

Supplementary Table S5: Kinome profiling results of MK-1775 (500 nM single concentration) determined by Discoverx Corp.

Discoverx Gene Symbol	Entrez Gene Symbol	Activity remaining (% of control)
AAK1	AAK1	65
ABL1(E255K)-phosphorylated	ABL1	5
ABL1(F317I)-nonphosphorylated	ABL1	79
ABL1(F317I)-phosphorylated	ABL1	94
ABL1(F317L)-nonphosphorylated	ABL1	80
ABL1(F317L)-phosphorylated	ABL1	51
ABL1(H396P)-nonphosphorylated	ABL1	0.85
ABL1(H396P)-phosphorylated	ABL1	11
ABL1(M351T)-phosphorylated	ABL1	9.9
ABL1(Q252H)-nonphosphorylated	ABL1	2.2
ABL1(Q252H)-phosphorylated	ABL1	6.4
ABL1(T315I)-nonphosphorylated	ABL1	65
ABL1(T315I)-phosphorylated	ABL1	52
ABL1(Y253F)-phosphorylated	ABL1	11
ABL1-nonphosphorylated	ABL1	15
ABL1-phosphorylated	ABL1	10
ABL2	ABL2	76
ACVR1	ACVR1	100
ACVR1B	ACVR1B	100
ACVR2A	ACVR2A	83
ACVR2B	ACVR2B	96
ACVRL1	ACVRL1	78
ADCK3	CABC1	98
ADCK4	ADCK4	99
AKT1	AKT1	95
AKT2	AKT2	100
AKT3	AKT3	96
ALK	ALK	100
ALK(C1156Y)	ALK	100
ALK(L1196M)	ALK	73
AMPK-alpha1	PRKAA1	100
AMPK-alpha2	PRKAA2	99
ANKK1	ANKK1	91
ARK5	NUAK1	76
ASK1	MAP3K5	100
ASK2	MAP3K6	95
AURKA	AURKA	94
AURKB	AURKB	72
AURKC	AURKC	90
AXL	AXL	90
BIKE	BMP2K	78
BLK	BLK	52
BMPR1A	BMPR1A	94
BMPR1B	BMPR1B	95
BMPR2	BMPR2	80
BMX	BMX	100
BRAF	BRAF	81
BRAF(V600E)	BRAF	90
BRK	PTK6	96
BRSK1	BRSK1	78
BRSK2	BRSK2	100
BTK	BTK	100

BUB1	BUB1	100
CAMK1	CAMK1	98
CAMK1B	PNCK	59
CAMK1D	CAMK1D	82
CAMK1G	CAMK1G	93
CAMK2A	CAMK2A	83
CAMK2B	CAMK2B	94
CAMK2D	CAMK2D	86
CAMK2G	CAMK2G	82
CAMK4	CAMK4	82
CAMKK1	CAMKK1	70
CAMKK2	CAMKK2	63
CASK	CASK	56
CDC2L1	CDK11B	90
CDC2L2	CDC2L2	99
CDC2L5	CDK13	100
CDK11	CDK19	99
CDK2	CDK2	94
CDK3	CDK3	97
CDK4	CDK4	100
CDK4-cyclinD1	CDK4	12
CDK4-cyclinD3	CDK4	20
CDK5	CDK5	91
CDK7	CDK7	63
CDK8	CDK8	94
CDK9	CDK9	88
CDKL1	CDKL1	86
CDKL2	CDKL2	93
CDKL3	CDKL3	100
CDKL5	CDKL5	100
CHEK1	CHEK1	64
CHEK2	CHEK2	86
CIT	CIT	77
CLK1	CLK1	60
CLK2	CLK2	93
CLK3	CLK3	97
CLK4	CLK4	87
CSF1R	CSF1R	96
CSF1R-autoinhibited	CSF1R	100
CSK	CSK	97
CSNK1A1	CSNK1A1	89
CSNK1A1L	CSNK1A1L	94
CSNK1D	CSNK1D	73
CSNK1E	CSNK1E	100
CSNK1G1	CSNK1G1	79
CSNK1G2	CSNK1G2	92
CSNK1G3	CSNK1G3	89
CSNK2A1	CSNK2A1	41
CSNK2A2	CSNK2A2	18
CTK	MATK	90
DAPK1	DAPK1	78
DAPK2	DAPK2	99
DAPK3	DAPK3	99
DCAMKL1	DCLK1	79
DCAMKL2	DCLK2	100

DCAMKL3	DCLK3	67
DDR1	DDR1	82
DDR2	DDR2	85
DLK	MAP3K12	100
DMPK	DMPK	95
DMPK2	CDC42BPG	100
DRAK1	STK17A	96
DRAK2	STK17B	93
DYRK1A	DYRK1A	90
DYRK1B	DYRK1B	100
DYRK2	DYRK2	100
EGFR	EGFR	84
EGFR(E746-A750del)	EGFR	69
EGFR(G719C)	EGFR	95
EGFR(G719S)	EGFR	100
EGFR(L747-E749del, A750P)	EGFR	60
EGFR(L747-S752del, P753S)	EGFR	82
EGFR(L747-T751del,Sins)	EGFR	95
EGFR(L858R)	EGFR	73
EGFR(L858R,T790M)	EGFR	90
EGFR(L861Q)	EGFR	95
EGFR(S752-I759del)	EGFR	100
EGFR(T790M)	EGFR	72
EIF2AK1	EIF2AK1	84
EPHA1	EPHA1	93
EPHA2	EPHA2	81
EPHA3	EPHA3	60
EPHA4	EPHA4	100
EPHA5	EPHA5	100
EPHA6	EPHA6	100
EPHA7	EPHA7	100
EPHA8	EPHA8	100
EPHB1	EPHB1	100
EPHB2	EPHB2	97
EPHB3	EPHB3	100
EPHB4	EPHB4	89
EPHB6	EPHB6	2.4
ERBB2	ERBB2	73
ERBB3	ERBB3	50
ERBB4	ERBB4	87
ERK1	MAPK3	100
ERK2	MAPK1	82
ERK3	MAPK6	46
ERK4	MAPK4	64
ERK5	MAPK7	99
ERK8	MAPK15	93
ERN1	ERN1	100
FAK	PTK2	84
FER	FER	100
FES	FES	97
FGFR1	FGFR1	98
FGFR2	FGFR2	91
FGFR3	FGFR3	100
FGFR3(G697C)	FGFR3	95
FGFR4	FGFR4	100

FGR	FGR	46
FLT1	FLT1	89
FLT3	FLT3	43
FLT3(D835H)	FLT3	28
FLT3(D835V)	FLT3	5.5
FLT3(D835Y)	FLT3	32
FLT3(ITD)	FLT3	76
FLT3(ITD,D835V)	FLT3	50
FLT3(ITD,F691L)	FLT3	75
FLT3(K663Q)	FLT3	48
FLT3(N841I)	FLT3	47
FLT3(R834Q)	FLT3	93
FLT3-autoinhibited	FLT3	100
FLT4	FLT4	96
FRK	FRK	56
FYN	FYN	83
GAK	GAK	2
GCN2(Kin.Dom.2,S808G)	EIF2AK4	0.9
GRK1	GRK1	64
GRK2	ADRBK1	100
GRK3	ADRBK2	98
GRK4	GRK4	86
GRK7	GRK7	90
GSK3A	GSK3A	100
GSK3B	GSK3B	77
HASPIN	GSG2	100
HCK	HCK	47
HIPK1	HIPK1	30
HIPK2	HIPK2	17
HIPK3	HIPK3	34
HIPK4	HIPK4	37
HPK1	MAP4K1	97
HUNK	HUNK	76
ICK	ICK	57
IGF1R	IGF1R	100
IKK-alpha	CHUK	99
IKK-beta	IKBKB	76
IKK-epsilon	IKBKE	50
INSR	INSR	100
INSRR	INSRR	90
IRAK1	IRAK1	87
IRAK3	IRAK3	69
IRAK4	IRAK4	100
ITK	ITK	100
JAK1(JH1domain-catalytic)	JAK1	87
JAK1(JH2domain-pseudokinase)	JAK1	100
JAK2(JH1domain-catalytic)	JAK2	6.1
JAK3(JH1domain-catalytic)	JAK3	0.45
JNK1	MAPK8	57
JNK2	MAPK9	72
JNK3	MAPK10	76
KIT	KIT	98
KIT(A829P)	KIT	100
KIT(D816H)	KIT	98
KIT(D816V)	KIT	88

KIT(L576P)	KIT	100
KIT(V559D)	KIT	100
KIT(V559D,T670I)	KIT	100
KIT(V559D,V654A)	KIT	94
KIT-autoinhibited	KIT	100
LATS1	LATS1	100
LATS2	LATS2	92
LCK	LCK	11
LIMK1	LIMK1	100
LIMK2	LIMK2	100
LKB1	STK11	84
LOK	STK10	95
LRRK2	LRRK2	58
LRRK2(G2019S)	LRRK2	86
LTK	LTK	100
LYN	LYN	73
LZK	MAP3K13	88
MAK	MAK	69
MAP3K1	MAP3K1	69
MAP3K15	MAP3K15	79
MAP3K2	MAP3K2	31
MAP3K3	MAP3K3	16
MAP3K4	MAP3K4	5.5
MAP4K2	MAP4K2	100
MAP4K3	MAP4K3	72
MAP4K4	MAP4K4	84
MAP4K5	MAP4K5	67
MAPKAPK2	MAPKAPK2	87
MAPKAPK5	MAPKAPK5	100
MARK1	MARK1	100
MARK2	MARK2	47
MARK3	MARK3	86
MARK4	MARK4	100
MAST1	MAST1	60
MEK1	MAP2K1	98
MEK2	MAP2K2	88
MEK3	MAP2K3	88
MEK4	MAP2K4	80
MEK5	MAP2K5	41
MEK6	MAP2K6	96
MELK	MELK	100
MERTK	MERTK	100
MET	MET	100
MET(M1250T)	MET	94
MET(Y1235D)	MET	79
MINK	MINK1	78
MKK7	MAP2K7	100
MKMK1	MKMK1	98
MKMK2	MKMK2	86
MLCK	MYLK3	96
MLK1	MAP3K9	84
MLK2	MAP3K10	88
MLK3	MAP3K11	89
MRCKA	CDC42BPA	100
MRCKB	CDC42BPB	99

MST1	STK4	100
MST1R	MST1R	80
MST2	STK3	86
MST3	STK24	91
MST4	MST4	81
MTOR	MTOR	73
MUSK	MUSK	66
MYLK	MYLK	93
MYLK2	MYLK2	100
MYLK4	MYLK4	100
MYO3A	MYO3A	98
MYO3B	MYO3B	99
NDR1	STK38	91
NDR2	STK38L	90
NEK1	NEK1	98
NEK10	NEK10	87
NEK11	NEK11	27
NEK2	NEK2	49
NEK3	NEK3	8.7
NEK4	NEK4	94
NEK5	NEK5	100
NEK6	NEK6	96
NEK7	NEK7	81
NEK9	NEK9	95
NIK	MAP3K14	100
NIM1	MGC42105	74
NLK	NLK	85
OSR1	OXR1	87
p38-alpha	MAPK14	88
p38-beta	MAPK11	94
p38-delta	MAPK13	100
p38-gamma	MAPK12	83
PAK1	PAK1	91
PAK2	PAK2	100
PAK3	PAK3	81
PAK4	PAK4	89
PAK6	PAK6	100
PAK7	PAK7	68
PCK1	CDK16	81
PCK2	CDK17	68
PCK3	CDK18	94
PDGFRA	PDGFRA	99
PDGFRB	PDGFRB	94
PDPK1	PDPK1	95
PFCDPK1(P.falciparum)	CDPK1	100
PFPK5(P.falciparum)	MAL13P1.279	100
PFTAIRE2	CDK15	87
PFTK1	CDK14	90
PHKG1	PHKG1	97
PHKG2	PHKG2	85
PIK3C2B	PIK3C2B	100
PIK3C2G	PIK3C2G	88
PIK3CA	PIK3CA	100
PIK3CA(C420R)	PIK3CA	100
PIK3CA(E542K)	PIK3CA	85

PIK3CA(E545A)	PIK3CA	92
PIK3CA(E545K)	PIK3CA	60
PIK3CA(H1047L)	PIK3CA	100
PIK3CA(H1047Y)	PIK3CA	95
PIK3CA(I800L)	PIK3CA	83
PIK3CA(M1043I)	PIK3CA	92
PIK3CA(Q546K)	PIK3CA	89
PIK3CB	PIK3CB	90
PIK3CD	PIK3CD	100
PIK3CG	PIK3CG	100
PIK4CB	PI4KB	45
PIKFYVE	PIKFYVE	77
PIM1	PIM1	95
PIM2	PIM2	95
PIM3	PIM3	100
PIP5K1A	PIP5K1A	89
PIP5K1C	PIP5K1C	81
PIP5K2B	PIP4K2B	90
PIP5K2C	PIP4K2C	83
PKAC-alpha	PRKACA	93
PKAC-beta	PRKACB	100
PKMYT1	PKMYT1	45
PKN1	PKN1	72
PKN2	PKN2	81
PKNB(M.tuberculosis)	pknB	31
PLK1	PLK1	0.35
PLK2	PLK2	0.6
PLK3	PLK3	1.3
PLK4	PLK4	84
PRKCD	PRKCD	100
PRKCE	PRKCE	78
PRKCH	PRKCH	100
PRKCI	PRKCI	82
PRKCQ	PRKCQ	53
PRKD1	PRKD1	69
PRKD2	PRKD2	92
PRKD3	PRKD3	54
PRKG1	PRKG1	100
PRKG2	PRKG2	95
PRKR	EIF2AK2	79
PRKX	PRKX	83
PRP4	PRPF4B	89
PYK2	PTK2B	95
QSK	KIAA0999	80
RAF1	RAF1	96
RET	RET	94
RET(M918T)	RET	100
RET(V804L)	RET	100
RET(V804M)	RET	75
RIOK1	RIOK1	71
RIOK2	RIOK2	85
RIOK3	RIOK3	100
RIPK1	RIPK1	100
RIPK2	RIPK2	100
RIPK4	RIPK4	57

RIPK5	DSTYK	98
ROCK1	ROCK1	100
ROCK2	ROCK2	100
ROS1	ROS1	100
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	97
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	94
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	100
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	83
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	100
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	74
RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	69
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	100
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	91
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	100
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	75
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	70
S6K1	RPS6KB1	83
SBK1	SBK1	85
SGK	SGK1	88
SgK110	SgK110	89
SGK2	SGK2	77
SGK3	SGK3	69
SIK	SIK1	87
SIK2	SIK2	58
SLK	SLK	24
SNARK	NUAK2	69
SNRK	SNRK	89
SRC	SRC	19
SRMS	SRMS	75
SRPK1	SRPK1	92
SRPK2	SRPK2	100
SRPK3	SRPK3	89
STK16	STK16	100
STK33	STK33	21
STK35	STK35	100
STK36	STK36	91
STK39	STK39	69
SYK	SYK	70
TAK1	MAP3K7	76
TAOK1	TAOK1	100
TAOK2	TAOK2	89
TAOK3	TAOK3	100
TBK1	TBK1	39
TEC	TEC	97
TESK1	TESK1	100
TGFBR1	TGFBR1	94
TGFBR2	TGFBR2	70
TIE1	TIE1	60
TIE2	TEK	98
TLK1	TLK1	85
TLK2	TLK2	100
TNIK	TNIK	73
TNK1	TNK1	38
TNK2	TNK2	67
TNNI3K	TNNI3K	37

TRKA	NTRK1	28
TRKB	NTRK2	80
TRKC	NTRK3	74
TRPM6	TRPM6	89
TSSK1B	TSSK1B	100
TSSK3	TSSK3	100
TTK	TTK	100
TXK	TXK	95
TYK2(JH1domain-catalytic)	TYK2	60
TYK2(JH2domain-pseudokinase)	TYK2	15
TYRO3	TYRO3	100
ULK1	ULK1	69
ULK2	ULK2	40
ULK3	ULK3	78
VEGFR2	KDR	94
VPS34	PIK3C3	100
VRK2	VRK2	100
WEE1	WEE1	0.3
WEE2	WEE2	0.25
WNK1	WNK1	100
WNK2	WNK2	99
WNK3	WNK3	100
WNK4	WNK4	100
YANK1	STK32A	100
YANK2	STK32B	100
YANK3	STK32C	100
YES	YES1	48
YSK1	STK25	100
YSK4	MAP3K19	0
ZAK	ZAK	100
ZAP70	ZAP70	100