Activity of bosutinib, imatinib, nilotinib and dasatinib against mutated form of BCR/ABL.

		IC50-fold increase (WT=1)			
		Bosutinib	**Imatinib**	**Dasatinib**	**Nilotinib**
	Parental	38.31	10.78	>50	38.43
	WT	1	1	1	1
P –LOOP	**L248V**	2.97	3.54	5.11	2.80
	G250E	4.31	6.86	4.45	4.56
	Q252H	0.81	1.39	3.05	2.64
	Y253F	0.96	3.58	1.58	3.23
	E255K	9.47	6.02	5.61	6.69
	E255V	5.53	16.99	3.44	10.31
C-Helix	**D276G**	0.60	2.18	1.44	2.00
	E279K	0.95	3.55	1.64	2.05
ATP binding region (drug contact sites)	**V299L**	26.10	1.54	8.65	1.34
	T315I	45.42	17.50	75.03	39.41
	F317L	2.42	2.60	4.46	2.22
SH2-contact	**M351T**	0.70	1.76	0.88	0.44
Substrate binding region (drug contact site)	**F359V**	0.93	2.86	1.49	5.16
A-LOOP	**L384M**	0.47	1.28	2.21	2.33
	H396P	0.43	2.43	1.07	2.41
	H396R	0.81	3.91	1.63	3.10
	G398R	1.16	0.35	0.69	0.49
C terminal lobe	**F486S**	2.31	8.10	3.04	1.85

Sensitive	≤2
Moderately resistant	2.01-4
Resistant	4.01 - 10
Highly resistant	>10

From: Redaelli S, Piazza R, Rostagno R, Magistroni V, Perini P, Marega M, Boschelli F, Gambacorti-Passerini C -*Activity of bosutinib, dasatinib and nilotinib against 18 imatinib resistant BCR/ABL mutants* - JCO 2008 *In press.*