

Table 6. Complete quantitative results of screening BMS-354825 and VX-680 against a large panel of kinases.

	BMS-354825	VX-680
Kinase	Kd (nM)	Kd (nM)
AAK1	No hit	200
ABL1	0.5	20
ABL2	0.2	2
ACK1	6	No hit
ACVR2	200	ND
ACVR2B	600	ND
ALK	No hit	ND
AMPK-alpha1	No hit	No hit
AURKA	2000	2
AURKC	No hit	2
AXL	ND	100
BIKE	No hit	70
BLK	0.2	ND
BMX	1	No hit
BRAF	500	ND
BRAF(V600E)	600	ND
BTK	1	No hit
CAMK1	No hit	No hit
CAMK1D	No hit	8000
CAMK1G	No hit	No hit
CAMK2A	No hit	No hit
CAMK2B	No hit	No hit
CAMK2D	No hit	No hit
CAMK2G	No hit	No hit
CAMKK1	No hit	No hit
CAMKK2	No hit	No hit
CDK2	No hit	No hit
CDK5	No hit	No hit
CLK1	No hit	No hit
CLK2	No hit	No hit
CLK3	No hit	No hit
CLK4	No hit	No hit
CSK	1	No hit
CSNK1A1L	No hit	ND
CSNK1D	No hit	ND
CSNK1E	2000	No hit
CSNK1G1	No hit	No hit
CSNK1G2	No hit	No hit
CSNK2A1	No hit	300
CSNK2A2	No hit	ND
DAPK2	No hit	No hit
DAPK3	No hit	No hit
DMPK	1000	ND
EGFR	100	No hit
EGFR(E746-A750del)	100	ND
EGFR(G719C)	200	ND
EGFR(G719S)	80	ND
EGFR(L747-E749del, A750P)	100	ND
EGFR(L747-S752del, P753S)	300	No hit
EGFR(L747-T751del,Sins)	200	ND
EGFR(L858R)	100	No hit
EGFR(L861Q)	100	ND
EGFR(S752-I759del)	300	ND
EPHA2	0.8	No hit
EPHA3	0.09	No hit
EPHA4	1	No hit
EPHA5	0.2	No hit
EPHA6	2000	No hit
EPHA7	No hit	No hit
EPHA8	0.2	200
EPHB1	0.4	200
EPHB4	0.3	No hit
ERBB2	1000	ND
ERBB4	60	No hit
ERK2	No hit	ND
FER	No hit	No hit
FES	No hit	ND

	BMS-354825	VX-680
Kinase	Kd (nM)	Kd (nM)
FGFR1	4000	600
FGFR2	1000	700
FGFR3	4000	No hit
FGR	0.5	No hit
FLT1	ND	80
FLT3	5000	4
FLT4	No hit	No hit
FRK	0.3	No hit
FYN	0.7	No hit
GAK	3	3000
HCK	0.3	No hit
IGF1R	No hit	ND
INSR	No hit	700
ITK	No hit	ND
JAK1(Kin.Dom.1)	No hit	No hit
JAK2(Kin.Dom.2)	1000	100
JNK1	No hit	No hit
JNK2	No hit	No hit
JNK3	No hit	No hit
KIT	0.6	200
LCK	0.2	60
LIMK1	600	No hit
LTK	No hit	ND
LYN	0.6	No hit
MAP3K4	300	No hit
MAP3K5	No hit	No hit
MAP4K1	1000	ND
MAP4K5	50	70
MARK2	No hit	No hit
MERTK	No hit	ND
MKMK2	No hit	No hit
MYLK2	4000	40
NEK2	7000	No hit
NEK6	No hit	No hit
NEK9	No hit	No hit
NLK	300	ND
p38-alpha	30	No hit
p38-beta	400	No hit
p38-gamma	No hit	No hit
PAK1	No hit	No hit
PAK3	No hit	No hit
PAK4	No hit	No hit
PAK6	No hit	No hit
PAK7/PAK5	No hit	No hit
PCTK1	No hit	160
PDGFRA	0.4	2000
PDGFRB	0.6	300
PDPK1	No hit	ND
PHKG1	No hit	No hit
PHKG2	No hit	No hit
PIM1	No hit	No hit
PIM2	No hit	No hit
PKAC-alpha	No hit	No hit
PKMYT1	100	No hit
PLK4	No hit	8
PTK2	No hit	No hit
PTK2B	No hit	ND
PTK6	8	No hit
QIK	6	ND
RAF1	600	ND
RET	200	8
RIPK2	30	No hit
ROS1	No hit	ND
RPS6KA2(Kin.Dom.1)	No hit	No hit
RPS6KA3(Kin.Dom.1)	No hit	No hit
RPS6KA4(Kin.Dom.1)	No hit	ND
RPS6KA5(Kin.Dom.1)	No hit	No hit
SLK	700	100
SRC	0.2	200

	BMS-354825	VX-680
Kinase	Kd (nM)	Kd (nM)
SRPK1	No hit	ND
STK10	1000	100
STK11	No hit	80
STK16	No hit	400
STK17A	No hit	No hit
STK17B	No hit	No hit
STK3	No hit	600
STK33	No hit	ND
STK36	200	No hit
STK38L	No hit	No hit
STK4	4000	200
SYK	3000	No hit
TESK1	30	ND
TIE2	No hit	600
TNIK	2000	No hit
TNK1	No hit	ND
TNNI3K	10	ND
TRKA	No hit	20
TTK	No hit	No hit
TXK	2	ND
ULK3(mouse)	No hit	No hit
VEGFR2	3000	No hit
YANK2	No hit	ND
YES	0.3	500

'ND' indicates kinase/compound combinations not tested.

'No hit' indicates no evidence of binding in a primary screen at a compound concentration of 10 micromolar