

**Supplementary Table 1.** Inhibitory activity of ASP3026 and crizotinib against various TKs

Kinase	% Inhibition				Kinase	% Inhibition			
	ASP3026		Crizotinib			ASP3026		Crizotinib	
	0.1 $\mu$ M	1 $\mu$ M	0.1 $\mu$ M	1 $\mu$ M		0.1 $\mu$ M	1 $\mu$ M	0.1 $\mu$ M	1 $\mu$ M
ABL	9.8	57.2	51.4	92.6	FMS	74.0	97.3	55.2	95.8
ACK	100.3	101.4	22.4	77.1	FRK	73.1	97.0	5.4	48.2
ALK	99.2	102.1	101.7	100.9	FYN	45.4	91.2	13.3	67.7
ALK(F1174L)	89.6	101.4	99.9	99.8	HCK	15.8	68.5	1.9	38.5
ALK(R1275Q)	97.6	103.0	100.4	102.1	HER2	-3.0	-2.3	-4.4	-4.7
ARG	14.8	63.0	47.8	92.1	HER4	22.4	72.0	-1.7	1.9
AXL	10.9	56.0	97.2	100.6	IGF1R	30.9	83.8	42.2	91.1
BLK	14.5	62.5	16.5	72.6	INSR	36.2	88.0	56.4	95.6
BMX	10.5	38.0	6.9	59.0	IRR	35.4	87.7	68.5	97.9
BRK	34.2	84.6	2.0	27.4	ITK	-2.5	14.2	17.4	78.8
BTK	10.8	48.0	-3.5	13.4	JAK1	-2.7	-1.5	15.7	69.1
CSK	4.3	30.3	-3.9	15.9	JAK2	0.9	3.1	85.2	99.8
CTK	-0.2	2.1	1.9	3.8	JAK3	2.0	3.2	30.2	84.9
DDR1	75.1	98.8	81.1	99.1	KDR	1.7	6.7	6.6	57.5
DDR2	42.8	91.7	60.4	96.0	KIT	4.1	18.0	-5.4	6.6
EGFR	24.1	69.2	-7.9	3.0	LCK	31.9	81.3	87.3	100.6
EGFR(L858R)	51.7	89.8	-5.2	8.4	LTK	57.7	98.4	100.4	101.4
EGFR(L861Q)	15.8	68.4	-3.4	-0.5	LYNa	11.9	55.6	10.0	53.6
EGFR(T790M)	7.1	42.3	-3.6	0.6	LYNb	8.9	49.9	8.4	50.5
EGFR(T790M/L858R)	41.7	88.5	-3.2	4.9	MER	11.8	48.5	96.6	100.5
EPHA1	59.3	93.9	90.4	100.4	MET	0.5	18.3	98.9	99.9
EPHA2	7.2	45.0	60.9	95.0	MUSK	14.8	68.8	94.3	100.6
EPHA3	-0.6	3.6	3.7	37.2	NPM1-ALK	96.6	102.8	102.2	100.3
EPHA4	3.4	28.1	23.1	76.9	PDGFRa	18.0	70.0	2.6	42.3
EPHA5	3.1	29.2	30.3	83.0	PDGFRb	23.7	80.4	-5.1	19.5
EPHA6	0.2	2.9	61.8	99.8	PYK2	56.4	93.4	76.0	98.0
EPHA7	-0.4	12.3	37.1	87.9	RET	-2.6	6.9	8.9	62.9
EPHA8	-0.5	5.9	43.2	90.4	RON	4.6	12.2	97.1	101.9
EPHB1	32.9	83.7	75.4	99.4	ROS	92.4	101.5	102.2	102.1
EPHB2	8.5	48.6	26.1	80.4	SRC	27.9	69.7	25.1	75.0
EPHB3	1.2	29.4	-1.9	6.8	SRM	5.9	40.7	-2.0	1.6
EPHB4	7.5	45.9	55.3	92.6	SYK	0.0	17.9	27.3	76.1
FAK	65.8	95.8	72.6	93.9	TEC	-2.9	14.5	-5.5	9.9
FER	59.5	95.7	66.8	99.4	TIE2	3.2	24.8	24.9	79.8
FES	42.6	90.2	64.0	97.8	TNK1	78.7	98.6	10.0	57.2
FGFR1	0.9	26.6	-0.5	34.6	TRKA	-4.7	13.6	98.2	101.3
FGFR2	4.5	33.3	9.6	58.2	TRKB	-3.8	0.4	94.2	100.6
FGFR3	3.0	30.5	10.5	64.3	TRKC	2.9	1.0	93.6	100.8
FGFR4	-2.5	5.1	-4.9	2.4	TXK	5.3	16.7	11.4	58.6
FGR	26.7	73.0	33.7	83.7	TYK2	-0.1	-0.8	1.3	40.1
FLT1	4.7	12.3	11.6	70.7	TYRO3	3.3	14.5	81.0	98.6
FLT3	25.9	75.0	10.9	61.6	YES	70.7	98.2	24.5	75.4
FLT4	4.3	31.6	1.3	48.6	ZAP70	0.2	-0.9	2.6	39.1

Inhibitory assay for a panel of tyrosine kinases was conducted using a TK-ELISA or Off-chip MSA.

Percent inhibitions were determined in a single experiment.