

1/9

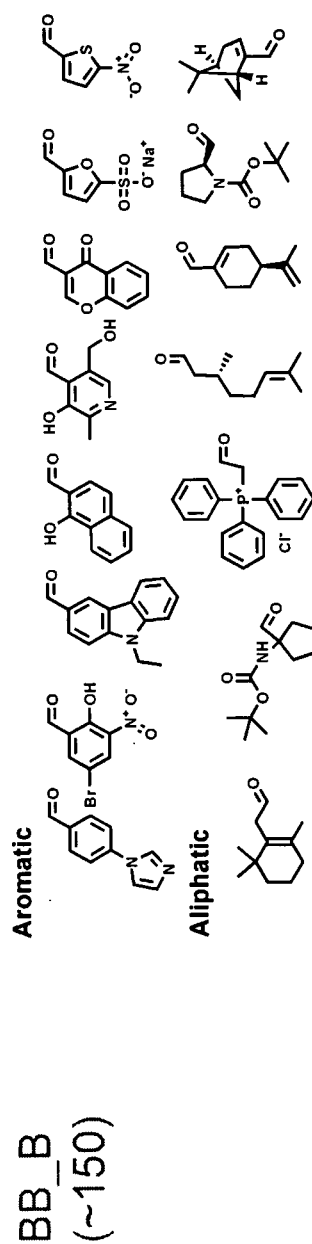
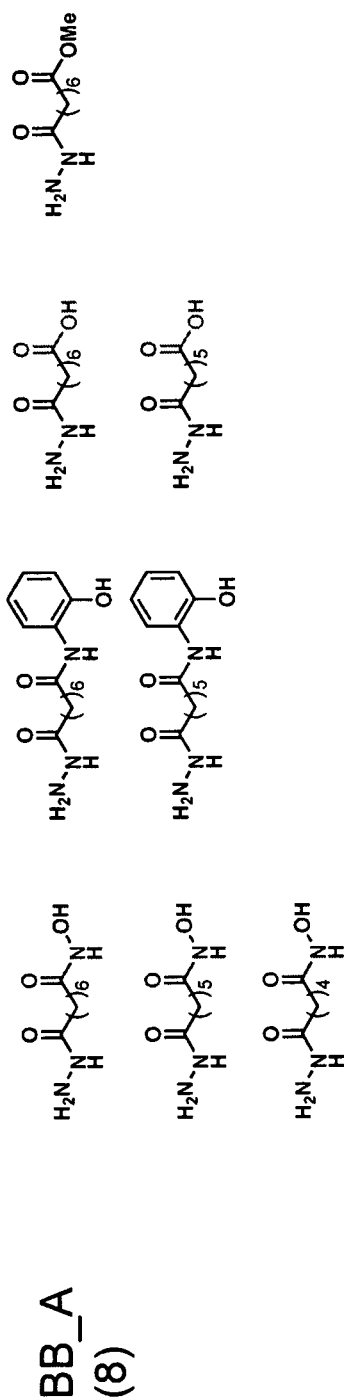


Figure 2. High-Throughput, High-Content, Quantitative Detection Method

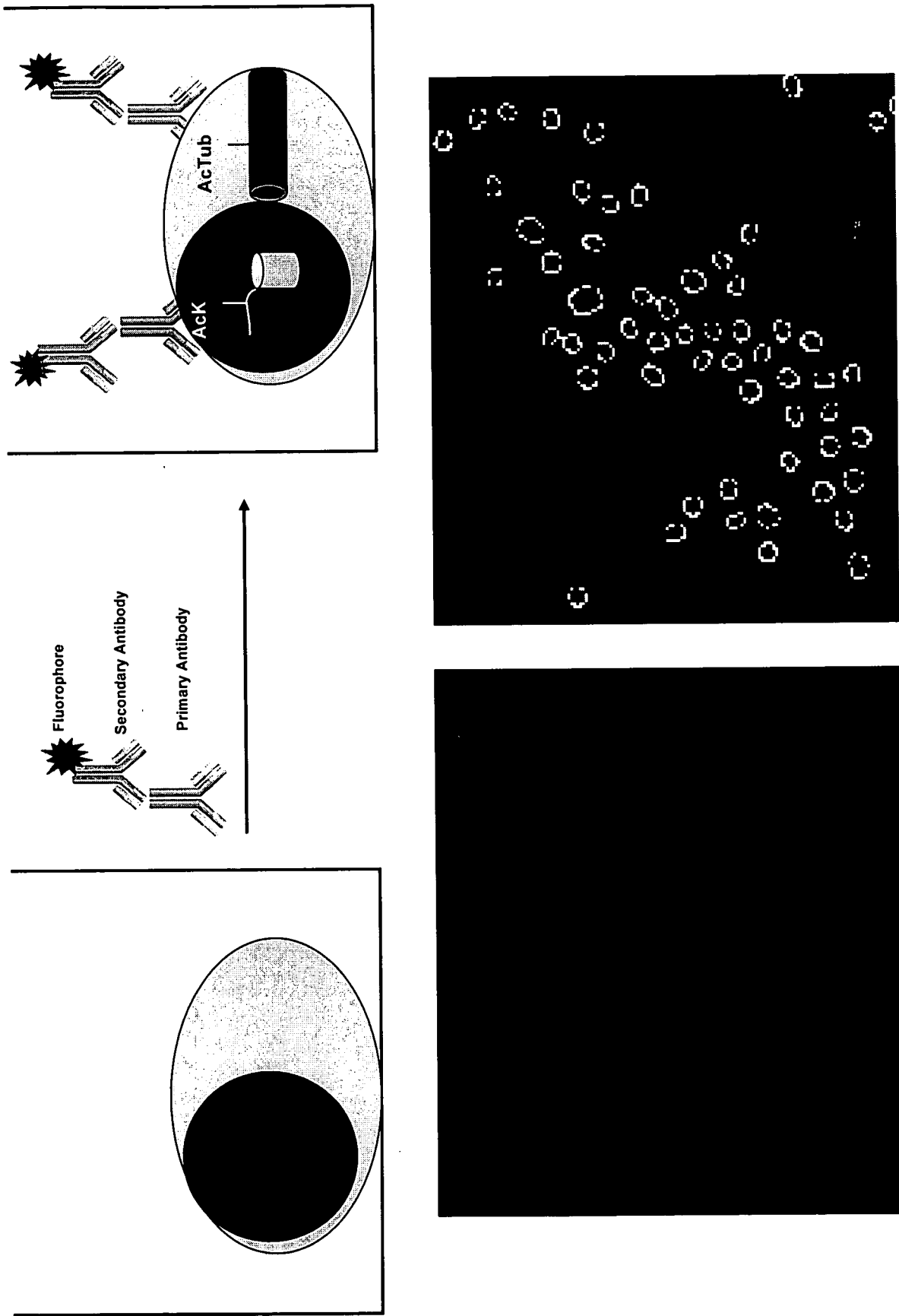


Figure 3. WT-III-161 Induction of AcTubulin (Red) and AcHistone (Blue) by HCS

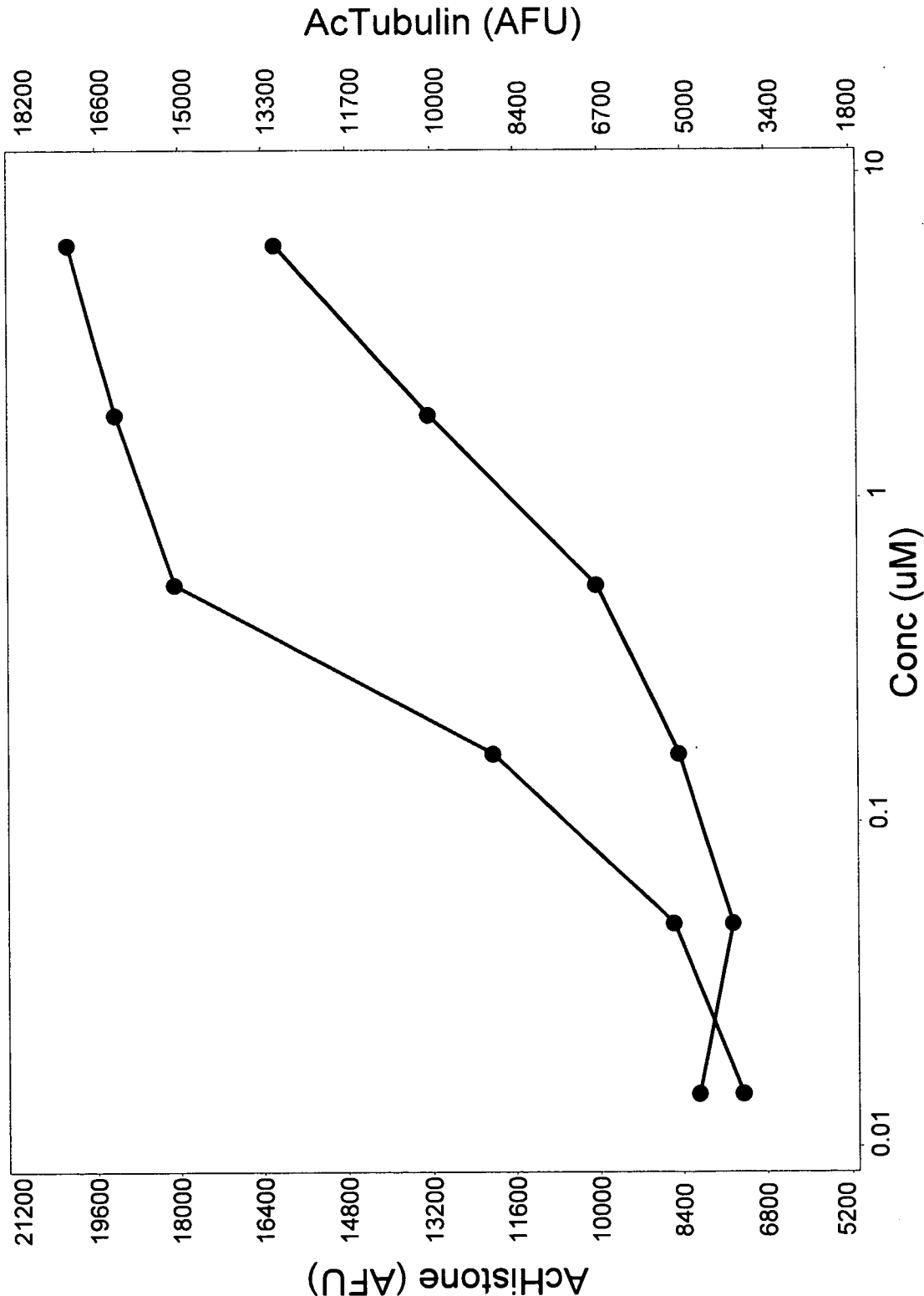
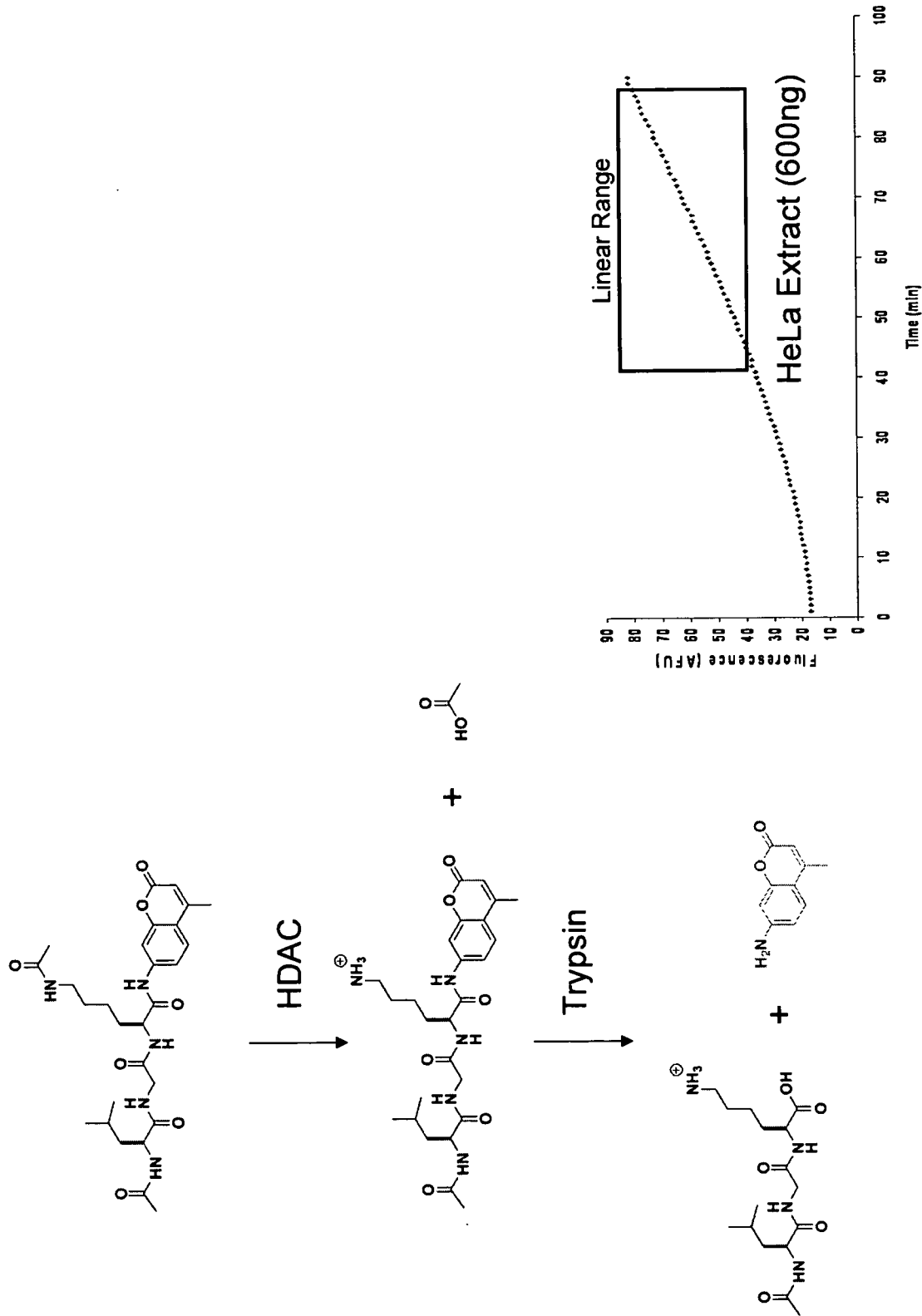


Figure 4. Selective Inhibition of HDAC6 by WT-III-161 in a Cellular Assay

	TDAC EC-50 (uM)	HDAC EC-50 (uM)	TDAC Specificity
TSA	0.036	0.02	0.56
Tubacin	0.87	8.7	10
WT_III_161	0.35	4.3	12.3

Figure 5. HDAC Biochemical Assay Schema



6/9

Figure 6. Selective Inhibition of HDAC6 by WT-III-161 in a Homogeneous Assay

Compound	HDAC1	HDAC2	HDAC3	HDAC6	HDAC8
APHA	340	1030	480	100	3000
Apicidin				10000	100
CG-1521	560	520	880	200	1000
CI-994	560	100	189	20000	>>40000
CRA-024781		15		25	2000
HC-Toxin				4500	200
ITF-2357		10		10	400
MCG-D0103		21	100	>>40000	>>40000
MS-275	25	15	120	>>40000	>>40000
Oxamflatin		21	13	50	1500
PXD101		21	10		400
Pyroxamide	12	52	36	30	2000
SAHA	11	36	31	15	2000
TSA					700
Tubacin	240	260	610	20	8000
WT-III-161	240	150	390	45	5000

7/9

Figure 7. Superior Synergy for WT-III-161 and Bortezomib Compared to Other HDACi

	MM1.S Vel-0	MM1.S Vel-2.5	MM1.S Vel-5	RPMI Vel-0	RPMI Vel-2.5	RPMI Vel-5
APHA	18	11	12	8.5	7.4	1.9
Apicidin	0.47	0.32	0.17	0.63	0.51	0.086
CI-994	6.6	8.1	4.1	1.9	2.4	0.77
CRA-024781	0.48	0.48	0.20	0.60	0.44	0.14
FK228	0.0030	0.0036	0.0026	0.0050	0.0040	0.0010
HC-Toxin	0.029	0.021	0.0098	0.014	0.016	0.0031
ITF-2357	0.60	0.39	0.18	0.72	0.65	0.16
MCG-D0103	1.5	1.2	0.79	0.47	0.50	0.16
MS-275	1.9	1.3	0.61	0.20	0.23	0.092
Pyroxamide	6.4	6.1	2.3	6.2	5.0	0.85
SAHA	2.6	1.6	0.75	3.3	1.9	0.21
Scriptaid	1.8	1.3	0.54	1.8	1.1	0.21
Trichostatin A	0.047	0.037	0.031	0.051	0.046	0.0093
Tubacin	21	20			12	1.7
WT-III-161	7.5	5.1			8.9	

8/9

Figure 8. Concentration-Time Curve of WT-III-161 in CD-1 Mice Following Intravenous Administration at 5 mg/kg

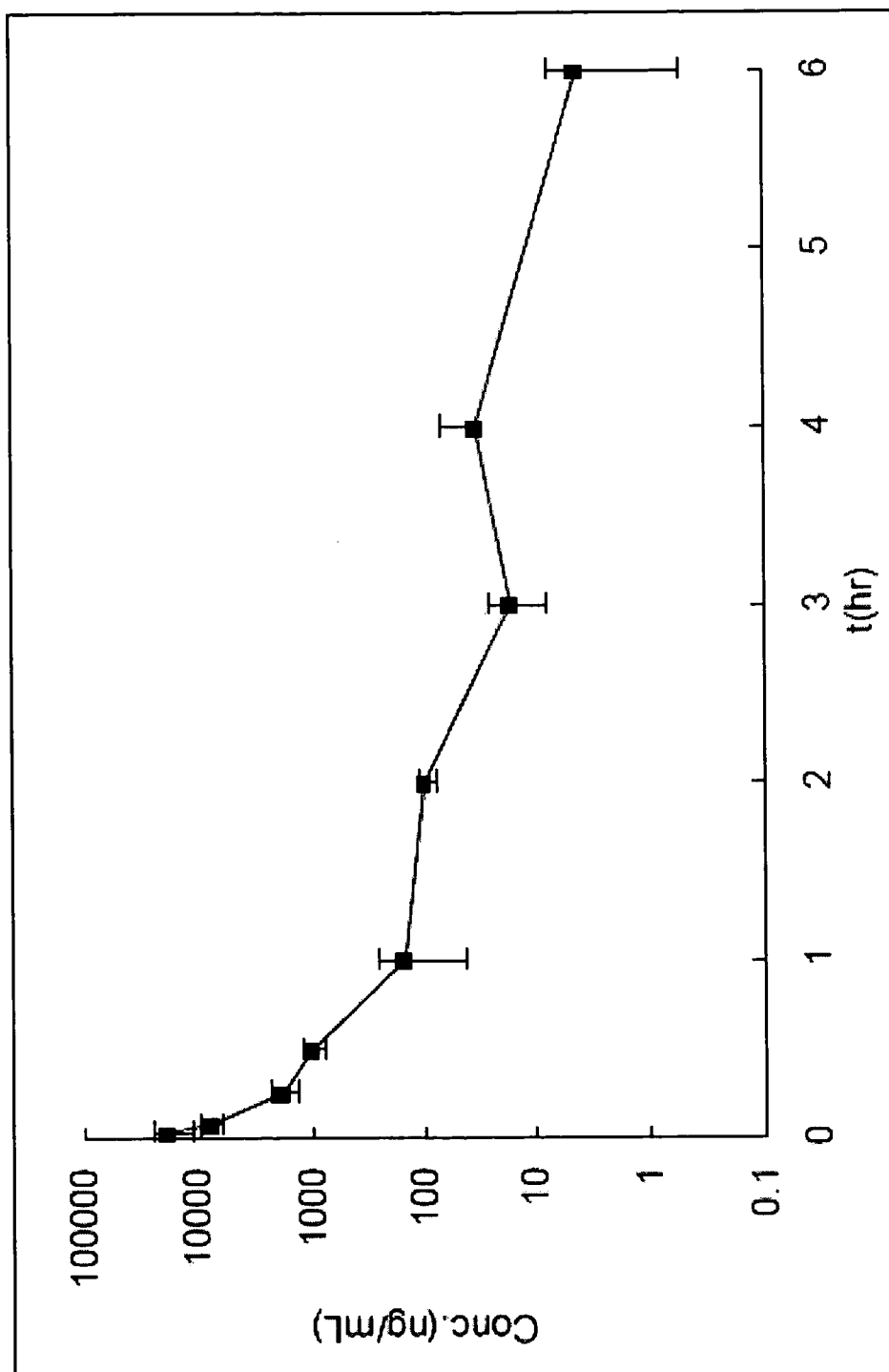


Figure 9

