

The inhibitory effect of NVP-AST487 is ATP competitive for Flt3
 Vmax is stable and Km increase

Flt3 Ki AST487 NM 001
 27.11.2006
 lab book E-37645 (Nicole Martin)

	average	STDEV	SEM
Ki	0.12 µM	0.0055	0.0032

	Flt3		Ki
	NVP-AST487-NX-5		
27.11.2006 am	ATP Kmapp	Vmaxapp	
control	2.3 µM	11 nmol/mg*min	
0.15 µM	5.6 µM	10 nmol/mg*min	0.10
0.3 µM	8.2 µM	10 nmol/mg*min	0.12
0.6 µM	11.8 µM	8 nmol/mg*min	0.14

	Flt3		Ki
	NVP-AST487-NX-5		
27.11.2006 pm	ATP Kmapp	Vmaxapp	
control	2.6 µM	13 nmol/mg*min	
0.13 µM	5.2 µM	10 nmol/mg*min	0.12
0.3 µM	8.0 µM	10 nmol/mg*min	0.12
0.5 µM	16.4 µM	11 nmol/mg*min	0.09

	Flt3		Ki
	NVP-AST487-NX-5		
11/28/2006	ATP Kmapp	Vmaxapp	
control	1.4 µM	12 nmol/mg*min	
0.13 µM	3.2 µM	10 nmol/mg*min	0.10
0.3 µM	4.6 µM	9 nmol/mg*min	0.11
0.5 µM	6.6 µM	7 nmol/mg*min	0.14

Assay conditions	
Substrate:	Poly-EY 10 µM
Incubation time	10 min
enzyme/assay	145-150 ng
ATP	0.1-210 µM
Tris pH 7.5	20 mM
MgCl2	3 mM
MnCl2	3 mM
PEG 20000	250 µg/ml
Na3VO4	0.01 mM
DTT	1 mM

$$K_i = K_m * I / (K_{mapp} - K_m)$$

I = concentration of inhibitor