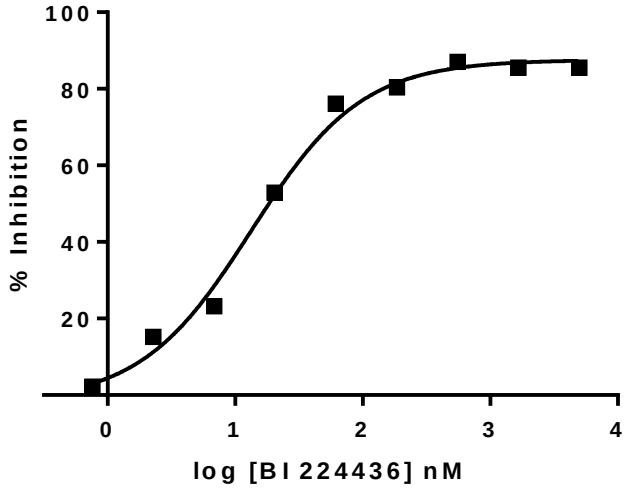
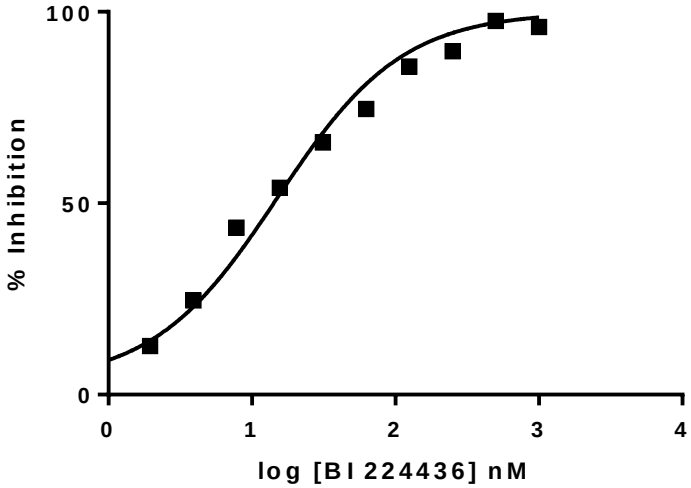
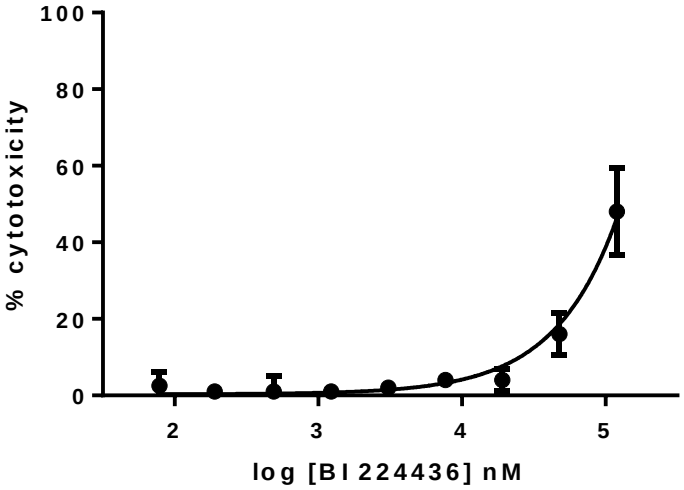
Supplementary Figure 1a:



Supplementary Figure 1b:



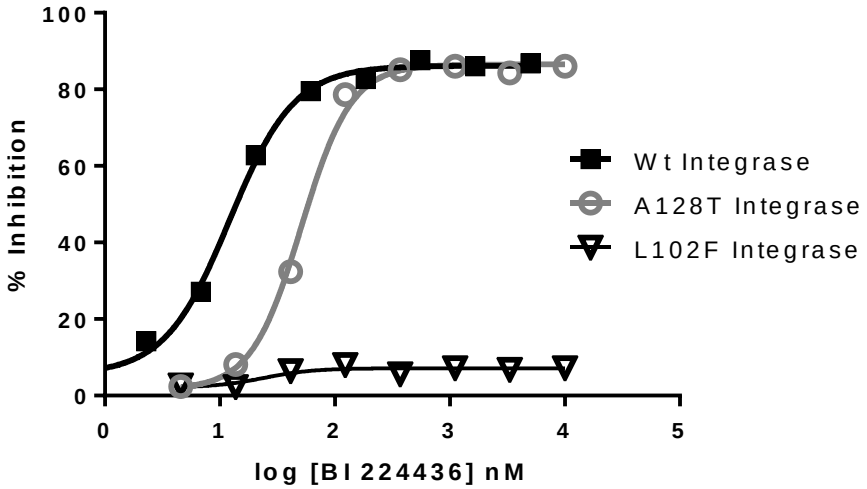
Supplementary Figure 1: Profiling of BI 224436 in biochemical assays. Representative dose-response curves for the inhibition of a) the LTR DNA 3' processing activity and b) the integrase-LEDGF interaction by BI 224436. The mean IC_{50} values for BI 224436 in the LTR DNA 3' processing assay was determined to be 15±4 nM SD and a mean IC_{50} value in the integrase-LEDGF interaction assay of 11±1 nM SD, both based on greater than 4 separate experiments.



Supplementary Figure 2: BI 224436 has low cellular cytotoxicity. The cellular cytotoxicity of BI 224436 was evaluated following the incubation of different concentrations of inhibitor with C8166 cells for three days using the MTT assay. Data shown is an average of two separate experiments with error bars indicating the standard deviation.

Supplementary Table 1: Mutations observed in the integrase gene of HIV-1 variants selected *in vitro* in the presence of BI 224436.

Starting concentration of BI 224436 (nM)	**Variant residues identified in the integrase gene at different passages** (Number of times a substitute was identified on the total number of clones)sequenced)	
	Passage 4	**Passage 14**
20	A128T (6/6)	A128T/N222K (5/10) L102N/N222K (5/10)
50	A128T (8/8)	A128T (3/6) A128N (3/6)



Supplementary Figure 3: Representative dose-response curves for the inhibition by BI 224436 of the LTR DNA 3' processing activity of wild type integrase and integrase containing the amino acid substitutions A128T or L102F.