Supplementary Table 4. Inhibitory activity of ASP3026 against EML4-ALK with gatekeeper-residue mutation L1196M

Assay	Compound	IC_{50} (nM)		Ratio (L1196M/WT)
		EML4-ALK wild-type (WT)	EML4-ALK L1196M	
(A) Kinase Inhibition	ASP3026	10	32	3.2
	Crizotinib	5	51	10
(B) Growth Inhibition of 3T3 cells	ASP3026	42	163	3.9
	Crizotinib	45	413	9.2

(A) Kinase activities of EML4-ALK variant 1 kinase (wild-type) and its L1196M-mutated form were measured with HTRF® KinEASE™-TK in the presence of ASP3026 or crizotinib. Data represent IC_{50} values of the compounds against both kinases, and the ratio of IC_{50} value for mutated versus wild type EML4-ALK. (B) 3T3 cells expressing either wild-type or mutated EML4-ALK were seeded and cultured for 1 day. Cells were then treated with ASP3026 or crizotinib for two days, and viable cell numbers were determined by the CellTiter-Glo™ Luminescent Cell Viability Assay. Data represent IC_{50} values of the compounds for both cell types, and the ratio of IC_{50} value for mutant-expressing versus wild type-expressing cells.