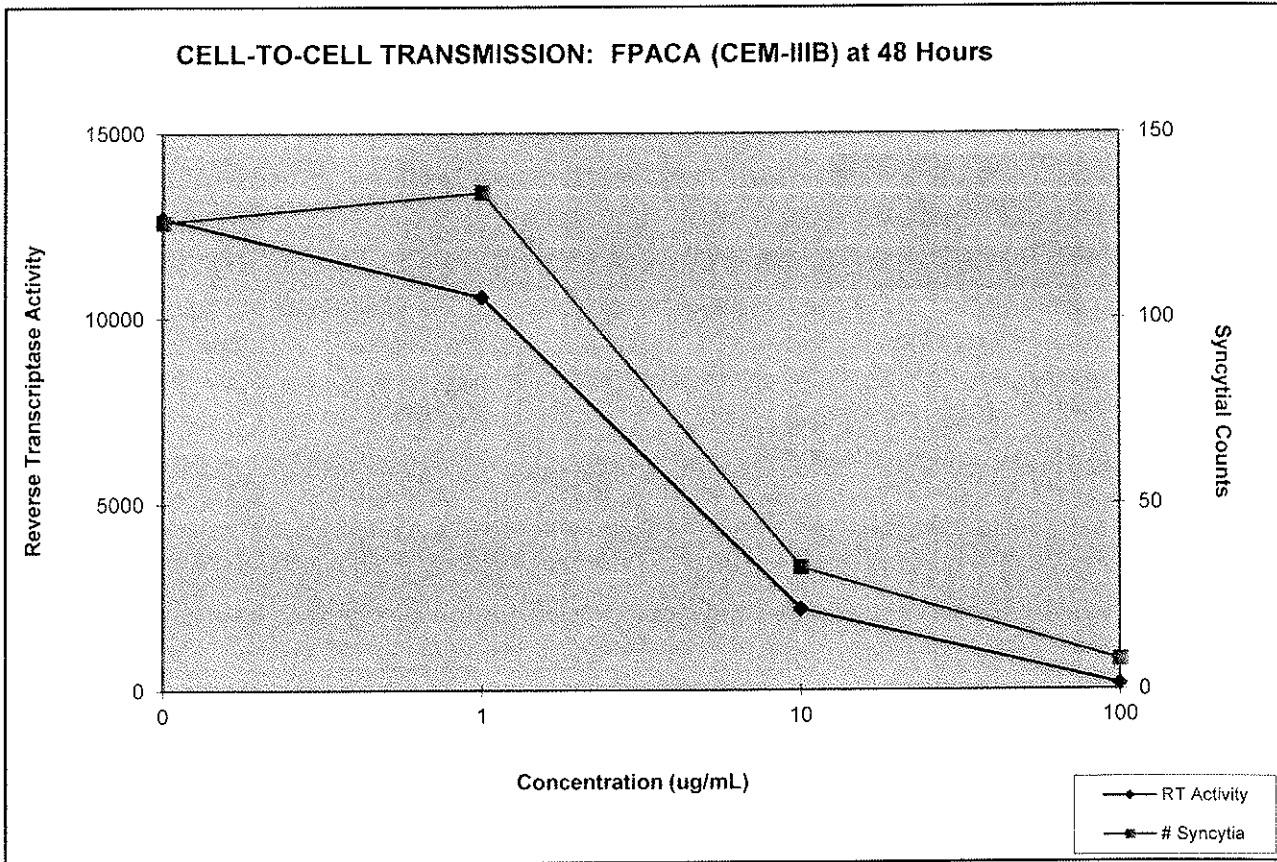
FPACA (CEM + CEM-IIIB) at 48 Hours

Reverse Transcriptase Activity			
Conc.(µg/mL)	10 ⁴	**10 ⁵	10 ²
0	19610	12714	2862
1	13925	10578	2182
10	6238	2176	144
100	320	150	74

Syncytial Counts			
Conc.(µg/mL)	10 ⁴	**10 ⁵	10 ²
0		126	58
1		134	47
10		33	10
100		8	5

EC₅₀ (µg/mL) = 5

EC₅₀ (µg/mL) = 7



** indicates graphed series

CELL-TO-CELL TRANSMISSION ASSAY

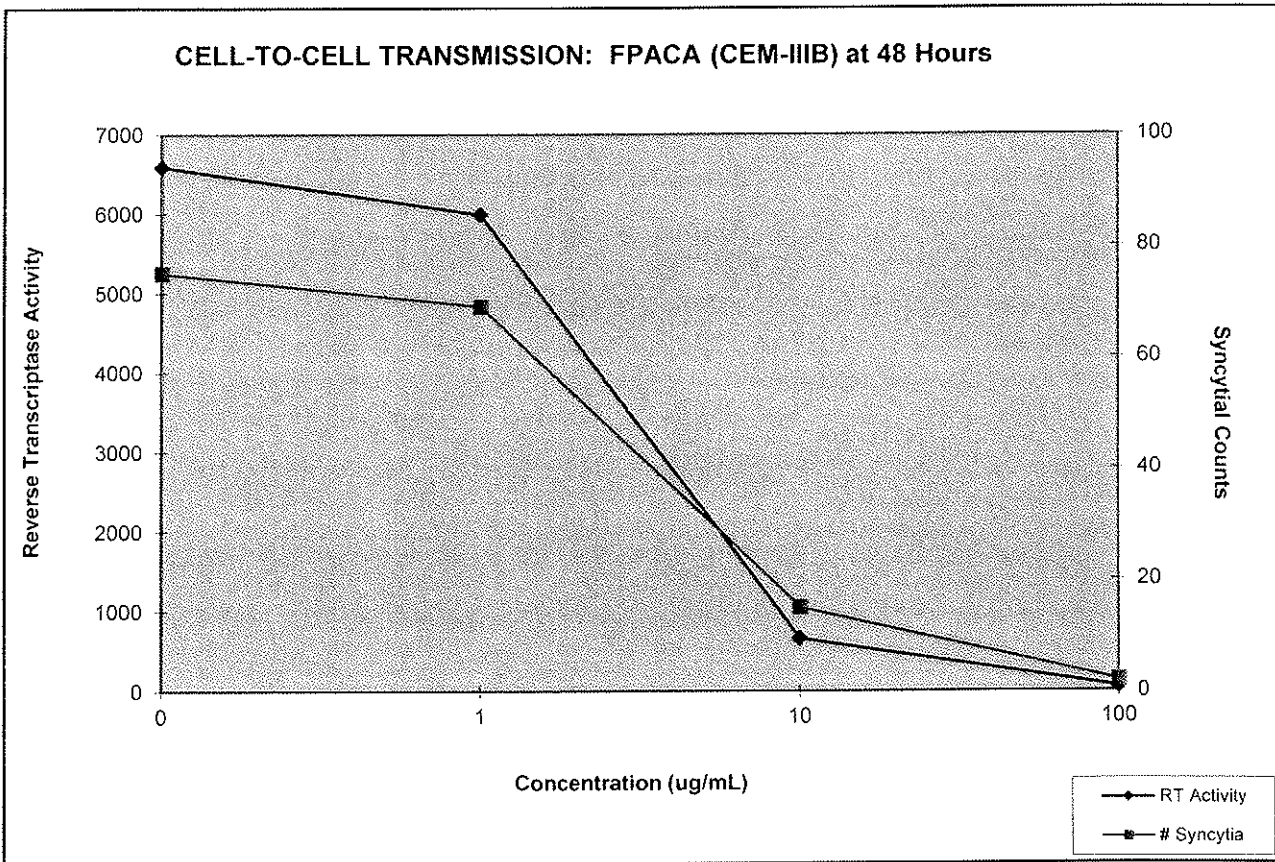
FPACA (CEM + CEM-IIIB) at 48 Hours

Reverse Transcriptase Activity			
Conc.(µg/mL)	10 ⁴	**10 ³	10 ²
0	10354	6591	1174
1	7485	5987	1005
10	2130	659	114
100	112	54	32

Syncytial Counts			
Conc.(µg/mL)	10 ⁴	**10 ³	10 ²
0		75	33
1		69	37
10		15	8
100		2	1

EC₅₀ (µg/mL) = 5.9

EC₅₀ (µg/mL) = 6.2



** indicates graphed series