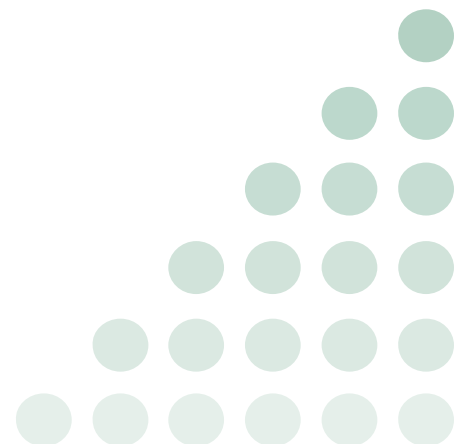


RET Kinase Mutant Profiler Service

Activity-based [RET mutant kinase panel](#) for compound profiling via radiometric HotSpot™ kinase assay.

Target Overview

CAT#	RET
Enzyme	Human RET
HGNC	RET
Synonym	Proto-oncogene tyrosine-protein kinase receptor ret [Precursor], C-ret



Assay Properties

Reaction	Substrate + [³³ P]-ATP = ³³ P-Substrate + ADP						
Readout	Enzymatic activity						
Reference Compound IC50s (nM)	Mutant	Staurosporine	PP2	AG1478	PDGFR I-III	EGFR/ErbB Inhibitor	D-64406
	WT	1.2	21	350	>20,000	n.a.	250
	G691S	1.1	8.2	185	18,000	n.a.	240
	R749T	2.0	5.5	140	2,600	n.a.	48
	E762Q	1.5	20	380	26,000	n.a.	350
	V778I	5.0	4.0	82	16,600	n.a.	66
	L790F	5.1	1.4	39	10,000	n.a.	78
	Y791F	0.5	5.2	94	10,000	n.a.	230
	V804E	4.2	3,100	9,200	12,000	n.a.	420
	V804L	4.9	84,000	130,000	n.a.	n.a.	1,900
	V804L-KIF5B	1.1	>100,000	48,000	n.a.	>100,000	310
	V804M	0.53	11,600	47,000	29,400	n.a.	561
	V804M-KIF5B	2.8	>100,000	31,000	n.a.	>100,000	340
	Y806H	4.7	17	850	>100,000	n.a.	340
	G810C	260	50	15,300	n.a.	>100,000	3,600
	G810R	460	35	21,700	n.a.	>100,000	5,800
	G810S	340	240	6,700	n.a.	>100,000	4,100
	R813Q	1.0	4.8	150	12,000	n.a.	260
	A883F	9.6	4.0	75	19,600	n.a.	550
	S891A	2.6	3.5	26	8,500	n.a.	16
	S904A	3.5	11	230	12,000	n.a.	55
	S904F	2.3	9.0	150	13,000	n.a.	130
	R912P	3.6	9.3	210	n.a.	>100,000	103
	M918T	1.0	15	340	26,000	n.a.	360
	BCR	2.2	13	160	15,700	n.a.	120
	CCDC6-PTC1	3.4	4.2	51	17,000	n.a.	41
	KIF5B-Kex15Rex14	11	6.8	120	n.a.	>100,000	72
	NCOA4-PTC3	4.5	4.2	72	18,000	n.a.	74
	PRKAR1A-PTC2	4.4	3.7	91	27,000	n.a.	54
Screening Location	Malvern, USA						

